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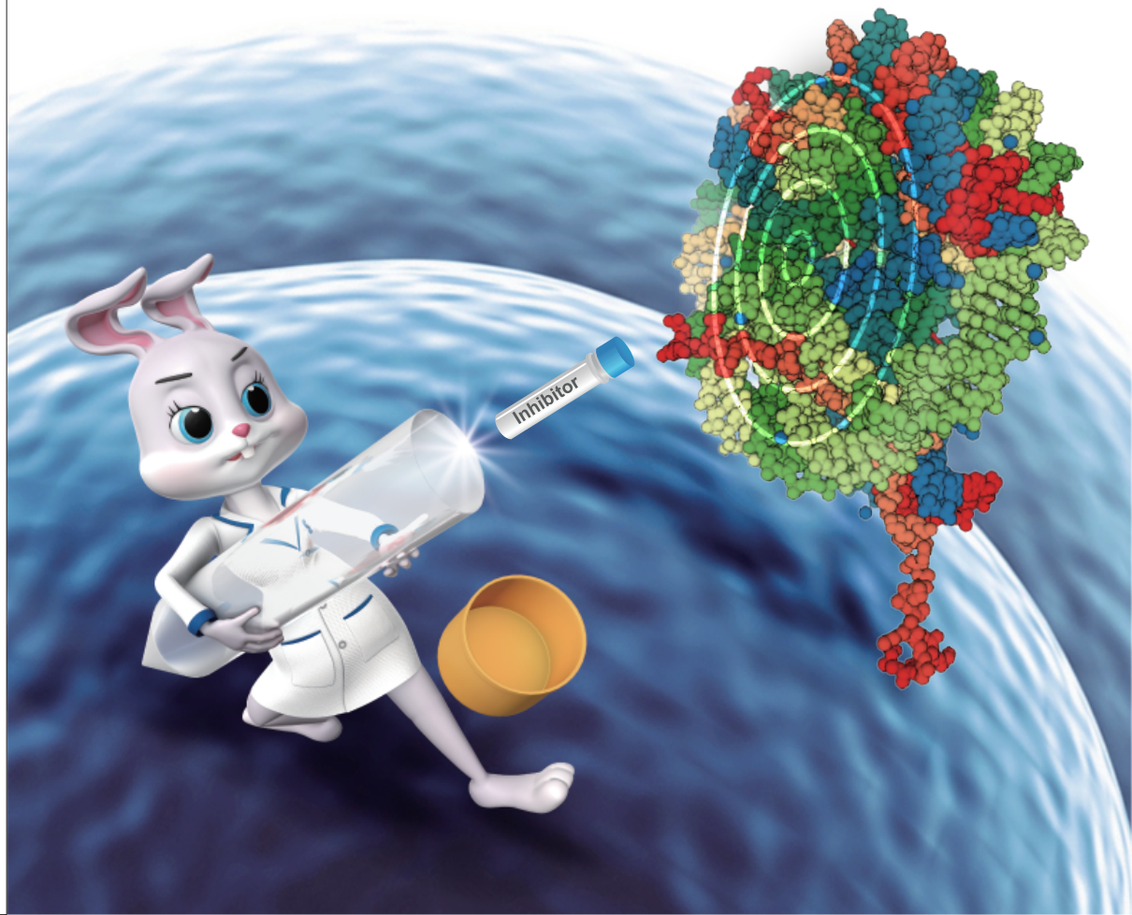
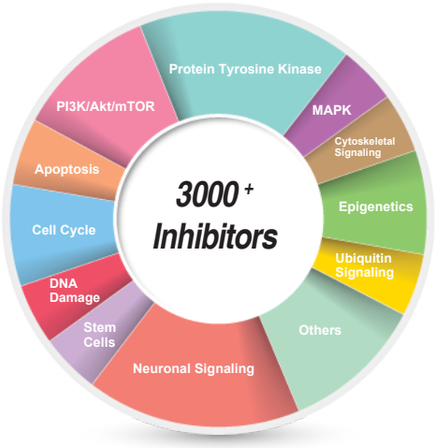
Inhibitor catalog





Inhibitors

Selleck Chemicals supplies **over 3,000 inhibitors** used in the study of **cell signaling pathways**.

Compound Libraries

Bioactive Compound Library
over 3300 compounds

Kinase Inhibitor Library
504 inhibitors

FDA-approved Drug Library
1539 compounds

Inhibitor Library
1908 inhibitors

Epigenetics Compound Library
210 small molecule modulators

Tyrosine Kinase Inhibitor Library
204 tyrosine kinase inhibitors

Target Selective Inhibitor Library
Bioactive compounds covering over 174 targets

Stem Cell Signaling Compound Library
101 small molecule inhibitors

Natural Product Library
Over 700 natural products

Autophagy Compound Library
161 autophagy signaling pathway ihibitors

GPCR Compound Library
514 GPCR small molecule compounds

Ion Channel Ligand Library
78 ion channel ligands

Anti-cancer Compound Library
1070 anti-cancer compounds

...

Customize your library by selecting compounds of interest.

Product Citations

Selleck products have been cited in more than **27000** studies from various **SCI** journals. (**Cell**, **Nature**, **Science**: **77** studies)

Nature, 2017, 548(7668):466-470.
Nature, 2017, 549(7672):404-408.
Nature, 2017, 548(7669):582-587.
Nature, 2017, 548(7668):471-475.
Nature, 2017, 548(7667):343-346.
Nature, 2017, 170(5):860-874.e19.
Nature, 2017, 546(7658):431-435.
Nature, 2017, 546(7658):416-420.
Nature, 2017, 545(7654):365-369.
Nature, 2017, 543(7647):728-732.
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Nature. 2017, 542(7641):362-366.
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Nature. 2016, 539(7629):437-442.
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Nature. 2016, 538(7626):477-482.
Nature. 2016, 537(7620):422-426.
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Nature. 2015, 521(7552):357-61.
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Nature. 2013, 501(7466):237-41.
Nature. 2013, 500(7461):222-6.
Nature. 2013, 498(7452):109-12.
Nature. 2013, 496(7446):523-7.
Nature. 2013, 493(7430):51-5.
Science, 2017, eaal3755.
Science. 2017, 355(6320):84-88.
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Science. 2016, 354(6315).
Science. 2016, 353(6302):929-32.
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Science. 2016, 352(6282):189-96.
Science. 2016, 351(6277):aad3680.
Science. 2013, 341(6146):651-4.
Science. 2013, 339(6120):700-4.
Cell, 2017, 170(5):860-874.e19.
Cell, 2017, 170(3):548-563.e16.
Cell, 2017, 170(5):845-859.e19.
Cell, 2017, 170(3):507-521.e18.
Cell, 2017, 169(2):243-257.e25.
Cell, 2017, 169(2):216-228.e19.
Cell, 2017, 168(5):856-866.
Cell. 2017, 168(1-2):86-100.
Cell. 2016, 167(7):1803-1813.
Cell. 2016, 167(1):233-247.
Cell. 2016, 165(1):234-46.
Cell. 2016, 164(1-2):293-309.
Cell. 2015, 162(2):441-51.
Cell. 2015, 160(1-2):161-76.
Cell. 2014, 159(5):1110-25.
Cell. 2014, 158(5):989-99.
Cell. 2013, 154(5):1036-46.
Cell. 2013, 153(4):840-54.

Selleck is a Licensed Supplier of Pfizer Compounds

In 2013, Selleck became a licensed supplier of Pfizer pharmaceuticals. This has granted our customers access to Pfizer's exclusive and high quality compounds. Purchased individually or as a library, these compounds have a wide range of applications in preclinical research of human diseases.

- All bioactive compounds are licensed by Pfizer and have been marketed and/or have been clinically demonstrated to be safe and efficacious in humans.
- Compounds span a range of potential uses: from anti-cancer compounds (e.g. Bosutinib) to a glycylcycline antiobiotic (e.g. Tigecycline) to combat the growing prevalence of antibiotic resistance.
- Reliability Guarantee: all Pfizer licensedcompounds are developed, and validated by Pfizer, and some even manufactured by Pfizer Quality Assurance: all compounds are validated using NMR and HPLC.
- Detailed preclinical research data and safety information available.

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Ubiquitin Pathway

Neuronal Signaling

Neuronal Signaling

NF-κB Pathway

GPCR & G Protein

Endocrinology & Hormones

Transmembrane Transporters

Metabolism

Proteases

Immunology & Inflammation

Microbiology

Others

PD-1/PD-L1 Inhibitory Antibodies

A2002 Nivolumab

Nivolumab is a genetically engineered, fully human immunoglobulin (Ig) G4 monoclonal antibody directed against the negative immunoregulatory human cell surface receptor programmed death-1 (PD-1,PCD-1) with immune checkpoint inhibitory and antineoplastic activities.

Size 5 mg

A2004 Atezolizumab

Atezolizumab is a fully humanized, IgG1 monoclonal antibody that blocks the interaction of PD-L1 with both PD-1 and B7.1, but not the interaction of PD-L2 with PD-1.

Size 5 mg

A2005 Pembrolizumab

Pembrolizumab is a potent, highly selective, fully humanized immunoglobulin (Ig) G4-kappa monoclonal antibody against PD-1 with potential immune checkpoint inhibitory and antineoplastic activities.

Size 5 mg

A2013 Durvalumab

Durvalumab is a human immunoglobulin G1 kappa (IgG1κ) monoclonal antibody that blocks the interaction of programmed cell death ligand 1 (PD-L1) with the PD-1 and CD80 (B7.1) molecules.

Size 5 mg

A2014 BMS-936559

BMS-936559 is a fully human IgG4 mAb to PD-L1 and inhibits the binding of PD-L1 to both PD-1 and CD80 (a ligand of CTLA-4).

Size 5 mg

A2015 Avelumab

Avelumab is a human anti-PD-L1 IgG1 monoclonal antibody with antineoplastic actions.

Size 5 mg

A2016 SHR-1210

SHR-1210 is a humanized anti-PD-1 IgG4 antibody that blocks the binding of PD-L1 and PD-L2 to PD-1 with immune checkpoint inhibitory and antineoplastic activities.

Size 5 mg

A2017 PDR001

PDR001 is a humanized anti-PD-1 IgG4 antibody that blocks the binding of PD-L1 and PD-L2 to PD-1. It binds to PD-1 with high affinity and inhibits the biological activity of PD-1.

Size 5 mg

A2018 Lambrolizumab

Lambrolizumab is a humanized monoclonal IgG4 antibody against PD-1 that blocks the interaction between PD-1 and its ligands, PD-L1 and PD-L2.

Size 5 mg

Other Inhibitory Antibodies

A2000 Cetuximab

Cetuximab, a novel molecular-targeted agent,is an inhibitor of EGFR monoclonal humanized antibody interacting with the extracellular binding site of EGFR to block ligand stimulation.

Size 5 mg

A2006 Bevacizumab

Bevacizumab is a humanized anti-VEGF monoclonal antibody which binds to and neutralizes all human VEGF-A isoforms and bioactive proteolytic fragments.

Size 5 mg

A2007 Trastuzumab

Trastuzumab is a humanized, recombinant monoclonal antibody that binds to the extracellular domain of HER2.

Size 5 mg

A2008 Pertuzumab

Pertuzumab, a humanized monoclonal antibody and the first in the class of agents called the HER2 dimerization inhibitors, impairs the ability of HER2 to bind to other members of the HER family.

Size 5 mg

A2011 Sarilumab

Sarilumab is a fully human anti-IL-6Rα mAb that binds membrane-bound and soluble human IL-6Rα with high affinity.

Size 5 mg

A2012 Tocilizumab

Tocilizumab is a humanized monoclonal antibody that binds to the interleukin-6 receptor.

Size 5 mg

A2019 Abatacept

Abatacept, a selective T-cell costimulation modulator, is a soluble fusion protein comprising the extracellular domain of human cytotoxic T-lymphocyte-associated antigen-4 (CTLA4) linked to the modified Fc (hinge, CH2 and CH3 domains) portion of human immunoglobulin G1 (CTLA-Ig).

Size 5 mg

A2020 Ustekinumab

Ustekinumab is an anti IL-12/23 IgG1 kappa human monoclonal antibody that targets the p40 subunit shared by two cytokines, interleukin (IL)-12 and 23, prevents their interaction with the receptor, thereby blocking subsequent signaling, differentiation and cytokine production central to inflammatory diseases.

Size 5 mg

A2021 Obinutuzumab

Obinutuzumab (GA101) is a novel, type II, glycoengineered, humanized anti-CD20 monoclonal antibody.

Size 5 mg

A2022 Vedolizumab

Vedolizumab is a humanized monoclonal antibody, which acts against α4β7 integrin heterodimer and blocks the interaction of α4β7 integrin with MAdCAM-1. It does not inhibit binding at VCAM-1.

Size 5 mg

A2023 Eculizumab

Eculizumab is a humanized monoclonal antibody that binds specifically to complement protein C5 with high affinity, preventing its cleavage into C5a and C5b.

Size 5 mg

A2024 Daratumumab

Daratumumab is a human monoclonal antibody that targets CD38, a cell surface protein that is highly expressed on haematological malignancies.

Size 5 mg

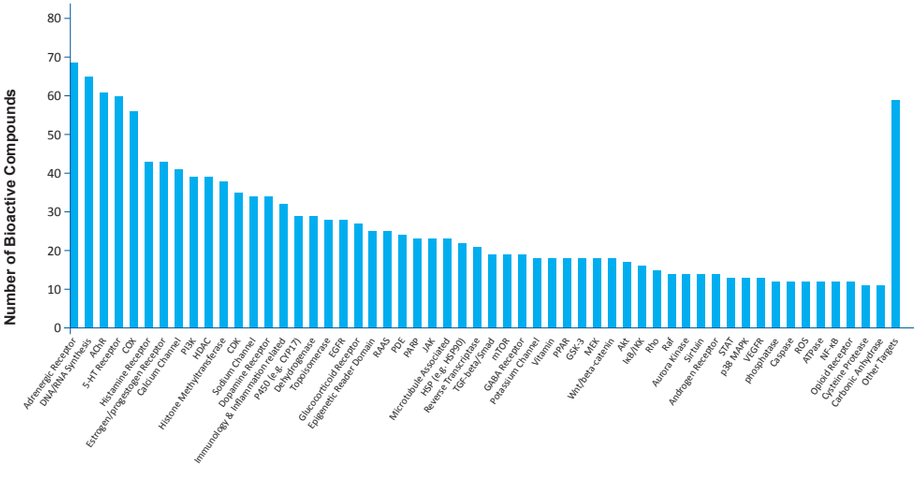
Bioactive Compound Library Cat.No. L1700

- Over 3300 bioactive chemical compounds for high throughput screening (HTS) and high content screening (HCS)
- Bioactivity and safety confirmed by preclinical research and clinical trials
- Some compounds have been approved by the FDA
- Includes most Selleck inhibitors, APIs, natural products, and chemotherapeutic agents
- Structurally diverse, medicinally active, and cell permeable
- Rich documentation with structure, IC50, and customer reviews
- NMR and HPLC validated to ensure high purity

Size (Pre-dissolved in DMSO)	
100 μL/well	(10 mM solution)
2x100 μL/well	(10 mM solution)

Customize Your Library

Specific Compounds | Quantities | Plate map | Format (Dry/solid or DMSO solution)



Journals Citing of this Library

Nat Med, 2014, 20(8):954-60

Oncotarget, 2014, 5(15):6512-25

Oncotarget, 2015, 6(3):1531-43

J Biomol Screen, 2015, 20(9):1171-7

.....

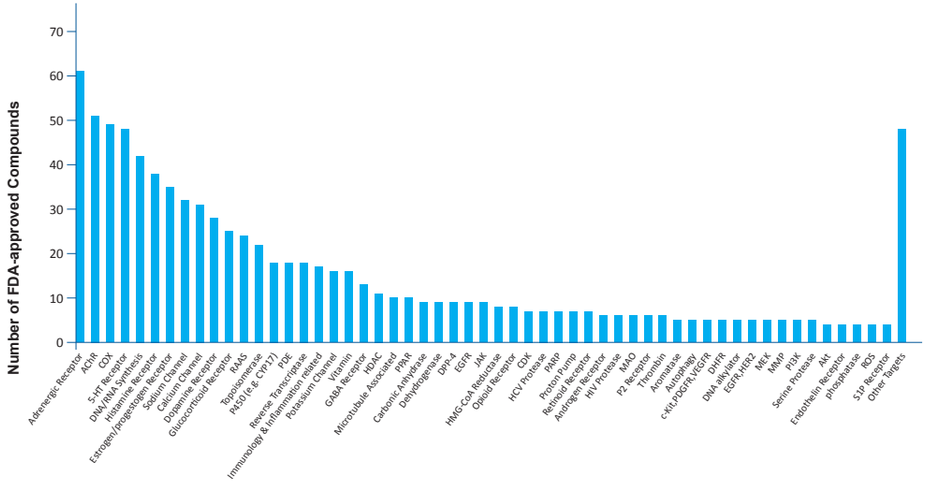
FDA-approved Drug Library Cat.No. L1300

- A unique collection of 1539 FDA approved drugs for high throughput screening (HTS) and high content screening (HCS)
- Locate new targets for old drugs
- Bioactivity and safety confirmed by clinical trials
- All compounds have been approved by FDA
- Related to oncology, cardiology, anti-inflammatory, immunology, neuropsychiatry, analgesia etc
- Structurally diverse, medicinally active, and cell permeable
- Rich documentation with structure, IC50, and customer reviews
- NMR and HPLC validated to ensure high purity

Size (Pre-dissolved in DMSO)	
100 µL/well	(10 mM solution)
2x100 µL/well	(10 mM solution)

Customize Your Library

Specific Compounds | Quantities | Plate map | Format (Dry/solid or DMSO solution)



Journals Citing of this Library

Cancer Res, 2014, 74:1702

Nat Prod Rep, 2014, 31(6):718-29

PLoS One, 2015, 10(6):e0129234

PLoS One, 2015, 10(11):e0143033

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Other Compound Libraries

Kinase Inhibitor Library Cat.No. L1200

A unique collection of **504** kinase inhibitors for high throughput screening (HTS) and high content screening (HCS).

Natural Product Library Cat.No. L1400

Over **700** natural products for high throughput screening (HTS) and high content screening (HCS).

Express-Pick Library Cat.No. L3600

A unique collection of **4208** chemical compounds featured different parent nuclei and structural diversities respectively for high throughput screening (HTS) and high content screening (HCS).

Inhibitor Library Cat.No. L1100

A unique collection of **1908** inhibitors for high throughput screening (HTS) and high content screening (HCS).

Epigenetics Compound Library Cat.No. L1900

A unique collection of **210** small molecule modulators with biological activity used for epigenitc research.

Target Selective Inhibitor Library Cat.No. L3500

A unique collection of validated bioactive compounds covering over **174** targets.

GPCR Compound Library Cat.No. L2200

A unique collection of **514** GPCR small molecule compound library for GPCR screening.

Anti-cancer Compound Library Cat.No. L3000

A unique collection of **1070** compounds with anti-cancer activity for high throughput screening (HTS) and high content screening (HCS).

Tyrosine Kinase Inhibitor Library Cat.No. L1800

A unique collection of **204** tyrosine kinase inhibitors for high throughput screening (HTS) and high content screening (HCS).

Stem Cell Signaling Compound Library Cat.No. L2100

A unique collection of **101** small molecule inhibitors used for stem cell regulatory and signaling pathway research.

Cambridge Cancer Compound Library Cat.No. L2300

A unique collection of **264** anti-cancer compounds.

Other Compound Libraries

Pfizer Licensed Compound Library Cat.No. L2400
91 bioactive compounds are licensed by Pfizer and have been marketed or clinically proven.

Autophagy Compound Library Cat.No. L2600
A unique collection of **161** autophagy signaling pathway ihibitors.

Ion Channel Ligand Library Cat.No. L2700
A unique collection of **78** ion channel ligands.

PI3K/Akt Inhibitor Library Cat.No. L2800
A unique collection of **127** PI3K signaling pathway inhibitors.

Apoptosis Compound Library Cat.No. L3300
A unique collection of **125** small molecules used for apoptosis research targeting Bcl-2, Caspase, p53, TNF-alpha, Mdm2, survivin, etc.

MAPK Inhibitor Library Cat.No. L3400
A unique collection of **66** small molecule inhibitors used for MAPK signaling research.

Protease Inhibitor Library Cat.No. L2500
A unique collection of **72** small molecule inhibitors used for chemical genomics, high-throughput screening (HTS), and high content screening (HCS).

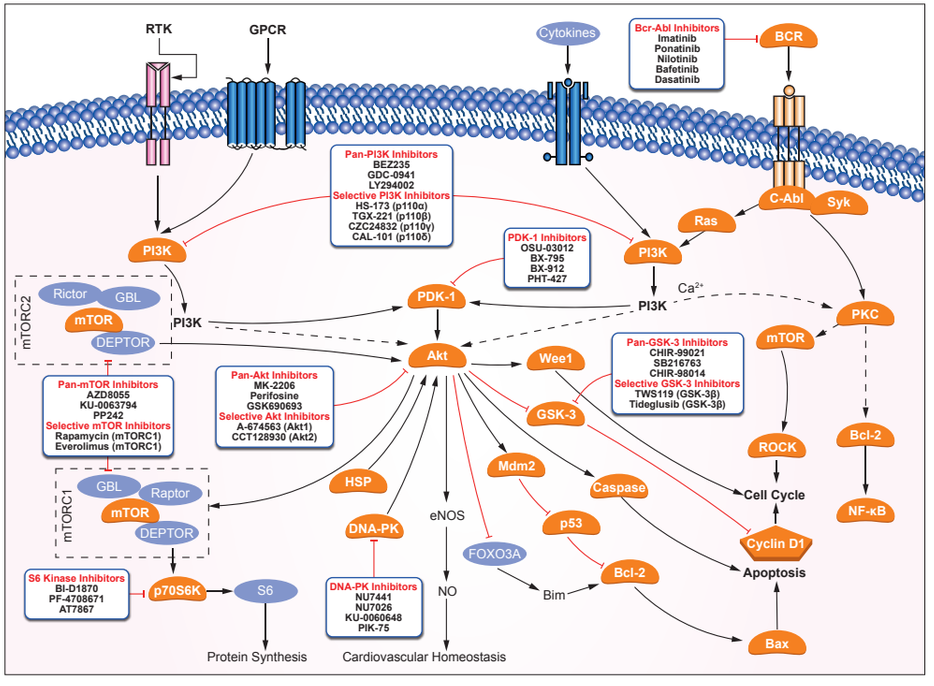
Anti-infection Compound Library Cat.No. L3100
A unique collection of **338** anti-infective small molecules with biological activity of antibiotics, antifungal drugs, anti-HIV, etc.

Anti-diabetic Compound Library Cat.No. L2900
A unique collection of **33** small molecules affecting the development of diabetes.

Metabolism Compound Library Cat.NO. L3700
A unique collection of **441** small molecule compounds used for metabolic research.

Express-Pick Library (Premium Version) Cat.NO. L5000
A unique collection of **111430** innovative chemical compounds, from the largest pharmaceutical company in the word, features numerous structurally diverse compounds and several alternate compositions, for high throughput screening (HTS) and high content screening (HCS).

PI3K/Akt/mTOR Pathway



PI3K Inhibitors

Inhibitory Selectivity

Inhibitor Name	PI3K	p110α	p110β	p110δ	p110γ	C2β	Vps34	Other
Dactolisib		++++ IC50: 4 nM	++ IC50: 75 nM	+++ IC50: 7 nM	++++ IC50: 5 nM			mTOR (p70S6K),ATR
Pictilisib		++++ IC50: 3 nM	+++ IC50: 33 nM	++++ IC50: 3 nM	++ IC50: 75 nM			mTOR
LY294002		+ IC50: 0.5 μM	+ IC50: 0.97 μM	+ IC50: 0.57 μM				
Idelalisib				++++ IC50: 2.5 nM	++ IC50: 89 nM			
Buparlisib		++ IC50: 52 nM	+ IC50: 166 nM	++ IC50: 116 nM	+ IC50: 262 nM		+ IC50: 2.4 μM	mTOR
PI-103		++++ IC50: 2 nM	++++ IC50: 3 nM	++++ IC50: 3 nM	+++ IC50: 15 nM			DNA-PK,mTOR
TGX-221			++++ IC50: 5 nM	++ IC50: 0.1 μM				
IC-87114				+ IC50: 0.5 μM	+ IC50: 29 μM			
Wortmannin	++++ IC50: 3 nM							DNA-PK,ATM,MLCK
XL147 analogue		++ IC50: 39 nM	+ IC50: 383 nM	++ IC50: 36 nM	+++ IC50: 23 nM			
ZSTK474	+++ IC50: 37 nM	+++ IC50: 16 nM	++ IC50: 44 nM	++++ IC50: 4.6 nM	++ IC50: 49 nM			
Alpelisib		++++ IC50: 5 nM						
AS-605240		++ IC50: 60 nM	+ IC50: 270 nM	+ IC50: 300 nM	+++ IC50: 8 nM			
PIK-75 HCl		+++ IC50: 5.8 nM		+ IC50: 0.51 μM	++ IC50: 76 nM			DNA-PK
3-Methyladenine					+ IC50: 60 μM		+ IC50: 25 μM	
A66		++ IC50: 32 nM				+ IC50: 462 nM		PI4Kβ
Voxtalisib Analogue		++ IC50: 39 nM	++ IC50: 113 nM	++ IC50: 43 nM	+++ IC50: 9 nM			DNA-PK,mTOR
PIK-93		++ IC50: 39 nM	+ IC50: 590 nM	++ IC50: 120 nM	+++ IC50: 16 nM	++ IC50: 140 nM	++ IC50: 320 nM	PI4KIIIβ,DNA-PK,ATM

Inhibitory Selectivity

Inhibitor Name	PI3K	p110α	p110β	p110δ	p110γ	C2β	Vps34	Other
Omipalisib		++++ Ki: 0.019 nM	++++ Ki: 0.13 nM	++++ Ki: 0.024 nM	++++ Ki: 0.06 nM			mTORC1,mTORC2
PIK-90		+++ IC50: 11 nM	+ IC50: 350 nM	++ IC50: 58 nM	+++ IC50: 18 nM			
PF-04691502		++++ Ki: 1.8 nM	++++ Ki: 2.1 nM	++++ Ki: 1.6 nM	++++ Ki: 1.9 nM			P-Akt,P-Akt,mTOR
AZD6482		+ IC50: 870 nM	+++ IC50: 10 nM	++ IC50: 80 nM				DNA-PK
Apitolisib		++++ IC50: 5 nM	+++ IC50: 27 nM	+++ IC50: 7 nM	+++ IC50: 14 nM			mTOR
GSK1059615		++++ IC50: 0.4 nM	++++ IC50: 0.6 nM	++++ IC50: 2 nM	++++ IC50: 5 nM			mTOR
Duvelisib			++++ Ki: 1564 pM	++++ Ki: 23 pM	++ Ki: 243 pM			
Gedatolisib		++++ IC50: 0.4 nM			+++ IC50: 5.4 nM			mTOR
TG100-115		+ IC50: 1.3 μM	+ IC50: 1.2 μM	+ IC50: 235 nM	++ IC50: 83 nM			
AS-252424		+ IC50: 935 nM			++ IC50: 33 nM			Casein Kinase 2
BGT226		++++ IC50: 4 nM	++ IC50: 63 nM		++ IC50: 38 nM			mTOR
CUDC-907		+++ IC50: 19 nM	++ IC50: 54 nM	+++ IC50: 39 nM				HDAC1,HDAC3,HDAC10
PIK-294			+ IC50: 490 nM	+++ IC50: 10 nM	+ IC50: 160 nM			
AS-604850		+ IC50: 4.5 μM			+ IC50: 0.25 μM			
Copanlisib		++++ IC50: 0.5 nM	++++ IC50: 3.7 nM	++++ IC50: 0.7 nM	++++ IC50: 6.4 nM			
YM201636		+ IC50: 3.3 μM						PIKfyve
CH5132799		+++ IC50: 14 nM	++ IC50: 0.12 μM	+ IC50: 0.50 μM	++ IC50: 36 nM			
PIK-293				+ IC50: 0.24 μM	+ IC50: 10 μM			
PKI-402		++++ IC50: 2 nM	+++ IC50: 7 nM	+++ IC50: 14 nM	+++ IC50: 16 nM			mTOR
TG100713		+ IC50: 165 nM	+ IC50: 215 nM	+++ IC50: 24 nM	++ IC50: 50 nM			
VS-5584		++++ IC50: 2.6 nM	+++ IC50: 21 nM	++++ IC50: 2.7 nM	++++ IC50: 3.0 nM			mTOR
Taselisib		++++ Ki: 0.29 nM	+++ Ki: 9.1 nM	++++ Ki: 0.12 nM	++++ Ki: 0.97 nM	+ IC50: 292 nM	+ IC50: 374 nM	
CZC24832			+ IC50: 1.1 μM		+++ IC50: 27 nM			
IPI-549					+++ IC50: 16 nM			
Serabelisib		+++ IC50: 21 nM						
SF2523		++ IC50: 34 nM			+ IC50: 158 nM			DNA-PK,BRD4,mTOR
GDC-0326		++++ Ki: 0.2 nM	+++ Ki: 26.6 nM	++++ Ki: 4 nM	+++ Ki: 10.2 nM			
SAR405							++++ IC50: 1.2 nM	
TGR-1202				+++ IC50: 22.2 nM				
VPS34 inhibitor 1							+++ IC50: 15 nM	
GDC-0084		++++ Ki: 2 nM	++ Ki: 46 nM	++++ Ki: 3 nM	+++ Ki: 10 nM			mTOR
AZD8835		+++ IC50: 6.2 nM	++ IC50: 431 nM	++++ IC50: 5.7 nM	++ IC50: 90 nM			
GSK2269557				++++ pKi: 9.9				
PIK-III		+ IC50: 3.96μM		+ IC50: 1.2μM	+ IC50: 3.04μM		+++ IC50: 0.018μM	PI4Kβ
VPS34-IN1							+++ IC50: 25 nM	
Voxtalisib		++ IC50: 39 nM	++ IC50: 113 nM	++ IC50: 43 nM	+++ IC50: 9 nM			DNA-PK,mTOR
AMG319				+++ IC50: 18 nM	+ IC50: 850 nM			
AZD8186		++ IC50: 35 nM	++++ IC50: 4 nM	+++ IC50: 12 nM				
PF-4989216		++++ IC50: 2 nM		++++ IC50: 1 nM	++ IC50: 65 nM		++ IC50: 110 nM	
Pilaralisib		++ IC50: 39 nM	++ IC50: 36 nM	++ IC50: 36 nM	+++ IC50: 23 nM			
PI-3065			+ IC50: 1078 nM	+++ IC50: 15 nM				
HS-173		++++ IC50: 0.8 nM						
Quercetin			+ IC50: 5.4 μM	+ IC50: 3.0 μM	+ IC50: 2.4 μM			PKC,Src,Sirtuin
GSK2636771			√					
CAY10505					√			
LY3023414	√							DNA-PK,mTOR kinase
GSK2292767				√				
GNE-317	√							

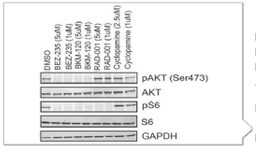
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1009 BEZ235 (NVP-BEZ235, Dactolisib)

BEZ235 (NVP-BEZ235, Dactolisib) is a dual ATP-competitive PI3K and mTOR inhibitor for p110α/γ/δ/β and mTOR(p70S6K) with IC_{50} of 4 nM /5 nM /7 nM /75 nM /6 nM in cell-free assays, respectively. BEZ235 inhibits ATR with IC_{50} of 21 nM in 3T3 TopBP1-ER cell.

Size 50 mg 100 mg



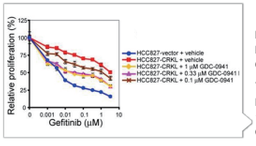
Product Citations (80):
Nature, 2012, 487(7408): 505-9
Nat Med, 2015, 10.1038/nm.3855
...

Data from [**Cancer Cell,** 2012, 21(2): 155-67]
BEZ235 purchased from **Selleck**

S1065 Pictilisib (GDC-0941)

Pictilisib (GDC-0941) is a potent inhibitor of PI3Kα/δ with IC_{50} of 3 nM in cell-free assays, with modest selectivity against p110β (11-fold) and p110γ (25-fold). Phase 2.

Size 5 mg 50 mg 200 mg 10 mM/1 mL



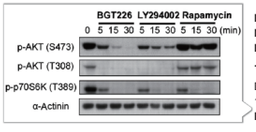
Product Citations (56):
Nature, 2014, 508(7494): 118-22
Cell Stem Cell, 2012, 10(2): 210-7
...

Data from [**Cancer Discov,** 2011, 1(7): 608-25]
GDC-0941 purchased from **Selleck**

S1105 LY294002

LY294002 is the first synthetic molecule known to inhibit PI3Kα/δ/β with IC_{50} of 0.5 μM/0.57 μM/0.97 μM in cell-free assays, respectively; more stable in solution than Wortmannin, and also blocks autophagosome formation.

Size 10 mg 25 mg 200 mg 10 mM/1 mL



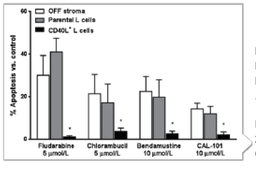
Product Citations (66):
Nature, 2015, 10.1038/nature 14412
Hepatology, 2014, 59(4): 1262-72
...

Data from [**Clin Cancer Res,** 2011, 17(22): 7116-26]
LY294002 purchased from **Selleck**

S2226 Idelalisib (CAL-101, GS-1101) *p110δ selective*

Idelalisib (CAL-101, GS-1101) is a selective p110δ inhibitor with IC_{50} of 2.5 nM in cell-free assays, and has been shown to have 40- to 300-fold greater selectivity for p110δ than for p110α/β/γ, and 400- to 4000-fold more selectivity for p110δ than for C2β, hVPS34, DNA-PK and mTOR.

Size 10 mg 50 mg 10 mM/1 mL



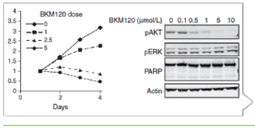
Product Citations (25):
Nature, 2015, 517(7535): 460-5
Nature, 2013, 496(7446): 523-7
...

Data from [**Clin Cancer Res,** 2014, 20(6): 1576-89]
CAL-101 purchased from **Selleck**

S2247 Buparlisib (BKM120, NVP-BKM120)

Buparlisib (BKM120, NVP-BKM120) is a selective PI3K inhibitor of p110 α/β/δ/γ with IC_{50} of 52 nM/166 nM/116 nM/262 nM in cell-free assays, respectively. BKM120 has reduced potency against VPS34, mTOR, DNAPK, with little activity towards PI4Kβ. Phase 2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (34):
Nat Med, 2015, 10.1038/nm.3855
Cancer Cell, 2012, 21(2): 155-67
...

Data from [**Cancer Discov,** 2014, 4(2): 186-99]
BKM120 purchased from **Selleck**

S7356 HS-173

HS-173 is a potent PI3Kα inhibitor with IC_{50} of 0.8 nM.

Size 5 mg 25 mg

S7018 CZC24832 *p110γ selective*

CZC24832 is the first selective PI3Kγ inhibitor with IC_{50} of 27 nM, with 10-fold selectivity over PI3Kβ and >100-fold selectivity over PI3Kα and PI3Kδ.

Size 10 mg 50 mg

S7016 VS-5584 (SB2343)

VS-5584 (SB2343) is a potent and selective dual PI3K/mTOR inhibitor for mTOR, PI3Kα/β/δ/γ with IC_{50} of 3.4 nM and 2.6-21 nM, respectively. Phase 1.

Size 10 mg 50 mg

S2638 NU7441 (KU-57788)

NU7441 (KU-57788) is a highly potent and selective DNA-PK inhibitor with IC_{50} of 14 nM and also inhibits PI3K with IC_{50} of 5 μM in cell-free assays.

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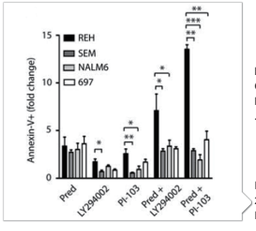
S1038 PI-103

PI-103 is a multi-targeted PI3K inhibitor for p110α/β/δ/γ with IC_{50} of 2 nM/3 nM/3 nM/15 nM in cell-free assays, less potent to mTOR/DNA-PK with IC_{50} of 30 nM/23 nM.

Size 5 mg 10 mg 25 mg 10 mM/1 mL



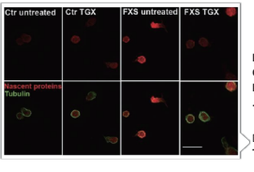
Product Citations (21):
Cell, 2013, 153(4): 840-54
Leukemia, 2013, 27(3): 650-60
...

Data from [**Leukemia,** 2012, 26(5): 927-33]
PI-103 purchased from **Selleck**

S1169 TGX-221 *p110β selective*

TGX-221 is a p110β-specific inhibitor with IC_{50} of 5 nM in a cell-free assay, 1000-fold more selective for p110β than p110α.

Size 5 mg 25 mg 100 mg 10 mM/1 mL



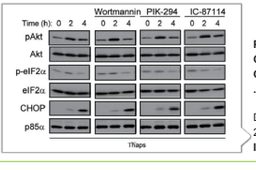
Product Citations (24):
Cancer Cell, 2015, 27(1): 97-108
Blood, 2014, 123(2): 239-49
...

Data from [**Mol Med,** 2012, 18: 336-45]
TGX-221 purchased from **Selleck**

S1268 IC-87114 *p110δ selective*

IC-87114 is a selective PI3Kδ inhibitor with IC_{50} of 0.5 μM in a cell-free assay, 58-fold more selective for PI3Kδ than PI3Kγ, and over 100-fold more selective than PI3Kα/β.

Size 5 mg 10 mg 50 mg



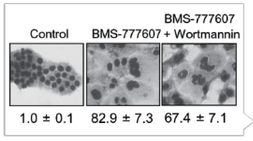
Product Citations (17):
Cancer Cell, 2015, 27(1): 97-108
Cancer Discov, 2012, 2(5): 425-33
...

Data from [**Mol Cell Biol,** 2012, 32(12): 2268-78]
IC-87114 purchased from **Selleck**

S2758 Wortmannin

Wortmannin is the first described PI3K inhibitor with IC_{50} of 3 nM in a cell-free assay, with little selectivity within the PI3K family. It also blocks autophagosome formation and potently inhibits DNA-PK/ATM with IC_{50} of 16 nM and 150 nM in cell-free assays.

Size 5 mg | 10 mg | 20 mg | 10 mM/1 mL



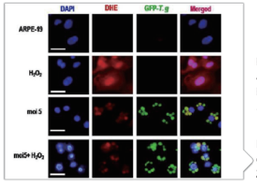
Product Citations (15):
Nature, 2015, 10.1038/nature14412
Pigment Cell Melanoma Res, 2014, 10.1111/pcmr.12268
...

Data from [**Mol Cancer Ther,** 2013, 13(1): 37-48]
Wortmannin purchased from **Selleck**

S1072 ZSTK474

ZSTK474 inhibits class I PI3K isoforms with IC_{50} of 37 nM in a cell-free assay, mostly PI3Kδ. Phase1/2.

Size 10 mg | 50 mg | 10 mM/1 mL



Product Citations (9):
J Cell Sci, 2014, 127(Pt 4): 788-800
Biochem J, 2014, 459(3): 513-24
...

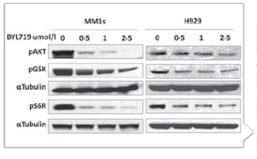
Data from [**PLoS One,** 2013, 8(6): e66306]
ZSTK474 purchased from **Selleck**

S2814 Alpelisib (BYL719)

p110α selective

Alpelisib (BYL719) is a potent and selective PI3Kα inhibitor with IC_{50} of 5 nM in a cell-free assay, and minimal effect on PI3Kβ/γ/δ. Phase 2.

Size 5 mg | 10 mg | 20 mg | 10 mM/1 mL



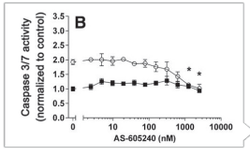
Product Citations (4):
Blood, 2015, 10.1182/blood-2014-11-610329
Br J Haematol, 2014, 165(1): 89-101
...

Data from [**Br J Haematol,** 2014, 165(1): 89-101]
BYL719 purchased from **Selleck**

S1410 AS-605240

AS-605240 selectively inhibits PI3Kγ with IC_{50} of 8 nM, over 30-fold and 7.5-fold more selective for PI3Kγ than PI3Kδ/β and PI3Kα in cell-free assays, respectively.

Size 5 mg | 10 mg | 50 mg | 100 mg



Product Citations (6):
Blood, 2015, 10.1182/blood-2014-11-610329
J Pharmacol Exp Ther, 2013, 347(3)
...

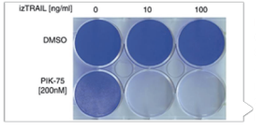
Data from [**J Pharmacol Exp Ther,** 2013, 347(3): 669-80]
AS-605240 purchased from **Selleck**

S1205 PIK-75

p110α selective

PIK-75 is a p110α inhibitor with IC_{50} of 5.8 nM (200-fold more potently than p110β), isoform-specific mutants at Ser773, and also potently inhibits DNA-PK with IC_{50} of 2 nM in cell-free assays.

Size 10 mg | 25 mg | 100 mg



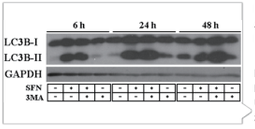
Product Citations (10):
Cell Death Differ, 2013, 21(3): 491-502
Stem Cells, 2015, 10.1002/stem.1986
...

Data from [**Cell Death Differ,** 2014, 21(3): 491-502]
PIK-75 purchased from **Selleck**

S2767 3-Methyladenine (3-MA)

3-Methyladenine (3-MA) is a selective PI3K inhibitor for Vps34 and PI3Kγ with IC_{50} of 25 μM and 60 μM in HeLa cells; blocks class I PI3K consistently, whereas suppression of class III PI3K is transient, and also blocks autophagosome formation.

Size 50 mg | 500 mg | 10 mM/1 mL



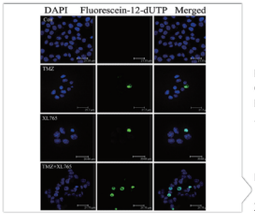
Product Citations (2):
Am J Cancer Res, 2015, 5(1): 125-139
PLoS One, 2014, 9(12): e114000

Data independently produced by Małgorzata Durbas from Jagiellonian University Poland
3-MA purchased from **Selleck**

S1523 Voxtalisib (SAR245409, XL765) Analogue

Voxtalisib (SAR245409, XL765) Analogue is a dual inhibitor of mTOR/PI3K, mostly for p110γ with IC_{50} of 9 nM; also inhibits DNA-PK and mTOR. Phase 1/2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



Product Citations (5):
Cell Rep, 2015, 11(3): 446-59
Endocrinology, 2013, 154(3): 1247-59
...

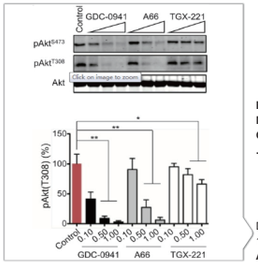
Data from [**Endocrinology,** 2013, 154(3): 1247-59]
XL765 purchased from **Selleck**

S2636 A66

p110α selective

A66 is a potent and specific p110α inhibitor with IC_{50} of 32 nM in a cell-free assay; >100 fold selectivity for p110α over other class-I PI3K isoforms.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



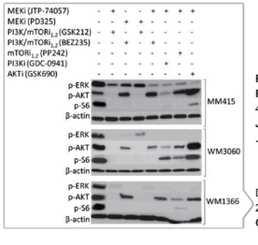
Product Citations (9):
Nature, 2015, 517(7535): 460-5
Genes Dev, 2012, 26(14): 1573-86
...

Data from [**Genes Dev,** 2012, 26(14): 1573-86]
A66 purchased from **Selleck**

S2658 Omipalisib (GSK2126458, GSK458)

Omipalisib (GSK2126458, GSK458) is a highly selective and potent inhibitor of p110α/β/δ/γ, mTORC1/2 with K_i of 0.019 nM/0.13 nM/0.024 nM/0.06 nM and 0.18 nM/0.3 nM in cell-free assays, respectively. Phase 1.

Size 2 mg | 5 mg | 10 mg | 10 mM/1 mL



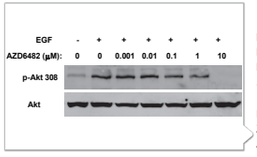
Product Citations (5):
Proc Natl Acad Sci USA, 2013, 110(10): 4015-20
J Pharmacol Exp Ther, 2013, 347(3)
...

Data from [**Proc Natl Acad Sci USA,** 2013, 110(10): 4015-20]
GSK2126458 purchased from **Selleck**

S1462 AZD6482

AZD6482 is a PI3Kβ inhibitor with IC_{50} of 10 nM; 8-, 87- and 109-fold more selective for PI3Kβ than for PI3Kδ, PI3Kα and PI3Kγ in cell-free assays. Phase 1.

Size 5 mg | 10 mg | 50 mg



Product Citations (3):
Blood, 2014, 125(5): 881-8
Diabetologia, 2013, 56(6): 1339-49
...

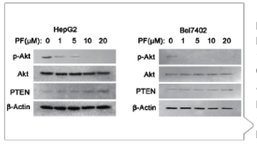
Data independently produced by Dr. Zhang of Tianjin Medical University
AZD6482 purchased from **Selleck**

S2743 PF-04691502

Licensed by Pfizer

PF-04691502 is an ATP-competitive PI3K(α/β/δ/γ)/mTOR dual inhibitor with K_i of 1.8 nM/2.1 nM/1.6 nM/1.9 nM and 16 nM in cell-free assays, little activity against either Vps34, AKT, PDK1, p70S6K, MEK, ERK, p38, or JNK. Phase 2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



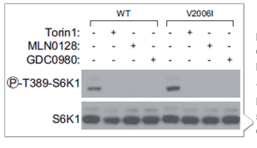
Product Citations (13):
Blood, 2015, 10.1182/blood-2014-11-610329
Cell Rep, 2015, 11(3): 446-59
...

Data from [**Toxicol Lett,** 2013, 220(2): 150-6]
PF-04691502 purchased from **Selleck**

S2696 Apitolisib (GDC-0980, RG7422)

Apitolisib (GDC-0980, RG7422) is a potent, class I PI3K inhibitor for PI3Kα/β/δ/γ with IC_{50} of 5 nM/27 nM/7 nM/14 nM in cell-free assays, respectively. Also a mTOR inhibitor with K_i of 17 nM in a cell-free assay, and highly selective versus other PIKK family kinases. Phase 2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



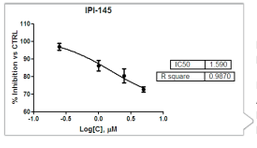
Product Citations (5):
Cancer Discov, 2014, 4(5): 554-63
Breast Cancer Res, 2014, 16(4): 406
...

Data from [**Cancer Discov,** 2014, 4(5): 554-63]
GDC-0980 purchased from **Selleck**

S7028 Duvelisib (IPI-145, INK1197)

Duvelisib (IPI-145, INK1197) is a novel and selective PI3K δ/γ inhibitor with K_i and IC_{50} of 23 pM/243 pM and 1 nM/50 nM in cell-free assays, and is highly selective for PI3K δ/γ over other protein kinases. Phase 3.

Size 5 mg | 50 mg | 10 mM/1 mL



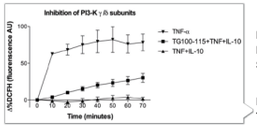
Product Citation (1):
Int J Cancer, 2015, 10.1002/ijc.29579

Data independently produced by Dr. Antonino Maria Spartà from University of Bologna
IPI-145 purchased from **Selleck**

S1352 TG100-115

TG100-115 is a PI3Kγ/δ inhibitor with IC_{50} of 83 nM/235 nM, with little effect on PI3Kα/β. Phase 1/2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



Product Citations (2):
Exp Mol Med, 2015, 47: e143
Shock, 2013, 39(1): 83-8

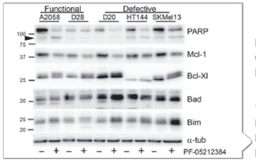
Data from [**Shock,** 2013, 39(1): 83-8]
TG100-115 purchased from **Selleck**

S2628 Gedatolisib (PF-05212384, PKI-587)

p110α selective

Gedatolisib (PF-05212384, PKI-587) is a highly potent dual inhibitor of PI3Kα, PI3Kγ and mTOR with IC_{50} of 0.4 nM, 5.4 nM and 1.6 nM in cell-free assays, respectively. Phase 2.

Size 5 mg | 10 mg | 50 mg



Product Citations (3):
Cell Rep, 2015, 11(3): 446-59
Pigment Cell Melanoma Res, 2014, 10.1111/pcmr.12268
...

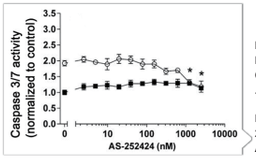
Data from [**Pigment Cell Melanoma Res,** 2014. 10.1111/pcmr.12268]
PF-05212384 purchased from **Selleck**

S2671 AS-252424

p110γ selective

AS-252424 is a novel, potent PI3Kγ inhibitor with IC_{50} of 30 nM in a cell-free assay; with 30-fold selectivity for PI3Kγ than PI3Kα, and low inhibitory activity towards PI3Kδ/β.

Size 5 mg | 25 mg | 100 mg | 10 mM/1 mL



Product Citations (7):
Blood, 2014, 123(2): 239-51
Cell Death Differ, 2014, 21(3): 491-502
...

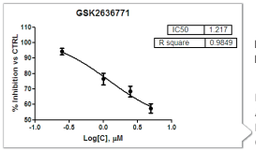
Data from [**J Pharmacol Exp Ther,** 2013, 347(3): 669-80]
AS-252424 purchased from **Selleck**

S8002 GSK2636771

p110β selective

GSK2636771 is a potent, orally bioavailable and selective inhibitor of PI3Kβ with >900-fold selectivity over PI3Kα/PI3Kγ and >10-fold over PI3Kδ. Sensitive to PTEN null cell lines.

Size 5 mg | 10 mg | 10 mM/1 mL



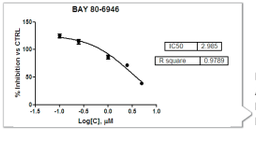
Product Citation (1):
Blood, 2015, 10.1182/blood-2014-11-6

Data independently produced by Dr. Antonino Maria Spartà from University of Bologn
GSK2636771 purchased from **Selleck**

S2802 Copanlisib (BAY 80-6946)

Copanlisib (BAY 80-6946) is a potent pan-class I PI3K with IC_{50} of 0.5, 3.7, 6.4, and 0.7 nM in cell-free assays for PI3Kα/β/γ/δ , respectively. Phase 3.

Size 5 mg | 10 mg



Data independently produced by Dr. Antonino Maria Spartà from University of Bologn
BAY 80-6946 purchased from **Selleck**

S7103 Taselisib (GDC 0032)

Taselisib (GDC 0032) is a potent, next-generation β isoform-sparing PI3K inhibitor targeting PI3Kα/δ/γ with K_i of 0.29 nM/0.12 nM/0.97nM, >10 fold selective over PI3Kβ.

Size 5 mg | 25 mg | 100 mg

S7623 PI-3065

PI-3065 is a selective p110δ inhibitor with IC_{50} of 15 nM, >70-fold selectivity over other PI3K family members.

Size 5 mg | 25 mg | 100 mg

S8456 VPS34 inhibitor 1 (Compound 19, PIK-III analogue) *new*

VPS34 inhibitor 1 (Compound 19, PIK-III analogue) is a potent and selective inhibitor of VPS34 with an IC_{50} of 15 nM.

Size 2 mg 5 mg 25 mg

S8330 IPI-549 *new*

IPI-549 is a potent inhibitor of PI3K-γ with >100-fold selectivity over other lipid and protein kinases. The biochemical IC_{50} for PI3K-γ is 16 nM.

Size 5 mg 25 mg 100 mg

mTOR Inhibitors

Inhibitory Selectivity

Inhibitor Name	mTOR	mTORC1	mTORC2	Other
Dactolisib	+++ IC_{50}: 6 nM			p110α,p110γ,p110δ
Rapamycin	++++ IC_{50}: ~0.1 nM			
Everolimus	+++ IC_{50}: 1.6 nM-2.4 nM			
AZD8055	++++ IC_{50}: 0.8~0.13 nM			DNA-PK,PI3Kδ,PI3Kα
Temsirolimus	+ IC_{50}: 1.76 μM			
PI-103	+ IC_{50}: 30 nM			p110α,p110δ,p110β
KU-0063794		++ IC_{50}: ~10 nM	++ IC_{50}: ~10 nM	
Torkinib	++ IC_{50}: 8 nM			p110δ,PDGFR,DNA-PK
Ridaforolimus	++++ IC_{50}: 0.2 nM			
INK 128	++++ K_i: 1.4 nM			PI3Kα,PI3Kγ,PI3Kδ
Voxtalisib Analogue	+ IC_{50}: 157 nM			PI3Kγ,PI3Kα,PI3Kδ
Torin 1	+++ IC_{50}: 4.32 nM	+++ IC_{50}: 2 nM	++ IC_{50}: 10 nM	DNA-PK,p110γ,C2α
Omipalisib		++++ K_i: 0.18 nM	++++ K_i: 0.3 nM	p110α,p110δ,p110γ
OSI-027	+++ IC_{50}: 4 nM	+ IC_{50}: 22 nM	+ IC_{50}: 65 nM	PI3Kγ,DNA-PK,PI3Kα
PF-04691502	++ K_i: 16 nM			PI3Kδ,PI3Kα,PI3Kγ
Apitolisib	+ K_i app: 17 nM			p110α,p110δ,p110γ
GSK1059615	++ IC_{50}: 12 nM			PI3Kα,PI3Kβ,PI3Kδ
Gedatolisib	++++ IC_{50}: 1.6 nM			PI3Kα,PI3Kγ
WYE-354	+++ IC_{50}: 5 nM			PI3Kα,PI3Kγ
Vistusertib	+++ IC_{50}: 2.8 nM			P-Akt (S473),pS6 (S235/236)
Torin 2	++++ IC_{50}: 0.25 nM			ATM,ATR,DNA-PK
WYE-125132	++++ IC_{50}: 0.19 nM			
PP121	++ IC_{50}: 13 nM			PDGFR,Hck,VEGFR
WYE-687	+++ IC_{50}: 7 nM			PI3Kα,PI3Kγ,p38α
WAY-600	++ IC_{50}: 9 nM			PI3Kα,PI3Kγ
ETP-46464	++++ IC_{50}: 0.6 nM			ATR,DNA-PK,PI3Kα
GDC-0349	+++ K_i: 3.8 nM			PI3Kα
XL388	++ IC_{50}: 9.9 nM	+++ IC_{50}: 8 nM	+ IC_{50}: 166 nM	
SF2523	+ IC_{50}: 280 nM			DNA-PK,PI3Kα,PI3Kγ
CZ415	++ pIC_{50}: 8.07			
CC-223	++ IC_{50}: 16 nM			cFMS,FLT4,DNA-PK
Voxtalisib	+ IC_{50}: 157 nM			PI3Kγ,PI3Kα,PI3Kδ
Zotarolimus	+++ IC_{50}: 2.8 nM			
Tacrolimus	√			
BGT226	√			PI3Kα,PI3Kγ,PI3Kβ
Palomid 529		√		
Chrysophanic Acid	√			EGFR

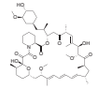
Notes:

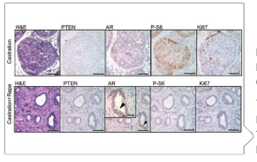
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1039 Rapamycin (Sirolimus) *Licensed by Pfizer* *mTORC1 selective*

Rapamycin (Sirolimus) is a specific mTOR inhibitor with IC_{50} of ~0.1 nM HEK293 cells.

Size 5 mg 25 mg 100 mg 10 mM/1 mL





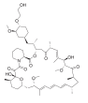
Product Citations (54):
Nat Genet, 2014, 46(4): 364-70
Cancer Cell, 2011, 19(6): 792-804
...

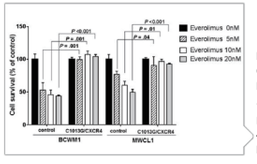
Data from [**Cancer Cell,** 2011, 19(6): 792-804]
Rapamycin purchased from **Selleck**

S1120 Everolimus (RAD001) *mTORC1 selective*

Everolimus (RAD001) is an inhibitor of FKBP12 with IC_{50} of 1.6-2.4 nM in a cell-free assay.

Size 10 mg 25 mg 100 mg 10 mM/1 mL





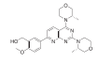
Product Citations (46):
Cell, 2012, 149(3): 656-70
Nat Med, 2015, 10.1038/nm.3855
...

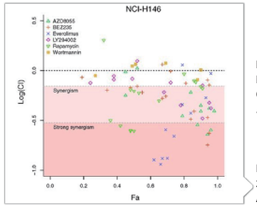
Data from [**Blood,** 2014, 123(26): 4120-31]
Everolimus purchased from **Selleck**

S1555 AZD8055

AZD8055 is a novel ATP-competitive mTOR inhibitor with IC_{50} of 0.8 nM in MDA-MB-468 cells with excellent selectivity (~1,000-fold) against PI3K isoforms and ATM/DNA-PK. Phase 1.

Size 10 mg 50 mg 10 mM/1 mL





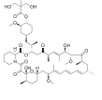
Product Citations (35):
Nat Med, 2015, 10.1038/nm.3855
Cancer Cell, 2015, 27(1): 97-108
...

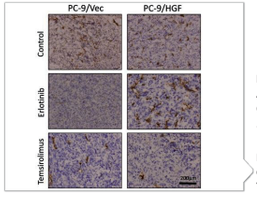
Data from [**Cancer Res,** 2014, 74(10): 2846-56]
AZD8055 purchased from **Selleck**

S1044 Temsirolimus (CCI-779, NSC 683864) *Licensed by Pfizer* *mTORC1 selective*

Temsirolimus (CCI-779, NSC 683864) is a specific mTOR inhibitor with IC_{50} of 1.76 μM in a cell-free assay.

Size 10 mg 50 mg 200 mg 10 mM/1 mL





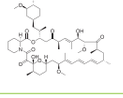
Product Citations (17):
Autophagy, 2011, 7(2): 176-87
Cancer Res, 2014, 74(14): 3947-58
...

Data from [**PLoS One,** 2013, 8(5): e62104]
Temsirolimus purchased from **Selleck**

S1022 Ridaforolimus (Deforolimus, MK-8669) *mTORC1 selective*

Ridaforolimus (Deforolimus, MK-8669) is a selective mTOR inhibitor with IC_{50} of 0.2 nM in HT-1080 cell line; while not classified as a prodrug. Its effects towards mTOR inhibition and FKBP12 binding is similar to rapamycin. Phase 3.

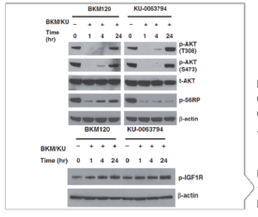
Size 5 mg 10 mg 50 mg 10 mM/1 mL



S1226 KU-0063794

KU-0063794 is a potent and highly specific dual-mTOR inhibitor of mTORC1 and mTORC2 with IC_{50} of ~10 nM in cell-free assays; no effect on PI3Ks.

Size 5 mg 50 mg 100 mg 10 mM/1 mL



Product Citations (15):
Cell Stem Cell, 2012, 10(2): 210-7
Circ Res, 2010, 107(10): 1265-74
...

Data from [**Oncogene,** 2013, 10.1038/onc.2013.509]
KU-0063794 purchased from **Selleck**

S2218 Torkinib (PP242)

Torkinib (PP242) is a selective mTOR inhibitor with IC_{50} of 8 nM in cell-free assays; targets both mTOR complexes with >10- and 100-fold selectivity for mTOR than PI3Kδ or PI3Kα/β/γ, respectively.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

Product Citations (13):
J Clin Invest, 2015, 10.1172/JCI78018
Nat Chem Biol, 2013, 9(11): 708-14
...

Data from [**Cancer Res,** 2013, 73(11): 3402-11]
PP242 purchased from **Selleck**

S7811 MHY1485

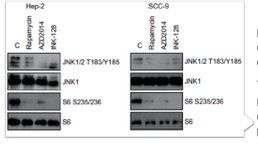
MHY1485 is a potent, and cell-permeable mTOR activator, and also potently inhibits autophagy.

Size 10 mg 50 mg 200 mg

S2811 INK 128 (MLN0128)

INK 128 (MLN0128) is a potent and selective mTOR inhibitor with IC_{50} of 1 nM in cell-free assays; >200-fold less potent to class I PI3K isoforms, superior in blocking mTORC1/2 and sensitive to pro-invasion genes (vs Rapamycin). Phase 1.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



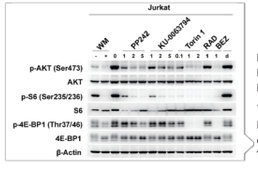
Product Citations (4):
Cancer Discov, 2014, 4(5): 554-63
Cell Rep, 2015, 11(3): 446-59
...

Data from [**Biochem Biophys Res Commun,** 2013, 440(4): 701-6]
INK 128 purchased from **Selleck**

S2827 Torin 1

Torin 1 is a potent inhibitor of mTORC1/2 with IC_{50} of 2 nM/10 nM in cell-free assays; exhibits 1000-fold selectivity for mTOR than PI3K.

Size 10 mg 25 mg 50 mg



Product Citations (8):
Elife, 2015, 4
Mol Cell Biol, 2014, 34(24): 4474-84
...

Data from [**PLoS One,** 2013, 8(11): e80070]
Torin 1 purchased from **Selleck**

S2624 OSI-027

OSI-027 is a selective and potent dual inhibitor of mTORC1 and mTORC2 with IC_{50} of 22 nM and 65 nM in cell-free assays, and more than 100-fold selectivity is observed for mTOR than for PI3Kα, PI3Kβ, PI3Kγ or DNA-PK. Phase 1.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S2783 Vistusertib (AZD2014)

AZD2014 is a novel mTOR inhibitor with IC_{50} of 2.8 nM in a cell-free assay; highly selective against multiple PI3K isoforms (α/β/γ/δ). AZD2014 showed no or weak binding to the majority of kinases when tested at 1 μM.

Size 5 mg 10 mg

S2817 Torin 2

Torin 2 is a potent and selective mTOR inhibitor with IC_{50} of 0.25 nM in p53−/− MEFs cell line; 800-fold greater selectivity for mTOR than PI3K and improved pharmacokinetic properties; inhibition of ATM/ATR/DNA-PK with EC_{50} of 28 nM/35 nM/118 nM, in PC3 cell lines respectively.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

Akt Inhibitors

Inhibitory Selectivity

Inhibitor Name	Akt	Akt1	Akt2	Akt3	Other
MK-2206 2HCl		+++ IC_{50}: 8 nM	+++ IC_{50}: 12 nM	+ IC_{50}: 65 nM	
Perifosine	+ IC_{50}: 4.7 μM				
GSK690693		++++ IC_{50}: 2 nM	+++ IC_{50}: 13 nM	+++ IC_{50}: 9 nM	PKCθ,PKCη,PrkX
Ipatasertib		++++ IC_{50}: 5 nM	++ IC_{50}: 18 nM	+++ IC_{50}: 8 nM	
AZD5363		++++ IC_{50}: 3 nM	+++ IC_{50}: 8 nM	+++ IC_{50}: 8 nM	ROCK2
PF-04691502	++++ IC_{50}: 3.8~7.5 nM				PI3Kδ,PI3Kα,PI3Kγ
AT7867		++ IC_{50}: 32 nM	+++ IC_{50}: 17 nM	++ IC_{50}: 47 nM	PKA,p70 S6K
Triciribine	+ IC_{50}: 130 nM				HIV-1
CCT128930			++++ IC_{50}: 6 nM		p70 S6K,PKA
A-674563		+++ K_i: 11 nM			PKA,CDK2,GSK-3β
PHT-427	+ K_i: 2.7 μM				PDK-1
Akti-1/2		++ IC_{50}: 58 nM	+ IC_{50}: 210 nM	+ IC_{50}: 2119 nM	
Uprosertib		+ IC_{50}: 180 nM	+ IC_{50}: 328 nM	++ IC_{50}: 38 nM	
Afuresertib		++++ K_i: 0.08 nM	++++ K_i: 2 nM	++++ K_i: 2.6 nM	
AT13148		++ IC_{50}: 38 nM	+ IC_{50}: 402 nM	++ IC_{50}: 50 nM	PKA,ROCK2,ROCK1
Miltefosine	√				PI3K,PKC
Honokiol	√				MEK
TIC10 Analogue	√				ERK
Deguelin	√				PI3K
TIC10	√				ERK

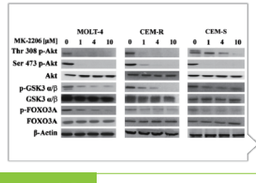
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1078 MK-2206 2HCl

MK-2206 2HCl is a highly selective inhibitor of Akt1/2/3 with IC_{50} of 8 nM/12 nM/65 nM in cell-free assays, respectively; no inhibitory activities against 250 other protein kinases observed. Phase 2.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



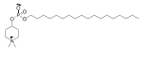
Product Citations (166):
Cell, 2015, 160(1-2): 161-76
Nat Genet, 2014, 46(4): 364-70
...

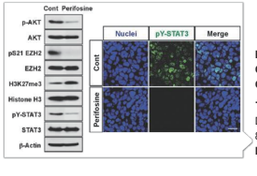
Data from [**Leukemia,** 2012, 26(11): 2336-42]
MK-2206 2HCl purchased from **Selleck**

S1037 Perifosine (KRX-0401)

Perifosine (KRX-0401) is a novel Akt inhibitor with IC_{50} of 4.7 μM in MM.1S cells, targeting pleckstrin homology domain of Akt. Phase 3.

Size 5 mg 10 mg 50 mg





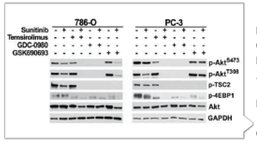
Product Citations (47):
Cell, 2012, 149(3): 656-70
Cancer Cell, 2013, 23(6): 839-52
...

Data from [**Cancer Cell,** 2013, 23(6): 839-52]
Perifosine purchased from **Selleck**

S1113 GSK690693

GSK690693 is a pan-Akt inhibitor targeting Akt1/2/3 with IC_{50} of 2 nM/13 nM/9 nM in cell-free assays, and is also sensitive to the AGC kinase family: PKA, PrkX and PKC isozymes. Phase 1.

Size 10 mg 50 mg 10 mM/1 mL



Product Citations (16):
Cancer Discov, 2014, 4(2): 186-99
Elife, 2014, 10.7554/eLife.03751
...

Data from [**Mol Cancer Ther,** 2012, 11(7): 1510-7]
GSK690693 purchased from **Selleck**

S2808 Ipatasertib (GDC-0068)

Ipatasertib (GDC-0068) is a highly selective pan-Akt inhibitor targeting Akt1/2/3 with IC_{50} of 5 nM/18 nM/8 nM in cell-free assays, 620-fold selectivity over PKA. Phase 2.

Size 5 mg 10 mg 10 mM/1 mL

S8019 AZD5363

AZD5363 potently inhibits all isoforms of Akt(Akt1/Akt2/Akt3) with IC_{50} of 3 nM/8 nM/8 nM in cell-free assays, and has similar effect on P70S6K/PKA, but lower activity towards ROCK1/2. Phase 2.

Size 5 mg 25 mg 10 mM/1 mL

S1117 Triciribine

Triciribine is a DNA synthesis inhibitor, and also inhibits Akt in PC3 cell line and HIV-1 in CEM-SS, H9, H9IIIB, U1 cells with IC_{50} of 130 nM and 20 nM, respectively. Triciribine does not inhibit PI3K/PDK1 and has 5000-fold less activity in cells lacking adenosine kinase. Phase 1/2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S2635 CCT128930

Akt1 selective

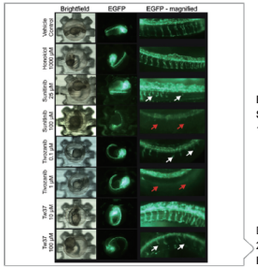
CCT128930 is a potent, ATP-competitive and selective inhibitor of Akt2 with IC_{50} of 6 nM, 28-fold greater selectivity for Akt2 than for the closely related PKA kinase.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S2310 Honokiol

Honokiol is the active principle of magnolia extract that inhibits Akt-phosphorylation and promotes ERK1/2 phosphorylation. Phase 3.

Size 10 mg 25 mg 50 mg 10 mM/1 mL



Product Citation (1):
Sensors and Actuators B, 2013, 189: 11-20

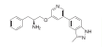
Data from [**Sensors and Actuators B,** 2013, 189: 11-20]
Honokiol purchased from **Selleck**

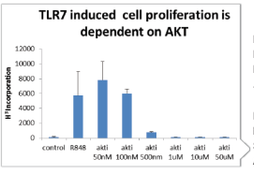
S2670 A-674563

Akt1 selective

A-674563 is an Akt1 inhibitor with K_i of 11 nM in cell-free assays, modest potent to PKA and >30-fold selective for Akt1 over PKC.

Size 2 mg 5 mg 10 mg 10 mM/1 mL





Product Citations (3):
Eur J Pharmacol, 2015, 764: 208-214
Microvasc Res, 2015, 101: 72-81
...

Data independently produced by Lee lay hoon from National University of Singapore
A-674563 purchased from **Selleck**

S7863 SC79

SC79 is a brain-penetrable Akt phosphorylation activator and an inhibitor towards Akt-PH domain translocation.

Size 10 mg 50 mg 200 mg

S7521 Afuresertib (GSK2110183)

Afuresertib (GSK2110183) is a potent, orally bioavailable Akt inhibitor with K_i of 0.08 nM, 2 nM, and 2.6 nM for Akt1, Akt2, and Akt3, respectively. Phase 2.

Size 5 mg 25 mg 100 mg

S7563 AT13148

AT13148 is an oral, ATP-competitive and multi-AGC kinase inhibitor with IC_{50} of 38 nM/402 nM/50 nM, 8 nM, 3 nM, and 6 nM/4 nM for Akt1/2/3, p70S6K, PKA, and ROCKI/II, respectively. Phase 1.

Size 5 mg 25 mg 100 mg

S7492 Uprosertib (GSK2141795) *new*

Uprosertib (GSK2141795) is a selective, ATP-competitive, and orally bioavailable Akt inhibitor with IC_{50} of 180 nM, 328 nM, and 38 nM for Akt 1, 2 and 3, respectively. Phase 2.

Size 5 mg 25 mg 100 mg

GSK-3 Inhibitors

Inhibitory Selectivity

Inhibitor Name	GSK-3	GSK-3α	GSK-3β	Other
CHIR-99021 HCl		+++ IC_{50}: 10 nM	++++ IC_{50}: 6.7 nM	
SB216763		++ IC_{50}: 34.3 nM	++ IC_{50}: ~34.3 nM	
CHIR-98014		++++ IC_{50}: 0.65 nM	++++ IC_{50}: 0.58 nM	
TWS119			++ IC_{50}: 30 nM	
Tideglusib			+ IC_{50}: 60 nM	
SB415286		+ IC_{50}: 78 nM	+ IC_{50}: ~78 nM	
BIO	++++ IC_{50}: 5 nM			TYK2,CDK5/p35,CDK2/CyclinA
CHIR-99021		+++ IC_{50}: 10 nM	++++ IC_{50}: 6.7 nM	
AZD2858	+ IC_{50}: 68 nM			
AZD1080		+++ IC_{50}: 6.9 nM	++ IC_{50}: 31 nM	
AR-A014418			++ K_i: 38 nM	
TDZD-8			+ IC_{50}: 2 μM	
LY2090314		++++ IC_{50}: 1.5 nM	++++ IC_{50}: 0.9 nM	
BIO-acetoxime		+++ IC_{50}: 10 nM	+++ IC_{50}: 10 nM	
IM-12			++ IC_{50}: 53 nM	
Indirubin			+ IC_{50}: 0.6 μM	CDK2/CyclinA,CDK5/p35,CDK1/CyclinB
Bikinin	√			
1-Azakenpaullone			√	

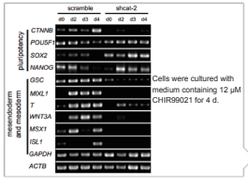
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2924 CHIR-99021 (CT99021) HCl

CHIR-99021 HCl (CT99021) is hydrochloride of CHIR-99021, which is a GSK-3α/β inhibitor with IC_{50} of 10 nM/6.7 nM; CHIR-99021 shows greater than 500-fold selectivity for GSK-3 versus its closest homologs Cdc2 and ERK2.

Size 2 mg 5 mg 25 mg 10 mM/1 mL



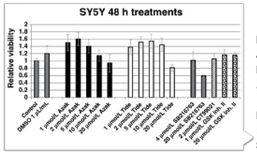
Product Citations (66):
Nature, 2015, 10.1038/nature14413
Nature, 2013, 500(7461): 222-6
...

Data from [**Proc Natl Acad Sci USA,** 2012, 109(27): E1848-57]
CHIR-99021 HCl purchased from **Selleck**

S1075 SB216763

SB216763 is a potent and selective GSK-3 inhibitor with IC_{50} of 34.3 nM for GSK-3α and equally effective on inhibiting human GSK-3β.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (7):
J Biol Chem, 2016, 291(28): 14761-72
Breast Cancer Res, 2014, 16(4): 408
...

Data from [**Mol Cancer Ther,** 2014, 13(2): 454-67]
SB216763 purchased from **Selleck**

S7435 AR-A014418 (GSK-3β Inhibitor VIII) *GSK-3β selective*

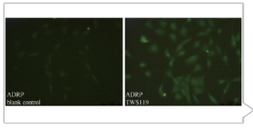
AR-A014418 is an ATP-competitive, and selective GSK3β inhibitor with IC_{50} and K_i of 104 nM and 38 nM in cell-free assays, without significant inhibition for 26 other kinases tested.

Size 10 mg 50 mg

S1590 TWS119 *GSK-3β selective*

TWS119 is a GSK-3β inhibitor with IC_{50} of 30 nM in a cell-free assay; capable of inducing neuronal differentiation and maybe useful to stem cell biology.

Size 10 mg 25 mg 50 mg 10 mM/1 mL



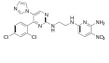
Product Citations (5):
Mol Neurobiol. 2016, 53(10): 7028-7036.
Cancer Immunol Res. 2014, 2(9): 839-45
...

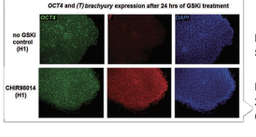
Data from [**Int J Biochem Cell Biol,** 2013, 45(9): 2066-75]
TWS119 purchased from **Selleck**

S2745 CHIR-98014

CHIR-98014 is a potent GSK-3α/β inhibitor with IC_{50} of 0.65 nM/0.58 nM in cell-free assays, with the ability to distinguish GSK-3 from its closest homologs Cdc2 and ERK2.

Size 5 mg 25 mg 100 mg





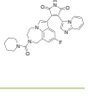
Product Citation (1):
Stem Cells Dev, 2013, 22(13): 1893-906

Data from [**Stem Cells Dev,** 2013, 22(13): 1893-906]
CHIR-98014 purchased from **Selleck**

S7063 LY2090314

LY2090314 is a potent GSK-3 inhibitor for GSK-3α/β with IC_{50} of 1.5 nM/0.9 nM; may improve the efficacy of platinum-based chemotherapy regimens. LY2090314 is highly selective towards GSK3 as demonstrated by its fold selectivity relative to a large panel of kinases.

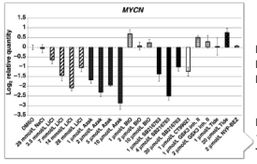
Size 5 mg 25 mg 100 mg



S2823 Tideglusib (NP031112, NP-12) *GSK-3β selective*

Tideglusib is an irreversible, non ATP-competitive GSK-3β inhibitor with IC_{50} of 60 nM in a cell-free assay; fails to inhibit kinases with a Cys homologous to Cys-199 located in the active site. Phase 2.

Size 50 mg 200 mg 1 g



Product Citations (2):
PLoS One, 2015, 9(7): e100947
Mol Cancer Ther, 2014, 13(2): 454-67

Data from [**Mol Cancer Ther,** 2014, 13(2): 454-67]
Tideglusib purchased from **Selleck**

S7198 BIO (GSK-3 Inhibitor IX, 6-bromoindirubin-3-oxime)

BIO is a specific inhibitor of GSK-3 with IC_{50} of 5 nM for GSK-3α/β in a cell-free assay, showing >16-fold selectivity over CDK5; also a pan-JAK inhibitor.

Size 10 mg 50 mg

S2729 SB415286

SB415286 is a potent GSK3α inhibitor with IC_{50}/K_i of 78 nM/31 nM with equally effective inhibition for GSK-3β.

Size 10 mg 50 mg 10 mM/1 mL

S1263 CHIR-99021 (CT99021)

CHIR-99021 (CT99021) is a GSK-3α and GSK-3β inhibitor with IC_{50} of 10 nM and 6.7 nM, respectively. CHIR99201 does not exhibit cross-reactivity against cyclin-dependent kinases (CDKs) and shows a 350-fold selectivity toward GSK-3β compared to CDKs.

Size 2 mg 5 mg 25 mg 100 mg

S7566 IM-12

IM-12 is a selective GSK-3β inhibitor with IC_{50} of 53 nM, and also enhances canonical Wnt signalling.

Size 10 mg 50 mg 200 mg

ATM/ATR Inhibitors | Activator

Inhibitory Selectivity

Inhibitor Name	ATM	ATR	Other
Dactolisib		+++ IC_{50}: 21 nM	p110α,p110γ,mTOR (p70S6K)
KU-55933	++++ IC_{50}: 12.9 nM		
KU-60019	++++ IC_{50}: 6.3 nM		
VE-821		+++ K_i: 13 nM	
Wortmannin	++ IC_{50}: 150 nM		PI3K,DNA-PK,MLCK
Torin 2	+++ EC_{50}: 28 nM	++ EC_{50}: 35 nM	mTOR,DNA-PK
CP-466722	++ IC_{50}: 410 nM		
VE-822	+ IC_{50}: 34 μM	+++ IC_{50}: 19 nM	
ETP-46464	+ IC_{50}: 545 nM	+++ IC_{50}: 14 nM	mTOR,DNA-PK,PI3Kα
CGK 733	++ IC_{50}: 200 nM	++ IC_{50}: 200 nM	
AZ20		++++ IC_{50}: 5 nM	mTOR
AZD6738		++++ IC_{50}: 1 nM	
Schisandrin B		+ IC_{50}: 7.25 μM	

Notes:

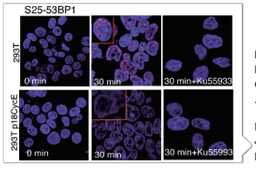
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

ATM/ATR Inhibitors

S1092 KU-55933 (ATM Kinase Inhibitor)

KU-55933 (ATM Kinase Inhibitor) is a potent and specific ATM inhibitor with IC_{50}/K_i of 12.9 nM/2.2 nM in cell-free assays, and is highly selective for ATM as compared to DNA-PK, PI3K/PI4K, ATR and mTOR.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



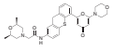
Product Citations (31):
Nature, 2015, 10.1038/nature14328
Cancer Discov, 2012, 2(11): 1048-63
...

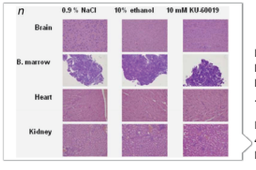
Data from [**Nucleic Acids Res,** 2013, 41(22): 10157-69]
KU-55933 purchased from **Selleck**

S1570 KU-60019

KU-60019 is an improved analogue of KU-55933, with IC_{50} of 6.3 nM for ATM in cell-free assays; 270- and 1600-fold more selective for ATM than for DNA-PK and ATR. It is a highly effective radiosensitizer.

Size 10 mg 10 mM/1 mL





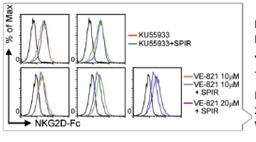
Product Citations (8):
Int J Cancer, 2015, 136(6): 1445-57
Int J Cancer, 2013, 135(2): 479-91
...

Data from [**Int J Cancer,** 2014, 135(2): 479-91]
KU-60019 purchased from **Selleck**

S8007 VE-821

VE-821 is a potent and selective ATP competitive inhibitor of ATR with K_i/IC_{50} of 13 nM/26 nM in cell-free assays, shows inhibition of H2AX phosphorylation, minimal activity against PIKKs ATM, DNA-PK, mTOR and PI3Kγ.

Size 10 mg 50 mg



Product Citations (12):
Nature, 2015, 518(7538): 254-7
J Exp Med, 2013, 210(12): 2675-92
...

Data from [**J Exp Med,** 2013, 210(12): 2675-92]
VE-821 purchased from **Selleck**

S7102 VE-822

VE-822 is an ATR inhibitor with IC_{50} of 19 nM in HT29 cells.

Size 10 mg 50 mg

S7050 AZ20

AZ20 is a novel potent and selective inhibitor of ATR kinase with IC_{50} of 5 nM in a cell-free assay; 8-fold selectivity over mTOR.

Size 5 mg 25 mg

S7693 AZD6738

AZD6738 is an orally active, and selective ATR kinase inhibitor with IC_{50} of 1 nM. Phase 1/2.

Size 5 mg 25 mg

ATM/ATR Activator

S4157 Chloroquine Phosphate

Chloroquine Phosphate is a 4-aminoquinoline anti-malarial and anti-rheumatoid agent, also acting as an ATM activator.

Size 50 mg

PDK-1 Inhibitors

Inhibitory Selectivity

Inhibitor Name	PDK-1	Other
OSU-03012	++ IC_{50}: 5 μM	
BX-795	++++ IC_{50}: 6 nM	TBK1/IKKε,c-Kit,CDK2/CyclinE
BX-912	+++ IC_{50}: 12 nM	PKA,KDR,CDK2/CyclinE
PHT-427	+ K_i: 5.2 μM	Akt

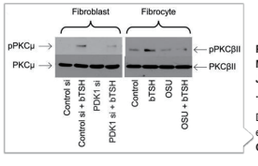
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1106 OSU-03012 (AR-12)

OSU-03012 (AR-12) is a potent inhibitor of recombinant PDK-1 with IC_{50} of 5 μM in a cell-free assay and 2-fold increasing in potency over OSU-02067.

Size 5 mg 25 mg 100 mg 10 mM/1 mL



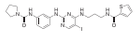
Product Citations (12):
Mol Cancer Ther, 2014, 13(10): 2384-98
J Biol Chem, 2014, jbc.M114.595728
...

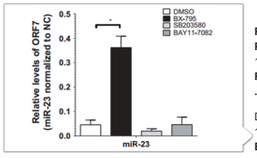
Data from [**PLoS One,** 2013, 8(9): e75100]
OSU-03012 purchased from **Selleck**

S1274 BX-795

BX-795 is a potent and specific PDK1 inhibitor with IC_{50} of 6 nM, 140- and 1600-fold more selective for PDK1 than PKA and PKC in cell-free assays, respectively. Meanwhile, in comparison to GSK3β more than 100-fold selectivity observed for PDK1.

Size 10 mg 50 mg 100 mg 10 mM/1 mL





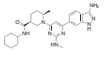
Product Citations (4):
Proc Natl Acad Sci USA, 2014, 111(49): 17438-43
FEBS J, 2014, 281(17): 3816-27
...

Data from [**Virology,** 2014, 450-451: 182-95]
BX-795 purchased from **Selleck**

S7087 GSK2334470

GSK2334470 is a novel PDK1 inhibitor with IC_{50} of ~10 nM in a cell-free assay, with no activity for other close related AGC-kinases.

Size 10 mg 50 mg



S7517 AZD7545

AZD7545 is a potent PDHK inhibitor with IC_{50} of 36.8 nM and 6.4 nM for PDHK1 and PDHK2, respectively. It failed to inhibit PDHK4 at higher concentrations(>10 nM), AZD7545 stimulates PDHK4 activity.

Size 5 mg 10 mg

S6 Kinase Inhibitors

Inhibitory Selectivity

Inhibitor Name	p70 S6K	p70 S6K1	RSK1	RSK2	RSK3	RSK4	Other
BI-D1870			++ IC_{50}: 31 nM	++ IC_{50}: 24 nM	++ IC_{50}: 18 nM	++ IC_{50}: 15 nM	
AT7867	+ IC_{50}: 85 nM						Akt2,PKA,Akt1
PF-4708671		+ IC_{50}: 160 nM					
LJI308			+++ IC_{50}: 6 nM	++++ IC_{50}: 4 nM	+++ IC_{50}: 13 nM		
LY2584702 Tosylate	++++ IC_{50}: 4 nM						
LY2584702	++++ IC_{50}: 4 nM						
AT13148	+++ IC_{50}: 8 nM		+ IC_{50}: 85 nM				PKA,ROCK2,ROCK1

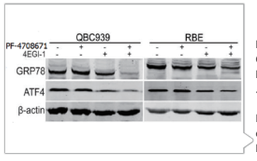
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S2163 PF-4708671 Licensed and Manufactured by Pfizer p70 S6K1 selective

PF-4708671 is a cell-permeable inhibitor of p70 ribosomal S6 kinase (S6K1 isoform) with K_i/IC_{50} of 20 nM/160 nM in cell-free assays; 400-fold greater selectivity for S6K1 than S6K2, and 4- and >20-fold selectivity for S6K1 than MSK1 and RSK1/2, respectively. First S6K1-specific inhibitor to be reported.

Size 10 mg 25 mg 10 mM/1 mL



Product Citations (5):
Oncotarget, 2014, 5(10): 3145-58
Mol Cancer Ther, 2015, 14(3): 799-809
...

Data from [**PLoS One,** 2014, 9(2): e90388]
PF-4708671 purchased from **Selleck**

S2843 BI-D1870

BI-D1870 is an ATP-competitive inhibitor of S6 ribosome for RSK1/2/3/4 with IC_{50} of 31 nM/24 nM/18 nM/15 nM in cell-free assays, respectively; 10- to 100-fold selectivity for RSK than MST2, GSK-3β, MARK3, CK1 and Aurora B.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S7704 LY2584702 Tosylate

LY2584702 Tosylate is a selective and ATP-competitive p70S6K inhibitor with IC_{50} of 4 nM. Phase 1.

Size 10 mg 50 mg

S7698 LY2584702

LY2584702 is a selective and ATP-competitive p70S6K inhibitor with IC_{50} of 4 nM. Phase 1.

Size 5 mg 25 mg 100 mg

AMPK Inhibitors | Activators

Inhibitory Selectivity

Inhibitor Name	AMPK
Dorsomorphin 2HCl	++ K_i: 109 nM
WZ4003	++++ IC_{50}: 20 nM
Dorsomorphin	++ K_i: 109 nM
HTH-01-015	+++ IC_{50}: 100 nM

Notes:

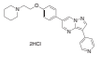
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

AMPK Inhibitors

S7306 Dorsomorphin 2HCl

Dorsomorphin 2HCl is a potent, reversible and selective AMPK inhibitor with K_i of 109 nM in cell-free assays, exhibiting no significant inhibition for several structurally related kinases including ZAPK, SYK, PKCθ, PKA, and JAK3. Dorsomorphin 2HCl also inhibits type I BMP receptor activity.

Size 10 mg 50 mg



S7317 WZ4003

WZ4003 is a highly specific NUAK kinase inhibitor with IC_{50} of 20 nM and 100 nM for NUAK1 and NUAK2 in cell-base assays, respectively, without significant inhibition on 139 other kinases.

Size 5 mg 50 mg

S7840 Dorsomorphin

Dorsomorphin is a potent, reversible and selective AMPK inhibitor with K_i of 109 nM in cell-free assays, exhibiting no significant inhibition for several structurally related kinases including ZAPK, SYK, PKCθ, PKA, and JAK3. Dorsomorphin also inhibits type I BMP receptor activity.

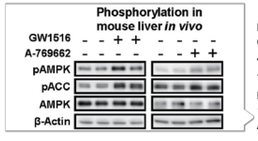
Size 5 mg 25 mg 100 mg

AMPK Activators

S2697 A-769662

A-769662 is a potent, reversible AMPK activator with EC_{50} of 0.8 μM, little effect on GPPase/FBPase activity.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (4):
Cancer Res, 2013, 74(1): 298-308
J Lipid Res, 2014, 55(7): 1254-66
...

Data from [**J Lipid Res,** 2014, 55(7): 1254-66]
A-769662 purchased from **Selleck**

S1802 AICAR (Acadesine)

AICAR (Acadesine), an AMPK activator, results in accumulation of ZMP, which mimics the stimulating effect of AMP on AMPK and AMPK kinase. Phase 3.

Size 50 mg 200 mg

S2542 Phenformin HCl

Phenformin HCl is a hydrochloride salt of phenformin that is an anti-diabetic drug from the biguanide class. It activates AMPK, increasing activity and phosphorylation.

Size 50 mg 10 mM/1 mL

S7898 GSK621 new

GSK621 is a specific and potent AMPK activator.

Size 5 mg 25 mg

DNA-PK Inhibitors

Inhibitory Selectivity

Inhibitor Name	DNA-PK	Other
PI-103	++ IC_{50}: 23 nM	p110α,p110δ,p110β
NU7441	+++ IC_{50}: 14 nM	
PIK-75	++++ IC_{50}: 2 nM	p110α,p110γ,p110δ
NU7026	+ IC_{50}: 0.23 μM	PI3K
PP121	+ IC_{50}: 60 nM	PDGFR,Hck,VEGFR
KU-0060648	++++ IC_{50}: 8.6 nM	PI3Kδ,PI3Kβ,PI3Kα

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S2638 NU7441 (KU-57788)

NU7441 (KU-57788) is a highly potent and selective DNA-PK inhibitor with IC_{50} of 14 nM and also inhibits PI3K with IC_{50} of 5 μM in cell-free assays.

Size 5 mg 10 mg 50 mg 200 mg

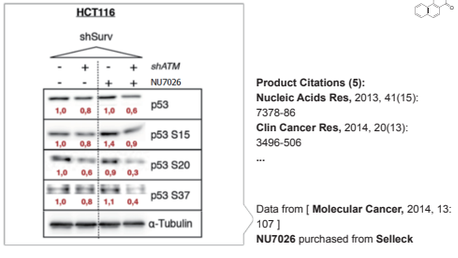
Product Citations (14):
Genes Dev, 2014, 28(8): 875-87
Nucleic Acids Res, 2014, 42(12): 7776
...

Data from [**Nucleic Acids Res,** 2013, 41(22): 10157-69]
NU7441 purchased from **Selleck**

S2893 NU7026 (LY293646)

NU7026 is a potent DNA-PK inhibitor with IC_{50} of 0.23 μM in cell-free assays; 60-fold selective for DNA-PK than PI3K and inactive against both ATM and ATR.

Size 10 mg 50 mg



Product Citations (5):
Nucleic Acids Res, 2013, 41(15): 7378-86
Clin Cancer Res, 2014, 20(13): 3496-506
...

Data from [**Molecular Cancer,** 2014, 13: 107]
NU7026 purchased from **Selleck**

S1038 PI-103

PI-103 is a multi-targeted PI3K inhibitor for p110α/β/δ/γ with IC_{50} of 2 nM/3 nM/3 nM/15 nM in cell-free assays, less potent to mTOR/DNA-PK with IC_{50} of 30 nM/23 nM.

Page 7

S1205 PIK-75

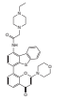
PIK-75 is a p110α inhibitor with IC_{50} of 5.8 nM (200-fold more potently than p110β), isoform-specific mutants at Ser773, and also potently inhibits DNA-PK with IC_{50} of 2 nM in cell-free assays.

Page 8

S8045 KU-0060648

KU-0060648 is a dual inhibitor of DNA-PK and PI3Kα, PI3Kβ, PI3Kδ with IC_{50} of 8.6 nM and 4 nM, 0.5 nM, 0.1 nM respectively; less inhibition on PI3Kγ with IC_{50} of 0.59 μM.

Size 2 mg 25 mg



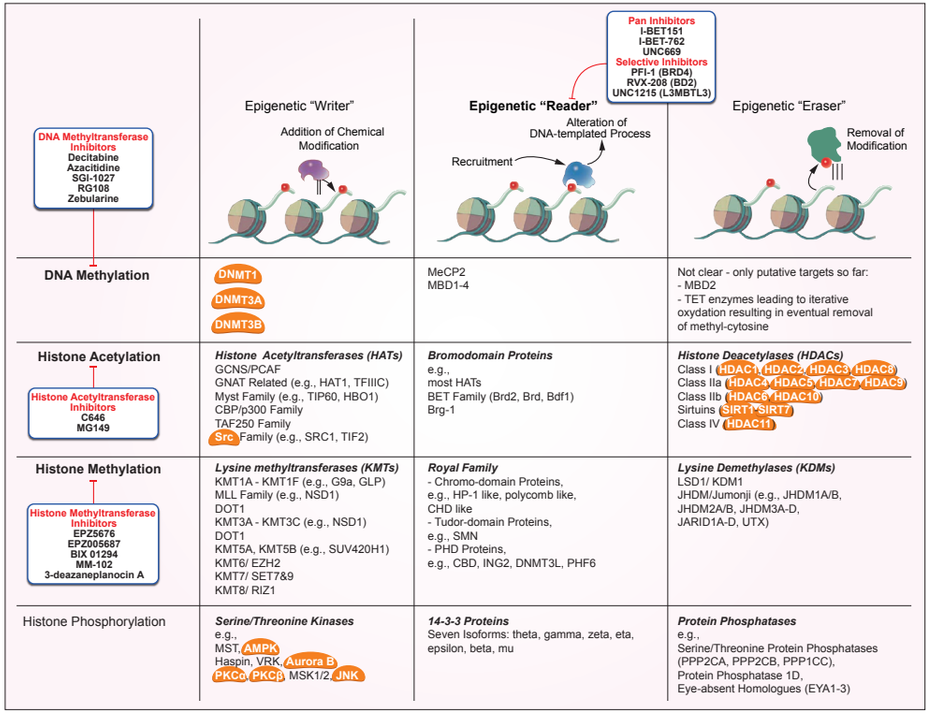
MELK Inhibitor

S7159 OTSSP167

OTSSP167 is a highly potent MELK (maternal embryonic leucine zipper kinase) inhibitor with IC_{50} of 0.41 nM.

Size 5 mg

Epigenetics



HDAC Inhibitors

Inhibitory Selectivity

Inhibitor Name	HDAC	HDAC1	HDAC2	HDAC3	HDAC4	HDAC5	HDAC6	HDAC7	HDAC8	HDAC9	HDAC10	HDAC11	HD1	HD2
Vorinostat	++++ IC_{50}: ~10 nM													
Entinostat		++ IC_{50}: 0.51 μM		+ IC_{50}: 1.7 μM										
Panobinostat	++++ IC_{50}: 5~20 nM													
Trichostatin A	++++ IC_{50}: ~1.8 nM													
Mocetinostat		++ IC_{50}: 0.15 μM	++ IC_{50}: 0.29 μM	+ IC_{50}: 1.66 μM								+ IC_{50}: 0.59 μM		
Belinostat	+++ IC_{50}: 27 nM													
Romidepsin		+++ IC_{50}: 36 nM	+++ IC_{50}: 47 nM											
MC1568													++ IC_{50}: 100 nM~3.4 μM	
Tubastatin A HCl							+++ IC_{50}: 15 nM		+ IC_{50}: 854 nM					
Givinostat													++++ IC_{50}: 7.5~16 nM	++++ IC_{50}: 10 nM
Dacinostat	+++ IC_{50}: 32 nM													
CUDC-101	++++ IC_{50}: 4.4 nM	++++ IC_{50}: 4.5 nM	+++ IC_{50}: 12.6 nM	++++ IC_{50}: 9.1 nM	+++ IC_{50}: 13.2 nM	+++ IC_{50}: 11.4 nM	++++ IC_{50}: 5.1 nM	++ IC_{50}: 373 nM	++ IC_{50}: 79.8 nM	++ IC_{50}: 67.2 nM	+++ IC_{50}: 26.1 nM			
Quisinostat 2HCl		++++ IC_{50}: 0.11 nM	++++ IC_{50}: 0.33 nM	++++ IC_{50}: 4.86 nM	++++ IC_{50}: 0.64 nM	++++ IC_{50}: 3.69 nM	++ IC_{50}: 76.8 nM	++ IC_{50}: 119 nM	++++ IC_{50}: 4.26 nM	+++ IC_{50}: 32.1 nM	++++ IC_{50}: 0.46 nM	++++ IC_{50}: 0.37 nM		
Pracinostat		+++ IC_{50}: 49 nM	++ IC_{50}: 96 nM	+++ IC_{50}: 43 nM	+++ IC_{50}: 56 nM	+++ IC_{50}: 47 nM	+ IC_{50}: 1.008 μM	++ IC_{50}: 137 nM	++ IC_{50}: 140 nM	++ IC_{50}: 70 nM	+++ IC_{50}: 40 nM	++ IC_{50}: 93 nM		

Inhibitory Selectivity

Inhibitor Name	HDAC	HDAC1	HDAC2	HDAC3	HDAC4	HDAC5	HDAC6	HDAC7	HDAC8	HDAC9	HDAC10	HDAC11	HD1	HD2
PCI-34051		+ IC50: 4 μM					+ IC50: 2.9 μM		++++ IC50: 10 nM		+ IC50: 13 μM			
Droxinostat				+ IC50: 16.9 μM			+ IC50: 2.47 μM		+ IC50: 1.46 μM					
Abexinostat		++++ Ki: 7 nM	+++ Ki: 19 nM	++++ Ki: 8.2 nM			+++ Ki: 17 nM		++ IC50: 280 nM		+++ IC50: 24 nM			
RGFP966				++ IC50: 80 nM										
AR-42	+++ IC50: 30 nM													
Ricolinostat		++ IC50: 58 nM	+++ IC50: 48 nM	+++ IC50: 51 nM	+ IC50: 7 μM	+ IC50: 5 μM	++++ IC50: 4.7 nM	+ IC50: 1.4 μM	++ IC50: 100 nM					
Tacedinaline		+ IC50: 0.9 μM	+ IC50: 0.9 μM	+ IC50: 1.2 μM										
CUDC-907		++++ IC50: 1.7 nM	++++ IC50: 5.0 nM	++++ IC50: 1.8 nM	++ IC50: 409 nM	+ IC50: 674 nM	+++ IC50: 27 nM	++ IC50: 426 nM	++ IC50: 191 nM	++ IC50: 554 nM	++++ IC50: 2.8 nM	++++ IC50: 5.4 nM		
M344	++ IC50: 100 nM													
Tubacin							++++ IC50: 4 nM							
RG2833		+++ Ki: 32 nM		++++ Ki: 5 nM										
Resminostat		+++ IC50: 42.5 nM		+++ IC50: 50.1 nM			++ IC50: 71.8 nM							
Tubastatin A							+++ IC50: 15 nM							
Citarinostat		+++ IC50: 35 nM	+++ IC50: 45 nM	+++ IC50: 46 nM			++++ IC50: 2.6 nM		++ IC50: 137 nM					
BRD73954			+ IC50: 9 μM				+++ IC50: 36 nM		++ IC50: 120 nM					
BG45		+ IC50: 2 μM	+ IC50: 2.2 μM	++ IC50: 289 nM										
4SC-202		+ IC50: 1.20 μM	+ IC50: 1.12 μM	+ IC50: 0.57 μM										
CAY10603		++ IC50: 271 nM					++++ IC50: 2 pM							
LMK-235					+++ IC50: 11.9 nM	++++ IC50: 4.2 nM								
Nexturastat A							++++ IC50: 5 nM							
TMP269					++ IC50: 157 nM	++ IC50: 97 nM		+++ IC50: 43 nM		+++ IC50: 23 nM				
HPOB		+ IC50: 2.9 μM	+ IC50: 4.4 μM	+ IC50: 1.7 μM			++ IC50: 56 nM		+ IC50: 2.8 μM		+ IC50: 3.0 μM			
Valproic acid sodium salt	√													
Scriptaid	√													
Sodium Phenylbutyrate	√													
Tasquinimod					√									

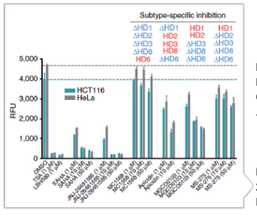
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1030 Panobinostat (LBH589, NVP-LBH589)

Panobinostat (LBH589) is a novel broad-spectrum HDAC inhibitor with IC_{50} of 5 nM in a cell-free assay. Phase 3.

Size 10 mg 50 mg 200 mg



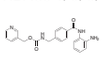
Product Citations (44):
Nat Biotechnol, 2011, 29(3): 255-65
Cell, 2014, 159(5): 1110-25
...

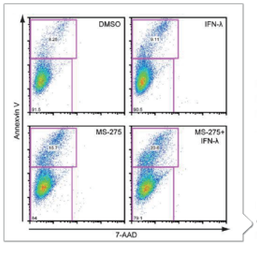
Data from [**Nat Commun,** 2013, 4: 2735]
LBH589 purchased from **Selleck**

S1053 Entinostat (MS-275)

Entinostat (MS-275) strongly inhibits HDAC1 and HDAC3 with IC_{50} of 0.51 μM and 1.7 μM in cell-free assays, compared with HDACs 4, 6, 8, and 10. Phase 3.

Size 10 mg 50 mg 200 mg 10 mM/1 mL





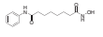
Product Citations (57):
Nat Biotechnol, 2015, 10.1038/nbt.3130
Nat Biotechnol, 2011, 29(3): 255-65
...

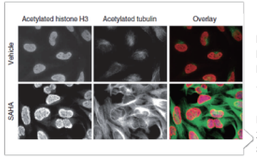
Data from [**PLoS Biol,** 2014, 12(1): e1001758]
MS-275 purchased from **Selleck**

S1047 Vorinostat (SAHA, MK0683)

Vorinostat (suberoylanilide hydroxamic acid, SAHA) is an HDAC inhibitor with IC_{50} of ~10 nM in a cell-free assay.

Size 200 mg 500 mg 10 mM/1 mL





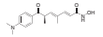
Product Citations (97):
Nat Biotechnol, 2015, 10.1038/nbt.3130
Nat Biotechnol, 2011, 29(3): 255-65
...

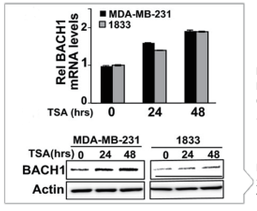
Data from [**Nat Biotechnol,** 2011, 29(3): 255-65]
SAHA purchased from **Selleck**

S1045 Trichostatin A (TSA)

Trichostatin A (TSA) is an HDAC inhibitor with IC_{50} of ~1.8 nM in cell-free assays.

Size 2 mg 5 mg 10 mM/1 mL





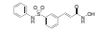
Product Citations (10):
Nat Biotechnol, 2015, 10.1038/nbt.3130
Cancer Cell, 2014, 26(4): 534-48
...

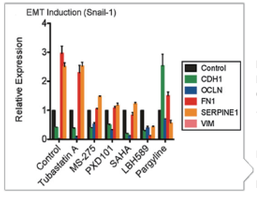
Data from [**Proc Natl Acad Sci USA,** 2014, 111(3): E364-73]
TSA purchased from **Selleck**

S1085 Belinostat (PXD101)

Belinostat (PXD101) is a novel HDAC inhibitor with IC_{50} of 27 nM in a cell-free assay, with activity demonstrated in cisplatin-resistant tumors.

Size 10 mg 100 mg 200 mg 10 mM/1 mL





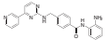
Product Citations (15):
Nat Biotechnol, 2011, 29(3): 255-65
Clin Cancer Res, 2014, 10.1158/1078
...

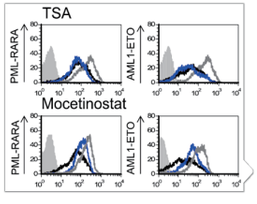
Data from [**Cell Rep,** 2013, 5(6): 1679-89]
PXD101 purchased from **Selleck**

S1122 Mocetinostat (MGCD0103, MG0103)

Mocetinostat (MGCD0103) is a potent HDAC inhibitor with most potency for HDAC1 with IC_{50} of 0.15 μM in a cell-free assay, 2- to 10-fold selectivity against HDAC2, 3, and 11, and no activity to HDAC4, 5, 6, 7, and 8. Phase 2.

Size 5 mg 25 mg 50 mg 10 mM/1 mL





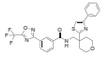
Product Citations (28):
Nat Biotechnol, 2015, 10.1038/nbt.3130
Nat Struct Mol Biol, 2013, 20(3): 317-25
...

Data from [**Blood,** 2014, 123(10): 1535-43]
Mocetinostat purchased from **Selleck**

S7324 TMP269

TMP269 is a potent, selective class IIa HDAC inhibitor with IC_{50} of 157 nM, 97 nM, 43 nM and 23 nM for HDAC4, HDAC5, HDAC7 and HDAC9, respectively.

Size 10 mg 50 mg



S7292 RG2833 (RGFP109)

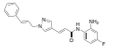
RG2833 (RGFP109) is a brain-penetrant HDAC inhibitor with IC_{50} of 60 nM and 50 nM for HDAC1 and HDAC3 in cell-free assays, respectively.

Size 10 mg 50 mg

S7229 RGFP966 *HDAC3 selective*

RGFP966 is an HDAC3 inhibitor with IC_{50} of 0.08 μM in cell-free assay, exhibiting > 200-fold selectivity over other HDAC.

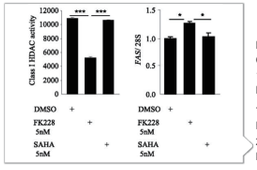
Size 10 mg 50 mg



S3020 Romidepsin (FK228, Depsipeptide)

Romidepsin (FK228, depsipeptide) is a potent HDAC1 and HDAC2 inhibitor with IC_{50} of 36 nM and 47 nM in cell-free assays, respectively.

Size 1 mg 5 mg 10 mg



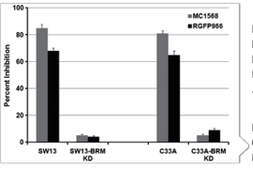
Product Citations (12):
Clin Cancer Res, 2014, 10.1158/1078-0432.CCR-14-0384
Diabetes, 2014, 63(9): 2924-34
...

Data from [**Diabetes,** 2014, 63(9): 2924-34]
FK228 purchased from **Selleck**

S1484 MC1568 *HD1 selective*

MC1568 is a selective HDAC inhibitor for maize HD1-A with IC_{50} of 100 nM in a cell-free assay. It is 34-fold more selective for HD1-A than for HD1-B.

Size 10 mg 25 mg 10 mM/1 mL



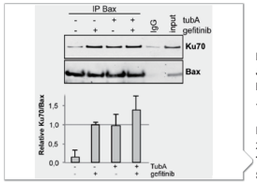
Product Citations (17):
Nat Commun, 2013, 4: 2735
Proc Natl Acad Sci USA, 2012, 109(34): E2284-93
...

Data from [**Oncogene,** 2014, 33(5): 653-64]
MC1568 purchased from **Selleck**

S2627 Tubastatin A HCl *HDAC6 selective*

Tubastatin A HCl is a potent and selective HDAC6 inhibitor with IC_{50} of 15 nM in a cell-free assay. It is selective (1000-fold more) against all other isozymes except HDAC8 (57-fold more).

Size 10 mg 100 mg 200 mg 10 mM/1 mL



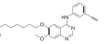
Product Citations (5):
J Med Chem, 2015, 58(2): 785-800
Int J Cancer, 2013, 134(11): 2560-71
...

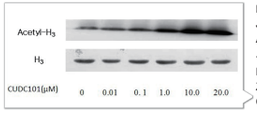
Data from [**Int J Cancer,** 2014, 134(11): 2560-71]
Tubastatin A HCl purchased from **Selleck**

S1194 CUDC-101

CUDC-101 is a potent multi-target inhibitor against HDAC, EGFR and HER2 with IC_{50} of 4.4 nM, 2.4 nM, and 15.7 nM, and inhibits class I/II HDACs, but not class III, Sir-type HDACs. Phase 1.

Size 10 mg 50 mg 10 mM/1 mL





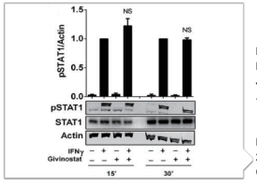
Product Citations (4):
J Chem Inf Model, 2014, 54(3): 881-93
ACS Med Chem Lett, 2013, 4(9): 858-62
...

Data independently produced by Dr. Zhang of Tianjin Medical University
CUDC-101 purchased from **Selleck**

S2170 Givinostat (ITF2357)

Givinostat (ITF2357) is a potent HDAC inhibitor for maize HD2, HD1B and HD1A with IC_{50} of 10 nM, 7.5 nM and 16 nM in cell-free assays. Phase 2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



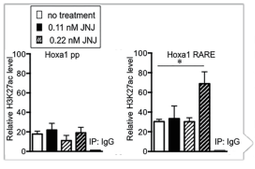
Product Citations (9):
PLoS Pathog, 2014, 10(4): e1004071
J Neurosci, 2013, 33(17): 7535-47
...

Data from [**J Interferon Cytokine Res,** 2014, 10.1089/jir.2014.0022]
Givinostat purchased from **Selleck**

S1096 Quisinostat (JNJ-26481585) 2HCl

Quisinostat (JNJ-26481585) 2HCl is a novel second-generation HDAC inhibitor with highest potency for HDAC1 with IC_{50} of 0.11 nM in a cell-free assay, modest potent to HDACs 2, 4, 10, and 11; greater than 30-fold selectivity against HDACs 3, 5, 8, and 9 and lowest potency to HDACs 6 and 7. Phase 2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



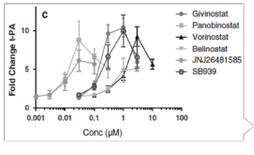
Product Citations (4):
Nat Commun, 2013, 4: 2735
J Biol Chem, 2014, 289(28): 19519-30
...

Data from [**J Biol Chem,** 2014, 289(28): 19519-30]
JNJ-26481585 purchased from **Selleck**

S1515 Pracinostat (SB939)

Pracinostat (SB939) is a potent pan-HDAC inhibitor with IC_{50} of 40-140 nM with exception for HDAC6. It has no activity against the class III isoenzyme SIRT I. Phase 2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



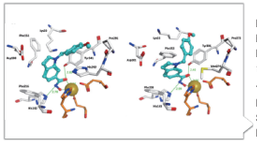
Product Citations (4):
PLoS Pathog, 2014, 10(4): e1004071
Antimicrob Agents Chemother, 2012, 56(7): 3849-56
...

Data from [**J Thromb Thrombolysis,** 2013, 35(2): 185-92]
SB939 purchased from **Selleck**

S2012 PCI-34051 *HDAC8 selective*

PCI-34051 is a potent and specific HDAC8 inhibitor with IC_{50} of 10 nM in a cell-free assay. It has greater than 200-fold selectivity over HDAC1 and 6, more than 1000-fold selectivity over HDAC2, 3, and 10.

Size 10 mg | 10 mM/1 mL



Product Citations (3):
Nat Biotechnol, 2015, 10.1038/nbt.3130
BMC Biol, 2014, 10.1186/s12915-014-0095-z
...

Data from [**J Mol Biol,** 2014, pii: S0022-2836(14)00131-4]
PCI-34051 purchased from **Selleck**

S8001 Rocilinostat (ACY-1215) *HDAC6 selective*

Rocilinostat (ACY-1215) is a selective HDAC6 inhibitor with IC_{50} of 5 nM in a cell-free assay. It is >10-fold more selective for HDAC6 than HDAC1/2/3 (class I HDACs) with slight activity against HDAC8, minimal activity against HDAC4/5/7/9/11, Sirtuin1, and Sirtuin2. Phase 2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL

S2244 AR-42 (HDAC-42)

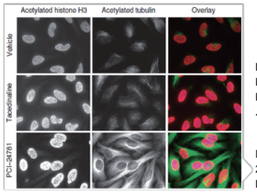
AR-42 is an HDAC inhibitor with IC_{50} of 30 nM. Phase 1.

Size 2 mg | 10 mg | 50 mg | 10 mM/1 mL

S1090 Abexinostat (PCI-24781)

Abexinostat (PCI-24781) is a novel pan-HDAC inhibitor mostly targeting HDAC1 with K_i of 7 nM, modest potent to HDACs 2, 3, 6, and 10 and greater than 40-fold selectivity against HDAC8. Phase 1/2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



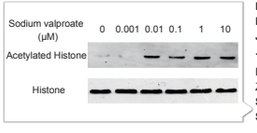
Product Citations (8):
Nat Biotechnol, 2015, 10.1038/nbt.3130
Nat Biotechnol, 2011, 29(3): 255-65
...

Data from [**Nat Biotechnol,** 2011, 29(3): 255-65]
PCI-24781 purchased from **Selleck**

S1168 Valproic acid sodium salt (Sodium valproate)

Valproic acid sodium salt (Sodium valproate) is a HDAC inhibitor by selectively inducing proteasomal degradation of HDAC2, used in the treatment of epilepsy, bipolar disorder and prevention of migraine headaches.

Size 200 mg | 10 mM/1 mL



Product Citations (4):
Nat Biotechnol, 2015, 10.1038/nbt.3130
J Neurosci, 2013, 33(17): 7535-47
...

Data independently produced by Dr. Zhang of Tianjin Medical University
Sodium valproate purchased from **Selleck**

S2818 Tacedinaline (CI994) *HDAC1 selective*

Tacedinaline (CI994) is an anti-cancer drug which inhibits HDAC1 with IC_{50} of 0.57 μM in a cell-free assay and causes G1 cell cycle arrest. Phase 3.

Size 10 mg | 50 mg | 10 mM/1 mL

S2759 CUDC-907

CUDC-907 is a dual PI3K and HDAC inhibitor for PI3Kα and HDAC1/2/3/10 with IC_{50} of 19 nM and 1.7 nM/5 nM/1.8 nM/2.8 nM, respectively. Phase 1.

Size 5 mg | 10 mg | 10 mM/1 mL

S2239 Tubacin *HDAC6 selective*

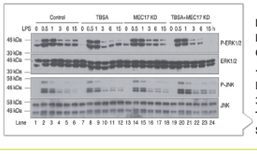
Tubacin is a highly potent and selective, reversible and cell-permeable HDAC6 inhibitor with an IC_{50} of 4 nM, approximately 350-fold selectivity over HDAC1.

Size 5 mg | 10 mg

S8049 Tubastatin A *HDAC6 selective*

Tubastatin A is a potent and selective HDAC6 inhibitor with IC_{50} of 15 nM. It is selective against all the other isozymes (1000-fold) except HDAC8 (57-fold).

Size 50 mg



Product Citations (6):
Nat Commun, 2014, 5: 3479
Cell Rep, 2013, 5(6): 1679-89
...

Data from [**Nat Commun,** 2014, 5: 3479]
Tubastatin A (TBSA) purchased from **Selleck**

S7617 Tasquinimod (ABR-215050) *HDAC4 selective*

Tasquinimod is an orally active antiangiogenic agent by allosterically inhibiting HDAC4 signalling. Phase 3.

Size 5 mg | 25 mg

S7569 LMK-235

LMK-235 is a selective inhibitor of HDAC4 and HDAC5 with IC_{50} of 11.9 nM and 4.2 nM, respectively.

Size 10 mg | 50 mg | 200 mg

S7596 CAY10603

CAY10603 is a potent and selective HDAC6 inhibitor with IC_{50} of 2 pM, >200-fold selectivity over other HDACs.

Size 5 mg | 25 mg | 100 mg

S1999 Sodium butyrate

Sodium butyrate, sodium salt of butyric acid, is a histone deacetylase inhibitor and competitively binds to the zinc sites of class I and II histone deacetylases (HDACs).

Size 1 g

S8464 Citarinostat (ACY-241) *new*

Citarinostat (ACY-241) is an orally available selective HDAC6 inhibitor with IC_{50} of 2.6 nM and 46 nM for HDAC6 and HDAC3, respectively. It has 13 to 18-fold selectivity towards HDAC6 in comparison to HDAC1-3.

Size 5 mg | 25 mg

PARP Inhibitors

Inhibitory Selectivity

Inhibitor Name	PARP	PARP1	PARP2	PARP3
Olaparib		+++ IC_{50}: 5 nM	++++ IC_{50}: 1 nM	
Veliparib		++ K_i: 5.2 nM	+++ K_i: 2.9 nM	
Rucaparib	++++ K_i: 1.4 nM			
Talazoparib	++++ IC_{50}: 0.58 nM			
AG-14361		+++ K_i: <5 nM		
INO-1001	++ IC_{50}: <50 nM			
A-966492		++++ K_i: 1 nM	++++ K_i: 1.5 nM	
PJ34	+++ EC_{50}: 20 nM			
PJ34 HCl	+++ EC_{50}: 20 nM			
Niraparib		+++ IC_{50}: 3.8 nM	++++ IC_{50}: 2.1 nM	
UPF 1069		+ IC_{50}: 8.0 μM	++ IC_{50}: 0.3 μM	
ME0328		+ IC_{50}: 6.3 μM		+ IC_{50}: 0.89 μM
NMS-P118		++ K_d: 0.009 μM		
Picolinamide	+ IC_{50}: 95 μM			
Benzamide	+ IC_{50}: 3.3 μM			
Niraparib tosylate		+++ IC_{50}: 3.8 nM	+++ IC_{50}: 2.1 nM	
NU1025	+ IC_{50}: 400 nM			
Iniparib		√		
AZD2461	√			
BGP-15	√			

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1004 Veliparib (ABT-888)

Veliparib (ABT-888) is a potent inhibitor of PARP1 and PARP2 with K_i of 5.2 nM and 2.9 nM in cell-free assays, respectively. It is inactive to SIRT2. Phase 3.

Size 10 mg | 50 mg | 10 mM/1 mL

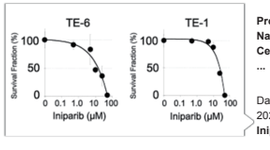
Product Citations (37):
Cell Metab, 2014, 19(6): 1034-41
J Cell Biol, 2014, 206(4): 493-507
...

Data from [**Nucleic Acids Res,** 2013, 41(7): 4080-92]
ABT-888 purchased from **Selleck**

S1087 Iniparib (BSI-201, NSC-746045, IND-71677) *PARP1 selective*

Iniparib (BSI-201) is a PARP1 inhibitor with demonstrated effectiveness in triple-negative breast cancer (TNBC). Phase 3.

Size 10 mg | 50 mg | 200 mg | 10 mM/1 mL



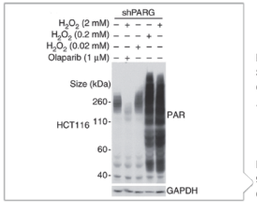
Product Citations (7):
Nat Methods, 2013, 10(10): 981-4
Cell Metab, 2014, 19(6): 1034-41
...

Data from [**Cancer Sci,** 2014, 105(2): 202-10]
Iniparib purchased from **Selleck**

S1060 Olaparib (AZD2281, Ku-0059436)

Olaparib (AZD2281, KU0059436) is a selective inhibitor of PARP1/2 with IC_{50} of 5 nM/1 nM in cell-free assays, 300-times less effective against tankyrase-1.

Size 10 mg | 25 mg | 100 mg | 10 mM/1 mL



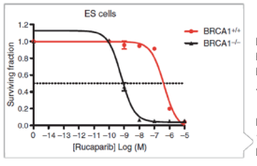
Product Citations (72):
Science, 2013, 339(6120): 700-4
Cell, 2011, 145(4): 529-42
...

Data from [**Nat Methods ,** 2013, 10(10): 981-4]
Olaparib purchased from **Selleck**

S1098 Rucaparib (AG-014699, PF-01367338)

Rucaparib (AG-014699, PF-01367338) is an inhibitor of PARP with K_i of 1.4 nM for PARP1 in a cell-free assay, and also shows binding affinity to eight other PARP domains. Phase 3.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



Product Citations (14):
Nature, 2015, 518(7538): 254-7
Nat Commun, 2014, 5: 3361
...

Data from [**Clin Cancer Res,** 2013, 19(18): 5003-15]
Rucaparib purchased from **Selleck**

S7048 Talazoparib (BMN 673)

Talazoparib (BMN 673) is a novel PARP inhibitor with IC_{50} of 0.58 nM in a cell-free assay. It is also a potent inhibitor of PARP-2, but does not inhibit PARG and is highly sensitive to PTEN mutation. Phase 3.

Size 10 mg | 50 mg

S7300 PJ34 HCl

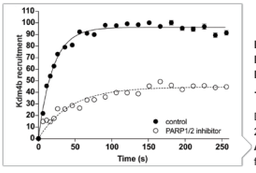
PJ34 HCl is the hydrochloride salt of PJ34, which is a PARP inhibitor with EC_{50} of 20 nM and is equally potent to PARP1/2.

Size 25 mg | 100 mg

S2178 AG-14361 *PARP1 selective*

AG-14361 is a potent inhibitor of PARP1 with K_i of <5 nM in a cell-free assay. It is at least 1000-fold more potent than the benzamides.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



Product Citations (5):
Nature, 2015, 519(7543): 370-3
Nat Methods, 2013, 10(10): 981-4
...

Data from [**J Biol Chem,** 2013, 288(29): 21376-88]
AG-14361 (PARP1/2 inhibitor) purchased from **Selleck**

S7625 Niraparib (MK-4827) tosylate *new*

Niraparib (MK-4827) tosylate is a selective inhibitor of PARP1/PARP2 with IC_{50} of 3.8 nM/2.1 nM.

Size 5 mg | 25 mg | 100 mg

S8363 NMS-P118 *new*

NMS-P118 is a potent, orally available, and highly selective PARP-1 inhibitor endowed with excellent ADME and pharmacokinetic profiles, showing 150-fold selectivity for PARP-1 over PARP-2 (K_d 0.009 μM vs 1.39 μM, respectively).

Size 5 mg | 25 mg

JAK Inhibitors

Inhibitory Selectivity

Inhibitor Name	JAK1	JAK2	JAK3	Tyk2	Other
Ruxolitinib	+++ IC_{50}: 3.3 nM	++++ IC_{50}: 2.8 nM			
Tofacitinib Citrate	++ IC_{50}: 112 nM	++ IC_{50}: 20 nM	++++ IC_{50}: 1 nM		ROCK2,LCK
AZD1480		++++ IC_{50}: 0.26 nM			
Fedratinib		++++ IC_{50}: 3 nM			FLT3,RET
AT9283		++++ IC_{50}: 1.2 nM	++++ IC_{50}: 1.1 nM	+++ IC_{50}: 1 nM-10 nM	Aurora B,Aurora A,Abl1
AG-490		+ IC_{50}: ~10 μM			EGFR,ErbB2
Momelotinib	+++ IC_{50}: 11 nM	+++ IC_{50}: 18 nM	+ IC_{50}: 155 nM		
Tofacitinib	++ IC_{50}: 112 nM	++ IC_{50}: 20 nM	++++ IC_{50}: 1 nM		ROCK2,LCK
WP1066		+ IC_{50}: 2.3 μM			STAT3
TG101209		+++ IC_{50}: 6 nM	+ IC_{50}: 169 nM		RET,FLT3
Gandotinib	++ IC_{50}: 19.8 nM	++++ IC_{50}: 2.52 nM	++ IC_{50}: 48.0 nM	++ IC_{50}: 44 nM	FLT3,FLT4,FGFR2
NVP-BSK805 2HCl	++ IC_{50}: 31.63 nM	++++ IC_{50}: ~0.5 nM	+++ IC_{50}: 18.68 nM	+++ IC_{50}: 10.76 nM	
Baricitinib	+++ IC_{50}: 5.9 nM	+++ IC_{50}: 5.7 nM		++ IC_{50}: 53 nM	
AZ 960		++++ IC_{50}: <3 nM			
CEP-33779		++++ IC_{50}: 1.8 nM			
Pacritinib	+ IC_{50}: 1.28 μM	++ IC_{50}: 19~23 nM	+ IC_{50}: 520 nM	++ IC_{50}: 50 nM	FLT3 (D835Y),FLT3
WHI-P154			+ IC_{50}: 1.8 μM		EGFR,Src,VEGFR

Inhibitory Selectivity

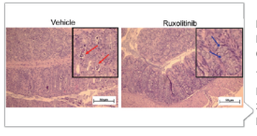
Inhibitor Name	JAK1	JAK2	JAK3	Tyk2	Other
XL019	+ IC_{50}: 134.3 nM	++++ IC_{50}: 2.2 nM	+ IC_{50}: 214.2 nM	+ IC_{50}: 348.3 nM	PDGFRβ,FLT3,c-Kit
S-Ruxolitinib	+++ IC_{50}: 3.3 nM	++++ IC_{50}: 2.8 nM	+ IC_{50}: 428 nM	++ IC_{50}: 19 nM	
ZM 39923 HCl	+ pIC_{50}: 4.4		++ pIC_{50}: 7.1		TGM2,EGFR
Decernotinib	+++ IC_{50}: 11 nM	+++ K_i: 13 nM	++++ K_i: 2.5 nM	+++ K_i: 13 nM	
Cerdulatinib	+++ IC_{50}: 12 nM	+++ IC_{50}: 6 nM	+++ IC_{50}: 8 nM	++++ IC_{50}: 0.5 nM	ARK5,MST1,Fms
Filgotinib	+++ IC_{50}: 10 nM	++ IC_{50}: 28 nM	+ IC_{50}: 810 nM	+ IC_{50}: 116 nM	
FLLL32		+ IC_{50}: <5 μM			
BMS-911543		++++ IC_{50}: 1.1 nM	++ IC_{50}: 75 nM	++ IC_{50}: 66 nM	SET-2
Peficitinib		√			
GLPG0634 analogue		√			
Go6976		√			FLT3,PKCα,PKCβ1
Curcumol		√			

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1378 Ruxolitinib (INCB018424)

Ruxolitinib (INCB018424) is the first potent, selective JAK1/2 inhibitor to enter the clinic with IC_{50} of 3.3 nM/2.8 nM in cell-free assays, >130-fold selectivity for JAK1/2 versus JAK3.

Size 5 mg | 25 mg | 100 mg | 10 mM/1 mL



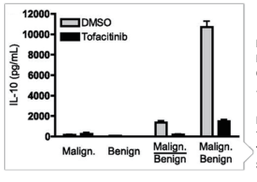
Product Citations (34):
Nat Med, 2015, 10.1038/nm.4013
Cancer Cell, 2015, 28(1): 29-41
...

Data from [**Blood,** 2014, 123(24): 3832-42]
Ruxolitinib purchased from **Selleck**

S5001 Tofacitinib (CP-690550) Citrate *Licensed by Pfizer* *JAK3 selective*

Tofacitinib (CP-690550) Citrate is a novel inhibitor of JAK3 with IC_{50} of 1 nM in cell-free assays, 20- to 100-fold less potent against JAK2 and JAK1.

Size 10 mg | 50 mg | 10 mM/1 mL



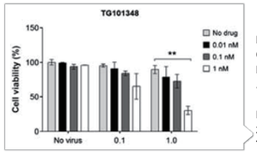
Product Citations (34):
Nat Cell Biol, 2015, 17(1): 57-67
Cancer Discov, 2012, 2(7): 591-7
...

Data from [**Blood,** 2014, 124(5): 761-70]
Tofacitinib Citrate purchased from **Selleck**

S2736 Fedratinib (SAR302503, TG101348) *JAK2 selective*

Fedratinib (SAR302503, TG101348) is a selective inhibitor of JAK2 with IC_{50} of 3 nM in cell-free assays, 35- and 334-fold more selective for JAK2 versus JAK1 and JAK3. Phase 2.

Size 5 mg | 25 mg | 50 mg | 10 mM/1 mL



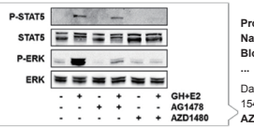
Product Citations (10):
Cell, 2015, 162(2): 441-51
Blood, 2014, 123(20): 3175-84
...

Data from [**Cancer Gene Ther,** 2013, 20(10): 582-9]
TG101348 purchased from **Selleck**

S2162 AZD1480 *JAK2 selective*

AZD1480 is a novel ATP-competitive JAK2 inhibitor with IC_{50} of 0.26 nM in a cell-free assay, selectivity against JAK3 and Tyk2, and to a smaller extent against JAK1. Phase 1.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



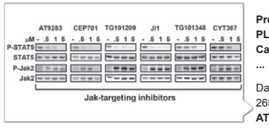
Product Citations (13):
Nat Cell Biol, 2015, 17(1): 57-67
Blood, 2014, 123(10): 1516-24
...

Data from [**Endocrinology,** 2013, 154(9): 3219-27]
AZD1480 purchased from **Selleck**

S1134 AT9283

AT9283 is a potent JAK2/3 inhibitor with IC_{50} of 1.2 nM/1.1 nM in cell-free assays; also potent to Aurora A/B, Abl(T315I). Phase 2.

Size 2 mg | 10 mg | 50 mg | 10 mM/1 mL



Product Citations (8):
PLoS One, 2014, 9(7): e102741
Cancer Res, 2013, 73(20): 6310-22
...

Data from [**J Cell Mol Med,** 2013, 17(2): 265-76]
AT9283 purchased from **Selleck**

S7119 Go6976

Go6976 is a potent PKC inhibitor with IC_{50} of 7.9 nM, 2.3 nM, and 6.2 nM for PKC (Rat brain), PKCα, and PKCβ1, respectively. Also a potent inhibitor of JAK2 and Flt3.

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S8057 Pacritinib (SB1518) *JAK2 selective*

Pacritinib (SB1518) is a potent and selective inhibitor of Janus Kinase 2 (JAK2) and Fms-Like Tyrosine Kinase-3 (FLT3) with IC_{50} of 23 and 22 nM in cell-free assays, respectively. Phase 3.

Size 5 mg

S1143 AG-490 (Tyrphostin B42) *JAK2 selective*

AG-490 (Tyrphostin B42) is an inhibitor of EGFR with IC_{50} of 0.1 μM in cell-free assays, 135-fold more selective for EGFR versus ErbB2, also inhibits JAK2 with no activity to Lck, Lyn, Btk, Syk and Src.

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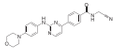
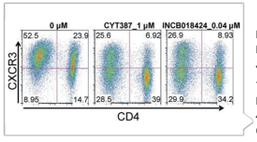
S2219 Momelotinib (CYT387, LM-1149)

Momelotinib (CYT387) is an ATP-competitive inhibitor of JAK1/JAK2 with IC_{50} of 11 nM/18 nM, ~10-fold selectivity versus JAK3. Phase 3.

Size 10 mg 50 mg 10 mM/1 mL



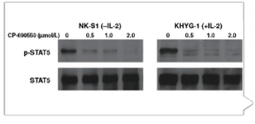


Product Citations (6):
Nat Cell Biol, 2015, 17(1): 57-67
J Clin Invest, 2014, 124(12): 5263-74
...
Data from [**Blood,** 2012, 120(19): 4093-103]
CYT387 purchased from **Selleck**

S2789 Tofacitinib (CP-690550, Tasocitinib) *Licensed by Pfizer* *JAK3 selective*

Tofacitinib (CP-690550, Tasocitinib) is a novel inhibitor of JAK3 with IC_{50} of 1 nM in cell-free assays, 20- to 100-fold less potent against JAK2 and JAK1.

Size 5 mg 50 mg 100 mg 10 mM/1 mL

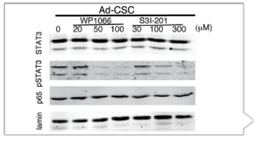


Product Citations (34):
Biochemistry, 2016, 10.1172/JCI81468
Mol Syst Biol, 2015, 11(3): 797
...
Data from [**Cancer Discov,** 2012, 2(7): 591-7]
CP-690550 purchased from **Selleck**

S2796 WP1066 *JAK2 selective*

WP1066 is a novel inhibitor of JAK2 and STAT3 with IC_{50} of 2.30 μM and 2.43 μM in HEL cells; shows activity to JAK2, STAT3, STAT5, and ERK1/2 not JAK1 and JAK3. Phase 1.

Size 10 mg 25 mg 10 mM/1 mL



Product Citations (6):
Exp Neurol, 2015, 271: 445-56
Int J Cancer, 2014, 135(2): 282-94
...
Data from [**J Biol Chem,** 2013, 288(36): 26167-76]
WP1066 purchased from **Selleck**

S2806 CEP-33779 *JAK2 selective*

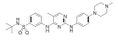
CEP-33779 is a selective JAK2 inhibitor with IC_{50} of 1.8 nM, >40- and >800-fold versus JAK1 and TYK2.

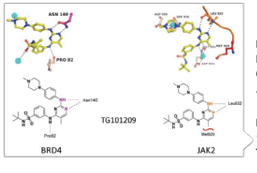
Size 5 mg 10 mg 10 mM/1 mL

S2692 TG101209 *JAK2 selective*

TG101209 is a selective JAK2 inhibitor with IC_{50} of 6 nM, less potent to Flt3 and RET with IC_{50} of 25 nM and 17 nM in cell-free assays, ~30-fold selective for JAK2 than JAK3, sensitive to JAK2V617F and MPLW515L/K mutations.

Size 5 mg 10 mg 50 mg 10 mM/1 mL





Product Citations (3):
Leukemia, 2014, 28(7): 1519-28
Cancer Lett, 2013, 341(2): 224-30
...
Data from [**ACS Chem Biol,** 2014, 9(5): 1160-71]
TG101209 purchased from **Selleck**

S2851 Baricitinib (LY3009104, INCB028050)

Baricitinib (LY3009104, INCB028050) is a selective JAK1 and JAK2 inhibitor with IC_{50} of 5.9 nM and 5.7 nM in cell-free assays, ~70 and ~10-fold selective versus JAK3 and Tyk2, no inhibition to c-Met and Chk2. Phase 3.

Size 5 mg 10 mg

S7605 Filgotinib (GLPG0643)

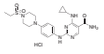
Filgotinib (GLPG0634) is a selective JAK1 inhibitor with IC_{50} of 10 nM, 28 nM, 810 nM, and 116 nM for JAK1, JAK2, JAK3, and TYK2, respectively. Phase 2.

Size 5 mg 25 mg 100 mg

S7634 Cerdulatinib (PRT062070, PRT2070)

Cerdulatinib (PRT-062070) is an oral active, multi-targeted tyrosine kinase inhibitor with IC_{50} of 12 nM/6 nM/8 nM/0.5 nM and 32 nM for JAK1/JAK2/JAK3/TYK2 and Syk, respectively. Also inhibits 19 other tested kinases with IC_{50} less than 200 nM.

Size 10 mg 50 mg 200 mg



Pim Inhibitors

Inhibitory Selectivity

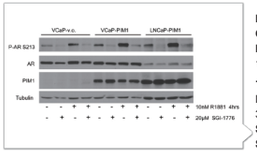
Inhibitor Name	Pim1	Pim2	Pim3	Other
SGI-1776 free base	++ IC_{50}: 7 nM	+ IC_{50}: 363 nM	+ IC_{50}: 69 nM	FLT3
SMI-4a	++ IC_{50}: 17 nM			
PIM447	++++ K_i: 6 pM	++++ K_i: 18 pM	++++ K_i: 9 pM	
CX-6258 HCl	+++ IC_{50}: 5 nM	+ IC_{50}: 25 nM	++ IC_{50}: 16 nM	

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S2198 SGI-1776 free base *Pim1 selective*

SGI-1776 free base is a novel ATP competitive inhibitor of Pim1 with IC_{50} of 7 nM in a cell-free assay, 50- and 10-fold selective versus Pim2 and Pim3, also potent to Flt3 and haspin. Phase 1.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (8):
Oncogene, 2013, 32(34): 3992-4000
Diabetologia, 2015, 10.1007/s00125-015-3670-0
...
Data from [**Oncogene,** 2012, 32(34): 3992-4000]
SGI-1776 free base purchased from **Selleck**

S8005 SMI-4a (TCS PIM-1 4a) *Pim1 selective*

SMI-4a is a potent inhibitor of Pim1 with IC_{50} of 17 nM, modestly potent to Pim-2, and does not significantly inhibit any other serine/threonine- or tyrosine-kinases.

Size 10 mg 50 mg 10 mM/1 mL

S7104 AZD1208

AZD1208 is a potent, and orally available Pim kinase inhibitor with IC_{50} of 0.4 nM, 5 nM, and 1.9 nM for Pim1, Pim2, and Pim3 in cell-free assays, respectively. Phase 1.

Size 10 mg 50 mg 200 mg

HIF Inhibitors

Inhibitory Selectivity

Inhibitor Name	HIF	HIF1	Other
KC7F2	+ IC_{50}: 20 μM	+ IC_{50}: 20 μM	
Roxadustat	√		
2-Methoxyestradiol	√		Microtubule Associated
PX-478 2HCl	√		
BAY 87-2243	√		

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1007 Roxadustat (FG-4592)

Roxadustat (FG-4592) is an HIF-α prolyl hydroxylase inhibitor in a cell-free assay, stabilizes HIF-2 and induces EPO production. Phase 3.

Size 10 mg 10 mM/1 mL

S1233 2-Methoxyestradiol (2-MeOE2)

2-Methoxyestradiol (2-MeOE2) depolymerizes microtubules and blocks HIF-1α nuclear accumulation and HIF-transcriptional activity. Phase 2.

Size 10 mg 50 mg 100 mg 10 mM/1 mL

S2919 IOX2

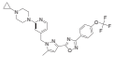
IOX2 is a potent inhibitor of HIF-1α prolyl hydroxylase-2 (PHD2) with IC_{50} of 21 nM in a cell-free assay, >100-fold selectivity over JMJD2A, JMJD2C, JMJD2E, JMJD3, or the 2OG oxygenase FIH.

Size 10 mg 50 mg 10 mM/1 mL

S7309 BAY 87-2243

BAY 87-2243 is a potent and selective hypoxia-inducible factor-1 (HIF-1) inhibitor. Phase 1.

Size 10 mg 50 mg



S7612 PX-478 2HCl

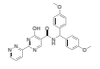
PX-478 2HCl is an orally active, and selective hypoxia-inducible factor-1α (HIF-1α) inhibitor. Phase 1.

Size 5 mg 25 mg 100 mg

S8443 MK-8617 *new*

MK-8617 is an orally active pan-inhibitor of Hypoxia-inducible factor prolyl hydroxylase 1−3 (HIF PHD1−3), inhibiting PHD1, 2, 3 with IC_{50}s of 1.0, 1.0 and 14 nM, respectively.

Size 5 mg 25 mg



Aurora Kinase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Aurora A	Aurora B	Aurora C	Other
Alisertib	++++ IC_{50}: 1.2 nM			
Tozasertib	++++ K_i app: 0.6 nM	++ K_i app: 18 nM	+++ K_i app: 4.6 nM	Bcr-Abl,FLT3
Barasertib		++++ IC_{50}: 0.37 nM		
ZM 447439	+ IC_{50}: 110 nM	+ IC_{50}: 130 nM		LCK,Src,MEK1
MLN8054	+++ IC_{50}: 4 nM	+ IC_{50}: 172 nM		LCK,PKA,CK2
Danusertib	+++ IC_{50}: 13 nM	++ IC_{50}: 79 nM	++ IC_{50}: 61 nM	Abl,TrkA,RET
AT9283	++++ IC_{50}: ~3.0 nM	++++ IC_{50}: ~3.0 nM		JAK3,JAK2,Abl1 (T315I)
JNJ-7706621	+++ IC_{50}: 11 nM	+++ IC_{50}: 15 nM		CDK2/CyclinE,CDK2/CyclinA,CDK1/CyclinB
Hesperadin		+ IC_{50}: 250 nM		TbAUK1
Aurora A Inhibitor I	++++ IC_{50}: 3.4 nM	+ IC_{50}: 3.4 μM	+ IC_{50}: 432 nM	
KW-2449	++ IC_{50}: 48 nM			FLT3 (D835Y),Abl (T315I),FLT3
SNS-314 Mesylate	+++ IC_{50}: 9 nM	++ IC_{50}: 31 nM	++++ IC_{50}: 3 nM	
ENMD-2076	+++ IC_{50}: 14 nM	+ IC_{50}: 350 nM		FLT3,RET,VEGFR3/FLT4
PHA-680632	++ IC_{50}: 27 nM	+ IC_{50}: 135 nM	+ IC_{50}: 120 nM	FGFR1,PLK1,FLT3
MK-5108	++++ IC_{50}: 0.064 nM			
CYC116	+++ K_i: 8 nM	+++ K_i: 9 nM		VEGFR2,FLT3,CDK2/CyclinE
AMG-900	+++ IC_{50}: 5 nM	++++ IC_{50}: 4 nM	++++ IC_{50}: 1 nM	p38α,TYK2,JNK2
PF-03814735	++++ IC_{50}: 0.8 nM	+++ IC_{50}: 5 nM		FLT1,FAK,TrkA
CCT129202	++ IC_{50}: 42 nM	+ IC_{50}: 198 nM	+ IC_{50}: 227 nM	
GSK1070916		++++ IC_{50}: 3.5 nM	+++ IC_{50}: 6.5 nM	FLT1,Tie-2,SIK
TAK-901	++ IC_{50}: 21 nM	++ IC_{50}: 15 nM		JAK3,c-Src,YES1

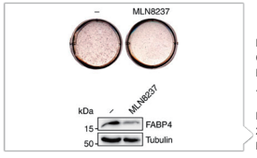
Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1133 Alisertib (MLN8237)

Aurora A selective

Alisertib (MLN8237) is a selective Aurora A inhibitor with IC_{50} of 1.2 nM in a cell-free assay. It has >200-fold higher selectivity for Aurora A than Aurora B. Phase 3.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



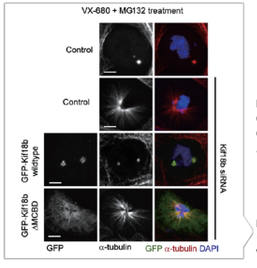
Product Citations (45):
Cell Stem Cell, 2012, 11(2): 179-94
Nat Cell Biol, 2015, 17(2): 113-22
...

Data from [**Nat Commun,** 2013, 4: 2656]
MLN8237 purchased from **Selleck**

S1048 Tozasertib (VX-680, MK-0457)

Tozasertib (VX-680, MK-0457) is a pan-Aurora inhibitor, mostly against Aurora A with K_{iapp} of 0.6 nM in a cell-free assay, less potent towards Aurora B/Aurora C and 100-fold more selective for Aurora A than 55 other kinases. Phase 2.

Size 25 mg | 100 mg | 250 mg | 10 mM/1 mL



Product Citations (31):
Cell, 2010, 142(3): 444-55
Cell Stem Cell, 2012, 11(2): 179-94
...

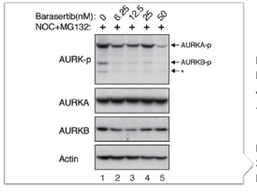
Data from [**Curr Biol,** 2011, 21(16): 1356-65]
VX-680 purchased from **Selleck**

S1147 Barasertib (AZD1152-HQPA)

Aurora B selective

Barasertib (AZD1152-HQPA) is a highly selective Aurora B inhibitor with IC_{50} of 0.37 nM in a cell-free assay, ~3700 fold more selective for Aurora B over Aurora A. Phase 1.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



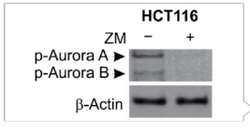
Product Citations (23):
Nat Cell Biol, 2014, 16(6): 550-60
J Exp Med, 2014, 211(12): 2439-54
...

Data from [**Oncogene,** 2014, 33(27): 3550-60]
Barasertib purchased from **Selleck**

S1103 ZM 447439

ZM 447439 is a selective and ATP-competitive inhibitor for Aurora A and Aurora B with IC_{50} of 110 nM and 130 nM, respectively. It is more than 8-fold selective for Aurora A/B than MEK1, Src, Lck and has little effect against CDK1/2/4, Plk1, Chk1, etc.

Size 5 mg | 25 mg | 50 mg | 10 mM/1 mL



Product Citations (11):
Cancer Res, 2013, 73(22): 6722-33
Oncogene, 2014, 33(27): 3550-60
...

Data from [**Mol Cancer Ther,** 2014, 13(5): 1298-308]
ZM 447439 purchased from **Selleck**

S1134 AT9283

AT9283 is a potent JAK2/3 inhibitor with IC_{50} of 1.2 nM/1.1 nM in cell-free assays; also potent to Aurora A/B, Abl(T315I). Phase 2.

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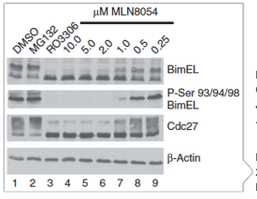
S1100 MLN8054

Aurora A selective

MLN8054 is a potent and selective inhibitor of Aurora A with IC_{50} of 4 nM in Sf9 insect cell. It is more than 40-fold selective for Aurora A than Aurora B. Phase 1.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



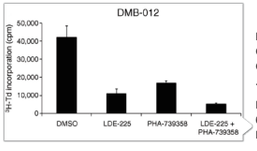
Product Citations (10):
Cancer Discov, 2014, 4(11): 1281-9
J Cell Biol, 2012, 198(4): 591-605
...

Data from [**Cell Death Differ,** 2013, 20(10): 1393-403]
MLN8054 purchased from **Selleck**

S1107 Danusertib (PHA-739358)

Danusertib (PHA-739358) is an Aurora kinase inhibitor for Aurora A/B/C with IC_{50} of 13 nM/79 nM/61 nM in cell-free assays, modestly potent to Abl, TrkA, c-RET and FGFR1, and less potent to Lck, VEGFR2/3, c-Kit, CDK2 etc. Phase 2.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



Product Citations (11):
Cancer Res, 2014, 74(20): 5878-90
Cancer Res, 2013, 73(20): 6310-22
...

Data from [**Cancer Res,** 2013, 73(20): 6310-22]
PHA-739358 purchased from **Selleck**

S1249 JNJ-7706621

JNJ-7706621 is pan-CDK inhibitor with the highest potency on CDK1/2 with IC_{50} of 9 nM/4 nM, showing >6-fold selectivity for CDK1/2 than CDK3/4/6 in cell-free assays. It also potently inhibits Aurora A/B and has no activity on Plk1 and Wee1.

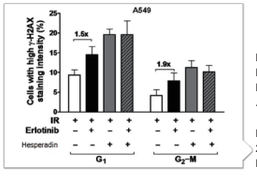
Page 80

S1529 Hesperadin

Aurora B selective

Hesperadin potently inhibits Aurora B with IC_{50} of 250 nM in a cell-free assay. It markedly reduces the activity of AMPK, Lck, MKK1, MAPKAP-K1, CHK1 and PHK while it does not inhibit MKK1 activity in vivo.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



Product Citations (11):
Nature, 2015, 522(7557): 492-6
Nat Cell Biol, 2014, 16(12): 1257-64
...

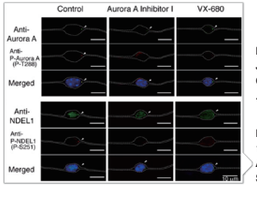
Data from [**Cancer Res,** 2014, 74(10): 2825-34]
Hesperadin purchased from **Selleck**

S1451 Aurora A Inhibitor I

Aurora A selective

Aurora A Inhibitor I is a novel, potent and selective inhibitor of Aurora A with IC_{50} of 3.4 nM in a cell-free assay. It is 1000-fold more selective for Aurora A than Aurora B.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



Product Citations (7):
J Neurosci, 2012, 32(32): 11050-66
Carcinogenesis, 2012, 33(2): 285-93
...

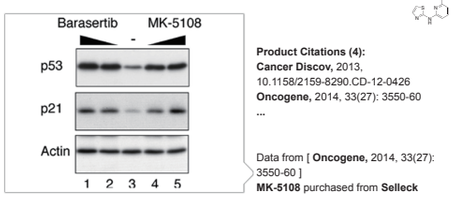
Data from [**J Neurosci,** 2012, 32(32): 11050-66]
Aurora A Inhibitor I purchased from **Selleck**

S2770 MK-5108 (VX-689)

Aurora A selective

MK-5108 (VX-689) is a highly selective Aurora A inhibitor with IC_{50} of 0.064 nM in a cell-free assay and is 220- and 190-fold more selective for Aurora A than Aurora B/C, while it inhibits TrkA with less than 100-fold selectivity. Phase 1.

Size 5 mg | 10 mg | 10 mM/1 mL



Product Citations (4):
Cancer Discov, 2013, 10.1158/2159-8290.CD-12-0426
Oncogene, 2014, 33(27): 3550-60
...

Data from [**Oncogene,** 2014, 33(27): 3550-60]
MK-5108 purchased from **Selleck**

S2158 KW-2449

Aurora A selective

KW-2449 is a multiple-target inhibitor, mostly for Flt3 with IC_{50} of 6.6 nM, modestly potent to FGFR1, Bcr-Abl and Aurora A; little effect on PDGFR β, IGF-1R, EGFR. Phase 1.

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S2719 AMG-900

AMG-900 is a potent and highly selective pan-Aurora kinases inhibitor for Aurora A/B/C with IC_{50} of 5 nM/4 nM /1 nM. It is >10-fold selective for Aurora kinases than p38α, Tyk2, JNK2, Met and Tie2. Phase 1.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL

S2725 PF-03814735 *new*

PF-03814735 is a novel, potent and reversible inhibitor of Aurora A/B with IC_{50} of 0.8 nM/5 nM, is less potent to Flt3, FAK, TrkA, and minimally active to Met and FGFR1. Phase 1.

Size 5 mg | 10 mg | 50 mg

Sirtuin Inhibitors | Activators

Inhibitory Selectivity

Inhibitor Name	SIRT1	SIRT2	SIRT3	Sirtuin	Other
Selisistat (EX 527)	++++ IC_{50}: 38 nM				
Sirtinol	+ IC_{50}: 131 μM	+ IC_{50}: 38 μM			
SirReal2		++++ IC_{50}: 140 nM			
Splitomicin				++ IC_{50}: 60 μM	
AGK2		++ IC_{50}: 3.5 μM			
Tenovin-6	++ IC_{50}: 21 μM		+ IC_{50}: 67 μM		p53
Nicotinamide				√	

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

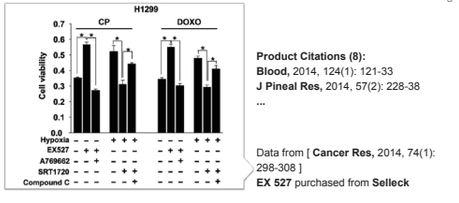
Sirtuin Inhibitors

S1541 Selisistat (EX 527)

Sirt1 selective

Selisistat (EX 527) is a potent and selective SIRT1 inhibitor with IC_{50} of 38 nM in a cell-free assay, exhibiting >200-fold selectivity against SIRT2 and SIRT3.

Size 5 mg | 10 mg | 25 mg | 10 mM/1 mL



Product Citations (8):
Blood, 2014, 124(1): 121-33
J Pineal Res, 2014, 57(2): 228-38
...

Data from [**Cancer Res,** 2014, 74(1): 298-308]
EX 527 purchased from **Selleck**

S2804 Sirtinol

Sirtinol is a specific SIRT1 and SIRT2 inhibitor with IC_{50} of 131 μM and 38 μM in cell-free assays, respectively.

Size 5 mg | 25 mg | 10 mM/1 mL

S7577 AGK2

Sirt2 selective

AGK2 is a potent, and selective SIRT2 inhibitor with IC_{50} of 3.5 μM that minimally affects either SIRT1 or SIRT3 at 10-fold higher levels.

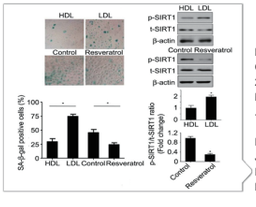
Size 5 mg | 25 mg | 100 mg

Sirtuin Activators

S1396 Resveratrol

Resveratrol has a wide spectrum of targets including cyclooxygenases (i.e. COX, IC_{50}<1 μM), lipooxygenases（LOX, IC_{50}=2.7 μM）, kinases, sirtuins and other proteins. It has anti-cancer, anti-inflammatory, blood-sugar-lowering and other beneficial cardiovascular effects.

Size 100 mg | 200 mg | 500 mg | 10 mM/1 mL



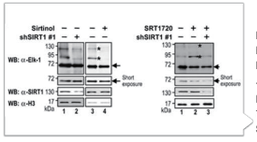
Product Citations (3):
Cell Physiol Biochem, 2015, 35(6): 2255-2271
Molecules, 2014, 19(12): 20570-9
...

Data independently produced by Dr. Johanna Weiss of University Hospital Heidelberg
Resveratrol purchased from **Selleck**

S1129 SRT1720 *Sirt1 selective*

SRT1720 is a selective SIRT1 activator with EC_{50} of 0.16 μM in a cell-free assay, but is >230-fold less potent for SIRT2 and SIRT3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

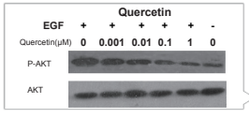


Product Citations (15):
Nat Med, 2015, 10.1038/nm.3821
EMBO J, 2013, 32(6): 791-804
...
Data from [**EMBO J,** 2013, 32(6): 791-804]
SRT1720 purchased from **Selleck**

S2391 Quercetin (Sophoretin) *Sirt1 selective*

Quercetin, a natural flavonoid present in vegetables, fruit and wine, is a stimulator of recombinant SIRT1 and also a PI3Kinhibitor with IC_{50} of 2.4-5.4 μM. Phase 4.

Size 100 mg



Data independently produced by Dr. Zhang of Tianjin Medical University
Quercetin purchased from **Selleck**

S7792 SRT2104 (GSK2245840) *Sirt2 selective*

SRT2104 (GSK2245840) is a selective SIRT1 activator involved in the regulation of energy homeostasis. Phase 2.

Size 5 mg 25 mg 100 mg

Epigenetic Reader Domain Inhibitors | Antagonist

Inhibitory Selectivity

Inhibitor Name	Epigenetic Reader Domain
(+)-JQ1	+++ BRD4(2),IC_{50}: 33 nM;BRD4(1),IC_{50}: 77 nM
I-BET151	+ BRD3,IC_{50}: 0.25 μM;BRD2,IC_{50}: 0.5 μM;BRD4,IC_{50}: 0.79 μM
PFI-1	++ BRD4,IC_{50}: 0.22 μM
I-BET-762	+++ BET proteins,IC_{50}: 35 nM
Apabetalone	+ BD2,IC_{50}: 0.51 μM
SGC-CBP30	++++ CREBBP,IC_{50}: 21 nM;EP300,IC_{50}: 38 nM
Bromosporine	++++ CECR2,IC_{50}: 17 nM;BRD2,IC_{50}: 0.41 μM;BRD9,IC_{50}: 0.122 μM; BRD4,IC_{50}: 0.29 μM
OTX015	++++ BRDs,EC_{50}: 10-19 nM
UNC1215	++ L3MBTL3-D274A,IC_{50}: 3.5 μM;L3MBTL3,IC_{50}: 40 nM;L3MBTL3, K_d: 120 nM;L3MBTL3,IC_{50}: 40 nM;L3MBTL3,K_d: 120 nM
UNC669	+ L3MBTL3,IC_{50}: 35 μM;L3MBTL4,IC_{50}: 69 μM;L3MBTL1, IC_{50}: 6 μM;L3MBTL3,IC_{50}: 35 μM
GSK1324726A	+++ BRD4,IC_{50}: 22 nM;BRD3,IC_{50}: 31 nM;BRD2,IC_{50}: 41 nM
MS436	++ BRD4(1),K_c <0.085 μM;BRD4(2),K_c: 0.34 μM
CPI-203	+++ BRD4,IC_{50}: 37 nM
PFI-3	√

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

Epigenetic Reader Domain Inhibitors

S7110 (+)-JQ1

(+)-JQ1 is a BET bromodomain inhibitor, with IC_{50} of 77 nM/33 nM for BRD4(1/2) in cell-free assays, binding to all bromodomains of the BET family, but not to bromodomains outside the BET family.

Size 10 mg 25 mg

S2780 I-BET151 (GSK1210151A)

I-BET151 (GSK1210151A) is a novel selective BET inhibitor for BRD2, BRD3 and BRD4 with IC_{50} of 0.5 μM, 0.25 μM, and 0.79 μM in cell-free assays, respectively.

Size 5 mg 10 mg 50 mg

S1216 PFI-1 (PF-6405761) *Licensed and Manufactured by Pfizer*

PFI-1 is a highly selective BET (bromodomain-containing protein) inhibitor for BRD4 with IC_{50} of 0.22 μM and for BRD2 with IC_{50} of 98 nM in a cell-free assay.

Size 5 mg 50 mg 10 mM/1 mL

S7189 I-BET-762 (GSK525762, GSK525762A)

I-BET-762 is an inhibitor for BET proteins with IC_{50} of ~35 nM in a cell-free assay, suppresses the production of proinflammatory proteins by macrophages and blocks acute inflammation, highly selective over other bromodomain-containing proteins.

Size 10 mg

S7295 Apabetalone (RVX-208)

Apabetalone (RVX-208) is a potent BET bromodomain inhibitor with IC_{50} of 0.510 μM for BD2 in a cell-free assay, about 170-fold selectivity over BD1. Phase 2.

Size 5 mg 20 mg

S7304 CPI-203

CPI-203 is a potent BET bromodomain inhibitor with IC_{50} of 37 nM for BRD4.

Size 1 mg 5 mg

S7360 OTX015

OTX015 is a potent BET bromodomain inhibitor with EC_{50} ranging from 10 to 19 nM for BRD2, BRD3, and BRD4 in cell-free assays. Phase 1.

Size 2 mg 10 mg

S7256 SGC-CBP30

SGC-CBP30 is a potent CREBBP/EP300 inhibitor with IC_{50} of 21 nM and 38 nM in cell-free assays, respectively. Exhibits 40-fold and 250-fold selectivity for CBP over the first BRD of BRD4 (BRD4(1)) and BRD4(2) respectively.

Size 10 mg 50 mg

S8400 Mivebresib (ABBV-075) *new*

Mivebresib(ABBV-075) is a novel BET family bromodomain inhibitor. It binds bromodomains of BRD2/4/T with similar affinities (K_i of 1-2.2 nM) and highly selective for 18 bromodomain proteins tested (K_d > 1 μM; more than 600-fold selectivity vs. BRD4), but exhibits roughly 10-fold weaker potency towards BRD3 (K_i of 12.2 nM) and has moderate activity towards CREBBP (K_d = 87 μM; 54-fold selectivity vs. BRD4).

Size 5 mg 25 mg

S7853 CPI-0610 *new*

CPI-0610 is a potent and selective benzoisoxazoloazepine BET bromodomain inhibitor and currently undergoing human clinical trials for hematological malignancies.

Size 5 mg 25 mg

S8496 EED226 *new*

EED226 is a potent, selective, and orally bioavailable a novel allosteric Polycomb repressive complex 2 (PRC2) inhibitor with an IC_{50} of 23.4 nM when the H3K27me0 peptide was used as substrate and an IC_{50} of 53.5 nM when the mononucleosome was used as the substrate. It directly binds to the H3K27me3 binding pocket of EED.

Size 5 mg 25 mg

Epigenetic Reader Domain Antagonist

S7088 UNC1215

UNC1215 is a potent and selective MBT (malignant brain tumor) antagonist, which binds to L3MBTL3 with IC_{50} of 40 nM and KD of 120 nM, 50-fold selective versus other members of the human MBT family.

Size 5 mg 25 mg

Histone Acetyltransferase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Histone Acetyltransferase
C646	+++ K_i: 400 nM
MG149	++ IC_{50}: 74 μM
Remodelin	√
Anacardic Acid	√

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S7152 C646

C646 is an inhibitor for histone acetyltransferase, and inhibits p300 with a K_i of 400 nM in a cell-free assay. Preferentially selective for p300 versus other acetyltransferases.

Size 10 mg 50 mg

S7476 MG149

MG149 is a potent histone acetyltransferase inhibitor with IC_{50} of 74 μM and 47 μM for Tip60 and MOF, respectively.

Size 5 mg 25 mg 100 mg

S7582 Anacardic Acid

Anacardic Acid is a potent inhibitor of p300 and p300/CBP-associated factor histone acetyltranferases, which also has antibacterial activity, antimicrobial activity, prostaglandin synthase inhibition, and tyrosinase and lipoxygenase inhibition.

Size 10 mg 50 mg 200 mg

S1848 Curcumin

Curcumin is the principal curcuminoid of the popular Indian spice turmeric, which is a member of the ginger family (Zingiberaceae). It is an inhibitor of p300 histone acetylatransferase（IC_{50}~25 μM） and Histone deacetylase; activates Nrf2 pathway and supresses the activation of transcription factor NF-κB.

Size 50 mg 10 mM/1 mL

DNA Methyltransferase Inhibitors

Inhibitory Selectivity

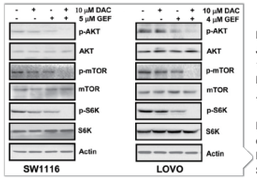
Inhibitor Name	DNA Methyltransferase	Other
Decitabine	++++ IC_{50}: 100 ng/mL	
RG108	++ IC_{50}: 115 nM	
SGI-1027	+ IC_{50}: 8 μM	
Lomeguatrib	+++ IC_{50}: 5 nM	
Azacitidine	√	
Zebularine	√	Cytidine deaminase
Thioguanine	√	
Procainamide HCl	√	Sodium channel

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1200 Decitabine (Deoxycytidine)

Decitabine is a DNA methyltransferase inhibitor, incorporating into DNA and resulting in hypomethylation of DNA and intra-S-phase arrest of DNA replication. It is used to treat myelodysplastic syndrome (MDS).

Size 10 mg 25 mg 100 mg 10 mM/1 mL



Product Citations (6):
J Immunol, 2015, 10.4049/jimmunol.1403196
Mol Cell Biol, 2014, 34(22): 4143-64
...
Data from [**PLoS One,** 2014, 9(5): e97719]
Decitabine (DAC) purchased from **Selleck**

S1782 Azacitidine

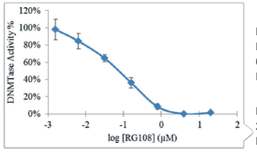
Azacitidine is a nucleoside analogue of cytidine that specifically inhibits DNA methylation by trapping DNA methyltransferases.

Size 50 mg 5 g 10 mM/1 mL

S2821 RG108

RG108 is an inhibitor of DNA methyltransferase with IC_{50} of 115 nM in a cell-free assay, but does not cause trapping of covalent enzymes.

Size 10 mg 50 mg 200 mg 10 mM/1 mL



Product Citations (2):
Biosensors and Bioelectronics, 2014, 66: 109-14
Lab Chip, 2014, 14(13): 2354-62

Data from [**Lab Chip,** 2014, 14(13): 2354-62]
RG108 purchased from **Selleck**

S7113 Zebularine (NSC 309132)

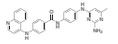
Zebularine is a DNA methylation inhibitor that forms a covalent complex with DNA methyltransferases, and also inhibits cytidinedeaminase with K_i of 2 μM in a cell-free assay.

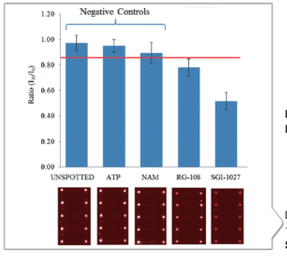
Size 10 mg 50 mg 10 mM/1 mL

S7276 SGI-1027 (DNA Methyltransferase Inhibitor II)

SGI-1027 is a DNMT inhibitor with IC_{50} of 6, 8, 7.5 μM for DNMT1, DNMT3A, and DNMT3B in cell-free assays, respectively.

Size 10 mg 100 mg





Product Citation (1):
Lab Chip, 2014, 14(13): 2354-62

Data from [**Lab Chip,** 2014, 14(13): 2354-62]
SGI-1027 purchased from **Selleck**

Histone Methyltransferase Inhibitors

Inhibitory Selectivity

Inhibitor Name	DNA Methyltransferase
Pinometostat	++++ K_i: 80 pM
EPZ005687	++ K_i: 24 nM
GSK343	+++ IC_{50}: 4~240 nM
BIX 01294	+ IC_{50}: 2.7 μM
Tazemetostat	+++ IC_{50}: 11 nM
3-deazaneplanocin A HCl	++++ K_i: 50 pM
UNC1999	+++ IC_{50}: 2~45 nM
MM-102	++ IC_{50}: 0.4 μM

Inhibitory Selectivity

Inhibitor Name	DNA Methyltransferase
SGC 0946	++++ IC_{50}: 0.3 nM
Entacapone	++ IC_{50}: 151 nM
EPZ015666	+++ K_i: 5 nM
UNC0379	+ IC_{50}: 7.9 μM
EI1	++ IC_{50}: 13-15 nM
MI-2	+ IC_{50}: 446 nM
MI-3	+ IC_{50}: 648 nM
PFI-2	++++ K_i: 0.33 nM
GSK126	++ IC_{50}: 9.9 nM
EPZ004777	+++ IC_{50}: 0.4 nM
BRD4770	√

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S7004 EPZ005687

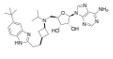
EPZ005687 is a potent and selective inhibitor of EZH2 with K_i of 24 nM in a cell-free assay, 50-fold selectivity against EZH1 and 500-fold selectivity against 15 other protein methyltransferases.

Size 5 mg 25 mg

S7062 Pinometostat (EPZ5676)

EPZ5676 is an S-adenosyl methionine (SAM) competitive inhibitor of protein methyltransferase DOT1L with K_i of 80 pM in a cell-free assay, demonstrating >37,000-fold selectivity against all other PMTs tested; inhibits H3K79 methylation in tumor. Phase 1.

Size 10 mg 50 mg



S7061 GSK126

GSK126 is a potent, highly selective EZH2 methyltransferase inhibitor with IC_{50} of 9.9 nM, >1000-fold selective for EZH2 over 20 other human methyltransferases.

Size 5 mg 25 mg 100 mg

S7164 GSK343

GSK343 is a potent and selective EZH2 inhibitor with IC_{50} of 4 nM in a cell-free assay, showing 60 fold selectivity against EZH1, and >1000-fold selectivity against other histone methyltransferases.

Size 5 mg 25 mg

S8006 BIX 01294

BIX 01294 is an inhibitor of G9a histone methyltransferase with IC_{50} of 2.7 μM in a cell-free assay, reduces H3K9me2 of bulk histones, and also weakly inhibits GLP (primarily H3K9me3); no significant activity observed at other histone methyltransferases.

Size 10 mg 25 mg 10 mM/1 mL

S7128 Tazemetostat (EPZ-6438)

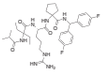
EPZ-6438 is a potent, and selective EZH2 inhibitor with K_i and IC_{50} of 2.5 nM and 11 nM in cell-free assays, exhibiting a 35-fold selectivity versus EZH1 and >4, 500-fold selectivity relative to 14 other HMTs.

Size 10 mg 50 mg

S7265 MM-102 (HMTase Inhibitor IX)

MM-102 is a high-affinity peptidomimetic MLL1 inhibitor with IC_{50} of 0.4 μM in a cell-free assay.

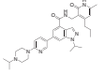
Size 2 mg 20 mg



S7165 UNC1999

UNC1999 is a potent, orally bioavailable and selective inhibitor of EZH2 and EZH1 with IC_{50} of 2 nM and 45 nM in cell-free assays, respectively, showing >1000-fold selectivity over a broad range of epigenetic and non-epigenetic targets.

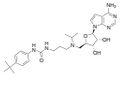
Size 5 mg



S7353 EPZ004777

EPZ004777 is a potent, selective DOT1L inhibitor with IC_{50} of 0.4 nM in a cell-free assay and demonstrates >1,200-fold selectivity for DOT1L over all other tested PMTs.

Size 5 mg 50 mg



S7120 3-Deazaneplanocin A (DZNeP) HCl

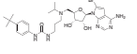
3-Deazaneplanocin A (DZNeP) HCl, an analog of adenosine, is a competitive inhibitor of S-adenosylhomocysteine hydrolasewith K_i of 50 pM in a cell-free assay.

Size 1 mg 5 mg

S7079 SGC 0946

SGC 0946 is a highly potent and selective DOT1L methyltransferase inhibitor with IC_{50} of 0.3 nM in a cell-free assay, but it is inactive against a panel of 12 PMTs and DNMT1.

Size 10 mg 50 mg



S7294 PFI-2

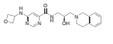
PFI-2 is a potent, selective, and cell-active lysine methyltransferase SETD7 inhibitor with K_i (app) and IC_{50} of 0.33 nM and 2 nM, 1000-fold selectivity over other methyltransferases and other non-epigenetic targets.

Size 10 mg 50 mg

S7748 EPZ015666

EPZ015666 is a potent, selective and orally bioavailable PRMT5 inhibitor with K_i of 5 nM, >20,000-fold selectivity over other PMTs.

Size 5 mg 25 mg



S7816 MI-463 new

MI-463 is a potent inhibitor of Menin-MLL interaction with an IC_{50} value of 15.3 nM.

Size 2 mg 5 mg 25 mg

S7817 MI-503 new

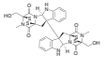
MI-503 is a potent and selective Menin-MLL inhibitor with IC_{50} of 14.7 nM. It shows pronounced growth suppressive activity in a panel of human MLL leukemia cell lines(GI_{50} at 250 nM-570 nM range), but only a minimal effect in human leukemia cell lines without MLL translocations.

Size 2 mg 5 mg 25 mg

S8068 Chaetocin new

Chaetocin, a natural product from Chaetomium species, is a histone methyltransferase inhibitor with IC_{50} of 0.8 μM, 2.5 μM and 3 μM for dSU(VAR)3-9, mouse G9a and Neurospora crassa DIM5, respectively.

Size 1 mg 5 mg



Histone Demethylase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Histone demethylase
GSK J4 HCl	++ JMJD3,IC_{50}: 60 nM
OG-L002	+++ LSD1,IC_{50}: 20 nM
JIB-04	++ JMJD2A,IC_{50}: 445 nM;JMJD2D,IC_{50}: 290 nM;JMJD2E,IC_{50}: 340 nM; JMJD3,IC_{50}: 855 nM;JMJD2B,IC_{50}: 435 nM;JARID1A,IC_{50}: 230 nM
CPI-455 HCl	++++ IC_{50}: 10 nM
ORY-1001	+++ LSD1/KDM1A,IC_{50}: 20 nM
GSK J1	+++ JMJD3(KDM6B),IC_{50}: 28 nM;UTX(KDM6A),IC_{50}: 53 nM
GSK-LSD1 2HCl	++++ LSD1,IC_{50}: 16 nM
SP2509	++++ LSD1,IC_{50}: 13 nM
ML324	+ JMJD2,IC_{50}: 920 nM
IOX1	++ KDM2A,IC_{50}: 1.8 μM;KDM5C,IC_{50}: 19 μM;PHD2,IC_{50}: 33 μM; KDM4E,IC_{50}: 2.3 μM;KDM3A,IC_{50}: 0.1 μM;KDM6B,IC_{50}: 1.6 μM; KDM4C,IC_{50}: 0.6 μM

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S7070 GSK J4 HCl

GSK J4 HCl is a cell permeable prodrug of GSK J1, which is the first selective inhibitor of the H3K27 histone demethylase JMJD3 and UTX with IC_{50} of 60 nM in a cell-free assay and inactive against a panel of demethylases of the JMJ family.

Size 10 mg 50 mg 10 mM/1 mL

S7237 OG-L002

OG-L002 is a potent and specific LSD1 inhibitor with IC_{50} of 20 nM in a cell-free assay, exhibiting 36- and 69-fold selectivity over MAO-B and MAO-A, respectively.

Size 5 mg 25 mg

S7234 IOX1

IOX1 is a potent and broad-spectrum inhibitor of 2OG oxygenases, including the JmjC demethylases.

Size 10 mg 50 mg

S7281 JIB-04 (NSC 693627)

JIB-04 is a pan-selective Jumonji histone demethylase inhibitor with IC_{50} of 230, 340, 855, 445, 435, 1100, and 290 nM for JARID1A, JMJD2E, JMJD3, JMJD2A, JMJD2B, JMJD2C, and JMJD2D in cell-free assays, respectively.

Size 20 mg 50 mg

S7574 GSK-LSD1 2HCl

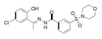
GSK-LSD1 2HCl is an irreversible, and selective LSD1 inhibitor with IC_{50} of 16 nM, > 1000 fold selective over other closely related FAD utilizing enzymes (i.e. LSD2, MAO-A, MAO-B).

Size 5 mg 25 mg 100 mg

S7680 SP2509

SP2509 is a selective histone demethylase LSD1 inhibitor with IC_{50} of 13 nM, showing no activity against MAO-A, MAO-B, lactate dehydro -genase and glucose oxidase.

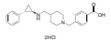
Size 5 mg 25 mg 100 mg



S7796 GSK2879552 2HCl

GSK2879552 2HCl is a potent, selective, orally bioavailable, irreversible LSD1 inhibitor with K^{app} of 1.7 μM. Phase 1.

Size 5 mg 25 mg

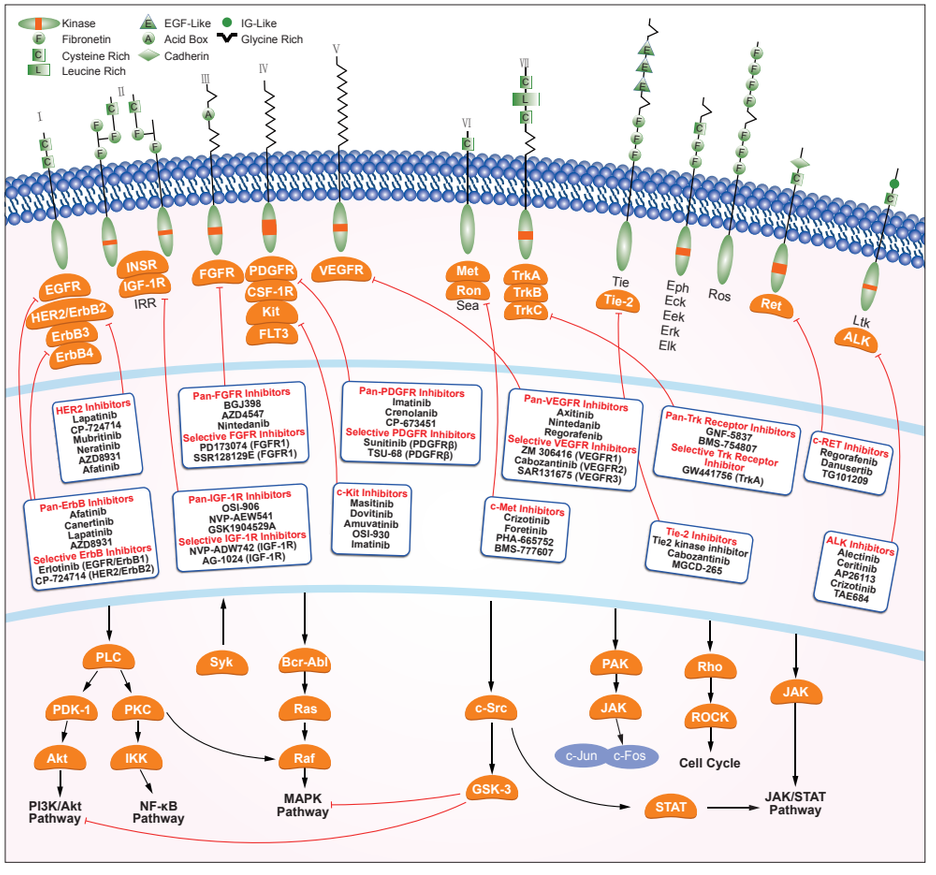


S8287 CPI-455 HCl *new*

CPI-455 is a specific KDM5 inhibitor, elevating global levels of H3K4 trimethylation (H3K4me3) and decreased the number of DTPs in multiple cancer cell line models treated with standard chemotherapy or targeted agents.

Size 5 mg 25 mg

Protein Tyrosine Kinase



VEGFR Inhibitors

Inhibitory Selectivity

Inhibitor Name	VEGFR1	VEGFR2	VEGFR3	Other
Sorafenib Tosylate		++ IC_{50}: 90 nM		Raf-1,B-Raf,B-Raf (V599E)
Sunitinib Malate		+ IC_{50}: 80 nM		Kit,FLT3,PDGFRβ
Cabozantinib	+++ IC_{50}: 12 nM	++++ IC_{50}: 0.035 nM	+++ IC_{50}: 6.0 nM	c-Met,Kit,Axl
Ponatinib		++++ IC_{50}: 1.5 nM		Abl,PDGFRα,FGFR1
Axitinib	++++ IC_{50}: 0.1 nM	++++ IC_{50}: 0.18-0.2 nM	++++ IC_{50}: 0.1-0.3 nM	PDGFRβ,Kit,PDGFRα
Foretinib	+++ IC_{50}: 6.8 nM	++++ IC_{50}: 0.86 nM	++++ IC_{50}: 2.8 nM	Met,Tie-2,RON
Vandetanib		++ IC_{50}: 40 nM	+ IC_{50}: 110 nM	
Nintedanib	++ IC_{50}: 34 nM	+++ IC_{50}: 13 nM	+++ IC_{50}: 13 nM	LCK,FLT3,FGFR2
Regorafenib	+++ IC_{50}: 13 nM	++++ IC_{50}: 4.2 nM	+ IC_{50}: 46 nM	RET,Raf-1,Kit
Pazopanib HCl	+++ IC_{50}: 10 nM	++ IC_{50}: 30 nM	+ IC_{50}: 47 nM	FGFR,PDGFR,c-Kit
Cediranib	+++ IC_{50}: 5 nM	++++ IC_{50}: 0.5 nM	++++ IC_{50}: ≤3 nM	c-Kit,PDGFRβ,FGFR1
PD173074		+ IC_{50}: 100-200 nM		FGFR1,c-Src

Inhibitory Selectivity

Inhibitor Name	VEGFR1	VEGFR2	VEGFR3	Other
Dovitinib	+++ IC_{50}: 10 nM	+++ IC_{50}: 13 nM	+++ IC_{50}: 8 nM	FLT3,c-Kit,FGFR1
Linifanib	++++ IC_{50}: 3 nM	++++ IC_{50}: 4 nM	+ IC_{50}: 190 nM	CSF-1R,FLT3,Kit
Vatalanib 2HCl	+ IC_{50}: 77 nM	++ IC_{50}: 37-270 nM	+ IC_{50}: 660 nM	PDGFRβ,c-Kit,c-Fms
RAF265		++ EC_{50}: 30 nM		B-Raf
Tivozanib	++ IC_{50}: 30 nM	+++ IC_{50}: 6.5 nM	++ IC_{50}: 15 nM	EphB2,PDGFRα,PDGFRβ
Motesanib Diphosphate	++++ IC_{50}: 2 nM	++++ IC_{50}: 3-6 nM	+++ IC_{50}: 6 nM	Kit,RET,PDGFR
Lenvatinib	++ IC_{50}: 22 nM	++++ IC_{50}: 4.0 nM	+++ IC_{50}: 5.2 nM	PDGFRβ,FGFR1,PDGFRα
Orantinib		+ K_i: 2.1 μM		PDGFRβ,FGFR1
Brivanib	+ IC_{50}: 380 nM	++ IC_{50}: 25 nM		FGFR1
MGCD-265	++++ IC_{50}: 3 nM	++++ IC50: 3 nM	++++ IC_{50}: 4 nM	Met,RON,Tie-2
AEE788	+ IC_{50}: 59 nM	+ IC_{50}: 77 nM	+ IC_{50}: 330 nM	EGFR,HER2/ErbB2,c-Abl
ENMD-2076		+ IC_{50}: 58.2 nM	++ IC_{50}: 15.9 nM	FLT3,RET,Aurora A
OSI-930	+++ IC_{50}: 8 nM	+++ IC_{50}: 9 nM		CSF-1R,LCK,C-Raf
CYC116		++ K_i: 44 nM		Aurora A,Aurora B,FLT3
Ki8751		++++ IC_{50}: 0.9 nM		c-Kit,PDGFRα,FGFR2
Telatinib		+++ IC_{50}: 6 nM	++++ IC_{50}: 4 nM	c-Kit,PDGFRα
Pazopanib	+++ IC_{50}: 10 nM	++ IC_{50}: 30 nM	+ IC_{50}: 47 nM	FGFR,PDGFR,c-Kit
KRN 633	+ IC_{50}: 170 nM	+ IC_{50}: 160 nM	+ IC_{50}: 125 nM	PDGFRα,c-Kit,BTK
SAR131675			++ IC_{50}: 23 nM	
Dovitinib Dilactic Acid	+++ IC_{50}: 10 nM	+++ IC_{50}: 13 nM	+++ IC_{50}: 8 nM	FLT3,c-Kit,FGFR1
Apatinib		++++ IC_{50}: 1 nM		RET,c-Kit,c-Src
BMS-794833		++ IC_{50}: 15 nM		Met
Cabozantinib malate	+++ IC_{50}: 12 nM	++++ IC_{50}: 0.035 nM	+++ IC_{50}: 6.0 nM	c-Met,Kit,Axl
Brivanib Alaninate	+ IC_{50}: 380 nM	++ IC_{50}: 25 nM		FGFR1
Golvatinib		++ IC_{50}: 16 nM		c-Met
Semaxanib		+ IC_{50}: 1.23 μM		
ZM 323881 HCl		++++ IC_{50}: <2 nM		
ZM 306416	+ IC_{50}: 0.33 μM			Src,Abl
ENMD-2076 L-(+)-Tartaric acid		+ IC_{50}: 58.2 nM	++ IC_{50}: 15.9 nM	FLT3,RET,Aurora A
BFH772		++++ IC_{50}: 3 nM		
SU5402		++ IC_{50}: 20 nM		FGFR1,PDGFRβ
Sunitinib		+ IC_{50}: 80 nM		c-Kit,FLT3 ,Kit
Dovitinib Lactate	+++ IC_{50}: 10 nM	+++ IC_{50}: 13 nM	+++ IC_{50}: 8 nM	FLT3,c-Kit,FGFR1
LY2874455		+++ IC_{50}: 7 nM		FGFR2,FGFR1,FGFR4
SKLB1002		++ IC_{50}: 32 nM		
AZD2932		+++ IC_{50}: 8 nM		PDGFRβ,Flt3,c-Kit

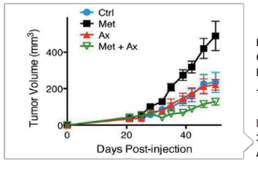
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1005 Axitinib (AG 013736) *Licensed by Pfizer*

Axitinib is a multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFRβ and c-Kit with IC_{50} of 0.1 nM, 0.2 nM, 0.1-0.3 nM, 1.6 nM and 1.7 nM in Porcine aorta endothelial cells, respectively.

Size 50 mg 100 mg 10 mM/1 mL



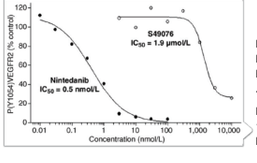
Product Citations (13):
Cancer Discov, 2012, 2(4): 344-55
Development, 2013, 140(20): 4214-25
...

Data from [**Cancer Discov,** 2012, 2(4): 344-55]
Axitinib purchased from **Selleck**

S1010 Nintedanib (BIBF 1120, Intedanib)

Nintedanib (BIBF 1120) is a potent triple angiokinase inhibitor for VEGFR1/2/3, FGFR1/2/3 and PDGFRα/β with IC_{50} of 34 nM/13 nM/13 nM, 69 nM/37 nM/108 nM and 59 nM/65 nM in cell-free assays. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



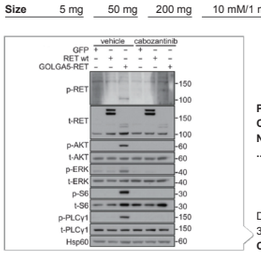
Product Citations (12):
Nature, 2011, 478(7369): 349-55
Nat Neurosci, 2014, 17(1): 24-6
...

Data from [**Mol Cancer Ther,** 2013, 12(9): 1749-62]
Nintedanib purchased from **Selleck**

S1119 Cabozantinib (XL184, BMS-907351) *VEGFR2 selective*

Cabozantinib (XL184, BMS-907351) is a potent VEGFR2 inhibitor with IC_{50} of 0.035 nM and also inhibits c-Met, Ret, Kit, Flt-1/3/4, Tie2, and AXL with IC_{50} of 1.3 nM, 4 nM, 4.6 nM, 12 nM/11.3 nM/6 nM, 14.3 nM and 7 nM in cell-free assays, respectively.

Size 5 mg 50 mg 200 mg 10 mM/1 mL



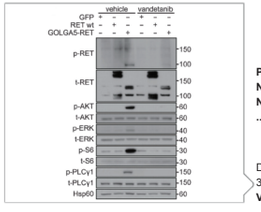
Product Citations (13):
Cancer Discov, 2014, 4(7): 816-27
Nat Commun, 2014, 5: 3116
...

Data from [**Nat Commun,** 2014, 5: 3116]
Cabozantinib purchased from **Selleck**

S1046 Vandetanib (ZD6474) *VEGFR2 selective*

Vandetanib (ZD6474) is a potent inhibitor of VEGFR2 with IC_{50} of 40 nM in a cell-free assay. It also inhibits VEGFR3 and EGFR with IC_{50} of 110 nM and 500 nM, respectively. Not sensitive to PDGFRβ, Flt1, Tie-2 and FGFR1 with IC_{50} of 1.1-3.6 μM. No activity against MEK, CDK2, c-Kit, erbB2, FAK, PDK1, Akt and IGF-1R with IC_{50} above 10 μM.

Size 25 mg 100 mg 500 mg



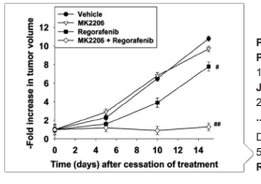
Product Citations (16):
Nature, 2015, 10.1038/nature14329
Nat Commun, 2014, 5: 3116
...

Data from [**Nat Commun,** 2014, 5: 3116]
Vandetanib purchased from **Selleck**

S1178 Regorafenib (BAY 73-4506, Fluoro-Sorafenib)

Regorafenib (BAY 73-4506) is a multi-target inhibitor for VEGFR1, VEGFR2, VEGFR3, PDGFRβ, Kit, RET and Raf-1 with IC_{50} of 13 nM/4.2 nM/46 nM, 22 nM, 7 nM, 1.5 nM and 2.5 nM in cell-free assays, respectively.

Size 5 mg 25 mg 100 mg 10 mM/1 mL



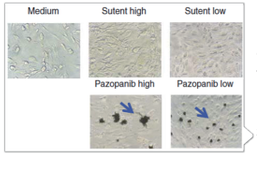
Product Citations (10):
Proc Natl Acad Sci USA, 2015, 112(6): 1839-44
J Clin Endocrinol Metab, 2013, 98(6): 2502-12
...

Data from [**Mol Pharmacol,** 2013, 84(4): 562-71]
Regorafenib purchased from **Selleck**

S1035 Pazopanib HCl (GW786034 HCl)

Pazopanib HCl (GW786034 HCl) is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFR, FGFR, c-Kit and c-Fms with IC_{50} of 10 nM, 30 nM, 47 nM, 84 nM, 74 nM, 140 nM and 146 nM in cell-free assays, respectively.

Size 10 mg 25 mg 100 mg 10 mM/1 mL



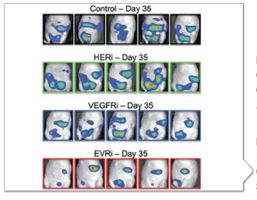
Product Citations (4):
Cancer Discov, 2013, 3(6): 636-47
J Virol, 2011, 85(5): 2296-303
...

Data from [**Expert Opin Pharmacother,** 2014, 15(11): 1489-99]
Pazopanib HCl purchased from **Selleck**

S1017 Cediranib (AZD2171, NSC-732208)

Cediranib (AZD2171) is a highly potent VEGFR(KDR) inhibitor with IC_{50} of <1 nM, and also inhibits Flt1/4 with IC_{50} of 5 nM/≤3 nM, similar activity against c-Kit and PDGFRβ, 36-, 110-fold and >1000-fold selective more for VEGFR than for PDGFR-α, CSF-1R and Flt3 in HUVEC cells. Phase 3.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



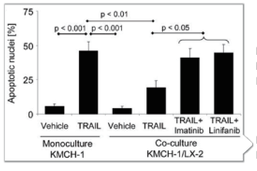
Product Citations (17):
Cancer Res, 2013, 73(16): 5195-205
Clin Cancer Res, 2014, 20(14): 3849-61
...

Data from [**Mol Cancer Ther,** 2013, 12(12): 2909-16]
Cediranib (VEGFRi) purchased from **Selleck**

S1003 Linifanib (ABT-869, AL39324, RG3635)

Linifanib (ABT-869) is a novel, potent ATP-competitive VEGFR/PDGFR inhibitor for KDR, CSF-1R, Flt-1/3 and PDGFRβ with IC_{50} of 4 nM, 3 nM, 3 nM/4 nM and 66 nM respectively, mostly effective in mutant kinase-dependent cancer cells (i.e. FLT3). Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



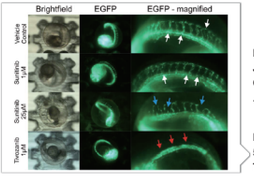
Product Citations (2):
Mol Cell Proteomics, 2012, 11(6): M112
Liver Int, 2011, 32(3): 400-9

Data from [**Liver Int,** 2011, 32(3): 400-9]
Linifanib purchased from **Selleck**

S1207 Tivozanib (AV-951)

Tivozanib (AV-951) is a potent and selective VEGFR inhibitor for VEGFR1/2/3 with IC_{50} of 30 nM/6.5 nM/15 nM, and also inhibits PDGFR and c-Kit, low activity observed against FGFR-1, Flt3, c-Met, EGFR and IGF-1R. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



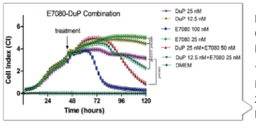
Product Citations (6):
J Chem Inf Model, 2014, 54(3): 881-93
Cytometry A, 2014, 85(6): 537-47
...

Data from [**Cytometry A,** 2014, 85(6): 537-47]
Tivozanib purchased from **Selleck**

S1164 Lenvatinib (E7080)

Lenvatinib (E7080) is a multi-target inhibitor, mostly for VEGFR2(KDR)/VEGFR3(Flt-4) with IC_{50} of 4 nM/5.2 nM, less potent against VEGFR1/Flt-1, ~10-fold more selective for VEGFR2/3 against FGFR1, PDGFRα/β in cell-free assays. Phase 3.

Size 2 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (5):
Clin Cancer Res, 2015, 21(7): 1652-64
Neoplasia, 2014, 16(11): 972-81
...

Data from [**Asian Pac J Cancer Prev,** 2014, 5(7): 3113-21]
E7080 purchased from **Selleck**

S2221 Apatinib (YN968D1) *VEGFR2 selective*

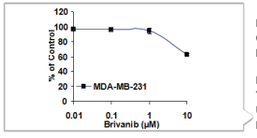
Apatinib is an orally bioavailable, selective VEGFR2 inhibitor with IC_{50} of 1 nM.

Size 10 mg 50 mg 10 mM/1 mL

S1084 Brivanib (BMS-540215)

Brivanib is an ATP-competitive inhibitor against VEGFR2 with IC_{50} of 25 nM, moderate potency against VEGFR-1 and FGFR-1, but >240-fold against PDGFR-β. Phase 3.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



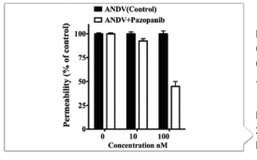
Product Citations (2):
Genome Biol, 2014, 15(8): 428
Int J Oncol, 2013, 44(3): 959-69

Data independently produced by Dr. Yong-Weon Yi from Georgetown University Medical Center
Brivanib purchased from **Selleck**

S3012 Pazopanib (GW786034)

Pazopanib is a novel multi-target inhibitor of VEGFR1, VEGFR2, VEGFR3, PDGFR, FGFR, c-Kit and c-Fms with IC_{50} of 10 nM, 30 nM, 47 nM, 84 nM, 74 nM, 140 nM and 146 nM in cell-free assays, respectively.

Size 25 mg | 100 mg



Product Citations (6):
Cancer Discov, 2013, 3(6): 636-47
Clin Cancer Res, 2014, 19(9): 2368-80
...

Data from [**J Virol,** 2010, 85(5): 2296-303]
Pazopanib purchased from **Selleck**

S2845 Semaxanib (SU5416) *VEGFR2 selective*

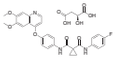
Semaxanib (SU5416) is a potent and selective VEGFR(Flk-1/KDR) inhibitor with IC_{50} of 1.23 μM, 20-fold more selective for VEGFR than for PDGFRβ, lack of activity against EGFR, InsR and FGFR. Phase 3.

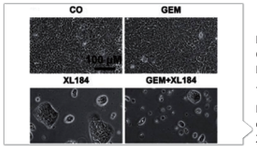
Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL

S4001 Cabozantinib malate (XL184) *VEGFR2 selective*

Cabozantinib malate (XL184) is the malate of Cabozantinib, a potent VEGFR2 inhibitor with IC_{50} of 0.035 nM and also inhibits c-Met, Ret, Kit, Flt-1/3/4, Tie2, and AXL with IC_{50} of 1.3 nM, 4 nM, 4.6 nM, 12 nM/11.3 nM/6 nM, 14.3 nM and 7 nM in cell-free assays, respectively.

Size 10 mg | 50 mg | 10 mM/1 mL





Product Citations (12):
Cancer Discov, 2014, 4(7): 816-27
Nat Commun, 2014, 5: 3116
...

Data from [**Cell Death Dis,** 2013, 4: e627]
XL184 purchased from **Selleck**

EGFR Inhibitors

Inhibitory Selectivity

Inhibitor Name	EGFR/ErbB1	HER2/ErbB2	ErbB3	ErbB4	Other
Erlotinib HCl	++++ IC_{50}: 2 nM				
Gefitinib	++ IC_{50}: 26-57 nM				
Lapatinib Ditosylate	++ IC_{50}: 10.8 nM	+++ IC_{50}: 9.2 nM		+ IC_{50}: 367 nM	
Afatinib	++++ IC_{50}: 0.5-10 nM	++ IC_{50}: 14 nM			
Neratinib	+ IC_{50}: 92 nM	+ IC_{50}: 59 nM			KDR,Src
Canertinib	++++ IC_{50}: 1.5 nM	+++ IC_{50}: 9.0 nM			
Lapatinib	++ IC_{50}: 10.8 nM	++ IC_{50}: 9.2 nM		+ IC_{50}: 367 nM	
AG-490	+ IC_{50}: 0.1 μM	+ IC_{50}: 13.5 μM			
CP-724714		++ IC_{50}: 10 nM			
Dacomitinib	+++ IC_{50}: 6.0 nM	+ IC_{50}: 45.7 nM		+ IC_{50}: 73.7 nM	
WZ4002	++++ IC_{50}: 2-8 nM		+++ IC_{50}: 4 nM		
Sapitinib	+++ IC_{50}: 4 nM	+++ IC_{50}: 3 nM			
CUDC-101	+++ IC_{50}: 2.4 nM	++ IC_{50}: 15.7 nM			HDAC,HDAC1,HDAC6
AG-1478	+++ IC_{50}: 3 nM				
PD153035 HCl	++++ K_i: 5.2 pM				
Pelitinib	+ IC_{50}: 38.5 nM	+ IC_{50}: 1.255 μM			Src,MEK/ERK,Raf
AEE788	++++ IC_{50}: 2 nM	+++ IC_{50}: 6 nM		+ IC_{50}: 160 nM	c-Abl,FLT1,c-Fms
AC480	++ IC_{50}: 20 nM	+ IC_{50}: 30 nM		+ IC_{50}: 190 nM	
OSI-420	++++ IC_{50}: 2 nM				
WZ3146	++++ IC_{50}: 2-5 nM				
AST-1306	++++ IC_{50}: 0.5-12 nM	+++ IC_{50}: 3.0 nM		++++ IC_{50}: 0.8 nM	
Rociletinib	++ K_i: 21.5-303.3 nM				
Varlitinib	+++ IC_{50}: 7 nM	++++ IC_{50}: 2 nM			
Icotinib	+++ IC_{50}: 5 nM				
TAK-285	++ IC_{50}: 23 nM	++ IC_{50}: 17 nM		+ IC_{50}: 260 nM	MEK1,Aurora B,LCK

Inhibitory Selectivity

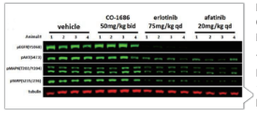
Inhibitor Name	EGFR/ErbB1	HER2/ErbB2	ErbB3	ErbB4	Other
WHI-P154	+++ IC_{50}: 4 nM				Src,VEGFR,JAK3
PD168393	++++ IC_{50}: 0.70 nM				
CNX-2006	++ IC_{50}: <20 nM				
Tyrphostin 9	+ IC_{50}: 460 μM				PDGFR
AG-18	+ IC_{50}: 35 μM				
AZD3759	++++ IC_{50}: 0.3 nM				
Afatinib Dimaleate	++++ IC_{50}: 0.4-0.5 nM	++ IC_{50}: 14 nM			
Erlotinib	++++ IC_{50}: 2 nM				
CL-387785	++++ IC_{50}: 370 pM				
Osimertinib	++ IC_{50}: 12.92 nM				
Genistein	√				topo II
Naquotinib	√				

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1023 Erlotinib HCl (OSI-744) *EGFR/ErbB1 selective*

Erlotinib HCl (OSI-744) is an EGFR inhibitor with IC_{50} of 2 nM in cell-free assays; >1000-fold more sensitive for EGFR than for human c-Src or v-Abl.

Size 100 mg | 500 mg



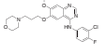
Product Citations (71):
Cell, 2012, 151(5): 937-50
Nat Genet, 2012, 44(8): 852-60
...

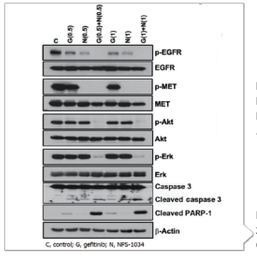
Data from [**Cancer Discov,** 2013, 3(12): 1404-15]
Erlotinib purchased from **Selleck**

S1025 Gefitinib (ZD1839) *EGFR/ErbB1 selective*

Gefitinib (ZD1839) is an EGFR inhibitor for Tyr1173, Tyr992, Tyr1173 and Tyr992 in the NR6wtEGFR and NR6W cells with IC_{50} of 37 nM, 37nM, 26 nM and 57 nM, respectively.

Size 100 mg | 250 mg | 10 mM/1 mL





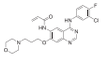
Product Citations (8):
Nature, 2014, 508(7494): 118-22
Nature, 2012, 483(7387): 100-3
...

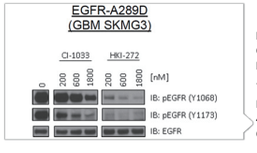
Data from [**Cancer Res,** 2014, 74(1): 253-62]
Gefitinib purchased from **Selleck**

S1019 Canertinib (CI-1033, PD183805)

Canertinib (CI-1033) is a pan-ErbB inhibitor for EGFR and ErbB2 with IC_{50} of 1.5 nM and 9.0 nM; no activity to PDGFR, FGFR, InsR, PKC, or CDK1/2/4. Phase 3.

Size 10 mg | 25 mg | 50 mg | 200 mg





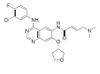
Product Citations (7):
Cancer Discov, 2012, 2(5): 458-71
PLoS One, 2015, 10(4): e0123623
...

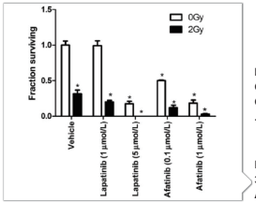
Data from [**Cancer Discov,** 2012, 2(5): 458-71]
CI-1033 purchased from **Selleck**

S1011 Afatinib (BIBW2992)

Afatinib (BIBW2992) irreversibly inhibits EGFR/HER2 including EGFR(wt), EGFR(L858R), EGFR(L858R/T790M) and HER2 with IC_{50} of 0.5 nM, 0.4 nM, 10 nM and 14 nM in cell-free assays, respectively.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL





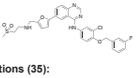
Product Citations (34):
Cancer Discov, 2015, 5(7): 713-22
Cancer Discov, 2013, 3(2): 168-81
...

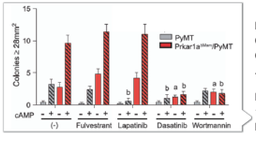
Data from [**Cancer Res,** 2014, 74(1): 341-52]
Afatinib purchased from **Selleck**

S2111 Lapatinib (GW-572016)

Lapatinib, used in the form of Lapatinib Ditosylate, is a potent EGFR and ErbB2 inhibitor with IC_{50} of 10.8 and 9.2 nM in cell-free assays, respectively.

Size 25 mg | 100 mg | 10 mM/1 mL





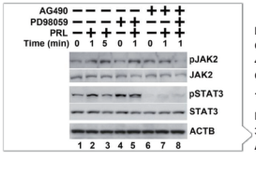
Product Citations (35):
Cancer Cell, 2015, 27(3): 397-408
Cancer Cell, 2012, 21(4): 488-503
...

Data from [**Oncogene,** 2014, 10.1038/onc.2014.41]
Lapatinib purchased from **Selleck**

S1143 AG-490 (Tyrphostin B42) *EGFR/ErbB1 selective*

AG-490 (Tyrphostin B42) is an inhibitor of EGFR with IC_{50} of 0.1 μM in cell-free assays; 135-fold more selective for EGFR versus ErbB2; also inhibits JAK2 with no activity to Lck, Lyn, Btk, Syk and Src.

Size 10 mg | 25 mg | 10 mM/1 mL



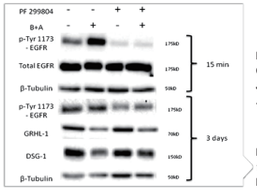
Product Citations (12):
Clin Cancer Res, 2013, 19(17): 4697-705
Cancer Lett, 2015, 359(2): 335-43
...

Data from [**Carcinogenesis,** 2014, 35(4): 795-806]
AG-490 purchased from **Selleck**

S2727 Dacomitinib (PF299804, PF299)

Dacomitinib (PF299804, PF299) is a potent, irreversible pan-ErbB inhibitor, mostly to EGFR with IC_{50} of 6 nM in a cell-free assay; effective against NSCLCs with EGFR or ERBB2 mutations (resistant to gefitinib) as well as those harboring the EGFR T790M mutation. Phase 2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



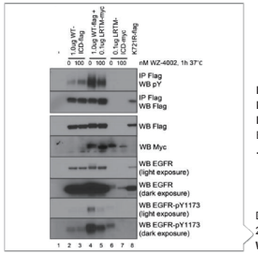
Product Citations (5):
Gut, 2015, 10.1136/gutjnl-2014-309026
J Immunol, 2013, 192(2): 722-31
...

Data from [**J Gastrointest Surg,** 2013, 17(10): 1723-31]
PF299804 purchased from **Selleck**

S1173 WZ4002 *EGFR/ErbB1 selective*

WZ4002 is a novel, mutant-selective EGFR inhibitor for EGFR(L858R) /(T790M) with IC_{50} of 2 nM/8 nM in BaF3 cell line; does not inhibit ERBB2 phosphorylation (T798I).

Size 10 mg 50 mg 100 mg 10 mM/1 mL



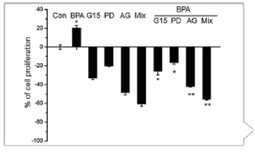
Product Citations (13):
Nat Commun, 2015, 6: 6377
Proc Natl Acad Sci USA, 2013, 110(38): E3595-604
...

Data from [**Proc Natl Acad Sci USA,** 2013, 110(38): E3595-604]
WZ4002 purchased from **Selleck**

S2728 AG-1478 (Tyrphostin AG-1478, NSC 693255) *EGFR/ErbB1 selective*

AG-1478 (Tyrphostin AG-1478) is a selective EGFR inhibitor with IC_{50} of 3 nM in cell-free assays, almost no activity on HER2-Neu, PDGFR, Trk, Bcr-Abl and InsR.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



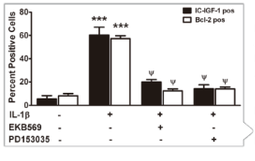
Product Citations (7):
Cell Rep, 2013, 4(4): 764-75
J Pharmacol Exp Ther, 2012, 341(2): 386-95
...

Data from [**Toxicol Lett,** 2014, 226(1): 81-9]
AG-1478 purchased from **Selleck**

S1392 Pelitinib (EKB-569) *EGFR/ErbB1 selective*

Pelitinib (EKB-569) is a potent irreversible EGFR inhibitor with IC_{50} of 38.5 nM. Phase2.

Size 5 mg 25 mg 10 mM/1 mL



Product Citations (4):
J Immunol, 2012, 188(9): 4581-9
Infect Immun, 2014, 82(3): 1243-55
...

Data from [**J Immunol,** 2012, 188(9): 4581-9]
EKB-569 purchased from **Selleck**

S7786 Erlotinib

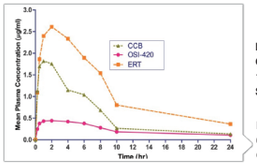
Erlotinib is an EGFR inhibitor with IC_{50} of 2 nM, >1000-fold more sensitive for EGFR than for human c-Src or v-Abl.

Size 50 mg 200 mg

S2205 OSI-420 *EGFR/ErbB1 selective*

OSI-420 is the active metabolite of Erlotinib (EGFR inhibitor with IC_{50} of 2 nM).

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (2):
Carcinogenesis, 2010, 31(11), 1948-1955
Sci Pharm, 2012, 80(3): 633-46

Data from [**Sci Pharm,** 2012, 80(3): 633-46]
OSI-420 purchased from **Selleck**

S7284 Rociletinib (CO-1686, AVL-301) *EGFR/ErbB1 selective*

Rociletinib (CO-1686, AVL-301) is an irreversible, mutant-selective EGFR inhibitor with K_i of 21.5 nM and 303.3 nM for $EGFR^{L858R/T790M}$ and $EGFR^{WT}$ in cell-free assays, respectively. Phase 2.

Size 10 mg 50 mg

S7297 Osimertinib (AZD9291) *EGFR/ErbB1 selective*

Osimertinib(AZD9291) is an oral, irreversible, and mutant-selective EGFR inhibitor with IC_{50} of 12.92, 11.44 and 493.8 nM for Exon 19 deletion EGFR, L858R/T790M EGFR, and WT EGFR in LoVo cells, respectively. Phase 3.

Size 5 mg 10 mg

S1486 AEE788 (NVP-AEE788)

AEE788 (NVP-AEE788) is a potent inhibitor of EGFR and HER2/ErbB2 with IC_{50} of 2 nM and 6 nM; less potent to VEGFR2/KDR, c-Abl, c-Src, and Flt-1; does not inhibit Ins-R, IGF-1R, PKCα and CDK1. Phase 1/2.

Size 5 mg 25 mg 50 mg 10 mM/1 mL

S1342 Genistein

Genistein, a phytoestrogen found in soy products, is a highly specific inhibitor of protein tyrosine kinase (PTK) which blocks the mitogenic effect mediated by EGF on NIH-3T3 cells with IC_{50} of 12 μM or by insulin with IC_{50} of 19 μM.

Size 25 mg 50 mg 100 mg 10 mM/1 mL

S7810 Afatinib (BIBW2992) Dimaleate

Afatinib (BIBW2992) Dimaleate irreversibly inhibits EGFR/HER2 including EGFR(wt), EGFR(L858R), EGFR(L858R/T790M) and HER2 with IC_{50} of 0.5 nM, 0.4 nM, 10 nM and 14 nM, respectively; 100-fold more active against Gefitinib-resistant L858R-T790M EGFR mutant.

Size 10 mg 50 mg 200 mg

S8412 Naquotinib (ASP8273) *new*

Naquotinib(ASP8273) is an orally available, irreversible, mutant-selective, epidermal growth factor receptor (EGFR) inhibitor, with potential antineoplastic activity.

Size 5 mg 25 mg

PDGFR Inhibitors

Inhibitory Selectivity

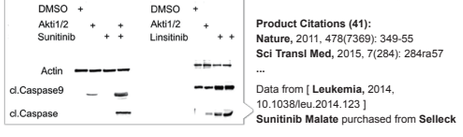
Inhibitor Name	PDGFR	PDGFRα	PDGFRβ	Other
Sorafenib Tosylate			++ IC_{50}: 57 nM	Raf-1,VEGFR2/Flk1,B-Raf
Imatinib Mesylate	++ IC_{50}: 100 nM			c-Kit,v-Abl
Sunitinib Malate			++++ IC_{50}: 2 nM	FLT3,Kit,VEGFR2
Ponatinib		++++ IC_{50}: 1.1 nM		Abl,VEGFR2,FGFR1
Axitinib		+++ IC_{50}: 5.0 nM	++++ IC_{50}: 1.6 nM	VEGFR1/FLT1,VEGFR2/Flk1,VEGFR3
Imatinib	++ IC_{50}: 100 nM			c-Kit,v-Abl
Nintedanib		++ IC_{50}: 59 nM	++ IC_{50}: 65 nM	VEGFR3,VEGFR2,LCK
Pazopanib HCl	++ IC_{50}: 84 nM			VEGFR1,VEGFR2,VEGFR3
Dovitinib		+ IC_{50}: 210 nM	+++ IC_{50}: 27 nM	FLT3,c-Kit,FGFR1
Linifanib			++ IC_{50}: 66 nM	VEGFR1/FLT1,CSF-1R,FLT3
Crenolanib		++++ K_d: 2.1 nM	++++ K_d: 3.2 nM	
Masitinib		+ IC_{50}: 540 nM	+ IC_{50}: 800 nM	Kit,Lyn B,Abl1
Tivozanib		+++ IC_{50}: 40 nM	++ IC_{50}: 49 nM	VEGFR2,VEGFR3,EphB2
Amuvatinib		+++ IC_{50}: 40 nM		c-Kit (D816H),FLT3 (D835Y)
Motesanib Diphosphate	++ IC_{50}: 84 nM			VEGFR1,VEGFR2,VEGFR3
Orantinib			+++ K_i: 8 nM	FGFR1,Flk1
CP-673451		+++ IC_{50}: 10 nM	++++ IC_{50}: 1 nM	c-Kit,VEGFR2,VEGFR1
Ki8751		++ IC_{50}: 67 nM		VEGFR2,c-Kit,FGFR2
Telatinib		+++ IC_{50}: 15 nM		c-Kit,VEGFR3,VEGFR2
PP121	++++ IC_{50}: 2 nM			Hck,VEGFR,mTOR
Pazopanib	++ IC_{50}: 84 nM			VEGFR1,VEGFR2,VEGFR3
KRN 633		+ IC_{50}: 965 nM	+ IC_{50}: 9850 nM	VEGFR3,VEGFR2,VEGFR1
Dovitinib Dilactic Acid		+ IC_{50}: 210 nM	+++ IC_{50}: 27 nM	FLT3,c-Kit,VEGFR3/FLT4
MK-2461			+++ IC_{50}: 22 nM	c-Met (M1250T),c-Met (Y1235D),c-Met (Y1230H)
Tyrphostin AG 1296	+ IC_{50}: 0.3-0.5 μM			c-Kit (Swiss 3T3),FGFR (Swiss 3T3)
Sunitinib			++++ IC_{50}: 2 nM	FLT3 ,Kit ,c-Kit
Dovitinib Lactate			+++ IC_{50}: 27 nM	FLT3,c-Kit,VEGFR3/FLT4
AZD2932			+++ IC_{50}: 4 nM	Flt3,VEGFR-2,c-Kit
Sennoside B	√			

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1042 Sunitinib Malate *Licensed by Pfizer* *PDGFRβ selective*

Sunitinib Malate is a multi-target RTK inhibitor targeting VEGFR2 (Flk-1) and PDGFRβ with IC_{50} of 80 nM and 2 nM in cell-free assays, and also inhibits c-Kit.

Size 50 mg 100 mg 500 mg 10 mM/1 mL



Product Citations (41):
Nature, 2011, 478(7369): 349-55
Sci Transl Med, 2015, 7(284): 284ra57
...

Data from [**Leukemia,** 2014, 10.1038/leu.2014.123]
Sunitinib Malate purchased from **Selleck**

S1536 CP-673451 *PDGFRβ selective*

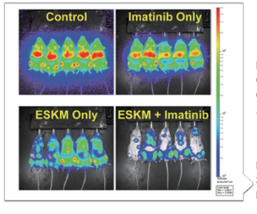
CP-673451 is a selective inhibitor of PDGFRα/β with IC_{50} of 10 nM/1 nM in cell-free assays, exhibiting >450-fold selectivity over other angiogenic receptors, and has antiangiogenic and antitumor activity.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S2475 Imatinib (STI571)

Imatinib (STI571) is a multi-target inhibitor of tyrosine kinase with inhibition for v-Abl, c-Kit and PDGFR, IC_{50} values are 0.6 μM, 0.1 μM and 0.1 μM in cell-free or cell-based assays, respectively.

Size 250 mg 500 mg 10 mM/1 mL



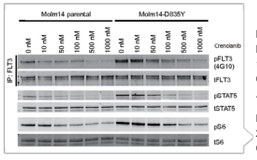
Product Citations (33):
Cancer Cell, 2014, 26(6): 840-50
Cell Stem Cell, 2012, 10(2): 210-7
...

Data from [**Blood,** 2014, 123(21): 3296-304]
Imatinib purchased from **Selleck**

S2730 Crenolanib (CP-868596)

Crenolanib (CP-868596) is a potent and selective inhibitor of PDGFR α/β with K_d of 2.1 nM/3.2 nM in CHO cells, and also potently inhibits FLT3; sensitive to D842V mutation not V561D mutation; >100-fold more selective for PDGFR than c-Kit, VEGFR-2, TIE-2, FGFR-2, EGFR, erbB2, and Src.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (5):
Proc Natl Acad Sci USA, 2014, 10.1073/pnas.1320661111
Clin Cancer Res, 2013, 19(24): 6935-42
...

Data from [**Proc Natl Acad Sci USA,** 2014, 111(14): 5319-24]
Crenolanib purchased from **Selleck**

S8024 Tyrphostin AG 1296 (AG 1296)

Tyrphostin AG 1296 is an inhibitor of PDGFR with IC_{50} of 0.3-0.5 μM, no activity to EGFR.

Size 5 mg 25 mg 10 mM/1 mL

S7781 Sunitinib

Sunitinib is a multi-target RTK inhibitor targeting VEGFR2 (Flk-1) and PDGFRβ with IC_{50} of 80 nM and 2 nM, and also inhibits c-Kit.

Size 50 mg 200 mg

c-Met Inhibitors

Inhibitory Selectivity

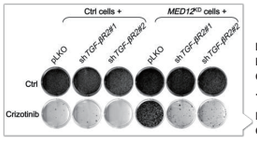
Inhibitor Name	c-Met	Other
Crizotinib	+ IC_{50}: 11 nM	ALK
Cabozantinib	+++ IC_{50}: 1.3 nM	VEGFR2/KDR,Kit,VEGFR3/FLT4
Foretinib	++++ IC_{50}: 0.4 nM	KDR,Tie-2,VEGFR3/FLT4
PHA-665752	++ IC_{50}: 9 nM	RON,Flk1,c-Abl
SU11274	+ IC_{50}: 0.01 μM	Flk1,RON,FGFR1
SGX-523	+++ IC_{50}: 4 nM	
BMS-777607	+++ IC_{50}: 3.9 nM	Axl,RON,Tyro3
Tivantinib	+ K_i: 0.355 μM	
JNJ-38877605	+++ IC_{50}: 4 nM	
PF-04217903	++ IC_{50}: 4.8 nM	
MGCD-265	++++ IC_{50}: 1 nM	RON,VEGFR2,VEGFR1
Capmatinib	++++ IC_{50}: 0.13 nM	
BMS-754807	++ IC_{50}: 5.6 nM	Insulin Receptor,IGF-1R,TrkB
BMS-794833	+++ IC_{50}: 1.7 nM	VEGFR2
AMG-208	++ IC_{50}: 9 nM	
MK-2461	++++ IC_{50}: 2.5~0.4 nM	c-Met (Y1235D),c-Met (Y1230C),c-Met (N1100)
Golvatinib	+ IC_{50}: 14 nM	VEGFR2
AMG-458	++++ K_i: 0.5~4.1 nM	VEGFR2
Tepotinib	+++ IC_{50}: 4 nM	IRAK4,TrkA,Axl
NVP-BVU972	+ IC_{50}: 14 nM	
Merestinib	+++ K_i: 2 nM	
AMG 337	++++ IC_{50}: 1~21.5 nM	
NPS-1034	+ IC_{50}: 48 nM	Axl

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1068 Crizotinib (PF-02341066) Licensed by Pfizer

Crizotinib (PF-02341066) is a potent inhibitor of c-Met and ALK with IC_{50} of 11 nM and 24 nM in cell-based assays, respectively.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



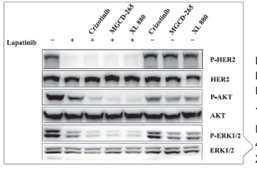
Product Citations (62):
Nature, 2012, 487(7408): 505-9
Cell, 2012, 151(5): 937-50
...

Data from [**Cell,** 2012, 151(5): 937-50]
Crizotinib purchased from **Selleck**

S1111 Foretinib (GSK1363089)

Foretinib (GSK1363089) is an ATP-competitive inhibitor of HGFR and VEGFR, mostly for Met and KDR with IC_{50} of 0.4 nM and 0.9 nM in cell-free assays. Less potent against Ron, Flt-1/3/4, Kit, PDGFRα/β and Tie-2, and little activity to FGFR1 and EGFR. Phase 2.

Size 5 mg 50 mg 10 mM/1 mL



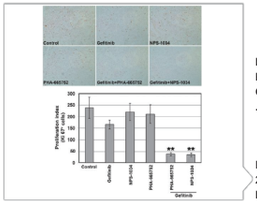
Product Citations (6):
Nat Genet, 2012, 44(8): 852-60
Leukemia, 2013, 28(3): 629-41
...

Data from [**Cancer Lett,** 2013, 340(1): 43-50]
XL-880 purchased from **Selleck**

S1070 PHA-665752

PHA-665752 is a potent, selective and ATP-competitive c-Met inhibitor with IC_{50} of 9 nM in cell-free assays, >50-fold selectivity for c-Met than for RTKs or STKs.

Size 5 mg 10 mg 50 mg 200 mg



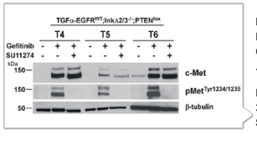
Product Citations (20):
Nat Genet, 2012, 44(8): 852-60
Cancer Discov, 2013, 3(12): 1404-15
...

Data from [**Cancer Res,** 2014, 74(1): 253-62]
PHA-665752 purchased from **Selleck**

S1080 SU11274

SU11274 is a selective Met inhibitor with IC_{50} of 10 nM in cell-free assays, no effects on PGDFRβ, EGFR or Tie2.

Size 2 mg 5 mg 25 mg 10 mM/1 mL



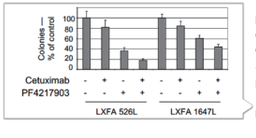
Product Citations (17):
Nat Med, 2012, 18(7): 1118-22
Clin Cancer Res, 2014, 20(22): 5796-807
...

Data from [**Oncogene,** 2012, 31(25): 3039-50]
SU11274 purchased from **Selleck**

S1094 PF-04217903

PF-04217903 is a selective ATP-competitive c-Met inhibitor with IC_{50} of 4.8 nM in A549 cell line, susceptible to oncogenic mutations (no activity to Y1230C mutant). Phase 1.

Size 5 mg 50 mg 100 mg 10 mM/1 mL



Product Citations (6):
Clin Cancer Res, 2014, 20(17): 4559-73
Clin Cancer Res, 2015, 21(1): 166-74
...

Data from [**Eur J Cancer,** 2011, 47(8): 1231-43]
PF-04217903 purchased from **Selleck**

S7067 Tepotinib (EMD 1214063)

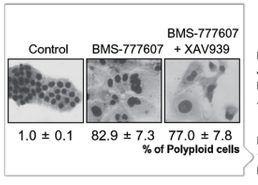
Tepotinib (EMD 1214063) is a potent and selective c-Met inhibitor with IC_{50} of 4 nM, >200-fold selective for c-Met than for IRAK4, TrkA, Axl, IRAK1, and Mer. Phase 1.

Size 5 mg 25 mg

S1561 BMS-777607

BMS-777607 is a Met-related inhibitor for c-Met, Axl, Ron and Tyro3 with IC_{50} of 3.9 nM, 1.1 nM, 1.8 nM and 4.3 nM in cell-free assays, 40-fold more selective for Met-related targets versus Lck, VEGFR-2, and TrkA/B, and more than 500-fold greater selectivity versus all other receptor and non-receptor kinases. Phase 1/2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



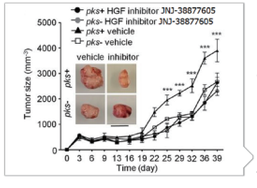
Product Citations (8):
J Clin Invest, 2014, 124(11): 4737-52
Mol Cancer Ther, 2014, 13(1): 37-48
...

Data from [**Mol Cancer Ther,** 2014, 13(1): 37-48]
BMS-777607 purchased from **Selleck**

S1114 JNJ-38877605

JNJ-38877605 is an ATP-competitive inhibitor of c-Met with IC_{50} of 4 nM, 600-fold selective for c-Met than for 200 other tyrosine and serine-threonine kinases. Phase 1.

Size 2 mg 10 mg 50 mg 10 mM/1 mL



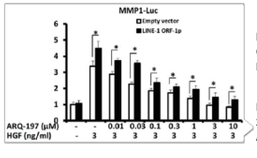
Product Citations (8):
Nature, 2015, 10.1038/nature14407
Gut, 2014, 10.1136/gutjnl-2013-305257
...

Data from [**Gut,** 2014, 10.1136/gutjnl-2013-305257]
JNJ-38877605 purchased from **Selleck**

S2753 Tivantinib (ARQ 197)

Tivantinib (ARQ 197) is the first non-ATP-competitive c-Met inhibitor with K_i of 0.355 μM in a cell-free assay, little activity to Ron, and no inhibition to EGFR, InsR, PDGFRα or FGFR1/4. Phase 3.

Size 10 mg 50 mg



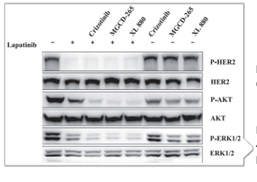
Product Citations (2):
Cell Signal, 2013, 25(12): 2652-60
PLoS One, 2014, 9(9): e105919

Data from [**Cell Signal,** 2013, 25(12): 2652-60]
ARQ 197 purchased from **Selleck**

S1361 MGCD-265

MGCD-265 is a potent, multi-target and ATP-competitive inhibitor of c-Met and VEGFR1/2/3 with IC_{50} of 1 nM, 3 nM/3 nM/4 nM, respectively; also inhibits Ron and Tie2. Phase 1/2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citation (1):
Cancer Lett, 2013, 340(1): 43-50

Data from [**Cancer Lett,** 2013, 340(1): 43-50]
MGCD-265 purchased from **Selleck**

S2788 Capmatinib (INCB28060)

Capmatinib (INCB28060) is a novel, ATP-competitive inhibitor of c-MET with IC_{50} of 0.13 nM in a cell-free assay, inactive against RONβ, as well as EGFR and HER-3. Phase 1.

Size 10 mg 50 mg

S8167 AMG 337 new

AMG 337 is an oral, small molecule, ATP-competitive, highly selective inhibitor of the MET receptor.

Size 5 mg 25 mg

S7014 Merestinib (LY2801653) new

LY2801653 is a type-II ATP competitive, slow-off inhibitor of MET tyrosine kinase with a dissociation constant (K_i) of 2 nM, a pharmacodynamic residence time (K_{off}) of 0.00132 min(-1) and t1/2 of 525 min.

Size 5 mg 25 mg

HER2 Inhibitors

Inhibitory Selectivity

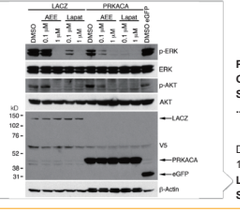
Inhibitor Name	HER2	Other
Lapatinib Ditosylate	+++ IC_{50}: 9.2 nM	EGFR,ErbB4,c-Src
Afatinib	++ IC_{50}: 14 nM	EGFR (L858R),EGFR (wt),EGFR (L858R/T790M)
Neratinib	+ IC_{50}: 59 nM	EGFR,KDR,Src
Canertinib	+++ IC_{50}: 9.0 nM	EGFR
Lapatinib	+++ IC_{50}: 9.2 nM	EGFR,ErbB4,c-Src
CP-724714	+++ IC_{50}: 10 nM	
Sapitinib	++++ IC_{50}: 3 nM	EGFR,ErbB3
CUDC-101	++ IC_{50}: 15.7 nM	EGFR,HDAC,HDAC1
Mubritinib	++++ IC_{50}: 6.0 nM	
AEE788	++++ IC_{50}: 6 nM	EGFR,c-Abl,FLT1
AC480	+ IC_{50}: 30 nM	HER1,HER4
TAK-285	++ IC_{50}: 17 nM	EGFR/HER1,HER4,MEK1
Tyrphostin AG 879	+ IC_{50}: 1.0 μM	Trk
Irbinitinib	+++ IC_{50}: 8 nM	p95 HER2
Afatinib Dimaleate	++ IC_{50}: 14 nM	EGFR (L858R),EGFR (wt),EGFR (L858R/T790M)

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1028 Lapatinib (GW-572016) Ditosylate

Lapatinib (GW-572016) Ditosylate is a potent EGFR and ErbB2 inhibitor with IC_{50} of 10.8 and 9.2 nM in cell-free assays, respectively.

Size 25 mg 100 mg 10 mM/1 mL



Product Citations (54):
Cancer Cell, 2012, 21(4): 488-503
Sci Transl Med, 2015, 7(284): 284ra57
...

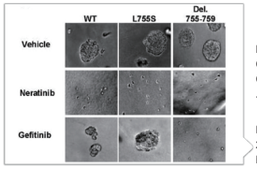
Data from [**Oncogene,** 2014, 10.1038/onc.2014.153]
Lapatinib Ditosylate purchased from **Selleck**

Protein Tyrosine Kinase

S2150 Neratinib (HKI-272)

Neratinib (HKI-272) is a highly selective HER2 and EGFR inhibitor with IC_{50} of 59 nM and 92 nM in cell-free assays; weakly inhibits KDR and Src, no significant inhibition to Akt, CDK1/2/4, IKK-2, MK-2, PDK1, c-Raf and c-Met. Phase 3.

Size 5 mg 25 mg 100 mg



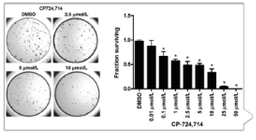
Product Citations (11):
Cancer Discov, 2013, 3(2): 168-81
Cancer Discov, 2013, 3(2): 224-37
...

Data from [**Cancer Discov,** 2013, 3(2): 224-37]
Neratinib purchased from **Selleck**

S1167 CP-724714

CP-724714 is a potent, selective inhibitor of HER2/ErbB2 with IC_{50} of 10 nM, >640-fold selectivity against EGFR, InsR, IRG-1R, PDGFR, VEGFR2, Abl, Src, c-Met etc in cell-free assays. Phase 2.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



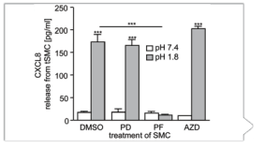
Product Citations (4):
Cancer Res, 2014, 74(1): 341-52
PLoS One, 2015, 10(4): e0123623
...

Data from [**Cancer Res,** 2014, 74(1): 341-52]
CP-724714 purchased from **Selleck**

S2192 Sapitinib (AZD8931)

Sapitinib (AZD8931) is a reversible, ATP competitive inhibitor of EGFR, ErbB2 and ErbB3 with IC_{50} of 4 nM, 3 nM and 4 nM in cell-free assays, more potent than Gefitinib or Lapatinib against NSCLC cell, 100-fold more selective for the ErbB family than MNK1 and Flt. Phase 2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



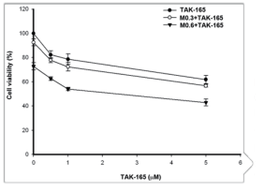
Product Citations (5):
Gut, 2015, 10.1136/gutjnl-2014-309026
Clin Cancer Res, 2015, 10.1158/1078
...

Data from [**J Immunol,** 2014, 192(2): 722-31]
AZD8931 purchased from **Selleck**

S2216 Mubritinib (TAK 165)

Mubritinib (TAK 165) is a potent inhibitor of HER2/ErbB2 with IC_{50} of 6 nM in BT-474 cell; no activity to EGFR, FGFR, PDGFR, JAK1, Src and Blk in BT-474 cell line. Phase 1.

Size 10 mg 25 mg 200 mg 10 mM/1 mL



Product Citations (4):
Cell Death Dis, 2013, 4: e810
Br J Pharmacol, 2012, 166(3): 858-76
...

Data from [**Cell Death Dis,** 2013, 4: e810]
TAK 165 purchased from **Selleck**

IGF-1R Inhibitors

Inhibitory Selectivity

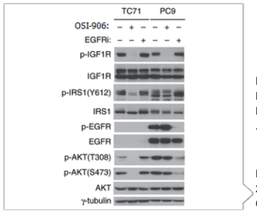
Inhibitor Name	IGF-1R	Insulin Receptor	Other
Linsitinib	+++ IC_{50}: 35 nM	++ IC_{50}: 75 nM	IRR
NVP-AEW541	++ IC_{50}: 0.15 μM	++ IC_{50}: 0.14 μM	FLT3,Tek,FLT1
GSK1904529A	+++ IC_{50}: 27 nM	+++ IC_{50}: 25 nM	IKK3,VEGFR2,Syk
NVP-ADW742	+ IC_{50}: 0.17 μM		
BMS-536924	++ IC_{50}: 100 nM	+++ IC_{50}: 73 nM	FAK,MEK,LCK
AG-1024	+ IC_{50}: 7 μM	+ IC_{50}: 57 μM	
GSK1838705A	+++ IC_{50}: 2 nM	++++ IC_{50}: 1.6 nM	ALK,RSK1,JNK3
BMS-754807	++++ IC_{50}: 1.8 nM	++++ IC_{50}: 1.7 nM	TrkB,Met,TrkA
PQ 401	+ IC_{50}: <1 μM		
Picropodophyllin	++++ IC_{50}: 1 nM		

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1091 Linsitinib (OSI-906)

Linsitinib (OSI-906) is a selective inhibitor of IGF-1R with IC_{50} of 35 nM in cell-free assays; modestly potent to InsR with IC_{50} of 75 nM, and no activity towards Abl, ALK, BTK, EGFR, FGFR1/2, PKA etc. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



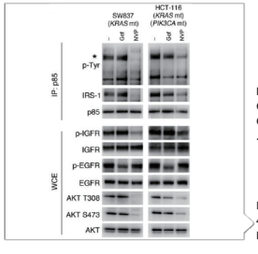
Product Citations (15):
Nat Struct Mol Biol, 2014, 21(6): 522-7
Blood, 2013, 122(9): 1621-33
...

Data from [**Nat Struct Mol Biol,** 2014, 21(6): 522-7]
OSI-906 purchased from **Selleck**

S1034 NVP-AEW541 (AEW541)

NVP-AEW541 is a potent inhibitor of IGF-1R/InsR with IC_{50} of 150 nM/140 nM in cell-free assays, greater potency and selectivity for IGF-1R in a cell-based assay.

Size 2 mg 5 mg 10 mg 10 mM/1 mL



Product Citations (20):
Cancer Cell, 2015, 27(1): 97-108
Cancer Discov, 2012, 2(3): 227-35
...

Data from [**J Clin Invest,** 2011, 121(11): 4311-21]
NVP-AEW541 purchased from **Selleck**

S7668 Picropodophyllin (PPP)

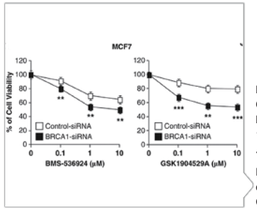
Picropodophyllin (PPP) is a IGF-1R inhibitor with IC_{50} of 1 nM. It displays selectivity for IGF-1R and does not coinhibit tyrosine phosphorylation the IR, or of a selected panel of receptors less related to IGF-IR(FGF-R, PDGF-R, OR EGF-R).

Size 5 mg 25 mg 100 mg

S1093 GSK1904529A

GSK1904529A is a selective inhibitor of IGF-1R and IR with IC_{50} of 27 nM and 25 nM in cell-free assays, >100-fold more selective for IGF-1R/InsR than Akt1/2, Aurora A/B, B-Raf, CDK2, EGFR etc.

Size 10 mg 50 mg 10 mM/1 mL



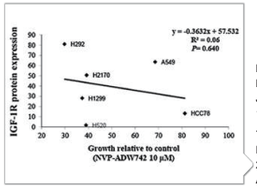
Product Citations (6):
Clin Cancer Res, 2014, 20(17): 4559-73
Mol Cell Proteomics, 2015, 10.1074/mcp.M114.045468
...

Data from [**Cell Death Dis,** 2012, 3: e336]
GSK1904529A purchased from **Selleck**

S1088 NVP-ADW742 (GSK 552602A, ADW742)

NVP-ADW742 is an IGF-1R inhibitor with IC_{50} of 0.17 μM, >16-fold more potent against IGF-1R than InsR; little activity to HER2, PDGFR, VEGFR-2, Bcr-Abl and c-Kit.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



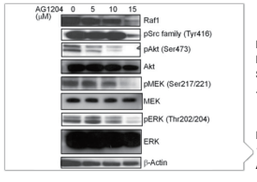
Product Citations (4):
Mol Endocrinol, 2015, 29(3): 373-83
J Cancer Res Clin Oncol, 2014, 10.1007/s00432-014-1787-z
...

Data from [**J Cancer Res Clin Oncol,** 2014, 10.1007/s00432-014-1787-z]
ADW742 purchased from **Selleck**

S1234 AG-1024 (Tyrphostin)

AG-1024 (Tyrphostin) inhibits IGF-1R autophosphorylation with IC_{50} of 7 μM, is less potent to IR with IC_{50} of 57 μM and specifically distinguishes between InsR and IGF-1R (as compared to other tyrphostins).

Size 5 mg 10 mg 10 mM/1 mL



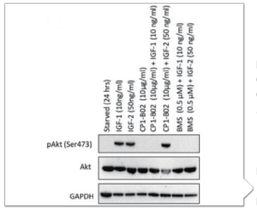
Product Citations (5):
Blood, 2013, 122(9): 1621-33
Sci Rep, 2015, 5: 11634
...

Data from [**Blood,** 2013, 122(9): 1621-33]
AG-1024 purchased from **Selleck**

S1124 BMS-754807

BMS-754807 is a potent and reversible inhibitor of IGF-1R/InsR with IC_{50} of 1.8 nM/1.7 nM in cell-free assays, less potent to Met, Aurora A/B, TrkA/B and Ron, and shows little activity to Flt3, Lck, MK2, PKA, PKC etc. Phase 2.

Size 5 mg 10 mg 50 mg



Product Citations (4):
Cancer Res, 2014, 74(20): 5866-77
Clin Cancer Res, 2013, 19(11): 2984-94
...

Data from [**Clin Cancer Res,** 2013, 19(11): 2984-94]
BMS-754807 purchased from **Selleck**

FLT3 Inhibitors

Inhibitory Selectivity

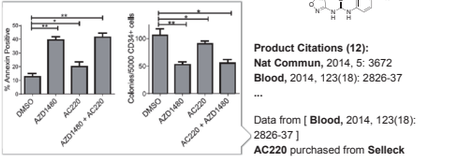
Inhibitor Name	Insulin Receptor	Other
Quizartinib	+++ IC_{50}: 1.1-4.2 nM	
Dovitinib	++++ IC_{50}: 1 nM	c-Kit,VEGFR3/FLT4,FGFR1
Amuvatinib	+ IC_{50}: 81 nM	c-Kit (D816H),PDGFRα (V561D)
KW-2449	++++ IC_{50}: 1-6.6 nM	Abl (T315I),Abl,FGFR1
Dovitinib Dilactic Acid	++++ IC_{50}: 1 nM	c-Kit,FGFR1,VEGFR3/FLT4
ENMD-2076 L-(+)-Tartaric acid	++ IC_{50}: 1.86 nM	RET,Aurora A,VEGFR3/FLT4
UNC2025	++++ IC_{50}: 0.8 nM	Mer,Axl,Tyro3
G-749	++++ IC_{50}: 0.4-0.6 nM	Mer,Aurora B,RET
AZD2932	++ IC_{50}: 7 nM	PDGFRβ,VEGFR-2,c-Kit
R406	√	Syk
Go6976	√	JAK2,PKCα,PKCβ1

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1526 Quizartinib (AC220)

Quizartinib (AC220) is a second-generation FLT3 inhibitor for Flt3(ITD/WT) with IC_{50} of 1.1 nM/4.2 nM in MV4-11 and RS4; 11 cells, respectively; 10-fold more selective for Flt3 than KIT, PDGFRα, PDGFR β, RET, and CSF-1R. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



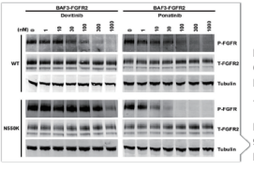
Product Citations (12):
Nat Commun, 2014, 5: 3672
Blood, 2014, 123(18): 2826-37
...

Data from [**Blood,** 2014, 123(18): 2826-37]
AC220 purchased from **Selleck**

S1018 Dovitinib (TKI-258, CHIR-258)

Dovitinib (TKI258, CHIR258) is a multitargeted RTK inhibitor, mostly for class III (FLT3/c-Kit) with IC_{50} of 1 nM/2 nM, also potent to class IV (FGFR1/3) and class V (VEGFR1-4) RTKs with IC_{50} of 8-13 nM, less potent to InsR, EGFR, c-Met, EphA2, Tie2, IGF-1R and HER2 in cell-free assays. Phase 4.

Size 10 mg 25 mg 50 mg 10 mM/1 mL



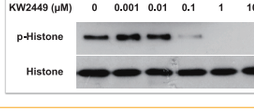
Product Citations (7):
Cancer Res, 2013, 73(16): 5195-205
Haematologica, 2011, 96(6): 922-6
...

Data from [**Neoplasia,** 2013, 15(8) : 975-88]
Dovitinib purchased from **Selleck**

S2158 KW-2449

KW-2449 is a multiple-target inhibitor, mostly for Flt3 with IC_{50} of 6.6 nM, modestly potent to FGFR1, Bcr-Abl and Aurora A; little effect on PDGFR β, IGF-1R, EGFR. Phase 1.

Size 10 mg 50 mg 10 mM/1 mL



Data independently produced by Dr. Zhang of Tianjin Medical University
KW-2449 purchased from **Selleck**

FGFR Inhibitors

Inhibitory Selectivity

Inhibitor Name	FGFR	FGFR1	FGFR2	FGFR3	FGFR4	Other
Ponatinib		++++ IC50: 2.2 nM				Abl,PDGFRα,VEGFR2
BGJ398		++++ IC50: 0.9 nM	++++ IC50: 1.4 nM	++++ IC50: 1.0 nM	++ IC50: 60 nM	
Nintedanib		++ IC50: 69 nM	++ IC50: 37 nM	++ IC50: 108 nM	+ IC50: 610 nM	VEGFR3,VEGFR2,LCK
PD173074		++ IC50: ~25 nM				VEGFR2,c-Src
Dovitinib		+++ IC50: 8 nM		+++ IC50: 9 nM		FLT3,c-Kit,VEGFR3/FLT4
AZD4547		++++ IC50: 0.2 nM	++++ IC50: 2.5 nM	++++ IC50: 1.8 nM		KDR
Danusertib		++ IC50: 47 nM				Aurora A,Abl,TrkA
Orantinib		+ Ki: 1.2 μM				PDGFRβ,Flk1
Brivanib		+ IC50: 148 nM				VEGFR2,Flk1,VEGFR1
Dovitinib Dilactic Acid		+++ IC50: 8 nM		+++ IC50: 9 nM		FLT3,c-Kit,VEGFR3/FLT4
MK-2461		+ IC50: 65 nM	++ IC50: 39 nM	+ IC50: 50 nM		c-Met (M1250T),c-Met (Y1235D), c-Met (Y1230H)
Brivanib Alaninate		+ IC50: 148 nM				VEGFR2,Flk1,VEGFR1
SSR128129E		+ IC50: 1.9 μM				
BLU-554					+++ IC50: 5 nM	
SU5402		++ IC50: 30 nM				VEGFR2,PDGFRβ
BLU9931				+ IC50: 150 nM	++++ IC50: 3 nM	
FIIN-2		+++ IC50: 3.09 nM	+++ IC50: 4.3 nM	++ IC50: 27 nM	++ IC50: 45.3 nM	
Dovitinib Lactate		+++ IC50: 8 nM		+++ IC50: 9 nM		FLT3,c-Kit,VEGFR3/FLT4
CH5183284		++ IC50: 9.3 nM	+++ IC50: 7.6 nM	++ IC50: 22 nM	+ IC50: 290 nM	
LY2874455		++++ IC50: 2.8 nM	++++ IC50: 2.6 nM	+++ IC50: 6.4 nM	+++ IC50: 6 nM	VEGFR2
Erdafitinib	√					

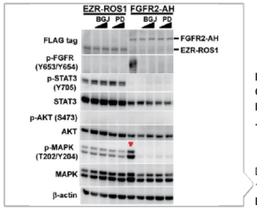
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC50s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2183 BGJ398 (NVP-BGJ398)

BGJ398 (NVP-BGJ398) is a potent and selective FGFR inhibitor for FGFR1/2/3 with IC_{50} of 0.9 nM/1.4 nM/1 nM in cell-free assays, >40-fold selective for FGFR versus FGFR4 and VEGFR2, and little activity to Abl, Fyn, Kit, Lck, Lyn and Yes. Phase 2.

Size 5 mg 25 mg 100 mg 200 mg



Product Citations (12):
Cancer Cell, 2015, 27(1): 97-108
Hepatology, 2014, 59(4): 1427-34
...

Data from [**Hepatology,** 2014, 59(4): 1427-34]
BGJ398 purchased from **Selleck**

S2801 AZD4547

AZD4547 is a novel selective FGFR inhibitor targeting FGFR1/2/3 with IC_{50} of 0.2 nM/2.5 nM/1.8 nM in cell-free assays, weaker activity against FGFR4, VEGFR2(KDR), and little activity observed against IGFR, CDK2, and p38. Phase 2/3.

Size 5 mg 50 mg 100 mg 10 mM/1 mL

Product Citations (8):
Nat Commun, 2015, 6: 7002
Mol Cell Biol, 2014, 34(18): 3535-45
...

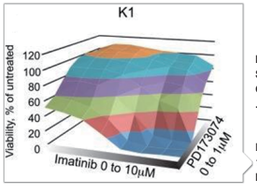
Data from [**Cell Physiol Biochem,** 2014, 33(3): 633-45]
AZD4547 purchased from **Selleck**

S1264 PD173074 Licensed by Pfizer

FGFR1 selective

PD173074 is a potent FGFR1 inhibitor with IC_{50} of ~25 nM and also inhibits VEGFR2 with IC_{50} of 100-200 nM in cell-free assays, ~1000-fold selective for FGFR1 than PDGFR and c-Src.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (17):
Science, 2011, 331(6019): 912-6
Cancer Discov, 2013, 3(6): 636-47
...

Data from [**Blood,** 2014, 123(10): 1516-24]
PD173074 purchased from **Selleck**

S7057 LY2874455

LY2874455 is a pan-FGFR inhibitor with IC_{50} of 2.8 nM, 2.6 nM, 6.4 nM, and 6 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively, and also inhibits VEGFR2 activity with IC_{50} of 7 nM. Phase 1.

Size 5 mg 25 mg 100 mg

S7819 BLU9931

BLU9931 is a potent, selective, and irreversible FGFR4 inhibitor with IC_{50} of 3 nM, about 297-, 184-, and 50-fold selectivity over FGFR1/2/3, respectively.

Size 5 mg 25 mg

S7665 CH5183284 (Debio-1347)

CH5183284 is a selective and orally available FGFR inhibitor with IC_{50} of 9.3 nM, 7.6 nM, 22 nM, and 290 nM for FGFR1, FGFR2, FGFR3, and FGFR4, respectively. Phase 1.

Size 5 mg 25 mg 100 mg

S7667 SU5402

SU5402 is a potent multi-targeted receptor tyrosine kinase inhibitor with IC_{50} of 20 nM, 30 nM, and 510 nM for VEGFR2, FGFR1, and PDGF-R β, respectively.

Size 10 mg 50 mg

S8503 BLU-554 (BLU554) new

BLU-554 is a potent, highly-selective, oral FGFR4 inhibitor with an IC_{50} value of 5 nM. The IC50s for FGFR1-3 is 624-2203 nM.

Size 2 mg 5 mg 25 mg

c-Kit Inhibitors

Inhibitory Selectivity

Inhibitor Name	c-Kit	Other
Dasatinib	++ IC50: 37-79 nM	Abl,Src
Imatinib Mesylate	+ IC50: 100 nM	PDGFR,v-Abl
Cabozantinib	+++ IC50: 4.6 nM	VEGFR2/KDR,c-Met,VEGFR3/FLT4
Axitinib	++++ IC50: 1.7 nM	VEGFR1/FLT1,VEGFR2/Flk1,VEGFR2/KDR
Pazopanib HCl	+ IC50: 140 nM	VEGFR1,VEGFR2,VEGFR3
Dovitinib	++++ IC50: 2 nM	FLT3,FGFR1,VEGFR3/FLT4
Vatalanib 2HCl	+ IC50: 730 nM	VEGFR2/KDR,VEGFR1/FLT1,VEGFR2/Flk1
Masitinib	+ IC50: 200 nM	Lyn B,PDGFRα,PDGFRβ
Tivozanib	++ IC50: 78 nM	VEGFR2,VEGFR3,EphB2
Amuvatinib	+++ IC50: 10 nM	PDGFRα (V561D),FLT3 (D835Y)
Motesanib Diphosphate	+++ IC50: 8 nM	VEGFR1,VEGFR2,VEGFR2/Flk1
OSI-930	++ IC50: 80 nM	FLT1,KDR,CSF-1R
Ki8751	++ IC50: 40 nM	VEGFR2,PDGFRα,FGFR2
Telatinib	++++ IC50: 1 nM	VEGFR3,VEGFR2,PDGFRα
Pazopanib	+ IC50: 140 nM	VEGFR1,VEGFR2,VEGFR3
Dovitinib Dilactic Acid	++++ IC50: 2 nM	FLT3,FGFR1,VEGFR3/FLT4
Tyrphostin AG 1296	+ IC50: 1.8 μM	PDGFR,FGFR (Swiss 3T3)
Dasatinib Monohydrate	++ IC50: 37-79 nM	Abl ,Src
Dovitinib Lactate	++++ IC50: 2 nM	FLT3,FGFR1,VEGFR3/FLT4
AZD2932	+++ IC50: 9 nM	PDGFRβ,Flt3,VEGFR-2
Sunitinib Malate	√	FLT3,PDGFRβ,VEGFR2
Sunitinib	√	FLT3 ,PDGFRβ ,VEGFR2

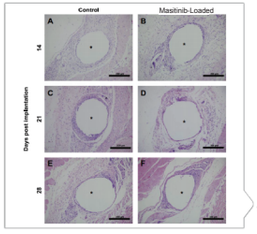
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC50s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1064 Masitinib (AB1010)

Masitinib is a novel inhibitor for Kit and PDGFRα/β with IC_{50} of 200 nM and 540 nM/800 nM, weak inhibition to ABL and c-Fms. Phase 3.

Size 10 mg 25 mg 200 mg 10 mM/1 mL



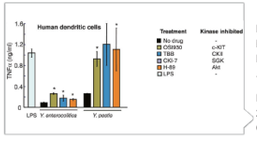
Product Citations (4):
Mol Syst Biol, 2015, 11(1): 789
Biomaterials, 2013, 34(38): 9737-46
...

Data from [**Biomaterials,** 2013, 34(38): 9737-46]
Masitinib purchased from **Selleck**

S1220 OSI-930

OSI-930 is a potent inhibitor of Kit, KDR and CSF-1R with IC_{50} of 80 nM, 9 nM and 15 nM, respectively; also potent to Flt-1, c-Raf and Lck and low activity against PDGFRα/β, Flt-3 and Abl. Phase 1.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



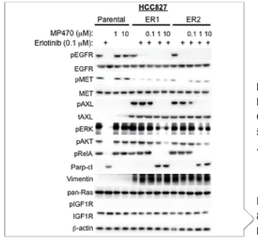
Product Citations (3):
Mol Syst Biol, 2015, 11(1): 789
Biochem Pharmacol, 2012, 84(6): 766-74
...

Data from [**BMC Microbiol,** 2013, 13(1): 249]
OSI-930 purchased from **Selleck**

S1244 Amuvatinib (MP-470, HPK 56)

Amuvatinib (MP-470) is a potent and multi-target inhibitor of c-Kit, PDGFRα and Flt3 with IC_{50} of 10 nM, 40 nM and 81 nM, respectively. Phase 2.

Size 2 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (4):
Nat Genet, 2012, 44(8): 852-60
Cancer Res, 2014, 74(20): 5878-901316-24
...

Data from [**Nat Genet,** 2012, 44 (8): 852-60]
MP-470 purchased from **Selleck**

ALK Inhibitors

Inhibitory Selectivity

Inhibitor Name	ALK	Other
Crizotinib	+ IC50: 24 nM	c-Met
TAE684	++ IC50: 3 nM	
Alectinib	++ IC50: 1-3.5 nM	
Ceritinib	++++ IC50: 0.2 nM	Insulin Receptor,IGF-1R
AP26113-analog	++++ IC50: 0.07 nM	EGFR(C797S/del19),IGF1R,EGFR(del19)
GSK1838705A	+++ IC50: 0.5 nM	Insulin Receptor,IGF-1R

Protein Tyrosine Kinase

Inhibitory Selectivity

Inhibitor Name	ALK	Other
AZD3463	+++ K_i: 0.75 nM	
ASP3026	+ IC_{50}: 3.5 nM	
Brigatinib	+++ IC_{50}: 0.37~1.9 nM	FLT3,IGF1R,EGFR(C797S/del19)
Lorlatinib	++++ K_i: <0.07 nM	LTK (TYK1),FER,FES (FPS)
Entrectinib	√	TrkC,TrkB,TrkA

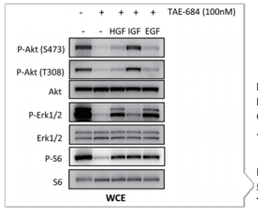
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1108 TAE684 (NVP-TAE684)

TAE684 (NVP-TAE684) is a potent and selective ALK inhibitor with IC_{50} of 3 nM in a cell-free assay, 100-fold more sensitive for ALK than InsR.

Size 5 mg 10 mg 50 mg



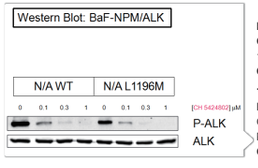
Product Citations (16):
Nature, 2012, 487(7408): 505-9
Cell, 2012, 151(5): 937-50
...

Data from [**Cancer Res,** 2011, 71(18): 5965-75]
TAE684 purchased from **Selleck**

S2762 Alectinib (CH5424802, AF-802, RG-7853)

Alectinib (CH5424802) is a potent ALK inhibitor with IC_{50} of 1.9 nM in cell-free assays, sensitive to L1196M mutation and higher selectivity for ALK than for PF-02341066, NVP-TAE684 and PHA-E429.

Size 5 mg 10 mg 50 mg



Product Citations (5):
Clin Cancer Res, 2015, 10.1158/1078-0432.CCR-15-0016
Oncotarget, 2014, 5(13): 4920-8
...

Data independently produced by Prof. Gambacorti from Università degli Studi di Milano Bicocca
CH5424802 purchased from **Selleck**

S7083 Ceritinib (LDK378)

Ceritinib (LDK378) is a potent inhibitor against ALK with IC_{50} of 0.2 nM in cell-free assays, showing 40- and 35-fold selectivity against IGF-1R and InsR, respectively. Phase 3.

Size 5 mg 50 mg

S7000 AP26113

AP26113 is a potent ALK inhibitor with IC_{50} of 0.62 nM in a cell-free assay, demonstrated ability to overcome Crizotinib resistance mediated by a L1196M mutation. Phase 2.

Size 5 mg 10 mg 10 mM/1 mL

S7536 PF-06463922

PF-06463922 is a potent, dual ALK/ROS1 inhibitor with K_i of <0.02 nM, <0.07 nM, and 0.7 nM for ROS1, ALK (WT), and ALK (L1196M), respectively. Phase 1.

Size 5 mg 25 mg

Trk Receptor Inhibitors

S7519 GNF-5837

GNF-5837 is a selective, and orally bioavailable pan-TRK inhibitor for TrkA, and TrkB with IC_{50} of 8 nM, and 12 nM, respectively.

Size 10 mg 50 mg 200 mg

S7998 Entrectinib (RXDX-101)

Entrectinib (RXDX-101) is an orally bioavailable pan-TrkA/B/C, ROS1 and ALK inhibitor with IC_{50} ranging between 0.1 and 1.7 nM. Phase 2.

Size 5 mg 25 mg 100 mg

S7960 Larotrectinib (LOXO-101) sulfate new

Larotrectinib (LOXO-101) sulfate is an oral potent and selective ATP-competitive inhibitor of tropomyosin receptor kinases (TRK).

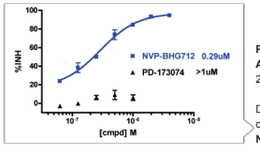
Size 5 mg 25 mg 100 mg

Ephrin Receptor Inhibitor

S2202 NVP-BHG712

NVP-BHG712 is a specific EphB4 inhibitor with ED_{50} of 25 nM that discriminates between VEGFR and EphB4 inhibition; also shows activity against c-Raf, c-Src and c-Abl with IC_{50} of 0.395 μM, 1.266 μM and 1.667 μM, respectively.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citation (1):
Anticancer Research, 2014, 34(6): 2913-8

Data independently produced by one customer
NVP-BHG712 purchased from **Selleck**

CSF-1R Inhibitors

Inhibitory Selectivity

Inhibitor Name	CSF-1R	Other
Linifanib	+++ IC_{50}: 3 nM	VEGFR1/FLT1,FLT3,VEGFR2/KDR
OSI-930	++ IC_{50}: 15 nM	FLT1,KDR,LCK
GW2580	+ IC_{50}: 30 nM	
CEP-32496	+++ K_d: 9 nM	c-Kit,RET,PDGFRβ
Pexidartinib	++ IC_{50}: 20 nM	Kit,Flt3
BLZ945	++++ IC_{50}: 1 nM	

Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S8042 GW2580 (SC-203877)

GW2580 is a selective CSF-1R inhibitor for c-FMS with IC_{50} of 30 nM, 150- to 500-fold selective compared to b-Raf, CDK4, c-KIT, c-SRC, EGFR, ERBB2/4, ERK2, FLT-3, GSK3, ITK, JAK2 etc.

Size 25 mg 10 mM/1 mL

S1003 Linifanib (ABT-869, AL39324, RG3635)

Linifanib (ABT-869) is a novel, potent ATP-competitive VEGFR/PDGFR inhibitor for KDR, CSF-1R, Flt-1/3 and PDGFRβ with IC_{50} of 4 nM, 3 nM, 3 nM/4 nM and 66 nM respectively, mostly effective in mutant kinase-dependent cancer cells (i.e. FLT3). Phase 3.

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S7725 BLZ945

BLZ945 is an orally active, potent and selective CSF-1R inhibitor with IC_{50} of 1 nM, >1000-fold selective against its closest receptor tyrosine kinase homologs.

Size 5 mg 25 mg 100 mg

S7818 Pexidartinib (PLX3397)

Pexidartinib (PLX3397) is an oral, potent mutil-target receptor tyrosine kinase inhibitor of CSF-1R, Kit, and Flt3 with IC_{50} of 20 nM, 10 nM and 160 nM, respectively. Phase 3.

Size 10 mg 50 mg

TAM Receptor Inhibitors

Inhibitory Selectivity

Inhibitor Name	Mer	Axl	Tyro3	Other
BMS-777607	++ IC_{50}: 14 nM	++++ IC_{50}: 1.1 nM	++++ IC_{50}: 4.3 nM	RON,Met,FLT3
R428		++ IC_{50}: 14 nM		
UNC2250	++++ IC_{50}: 1.7 nM		+ IC_{50}: 100 nM	
Sitravatinib	++++ IC_{50}: 2 nM	++++ IC_{50}: 1.5 nM		DDR2,EPHA3,VEGFR3 (FLT4)
RXDX-106	+ IC_{50}: 29 nM	+++ IC_{50}: 7 nM	++ IC_{50}: 19~29 nM	c-Met,VEGFR2
UNC2025	++++ IC_{50}: 0.74 nM		++ IC_{50}: 17 nM	FLT3
TP-0903		++ IC_{50}: 27 nM		
NPS-1034		+++ IC_{50}: 10.3 nM		Met
LDC1267	+++ IC_{50}: <5 nM	+ IC_{50}: 29 nM	+++ IC_{50}: 8 nM	
UNC2881	+++ IC_{50}: 4.3 nM	+ IC_{50}: 360 nM	+ IC_{50}: 250 nM	

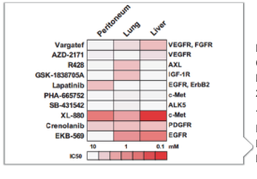
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S2841 R428 (BGB324)

R428 (BGB324) is an inhibitor of Axl with IC_{50} of 14 nM, >100-fold selective for Axl versus Abl. Selectivity for Axl is also greater than Mer and Tyro3 (50-to-100- fold more selective) and InsR, EGFR, HER2, and PDGFRβ (100- fold more selective).

Size 1 mg 5 mg



Product Citations (5):
Cancer Res, 2014, 74(18): 5152-64
Mol Cell Proteomics, 2014, 13(11): 2803-11
...

Data from [**Mol Cell Proteomics,** 2014, M114.038547]
R428 purchased from **Selleck**

S1119 Cabozantinib (XL184, BMS-907351)

Cabozantinib (XL184, BMS-907351) is a potent VEGFR2 inhibitor with IC_{50} of 0.035 nM and also inhibits c-Met, Ret, Kit, Flt-1/3/4, Tie2, and AXL with IC_{50} of 1.3 nM, 4 nM, 4.6 nM, 12 nM/11.3 nM/6 nM, 14.3 nM and 7 nM in cell-free assays, respectively.

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S1561 BMS-777607

BMS-777607 is a Met-related inhibitor for c-Met, Axl, Ron and Tyro3 with IC_{50} of 3.9 nM, 1.1 nM, 1.8 nM and 4.3 nM in cell-free assays, 40-fold more selective for Met-related targets versus Lck, VEGFR-2, and TrkA/B, and more than 500-fold greater selectivity versus all other receptor and non-receptor kinases. Phase 1/2.

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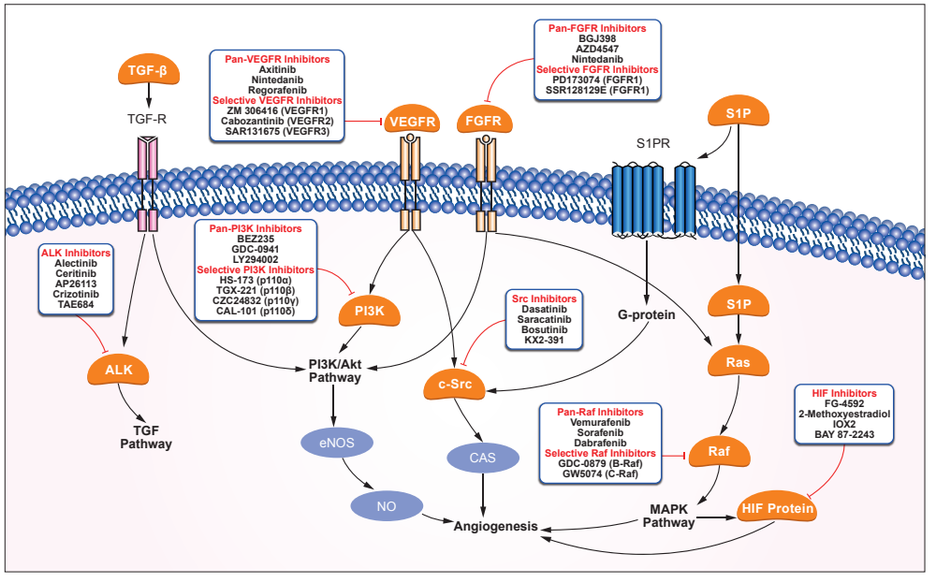
Angiogenesis



VEGFR Inhibitors

Detailed product information is on page 35-38

FLT3 Inhibitors

Detailed product information is on page 45

JAK Inhibitors

Detailed product information is on page 24-26

FGFR Inhibitors

Detailed product information is on page 46-47

EGFR Inhibitors

Detailed product information is on page 38-40

ALK Inhibitors

Detailed product information is on page 47-48

PDGFR Inhibitors

Detailed product information is on page 41-42

HIF Inhibitors

Detailed product information is on page 27

HER2 Inhibitors

Detailed product information is on page 43-44

VDA

S1537 DMXAA (Vadimezan)

DMXAA (Vadimezan) is a vascular disrupting agents (VDA) and competitive inhibitor of DT-diaphorase with K_i of 20 μM and IC_{50} of 62.5 μM in cell-free assays, respectively. Phase 3.

Size 5 mg 25 mg 100 mg 10 mM/1 mL

Bcr-Abl Inhibitors

Inhibitory Selectivity

Inhibitor Name	Bcr-Abl	Abl	Other
Dasatinib	++++ IC_{50}: 0.6 nM	++++ IC_{50}: 0.6 nM	Src,c-Kit (D816V),c-Kit (wt)
Imatinib Mesylate		+ IC_{50}: 600 nM	c-Kit,PDGFR
Saracatinib		++ IC_{50}: 30 nM	c-Src,LCK,EGFR (L861Q)
Ponatinib	++++ IC_{50}: 0.37 nM	++++ IC_{50}: 0.37 nM	PDGFRα,VEGFR2,FGFR1
Nilotinib	++ IC_{50}: <30 nM		
Danusertib	++ IC_{50}: 25 nM	++ IC_{50}: 25 nM	Aurora A,TrkA,RET
AT9283		+++ IC_{50}: 4-30 nM	JAK3,JAK2,Aurora B
Degrasyn	+ IC_{50}: 1.8 μM		DUB
Bafetinib	+++ IC_{50}: 5.8 nM	+++ IC_{50}: 5.8 nM	Lyn
KW-2449	++ IC_{50}: 14 nM	+++ IC_{50}: 4-14 nM	FLT3 (D835Y),FLT3,FGFR1
NVP-BHG712		+ IC_{50}: 1.667 μM	EphB4,C-Raf,c-Src
Rebastinib		+++ IC_{50}: 0.75-5 nM	FLT3,KDR,Tie-2
GZD824 Dimesylate	++++ IC_{50}: 0.34 nM	++++ IC_{50}: 0.75-5 nM	
GNF-2	+ IC_{50}: 273 nM		
GNF-7	+++ IC_{50}: 122 nM	+ IC_{50}: 133 nM	
Radotinib	++ IC_{50}: 34 nM		
Dasatinib Monohydrate	++++ IC_{50}: 0.6 nM	++++ IC_{50}: 0.6 nM	Src,c-Kit (D816V),c-Kit (wt)
GNF-5	+ IC_{50}: 220 nM		
PD173955	+++ IC_{50}: 1-2 nM		Src

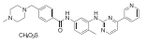
Notes:

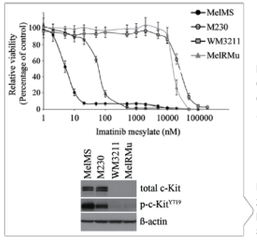
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1026 Imatinib Mesylate (STI571)

Imatinib Mesylate (STI571) is an orally bioavailability mesylate salt of Imatinib, which is a multi-target inhibitor of v-Abl, c-Kit and PDGFR with IC_{50} of 0.6 μM, 0.1 μM and 0.1 μM in cell-free or cell-based assays, respectively.

Size 100 mg 250 mg 10 mM/1 mL





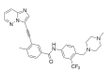
Product Citations (28):
Cancer Cell, 2014, 26(6): 840-50
Cell Stem Cell, 2012, 10(2): 210-7
...

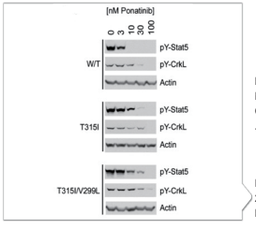
Data from [**Oncogene,** 2012, 33(2): 236-45]
Imatinib Mesylate purchased from **Selleck**

S1490 Ponatinib (AP24534)

Ponatinib (AP24534) is a novel, potent multi-target inhibitor of Abl, PDGFRα, VEGFR2, FGFR1 and Src with IC_{50} of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM and 5.4 nM in cell-free assays, respectively.

Size 10 mg 50 mg 200 mg 10 mM/1 mL





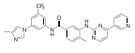
Product Citations (23):
Nature, 2015, 10.1038/nature14329
Cancer Cell, 2012, 22(5): 656-67
...

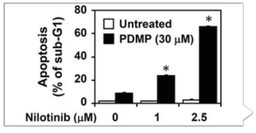
Data from [**Proc Natl Acad Sci USA,** 2014, 111(9): 3550-5]
Ponatinib purchased from **Selleck**

S1033 Nilotinib (AMN-107)

Nilotinib (AMN-107) is a selective Bcr-Abl inhibitor with IC_{50} less than 30 nM in Murine myeloid progenitor cells.

Size 25 mg 100 mg 300 mg 10 mM/1 mL





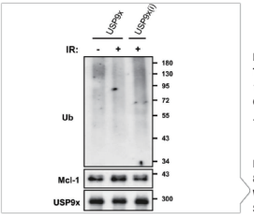
Product Citations (18):
Nat Commun, 2015, 6: 7002
Sci Rep, 2015, 5: 9775
...

Data from [**FASEB J,** 2011, 25(10): 3661-73]
Nilotinib purchased from **Selleck**

S2243 Degrasyn (WP1130)

Degrasyn (WP1130) is a selective deubiquitinase(DUB: USP5, UCH-L1, USP9x, USP14, and UCH37) inhibitor and also suppresses Bcr/Abl; also a JAK2 transducer (without affecting 20S proteasome) and activator of transcription (STAT).

Size 5 mg 10 mg 50 mg 10 mM/1 mL



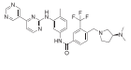
Product Citations (7):
Trends Pharmacol Sci, 2014, 35(4): 187-207
Oncogene, 2014, 10.1038/onc.2014.351
...

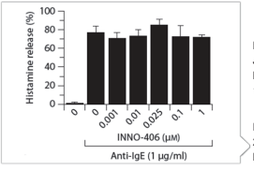
Data from [**Neoplasia,** 2012, 14(10): 893-904]
WP1130 (USP9x(i)) purchased from **Selleck**

S1369 Bafetinib (INNO-406, NS-187)

Bafetinib (INNO-406) is a potent and selective dual Bcr-Abl/Lyn inhibitor with IC_{50} of 5.8 nM/19 nM in cell-free assays, does not inhibit the phosphorylation of the T315I mutant and is less potent to PDGFR and c-Kit. Phase 2.

Size 5 mg 25 mg 100 mg





Product Citations (2):
J Med Chem, 2015,58(1): 466-79
Int Arch Allergy Immunol, 2012, 159(1): 15-22

Data from [**Int Arch Allergy Immunol,** 2012, 159(1): 15-22]
INNO-406 purchased from **Selleck**

S1134 AT9283

AT9283 is a potent JAK2/3 inhibitor with IC_{50} of 1.2 nM/1.1 nM in cell-free assays; also potent to Aurora A/B, Abl(T315I). Phase 2.

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S2158 KW-2449

KW-2449 is a multiple-target inhibitor, mostly for Flt3 with IC_{50} of 6.6 nM, modestly potent to FGFR1, Bcr-Abl and Aurora A; little effect on PDGFR β, IGF-1R, EGFR. Phase 1.

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S1107 Danusertib (PHA-739358)

Danusertib (PHA-739358) is an Aurora kinase inhibitor for Aurora A/B/C with IC_{50} of 13 nM/79 nM/61 nM in cell-free assays, modestly potent to Abl, TrkA, c-RET and FGFR1, and less potent to Lck, VEGFR2/3, c-Kit, CDK2 etc. Phase 2.

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Src Inhibitors

Inhibitory Selectivity

Inhibitor Name	Src	Lck	Fyn	Lyn	Yes	Other
Dasatinib	++++ IC_{50}: 0.8 nM					Abl,c-Kit (D816V),c-Kit (wt)
Saracatinib	++++ IC_{50}: 2.7 nM	++++ IC_{50}: <4 nM	++ IC_{50}: 10 nM	+++ IC_{50}: 5 nM		EGFR (L861Q),c-YES,EGFR (L858R)
Bosutinib	++++ IC_{50}: 1.2 nM					Abl
KX2-391	++ GI_{50}: 9~60 nM					
NVP-BHG712	+ IC_{50}: 1.266 μM					EphB4,C-Raf,c-Abl
PP2	+++ IC_{50}: 5 nM	+++ IC_{50}: 4 nM	+++ IC_{50}: 5 nM			EGFR
PP1	+++ IC_{50}: 6 nM	+++ IC_{50}: 5 nM	++ IC_{50}: 6 nM			Kit,EGFR,Bcr-Abl
SU6656	+ IC_{50}: 280 nM		+ IC_{50}: 170 nM	+ IC_{50}: 130 nM	++ IC_{50}: 20 nM	
Dasatinib Monohydrate	++++ IC_{50}: 0.8 nM					Abl ,c-Kit (D816V),c-Kit (wt)
WH-4-023	++++ IC_{50}: 6 nM	++++ IC_{50}: 2 nM				
Quercetin	√					Sirtuin,PKC,PI3Kγ

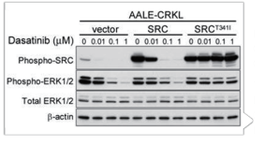
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1021 Dasatinib

Dasatinib is a novel, potent and multi-target inhibitor that targets Abl, Src and c-Kit, with IC_{50} of <1 nM, 0.8 nM and 79 nM in cell-free assays, respectively.

Size 25 mg 100 mg 10 mM/1 mL



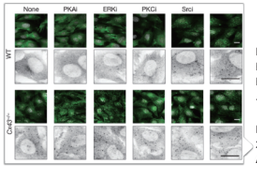
Product Citations (61):
Cell Stem Cell, 2012, 10(2): 210-7
Cancer Discov, 2011, 1(7): 608-25
...

Data from [**Cancer Discov,** 2011, 1(7): 608-25]
Dasatinib purchased from **Selleck**

S1006 Saracatinib (AZD0530)

Saracatinib (AZD0530) is a potent Src inhibitor with IC_{50} of 2.7 nM in cell-free assays, and potent to c-Yes, Fyn, Lyn, Blk, Fgr and Lck; less active for Abl and EGFR (L858R and L861Q). Phase 2/3.

Size 10 mg 25 mg 200 mg 10 mM/1 mL



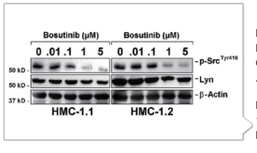
Product Citations (33):
Nat Cell Biol, 2014, 16(5): 401-14
Nat Cell Biol, 2013, 15(4): 395-405
...

Data from [**Int Arch Allergy Immunol,** 2012, 159(1): 15-22]
AZD0530 (Srci) purchased from **Selleck**

S1014 Bosutinib (SKI-606) *Licensed by Pfizer*

Bosutinib (SKI-606) is a novel, dual Src/Abl inhibitor with IC_{50} of 1.2 nM and 1 nM in cell-free assays, respectively.

Size 10 mg 50 mg 10 mM/1 mL



Product Citations (11):
Blood, 2011, 118(7): 1885-98
Cancer Res, 2010, 70(19): 7489-99
...

Data from [**Blood,** 2011, 118(7): 1885-98]
Bosutinib purchased from **Selleck**

S2700 KX2-391

KX2-391, the first clinical Src inhibitor (peptidomimetic class) that targets the peptide substrate site of Src, with GI_{50} of 9-60 nM in cancer cell lines. Phase 2.

Size 5 mg 50 mg 100 mg 10 mM/1 mL

S7008 PP2

PP2, a Src family kinase inhibitor, potently inhibits Lck/Fyn with IC_{50} of 4 nM/5 nM in cell-free assays, ~100-fold less potent to EGFR, inactive for ZAP-70, JAK2 and PKA.

Size 1 mg 5 mg 10 mM/1 mL

S7565 WH-4-023

WH-4-023 is a potent and orally active Lck/Src inhibitor with IC_{50}s of 2 nM and 6 nM in cell-free assays, respectively. Exhibits >300-fold selectivity against p38α and KDR. Also potently inhibits SIK (IC_{50} values are 10, 22 and 60 nM for SIK 1, 2 and 3 respectively) and displays selectivity over a range of closely related kinases.

Size 5 mg 25 mg 100 mg

S7060 PP1

PP1 is a potent and selective Src inhibitor for Lck/Fyn with IC_{50} of 5 nM/ 6 nM.

Size 10 mg 25 mg

S2391 Quercetin (Sophoretin)

Quercetin, a natural flavonoid present in vegetables, fruit and wine, is a stimulator of recombinant SIRT1 and also a PI3K inhibitor with IC_{50} of 2.4-5.4 μM. Phase 4.

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S7774 SU6656

SU6656 is a selective Src family kinase inhibitor with IC_{50} of 280 nM, 20 nM, 130 nM, and 170 nM for Src, Yes, Lyn, and Fyn, respectively.

Size 5 mg 25 mg 100 mg

S7782 Dasatinib Monohydrate

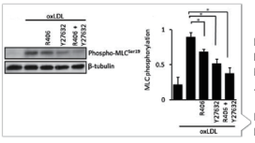
Dasatinib Monohydrate is a novel, potent and multi-target inhibitor that targets Abl, Src and c-Kit, with IC_{50} of <1 nM, 0.8 nM and 79 nM, respectively.

Size 50 mg 200 mg

S2194 R406

R406 is a potent Syk inhibitor with IC_{50} of 41 nM in cell-free assays, strongly inhibiting Syk but not Lyn, 5-fold less potent to Flt3. Phase 1.

Size 2 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (15):
Immunity, 2014, 40(3): 389-99
Nat Cell Biol, 2015, 17(1): 57-67
...

Data from [**Blood,** 2013, 122(4): 580-9]
R406 purchased from **Selleck**

S2206 R788 (Fostamatinib) Disodium

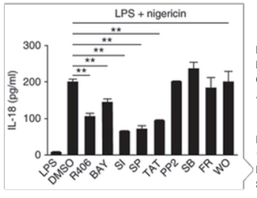
R788 (Fostamatinib) disodium, a prodrug of the active metabolite R406, is a Syk inhibitor with IC_{50} of 41 nM in cell-free assays, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. Phase 3.

Size 5 mg 10 mg 50 mg

S1533 R406 (free base)

R406 (free base) is a potent Syk inhibitor with IC_{50} of 41 nM in a cell-free assay, strongly inhibits Syk but not Lyn, 5-fold less potent to Flt3. Phase 1.

Size 10 mg 50 mg



Product Citations (3):
Nat Immunol, 2013, 14(12): 1247-55
Clin Cancer Res, 2012, 19(3): 586-97
...

Data from [**Nat Immunol,** 2013, 14(12): 1247-55]
R406 (free base) purchased from **Selleck**

S8032 PRT062607 (P505-15, BIIB057) HCl

PRT062607 (P505-15) HCl is a novel, highly selective Syk inhibitor with IC_{50} of 1 nM in cell-free assays, >80-fold selective for Syk than for Fgr, Lyn, FAK, Pyk2 and Zap70.

Size 5 mg 25 mg 10 mM/1 mL

Syk Inhibitors

Inhibitory Selectivity

Inhibitor Name	Syk	Other
R406	++ IC_{50}: 41 nM	Flt3
R788 Disodium	++ IC_{50}: 41 nM	
R406	++ IC_{50}: 41 nM	
PRT062607 HCl	++++ IC_{50}: 1 nM	FGR,MLK1,YES
Fostamatinib	++ IC_{50}: 41 nM	Adenosine A3 receptor,Adenosine transporter, Monoamine transporter
MNS	+ IC_{50}: 2.5 μM	p97,Src
PRT-060318	++++ IC_{50}: 4nM	
Entospletinib	+++ IC_{50}: 7.7 nM	
RO9021	+++ IC_{50}: 5.6 nM	
BAY-61-3606	+++ K_i: 7.5 nM	
Piceatannol	√	Lyn,PKA,PKC

Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S7523 Entospletinib (GS-9973)

Entospletinib (GS-9973) is an orally bioavailable, selective Syk inhibitor with IC_{50} of 7.7 nM in a cell-free assay and showed 13- to >1000-fold cellular selectivity for Syk over other kinases (including Jak2, ckit, Flt3, Ret, KDR) as assessed by target protein phosphorylation or functional response.

Size 10 mg 50 mg 200 mg

S3026 Piceatannol

Piceatannol, a natural stilbene, is a selective Syk inhibitor and ~10-fold selectivity versus Lyn.

Size 10 mg 25 mg 50 mg 10 mM/1 mL

S7286 RO9021 *new*

RO9021 potently inhibits SYK kinase activity with an average IC_{50} of 5.6 nM and suppresses B-cell receptor signaling.

Size 1 mg 5 mg 25 mg

Angiogenesis

Angiogenesis

FAK Inhibitors

Inhibitory Selectivity

Inhibitor Name	FAK	Other
PF-00562271	++++ IC_{50}: 1.5 nM	CDK2/CyclinE,CDK3/CyclinE,CDK1/CyclinB
PF-562271	++++ IC_{50}: 1.5 nM	CDK2/CyclinE,CDK3/CyclinE,CDK1/CyclinB
PF-573228	+ IC_{50}: 4 nM	
TAE226	++ IC_{50}: 3.5~5.5 nM	Insulin Receptor,IGF-1R,c-Met
PF-03814735	+ IC_{50}: 22 nM	Aurora A,Aurora B,FLT1
PF-562271 HCl	++++ IC_{50}: 1.5~13 nM	CDK2/CyclinE,CDK3/CyclinE,CDK1/CyclinB
GSK2256098	++++ K_i: 0.4 nM	
PF-431396	++ IC_{50}: 2~11 nM	
PND-1186	++++ IC_{50}: 0.5 nM	
Defactinib	√	

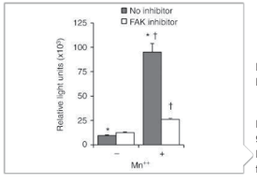
Notes:

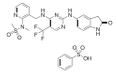
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2672 PF-00562271

PF-00562271 is the benzenesulfonate salt of PF-562271, which is a potent, ATP-competitive, reversible inhibitor of FAK with IC_{50} of 1.5 nM, ~10-fold less potent for Pyk2 than for FAK and >100-fold selectivity against other protein kinases, except for some CDKs. Phase 1.

Size 5 mg 10 mg





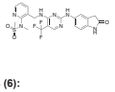
Product Citation (1):
Mol Ther, 2012, 20(5): 972-83

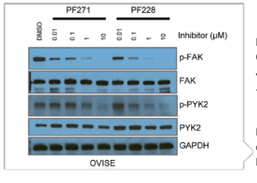
Data from [**Mol Ther,** 2012, 20(5): 972-83]
PF-00562271 (FAK inhibitor) purchased from **Selleck**

S2890 PF-562271

PF-562271 is a potent, ATP-competitive, reversible inhibitor of FAK with IC_{50} of 1.5 nM in cell-free assays, ~10-fold less potent for Pyk2 than for FAK and >100-fold selectivity against other protein kinases, except for some CDKs.

Size 5 mg 50 mg 100 mg 10 mM/1 mL





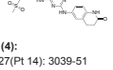
Product Citations (6):
Oncogene, 2015, 10.1038/onc.2014.434
J Biol Chem, 2015, 290(14): 8677-92
...

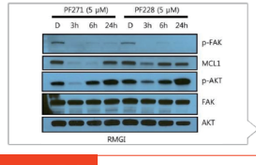
Data from [**PLoS One,** 2014, 9(2): e88587]
PF-562271 purchased from **Selleck**

S2013 PF-573228

PF-573228 is an ATP-competitive inhibitor of FAK with IC_{50} of 4 nM in a cell-free assay, ~50- to 250-fold selective for FAK than for Pyk2, CDK1/7 and GSK-3β.

Size 10 mg 50 mg 10 mM/1 mL





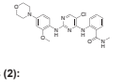
Product Citations (4):
J Cell Sci, 2014, 127(Pt 14): 3039-51
J Biol Chem, 2015, 10.1074/jbc.M114.624247
...

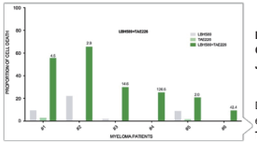
Data from [**PLoS One,** 2014, 9(2): e88587]
PF-573228 purchased from **Selleck**

S2820 TAE226 (NVP-TAE226)

TAE226 (NVP-TAE226) is a potent FAK inhibitor with IC_{50} of 5.5 nM and modestly potent to Pyk2, ~10- to 100-fold less potent against InsR, IGF-1R, ALK, and c-Met.

Size 5 mg 10 mg 10 mM/1 mL





Product Citations (2):
Cell Death Dis, 2014, 5: e1134
J Biol Chem, 2015, 290(14): 8677-92

Data from [**Cell Death Dis,** 2014, 5: e1134]
TAE226 purchased from **Selleck**

S7653 PND-1186 (VS-4718)

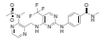
PND-1186 (VS-4718) is a reversible and selective FAK inhibitor with IC_{50} of 1.5 nM. Phase 1.

Size 5 mg 25 mg 100 mg

S7654 Defactinib (VS-6063, PF-04554878)

Defactinib (VS-6063, PF-04554878) is a selective, and orally active FAK inhibitor. Phase 2.

Size 5 mg 25 mg 100 mg



S2725 PF-03814735 *new*

PF-03814735 is a novel, potent and reversible inhibitor of Aurora A/B with IC_{50} of 0.8 nM/5 nM, is less potent to Flt3, FAK, TrkA, and minimally active to Met and FGFR1. Phase 1.

Page 29

S8523 GSK2256098 *new*

GSK2256098 is a potent, selective, reversible, and ATP competitive FAK kinase inhibitor with apparent K_i of 0.4 nM.

Size 5 mg 25 mg

BTK Inhibitors

Inhibitory Selectivity

Inhibitor Name	BTK	Other
Ibrutinib	++++ IC_{50}: 0.5 nM	BLK,Bmx,FGR
AVL-292	++++ IC_{50}: <0.5 nM	
CNX-774	+++ IC_{50}: <1 nM	
Acalabrutinib	++ IC_{50}: 3 nM	
ONO-4059 analogue	++ IC_{50}: 23.9 nM	
LFM-A13	+ K_i: 1.4 μM	
RN486	++ IC_{50}: 4 nM	
CGI1746	+++ IC_{50}: 1.9 nM	

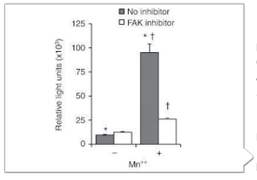
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S2680 Ibrutinib (PCI-32765)

Ibrutinib (PCI-32765) is a potent and highly selective Brutons tyrosine kinase (Btk) inhibitor with IC_{50} of 0.5 nM in cell-free assays, modestly potent to Bmx, CSK, FGR, BRK, HCK, less potent to EGFR, Yes, ErbB2, JAK3 etc.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (29):
Cancer Cell, 2012, 22(5): 656-67
J Natl Cancer Inst, 2014, 106(9)
...

Data from [**Blood,** 2014, 123(8): 1229-38]
Ibrutinib purchased from **Selleck**

S7173 CC-292 (AVL-292)

CC-292 (AVL-292) is a covalent, orally active, and highly selective BTK inhibitor with IC_{50} of <0.5 nM, displaying at least 1400-fold selectivity over the other kinases assayed. Phase 1.

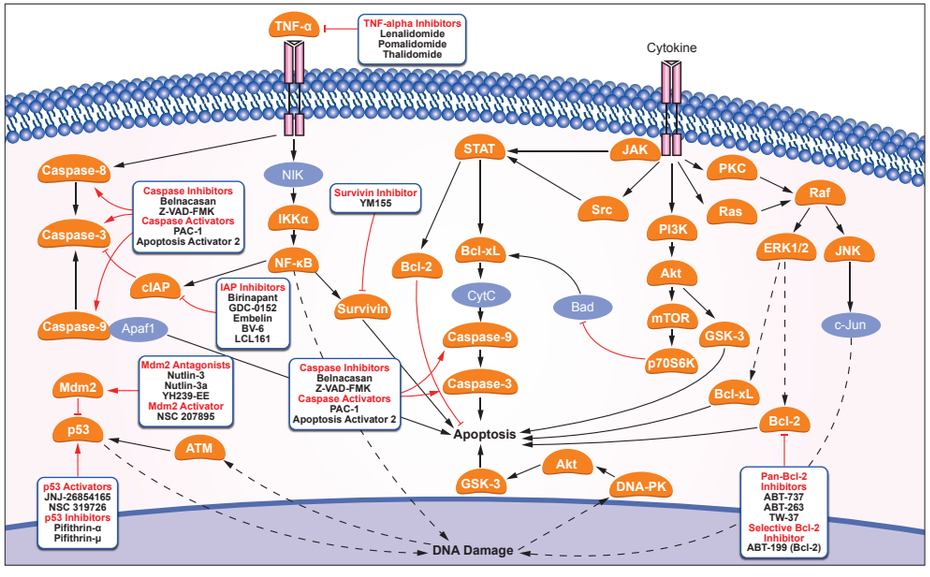
Size 10 mg 50 mg

S8116 Acalabrutinib (ACP-196) *new*

Acalabrutinib(ACP-196) is a selective second-generation Bruton's tyrosine kinase (BTK) inhibitor, which prevents the activation of the B-cell antigen receptor (BCR) signaling pathway. ACP-196 has improved target specificity over ibrutinib with 323-, 94-, 19- and 9-fold selectivity over the other TEC kinase family members (ITK, TXK, BMX, and TEC, respectively) and no activity against EGFR.

Size 5 mg 25 mg 100 mg

Apoptosis



Bcl-2 Inhibitors | Activator

Inhibitory Selectivity

Inhibitor Name	Bcl-2	Bcl-B	Bcl-w	Bcl-xL	Mcl-1	Bax	Other
ABT-737	+++ EC_{50}: 30.3 nM	+ EC_{50}: 1.82 μM	+++ EC_{50}: 197.8 nM	+++ EC_{50}: 78.7 nM			
Navitoclax (ABT-263)	++++ K_i: ≤1 nM		++++ K_i: ≤1 nM	++++ K_i: ≤0.5 nM	++ K_i: 550 nM		
Obatoclax Mesylate	+++ K_i: 0.22 μM						
TW-37	++ K_i: 0.29 μM			+ K_i: 1.11 μM	+++ K_i: 0.26 μM		
Venetoclax	++++ K_i: <0.01 nM						
AT101	++ K_i: 0.32 μM			++ K_i: 0.48 μM	+++ K_i: 0.18 μM		
HA14-1	+ IC_{50}: 9 μM						
Sabutoclax	++ IC_{50}: 0.32~0.62 μM			++ IC_{50}: 0.31 μM	+++ IC_{50}: 0.20 μM	++ IC_{50}: 0.62 μM	
A-1155463				++++ K_i: <0.01 nM			
A-1210477					++++ IC_{50}: 26.2 nM		
UMI-77					++ K_i: 490 nM		
Gambogic Acid	+ IC_{50}: 1.06~1.21 μM	++ IC_{50}: 0.66 μM	++++ IC_{50}: 0.02 μM	+ IC_{50}: 1.47 μM	+ IC_{50}: 0.79 μM	+ IC_{50}: 1.06 μM	Caspase

Notes:

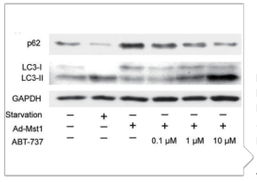
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

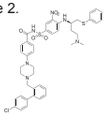
Bcl-2 Inhibitors

S1002 ABT-737

ABT-737 is a BH3 mimetic inhibitor of Bcl-xL, Bcl-2 and Bcl-w with EC_{50} of 78.7 nM, 30.3 nM and 197.8 nM in cell-free assays, respectively; no inhibition observed against Mcl-1, Bcl-B or Bfl-1. Phase 2.

Size 5 mg 50 mg 100 mg 10 mM/1 mL



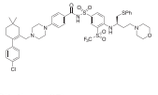


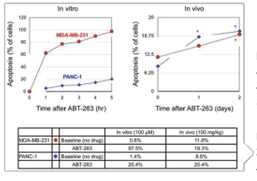
Product Citations (85):
Nat Biotechnol, 2011, 29(6): 542-6
Nat Med, 2013, 19(11): 1478-88
...
Data from [**Nat Med,** 2013, 19(11): 1478-88]
ABT-737 purchased from **Selleck**

S1001 Navitoclax (ABT-263)

Navitoclax (ABT-263) is a potent inhibitor of Bcl-xL, Bcl-2 and Bcl-w with K_i of ≤ 0.5 nM, ≤1 nM and ≤1 nM in cell-free assays, but binds more weakly to Mcl-1 and A1. Phase 2.

Size 5 mg 25 mg 100 mg 10 mM/1 mL





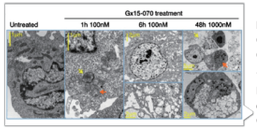
Product Citations (35):
J Clin Invest, 2014, 124(1): 117-28
J Clin Invest, 2014, 124(11): 4737-52
...
Data from [**Cancer Res,** 2012, 72 (12): 2949-56]
ABT-263 purchased from **Selleck**

S1057 Obatoclax Mesylate (GX15-070)

Bcl-2 selective

Obatoclax Mesylate (GX15-070) is an antagonist of Bcl-2 with K_i of 0.22 μM in a cell-free assay, can assist in overcoming MCL-1 mediated resistance to apoptosis. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

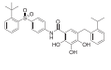


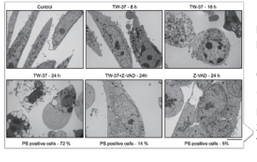
Product Citations (25):
Cancer Res, 2012, 72(12): 3069-79
Cancer Res, 2011, 71(13): 4494-505
...
Data from [**Cell Death Dis,** 2012, 3: e351]
GX15-070 purchased from **Selleck**

S1121 TW-37

TW-37 is a novel non-peptide inhibitor to recombinant Bcl-2, Bcl-xL and Mcl-1 with K_i of 0.29 μM, 1.11 μM and 0.26 μM in cell-free assays, respectively.

Size 10 mg 50 mg 10 mM/1 mL



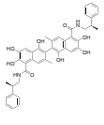


Product Citations (12):
Nat Chem Biol, 2015, 10.1038/nchembio.1797
Cell Death Differ, 2013, 20(11): 1475-84
...
Data from [**Cell Death Differ,** 2013, 20(11): 1475-84]
TW-37 purchased from **Selleck**

S8061 Sabutoclax (BI-97C1)

Sabutoclax (BI-97C1) is a pan-Bcl-2 inhibitor, including Bcl-xL, Bcl-2, Mcl-1 and Bfl-1 with IC_{50} of 0.31 μM, 0.32 μM, 0.20 μM and 0.62 μM, respectively.

Size 5 mg 50 mg

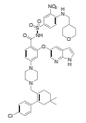


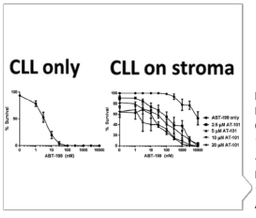
S8048 Venetoclax (ABT-199, GDC-0199)

Bcl-2 selective

Venetoclax (ABT-199, GDC-0199) is a Bcl-2-selective inhibitor with K_i of <0.01 nM in cell-free assays, >4800-fold more selective versus Bcl-xL and Bcl-w, and no activity to Mcl-1. Phase 3.

Size 5 mg 50 mg 10 mM/1 mL





Product Citations (11):
Leukemia, 2014, 28(8): 1657-65
Cell Death Differ, 2015, 10.1038/cdd.2015.73
...
Data from [**J Biol Chem,** 2014, 289(23): 16190-9]
ABT-199 purchased from **Selleck**

S7531 UMI-77

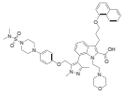
UMI-77 is a selective Mcl-1 inhibitor with K_i of 490 nM, showing selectivity over other members of Bcl-2 family.

Size 5 mg 25 mg

S7790 A-1210477

A-1210477 is a potent and selective MCL-1 inhibitor with K_i and IC_{50} of 0.454 nM and 26.2 nM, respectively, >100-fold selectivity over other Bcl-2 family members.

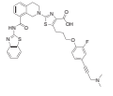
Size 5 mg 25 mg



S7800 A-1155463 *new*

A-1155463, a highly potent and selective BCL-XL inhibitor, shows picomolar binding affinity to BCL-XL, and >1000-fold weaker binding to BCL-2 and related proteins BCL-W(Ki=19 nM) and MCL-1(Ki>440 nM).

Size 5 mg 25 mg



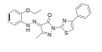
Bcl-2 Activator

S7105 BAM7

Bax selective

BAM7 is a direct and selective activator of pro-apoptotic Bax with EC_{50} of 3.3 μM.

Size 10 mg 50 mg



Caspase Inhibitors | Activator

Inhibitory Selectivity

Inhibitor Name	Caspase	Caspase-1	Caspase-3	Caspase-4
Belnacasan		++++ K_i: 0.8 nM		++++ K_i: <0.6 nM
Emricasan	√			
Z-VAD-FMK	√			
Z-DEVD-FMK			√	

Notes:

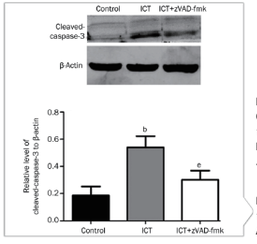
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

Caspase Inhibitors

S7023 Z-VAD-FMK

Z-VAD-FMK is a cell-permeable, irreversible pan-caspase inhibitor, blocking all features of apoptosis in THP.1 and Jurkat T-cells.

Size 1 mg 5 mg



Product Citations (6):
Cancer Res, 2015, 10.1158/0008-5472.CAN-14-2199
PLoS One, 2015, 10(3): e0122083
...

Data from [**J Biol Chem,** 2014, 289(23): 16190-9]
ABT-199 purchased from **Selleck**

S2228 Belnacasan (VX-765) *Caspase-1 selective*

Belnacasan (VX-765) is a potent and selective inhibitor of caspase-1 with K_i of 0.8 nM in a cell-free assay. Phase 2.

Size 10 mg 50 mg

S7312 Z-DEVD-FMK

Z-DEVD-FMK is a specific, irreversible Caspase-3 inhibitor, and also shows potent inhibition on caspase-6, caspase-7, caspase-8, and caspase-10.

Size 1 mg

S7775 Emricasan *new*

Emricasan is a potent irreversible pan-caspase inhibitor.

Size 5 mg 25 mg

Caspase Activator

S2738 PAC-1 *Caspase-3 selective*

PAC-1 is a potent procaspase-3 activator with EC_{50} of 0.22 μM and the first small molecule known to directly activate procaspase-3 to caspase-3.

Size 10 mg 50 mg 250 mg 10 mM/1 mL

p53 Inhibitors | Activators

p53 Inhibitors

S2929 Pifithrin-α (PFTα)

Pifithrin-α is an inhibitor of p53, inhibiting p53-dependent transactivation of p53-responsive genes.

Size 25 mg 50 mg 10 mM/1 mL

S2930 Pifithrin-μ

Pifithrin-μ is a specific p53 inhibitor by reducing its affinity to Bcl-xL and Bcl-2, and also inhibits HSP70 function and autophagy.

Size 10 mg 50 mg

S2781 RITA (NSC 652287)

RITA (NSC 652287) induces both DNA-protein and DNA-DNA cross-links with no detectable DNA single-strand breaks, and also inhibits MDM2-p53 interaction by targeting p53.

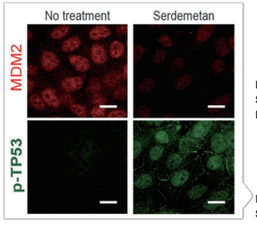
Size 5 mg 10 mg 10 mM/1 mL

p53 Activators

S1172 JNJ-26854165 (Serdemetan)

JNJ-26854165 (Serdemetan) acts as a HDM2 ubiquitin ligase antagonist and also induces early apoptosis in p53 wild-type cells, inhibits cellular proliferation followed by delayed apoptosis in the absence of functional p53. Phase 1.

Size 5 mg 25mg 100 mg 10 mM/1 mL



Product Citations (2):
Sci Rep, 2014, 4: 4664
Head Neck, 2014, 10.1002/hed.23822

Data from [**Sci Rep,** 2014, 4: 4664]
Serdemetan purchased from **Selleck**

S7149 NSC 319726

NSC 319726 is a p53(R175) mutant reactivator, exhibiting growth inhibition in cells expressing mutant p53, with IC_{50} of 8 nM for p53(R175) mutant, showing no inhibition for p53 wild-type cells.

Size 5 mg 25 mg

TNF-alpha Inhibitors

Inhibitory Selectivity

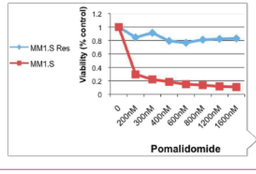
Inhibitor Name	TNF-α	Other
Pomalidomide	+++ IC_{50}: 13 nM	
Necrostatin-1	+ EC_{50}: 490 nM	
QNZ	+++ IC_{50}: 7 nM	NF-κB
Thalidomide	√	

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1567 Pomalidomide

Pomalidomide inhibits LPS-induced TNF-α release with IC_{50} of 13 nM in PBMCs.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (9):
Blood, 2011, 118(18): 4771-9
Br J Haematol, 2014, 166(4): 529-39
...

Data from [**Blood,** 2011, 118(18): 4771-9]
Pomalidomide purchased from **Selleck**

S1193 Thalidomide

Thalidomide was introduced as a sedative drug, immunomodulatory agent and also is investigated for treating symptoms of many cancers. Thalidomide inhibits an E3 ubiquitin ligase, which is a CRBN-DDB1-Cul4A complex.

Size 200 mg

S8037 Necrostatin-1

Necrostatin-1 is a specific RIP1 inhibitor and inhibits TNF-α-induced necroptosis with EC_{50} of 490 nM in 293T cells.

Size 10 mg 100 mg 10 mM/1 mL

S1623 Acetylcysteine

Acetylcysteine(N-acetyl-l-cysteine) is a ROS(reactive oxygen species) inhibitor that antagonizes the activity of proteasome inhibitors. It is also a tumor necrosis factor production inhibitor, used mainly as a mucolytic, protects against acetaminophen overdose-induced hepatotoxicity by maintaining or restoring hepatic concentrations of glutathione.

Size 10 mg 50 mg 10 mM/1 mL

S4902 QNZ (EVP4593)

QNZ (EVP4593) shows potent inhibitory activity toward both NF-κB activation and TNF-α production with IC_{50} of 11 nM and 7 nM in Jurkat T cells, respectively.

Page 104

Mdm2 Inhibitors | Activator | Antagonists

Inhibitory Selectivity

Inhibitor Name	Mdm2	Other
Nutlin-3	++ IC_{50}: 180 nM	
Nutlin-3a	++ IC_{50}: 90 nM	
Nutlin-3b	+ IC_{50}: 13.6 μM	
MX69	+ K_d: 2.34 μM	
MI-773 (SAR405838)	++++ IC_{50}: 0.88 nM	p53
Idasanutlin (RG-7388)	++++ IC_{50}: 6 nM	
RG-7112	+++ K_d: 11 nM	
YH239-EE	√	

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

Mdm2 Inhibitors

S8059 Nutlin-3a

Nutlin-3a, the active enantiomer of Nutlin-3, inhibits the p53/MDM2 interaction with IC_{50} of 90 nM in a cell-free assay.

Size 5 mg 25 mg

S7205 Idasanutlin (RG-7388)

Idasanutlin (RG-7388) is a potent and selective p53-MDM2 inhibitor with IC_{50} of 6 nM showing improved in vitro binding as well as cellular potency/selectivity.

Size 5 mg 25 mg

Mdm2 Activator

S2678 NSC 207895

NSC 207895 suppresses MDMX with IC_{50} of 2.5 μM, leading to enhanced p53 stabilization/activation and DNA damage, and also regulates MDM2, an E3 ligase.

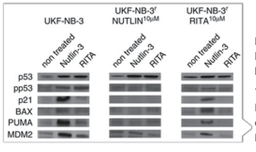
Size 5 mg 10 mg 50 mg

Mdm2 Antagonists

S1061 Nutlin-3

Nutlin-3 is a potent and selective Mdm2 (RING finger-dependent ubiquitin protein ligase for itself and p53) antagonist with IC_{50} of 90 nM in a cell-free assay; stabilizes p73 in p53-deficient cells.

Size 5 mg 25 mg 100 mg 10 mM/1 mL



Product Citations (8):
Hepatology, 2015, 10.1002/hep.27992
Int J Cancer, 2014, 10.1002/ijc.29194
...

Data from [**Cell Death Dis,** 2012, 3: e294]
Nutlin-3 purchased from **Selleck**

S7649 MI-773 (SAR405838)

MI-773 (SAR405838) is an orally available MDM2 antagonist with K_i of 0.88 nM. Phase 1.

Size 5 mg 25 mg

S7030 RG-7112

RG7112 (RO5045337) is an orally bioavailable and selective p53-MDM2 inhibitor with HTRF IC_{50} of 18 nM.

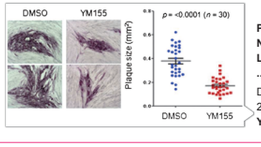
Size 5 mg 25 mg

Survivin Inhibitor

S1130 YM155 (Sepantronium Bromide)

YM155 (Sepantronium Bromide) is a potent survivin suppressant by inhibiting Survivin promoter activity with IC_{50} of 0.54 nM in HeLa-SURP-luc and CHO-SV40-luc cells; does not significantly inhibit SV40 promoter activity, but is observed to slightly inhibit the interaction of Survivin with XIAP. Phase 2.

Size 5 mg 25 mg 100 mg 10 mM/1 mL



Product Citations (39):
Nat Chem Biol, 2014, 10(9): 768-73
Leukemia, 2012, 26(4): 623-32
...

Data from [**Proc Natl Acad Sci USA,** 2012, 109(2): 600-5]
YM155 purchased from **Selleck**

Apoptosis

IAP Inhibitors | Antagonist

Inhibitory Selectivity

Inhibitor Name	cIAP	XIAP	Other
Birinapant	++++ K_d: <1 nM	++ K_d: 45 nM	
GDC-0152	+++ K_i: 17~43 nM	++ K_i: 28~112 nM	MLXBIR3SG
Embelin		+ IC_{50}: 4.1 μM	5-LO,mPGES-1
BV-6	√		
LCL161	√		

Notes:

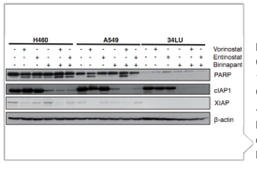
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

IAP Inhibitors

S7015 Birinapant *cIAP selective*

Birinapant is a SMAC mimetic antagonist, mostly to cIAP1 with K_d of <1 nM in a cell-free assay, less potent to XIAP. Phase 2.

Size 5 mg



Product Citations (3):
Cell Death Dis, 2015, 10.1038/cddis.2015.130
Cell Death Dis, 2013, 4: e951
...
Data from [**Cell Death Dis,** 2013, 4: e951]
Birinapant purchased from **Selleck**

S7009 LCL161

LCL-161, a small molecule second mitochondrial activator of caspase (SMAC) mimetic, potently binds to and inhibits multiple IAPs (i.e. XIAP, c-IAP).

Size 5 mg 25 mg 100 mg

S7597 BV-6

BV-6 is a SMAC mimetic, dual cIAP and XIAP inhibitor.

Size 5 mg 25 mg 100 mg

IAP Antagonist

S7010 GDC-0152

GDC-0152 is a potent antagonist of XIAP-BIR3, ML-IAP-BIR3, cIAP1-BIR3 and cIAP2-BIR3 with K_i of 28 nM, 14 nM, 17 nM and 43 nM in cell-free assays, respectively; less affinity shown to cIAP1-BIR2 and cIAP2-BIR2. Phase 1.

Size 10 mg

Serine/threonin Kinase Inhibitor

S8366 CRT0066101 *new*

CRT0066101 is a small molecule PKD family specific inhibitor which specifically blocks PKD1/2 activity and does not suppress PKCα/PKCβ/PKCε activity in multiple.

Size 5 mg 25 mg

PERK Inhibitors

Inhibitory Selectivity

Inhibitor Name	PERK
GSK2606414	++++ IC_{50}: 0.4 nM
GSK2656157	+++ IC_{50}: 0.9 nM
ISRIB (trans-isomer)	++ IC_{50}: 5 nM
Salubrinal	√

Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S7307 GSK2606414

GSK2606414 is an orally available, potent, and selective PERK inhibitor with IC_{50} of 0.4 nM, displaying at least 100-fold selectivity over the other EIF2AKs assayed.

Size 5 mg

S7033 GSK2656157

GSK2656157 is an ATP-competitive and highly selective inhibitor of PERK with IC_{50} of 0.9 nM in a cell-free assay, 500-fold greater against a panel of 300 kinases.

Size 50 mg

S7400 ISRIB (trans-isomer)

ISRIB (trans-isomer), the trans-isomer of ISRIB, is a potent and selective PERK inhibitor with IC_{50} of 5 nM and does not have global effects on translation, transcription, or mRNA stability in non-stressed cells.

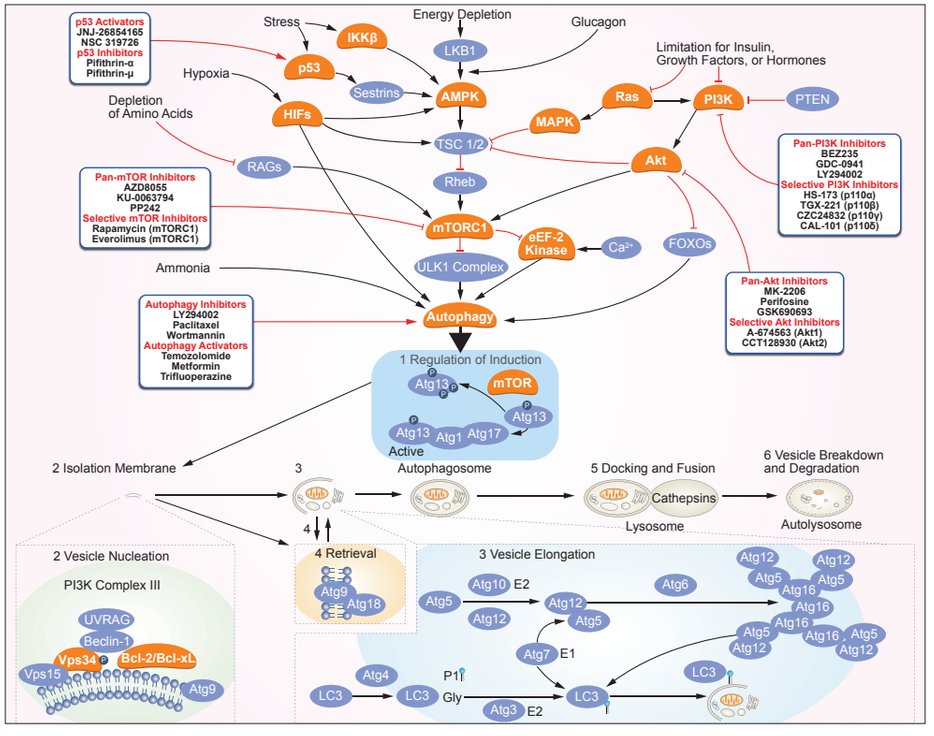
Size 10 mg 25 mg

S2923 Salubrinal

Salubrinal is a selective inhibitor of eIF2α dephosphorylation and inhibits ER stress-mediated apoptosis with EC_{50} of ~15 μM in a cell-free assay.

Size 5 mg 10 mM/1 mL

Autophagy



Autophagy Inhibitors | Activators | Modulators

Autophagy Inhibitors

S1105 LY294002

LY294002 is the first synthetic molecule known to inhibit PI3Kα/δ/β with IC_{50} of 0.5 μM/0.57 μM/0.97 μM in cell-free assays, respectively; more stable in solution than Wortmannin, and also blocks autophagosome formation.

Page 7

S1150 Paclitaxel

Paclitaxel is a microtubule polymer stabilizer with IC_{50} of 0.1 pM in human endothelial cells.

Page 76

S2758 Wortmannin

Wortmannin is the first described PI3K inhibitor with IC_{50} of 3 nM in a cell-free assay, with little selectivity within the PI3K family. Also blocks autophagosome formation and potently inhibits DNA-PK/ATM with IC_{50} of 16 nM and 150 nM in cell-free assays.

Page 8

S2767 3-Methyladenine (3-MA)

3-Methyladenine (3-MA) is a selective PI3K inhibitor for Vps34 and PI3Kγ with IC_{50} of 25 μM and 60 μM in HeLa cells; blocks class I PI3K consistently, whereas suppression of class III PI3K is transient, and also blocks autophagosome formation.

Page 8

S2775 Nocodazole

Nocodazole is a rapidly-reversible inhibitor of microtubule polymeriza -tion, and also inhibits Abl, Abl(E255K) and Abl(T315I) with IC_{50} of 0.21 μM, 0.53 μM and 0.64 μM in cell-free assays, respectively.

Page 76

S4157 Chloroquine Phosphate

Chloroquine phosphate is a 4-aminoquinoline anti-malarial and anti-rheumatoid agent, also acting as an ATM activator.

Page 16

S4430 Hydroxychloroquine Sulfate

Hydroxychloroquine Sulfate is an antimalarial agent used for the treatment of systemic lupus erythematosus, rheumatoid arthritis and other autoimmune, inflammatory and dermatologic conditions. Also acts as an inhibitor of autophagy and toll-like receptor (TLR) 7/9.

Size 10 mg 50 mg 200 mg

S7885 SBI-0206965

SBI-0206965 is a highly selective autophagy kinase ULK1 inhibitor with IC_{50} of 108 nM, about 7-fold selectivity over ULK2.

Size 5 mg 25 mg

S7888 Spautin-1

Spautin-1 is a potent and specific autophagy inhibitor, and inhibits the deubiquitinating activity of USP10 and USP13 with IC_{50} of ~0.6-0.7 μM.

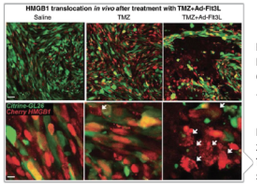
Size 10 mg 50 mg

Autophagy Activators

S1237 Temozolomide

Temozolomide is a monofunctional SN-1 alkylating agent that can modify nitrogen atoms in the DNA ring and the extracyclic oxygen group, chemically converted to MTIC and degrades to methyldiazonium cation, which transfers methyl groups to DNA at physiologic pH. A DNA damage inducer in L-1210 and L-1210/BCNU cells.

Size 25 mg 100 mg 10 mM/1 mL



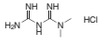
Product Citations (4):
Nat Med, 2015, 10.1038/nm.3855
Clin Cancer Res, 2014, 20(6): 1555-65
...

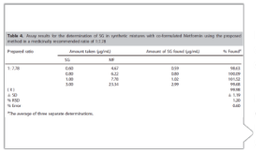
Data from [**Clin Cancer Res,** 2014, 20(6): 1555-65]
Temozolomide (TMZ) purchased from **Selleck**

S1950 Metformin HCl

Metformin HCl decreases hyperglycemia in hepatocytes primarily by suppressing glucose production by the liver (hepatic gluconeogenesis).

Size 50 mg 5 g





Product Citations (4):
Cancer Cell, 2014, 26(6): 840-50
Oncotarget, 2015, 6(2): 969-78
...

Data from [**Luminescence,** 2014, 29(1): 65-73]
Metformin HCl (MF) purchased from **Selleck**

S1047 Vorinostat (SAHA, MK0683)

Vorinostat (suberoylanilide hydroxamic acid, SAHA) is an HDAC inhibitor with IC_{50} of ~10 nM in a cell-free assay.

Page 21

S1002 ABT-737

ABT-737 is a BH3 mimetic inhibitor of Bcl-xL, Bcl-2 and Bcl-w with EC_{50} of 78.7 nM, 30.3 nM and 197.8 nM in cell-free assays, respectively; no inhibition observed against Mcl-1, Bcl-B or Bfl-1. Phase 2.

Page 57

S1049 Y-27632 2HCl

Y-27632 2HCl is a selective ROCK1 (p160ROCK) inhibitor with K_i of 140 nM in a cell-free assay, exhibiting >200-fold selectivity over other kinases, including PKC, cAMP-dependent protein kinase, MLCK and PAK.

Page 82

S1039 Rapamycin (Sirolimus) *Licensed by Pfizer*

Rapamycin (Sirolimus) is a specific mTOR inhibitor with IC_{50} of ~0.1 nM HEK293 cells.

Page 11

S1023 Erlotinib HCl (OSI-744)

Erlotinib HCl (OSI-744) is an EGFR inhibitor with IC_{50} of 2 nM in cell-free assays, >1000-fold more sensitive for EGFR than for human c-Src or v-Abl.

Page 39

S1208 Doxorubicin (Adriamycin) *Licensed by Pfizer*

Doxorubicin (Adriamycin) is an antibiotic agent that inhibits DNA topoisomerase II and induces DNA damage and apoptosis in tumor cells.

Page 91

S1057 Obatoclax Mesylate (GX15-070)

Obatoclax Mesylate (GX15-070) is an antagonist of Bcl-2 with K_i of 0.22 μM in a cell-free assay, can assist in overcoming MCL-1 mediated resistance to apoptosis. Phase 3.

Page 57

S1038 PI-103

PI-103 is a multi-targeted PI3K inhibitor for p110α/β/δ/γ with IC_{50} of 2 nM/3 nM/3 nM/15 nM in cell-free assays, less potent to mTOR/DNA-PK with IC_{50} of 30 nM/23 nM.

Page 7

S1149 Gemcitabine HCl

Gemcitabine HCl is a DNA synthesis inhibitor with IC_{50} of 50 nM, 40 nM, 18 nM and 12 nM in PANC1, MIAPaCa2, BxPC3 and Capan2 cells, respectively.

Page 88

S2218 Torkinib (PP242)

Torkinib (PP242) is a selective mTOR inhibitor with IC_{50} of 8 nM in cell-free assays; targets both mTOR complexes with >10- and 100-fold selectivity for mTOR than PI3Kδ or PI3Kα/β/γ, respectively.

Page 11

S1573 Fasudil (HA-1077) HCl

Fasudil (HA-1077), a potent and selective inhibitor of Rho kinase, displays less potent inhibiton over PKA, PKG, PKC and MLCK with K_i of 1.6, 1.6, 3.3, and 36 μM in cell-free assays, respectively.

Page 82

S1972 Tamoxifen Citrate

Tamoxifen Citrate is an antagonist of the estrogen receptor by competitive inhibition of estrogen binding.

Page 110

Autophagy Modulators

S1241 Vincristine sulfate

Vincristine sulfate is an inhibitor of polymerization of microtubules by binding to tubulin with IC_{50} of 32 μM in a cell-free assay.

Page 76

S1168 Valproic acid sodium salt (Sodium valproate)

Valproic acid sodium salt (Sodium valproate) is a HDAC inhibitor by selectively inducing proteasomal degradation of HDAC2, used in the treatment of epilepsy, bipolar disorder and prevention of migraine headaches.

Page 22

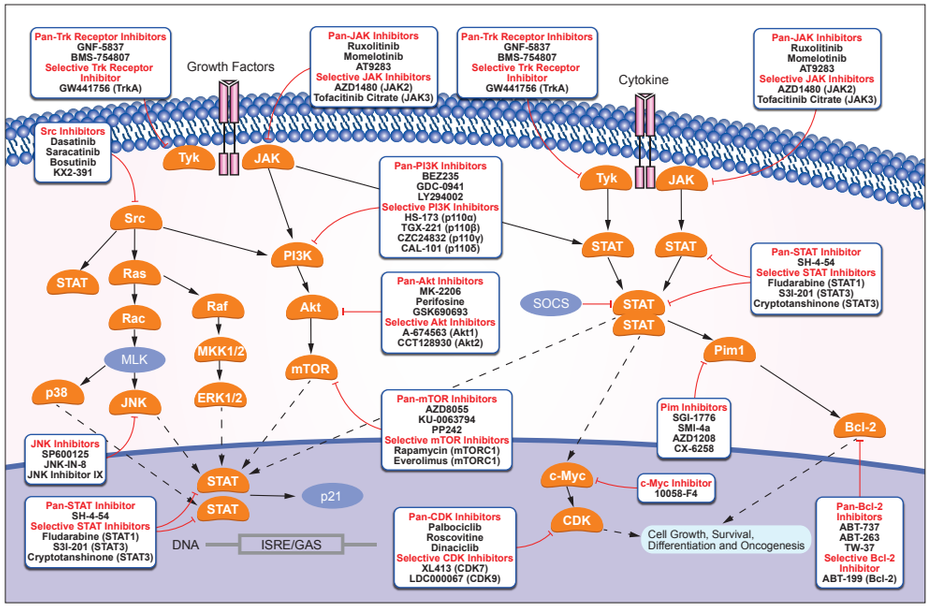
LRRK2 Inhibitor

S7584 LRRK2-IN-1

LRRK2-IN-1 is a potent and selective LRRK2 inhibitor with IC_{50} of 6 nM and 13 nM for LRRK2 (G2019S) and LRRK2 (WT), respectively.

Size 10 mg 50 mg 100 mg

JAK/STAT Pathway



JAK Inhibitors

Detailed product information is on page 24-26

Pim Inhibitors

Detailed product information is on page 26

EGFR Inhibitors

Detailed product information is on page 38-40

STAT Inhibitors

Inhibitory Selectivity

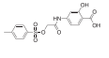
Inhibitor Name	STAT1	STAT3	STAT5
S3I-201		+ IC_{50}: 86 μM	
Stattic		++ IC_{50}: 5.1 μM	
Niclosamide		+++ IC_{50}: 0.7 μM	
BP-1-102		+++ K_d: 504 nM	
SH-4-54		++++ K_d: 300 nM	++++ K_d: 464 nM
Cryptotanshinone		++ IC_{50}: 4.6 μM	
Fludarabine	√		
Nifuroxazide	√		
APTSTAT3-9R		√	

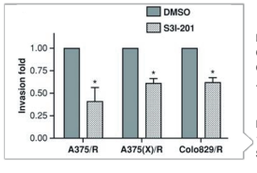
Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1155 S3I-201 (NSC 74859) *STAT3 selective*

S3I-201 shows potent inhibition of STAT3 DNA-binding activity with IC_{50} of 86 μM in cell-free assays, and low activity towards STAT1 and STAT5.

Size 5 mg 10 mg 50 mg 10 mM/1 mL





Product Citations (21):
Clin Cancer Res. 2015, 21(17): 4014-21
Cancer Discov, 2013, 3(2): 158-67
...

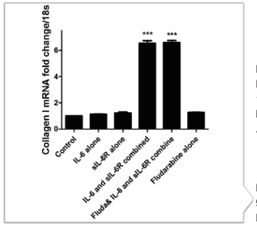
Data from [**Cancer Discov,** 2013, 3(2): 158-67]
S3I-201 purchased from **Selleck**

S1491 Fludarabine (FaraA, Fludarabinum)

STAT1 selective

Fludarabine is a STAT1 activation inhibitor which causes a specific depletion of STAT1 protein (and mRNA) but not of other STATs. Also a DNA synthesis inhibitor in vascular smooth muscle cells.

Size 10 mg 100 mg 1 g 10 mM/1 mL



Product Citations (8):
EMBO Mol Med, 2015, 10.15252/emmm.201404580
Mol Cancer Ther, 2014, 13(10): 2276-87
...

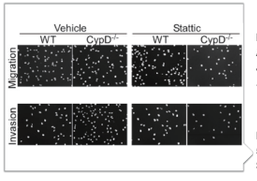
Data from [**J Biol Chem,** 2014, 289(14): 9952-60]
Fludarabine purchased from **Selleck**

S7024 Stattic

STAT3 selective

Stattic, the first nonpeptidic small molecule, potently inhibits STAT3 activation and nuclear translocation with IC_{50} of 5.1 μM in cell-free assays, highly selectivity over STAT1.

Size 25 mg 50 mg 10 mM/1 mL



Product Citations (8):
Antioxid Redox Signal, 2015, 24(2): 70
J Biol Chem, 2013, 288(8): 5553-61
...

Data from [**J Biol Chem,** 2013, 288(8): 5553-61]
Stattic purchased from **Selleck**

S3030 Niclosamide

STAT3 selective

Niclosamide can inhibit DNA replication and inhibit STAT3 with IC_{50} of 0.7 μM in a cell-free assay. Niclosamide selectively inhibited the phosphorylation of STAT3 and had no obvious inhibition against the activation of other homologues (e.g., STAT1 and STAT5).

Size 50 mg 1 g 5 g

S2285 Cryptotanshinone

STAT3 selective

Cryptotanshinone is a STAT3 inhibitor with IC_{50} of 4.6 μM in a cell-free assay, strongly inhibiting phosphorylation of STAT3 Tyr705, with a small effect on STAT3 Ser727, but neither against STAT1 nor STAT5.

Size 10 mg 25 mg 50 mg 10 mM/1 mL

S7337 SH-4-54

SH-4-54 is a potent STAT inhibitor with K_D of 300 nM and 464 nM for STAT3 and STAT5, respectively.

Size 5 mg

S7501 HO-3867

HO-3867, an analog of curcumin, is a selective STAT3 inhibitor that inhibits its phosphorylation, transcription, and DNA binding without affecting the expression of other active STATs.

Size 5 mg 25 mg

S7977 Napabucasin

Napabucasin is an orally available Stat3 and cancer cell stemness inhibitor.

Size 5 mg 25 mg 100 mg

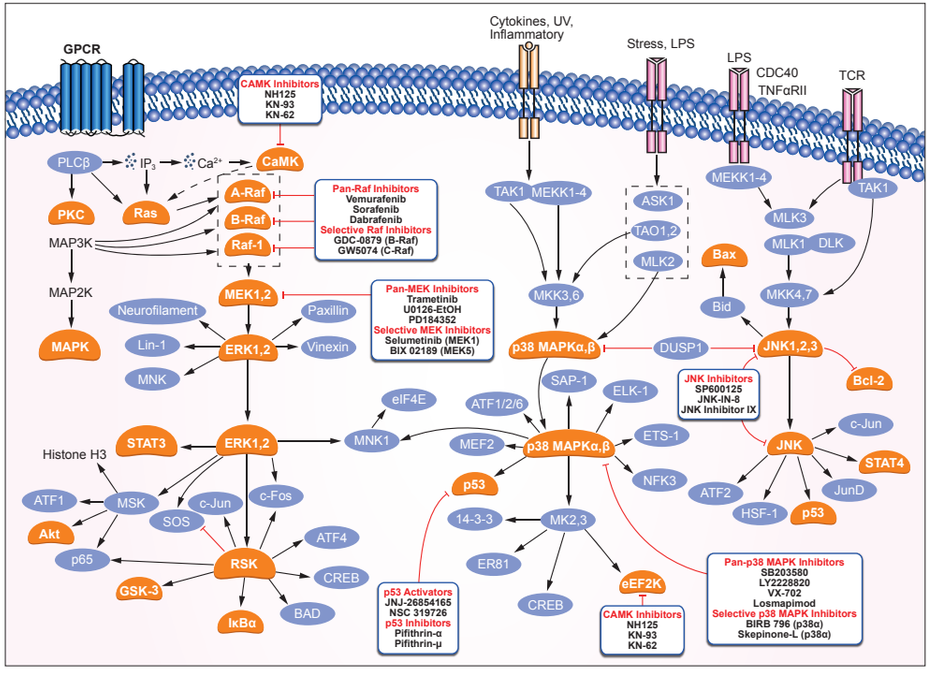
S7769 BP-1-102

new

BP-1-102 is a potent, orally bioavailable and selective STAT3 inhibitor, binds Stat3 with an affinity K_d of 504 nM and blocks Stat3-phospho-tyrosine (pTyr) peptide interactions and Stat3 activation at 4-6.8 μM.

Size 5 mg 25 mg

MAPK



MEK Inhibitors

Inhibitory Selectivity

Inhibitor Name	MEK	MEK1	MEK1/2	MEK2	MEK5	Other
Selumetinib		+++ IC_{50}: 14 nM				
PD0325901	++++ IC_{50}: 0.33 nM					
Trametinib		++++ IC_{50}: 0.92 nM		++++ IC_{50}: 1.8 nM		
U0126-EtOH		+ IC_{50}: 0.07 μM		++ IC_{50}: 0.06 μM		MKK6/p38 MAPK,MKK3/p38 MAPK
PD184352		++ IC_{50}: 17 nM		++ IC_{50}: 17 nM		
PD98059		+ IC_{50}: 2 μM				
BIX 02189					++++ IC_{50}: 1.5 nM	ERK5,TGFβR1
Pimasertib			+ IC_{50}: 5 nM-2 μM			
BIX 02188					+++ IC_{50}: 4.3 nM	ERK5,TGFβR1
TAK-733		++++ IC_{50}: 3.2 nM				
AZD8330			+++ IC_{50}: 7 nM			ERK phosphorylation
Binimetinib	+++ IC_{50}: 12 nM					
SL-327		+ IC_{50}: 0.18 μM		+ IC_{50}: 0.22 μM		AP-1,MKK3/p38 MAPK
Refametinib		++ IC_{50}: 19 nM		++ IC_{50}: 47 nM		
GDC-0623		++++ IC_{50}: 0.13 nM				
BI-847325		++ IC_{50}: 25 nM		+++ IC_{50}: 4 nM		Aurora B,Aurora C,Aurora A
Cobimetinib		+++ IC_{50}: 4.2 nM				
PD318088			√			
Honokiol	√					Akt-phosphorylation

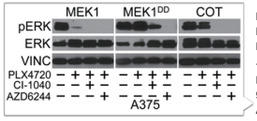
Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1008 Selumetinib (AZD6244)

MEK1 selective

Selumetinib (AZD6244) is a potent, highly selective MEK1 inhibitor with IC_{50} of 14 nM in cell-free assays, also inhibiting ERK1/2 phosphorylation with IC_{50} of 10 nM, no inhibition to p38α, MKK6, EGFR, ErbB2, ERK2, B-Raf etc. Phase 3.

Size 50 mg 200 mg 500 mg 10 mM/1 mL



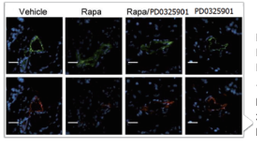
Product Citations (112):
Nature, 2012, 487(7408): 505-9
Nature, 2010, 468(7326): 968-72
...
Data from [**Nature,** 2010, 468(7326): 968-72]
AZD6244 purchased from **Selleck**

S1036 PD0325901

Licensed by Pfizer

PD0325901 is a selective and non ATP-competitive MEK inhibitor with IC_{50} of 0.33 nM in cell-free assays, roughly 500-fold more potent than CI-1040 on phosphorylation of ERK1 and ERK2. Phase 2.

Size 5 mg 25 mg 100 mg 10 mM/1 mL

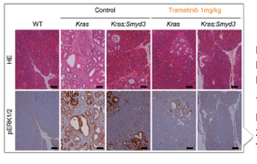


Product Citations (86):
Nature, 2015, 10.1038/nature14413
Nature, 2015, 517(7534): 391-5
...
Data from [**J Exp Med,** 2014, 211(3): 395-404]
PD0325901 purchased from **Selleck**

S2673 Trametinib (GSK1120212)

Trametinib (GSK1120212) is a highly specific and potent MEK1/2 inhibitor with IC_{50} of 0.92 nM/1.8 nM in cell-free assays, no inhibition of the kinase activities of c-Raf, B-Raf, ERK1/2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

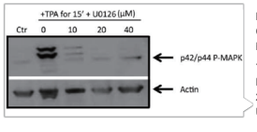


Product Citations (45):
Nature, 2015, 517(7534): 391-5
Nature, 2014, 510(7504): 283-7
...
Data from [**Nature,** 2014, 510 (7504): 283-7]
Trametinib purchased from **Selleck**

S1102 U0126-EtOH

U0126-EtOH is a highly selective inhibitor of MEK1/2 with IC_{50} of 0.07 μM/0.06 μM in cell-free assays, 100-fold higher affinity for ΔN3-S218E /S222D MEK than PD98059.

Size 25 mg 100 mg

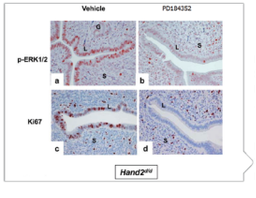


Product Citations (56):
Cell, 2013, 153(4): 840-54
Nat Genet, 2011, 44(2): 133-9
...
Data from [**Proc Natl Acad Sci USA,** 2014, 111(15): E1528-37]
U0126-EtOH purchased from **Selleck**

S1020 PD184352 (CI-1040)

PD184352 (CI-1040) is an ATP non-competitive MEK1/2 inhibitor with IC_{50} of 17 nM in cell-based assays, 100-fold more selective for MEK1/2 than for MEK5. Phase 2.

Size 5 mg 25 mg 200 mg 10 mM/1 mL



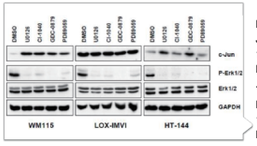
Product Citations (41):
Science, 2011, 331(6019): 912-6
Nat Genet, 2011, 44(2): 133-9
...
Data from [**Science,** 2011, 331 (6019): 912-6]
PD184352 purchased from **Selleck**

S1177 PD98059

MEK1 selective

PD98059 is a non-ATP competitive MEK inhibitor with IC_{50} of 2 μM in a cell-free assay, specifically inhibiting MEK-1-mediated activation of MAPK; does not directly inhibit ERK1 or ERK2.

Size 10 mg 50 mg 200 mg 10 mM/1 mL



Product Citations (44):
J Natl Cancer Inst, 2012, 104(21): 1673-9
Hepatology, 2013, 59(4): 1262-72
...
Data from [**J Natl Cancer Inst,** 2012, 104(21): 1673-9]
PD98059 purchased from **Selleck**

S1089 Refametinib (RDEA119, Bay 86-9766)

Refametinib (RDEA119, Bay 86-9766) is a potent, ATP non-competitive and highly selective inhibitor of MEK1 and MEK2 with IC_{50} of 19 nM and 47 nM, respectively.

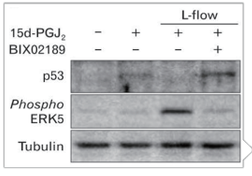
Size 5 mg

S1531 BIX 02189

MEK5 selective

BIX 02189 is a selective inhibitor of MEK5 with IC_{50} of 1.5 nM, also inhibits ERK5 catalytic activity with IC_{50} of 59 nM in cell-free assays, and does not inhibit closely related kinases MEK1, MEK2, ERK2, and JNK2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



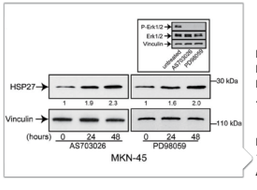
Product Citations (21):
Neurobiol Aging, 2014, 35(3): 669-79
Am J Pathol, 2013, 183(6): 1758-68
...
Data from [**Anat Cell Biol,** 2011, 44(4): 265-73]
BIX 02189 purchased from **Selleck**

S1475 Pimasertib (AS-703026)

MEK1/2 selective

Pimasertib (AS-703026) is a highly selective, potent, ATP non -competitive allosteric inhibitor of MEK1/2 with IC_{50} of 5 nM-2 μM in MM cell lines. Phase 2.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



Product Citations (4):
FASEB J, 2014, 10.1096/fj.13-247924
Br J Haematol, 2014, 10.1111/bjh.13200
...
Data from [**FASEB J,** 2014, 10.1096/fj.13-247924]
AS-703026 purchased from **Selleck**

S7007 Binimetinib (MEK162, ARRY-162, ARRY-438162)

Binimetinib (MEK162, ARRY-162, ARRY-438162) is a potent inhibitor of MEK1/2 with IC_{50} of 12 nM in a cell-free assay. Phase 3.

Size 10 mg 50 mg

S8041 Cobimetinib (GDC-0973, RG7420)

Cobimetinib (GDC-0973, RG7420) is a potent and highly selective MEK1 inhibitor with IC_{50} of 4.2 nM, showing more than 100-fold selectively for MEK1 over MEK2 and showed no significant inhibition when tested against a panel of more than 100 of serine-threonine and tyrosine kinases. Phase 3.

Size 5 mg 25 mg 100 mg

Raf Inhibitors | Chemical

Inhibitory Selectivity

Inhibitor Name	Raf	C-Raf/Raf-1	B-Raf	Other
Vemurafenib		+ IC_{50}: 48 nM	++ IC_{50}: 100 nM	SRMS,ACK1,MAP4K5 (KHS1)
Sorafenib Tosylate		++++ IC_{50}: 6 nM	++ IC_{50}: 22 nM	VEGFR2/Flk1,mPDGFRβ,PDGFRβ
PLX-4720		+++ IC_{50}: 6.7 nM	+++ IC50: 13 nM	BRK
Dabrafenib		++++ IC_{50}: 5.0 nM	++++ IC_{50}: 0.8 nM	
GDC-0879			++++ IC_{50}: 0.13 nM	
RAF265			++ IC_{50}: 3 nM-60 nM	VEGFR2
AZ 628		++ IC_{50}: 29 nM	++ IC_{50}: 34 nM	
NVP-BHG712		+ IC_{50}: 0.395 μM		EphB4,c-Src,c-Abl
SB590885			++++ K_i: 0.16 nM	
ZM 336372		+ IC_{50}: 70 nM		
Sorafenib		++++ IC_{50}: 6 nM	++ IC_{50}: 38 nM	mVEGFR2(Flk1),mVEGFR3,mPDGFRβ
GW5074		+++ IC_{50}: 9 nM		
TAK-632		++++ IC_{50}: 1.4 nM	+++ IC_{50}: 8.3 nM	Aurora B,PDGFRβ,FGFR3
CEP-32496		++ K_d: 14 nM	+++ K_d: 14 nM	RET,PDGFRβ,LCK
CCT196969		+++ IC_{50}: 0.01 μM	+ IC_{50}: 0.1 μM	LCK,Src,V600E-BRAF
LY3009120		++++ IC_{50}: 4.3 nM	++++ IC_{50}: 5.8~15 nM	
RO5126766		+ IC_{50}: 56 nM	+++ IC_{50}: 8.2 nM	MEK1
Encorafenib			√	
PLX7904	√			
MLN2480	√			

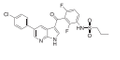
Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

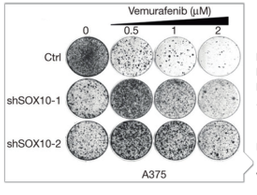
Raf Inhibitors

S1267 Vemurafenib (PLX4032, RG7204)

Vemurafenib (PLX4032, RG7204) is a novel and potent inhibitor of B-Raf^{V600E} with IC_{50} of 31 nM in cell-free assay. 10-fold selective for B-Raf^{V600E} over wild-type B-Raf in enzymatic assays and the cellular selectivity can exceed 100-fold.

Size 10 mg 50 mg 200 mg 10 mM/1 mL



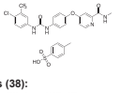


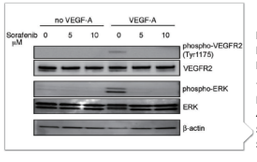
Product Citations (62):
Nature, 2015, 10.1038/nature14336
Nature, 2014, 508(7494): 118-22
...
Data from [**Nature,** 2014, 508(7494): 118-22]
Vemurafenib purchased from **Selleck**

S1040 Sorafenib Tosylate

Sorafenib Tosylate is a multi-kinase inhibitor of Raf-1, B-Raf and VEGFR-2 with IC_{50} of 6 nM, 22 nM and 90 nM in cell-free assays, respectively.

Size 5 mg 50 mg 100 mg 10 mM/1 mL



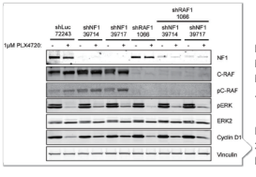


Product Citations (38):
Hepatology, 2013, 59(4): 1435-47
Blood, 2013, 122(9): 1621-33
...
Data from [**Blood,** 2012, 120(19): 4104-15]
Sorafenib Tosylate purchased from **Selleck**

S1152 PLX-4720

PLX-4720 is a potent and selective inhibitor of B-Raf^{V600E} with IC_{50} of 13 nM in a cell-free assay, equally potent to c-Raf-1(Y340D and Y341D mutations), 10-fold selectivity for B-Raf^{V600E} than for wild-type B-Raf.

Size 10 mg 25 mg 100 mg 10 mM/1 mL

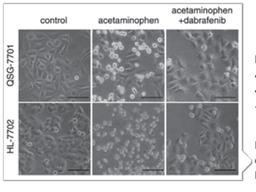


Product Citations (44):
Nat Biotechnol, 2013, 31(7): 630-7
Nature, 2015, 517(7536): 583-8
...
Data from [**Cancer Discov,** 2013, 3(3): 350-62]
PLX-4720 purchased from **Selleck**

S2807 Dabrafenib (GSK2118436)

Dabrafenib (GSK2118436) is a mutant BRAF^{V600} specific inhibitor with IC_{50} of 0.8 nM in cell-free assays, with 4- and 6-fold less potency against B-Raf(wt) and c-Raf, respectively.

Size 5 mg 20 mg 100 mg 10 mM/1 mL

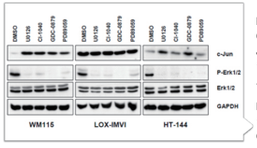


Product Citations (10):
J Clin Invest, 2014, 124(3): 1406-17
J Clin Invest, 2014, 124(11): 5074-84
...
Data from [**Cell Death Dis,** 2014, 5: e1278]
Dabrafenib purchased from **Selleck**

S1104 GDC-0879 *B-Raf selective*

GDC-0879 is a novel, potent, and selective B-Raf inhibitor with IC_{50} of 0.13 nM in A375 and Colo205 cells with activity against c-Raf as well; no inhibition known to other protein kinases.

Size 2 mg 10 mg 25 mg 10 mM/1 mL



Product Citations (13):
Cancer Discov, 2013, 3(3): 350-62
J Natl Cancer Inst, 2012, 104(21): 1673-9
...

Data from [**J Natl Cancer Inst,** 2012, 104(21): 1673-9]
GDC-0879 purchased from **Selleck**

S7108 Encorafenib (LGX818) *B-Raf selective*

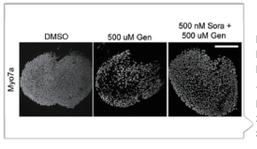
Encorafenib (LGX818) is a highly potent RAF inhibitor with selective anti-proliferative and apoptotic activity in cells expressing B-RAF(V600E) with EC_{50} of 4 nM. Phase 3.

Size 1 mg 5 mg

S7397 Sorafenib (BAY 43-9006)

Sorafenib is a multi-kinase inhibitor of Raf-1, B-Raf and VEGFR-2 with IC_{50} of 6 nM, 22 nM and 90 nM in cell-free assays, respectively.

Size 20 mg 50 mg 200 mg



Product Citations (58):
Hepatology, 2013, 59(4): 1435-47
Blood, 2013, 122(9): 1621-33
...

Data from [**J Neurosci,** 2013, 33(7): 3079-93]
Sorafenib purchased from **Selleck**

S7291 TAK-632

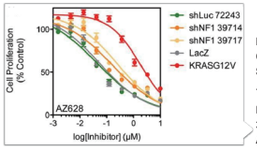
TAK-632 is a potent pan-Raf inhibitor with IC_{50} of 8.3 nM and 1.4 nM for B-Raf(wt) and C-Raf in cell-free assays, respectively, showing less or no inhibition against other tested kinases.

Size 5 mg 20 mg

S2746 AZ 628

AZ 628 is a new pan-Raf inhibitor for BRAF, $BRAF^{V600E}$, and c-Raf-1 with IC_{50} of 105 nM, 34 nM and 29 nM in cell-free assays, and also inhibits VEGFR2, DDR2, Lyn, Flt1, FMS, etc.

Size 5 mg 25 mg 10 mM/1 mL



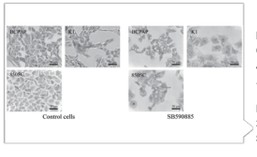
Product Citations (5):
Cancer Discov, 2013, 3(3): 350-62
Stem Cells, 2015, 10.1002/stem.1990
...

Data from [**Cancer Discov,** 2013, 3(3): 350-62]
AZ 628 purchased from **Selleck**

S2220 SB590885 *B-Raf selective*

SB590885 is a potent B-Raf inhibitor with K_i of 0.16 nM in a cell-free assay, 11-fold greater selectivity for B-Raf over c-Raf, no inhibition to other human kinases.

Size 10 mg 50 mg 10 mM/1 mL



Product Citations (3):
Cell Death Dis, 2014, 5: e1278
J Cell Mol Med, 2015, 10.1111/jcmm.126
...

Data from [**Invest New Drugs,** 2014, 32(4): 626-35]
SB590885 purchased from **Selleck**

S2872 GW5074 *C-Raf/Raf-1 selective*

GW5074 is a potent and selective c-Raf inhibitor with IC_{50} of 9 nM, but no effect on the activities of JNK1/2/3, MEK1, MKK6/7, CDK1/2, c-Src, p38 MAP, VEGFR2 or c-Fms is noted.

Size 5 mg 25 mg 10 mM/1 mL

Raf Chemical

S7842 LY3009120

LY03009120 is a potent pan-Raf inhibitor with IC_{50} of 44 nM, 31-47 nM, and 42 nM for A-raf, B-Raf, and C-Raf in A375 cells, respectively. Phase 1.

Size 5 mg 25 mg 100 mg

p38 MAPK Inhibitors

Inhibitory Selectivity

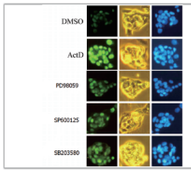
Inhibitor Name	p38 MAPK	p38α	p38β	Other
SB203580	+ IC_{50}: 0.3-0.5 μM			PKB
Doramapimod		++++ K_d: 0.1 nM		
SB202190		++ IC_{50}: 50 nM	++ IC_{50}: 100 nM	
LY2228820		++++ IC_{50}: 7 nM		
VX-702		+++ IC_{50}: 4-20 nM		
PH-797804		+++ IC_{50}: 26 nM	+ IC_{50}: 102 nM	
VX-745		+++ IC_{50}: 10 nM	+ IC_{50}: 220 nM	
TAK-715		++++ IC_{50}: 7.1 nM	+ IC_{50}: 0.20 μM	
Pamapimod		+++ IC_{50}: 0.014 μM	+ IC_{50}: 0.48 μM	
SB239063		++ IC_{50}: 44 nM	++ IC_{50}: 44 nM	
Losmapimod		+++ pK_i: 8.1	+++ pK_i: 7.6	
Skepinone-L		++++ IC_{50}: 5 nM		
Pexmetinib	√			Tie-2

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1076 SB203580 (RWJ 64809)

SB203580 is a p38 MAPK inhibitor with IC_{50} of 0.3-0.5 μM in THP-1 cells, 10-fold less sensitive to SAPK3(106T) and SAPK4(106T) and blocks PKB phosphorylation with IC_{50} of 3-5 μM.

Size 25 mg 50 mg 100 mg 200 mg



Product Citations (45):
J Exp Med, 2015, 212(4): 525-38
Hepatology, 2014, 59(4): 1262-72
...

Data from [**Oncotarget,** 2014, 5(3): 693-703]
SB203580 purchased from **Selleck**

S7215 Losmapimod (GW856553X, GW856553, GSK-AHAB)

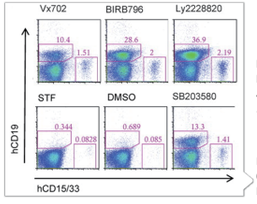
Losmapimod (GW856553X) is a selective, potent, and orally active p38 MAPK inhibitor with pK_i of 8.1 and 7.6 for p38α and p38β, respectively. Phase 3.

Size 10 mg 50 mg

S1574 Doramapimod (BIRB 796) *p38α selective*

Doramapimod (BIRB 796) is a pan-p38 MAPK inhibitor with IC_{50} of 38 nM, 65 nM, 200 nM and 520 nM for p38α/β/γ/δ in cell-free assays, and binds p38α with K_d of 0.1 nM in THP-1 cells, 330-fold greater selectivity versus JNK2, weak inhibition for c-RAF, Fyn and Lck, insignificant inhibition of ERK-1, SYK, IKK2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



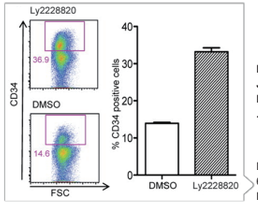
Product Citations (18):
Mol Syst Biol, 2015, 11(3): 797
J Exp Med, 2015, 212(4): 525-38
...

Data from [**Blood,** 2012, 119(26): 6255-8]
BIRB 796 purchased from **Selleck**

S1494 LY2228820 *p38α selective*

LY2228820 is a novel and potent inhibitor of p38 MAPK with IC_{50} of 7 nM, but does not alter p38 MAPK activation. Phase 1/2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



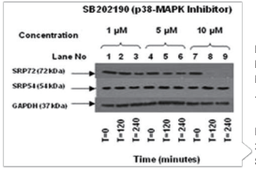
Product Citations (9):
J Exp Med, 2015, 212(4): 525-38
Blood, 2012, 119(26): 6255-8
...

Data from [**Blood,** 2012, 119(26): 6255-8]
LY2228820 purchased from **Selleck**

S1077 SB202190 (FHPI)

SB202190 (FHPI) is a potent p38 MAPK inhibitor targeting p38α/β with IC_{50} of 50 nM/100 nM in cell-free assays, sometimes used instead of SB 203580 to investigate potential roles for SAPK2a/p38 in vivo.

Size 25 mg 100 mg 10 mM/1 mL



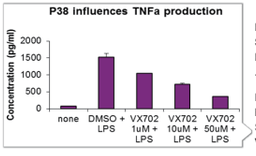
Product Citations (12):
Mol Syst Biol, 2015, 11(3): 797
Nat Commun, 2014, 5: 3479
...

Data from [**J Biol Chem,** 2010, 285(43): 32824-33]
SB202190 purchased from **Selleck**

S6005 VX-702 *p38α selective*

VX-702 is a highly selective inhibitor of p38α MAPK, 14-fold higher potency against the p38α versus p38β. Phase 2.

Size 10 mg 100 mg 200 mg 10 mM/1 mL



Product Citations (5):
Stem Cell Reports, 2014, 3(1): 34-43
PLoS One, 2013, 8(8): e70732
...

Data independently produced by Lee lay hoon from National University of Singapore
VX-702 purchased from **Selleck**

S8125 Pamapimod (R-1503, Ro4402257) *new*

Pamapimod (R-1503, Ro4402257) is a novel, selective inhibitor of p38 mitogen-activated protein kinase. It inhibits p38α and p38β enzymatic activity with IC_{50} values of 0.014 ± 0.002 and 0.48 ± 0.04 microM, respectively with no activity against p38delta or p38gamma isoforms.

Size 1 mg 5 mg

JNK Inhibitors

Inhibitory Selectivity

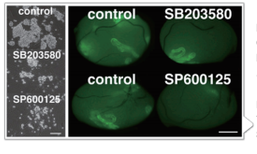
Inhibitor Name	JNK1	JNK2	JNK3	JNK	Other
SP600125	+++ IC_{50}: 40 nM	+++ IC_{50}: 40 nM	++ IC_{50}: 90 nM	+ IC_{50}: 0.4 μM	Aurora A,TrkA,FLT3
JNK-IN-8	++++ IC_{50}: 4.7 nM	+++ IC_{50}: 18.7 nM	++++ IC_{50}: 1 nM		Kit (V559D,T670I),Kit (V559D),RIOK2
JNK Inhibitor IX		+ pIC_{50}: 6.5	++ pIC_{50}: 6.7		

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1460 SP600125 (Nsc75890)

SP600125 is a broad-spectrum JNK inhibitor for JNK1, JNK2 and JNK3 with IC_{50} of 40 nM, 40 nM and 90 nM in cell-free assays, respectively; 10-fold greater selectivity against MKK4; 25-fold greater selectivity against MKK3, MKK6, PKB, and PKCα, and 100-fold selectivity against ERK2, p38, Chk1, EGFR etc.

Size 10 mg 50 mg 200 mg 10 mM/1 mL



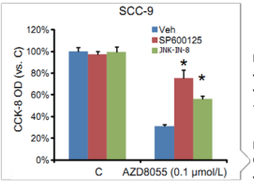
Product Citations (42):
Cell Stem Cell, 2013, 12(6): 774-86
Mol Syst Biol, 2015, 11(3): 797
...

Data from [**Cell Stem Cell,** 2013, 12(6): 774-86]
SP600125 purchased from **Selleck.**

S4901 JNK-IN-8

JNK-IN-8 is the first irreversible JNK inhibitor for JNK1, JNK2 and JNK4 with IC_{50} of 4.7 nM, 18.7 nM and 1 nM, >10-fold selectivity against MNK2, Fms and no inhibition to c-Kit, Met, PDGFRβ in A375 cell line.

Size 5 mg



Product Citations (3):
J Exp Med, 2015, 10.1084/jem.20141957
J Clin Endocrinol Metab, 2015, 10.1
...

Data from [**Biochem Biophys Res Commun,** 2013, 440(4): 701-6]
JNK-IN-8 purchased from **Selleck**

S7409 Anisomycin

Anisomycin is an antibiotic, which inhibits protein synthesis, and also acts as a JNK activator.

Size 10 mg 50 mg 200 mg

ERK Inhibitors

Inhibitory Selectivity

Inhibitor Name	ERK1	ERK2	ERK5	ERK	Other
SCH772984	+++ IC_{50}: 4 nM	++++ IC_{50}: 1 nM			
LY3214996	+++ IC_{50}: 5 nM	+++			
SC1	++ K_d:98 nM				RasGAP
VX-11e		+++ K_i: <2 nM			
DEL-22379			+ IC_{50}: 0.5 μM	+ IC_{50}: 0.5 μM	
Ulixertinib		++++ IC_{50}: <0.3 nM			
GDC-0994	+++ IC_{50}: 1.1 nM	++++ IC_{50}: 0.3 nM			
FR 180204	+ K_i: 0.31 μM	++ K_i: 0.14 μM			
ERK5-IN-1			++ IC_{50}: 162 nM		

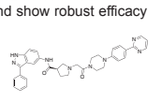
Notes:

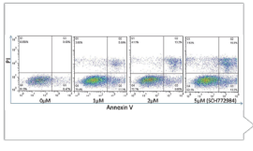
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S7101 SCH772984

SCH772984 is a novel, specific inhibitor of ERK1/2 with IC_{50} values of 4 nM and 1 nM in cell-free assay, respectively, And show robust efficacy in RAS- or BRAF-mutant cancer cells.

Size 5 mg



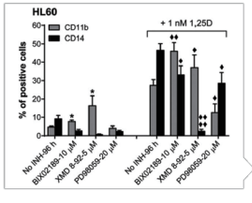


Product Citations (7):
J Clin Invest, 2015, 125(6): 2484-96
Cell Res, 2015, 10.1038/cr.2015.30
...
Data from [**Leuk Lymphoma,** 2014, 22: 1-8]
SCH772984 purchased from **Selleck**

S7525 XMD8-92 *ERK5 selective*

XMD8-92 is a potent and selective BMK1/ERK5 inhibitor with K_d of 80 nM.

Size 10 mg 50 mg



Product Citations (2):
Oncotarget, 2014, 5(10): 3145-58
J Cell Physiol, 2014, 229(7): 856-67

Data from [**J Cell Physiol,** 2014, 229(7): 856-67]
XMD8-92 purchased from **Selleck**

S7524 FR 180204

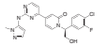
FR 180204 is an ATP-competitive, selective ERK inhibitor with K_i of 0.31 μM and 0.14 μM for ERK1 And ERK2, respectively. It is 30-fold less potent against the related kinase p38α and failed to inhibit any kinases(MEK1, MKK4, IKKα, PKCα, Src, Syc, and PDGFα) at less than 30 μM.

Size 5 mg 25 mg

S7554 GDC-0994

GDC-0994 is a potent, orally available and highly selective ERK1/2 inhibitor with IC_{50} of 1.1 nM and 0.3 nM, respectively. Phase 1.

Size 5 mg 25 mg



S7854 Ulixertinib (BVD-523, VRT752271)

Ulixertinib (BVD-523, VRT752271) is a potent and reversible ERK1/ERK2 inhibitor with IC_{50} of <0.3 nM for ERK2. Phase 1.

Size 5 mg 25 mg 100 mg

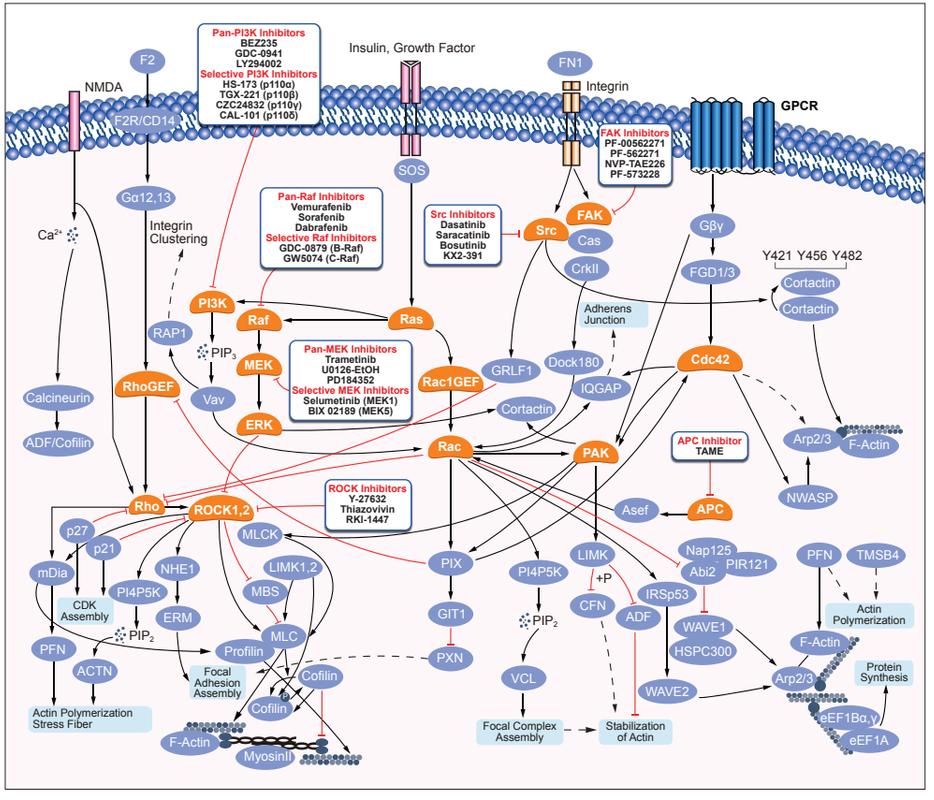
MNK Inhibitor

S8275 eFT-508 (eFT508) *new*

eFT-508 (eFT508) is a potent and selective MNK1/2 inhibitor with IC_{50}s of 2.4 nM and 1 nM, respectively. It potentially results in decreased tumor cell proliferation and tumor growth.

Size 2 mg 5 mg 25 mg

Cytoskeletal Signaling



Akt Inhibitors

Detailed product information is on page 12-13

Bcr-Abl Inhibitors

Detailed product information is on page 51-52

FAK Inhibitors

Detailed product information is on page 54

Wnt/beta-catenin Inhibitors

Inhibitory Selectivity

Inhibitor Name	Wnt/beta-catenin	Other
XAV-939	+++ IC_{50}: 11 nM	
ICG-001	+ IC_{50}: 3 μM	
IWR-1-endo	+ IC_{50}: 180 nM	
Wnt-C59	++++ IC_{50}: 74 pM	
IWP-2	++ IC_{50}: 27 nM	
IWP-L6	++++ EC_{50}: 0.5 nM	
KYA1797K	+ IC_{50}: 0.75 μM	
PRI-724	++ IC_{50}: 150 nM	
WIKI4	+++ IC_{50}: 15 nM	
LGK-974	√	
KY02111	√	
FH535	√	PPARγ,PPARδ

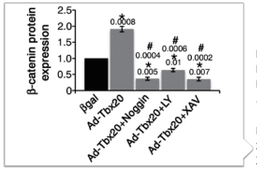
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1180 XAV-939

XAV-939 selectively inhibits Wnt/β-catenin-mediated transcription through tankyrase1/2 inhibition with IC_{50} of 11 nM/4 nM in cell-free assays, regulates axin levels and does not affect CRE, NF-κB or TGF-β.

Size 10 mg 50 mg 200 mg 10 mM/1 mL



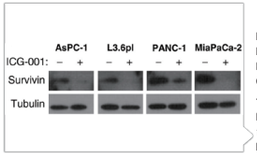
Product Citations (21):
Nat Cell Biol, 2014, 16(2): 179-90
Nat Commun, 2014, 5: 5455
...

Data from [**J Mol Cell Cardiol,** 2013, 62: 203-13]
XAV-939 purchased from **Selleck**

S2662 ICG-001

ICG-001 antagonizes Wnt/β-catenin/TCF-mediated transcription and specifically binds to CREB-binding protein (CBP) with IC_{50} of 3 μM, but is not the related transcriptional coactivator p300.

Size 5 mg 25 mg 10 mM/1 mL



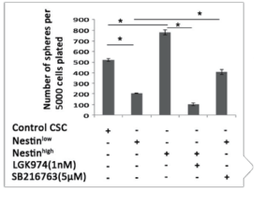
Product Citations (13):
Proc Natl Acad Sci USA. 2013, 110(52): E5039-48.
Genes Dev, 2014, 28(8): 858-74
...

Data from [**Mol Cancer Ther,** 2014, 13(10): 2303-14]
ICG-001 purchased from **Selleck**

S7143 LGK-974

LGK-974 is a potent and specific PORCN inhibitor, and inhibits Wnt signaling with IC_{50} of 0.4 nM in TM3 cells. Phase 1.

Size 5 mg 50 mg



Product Citations (3):
J Clin Endocrinol Metab, 2015, 100(6): E836-44
Breast Cancer Res, 2014, 16(4): 408
...

Data from [**Breast Cancer Res,** 2014, 16(4): 408]
LGK-974 purchased from **Selleck**

S7086 IWR-1-endo

IWR-1-endo is a Wnt pathway inhibitor with IC_{50} of 180 nM in L-cells expressing Wnt3A, induces Axin2 protein levels and promotes β-catenin phosphorylation by stabilizing Axin-scaffolded destruction complexes.

Size 10 mg 25 mg

S7037 Wnt-C59 (C59)

Wnt-C59 (C59) is a PORCN inhibitor for Wnt3A-mediated activation of a multimerized TCF-binding site driving luciferase with IC_{50} of 74 pM in HEK293 cells.

Size 5 mg

S7085 IWP-2

IWP-2 is an inhibitor of Wnt processing and secretion with IC_{50} of 27 nM in a cell-free assay, selective blockage of Porcn-mediated Wnt palmitoylation, does not affect Wnt/β-catenin in general and displays no effect against Wnt-stimulated cellular responses.

Size 10 mg 50 mg

S7096 KY02111

KY02111 promotes differentiation of hPSCs to cardiomyocytes by inhibiting Wnt signaling, may act downstream of APC and GSK3β.

Size 10 mg 50 mg

S8262 PRI-724 new

PRI-724 is a potent, specific inhibitor of the canonical Wnt signaling pathway in cancer stem cells with potential antineoplastic activity. PRI-724 specifically inhibits the recruiting of beta-catenin with its coactivator CBP.

Size 5 mg 25 mg 100 mg

PKC Inhibitors

Inhibitory Selectivity

Inhibitor Name	PKC	PKCα	PKCβ	PKCγ	PKCδ	PKCε	PKCζ	PKCη	PKCθ	PKCμ	Other
Enzastaurin		++ IC_{50}: 39 nM	+++ IC_{50}: 6 nM	+ IC_{50}: 83 nM		+ IC_{50}: 110 nM					
Sotrastaurin		++++ K_i: 0.95 nM	++++ K_i: 0.64 nM		++++ K_i: 2.1 nM	++++ K_i: 3.2 nM		++++ K_i: 1.8 nM	++++ K_i: 0.22 nM		
Staurosporine		++++ IC_{50}: 2 nM		++++ IC_{50}: 5 nM	++ IC_{50}: 20 nM	+ IC_{50}: 73 nM	+ IC_{50}: 1086 nM	++++ IC_{50}: 4 nM			c-Fgr,phosphorylase kinase,S6 kinase
Go 6983		+++ IC_{50}: 7 nM	+++ IC_{50}: 7 nM	+++ IC_{50}: 6 nM	+++ IC_{50}: 10 nM		++ IC_{50}: 60 nM			+ IC_{50}: 20 μM	
Bisindolylmaleimide I		++ IC_{50}: 20 nM	+++ IC_{50}: 17 nM	++ IC_{50}: 20 nM							PDGFR
Ro 31-8220 Mesylate		++++ IC_{50}: 5 nM	+++ IC_{50}: 24 nM	++ IC_{50}: 27 nM		++ IC_{50}: 24 nM					
Dequalinium Chloride	+ IC_{50}: 7-18 μM										
Midostaurin		++ IC_{50}: 22 nM	++ IC_{50}: 30 nM	++ IC_{50}: 24 nM	+ IC_{50}: 330 nM	+ IC_{50}: 1.25 μM	+ IC_{50}: 465 μM	+ IC_{50}: 160 nM			PPK,KDR,c-Syk
Go6976	+++ IC_{50}: 7.9 nM	++++ IC_{50}: 2.3 nM	+++ IC_{50}: 6.2 nM								FLT3,JAK2

Inhibitory Selectivity

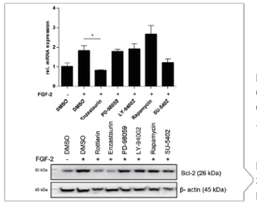
Inhibitor Name	PKC	PKCα	PKCβ	PKCγ	PKCδ	PKCε	PKCζ	PKCη	PKCθ	PKCμ	Other
Quercetin	√										Sirtuin,Src,PI3Kγ
Myricitrin		√									

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1055 Enzastaurin (LY317615)

Enzastaurin (LY317615) is a potent PKCβ selective inhibitor with IC_{50} of 6 nM in cell-free assays, 6- to 20-fold selectivity against PKCα, PKCγ and PKCε. Phase 3.

Size 10 mg 50 mg 200 mg 10 mM/1 mL



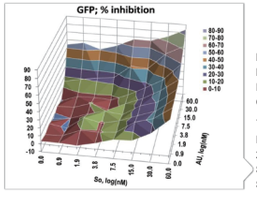
Product Citations (10):
Oncogene, 2013, 32(9): 1099-109
Oncogene, 2013, 32(34): 3944-53
...

Data from [**Oncogene,** 2013, 32 (34): 3944-53]
Enzastaurin purchased from **Selleck**

S2791 Sotrastaurin (AEB071)

Sotrastaurin is a potent and selective pan-PKC inhibitor, mostly for PKC θ with K_i of 0.22 nM in a cell-free assay; inactive to PKCζ. Phase 2.

Size 5 mg 25 mg 10 mM/1 mL



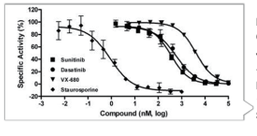
Product Citations (4):
Proc Natl Acad Sci USA, 2014, 111(15): E1528-37
Cancer Cell, 2015, 27(3): 397-408
...

Data from [**Proc Natl Acad Sci USA,** 2014, 111(15): E1528-37]
Sotrastaurin (So) purchased from **Selleck**

S1421 Staurosporine (CGP 41251)

Staurosporine is a potent PKC inhibitor for PKCα, PKCγ and PKCη with IC_{50} of 2 nM, 5 nM and 4 nM, less potent to PKCδ (20 nM), PKCε (73 nM) and little active to PKCζ (1086 nM) in cell-free assays. Staurosporine also shows inhibitory activities on other kinases, such as PKA, PKG, S6K, CaMKII etc. Phase 3.

Size 2 mg



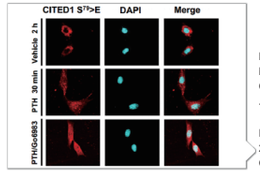
Product Citations (5):
Cancer Res, 2014, 74(23): 7090-102
J Biomol Screen, 2013, 18(4): 388-99
...

Data from [**J Biomol Screen,** 2013, 18(4): 388-99]
Staurosporine purchased from **Selleck**

S2911 Go 6983 (GOE 6983)

Go 6983 is a pan-PKC inhibitor against for PKCα, PKCβ, PKCγ and PKCδ with IC_{50} of 7 nM, 7 nM, 6 nM and 10 nM, respectively; less potent to PKCζ and inactive to PKCμ.

Size 10 mg 10 mM/1 mL



Product Citations (4):
Mol Biol Cell, 2014, 25(11): 1715-29
Cell Signal, 2014, 26(11): 2436-2445
...

Data from [**Cell Signal,** 2014, 26 (11): 2436-45]
Go 6983 purchased from **Selleck**

S7208 Bisindolylmaleimide I (GF109203X)

GF109203X is a potent PKC inhibitor with IC_{50} of 20 nM, 17 nM, 16 nM, and 20 nM for PKCα, PKCβI, PKCβII, and PKCγ, respectively, showing more than 3000-fold selectivity for PKC as compared to EGFR, PDGFR and insulin receptor.

Size 1 mg 10 mg

S7119 Go6976

Go6976 is a potent PKC inhibitor with IC_{50} of 7.9 nM, 2.3 nM, and 6.2 nM for PKC (Rat brain), PKCα, and PKCβ1, respectively. Also a potent inhibitor of JAK2 and Flt3.

Size 5 mg 25 mg

S7207 Ro 31-8220 Mesylate (Bisindolylmaleimide IX Mesylate)

Ro 31-8220 Mesylate is a pan-PKC inhibitor with IC_{50} of 5 nM, 24 nM, 14 nM, 27 nM, and 24 nM for PKC-α, PKC-βI, PKC-βII, PKC-γ, and PKC-ε, respectively, and also shows potent inhibition against MAPKAP-K1b, MSK1, GSK3β and S6K1.

Size 10 mg 50 mg

S2391 Quercetin (Sophoretin)

Quercetin, a natural flavonoid present in vegetables, fruit and wine, is a stimulator of recombinant SIRT1 and also a PI3K inhibitor with IC_{50} of 2.4-5.4 μM. Phase 4.

Page 30

HSP (e.g. HSP90) Inhibitors | Modulator

Inhibitory Selectivity

Inhibitor Name	HSP70	HSP90	HSP90α	HSP90β	HSP105	Other
Tanespimycin		+++ IC_{50}: 5 nM				
Luminespib		+++ IC_{50}: 13 nM	+++ IC_{50}: 13 nM	+++ IC_{50}: 21 nM		
Alvespimycin HCl		+ IC_{50}: 62 nM				
Ganetespib		+++ IC_{50}: 4 nM				
BIIB021		++++ EC_{50}: 38 nM				
Onalespib		+++ IC_{50}: 18 nM				
Geldanamycin		+ K_d: 1.2 μM				p185
NVP-BEP800		+ IC_{50}: 58 nM		+ IC_{50}: 58 nM		
SNX-2112		++ K_a: 30 nM	++ K_a: 30 nM	++ K_a: 30 nM		
PF-04929113		++ K_d: 41 nM				HER2
KW-2478		++++ IC_{50}: 3.8 nM				
XL888		++ IC_{50}: 24 nM				
Apoptozole	+ IC_{50}: 0.14 μM					
VER155008	+ IC_{50}: 0.5 μM					
VER-50589		+++ IC_{50}: 21 nM		+++ IC_{50}: 21 nM		
CH5138303		++++ K_d: 0.48 nM	++++ K_d: 0.48 nM			
VER-49009		++ IC_{50}: 47 nM		++ IC_{50}: 47 nM		
NMS-E973		+++ DC_{50}: <10 nM				
PU-H71		+ IC_{50}: 51 nM				
HSP990		++++ IC_{50}: 0.8 nM	++++ IC_{50}: 0.6 nM	++++ IC50: 0.8 nM		
KNK437					√	

Notes:

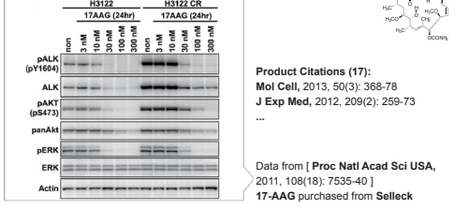
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

HSP (e.g. HSP90) Inhibitors

S1141 Tanespimycin (17-AAG)

Tanespimycin (17-AAG) is a potent HSP90 inhibitor with IC_{50} of 5 nM in a cell-free assay, having a 100-fold higher binding affinity for HSP90 derived from tumor cells than for HSP90 from normal cells. Phase 2.

Size 25 mg 100 mg 10 mM/1 mL



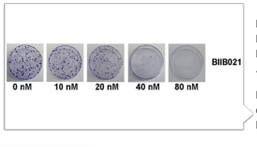
Product Citations (17):
Mol Cell, 2013, 50(3): 368-78
J Exp Med, 2012, 209(2): 259-73
...

Data from [**Proc Natl Acad Sci USA,** 2011, 108(18): 7535-40]
17-AAG purchased from **Selleck**

S1175 BIIB021 (CNF2024)

BIIB021 is an orally available, fully synthetic small-molecule inhibitor of HSP90 with K_i and EC_{50} of 1.7 nM and 38 nM, respectively. Phase 2.

Size 5 mg 10 mg 25 mg 50 mg



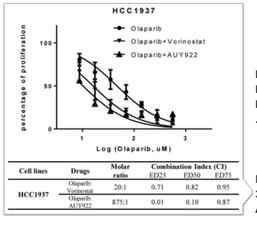
Product Citations (10):
PLoS Pathog, 2012, 8(11): e1003048
PLoS Negl Trop Dis, 2015, 8(2): e2699
...

Data from [**PLoS Pathog,** 2012, 8(11): e1003048]
BIIB021 purchased from **Selleck**

S1069 Luminespib (AUY-922, NVP-AUY922)

Luminespib (AUY-922, NVP-AUY922) is a highly potent HSP90 inhibitor for HSP90α/β with IC_{50} of 13 nM /21 nM in cell-free assays, weaker potency against the HSP90 family members GRP94 and TRAP-1, exhibiting the tightest binding of any small-molecule HSP90 ligand. Phase 2.

Size 5 mg 10 mg 25 mg 10 mM/1 mL



Product Citations (22):
Nat Med, 2015, 10.1038/nm.3855
Nat Commun, 2014, 5: 3361
...

Data from [**Nat Commun,** 2014, 5: 3361]
AUY-922 purchased from **Selleck**

S1159 Ganetespib (STA-9090)

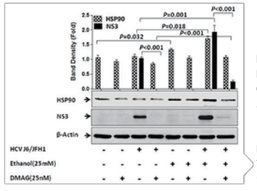
Ganetespib (STA-9090) is an HSP90 inhibitor with IC_{50} of 4 nM in OSA 8 cells, inducing apoptosis of OSA cells while normal osteoblasts are not affected; active metabolite of STA-1474. Phase 3.

Size 5 mg 10 mg 10 mM/1 mL

S1142 Alvespimycin (17-DMAG) HCl

Alvespimycin (17-DMAG) HCl is a potent HSP90 inhibitor with IC_{50} of 62 nM in a cell-free assay. Phase 2.

Size 25 mg 100 mg 200 mg 10 mM/1 mL



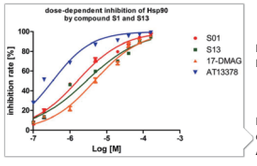
Product Citations (10):
Hepatology, 2013, 57(1): 70-80
Oncotarget, 2014, 5(13): 4920-8
...

Data from [**Hepatology,** 2013, 57 (1): 70-80]
17-DMAG HCl purchased from **Selleck**

S1163 Onalespib (AT13387)

Onalespib (AT13387) is a selective potent Hsp90 inhibitor with IC_{50} of 18 nM in A375 cells, displaying a long duration of anti-tumor activity. Phase 2.

Size 5 mg 10 mg 10 mM/1 mL



Product Citation (1):
PLoS One, 2013, 8(4): e59315

Data from [**PLoS One,** 2013, 8(4): e59315]
AT13387 purchased from **Selleck**

S8039 PU-H71 (NSC 750424)

PU-H71 is a potent and selective inhibitor of HSP90 with IC_{50} of 51 nM. Phase 1.

Size 10 mg 25 mg

S2713 Geldanamycin

Geldanamycin is a natural existing HSP90 inhibitor with K_d of 1.2 μM, specifically disrupting glucocorticoid receptor (GR)/HSP association.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S7751 VER155008

VER155008 is a potent Hsp70 family inhibitor with IC_{50} of 0.5 μM, 2.6 μM, and 2.6 μM in cell-free assays for HSP70, HSC70, and GRP78, respectively, >100-fold selectivity over HSP90.

Size 10 mg 50 mg

S7097 HSP990 (NVP-HSP990)

NVP-HSP990 (HSP990) is a novel, potent and selective HSP90 inhibitor for HSP90α/β with IC_{50} of 0.6 nM/0.8 nM.

Size 5 mg 25 mg 100 mg

HSP (e.g. HSP90) Modulator

S1052 Elesclomol (STA-4783)

Elesclomol (STA-4783) is a novel potent oxidative stress inducer that elicits pro-apoptosis events among tumor cells. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

Product Citation (1):
BMC Genomics, 2014, 15(1): 263

Data from [**BMC Genomics,** 2014, 15(1): 263]
Elesclomol purchased from **Selleck**

Kinesin Inhibitors

Inhibitory Selectivity

Inhibitor Name	Kinesin
Ispinesib	+++ K_i app: 1.7 nM
SB743921	++++ IC_{50}: 14.4 nM
AZ 3146	+ IC_{50}: ~35 nM
GSK923295	++ K_i: 3.2 nM
MPI-0479605	+++ IC_{50}: 1.8 nM
ARQ 621	√

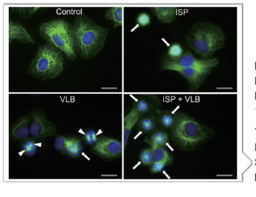
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1452 Ispinesib (SB-715992, CK0238273)

Ispinesib (SB-715992) is a potent, specific and reversible inhibitor of kinesin spindle protein (KSP) with $K_{i\ app}$ of 1.7 nM in a cell-free assay, no inhibition to CENP-E, RabK6, MCAK, MKLP1, KHC or Kif1A. Phase 2.

Size 10 mg 50 mg 10 mM/1 mL



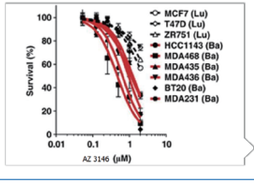
Product Citations (5):
Nat Methods, 2015,10.1038/nmeth.3363
Nat Commun, 2015, 10.1038/ncomms8668
...

Data from [**Mol Oncol,** 2014, pii: S1574-7891(14)00131-8]
Ispinesib purchased from **Selleck**

S2731 AZ 3146

AZ 3146 is a selective Mps1 inhibitor with IC_{50} of ~35 nM, contributing to recruitment of CENP-E (kinesin-related motor protein), less potent to FAK, JNK1, JNK2, and Kit.

Size 10 mg 50 mg 10 mM/1 mL



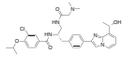
Product Citations (2):
J Biol Chem, 2013, 288(49): 35149-58
Oncogenesis, 2014, 3: e100

Data from [**Oncogenesis,** 2014, 3: e100]
AZ 3146 purchased from **Selleck**

S7090 GSK923295

GSK923295 is a first-in-class, specific allosteric inhibitor of CENP-E kinesin motor ATPase with K_i of 3.2 nM, and less potent to mutant I182 and T183. Phase 1.

Size 5 mg 50 mg



Microtubule Associated Inhibitors

Inhibitory Selectivity

Inhibitor Name	Microtubule Associated	Other
Paclitaxel	++++ IC50: 0.1 μM	
Vincristine sulfate	+ IC50: 32 μM	
Patupilone	+++ EC0.01: 1.8 μM	
Lexibulin (CYT997)	++++ IC50: 10-100 nM	
Epothilone A	++ EC0.01: 2 μM	
Fosbretabulin Disodium	++ IC50: 2.4 μM	
Vinflunine Tartrate	+++ IC50: 1.2 μM	
CW069	+ IC50: 75 μM	
Combretastatin A4	+++ Kd: 0.4 μM	
CK-636	++ IC50: 4 μM	
Docetaxel	√	
ABT-751 (E7010)	√	
Nocodazole	√	Abl,Abl (E255K),Abl (T315I)
Cabazitaxel	√	
Vinblastine	√	nAChR
Albendazole	√	
Docetaxel Trihydrate	√	
TAI-1	√	
INH6	√	
INH1	√	
Vinorelbine Tartrate	√	
Triclabendazole	√	
Griseofulvin	√	

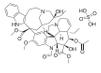
Notes:

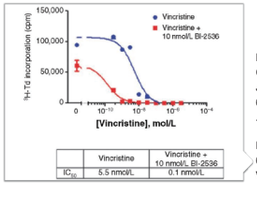
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1241 Vincristine sulfate

Vincristine sulfate is an inhibitor of polymerization of microtubules by binding to tubulin with IC_{50} of 32 μM in a cell-free assay.

Size 10 mg 50 mg 200 mg 10 mM/1 mL





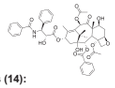
Product Citations (4):
Cancer Res, 2013, 73(20): 6310-22
J Pharmacol Exp Ther, 2014, 350(3): 646-56
...

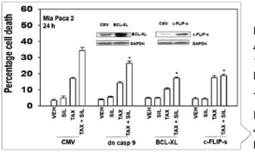
Data from [**Cancer Res,** 2013, 73(20): 6310-22]
Vincristine purchased from **Selleck**

S1150 Paclitaxel

Paclitaxel is a microtubule polymer stabilizer with IC_{50} of 0.1 pM in human endothelial cells.

Size 10 mg 50 mg 10 mM/1 mL





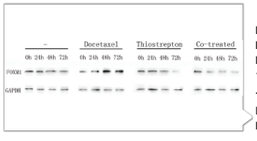
Product Citations (14):
ACS Appl Mater Interfaces, 2015, 10.1021/am5090226
Biomacromolecules, 2014, 15(11): 4187
...

Data from [**Mol Pharmacol,** 2014, 85(3): 408-19]
Paclitaxel (TAX) purchased from **Selleck**

S1148 Docetaxel (RP56976, NSC 628503)

Docetaxel, an analog of taxol, is an inhibitor of depolymerisation of microtubules by binding to stabilized microtubules.

Size 10 mg 50 mg 10 mM/1 mL



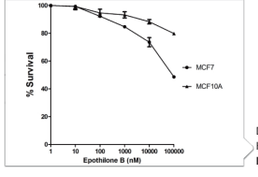
Product Citations (7):
Int J Cancer, 2015, 136(9): 2065-77
Biochem Pharmacol, 2015, 10.1016/j.bcp.2015.05.005
...

Data from [**J Transl Med,** 2013, 11: 204]
Docetaxel purchased from **Selleck**

S1364 Patupilone (EPO906, Epothilone B)

Patupilone (EPO906, Epothilone B) is a paclitaxel-like microtubule-stabilizing agent with $EC_{0.01}$ of 1.8 μM. Phase 2.

Size 2 mg 10 mg 25 mg 10 mM/1 mL

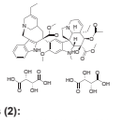


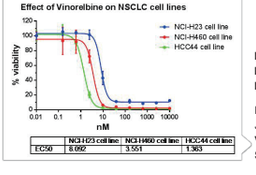
Data independently produced by Dr. Helen Sadik of Johns Hopkins University
Epothilone B purchased from **Selleck**

S4269 Vinorelbine Tartrate

Vinorelbine Tartrate is a semi-synthetic vinca alkaloid, and inhibits mitosis through interaction with tubulin.

Size 10 mg 25 mg 50 mg





Product Citations (2):
Mol Cancer Ther, 2016, 10.1158/1535-7163
PLoS One, 2015, 10(4): e0123901

Data independently produced by Mr. Jonathan Cole from McGill University
Vinorelbine Tartrate purchased from **Selleck**

S2775 Nocodazole

Nocodazole is a rapidly-reversible inhibitor of microtubule polymerization, and also inhibits Abl, Abl(E255K) and Abl(T315I) with IC_{50} of 0.21 μM, 0.53 μM and 0.64 μM in cell-free assays, respectively.

Size 10 mg 50 mg

S4505 Vinblastine sulfate

Vinblastine sulfate inhibits microtubule formation and suppresses nAChR activity with IC_{50} of 8.9 μM in a cell-free assay, used to treat certain kinds of cancer.

Size 5 mg 25 mg 100 mg

S7204 Fosbretabulin (Combretastatin A4 Phosphate (CA4P)) Disodium

Fosbretabulin (Combretastatin A4 Phosphate (CA4P)) Disodium is the water-soluble prodrug of Combretastatin A4 (CA4), which is a microtubule-targeting agent that binds β-tubulin with K_d of 0.4 μM in a cell-free assay. Fosbretabulin Disodium inhibits the polymerization of tubulin with IC_{50} of 2.4 μM, and also disrupts tumor vasculature. Phase 3.

Size 10 mg 25 mg

S7930 Ixabepilone (BMS-247550) new

Ixabepilone is an orally bioavailable microtubule inhibitor. It binds to tubulin and promotes tubulin polymerization and microtubule stabilization, thereby arresting cells in the G2-M phase of the cell cycle and inducing tumor cell apoptosis.

Size 5 mg

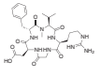
Integrin Inhibitors | Antagonist

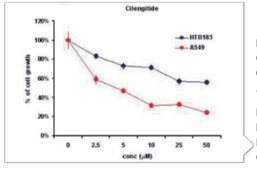
Integrin Inhibitors

S7077 Cilengitide (EMD 121974, NSC 707544)

Cilengitide is a potent integrin inhibitor for αvβ3 receptor and αvβ5 receptor with IC_{50} of 4.1 nM and 79 nM, respectively; ~10-fold selectivity against gpIIbIIIa. Phase 2.

Size 5 mg 10 mM/1 mL





Product Citations (9):
Cancer Res, 2016, 76(12): 3484-95
Oncotarget, 2016, 7(4): 4680-94
...

Data independently produced by Dr. Milica Pesic from Institute for Biological Research
Cilengitide purchased from **Selleck**

S8008 RGD (Arg-Gly-Asp) Peptides

RGD (Arg-Gly-Asp) Peptides is a cell adhesion motif which can mimic cell adhesion proteins and bind to integrins.

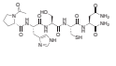
Size 10 mg

Integrin Antagonist

S8454 ATN-161 (Ac-PHSCN-NH2) new

ATN-161 (Ac-PHSCN-NH2) is a novel small peptide antagonist of integrin α5β1. It binds to several integrins, including α5β1 and αvβ3, that play a role in angiogenesis and tumor progression.

Size 5 mg 25 mg 100 mg



PAK Inhibitors

S7093 IPA-3

IPA-3 is a selective non-ATP competitive Pak1 inhibitor with IC_{50} of 2.5 μM, no inhibition to group II PAKs (PAKs 4-6).

Size 5 mg 50 mg

S7094 PF-3758309 (PF-03758309)

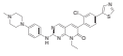
PF-03758309 is a potent, ATP-competitive, pyrrolopyrazole inhibitor of PAK4 with IC_{50} of 1.3 nM.

Size 10 mg 50 mg

S7271 FRAX597

FRAX597 is a potent, ATP-competitive inhibitor of group IPAKs with IC_{50} of 8 nM, 13 nM, and 19 nM for PAK1, PAK2, and PAK3, respectively.

Size 5 mg 25 mg



Dynamin Inhibitors

Inhibitory Selectivity

Inhibitor Name	Dynamin
Dynasore	++ IC_{50}: ~15 μM
Mdivi-1	+++ IC_{50}: 1-10 μM
Dyngo-4a	++++ IC_{50}: 0.38 μM

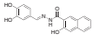
Notes:

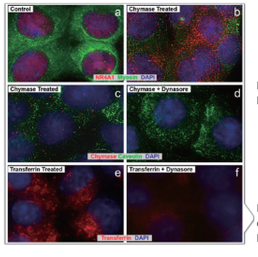
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S8047 Dynasore

Dynasore is a cell-permeable, reversible non-competitive dynamin inhibitor of GTPase activity of dynamin 1/2, with IC_{50} of 15 μM in a cell-free assay, and also inhibits the mitochondrial dynamin Drp1, with no effect against other small GTPase.

Size 10 mg 50 mg





Product Citation (1):
PLoS One, 2014, 9(4): e94732

Data from [**PLoS One,** 2014, 9(4): e94732]
Dynasore purchased from **Selleck**

S7162 Mdivi-1

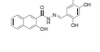
Mdivi-1 is a selective cell-permeable inhibitor of mitochondrial division DRP1 (dynamin-related GTPase) and mitochondrial division Dynamin I (Dnm1) with IC_{50} of 1-10 μM.

Size 20 mg 50 mg

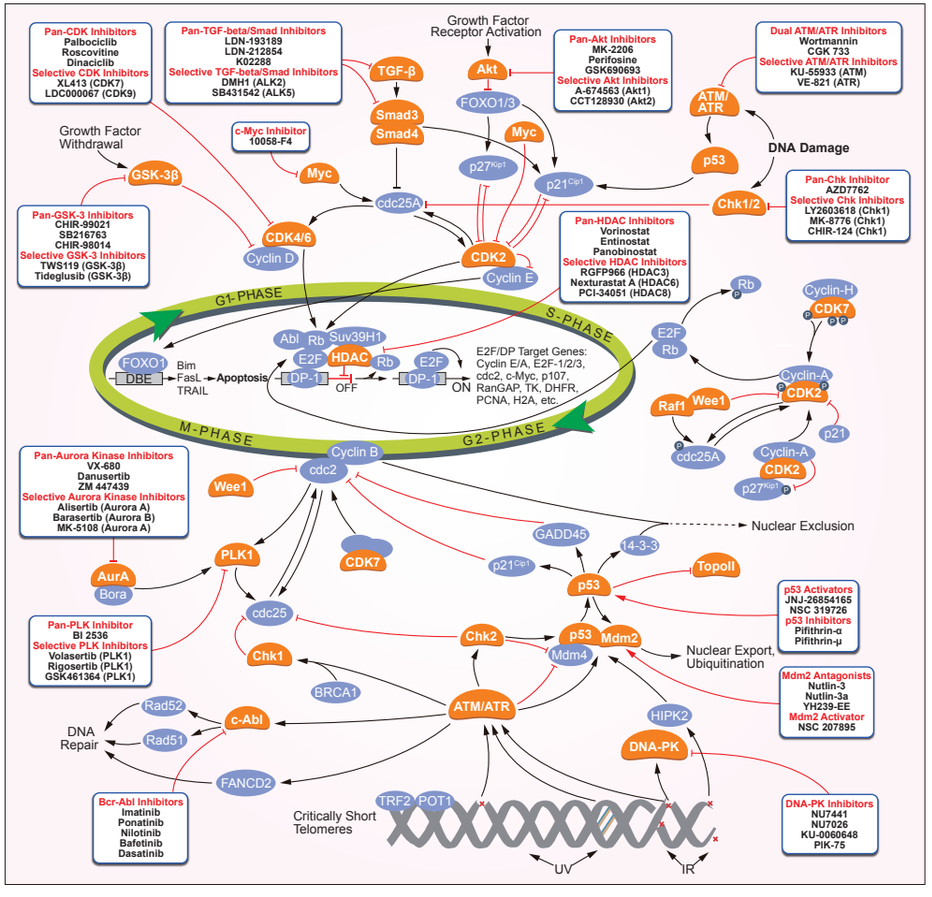
S7163 Dyngo-4a

Dyngo-4a is a potent dynamin inhibitor with IC_{50} of 0.38 μM, 1.1μM, and 2.3 μM for DynI (brain), DynI (rec), and DynII (rec), respectively.

Size 10 mg 50 mg 200 mg



Cell Cycle



Aurora Kinase Inhibitors

Detailed product information is on page 27-29

CDK Inhibitors

Inhibitory Selectivity

Inhibitor Name	CDK1	CDK2	CDK3	CDK4	CDK5	CDK6	CDK7	CDK9	CLK	CDK	Cdc	Other
Palbociclib HCl				++++ IC50: 11 nM		+++ IC50: 15 nM						
Roscovitine		+ IC50: 0.7 μM			++ IC50: 0.16 μM						+ IC50: 0.65 μM	ERK2,GST-ERK1,ERK1
SNS-032	+ IC50: 480 nM	+++ IC50: 38 nM		+ IC50: 925 nM	+ IC50: 340 nM		++ IC50: 62 nM	++++ IC50: 4 nM				GSK-3α,GSK-3β
Dinaciclib	++++ IC50: 3 nM	++++ IC50: 1 nM			++++ IC50: 1 nM			++++ IC50: 4 nM				
Flavopiridol	+++ IC50: 40 nM	+++ IC50: 40 nM		+++ IC50: 40 nM		+++ IC50: 40 nM	+ IC50: 300 nM					

Inhibitory Selectivity

Inhibitor Name	CDK1	CDK2	CDK3	CDK4	CDK5	CDK6	CDK7	CDK9	CLK	CDK	Cdc	Other
AT7519	++ IC50: 210 nM	++ IC50: 47 nM	+ IC50: 360 nM	++ IC50: 100 nM	+++ IC50: 13 nM	++ IC50: 170 nM	+ IC50: 2.4 μM	++++ IC50: <10 nM				GSK-3β
Flavopiridol HCl	+++ IC50: 40 nM	+++ IC50: 40 nM		+++ IC50: 40 nM		+++ IC50: 40 nM	+ IC50: 300 nM					
JNJ-7706621	++++ IC50: 9 nM	++++ IC50: 4 nM	++ IC50: 58 nM	+ IC50: 253 nM		++ IC50: 175 nM						Aurora A,Aurora B, VEGFR2
AZD5438	+++ IC50: 16 nM	++++ IC50: 6 nM						+++ IC50: 20 nM				
MK-8776		++ IC50: 0.16 μM										Chk1,Chk2
PHA-793887	++ IC50: 60 nM	++++ IC50: 8 nM		++ IC50: 62 nM	++++ IC50: 5 nM		++++ IC50: 10 nM	++ IC50: 138 nM				GSK-3β
BS-181 HCl							+++ IC50: 21 nM					
Palbociclib Isethionate				++++ IC50: 9 nM		+++ IC50: 15 nM						
A-674563		++ Ki: 46 nM										Akt1,PKA,GSK-3β
abemaciclib				++++ IC50: 2 nM		++++ IC50: 10 nM						
BMS-265246	++++ IC50: 6 nM	++++ IC50: 9 nM		++ IC50: 230 nM								
PHA-767491	++ IC50: 250 nM	++ IC50: 240 nM			+ IC50: 460 nM			+++ IC50: 34 nM		++++ IC50: 10 nM	++++ IC50: 10 nM	GSK-3β,MK2,PLK1
Milciclib	+ IC50: 398 nM	+++ IC50: 363 nM		++ IC50: 160 nM	+ IC50: 265 nM		+ IC50: 150 nM					TrkA
R547	++++ Ki: 2 nM	++++ Ki: 3 nM		++++ Ki: 1 nM								GSK-3β
NU6027	+ Ki: 2.5 μM	+ Ki: 1.3 μM										ATR,DNA-PK
P276-00	++ IC50: 79 nM	++ IC50: 224 nM		++ IC50: 63 nM		+ IC50: 396 nM	+ IC50: 2.87 μM	+++ IC50: 20 nM				GSK-3β,PKCα,c-Src
Kenpaullone	+ IC50: 0.4μM	+ IC50: 0.68μM			+ IC50: 0.85μM							GSK-3β,ERK2,c-Src
K03861		++++ Kd: 15.4 nM										
THZ1 2HCl							++++ IC50: 3.2 nM					
AT7519 HCl	++ IC50: 210 nM	++ IC50: 47 nM	+ IC50: 360 nM	++ IC50: 100 nM	+++ IC50: 13 nM	++ IC50: 170 nM	+ IC50: 2.4 μM	++++ IC50: <10 nM				GSK-3β
Purvalanol A		+++ IC50: 70 nM		+ IC50: 850 nM							++++ IC50: 4 nM	
Ro-3306	+++ Ki: 20 nM											PKCδ,SGK,ERK
SU9516	+++ IC50: 40 nM	+++ IC50: 22 nM		++ IC50: 200 nM								PDGFR
XL413										++++ IC50: 3.4 nM	++++ IC50: 3.4 nM	Pim1,CK2
LDC000067	+ IC50: 5.513 μM	+ IC50: 2.441 μM		+ IC50: 9.242 μM				+++ IC50: 44 nM				
ML167									++ IC50: 1522 nM			
TG003									+++ IC50: 15 nM			
Ribociclib				√								
Wogonin								√				

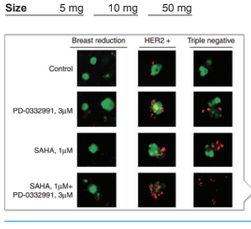
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC50s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1116 Palbociclib (PD-0332991) HCl *Licensed by Pfizer*

Palbociclib (PD-0332991) HCl is a highly selective inhibitor of CDK4/6 with IC50 of 11 nM/16 nM in cell-free assays, respectively. It shows no activity against CDK1/2/5, EGFR, FGFR, PDGFR, InsR, etc. Phase 3.

Size 5 mg 10 mg 50 mg



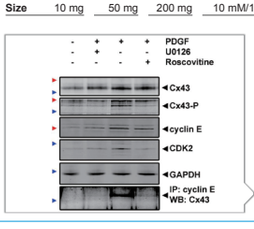
Product Citations (13):
Proc Natl Acad Sci USA, 2011, 108(20): 8414-9
Clin Cancer Res, 2011, 17(13): 4513-22
...

Data from [**Pharmacogenomics J,** 2013, 13(1): 94-104]
PD-0332991 purchased from **Selleck**

S1153 Roscovitine (Seliciclib, CYC202)

Roscovitine (Seliciclib, CYC202) is a potent and selective CDK inhibitor for Cdc2, CDK2 and CDK5 with IC50 of 0.65 μM, 0.7 μM and 0.16 μM in cell-free assays. It shows little effect on CDK4/6. Phase 2.

Size 10 mg 50 mg 200 mg 10 mM/1 mL



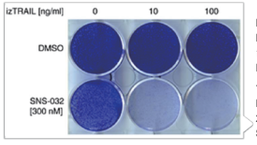
Product Citations (8):
Circ Res, 2012, 111(2): 201-11
J Neurosci, 2012, 32(32): 11050-66
...

Data from [**Circ Res,** 2012, 111(2): 201-11]
Roscovitine purchased from **Selleck**

S1145 SNS-032 (BMS-387032)

SNS-032 has firstly been described as a selective inhibitor of CDK2 with IC_{50} of 48 nM and is 10- and 20-fold selective over CDK1/CDK4. It is also found to be sensitive to CDK7/9 with IC_{50} of 62 nM/4 nM, with little effect on CDK6. Phase 1.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



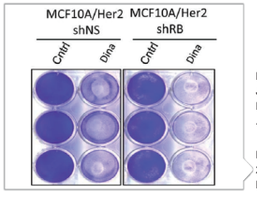
Product Citations (8):
Proc Natl Acad Sci USA, 2013, 110(33): 13588-93
Leukemia, 2015, 10.1038/ leu.2015.99
...

Data from [**Cell Death Differ,** 2014, 21(3): 491-502]
SNS-032 purchased from **Selleck**

S2768 Dinaciclib (SCH727965)

Dinaciclib (SCH727965) is a novel and potent CDK inhibitor for CDK2, CDK5, CDK1 and CDK9 with IC_{50} of 1 nM, 1 nM, 3 nM and 4 nM in cell-free assays, respectively. It also blocks thymidine (dThd) DNA incorporation. Phase 3.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



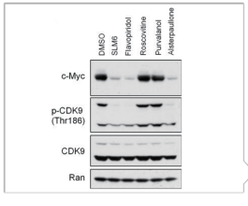
Product Citations (5):
J Clin Invest, 2014, 124(8): 3325-38
Leukemia, 2015, 10.1038/leu.2015.99
...

Data from [**Genes Cancer,** 2014, 5(7-8): 261-72]
Dinaciclib purchased from **Selleck**

S1230 Flavopiridol (Alvocidib)

Flavopiridol (Alvocidib) competes with ATP to inhibit CDKs including CDK1, CDK2, CDK4 and CDK6 with IC_{50} of ~ 40 nM. It is 7.5-fold more selective for CDK1, 2, 4, 6 versus CDK7. Flavopiridol is initially found to inhibit EGFR and PKA. Phase 1/2.

Size 5 mg 25 mg 100 mg



Product Citations (9):
Leukemia, 2014, 28(3): 629-41
Proc Natl Acad Sci USA, 2011, 108(20)
...

Data from [**Mol Cancer Ther,** 2012, 11(11): 2321-30]
Flavopiridol purchased from **Selleck**

S7547 XL413 (BMS-863233)

XL413 (BMS-863233) is a potent and selective cell division cycle 7 homolog (CDC7) kinase inhibitor with IC_{50} of 3.4 nM, showing 63-, 12- and 35-fold selectivity over CK2, Pim-1 and pMCM2, respectively. Phase 1/2.

Size 5 mg 25 mg

S7461 LDC000067 (LDC067) *CDK9 selective*

LDC000067 is a highly selective CDK9 inhibitor with IC_{50} of 44 nM, 55/125/210/ >227/ >227-fold selectivity over CDK2/1/4/6/7.

Size 10 mg 50 mg

S7440 Ribociclib (LEE011)

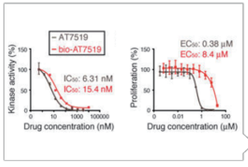
Ribociclib (LEE011) is an orally available, and highly specific CDK4/6 inhibitor. Phase 3.

Size 5 mg 10 mg

S1524 AT7519

AT7519 is a multi-CDK inhibitor for CDK1, 2, 4, 6 and 9 with IC_{50} of 10-210 nM. It is less potent to CDK3 and little active to CDK7. Phase 2.

Size 5 mg 10 mg 25 mg 10 mM/1 mL



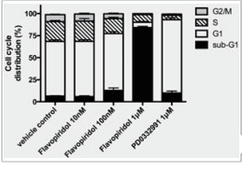
Product Citations (7):
Nat Biotechnol, 2014, 32(1): 92-6
Cancer Lett, 2014, 342(1): 159-66
...

Data from [**Nat Biotechnol,** 2014, 32(1): 92-6]
AT7519 purchased from **Selleck**

S2679 Flavopiridol (Alvocidib, NSC 649890) HCl

Flavopiridol HCl competes with ATP to inhibit CDKs including CDK1, CDK2, CDK4 and CDK6 with IC_{50} of ~ 40 nM in cell-free assays. It is 7.5-fold more selective for CDK1/2/4/6 than for CDK7. Flavopiridol is initially found to inhibit EGFR and PKA. Phase 1/2.

Size 10 mg 25 mg 50 mg 10 mM/1 mL



Product Citations (9):
Proc Natl Acad Sci USA, 2011, 108(20): 8414-9
Leukemia, 2014, 28(3): 629-41
...

Data from [**Mol Cancer Ther,** 2012, 11(11): 2321-30]
Flavopiridol HCl purchased from **Selleck**

S7320 TG003

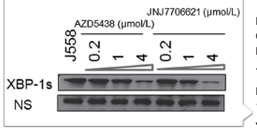
TG003 is a potent and ATP-competitive Cdc2-like kinase (Clk) inhibitor with IC_{50} of 20 nM, 200 nM, and 15 nM for Clk1, Clk2, and Clk4, respectively. No inhibitory effect on Clk3, SRPK1, SRPK2, or PKC.

Size 5 mg 50 mg

S1249 JNJ-7706621

JNJ-7706621 is pan-CDK inhibitor with the highest potency on CDK1/2 with IC_{50} of 9 nM/4 nM and shows >6-fold selectivity for CDK1/2 than for CDK3/4/6 in cell-free assays. It also potently inhibits Aurora A/B and has no activity on Plk1 and Wee1.

Size 2 mg 10 mg 50 mg 10 mM/1 mL



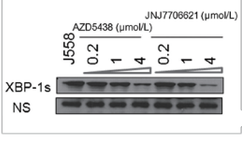
Product Citations (7):
Oncogene, 2014, 10.1038/onc.2014.351
Mol Cancer Ther, 2014, 13(3): 662-74
...

Data from [**Mol Cancer Ther,** 2014, 13(3): 662-74]
JNJ-7706621 purchased from **Selleck**

S2621 AZD5438

AZD5438 is a potent inhibitor of CDK1/2/9 with IC_{50} of 16 nM/6 nM/20 nM in cell-free assays. It is less potent to CDK5/6 and also inhibits GSK3β. Phase 1.

Size 10 mg 50 mg 10 mM/1 mL



Product Citations (5):
Nat Commun, 2014, 5: 3561
Mol Cancer Ther, 2014, 13(3): 662-74
...

Data from [**Mol Cancer Ther,** 2014, 13(3): 662-74]
AZD5438 purchased from **Selleck**

S2735 MK-8776 (SCH 900776) *Chk1 selective*

MK-8776 (SCH 900776) is a selective Chk1 inhibitor with IC_{50} of 3 nM in a cell-free assay. It shows 500-fold selectivity against Chk2. Phase 2.

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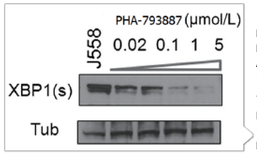
S1487 PHA-793887

PHA-793887 is a novel and potent inhibitor of CDK2, CDK5 and CDK7 with IC_{50} of 8 nM, 5 nM and 10 nM. It is greater than 6-fold more selective for CDK2, 5, and 7 than CDK1, 4, and 9. Phase 1.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



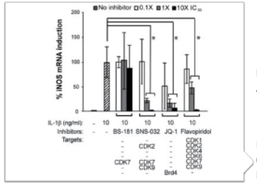
Product Citations (3):
Mol Cancer Ther, 2014, 13(3): 662-74
Anticancer Agents Med Chem, 2011, 11, 418-426
...

Data from [**Mol Cancer Ther,** 2014, 13(3): 662-74]
PHA-793887 purchased from **Selleck**

S1572 BS-181 HCl *CDK7 selective*

BS-181 HCl is a highly selective CDK7 inhibitor with IC_{50} of 21 nM. It is more than 40-fold selective for CDK7 than for CDK1, 2, 4, 5, 6, or 9.

Size 10 mg 50 mg 10 mM/1 mL



Product Citation (1):
Arthritis Rheumatol, 2014, 66(6): 1537-46

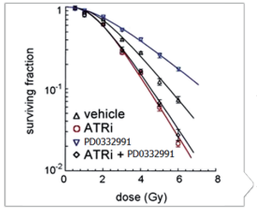
Data from [**Arthritis Rheumatol,** 2014, 66(6): 1537-46]
BS-181 HCl purchased from **Selleck**

S1579 Palbociclib (PD0332991) Isethionate

Licensed and Manufactured by Pfizer

Palbociclib (PD0332991) Isethionate is a highly selective inhibitor of CDK4/6 with IC_{50} of 11 nM/16 nM in cell-free assays. It shows no activity against CDK1/2/5, EGFR, FGFR, PDGFR, InsR, etc. Phase 3.

Size 10 mg 25 mg 50 mg



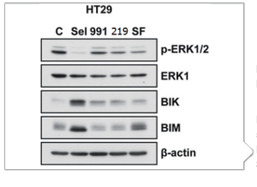
Product Citations (10):
Proc Natl Acad Sci USA, 2013, 110(10): 4015-20
Nucleic Acids Res, 2013, 41(22): 10334-44
...

Data from [**Nucleic Acids Res,** 2013, 41(22): 10334-44]
PD0332991 purchased from **Selleck**

S7158 abemaciclib (LY2835219)

LY2835219 is a potent and selective inhibitor of CDK4 and CDK6 with IC_{50} of 2 nM and 10 nM in cell-free assays, respectively. Phase 3.

Size 5 mg



Product Citation (1):
Biochem J, 2014, 459(3): 513-24

Data from [**Biochem J,** 2014, 459(3): 513-24]
LY2835219 (219) purchased from **Selleck**

S2742 PHA-767491 (CAY10572)

PHA-767491 is a potent ATP-competitive dual Cdc7/CDK9 inhibitor with IC_{50} of 10 nM and 34 nM in cell-free assays, respectively. It displays ~20-fold selectivity against CDK1/2 and GSK3-β, 50-fold selectivity against MK2 and CDK5, 100-fold selectivity against PLK1 and CHK2.

Size 10 mg 50 mg 10 mM/1 mL

S2670 A-674563 *CDK2 selective*

A-674563 is an Akt1 inhibitor with K_i of 11 nM in cell-free assays, modest potent to PKA and >30-fold selective for Akt1 over PKC.

Page 13

S7747 Ro-3306

RO-3306 is an ATP-competitive, and selective CDK1 inhibitor with K_i of 20 nM, >15-fold selectivity against a diverse panel of human kinases.

Size 10 mg 50 mg 200 mg

S7549 THZ1 2HCl *new*

THZ1 is a covalent CDK7 inhibitor which has the unprecedented ability to target a remote cysteine residue located outside of the canonical kinase domain, providing an unanticipated means of achieving selectivity for CDK7.

Size 5 mg 25 mg

Chk Inhibitors

Inhibitory Selectivity

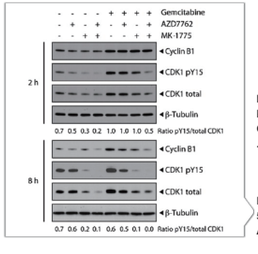
Inhibitor Name	Chk1	Chk2	Other
AZD7762	+++ IC_{50}: 5 nM		
LY2603618	+++ IC_{50}: 7 nM		
MK-8776	+++ IC_{50}: 3 nM	+ IC_{50}: 1.5 μM	CDK2
CHIR-124	++++ IC_{50}: 0.3 nM	+ IC_{50}: 697.4 nM	FLT3,PDGFR,GSK-3
PF-477736	++++ K_i: 0.49 nM	++ K_i: 47 nM	VEGFR2,Fms,YES
SAR-020106	++ IC_{50}: 13.3 nM		
Prexasertib	++++ K_i: 0.9 nM	++ IC_{50}: 8 nM	RSK

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1532 AZD7762

AZD7762 is a potent and selective inhibitor of Chk1 with IC_{50} of 5 nM in a cell-free assay. It is equally potent against Chk2 and less potent against CAM, Yes, Fyn, Lyn, Hck and Lck. Phase 1.

Size 2 mg 25 mg 100 mg 10 mM/1 mL



Product Citations (16):
Nat Biotechnol, 2011, 29(6): 542-6
Cancer Discov, 2012, 2(6): 524-39
...

Data from [**Cancer Discov,** 2012, 2(6): 524-39]
AZD7762 purchased from **Selleck**

S2735 MK-8776 (SCH 900776) *Chk1 selective*

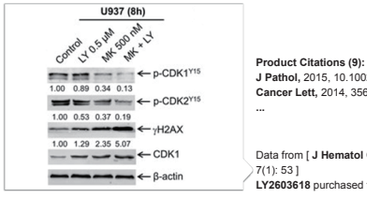
MK-8776 (SCH 900776) is a selective Chk1 inhibitor with IC_{50} of 3 nM in a cell-free assay. It shows 500-fold selectivity against Chk2. Phase 2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S2626 LY2603618 (IC-83) *Chk1 selective*

LY2603618 is a highly selective Chk1 inhibitor with potential anti-tumor activity in a cell-free assay. IC_{50}=7 nM, showing approximately 100-fold more potent against Chk1 than against any of the other protein kinases evaluated.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



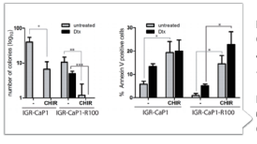
Product Citations (9):
J Pathol, 2015, 10.1002/path.4528
Cancer Lett, 2014, 356(2 Pt B): 656-68
...

Data from [**J Hematol Oncol,** 2014, 7(1): 53]
LY2603618 purchased from **Selleck**

S2683 CHIR-124 *Chk1 selective*

CHIR-124 is a novel and potent Chk1 inhibitor with IC_{50} of 0.3 nM in a cell-free assay. It shows 2,000-fold selectivity against Chk2, 500- to 5,000-fold less activity against CDK2/4 and Cdc2.

Size 2 mg 25 mg 100 mg 10 mM/1 mL



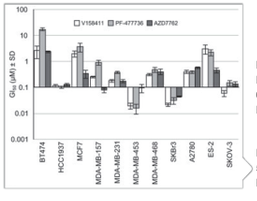
Product Citations (6):
Cancer Res, 2015, 10.1158/ 0008-5472
J Pathol, 2015, 10.1002/path.4528
...

Data from [**Oncotarget,** 2014, 5(3): 667-78]
CHIR-124 purchased from **Selleck**

S2904 PF-477736 (PF-736, PF-00477736) *Chk1 selective*

PF-477736 is a selective, potent and ATP-competitive Chk1 inhibitor with K_i of 0.49 nM in a cell-free assay and also inhibits VEGFR2, Aurora-A, FGFR3, Flt3, Fms (CSF1R), Ret and Yes. It shows ~100-fold selectivity for Chk1 than Chk2. Phase 1.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (2):
BMC Cancer, 2015, 10.1186/s12885-015-1231-z
BMC Cancer, 2014, 14(1): 570

Data from [**BMC Cancer,** 2014, 14(1): 570]
PF-477736 purchased from **Selleck**

S7178 Prexasertib (LY2606368) *new*

Prexasertib (LY2606368) is an ATP-competitive CHK1 inhibitor with a K_i value of 0.9 nmol/L. For CHK2 and RSK, its IC_{50} values are 8 nM and 9 nM respectively in cell-free assay.

Size 2 mg 5 mg 25 mg

ROCK Inhibitors

Inhibitory Selectivity

Inhibitor Name	ROCK	ROCK1	ROCK2	Other
Y-27632 2HCl		+ K_i: 140 nM	+ K_i: 300 nM	
Thiazovivin	+ IC_{50}: ~0.5 μM			
Fasudil HCl			+ K_i: 330 nM	PKA,PKG,PKC
GSK429286A		+++ IC_{50}: 14 nM	++ IC_{50}: 63 nM	

Inhibitory Selectivity

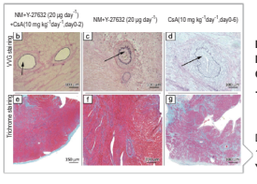
Inhibitor Name	ROCK	ROCK1	ROCK2	Other
RKI-1447		+++ IC_{50}: 14.5 nM	+++ IC_{50}: 6.2 nM	
Y-39983 HCl	++++ K_i: 2 nM			
Netarsudil 2HCl				norepinephrine transporter (NET)
GSK269962 HCl		++++ IC_{50}: 1.6 nM	++++ IC_{50}: 4 nM	MSK1,RSK1
Ripasudil hydrochloride dihydrate		++ IC_{50}: 51 nM	+++ IC_{50}: 19 nM	
KD025			++ IC_{50}: 60 nM	
AT13148		+++ IC_{50}: 6 nM	++++ IC_{50}: 4 nM	PKA,p70S6K,Akt1

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1049 Y-27632 2HCl

Y-27632 2HCl is a selective ROCK1 (p160ROCK) inhibitor with K_i of 140 nM in a cell-free assay, exhibiting >200-fold selectivity over other kinases, including PKC, cAMP-dependent protein kinase, MLCK and PAK.

Size 2 mg 10 mg 50 mg 10 mM/1 mL



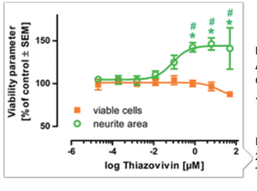
Product Citations (45):
Nature, 2016, 532(7597): 107-11
Cell Res, 2013, 23(10): 1187-200
...

Data from [**J Control Release,** 2013, 172(3): 1011-9]
Y-27632 2HCl purchased from **Selleck**

S1459 Thiazovivin

Thiazovivin is a novel ROCK inhibitor with IC_{50} of 0.5 μM in a cell-free assay, promoting hESC survival after single-cell dissociation.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



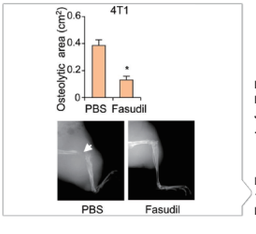
Product Citations (5):
Am J Cancer Res, 2014, 6(6): 724-735
Cell Res, 2013, 23(10): 1187-200
...

Data from [**Arch Toxicol,** 2013, 87(12): 2215-31]
Thiazovivin purchased from **Selleck**

S1573 Fasudil (HA-1077) HCl *ROCK2 selective*

Fasudil (HA-1077), a potent and selective inhibitor of Rho kinase, displays less potent inhibiton over PKA, PKG, PKC and MLCK with K_i of 1.6, 1.6, 3.3, and 36 μM in cell-free assays, respectively.

Size 200 mg 500 mg 10 mM/1 mL



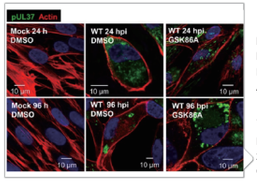
Product Citations (7):
Biosens Bioelectron, 2016, 86: 508-15
J Clin Invest, 2014, 124(4): 1646-59
...

Data from [**J Clin Invest,** 2014, 124(4): 1646-59]
Fasudil HCl purchased from **Selleck**

S1474 GSK429286A (RHO-15)

GSK429286A is a selective inhibitor of ROCK1 and ROCK2 with IC_{50} of 14 nM and 63 nM, respectively.

Size 2 mg 50 mg 10 mM/1 mL



Product Citations (4):
Proc Natl Acad Sci USA, 2014, 111(12): E1140-8
Am J Respir Cell Mol Biol, 2014, 50(1): 170-9
...

Data from [**Proc Natl Acad Sci USA,** 2014, 111(12): E1140-8]
GSK429286A purchased from **Selleck**

S7195 RKI-1447

RKI-1447 is a potent inhibitor of ROCK1 and ROCK2, with IC_{50} of 14.5 nM and 6.2 nM, respectively, and has anti-invasive and antitumor activities.

Size 10 mg 50 mg

S7687 GSK269962 HCl *new*

GSK269962 is a selective ROCK(Rho-associated protein kinase) inhibitor with IC_{50} values of 1.6 and 4 nM for ROCK1 and ROCK2, respectively.

Size 5 mg 25 mg

S8226 Netarsudil (AR-13324) 2HCl *new*

Netarsudil (a.k.a. AR-13324) is ROCK inhibitor with K_i value of 0.2-10.3 nM. It is currently in clinical trials for the treatment of glaucoma and ocular hypertension.

Size 2 mg 5 mg

PLK Inhibitors

Inhibitory Selectivity

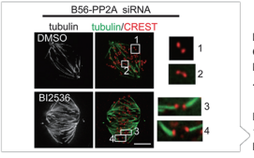
Inhibitor Name	PLK1	PLK2	PLK3	Other
BI 2536	++++ IC_{50}: 0.83 nM	++ IC_{50}: 3.5 nM	++ IC_{50}: 9.0 nM	PI3Kα,Met,Tie-2
Volasertib	++++ IC_{50}: 0.87 nM			
Rigosertib	++ IC_{50}: 9 nM			
GSK461364	+++ K_i: 2.2 nM			
MLN0905	+++ IC_{50}: 2 nM			
Ro3280	++ IC_{50}: 3 nM			
SBE 13 HCl	++++ IC_{50}: 200 pM		+ IC_{50}: 875 nM	
NMS-P937	+++ IC_{50}: 2 nM			
HMN-214	√			

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1109 BI 2536

BI 2536 is a potent Plk1 inhibitor with IC_{50} of 0.83 nM in a cell-free assay. It shows 4- and 11-fold greater selectivity against Plk2 and Plk3. Phase 2.

Size 5 mg 50 mg 100 mg 10 mM/1 mL



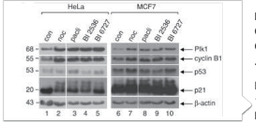
Product Citations (49):
Cell, 2011, 4(10): 1087-96
Nat Cell Biol, 2015, 17(2): 113-22
...

Data from [**Nat Cell Biol,** 2011, 13(10): 1265-71]
BI 2536 purchased from **Selleck**

S2235 Volasertib (BI 6727) *PLK1 selective*

Volasertib (BI 6727) is a highly potent Plk1 inhibitor with IC_{50} of 0.87 nM in a cell-free assay. It shows 6- and 65-fold greater selectivity against Plk2 and Plk3. Phase 3.

Size 5 mg 10 mM/1 mL



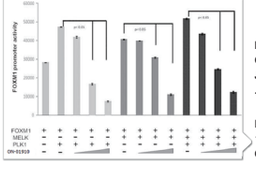
Product Citations (7):
Cancer Res, 2013, 73(20): 6310-22
Cancer Res, 2015, 75(1): 98-110
...

Data from [**Oncogene,** 2014, 10.1038/onc.2013.518]
BI 6727 purchased from **Selleck**

S1362 Rigosertib (ON-01910) *PLK1 selective*

Rigosertib (ON-01910) is a non-ATP-competitive inhibitor of PLK1 with IC_{50} of 9 nM in a cell-free assay. It shows 30-fold greater selectivity against Plk2 and no activity to Plk3. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



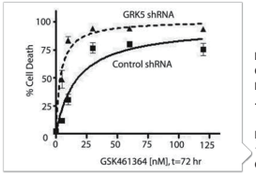
Product Citations (5):
Cancer Res, 2013, 73(20): 6310-22
J Control Release, 2015, 204: 20-29
...

Data from [**Stem Cells,** 2013, 31 (6): 1051-63]
ON-01910 purchased from **Selleck**

S2193 GSK461364 *PLK1 selective*

GSK461364 inhibits purified Plk1 with K_i of 2.2 nM in a cell-free assay. It is more than 1000-fold selective against Plk2/3. Phase 1.

Size 10 mg 10 mM/1 mL



Product Citations (4):
Cancer Res, 2013, 73(20): 6310-22
Br J Pharmacol, 2012, 166(3): 858-76
...

Data from [**J Biol Chem,** 2012, 287(21): 17088-99]
GSK461364 purchased from **Selleck**

APC Inhibitor

S2225 Tosyl-L-Arginine Methyl Ester (TAME)

Tosyl-L-Arginine Methyl Ester (TAME) is an APC inhibitor.

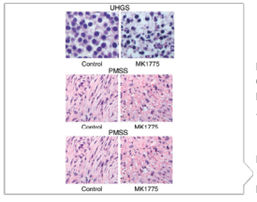
Size 5 mg 10 mg 50 mg 10 mM/1 mL

Wee1 Inhibitors

S1525 MK-1775

MK-1775 is a potent and selective Wee1 inhibitor with IC_{50} of 5.2 nM in a cell-free assay; hinders G2 DNA damage checkpoint. Phase 2.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



Product Citations (8):
Oncotarget, 2014, 5(21): 10546-57
Mol Cancer Ther, 2012, 11(1): 174-82
...

Data from [**Mol Cancer Ther,** 2012, 11(1): 174-82]
MK-1775 purchased from **Selleck**

S8148 PD0166285 *new*

PD0166285 is a potent Wee1 and Chk1 inhibitor with activity at nanomolar concentrations.PD0166285 is a novel G2 checkpoint abrogator.

Size 5 mg 25 mg

Rho Inhibitors

Inhibitory Selectivity

Inhibitor Name	Rho
EHT 1864	+++ K_d: 50 nM
Zoledronic Acid	√
K-Ras(G12C) inhibitor 9	√
K-Ras(G12C) inhibitor 6	√
K-Ras(G12C) inhibitor 12	√
6H05	√

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1314 Zoledronic Acid (Zoledronate, CGP-4244)

Zoledronic acid (ZA), a potent osteoclast inhibitor, induces apoptosis in osteoclasts by inhibiting enzymes of the mevalonate pathway and preventing the isoprenylation of small GTP-binding proteins such as Ras and Rho.

Size 25 mg 100 mg

S8031 NSC 23766

NSC 23766 is an inhibitor of Rac GTPase targeting Rac activation by guanine nucleotide exchange factors (GEFs) with IC_{50} of ~50 μM in a cell-free assay; does not inhibit the closely related targets, Cdc42 or RhoA.

Size 10 mg 50 mg 10 mM/1 mL

S7331 K-Ras(G12C) inhibitor 12

K-Ras(G12C) inhibitor 12 is an allosteric inhibitor of oncogenic K-Ras(G12C).

Size 5 mg 25 mg

S7319 EHop-016

EHop-016 is a specific Rac GTPase inhibitor with IC_{50} of 1.1 μM for Rac1 in MDA-MB-435 and MDA-MB-231 cells, equally potent inhibition for Rac3.

Size 10 mg 25 mg

S7482 EHT 1864

EHT 1864 is a potent Rac family GTPase inhibitor with K_d of 40 nM, 50 nM, 60 nM and 250 nM for Rac1, Rac1b, Rac2 and Rac3, respectively.

Size 10 mg 50 mg

S7686 ML141

ML141 (CID-2950007), is demonstrated to be a potent, selective and reversible non-competitive inhibitor of Cdc42 GTPase suitable for in vitro assays, with IC_{50} of 200 nM and selectivity against other members of the Rho family of GTPases (Rac1, Rab2, Rab7).

Size 5 mg 25 mg 100 mg

S7719 CCG-1423

CCG-1423 is a specific RhoA pathway inhibitor, which inhibits SRF-mediated transcription.

Size 10 mg 50 mg 200 mg

c-Myc Inhibitor

S7153 10058-F4

10058-F4 is a c-Myc inhibitor that specificallly inhibits the c-Myc-Max interaction and prevents transactivation of c-Myc target gene expression.

Size 25 mg

PD-1/PD-L1 Inhibitors

S7912 PD-1/PD-L1 inhibitor 2

PD-1/PD-L1 inhibitor 2 is a small-molecule PD-1/PD-L1 interaction inhibitor with IC_{50} of 18 nM.

Size 5 mg 25 mg

S7911 PD-1/PD-L1 inhibitor 1

PD-1/PD-L1 inhibitor 1 is a small-molecule inhibitor of PD-1/PD-L1 interaction with IC_{50} of 6 nM.

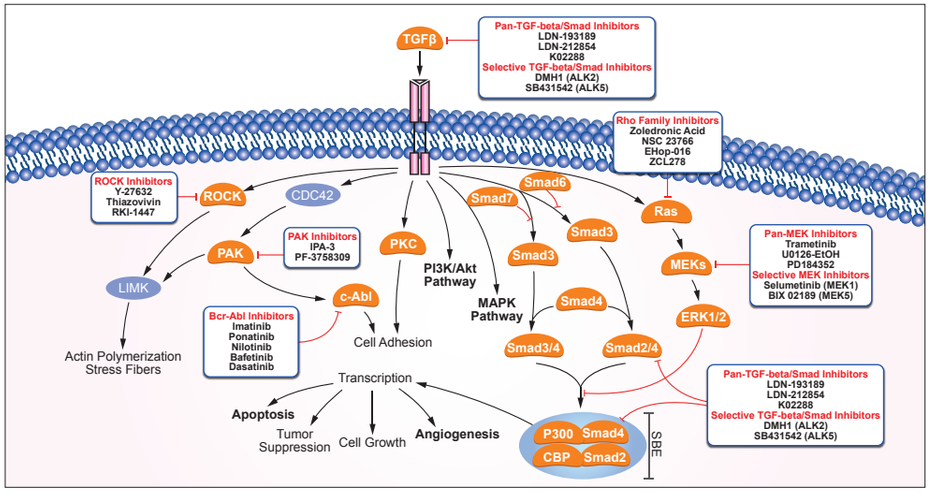
Size 5 mg 25 mg

S8158 PD-1/PD-L1 Inhibitor 3

PD-1/PD-L1 Inhibitor 3 (Programmed Death-1/Programmed Death -Ligand 1 Inhibitor 3) is a Macrocyclic inhibitor of PD-1/PD-L1 interaction with IC_{50} of 5.6 nM.

Size 1 mg 5 mg

TGF-beta/Smad Pathway



Bcr-Abl Inhibitors

Detailed product information is on page 51-52

PKC Inhibitors

Detailed product information is on page 72-73

ROCK Inhibitors

Detailed product information is on page 82-83

TGF-beta/Smad Inhibitors

Inhibitory Selectivity

Inhibitor Name	ALK1	ALK2	ALK3	ALK4	TGFβRI/ALK5	ALK6	TGFβRII	TGF-β	Smad3	Other
SB431542					++ IC_{50}: 94 nM					
LDN-193189		++++ IC_{50}: 5 nM	+++ IC_{50}: 30 nM							
Galunisertib					++ IC_{50}: 56 nM					
LY2109761					+++ K_i: 38 nM		+ K_i: 300 nM			
SB525334					+++ IC_{50}: 14.3 nM					
SB505124				++ IC_{50}: 129 nM	++ IC_{50}: 47 nM					
GW788388					+++ IC_{50}: 18 nM					
LY364947					++ IC_{50}: 59 nM		+ IC_{50}: 0.4 μM			RIPK2,CK1δ, MLK-7K
RepSox					++++ IC_{50}: 4 nM					
LDN-193189 HCl		++++ IC_{50}: 5 nM	+++ IC_{50}: 30 nM							
K02288	++++ IC_{50}: 1.8 nM	++++ IC_{50}: 1.1 nM	+++ IC_{50}: 34.4 nM	+ IC_{50}: 302 nM	+ IC_{50}: 321 nM	++++ IC_{50}: 6.4 nM				
LDN-214117		+++ IC_{50}: 24 nM								
SD-208					++ IC_{50}: 48 nM					
EW-7197				+++ IC_{50}: 13 nM	++++ IC_{50}: 11 nM					
ML347	++ IC_{50}: 46 nM	+++ IC_{50}: 32 nM	+ IC_{50}: 10.8 μM			+ IC_{50}: 9.83 μM				
LDN-212854	++++ IC_{50}: 2.4 nM	++++ IC_{50}: 1.3 nM	++ IC_{50}: 85.8 nM	+ IC_{50}: 2133 nM	+ IC_{50}: 9276 nM					
DMH1		++ IC_{50}: 107.9 nM								

Inhibitory Selectivity

Inhibitor Name	ALK1	ALK2	ALK3	ALK4	TGFβRI/ALK5	ALK6	TGFβRII	TGF-β	Smad3	Other
Pirfenidone								√		
SIS3 HCl									√	
Hesperetin								√		Histamine receptor

Notes:

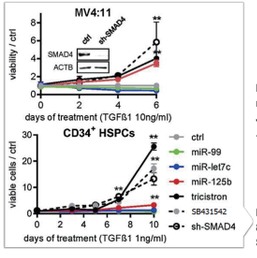
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1067 SB431542

TGFβRI/ALK5 selective

SB431542 is a potent and selective inhibitor of ALK5 with IC_{50} of 94 nM in a cell-free assay, 100-fold more selective for ALK5 than for p38 MAPK and other kinases.

Size 10 mg 50 mg 10 mM/1 mL



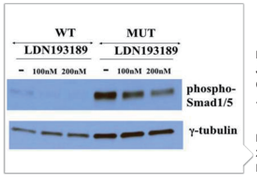
Product Citations (24):
nature, 2016, 10.1038/nature17408
J Clin Invest, 2015, 125(2): 796-808
...

Data from [**Genes Dev,** 2014, 28(8): 858-74]
SB431542 purchased from **Selleck**

S2618 LDN-193189 (DM3189)

LDN-193189 is a selective BMP signaling inhibitor, inhibiting the transcriptional activity of the BMP type I receptors ALK2 and ALK3 with IC_{50} of 5 nM and 30 nM in C2C12 cells, respectively, exhibiting 200-fold selectivity for BMP versus TGF-β.

Size 2 mg 5 mg 25 mg



Product Citations (12):
J Clin Invest, 2015, 125(2): 796-808
Cancer Cell, 2014, 26(4): 521-33
...

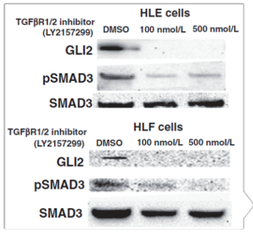
Data from [**J Cell Sci,** 2012, 126 (Pt 1): 234-43]
LDN-193189 purchased from **Selleck**

S2230 Galunisertib (LY2157299)

TGFβRI/ALK5 selective

Galunisertib (LY2157299) is a potent TGFβ receptor I (TβRI) inhibitor with IC_{50} of 56 nM in a cell-free assay. Phase 2/3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



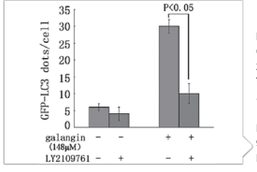
Product Citations (5):
Sci Rep, 2016, 6:23056
Cancer Res, 2014, 74(21): 5963-77
...

Data from [**Cancer Res,** 2014, 10.1158/0008-5472.CAN-14-0225]
LY2157299 purchased from **Selleck**

S2704 LY2109761

LY2109761 is a novel selective TGF-β receptor type I/II (TβRI/II) dual inhibitor with K_i of 38 nM and 300 nM in cell-free assay, respectively; shown to negatively affect the phosphorylation of Smad2.

Size 5 mg 10 mg 10 mM/1 mL



Product Citations (6):
Connect Tissue Res. 2015, 56(4): 288-99
Toxicology, 2014, 326C: 9-17
...

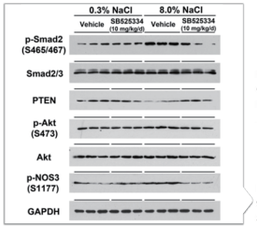
Data from [**Toxicology,** 2014, 326C: 9-17]
LY2109761 purchased from **Selleck**

S1476 SB525334

TGFβRI/ALK5 selective

SB525334 is a potent and selective inhibitor of TGFβ receptor I (ALK5) with IC_{50} of 14.3 nM in a cell-free assay, is 4-fold less potent to ALK4 than ALK5 and inactive to ALK2, 3, and 6.

Size 5 mg 50 mg 100 mg 10 mM/1 mL



Product Citations (7):
Cancer Lett, 2014, 355(1): 130-40
Hypertension, 2013, 62(5): 951-6
...

Data from [**Hypertension,** 2013, 62(5): 951-6]
SB525334 purchased from **Selleck**

S7146 DMH1

ALK2 selective

DMH1 is a selective BMP receptor inhibitor with IC_{50} of 107.9 nM for ALK2, exhibiting no inhibition on AMPK, ALK5, KDR (VEGFR-2) or PDGFR.

Size 10 mg 25 mg

S7507 LDN-193189 HCl

LDN193189 HCl is the hydrochloride salt of LDN193189, which is a selective BMP signaling inhibitor, and inhibits the transcriptional activity of the BMP type I receptors ALK2 and ALK3 with IC_{50} of 5 nM and 30 nM in C2C12 cell lines, respectively, 200-fold selectivity for BMP versus TGF-β.

Size 5 mg 10 mg 50 mg

S2186 SB505124

SB505124 is a selective inhibitor of TGFβR for ALK4, ALK5 with IC_{50} of 129 nM and 47 nM in cell-free assays, respectively, also inhibits ALK7, but does not inhibit ALK1, 2, 3, or 6.

Size 10 mg 50 mg 10 mM/1 mL

S2907 Pirfenidone (S-7701, AMR-69)

TGF-β selective

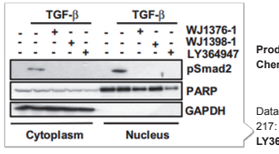
Pirfenidone is an inhibitor for TGF-β production and TGF-β stimulated collagen production, reduces production of TNF-α and IL-1β, and also has anti-fibrotic and anti-inflammatory properties. Phase 3.

Size 10 mg 50 mg 10 mM/1 mL

S2805 LY364947

LY364947 is a potent ATP-competitive inhibitor of TGFβR-I with IC_{50} of 59 nM in a cell-free assay, showing 7-fold selectivity over TGFβR-II.

Size 10 mg 25 mg 50 mg



Product Citation (1):
Chem Biol Interact, 2014, 217: 1-8

Data from [**Chem Biol Interact,** 2014, 217: 1-8]
LY364947 purchased from **Selleck**

S7223 RepSox (E-616452, SJN 2511)

TGFβRI/ALK5 selective

RepSox is a potent and selective inhibitor of the TGFβR-1/ALK5 with IC_{50} of 23 nM and 4 nM for ATP binding to ALK5 and ALK5 autophosphorylation in cell-free assays, respectively.

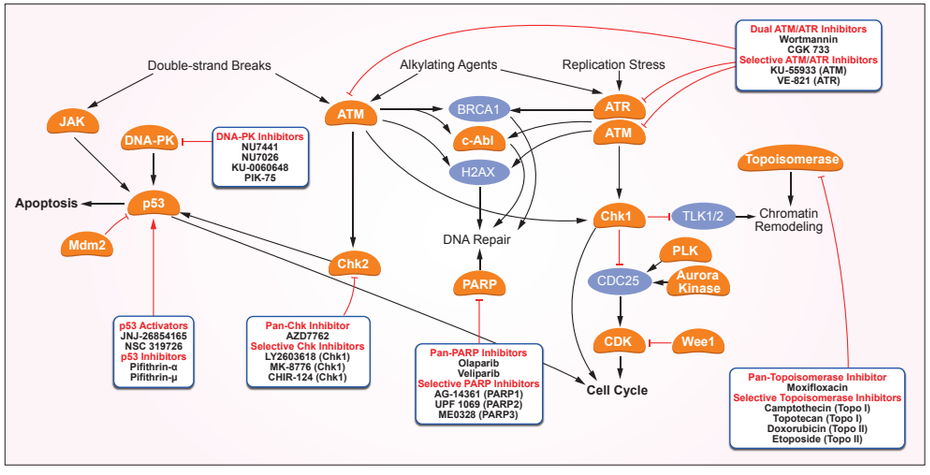
Size 10 mg 25 mg

S7959 SIS3 HCl

SIS3, a novel specific inhibitor of Smad3, inhibits TGF-β and activin signaling by suppressing Smad3 phosphorylation without affecting the MAPK/p38, ERK, or PI3-kinase signaling pathways.

Size 2 mg 5 mg 25 mg

DNA Damage



HDAC Inhibitors

Detailed product information is on page 19-23

Sirtuin Inhibitors | Activators

Detailed product information is on page 29-30

ATM/ATR Inhibitors | Activator

Detailed product information is on page 15-16

DNA-PK Inhibitors

Detailed product information is on page 18

PARP Inhibitors

Detailed product information is on page 23-24

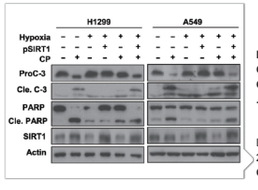
DNA/RNA Synthesis Inhibitors | Antagonist | Chemical | Modulator

DNA/RNA Synthesis Inhibitors

S1166 Cisplatin

Cisplatin is an inorganic platinum complex, which is able to inhibit DNA synthesis by conforming DNA adducts in tumor cells.

Size 50 mg



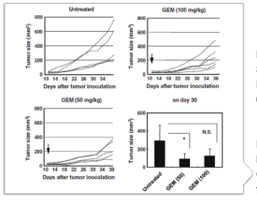
Product Citations (21):
Cancer Res, 2014, 74(1): 298-308
Cancer Res, 2013, 73(20): 6310-22
...

Data from [**Cancer Res,** 2014, 74(1): 298-308]
Cisplatin (CP) purchased from **Selleck**

S1149 Gemcitabine HCl

Gemcitabine HCl is a DNA synthesis inhibitor with IC_{50} of 50 nM, 40 nM, 18 nM and 12 nM in PANC1, MIAPaCa2, BxPC3 and Capan2 cells, respectively.

Size 25 mg 100 mg 10 mM/1 mL



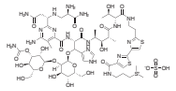
Product Citations (14):
Sci Transl Med, 2015, 7(284): 284ra57
Nucleic Acids Res, 2014, 42(10): 6436-47
...

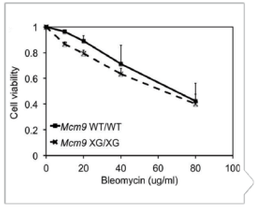
Data from [**Cancer Immunol Immunother,** 2013, 62(2): 383-91]
Gemcitabine HCl (GEM) purchased from **Selleck**

S1214 Bleomycin Sulfate (NSC125066)

Bleomycin Sulfate is a glycopeptide antibiotic and an anticancer agent for squamous cell carcinomas (SCC) with IC_{50} of 4 nM in UT-SCC-19A cells.

Size 10 mg 50 mg 10 mM/1 mL





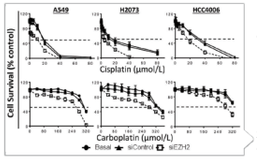
Product Citations (5):
Nucleic Acids Res, 2015, 10.1093/nar/gkv208
Plant J, 2014, 78(5): 822-33
...

Data from [**Mol Cell Biol,** 2013, 33(8): 1632-44]
Bleomycin Sulfate purchased from **Selleck**

S1215 Carboplatin (JM-8, CBDCA, NSC 241240)

Carboplatin is a DNA synthesis inhibitor by binding to DNA and interfering with cell repair mechanism in A2780, SKOV-3, IGROV-1, and HX62 cells.

Size 50 mg 100 mg 200 mg



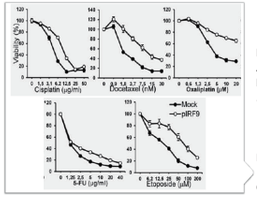
Product Citations (6):
Cancer Cell, 2013, 24(5): 617-30
Proc Natl Acad Sci USA, 2015, 112(6): 1839-44
...

Data from [**Clin Cancer Res,** 2014, 20(14): 3849-61]
Carboplatin purchased from **Selleck**

S1224 Oxaliplatin (L-OHP)

Oxaliplatin inhibits DNA synthesis by conforming DNA adducts in RT4, TCCSUP, A2780, HT-29, U-373MG, U-87MG, SK-MEL-2, and HT-144 cells.

Size 50 mg 100 mg 200 mg



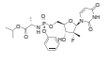
Product Citations (6):
ACS Chem Biol, 2013, 8(12): 2771-7
Int J Cancer, 2014, 136(4): E51-61
...

Data from [**Int J Cancer,** 2014, 10.1002/ijc.29161]
Oxaliplatin purchased from **Selleck**

S2794 Sofosbuvir (PSI-7977, GS-7977)

Sofosbuvir (PSI-7977, GS-7977) is a HCV NS5B polymerase inhibitor for the treatment of chronic hepatitis C virus (HCV) infection.

Size 5 mg 25 mg 100 mg



S1135 Pemetrexed (LY-231514)

Pemetrexed is a novel antifolate and antimetabolite for TS, DHFR and GARFT with K_i of 1.3 nM, 7.2 nM and 65 nM, respectively.

Page 119

S1491 Fludarabine (FaraA, Fludarabinum)

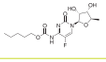
Fludarabine is a STAT1 activation inhibitor which causes a specific depletion of STAT1 protein (and mRNA) but not of other STATs. Also a DNA synthesis inhibitor in vascular smooth muscle cells.

Page 64

S1156 Capecitabine

Capecitabine is a tumor-selective fluoropyrimidine carbamate which achieves higher intratumoral 5-FU level with lower toxicity than 5-FU.

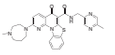
Size 50 mg 200 mg 1 g 10 mM/1 mL

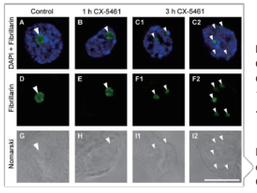


S2684 CX-5461

CX-5461 is an inhibitor of rRNA synthesis, selectively inhibits Pol I-driven transcription of rRNA with IC_{50} of 142 nM in HCT-116, A375, and MIA PaCa-2 cells, has no effect on Pol II, and possesses 250- to 300-fold selectivity for inhibition of rRNA transcription versus DNA replication and protein translation.

Size 5 mg 10 mg 50 mg





Product Citations (3):
Oncogene, 2015, 10.1038/onc.2015.147
Genome Biol Evol, 2015, 10.1038/cr.2015.16
...

Data from [**PLoS One,** 2014, 9(8): e104364]
CX-5461 purchased from **Selleck**

S1209 Fluorouracil (5-Fluoracil, 5-FU, NSC 19893)

Fluorouracil (5-Fluoracil, 5-FU) is an DNA/RNA synthesis inhibitor, which interrupts nucleotide synthetic by inhibiting thymidylate synthase (TS) in tumor cells.

Size 100 mg 200 mg 10 mM/1 mL

S1648 Cytarabine

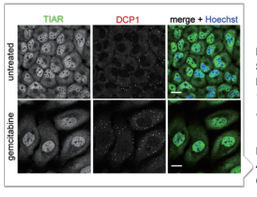
Cytarabine (Cytosine arabinoside, AraC) is an antimetabolic agent and DNA synthesis inhibitor with IC_{50} of 16 nM in wild-type CCRF-CEM cells.

Size 50 mg 5 g

S1714 Gemcitabine

Gemcitabine, a nucleic acid synthesis inhibitor, is a very potent and specific deoxycytidine analogue, used as chemotherapy.

Size 50 mg 10 mM/1 mL



Product Citations (13):
Sci Transl Med, 2015, 7(284): 284ra57
Proc Natl Acad Sci USA, 2015, 112(6): 1839-44
...

Data from [**Nucleic Acids Res,** 2014, 42(10): 6436-47]
Gemcitabine purchased from **Selleck**

S1218 Clofarabine

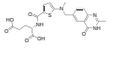
Clofarabine inhibits the enzymatic activities of ribonucleotide reductase (IC_{50} = 65 nM) and DNA polymerase.

Size 10 mg 50 mg 10 mM/1 mL

S1192 Raltitrexed (ZD-1694)

Raltitrexed is a thymidylate synthase inhibitor with an IC_{50} of 9 nM for the inhibition of L1210 cell growth.

Size 10 mg 50 mg 100 mg 10 mM/1 mL



S1302 Ifosfamide (NSC109724, Isophosphamide)

Ifosfamide is a nitrogen mustard alkylating agent used in the treatment of cancer.

Size 50 mg 10 mM/1 mL

S7742 SCR7

SCR7 is a specific DNA Ligase IV inhibitor, which blocks nonhomologous end-joining (NHEJ).

Size 5 mg 25 mg

DNA Damage

S1221 Dacarbazine (DTIC-Dome)

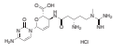
Dacarbazine is a triazene derivative with antineoplastic activity. Dacarbazine alkylates and cross-links DNA during all phases of the cell cycle, resulting in disruption of DNA function, cell cycle arrest, and apoptosis; used in the treatment of various cancers.

Size 50 mg 10 mM/1 mL

S7419 Blasticidin S HCl

Blasticidin S HCl is a nucleoside antibiotic isolated from Stretomyces girseochromogenes, and acts as a DNA and protein synthesis inhibitor, used to select transfected cells carrying bsr or BSD resistance genes.

Size 25 mg 100 mg



S2504 Ribavirin

Ribavirin, a synthetic guanosine analogue, possesses a broad spectrum of activity against DNA and RNA viruses.

Size 100 mg 200 mg 10 mM/1 mL

S8146 Mitomycin C

Mitomycin C is an antineoplastic antibiotic by inhibiting DNA synthesis, used to treat different cancers.

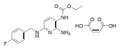
Size 10 mg 50 mg 200 mg

DNA/RNA Synthesis Antagonist

S1334 Flupirtine maleate

Flupirtine maleate is the salt form of Flupirtine, which is a centrally acting non-opioid analgesia, is a selective neuronal potassium channel opener that also has NMDA receptor antagonist properties.

Size 10 mg 25 mg 100 mg 10 mM/1 mL



DNA/RNA Synthesis Chemical

S1982 Adenine sulfate

Adenine sulfate is a sulfate salt form of adenine which is a purine derivative and a nucleobase with a variety of roles in biochemistry.

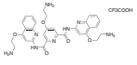
Size 50 mg 5 g

DNA/RNA Synthesis Modulator

S7444 Pyridostatin Trifluoroacetate Salt

Pyridostatin Trifluoroacetate Salt is a G-quadruplexestabilizer with K_d of 490 nM in a cell-free assay, which targets a series of proto-oncogenes including c-kit, K-ras and Bcl-2.

Size 5 mg 25 mg 100 mg



Topoisomerase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Topoisomerase	Topo I	Topo II	Topo IV	Other
Camptothecin		++ IC_{50}: 0.68 μM			
Topotecan HCl		++++ IC_{50}: 13 nM			
Idarubicin HCl			+++ IC_{50}: 3.3 ng/mL		Multicellular spheroids
Daunorubicin HCl	+++ K_i: 20 nM				
Betulinic acid		++ IC_{50}: 5 μM			HIV-1,Aminopeptidase N
Flumequine			+ IC_{50}: 15 μM		
Doxorubicin			√		
Etoposide			√		
Irinotecan		√			
Epirubicin HCl	√				
Mitoxantrone HCl			√		
Moxifloxacin HCl			√		
Irinotecan HCl Trihydrate		√			
SN-38		√			
Amonafide			√		
Teniposide			√		
Gatifloxacin	√				
Genistein			√		EGFR
Mitoxantrone			√		
Levofloxacin			√		
Pirarubicin			√		
Ciprofloxacin				√	

Notes:

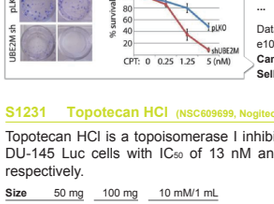
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1208 Doxorubicin (Adriamycin) Licensed by Pfizer

Topo II selective

Doxorubicin (Adriamycin) is an antibiotic agent that inhibits DNA topoisomerase II and induces DNA damage and apoptosis in tumor cells.

Size 10 mg 25 mg 100 mg 10 mM/1 mL



Product Citations (28):
Sci Transl Med, 2015, 7(284): 284ra57
Nat Commun, 2014, 5: 3384
...

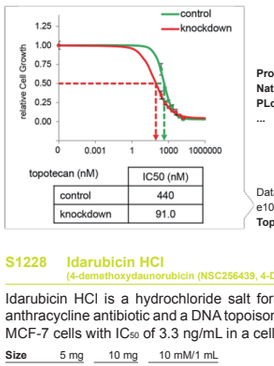
Data from [**Nat Commun,** 2014, 5: 3384]
Doxorubicin (Doxo) purchased from **Selleck**

S1225 Etoposide (VP-16, VP-16213)

Topo II selective

Etoposide is a semisynthetic derivative of podophyllotoxin, which inhibits DNA synthesis via topoisomerase II inhibition activity.

Size 100 mg 5 g 10 g 10 mM/1 mL



Product Citations (8):
Leukemia, 2015, 10.1038/leu.2015.99
Cancer Res, 2011, 71(13): 4707-19
...

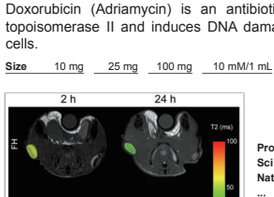
Data from [**Mol Cancer Ther,** 2011, 10(10): 1846-56]
Etoposide purchased from **Selleck**

S1288 Camptothecin (NSC-100880)

Topo I selective

Camptothecin is a specific inhibitor of DNA topoisomerase I (Topo I) with IC_{50} of 0.68 μM in a cell-free assay. Phase 2.

Size 100 mg 250 mg 500 mg



Product Citations (3):
Nature, 2015, 522(7557): 492-6
EMBO Rep, 2010, 11(12): 962-8
...

Data from [**PLoS One,** 2014, 9(7): e101844]
Camptothecin (CPT) purchased from **Selleck**

S1231 Topotecan HCl (NSC609699, Nogitecan HCl, SKFS 104864A)

Topo I selective

Topotecan HCl is a topoisomerase I inhibitor for MCF-7 Luc cells and DU-145 Luc cells with IC_{50} of 13 nM and 2 nM in cell-free assays, respectively.

Size 50 mg 100 mg 10 mM/1 mL

Product Citations (6):
Nat Chem Biol, 2014, 10(9): 768-73
PLoS Genet, 2014, 10(1): e1004107
...

Data from [**PLoS Genet,** 2014, 10(1): e1004107]
Topotecan HCl purchased from **Selleck**

S1228 Idarubicin HCl (4-demethoxydaunorubicin (NSC256439, 4-DMDR) HCl)

Topo II selective

Idarubicin HCl is a hydrochloride salt form of Idarubicin which is an anthracycline antibiotic and a DNA topoisomerase II (topo II) inhibitor for MCF-7 cells with IC_{50} of 3.3 ng/mL in a cell-free assay.

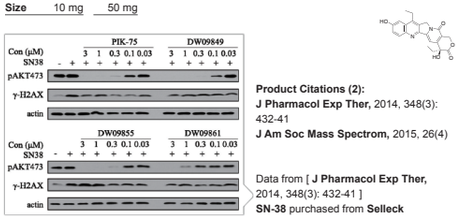
Size 5 mg 10 mg 10 mM/1 mL

S4908 SN-38

Topo I selective

SN-38 is an active metabolite of CPT-11, inhibits DNA topoisomerase I, DNA synthesis and causes frequent DNA single-strand breaks.

Size 10 mg 50 mg



Product Citations (2):
J Pharmacol Exp Ther, 2014, 348(3): 432-41
J Am Soc Mass Spectrom, 2015, 26(4)

Data from [**J Pharmacol Exp Ther,** 2014, 348(3): 432-41]
SN-38 purchased from **Selleck**

S1889 Mitoxantrone

Topo II selective

Mitoxantrone is a type II topoisomerase inhibitor with IC_{50} of 2.0 μM, 0.42 mM for HepG2 and MCF-7/wt cells, respectively.

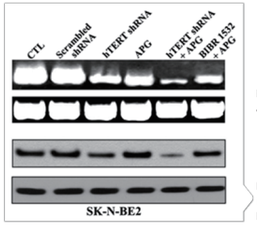
Size 50 mg 100 mg 300 mg

Telomerase Inhibitors

S1186 BIBR 1532

BIBR 1532 is a potent, selective, non-competitive telomerase inhibitor with IC_{50} of 100 nM in a cell-free assay. No inhibition of DNA and RNA polymerases, including HIV reverse transcriptase were observed at concentrations vastly exceeding the IC_{50} for telomerase.

Size 10 mg 50 mg 10 mM/1 mL



Product Citation (1):
J Mol Neurosci, 2013, 51(1): 187-98

Data from [**J Mol Neurosci,** 2013, 51(1): 187-98]
BIBR 1532 purchased from **Selleck**

S3035 Daunorubicin HCl (Daunomycin HCl)

Daunorubicin HCl inhibits both DNA and RNA synthesis and inhibits DNA synthesis with K_i of 0.02 μM in a cell-free assay.

Size 10 mg 50 mg 10 mM/1 mL

S2250 Epigallocatechin Gallate

(-)-Epigallocatechin Gallate(EGCG) is the main catechin extraction of green tea that inhibits telomerase and DNA methyltransferase. EGCG blocks the activation of EGF receptors and HER-2 receptors. ECGG inhibits fatty acid synthase and glutamate dehydrogenase activity.

Size 50 mg 100 mg 10 mM/1 mL

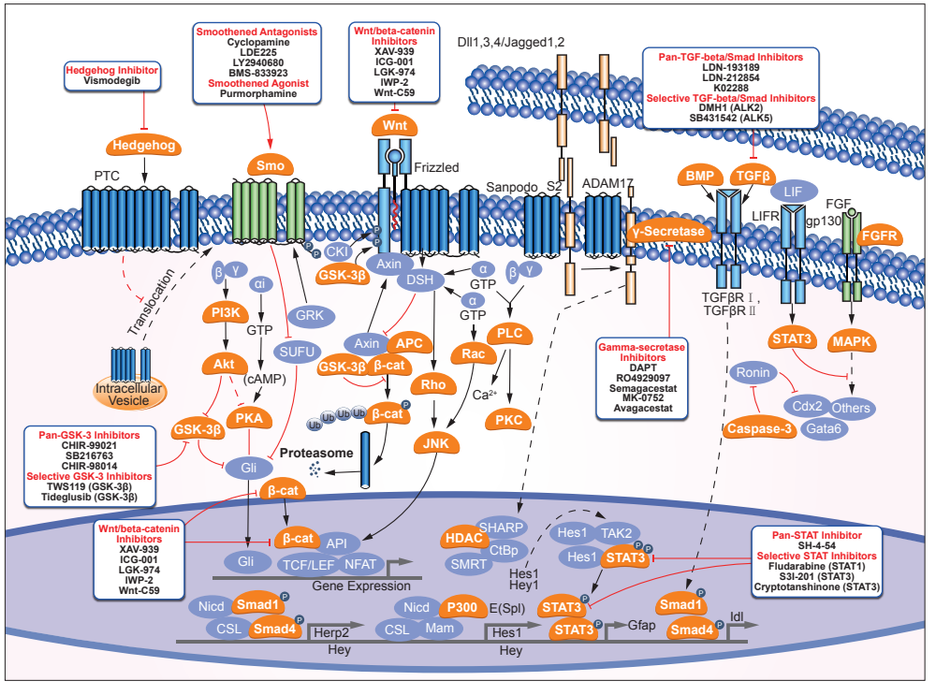
DNA Alkylator Inhibitor

S8266 Melphalan new

Melphalan is a phenylalanine derivative of nitrogen mustard with antineoplastic activity.

Size 100 mg 500 mg

Stem Cells and Wnt Pathway



GSK-3 Inhibitors

Detailed product information is on page 14-15

TGF-beta/Smad Inhibitors

Detailed product information is on page 85-87

JAK Inhibitors

Detailed product information is on page 24-26

Wnt/beta-catenin Inhibitors

Detailed product information is on page 71-72

STAT Inhibitors

Detailed product information is on page 63-64

ROCK Inhibitors

Detailed product information is on page 82-83

Gamma-secretase Inhibitors

Inhibitory Selectivity

Inhibitor Name	γ secretase	Aβ	Notch	Other
DAPT (GSI-IX)		+ IC50: 20 nM		Aβ
RO4929097	+++ IC50: 4 nM		+++ IC50: 5 nM	Aβ40
Semagacestat		++ IC50: 10.9 nM	++ IC50: 14.1 nM	
Avagacestat		++++ IC50: 0.3 nM		

Inhibitory Selectivity

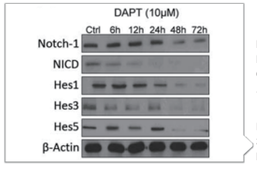
Inhibitor Name	γ secretase	Aβ	Notch	Other Targets
Dibenzazepine	+++ IC50: 2.6 nM		+++ IC50: 2.9 nM	
LY411575	++++ IC50: 0.082 nM		++++ IC50: 0.39 nM	
L-685,458	+ Ki: 17 nM			
FLI-06			+ EC50: 2.3 μM	
LY3039478			++++ IC50: ~1 nM	
PF-03084014	++ IC50: 6.2 nM			
MK-0752		√		Aβ

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC50s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2215 DAPT (GSI-IX) *Aβ selective*

DAPT (GSI-IX) is a novel γ-secretase inhibitor, which inhibits Aβ production with IC_{50} of 20 nM in HEK 293 cells.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



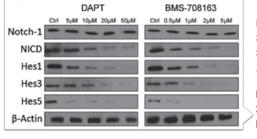
Product Citations (7):
Nat Med, 2014, 20(4): 350-9
Oncogene, 2014, 10.1038/onc.2014.319
...

Data from [**Stem Cells,** 2014, 32(1): 301-12]
DAPT purchased from **Selleck**

S1262 Avagacestat (BMS-708163) *Aβ selective*

Avagacestat (BMS-708163) is a potent, selective, orally bioavailable γ-secretase inhibitor of Aβ40 and Aβ42 with IC_{50} of 0.3 nM and 0.27 nM, demonstrating a 193-fold selectivity against Notch. Phase 2.

Size 5 mg 10 mg 50 mg



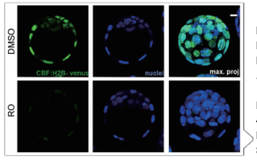
Product Citations (5):
Sci Rep, 2015, 5: 8782
Stem Cells, 2014, 32(1): 301-12
...

Data from [**Stem Cells,** 2013, 32(1): 301-12]
BMS-708163 purchased from **Selleck**

S1575 RO4929097

RO4929097 is a γ secretase inhibitor with IC_{50} of 4 nM in a cell-free assay, inhibiting cellular processing of Aβ40 and Notch with EC_{50} of 14 nM and 5 nM, respectively. Phase 2.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (12):
Hepatology, 2015, 10.1002/hep.28367
Dev Cell, 2014, 30(4): 410-22
...

Data from [**Dev Cell,** 2014, 30(4): 410-22]
RO4929097 (RO) purchased from **Selleck**

S2714 LY411575

LY411575 is a potent γ-secretase inhibitor with IC_{50} of 0.078 nM/0.082 nM (membrane/cell-based), also inhibits Notch clevage with IC_{50} of 0.39 nM in APP or NΔE expressing HEK293 cells.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S7169 LY3039478 *new*

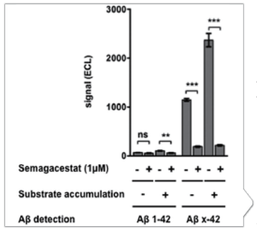
LY3039478 is an oral Notch inhibitor with an IC_{50} of 0.41 nM.

Size 5 mg 25 mg

S1594 Semagacestat (LY450139)

Semagacestat (LY450139) is a γ-secretase blocker for Aβ42, Aβ40 and Aβ38 with IC_{50} of 10.9 nM, 12.1 nM and 12.0 nM, also inhibits Notch signaling with IC_{50} of 14.1 nM in H4 human glioma cell. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (3):
J Biol Chem, 2014, 289(3): 1540-50
J Biol Chem, 2012, 287(15): 11810-9
...

Data from [**J Biol Chem,** 2014, 289(3): 1540-50]
Semagacestat purchased from **Selleck**

S2711 Dibenzazepine (YO-01027)

Dibenzazepine (YO-01027) is a dipeptidic γ-secretaseinhibitor with IC_{50} of 2.6 nM and 2.9 nM in cell-free assays for APPL and Notch cleavage, respectively.

Size 2 mg 5 mg 25 mg 10 mM/1 mL

Hedgehog/Smoothened Inhibitors | Agonists | Antagonists

Inhibitory Selectivity

Inhibitor Name	Hedgehog	Smoothened	GLI
Vismodegib	+++ IC50: 3 nM		
Cyclopamine		++ IC50: 46 nM	
Erismodegib		++++ IC50: 1.3 nM	
PF-5274857		+++ IC50: 5.8 nM	
GANT61			+ IC50: 5 μM
SANT-1		++++ Kd: 1.2 nM	
Taladegib		√	
BMS-833923		√	
Jervine	√		

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC50s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

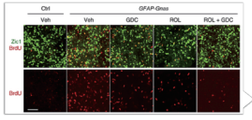
Stem Cells and Wnt

Hedgehog/Smoothened Inhibitors

S1082 Vismodegib (GDC-0449) *Hedgehog selective*

Vismodegib (GDC-0449) is a potent, novel and specific hedgehog inhibitor with IC_{50} of 3 nM and also inhibits P-gp with IC_{50} of 3.0 μM in a cell-free assay.

Size 5 mg 50 mg 200 mg 10 mM/1 mL



Product Citations (34):
Nature, 2016, 535(7613): 517-22
Nature, 2014, 511(7507): 90-3
...

Data from [**Nat Med,** 2014, 20(9): 1035-42]
GDC-0449 purchased from **Selleck**

S8075 GANT61 (NSC 136476) *GLI selective*

GANT61 is an inhibitor for GLI1 as well as GLI2-induced transcription, inhibits hedgehog with IC_{50} of 5 μM in GLI1 expressing HEK293T cell, displays selectivity over other pathways, such as TNF and glucocorticoid receptor gene transactivation.

Size 10 mg 50 mg

Hedgehog/Smoothened Agonists

S3042 Purmorphamine *Smoothened selective*

Purmorphamine, which directly binds and activates Smoothened, blocks BODIPY-cyclopamine binding to Smo with IC_{50} of ~ 1.5 μM in HEK293T cell and also is an inducer of osteoblast differentiation with EC_{50} of 1 μM.

Size 5 mg 25 mg

S7779 Smoothened Agonist (SAG) HCl

Smoothened Agonist (SAG) HCl is a cell-permeable Smoothened (Smo) agonist with EC_{50} of 3 nM in Shh-LIGHT2 cells.

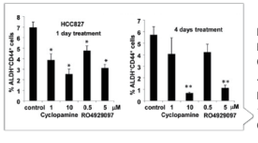
Size 2 mg 5 mg 25 mg

Hedgehog/Smoothened Antagonists

S1146 Cyclopamine *Smoothened selective*

Cyclopamine is a specific Hedgehog (Hh) signaling pathway antagonist of Smoothened (Smo) with IC_{50} of 46 nM in TM3Hh12 cells.

Size 5 mg 10 mg 25 mg 50 mg



Product Citations (15):
Nature, 2015, 10.1038/nature14325
Cancer Res, 2012, 72(9): 2262-74
...

Data from [**Oncotarget,** 2013, 4 (10): 1698-1711]
Cyclopamine purchased from **Selleck**

S2151 Erismodegib (NVP-LDE225) *Smoothened selective*

Erismodegib (NVP-LDE225) is a Smoothened (Smo) antagonist, inhibiting Hedgehog (Hh) signaling with IC_{50} of 1.3 nM (mouse) and 2.5 nM (human) in cell-free assays, respectively. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

Product Citations (8):
Clin Cancer Res, 2015, 21(20): 4686-97
Nat Chem Biol, 2013, 9(4): 247-9
...

Data from [**Br J Cancer,** 2014, 111(6): 1168-79]
NVP-LDE225 purchased from **Selleck**

Casein Kinase Inhibitors

Inhibitory Selectivity

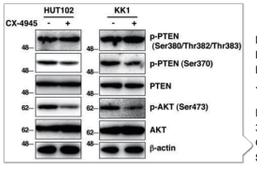
Inhibitor Name	CK1	CK2	Other Targets
Silmitasertib		+++ IC_{50}: 1 nM	
D 4476	++ IC_{50}: 300 nM		ALK5

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S2248 Silmitasertib (CX-4945)

Silmitasertib (CX-4945) is a potent and selective inhibitor of CK2 (casein kinase 2) with IC_{50} of 1 nM in a cell-free assay, less potent to Flt3, Pim1 and CDK1 (inactive in cell-based assay). Phase 1/2.

Size 2 mg 5 mg 10 mM/1 mL



Product Citations (12):
Dis Model Mech, 2016, 9(8): 839-48
Nat Commun, 2015, 6: 7227
...

Data from [**Nat Commun,** 2014, 5: 3393]
CX-4945 (Silmitasertib) purchased from **Selleck**

S7642 D 4476

D 4476 is a potent, selective, and cell-permeant CK1 (casein kinase 1) inhibitor with IC_{50} of 200 nM and 300 nM in a cell-free assay for CK1 from Schizosaccharomyces pombe and CK1δ, respectively. Also acts as an ALK5 inhibitor with IC_{50} of 500 nM.

Size 10 mg 50 mg 200 mg

Hippo Pathway Inhibitors

S8334 XMU-MP-1 *new*

XMU-MP-1 is an inhibitor of MST1/2 with IC_{50} values of 71.1±12.9 nM and 38.1±6.9 nM against MST1 and MST2, respectively.

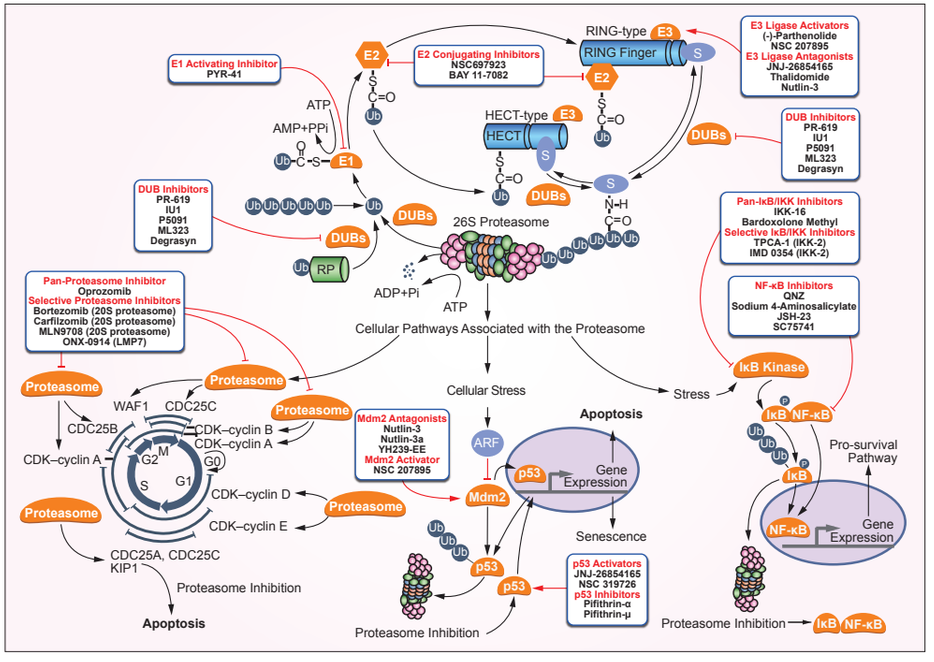
Size 2 mg 5 mg 25 mg

S8164 YAP-TEAD Inhibitor 1 (Peptide 17) *new*

Peptide 17 is a inhibitor of this YAP-TEAD protein-protein interaction which has potential usage in treatment of YAP-involved cancers with IC_{50} of 25nM.

Size 1 mg

Ubiquitin Pathway



Proteasome Inhibitors

Inhibitory Selectivity

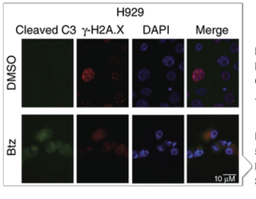
Inhibitor Name	Proteasome	20S proteasome
Bortezomib (PS-341)		++++ K_i: 0.6 nM
MG-132		+ IC_{50}: 100 nM
Carfilzomib (PR-171)	+++ IC_{50}: 5 nM	
MLN9708		+++ K_i: 0.93 nM
Ixazomib (MLN2238)		++++ K_i: 0.93 nM
ONX-0914 (PR-957)		++ IC_{50}: ~10 nM
Oprozomib (ONX 0912)		++ IC_{50}: 36 nM
Delanzomib (CEP-18770)		+++ IC_{50}: 3.8 nM
Celastrol		+ IC_{50}: 2.5 μM
VR23	++++ IC_{50}: 1 nM	
PI-1840		++ IC_{50}: 27 nM
Epoxomicin		√

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1013 Bortezomib (PS-341)

Bortezomib (PS-341) is a potent 20S proteasome inhibitor with K_i of 0.6 nM. It exhibits favorable selectivity towards tumor cells over normal cells.

Size 5 mg 25 mg 100 mg 10 mM/1 mL



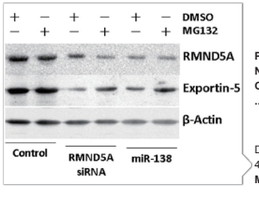
Product Citations (141):
Nat Med, 2014, 20(6): 599-606
Cell Stem Cell, 2012, 11(2): 242-52
...

Data from [**Nat Med,** 2014, 20(6): 599-606]
Bortezomib (Btz) purchased from **Selleck**

S2619 MG-132

MG-132 is an inhibitor of proteasome with IC_{50} of 100 nM in a cell-free assay, and also inhibits calpain with IC_{50} of 1.2 μM.

Size 5 mg 25 mg 100 mg 10 mM/1 mL



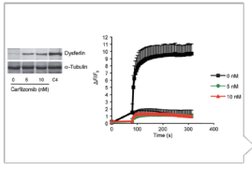
Product Citations (40):
Nat Cell Biol, 2015, 17(1): 95-103
Cell Res, 2015, 10.1038/cr.2015.30
...

Data from [**Nucleic Acids Res,** 2014, 42(1): 458-74]
MG-132 purchased from **Selleck**

S2853 Carfilzomib (PR-171)

Carfilzomib (PR-171) is an irreversible proteasome inhibitor with IC_{50} of <5 nM in ANBL-6 cells, displayed preferential in vitro inhibitory potency against the ChT-L activity in the β5 subunit, but little or no effect on the PGPH and T-L activities.

Size 5 mg | 50 mg | 100 mg | 10 mM/1 mL



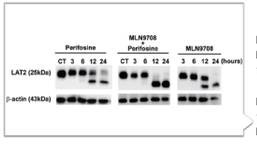
Product Citations (14):
Nat Med, 2015, 10.1038/nm.3855
Sci Transl Med, 2014, 6(250): 250ra112
...

Data from [**Sci Transl Med,** 2014, 6(250): 250ra112]
Carfilzomib purchased from **Selleck**

S2181 MLN9708

MLN9708 immediately hydrolyzed to MLN2238, the biologically active form, on exposure to aqueous solutions or plasma. MLN2238 inhibits the chymotrypsin-like proteolytic (β5) site of the 20S proteasome with IC_{50}/K_i of 3.4 nM/0.93 nM in cell-free assays, less potent to β1 and little activity to β2. Phase 3.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL



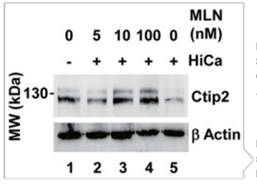
Product Citation (1):
Mol Cell Proteomics, 2012, 11(12): 1898-912

Data from [**Mol Cell Proteomics,** 2012, 11(12): 1898-912]
MLN9708 purchased from **Selleck**

S2180 Ixazomib (MLN2238)

Ixazomib (MLN2238) inhibits the chymotrypsin-like proteolytic (β5) site of the 20S proteasome with IC_{50} and K_i of 3.4 nM and 0.93 nM in cell-free assays, respectively, also inhibits the caspase-like (β1) and trypsin-like (β2) proteolytic sites, with IC_{50} of 31 and 3500 nM. Phase 3.

Size 5 mg | 10 mg | 10 mM/1 mL



Product Citations (5):
Sci Transl Med, 2014, 6(250): 250ra112
Cancer Lett, 2014, 343(2): 286-94
...

Data from [**J Cell Sci,** 2012, 125(Pt 23): 5733-44]
MLN2238 purchased from **Selleck**

S7172 ONX-0914 (PR-957)

ONX-0914 (PR-957) is a potent and selective immunoproteasome inhibitor with minimal cross-reactivity for the constitutive proteasome in a cell-free assay.

Size 5 mg | 25 mg

S7049 Oprozomib (ONX 0912)

Oprozomib (ONX 0912) is an orally bioavailable inhibitor for CT-L activity of 20S proteasome β5/LMP7 with IC_{50} of 36 nM/82 nM. Phase 1/2.

Size 5 mg | 50 mg | 10 mM/1 mL

S3017 Aspirin

Aspirin is a salicylate, and irreversible COX1 and COX2 inhibitor, used as an analgesic to relieve minor aches and pains, as an antipyretic to reduce fever, and as an anti-inflammatory medication.

Size 50 mg | 1 g | 5 g | 10 mM/1 mL

DUB Inhibitors

Inhibitory Selectivity

Inhibitor Name	DUB	USP/UBP	UCH	Other
PR-619		++ EC_{50}: 8.23 μM	+++ EC_{50}: 2.95 μM	JQSD2, SENP5 core, DEN1
P5091		++ IC_{50}: 4.3 μM		1
TCID			+++ IC_{50}: 0.6 μM	
LDN-57444			++++ IC_{50}: 0.88 μM	
IU1		+ IC_{50}: 4.7 μM		
P22077		+ EC_{50}: 8.6 μM		
VLX1570	+ IC_{50}: ~10 μM			
ML323	++++ IC_{50}: 76 nM			
b-AP15			+++ IC_{50}: 2.1 μM	
Degrasyn	√			Bcr-Abl

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}S) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S7130 PR-619

PR-619 is a non-selective, reversible inhibitor of the deubiquitinylating enzymes (DUBs) with EC_{50} of 1-20 μM in a cell-free assay.

Size 25 mg

S7132 P5091 (P005091)

P5091 (P005091) is a selective and potent inhibitor of ubiquitin-specific protease 7 (USP7) with EC_{50} of 4.2 μM and the closely related USP47.

Size 10 mg | 50 mg

S7134 IU1 *USP/UBP selective*

IU1 is a cell-permeable, reversible and selective proteasome inhibitor of human USP14 with IC_{50} of 4.7 μ M, 25-fold selective to IsoT.

Size 10 mg | 50 mg

S7529 ML323

ML323 displays reversible, nanomolar inhibitory activity and excellent selectivity toward USP1/UAF1 with IC_{50} of 76 nM.

Size 5 mg | 25 mg

S2243 Degrasyn (WP1130)

Degrasyn (WP1130) is a selective deubiquitinase (DUB: USP5, UCH-L1, USP9x, USP14, and UCH37) inhibitor and also suppresses Bcr/Abl, also a JAK2 transducer (without affecting 20S proteasome) and activator of transcription (STAT).

Page 51

S8288 VLX1570 *new*

VLX1570 is a competitive inhibitor of proteasome DUB activity, with an IC_{50} of ~10 μM in vitro.

Size 5 mg

p97 Inhibitor

S7285 NMS-873

NMS-873 is an allosteric and specific p97 inhibitor with IC_{50} of 30 nM that demonstrates potent selectivity for VCP/p97 compared to a panel of other AAA ATPases, Hsp90, and 53 additional analyzed kinases (IC_{50}S >10 μM).

Size 5 mg | 50 mg

E2 Conjugating Inhibitor

S2913 BAY 11-7082

BAY 11-7082 is a NF-κB inhibitor, inhibits TNFα-induced IκBα phosphorylation with IC_{50} of 10 μM in tumor cells. Also inhibiting components of the ubiquitin system.

Page 105

E1 Activating Inhibitor

S7129 PYR-41

PYR-41 is the first cell-permeable inhibitor of ubiquitin-activating enzyme E1, with no activity at E2.

Size 10 mg | 25 mg | 100 mg

E3 Ligase Inhibitors | Activator | Antagonists

E3 Ligase Inhibitors

S1193 Thalidomide

Thalidomide was introduced as a sedative drug, immunomodulatory agent and also is investigated for treating symptoms of many cancers. Thalidomide inhibits an E3 ubiquitin ligase, which is a CRBN-DDB1-Cul4A complex.

Page 59

S2781 RITA (NSC 652287)

RITA (NSC 652287) induces both DNA-protein and DNA-DNA cross-links with no detectable DNA single-strand breaks, and also inhibits MDM2-p53 interaction by targeting p53.

Page 58

S7892 Avadomide (CC-122) *new*

Avadomide(CC-122), a new chemical entity termed pleiotropic pathway modifier, is a novel agent for Diffuse large B-cell lymphoma(DLBCL) with antitumor and immunomodulatory activity. Its molecular target is the protein cereblon (CRBN), a substrate receptor of the cullin ring E3 ubiquitin ligase complex $CRL4^{CRBN}$.

Size 2 mg | 5 mg | 25 mg

E3 Ligase Activator

S2341 (-)-Parthenolide

(-)-Parthenolide, an inhibitor of the Nuclear Factor-κB Pathway, specifically depletes HDAC1 protein without affecting other class I/II HDACs; Also promotes the ubiquitination of MDM2 and activates p53 cellular functions.

Size 100 mg | 250 mg

E3 Ligase Antagonists

S1061 Nutlin-3

Nutlin-3 is a potent and selective Mdm2 (RING finger-dependent ubiquitin protein ligase for itself and p53) antagonist with IC_{50} of 90 nM in a cell-free assay; stabilizes p73 in p53-deficient cells.

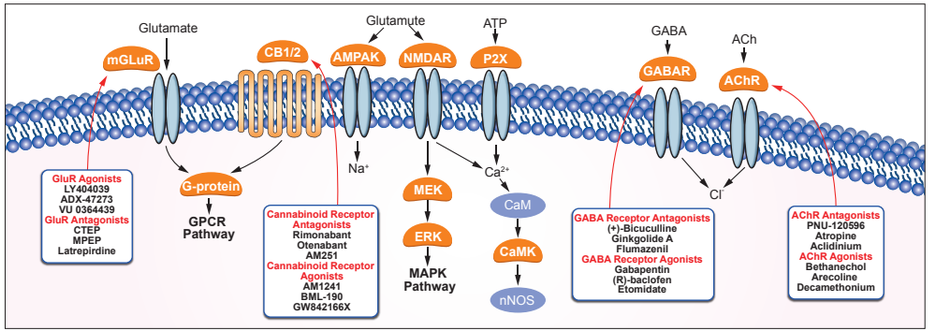
Page 59

S1172 JNJ-26854165 (Serdemetan)

JNJ-26854165 (Serdemetan) acts as a HDM2 ubiquitin ligase antagonist and also induces early apoptosis in p53 wild-type cells, inhibits cellular proliferation followed by delayed apoptosis in the absence of functional p53. Phase 1.

Page 58

Neuronal Signaling



Gamma-secretase Inhibitors

Detailed product information is on page 92-93

Beta Amyloid Inhibitors

Inhibitory Selectivity

Inhibitor Name	Beta Amyloid	Other
DAPT (GSI-IX)	++ IC_{50}: 20 nM	
RO4929097	+++ IC_{50}: 14 nM	γ secretase,γ secretase(ICN)
MK-0752	+++ IC_{50}: 5 nM	
Avagacestat	++++ IC_{50}: 0.3 nM	
LY2811376	+ EC_{50}: ~300 nM	BACE1
EUK 134	√	

Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2215 DAPT (GSI-IX)

DAPT (GSI-IX) is a novel γ-secretase inhibitor, which inhibits Aβ production with IC_{50} of 20 nM in HEK 293 cells.

Page 93

S1575 RO4929097

RO4929097 is a γ secretase inhibitor with IC_{50} of 4 nM in a cell-free assay, inhibiting cellular processing of Aβ40 and Notch with EC_{50} of 14 nM and 5 nM, respectively. Phase 2.

Page 93

S1262 Avagacestat (BMS-708163)

Avagacestat (BMS-708163) is a potent, selective, orally bioavailable γ-secretase inhibitor of Aβ40 and Aβ42 with IC_{50} of 0.3 nM and 0.27 nM, demonstrating a 193-fold selectivity against Notch. Phase 2.

Page 93

S1528 LY2811376

LY2811376 is the first orally available non-peptidic β-secretase (BACE1) inhibitor with IC_{50} of 239 nM-249 nM, that act to decrease Aβ secretion with EC_{50} of 300 nM, demonstrated to have 10-fold selectivity towards BACE1 over BACE2, and more than 50-fold inhibition over other aspartic proteases including cathepsin D, pepsin, or renin. Phase 1.

Page 103

5-HT Receptor Inhibitor | Antagonist | Agonist | Modulator

5-HT Receptor Inhibitor

S1333 Fluoxetine HCl

Fluoxetine HCl is a selective serotonin-reuptake inhibitor (SSRI) at the neuronal membrane, used in the treatment of depression.

Size 25 mg 100 mg

5-HT Receptor Antagonist

S2459 Clozapine *5-HT1 selective*

Clozapine is an atypical antipsychotic drug by acting as a 5-HT antagonist, used in the treatment of schizophrenia.

Size 50 mg 10 mM/1 mL

5-HT Receptor Agonist

S1436 Tianeptine sodium

Tianeptine sodium is a selective serotonin reuptake enhancer (SSRE), used for treating major depressive episodes.

Size 10 mg 50 mg 100 mg 10 mM/1 mL

Product Citation (1):
Transl Psychiatry, 2014, 4: e411

Data from [**Transl Psychiatry,** 2014, 4: e411]
Tianeptine sodium purchased from **Selleck**

5-HT Receptor Modulator

S1283 Asenapine maleate

Asenapine maleate is a high-affinity antagonist of serotonin, norepinephrine, dopamine and histamine receptors, used for the treatment of schizophrenia and acute mania associated with bipolar disorder.

Size 25 mg 100 mg

COX Inhibitors

Inhibitory Selectivity

Inhibitor Name	COX	COX-1	COX-2	Other
Celecoxib			++++ IC_{50}: 40 nM	
Ibuprofen		+ IC_{50}: 13 μM	+ IC_{50}: 370 μM	
Indomethacin		++ IC_{50}: 0.28 μM	+ IC_{50}: 14 μM	
Rofecoxib			++++ IC_{50}: 18 nM	
Diclofenac Sodium		+++ IC_{50}: 60 nM	+++ IC_{50}: 200 nM	
Lumiracoxib		++ K_i: 3.2 μM	+++ K_i: 60 nM	
Lornoxicam		++++ IC_{50}: 5 nM	++++ IC_{50}: 8 nM	
Naproxen Sodium		+ IC_{50}: 8.7 μM	+ IC_{50}: 5.2 μM	
Ketorolac		++ IC_{50}: 1.23 μM	++ IC_{50}: 3.50 μM	
Valdecoxib			++++ IC_{50}: 5 nM	
Tolfenamic Acid			+++ IC_{50}: 0.2 μM	
Amfenac Sodium Monohydrate		++ IC_{50}: 250 nM	+++ IC_{50}: 150 nM	
Nimesulide			+ IC_{50}: 26 μM	
Meclofenamate Sodium		++++ IC_{50}: 40 nM	+++ IC_{50}: 50 nM	
Carprofen			++++ IC_{50}: 30 nM	
Nepafenac		√		
Sulindac	√			
Meloxicam	√			
Aspirin		√		
Suprofen		√		
Piroxicam	√			
Ketoprofen		√		
Etodolac	√			
Ibuprofen Lysine	√			
Pranoprofen	√			
Asaraldehyde			√	
Zaltoprofen		√		
Acemetacin	√			
Bromfenac Sodium		√		
Nabumetone	√			
Niflumic acid			√	GABA receptor
Phenacetin	√			

Notes:

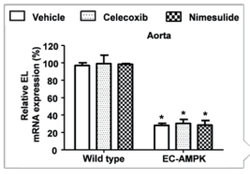
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

Neuronal Signaling

S1261 Celecoxib Licensed by Pfizer *COX-2 selective*

Celecoxib is a selective COX-2 inhibitor with IC_{50} of 40 nM in Sf9 cells.

Size 100 mg 1 g



Product Citations (6):
Blood, 2011, 118(22): 5891-900
Br J Pharmacol, 2014, 171(2): 498-508
...

Data from [**Br J Pharmacol,** 2014, 171(2): 498-508]
Celecoxib purchased from **Selleck**

S1638 Ibuprofen *COX-1 selective*

Ibuprofen (Dolgesic) is an anti-inflammatory inhibitor targeting COX-1 and COX-2 with IC_{50} of 13 μM and 370 μM, respectively.

Size 50 mg 10 mM/1 mL

S3043 Rofecoxib *COX-2 selective*

Rofecoxib is a COX-2 inhibitor with IC_{50} of 18 nM.

Size 50 mg 10 mM/1 mL

GluR Inhibitor | Agonist | Antagonist | Modulator

GluR Inhibitor

S2251 (-)-Huperzine A (HupA)

(-)-Huperzine A is a potent, highly specific and reversible inhibitor of acetylcholinesterase (AChE) with K_i of 7 nM, exhibiting 200-fold more selectivity for G4 AChE over G1 AChE. Also acts as an NMDA receptor antagonist. Phase 4.

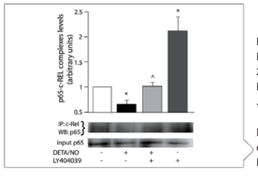
Size 2 mg 5 mg 10 mg

GluR Agonist

S6001 LY404039

LY404039 is a potent agonist of recombinant human mGlu2/mGlu3 receptors with K_i of 149 nM/92 nM, shows >100-fold selectivity over ionotropic glutamate receptors, glutamate transporters, and other receptors. Phase 3.

Size 5 mg 25 mg 50 mg



Product Citations (3):
Neuropharmacology, 2012, 62(7): 2184-91
PLoS One, 2011, 6(7): e22235
...

Data from [**PLoS One,** 2011, 6(7): e22235]
LY404039 purchased from **Selleck**

GluR Antagonist

S2876 (-)-MK 801 Maleate *NMDA receptor selective*

(-)-MK 801 Maleate is a potent, selective and non-competitive NMDA receptor antagonist with K_d of 37.2 nM in rat brain membranes.

Size 10 mg 50 mg 10 mM/1 mL

GluR Modulator

S2690 ADX-47273 *mGluR5 selective*

ADX-47273 is a potent and specific mGlu5 positive allosteric modulator (PAM) with EC_{50} of 0.17 μM, showing no activity at other mGlu subtypes.

Size 5 mg 10 mg 10 mM/1 mL

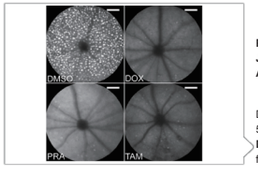
Adrenergic Receptor Inhibitor | Agonist | Antagonist

Adrenergic Receptor Inhibitor

S1324 Doxazosin Mesylate

Doxazosin Mesylate, a quinazoline-derivative, selectively antagonizes postsynaptic α1-adrenergic receptors, used in the treatment of high blood pressure and urinary retention associated with benign prostatic hyperplasia.

Size 50 mg 10 mM/1 mL



Product Citations (2):
J Clin Invest, 2013, 123(12): 5119-34
Antiviral Res, 2015, 120: 140-6

Data from [**J Clin Invest,** 2013, 123(12): 5119-34]
Doxazosin Mesylate (DOX) purchased from **Selleck**

Adrenergic Receptor Agonist

S2566 Isoprenaline HCl

Isoprenaline HCl is a non-selective beta-adrenergic receptor agonist, used for the treatment of bradycardia and heart block.

Size 50 mg 10 mM/1 mL

Adrenergic Receptor Antagonist

S2038 Phentolamine Mesylate

Phentolamine Mesylate is a nonselective alpha-adrenergic antagonist with IC_{50} of 0.1 μM.

Size 50 mg 100 mg 10 mM/1 mL

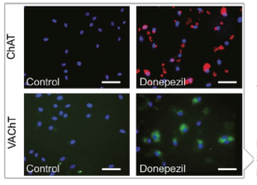
AChR Inhibitor | Agonist | Antagonist | Modulator

AChR Inhibitor

S2462 Donepezil HCl *AChE selective*

Donepezil HCl is a specific and potent AChE inhibitor for bAChE and hAChE with IC_{50} of 8.12 nM and 11.6 nM, respectively.

Size 10 mg 50 mg 200 mg



Product Citation (1):
J Am Heart Assoc, 2014, 3(3): e000804

Data from [**J Am Heart Assoc,** 2014, 3(3): e000804]
Donepezil HCl purchased from **Selleck**

AChR Agonist

S2455 Bethanechol chloride *mAChR selective*

Bethanechol chloride is a selective muscarinic receptor agonist without any effect on nicotinic receptors.

Size 50 mg 10 mM/1 mL

AChR Antagonist

S3005 Paroxetine HCl

Paroxetine HCl is an antidepressant drug of the SSRI type.

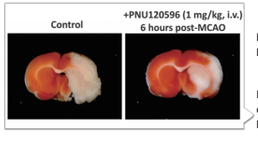
Size 10 mg 50 mg 10 mM/1 mL

AChR Modulator

S2629 PNU-120596 (Nsc 216666) *nAChR selective*

PNU-120596 is a positive allosteric modulator of α7 nAChR with EC_{50} of 216 nM.

Size 10 mg 50 mg 200 mg 10 mM/1 mL



Product Citation (1):
PLoS One, 2013, 8(8): e73581

Data from [**PLoS One,** 2013, 8(8): e73581]
PNU-120596 purchased from **Selleck**

Histamine Receptor Inhibitor | Agonist | Antagonist

Histamine Receptor Inhibitor

S3208 Fexofenadine HCl (MDL 16455A) *H1 receptor selective*

Fexofenadine HCl inhibits histamine H1 receptor with IC_{50} of 246 nM.

Size 10 mg 50 mg 10 mM/1 mL

Histamine Receptor Agonist

S1358 Loratadine

Loratadine is a histamine H1 receptor antagonist, used to treat allergies. Also acts as a selective inhibitor of B(0)AT2 with IC_{50} of 4 μM.

Size 10 mg 50 mg 200 mg 10 mM/1 mL

Histamine Receptor Antagonist

S1847 Clemastine Fumarate *H1 receptor selective*

Clemastine Fumarate (Clemastine) is a selective histamine H1 receptor antagonist with IC_{50} of 3 nM.

Size 50 mg 5 g 10 mM/1 mL

Dopamine Receptor Inhibitor | Agonist | Antagonists

Dopamine Receptor Inhibitor

S3163 Benztropine mesylate *DAT selective*

Benztropine mesylate is a dopamine transporter (DAT) inhibitor with IC_{50} of 118 nM.

Size 50 mg 10 mM/1 mL

Dopamine Receptor Agonist

S2451 Amantadine HCl (1-adamantanamine HCl)

Amantadine HCl is used to treat or prevent infections of the respiratory tract caused by a certain virus.

Size 25 mg 100 mg 10 mM/1 mL

Dopamine Receptor Antagonists

S1763 Quetiapine Fumarate

Quetiapine Fumarate is an atypical antipsychotic used in the treatment of schizophrenia, bipolar I mania, bipolar II depression, bipolar I depression and shows affinity for various neurotransmitter receptors including serotonin, dopamine, histamine, and adrenergic receptors.

Size 25 mg 50 mg 100 mg 10 mM/1 mL

S2456 Chlorpromazine HCl

Chlorpromazine HCl is a dopamine and potassium channel inhibitor with IC_{50} of 6.1 and 16 μM for inward-rectifying K^+ currents and time-independent outward currents.

Size 50 mg 5 g 10 mM/1 mL

Neuronal Signaling

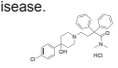
Opioid Receptor Agonist | Antagonist

Opioid Receptor Agonist

S2480 Loperamide HCl

Loperamide HCl is a selective μ-opioid receptor agonist opioid with K_i of 3.3 nM, 15-fold and 350-fold selective over the δ subtype and the μ versus the κ subtype of the opioid receptor, used against diarrhea resulting from gastroenteritis or inflammatory bowel disease.

Size 50 mg 10 mM/1 mL



Opioid Receptor Antagonist

S3066 Naloxone HCl

Naloxone HCl is an opioid inverse agonist drug used to counter the effects of opiate overdose.

Size 50 mg 10 mM/1 mL

GABA Receptor Inhibitor | Activator | Agonist | Antagonist

GABA Receptor Inhibitor

S1168 Valproic acid sodium salt (Sodium valproate)

Valproic acid sodium salt (Sodium valproate) is a HDAC inhibitor by selectively inducing proteasomal degradation of HDAC2, used in the treatment of epilepsy, bipolar disorder and prevention of migraine headaches.

Page 22

GABA Receptor Agonist

S2133 Gabapentin *Licensed by Pfizer*

Gabapentin is a GABA analogue, used to treat seizures and neuropathic pain.

Size 25 mg 100 mg

GABA Receptor Activator

S1969 Nefiracetam

Nefiracetam is a GABAergic, cholinergic, and monoaminergic neuronal systems enhancer for Ro 5-4864-induced convulsions. Phase 2.

Size 50 mg 250 mg 10 mM/1 mL

GABA Receptor Antagonist

S7071 (+)-Bicuculline *$GABA_A$ receptor selective*

(+)-Bicuculline is a competitive antagonist of GABAA receptors with IC_{50} of 2 μM, also blocks Ca(2+)-activated potassium channels.

Size 50 mg 250 mg 10 mM/1 mL

P-gp Inhibitors | Modulator

Inhibitory Selectivity

Inhibitor Name	P-gp	Other
Zosuquidar 3HCl	++ K_i: 60 nM	
Tariquidar	+++ K_d: 5.1 nM	
Elacridar (GF120918)	√	BCRP

Notes:

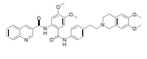
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

P-gp Inhibitors

S8028 Tariquidar

Tariquidar is a potent and selective noncompetitive inhibitor of P-glycoprotein with K_d of 5.1 nM in CHrB30 cell line, reverses drug resistance in MDR cell Lines. Phase 3.

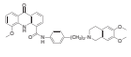
Size 10 mg 50 mg 10 mM/1 mL



S7772 Elacridar (GF120918)

Elacridar (GF120918) is a potent P-gp (MDR-1) and BCRP inhibitor.

Size 10 mg 50 mg 200 mg

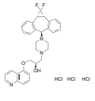


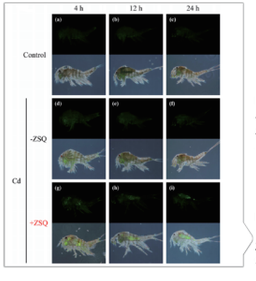
P-gp Modulator

S1481 Zosuquidar (LY335979) **3HCl**

Zosuquidar (LY335979) 3HCl is a potent modulator of P-glycoprotein-mediated multi-drug resistance with K_i of 60 nM in a cell-free assay. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL





Product Citations (7):
J Lipid Res, 2014, 56(1): 60-9
Aquatic Toxicology, 2014, 156C: 135-47
...

Data from [**Aquatic Toxicology,** 2014, 156C: 135-47]
Zosuquidar 3HCl (ZSQ) purchased from **Selleck**

P2 Receptor Inhibitor | Antagonist

P2 Receptor Inhibitor

S1415 Clopidogrel

Clopidogrel is an oral, thienopyridine class antiplatelet agent.

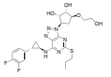
Size 50 mg 200 mg

P2 Receptor Antagonist

S4079 Ticagrelor *P2Y receptor selective*

Ticagrelor is the first reversibly binding oral P2Y12 receptor antagonist with K_i of 2 nM.

Size 50 mg 10 mM/1 mL

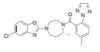


OX Receptor Antagonist

S7279 Suvorexant (MK-4305)

Suvorexant (MK-4305) is a potent dual OX receptor antagonist with K_i of 0.55 nM and 0.35 nM for OX1 receptor and OX2 receptor, respectively. Phase 3.

Size 5 mg 50 mg



MT Receptor Agonist

S1259 Ramelteon

Ramelteon is a novel melatonin receptor agonist for human MT1 and MT2 receptors and chick forebrain melatonin receptors with K_i of 14 pM, 112 pM and 23.1 pM, respectively.

Size 2 mg 10 mg 50 mg 10 mM/1 mL

Table 9
Targeted Biomarker Assay Results: Application Set

Compound	C_{max} (μM)	Teratogenicity potential (μM) o/c Ratio	Teratogenicity potential (μM) Cell viability	Rodent in vivo test results[a] Teratogenic[b]	Rodent in vivo test results[a] Embryotoxic[c]	C_{max} reference
6-Aminonicotinamide	NA	<0.04	24.5	+[d]	-[d]	NA
Abacavir	14.9	95.1	94.1	+	+	GlaxoSmithKline (2012)
Adefovir dipivoxil[e]	0.03	0.0015	0.02	-	-	Gilead Sciences (2012)
Amprenavir	15.1	236.9	259.5	+	+	GlaxoSmithKline (2005)
Artesunate	73.9	0.64	0.58	+[f]	+[f]	Miller et al. (2012)
Cidofovir[g]	41.2	0.3	1.9	-	-	Gilead Sciences (2000)
Entacapone	3.9	6.7	127	+	-	Novartis Pharmaceuticals (2010)
Fluoxetine	0.04	25.1	23	-	+	Warner Chilcott (2013)
Ramelteon[h]	0.02	34	>300	-	-	Karim et al. (2006)
Rosiglitazone	1.7	18.9	21.8	-	+	GlaxoSmithKline (2011)

Product Citation (1):
Birth Defects Res B Dev Reprod Toxicol, 2013, 98(4): 343-63

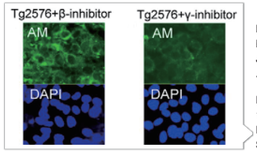
Data from [**Birth Defects Res B Dev Reprod Toxicol,** 2013, 98(4): 343-63]
Ramelteon purchased from **Selleck**

BACE Inhibitors

S1528 LY2811376

LY2811376 is the first orally available non-peptidic β-secretase (BACE1) inhibitor with IC_{50} of 239 nM-249 nM, that act to decrease Aβ secretion with EC_{50} of 300 nM, demonstrated to have 10-fold selectivity towards BACE1 over BACE2, and more than 50-fold inhibition over other aspartic proteases including cathepsin D, pepsin, or renin. Phase 1.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



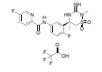
Product Citations (4):
Neurobiol Aging, 2014, 35(7): 1570-81
J Biol Chem, 2014, 289(30): 20871-8
...

Data from [**J Biol Chem,** 2014, 289(30): 1-8]
LY2811376 (β-inhibitor) purchased from **Selleck**

S8173 Verubecestat (MK-8931) Trifluoroacetat *new*

Verubecestat (MK-8931) is a potent and selective beta-secretase inhibitor and BACE1 protein inhibitor or Beta-site APP-cleaving enzyme 1 inhibitor.

Size 5 mg 25 mg 100 mg



CaMK Inhibitors

Inhibitory Selectivity

Inhibitor Name	CaMKII	CaMKIII	Other
NH125		+++ IC_{50}: 60 nM	
KN-62	+ K_i: 0.9 μM		CaMK Ⅰ ,P2RX7,CaMK Ⅳ
KN-93 Phosphate	++ K_i: 0.37 μM		

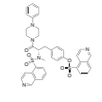
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S7422 KN-62

KN-62 is a potent and specific inhibitor of Ca^{2+}/calmodulin-dependent protein kinase II (CaMKII) with K_i of 0.9 μM; also a non-competitive antagonist of the purinergic receptor P2RX7 (IC_{50} = 15 nM). It is selective for CaMKII relative to PKA, PKC and MLCK, but inhibits CaMKI and CaMKIV equally well, The K_i value of KN-62 for CaMK V is 0.8 μM.

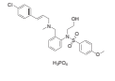
Size 5 mg 25 mg



S7423 KN-93 Phosphate

KN-93 Phosphate is a potent and specific inhibitor of Ca^{2+}/calmodulin-dependent protein kinase II (CaMKII) with K_i of 0.37 μM, no remarkable inhibitory effects on APK, PKC, MLCK or Ca^{2+}-PDE activities.

Size 10 mg 50 mg



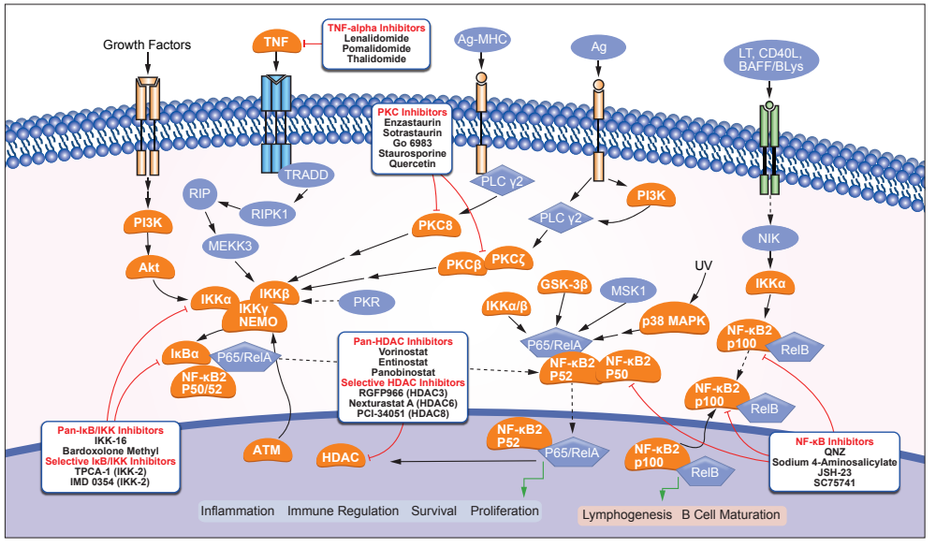
S8366 CRT0066101 *new*

CRT0066101 is a small molecule PKD family specific inhibitor which specifically blocks PKD1/2 activity and does not suppress PKCα/PKCβ/PKCε activity in multiple.

Page 60

Neuronal Signaling

NF-κB Pathway



HDAC Inhibitors

Detailed product information is on page 19-23

NF-κB Inhibitors

Inhibitory Selectivity

Inhibitor Name	NF-κB	Other
QNZ (EVP4593)	++++ IC_{50}: 11 nM	TNF-α
JSH-23	++ IC_{50}: 7.1 μM	
SC75741	+++ EC_{50}: 200 nM	
Sodium 4-Aminosalicylate	√	
Caffeic Acid Phenethyl Ester	√	
Sodium salicylate	√	
Andrographolide	√	

Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S4902 QNZ (EVP4593)

QNZ (EVP4593) shows potent inhibitory activity toward both NF-κB activation and TNF-α production with IC_{50} of 11 nM and 7 nM in Jurkat T cells, respectively.

Size 5 mg 25 mg

S7351 JSH-23

JSH-23 is an inhibitor of NF-κB transcriptional activity with IC_{50} of 7.1 μM in RAW 264.7 cell line.

Size 5 mg 25 mg

S7414 Caffeic Acid Phenethyl Ester

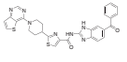
Caffeic acid phenethyl ester is a potent and specific inhibitor of NF-κB activation, and also displays antioxidant, immunomodulatory and antiinflammatory activities.

Size 50 mg 200 mg

S7273 SC75741

SC75741 is a potent NF-κB inhibitor with EC_{50} of 200 nM.

Size 10 mg 50 mg



S3604 Triptolide (PG490)

Triptolide is a diterpene triepoxide, immunosuppresive agent extracted from the Chinese herb Tripterygium wilfordii.

Size 1 mg 5 mg

IκB/IKK Inhibitors

Inhibitory Selectivity

Inhibitor Name	IκB	IKK	Other
BAY 11-7082	++ IC_{50}: 10 μM		E2-conjugating enzymes
IKK-16		+++ IC_{50}: 40 nM	
TPCA-1		++++ IC_{50}: 17.9 nM	
BMS-345541		++ IC_{50}: 0.3 μM	
SC-514		++ IC_{50}: 3~12 μM	CDK2/CyclinA,AUR2,PRAK
Bay 11-7085	++ IC_{50}: 10 μM		
Rosmarinic acid		+ IC_{50}: 12 μM	
MRT67307 HCl		+++ IC_{50}: 160~190 nM	
PS-1145		+++ IC_{50}: 88 nM	
LY2409881		++++ IC_{50}: 30 nM	
IMD 0354		√	
Bardoxolone Methyl		√	NF-κB,Nrf2
Mesalamine		√	
AZD3264		√	
WS6		√	EBP1
WS3		√	EBP1

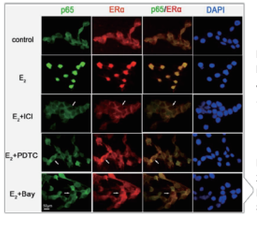
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2913 BAY 11-7082 *IκB selective*

BAY 11-7082 is a NF-κB inhibitor, inhibits TNFα-induced IκBα phosphorylation with IC_{50} of 10 μM in tumor cells. Also inhibiting components of the ubiquitin system.

Size 10 mg 50 mg 10 mM/1 mL



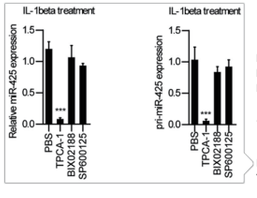
Product Citations (3):
Int J Cancer, 2014, 135(2): 282-94
J Biol Chem, 2014, 289(30): 21028-39
...

Data from [**Int J Cancer,** 2014, 135(2): 282-94]
BAY 11-7082 (Bay) purchased from **Selleck**

S2824 TPCA-1 *IKK selective*

TPCA-1 is an inhibitor of IKK-2 with IC_{50} of 17.9 nM in a cell-free assay, inhibits NF-κB pathway, exhibits 22-fold selectivity over IKK-1.

Size 10 mg 10 mM/1 mL



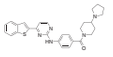
Product Citations (3):
Mol Cancer, 2014, 13: 40
Exp Mol Med, 2015, 10.1038/emm.2015.37
...

Data from [**Mol Cancer,** 2014, 13: 40]
TPCA-1 purchased from **Selleck**

S2882 IKK-16 (IKK Inhibitor VII) *IKK selective*

IKK-16 (IKK Inhibitor VII) is a selective IκB kinase (IKK) inhibitor for IKK-2, IKK complex and IKK-1 with IC_{50} of 40 nM, 70 nM and 200 nM, respectively.

Size 10 mg 50 mg 10 mM/1 mL



S2864 IMD 0354 *IKK selective*

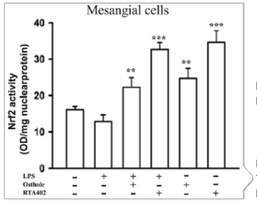
IMD 0354 is an IKKβ inhibitor and blocks IκBα phosphorylation in NF-κB pathway.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S8078 Bardoxolone Methyl *IKK selective*

Bardoxolone Methyl is an IKK inhibitor, showing potent proapoptotic and anti-inflammatory activities; Also a potent Nrf2 activator and nuclear factor-κB (NF-κB) inhibitor.

Size 25 mg 200 mg



Product Citation (1):
Free Radic Biol Med, 2014, 73: 260-9

Data from [**Free Radic Biol Med,** 2014, 73: 260-9]
RTA 402 purchased from **Selleck**

S7352 Bay 11-7085 *IκB selective*

BAY 11-7085 is an irreversible inhibitor of TNFα-induced IκBα phosphorylation with IC_{50} of 10 μM.

Size 10 mg 25 mg

S8044 BMS-345541 *IKK selective*

BMS-345541 is a highly selective inhibitor of the catalytic subunits of IKK-2 and IKK-1 with IC_{50} of 0.3 μM and 4 μM in cell-free assays, respectively.

Size 5 mg 25 mg

S1274 BX-795 *IKK selective*

BX-795 is a potent and specific PDK1 inhibitor with IC_{50} of 6 nM, 140- and 1600-fold more selective for PDK1 than PKA and PKC in cell-free assays, respectively. Meanwhile, in comparison to GSK3β more than 100-fold selectivity observed for PDK1.

Page 16

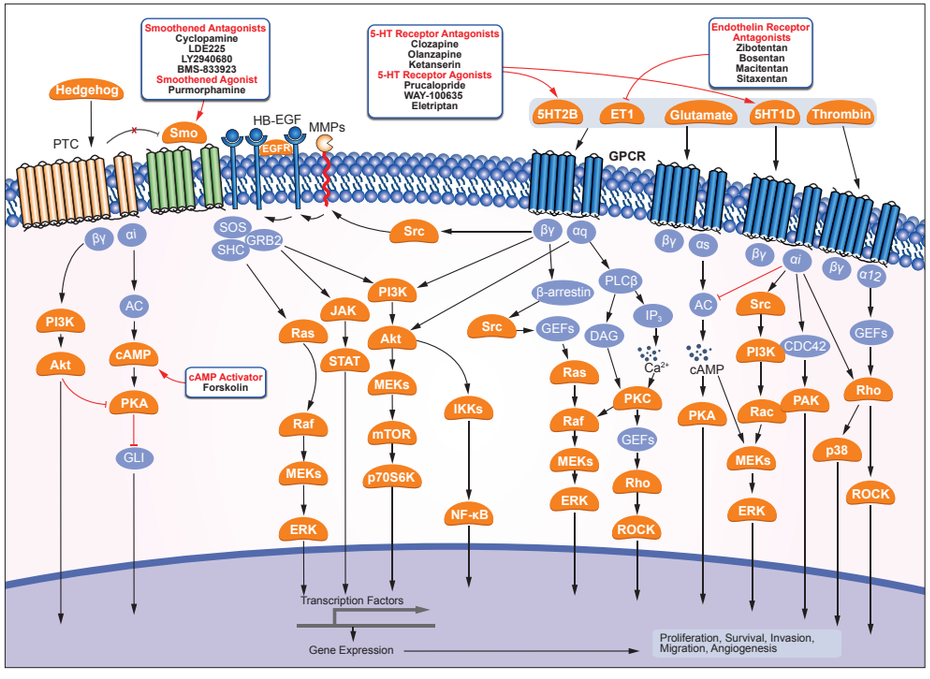
NOD1 Inhibitor

S2863 ML130 (Nodinitib-1)

ML130 (Nodinitib-1) is a potent and selective inhibitor of NOD1 with IC_{50} of 0.56 μM, inhibits NF-κB activation, exhibits 36-fold selectivity over NOD2.

Size 5 mg 25 mg 50 mg 10 mM/1 mL

GPCR and G Protein



5-HT Receptor Inhibitor | Antagonist | Agonist | Modulator

Detailed product information is on page 98-99

Dopamine Receptor Inhibitor | Agonist | Antagonists

Detailed product information is on page 101

Adrenergic Receptor Inhibitor | Agonist | Antagonist

Detailed product information is on page 100

Opioid Receptor Agonist | Antagonist

Detailed product information is on page 102

Histamine Receptor Inhibitor | Agonist | Antagonist

Detailed product information is on page 101

Hedgehog/Smoothened Inhibitors | Agonists | Antagonists

Detailed product information is on page 93-94

OX Receptor Antagonist

Detailed product information is on page 103

MT Receptor Agonist

Detailed product information is on page 103

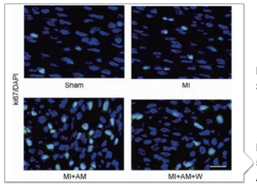
Cannabinoid Receptor Agonist | Antagonist

Cannabinoid Receptor Agonist

S1544 AM1241 *CB2 selective*

AM1241 is a selective cannabinoid CB2 receptor agonist with K_i of 3.4 nM, exhibits 82-fold selectivity over CB1 receptor.

Size 2 mg 10 mg 25 mg 10 mM/1 mL



Product Citation (1):
Sci China Life Sci, 2014, 57(2): 201-8

Data from [**Sci China Life Sci,** 2014, 57(2): 201-8]
AM1241 (AM) purchased from **Selleck**

Cannabinoid Receptor Antagonist

S3021 Rimonabant *CB1 selective*

Rimonabant is a selective antagonist of CB1 with IC_{50} of 13.6 nM and EC_{50} of 17.3 nM in hCB1 transfected HEK 293 membrane.

Size 10 mg 50 mg 100 mg 10 mM/1 mL

Endothelin Receptor Antagonist

S4220 Bosentan

Bosentan is an endothelin (ET) receptor antagonist for ET-A and ET-B with K_i of 4.7 nM and 95 nM, respectively.

Size 50 mg

S1P Receptor Inhibitor | Antagonist | Modulator

S1P Receptor Inhibitor

S7177 PF-543

PF-543, a novel sphingosine-competitive inhibitor of SphK1, inhibits SphK1 with IC_{50} and K_i of 2.0 nM and 3.6 nM, exhibits >100-fold selectivity over the SphK2 isoform.

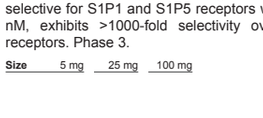
Size 10 mM/1 mL

S1P Receptor Antagonist

S5002 Fingolimod (FTY720) HCl

Fingolimod (FTY720) HCl is a S1P antagonist with IC_{50} of 0.033 nM in K562, and NK cells.

Size 100 mg 200 mg 10 mM/1 mL



Product Citations (23):
Blood, 2012, 119(9): 2176-7
Ann Neurol, 2014, 76(3): 325-37
...

Data from [**Ann Neurol,** 2014, 76(3): 325-37]
Fingolimod HCl purchased from **Selleck**

S1P Receptor Modulator

S7179 BAF312 (Siponimod)

BAF312 (Siponimod) is a next-generation S1P receptor modulator, selective for S1P1 and S1P5 receptors with EC_{50} of 0.39 nM and 0.98 nM, exhibits >1000-fold selectivity over S1P2, S1P3 and S1P4 receptors. Phase 3.

Size 5 mg 25 mg 100 mg

SGLT Inhibitors

S1548 Dapagliflozin *SGLT2 selective*

Dapagliflozin is a potent and selective hSGLT2 inhibitor with EC_{50} of 1.1 nM, exhibiting 1200-fold selectivity over hSGLT1. Phase 4.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S2760 Canagliflozin *SGLT2 selective*

Canagliflozin is a highly potent and selective SGLT2 inhibitor for hSGLT2 with IC_{50} of 2.2 nM in a cell-free assay, exhibits 413-fold selectivity over hSGLT1.

Size 5 mg 10 mg 10 mM/1 mL

S8022 Empagliflozin (BI 10773) *SGLT2 selective*

Empagliflozin (BI-10773) is a potent and selective SGLT-2 inhibitor with IC_{50} of 3.1 nM, exhibiting >300-fold selectivity over SGLT-1, 4, 5 and 6. Phase 3.

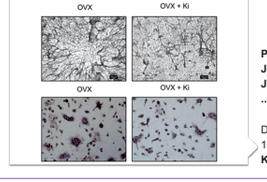
Size 5 mg 25 mg 10 mM/1 mL

LPA Receptor Antagonist

S1315 Ki16425

Ki16425 is a competitive, potent and reversible antagonist to LPA1, LPA2 and LPA3 with K_i of 0.34 μM, 6.5 μM and 0.93 μM, in RH7777 cell lines, respectively, shows no activity at LPA4, LPA5, LPA6.

Size 2 mg 5 mg 10 mg



Product Citations (5):
J Neurochem, 2015, 10.1111/jnc.13112
J Cell Mol Med, 2014, 18(1): 156-69
...

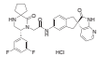
Data from [**J Bone Miner Metab,** 2014, 10.1007/s00774-014-0607-5]
Ki16425 purchased from **Selleck**

CGRP Receptor Antagonist

S1542 MK-3207 HCl

MK-3207 HCl is a potent CGRP receptor antagonist with IC_{50} and K_i of 0.12 nM and 0.022 nM, highly selective versus human AM1, AM2, CTR, and AMY3. Phase 2.

Size 5 mg 10 mg



PAFR Antagonist

S1343 Ginkgolide B

Ginkgolide B is a PAFR antagonist with IC_{50} of 3.6 μM.

Size 25 mg 50 mg 500 mg

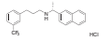
CaSR Activator | Antagonist

CaSR Activator

S1260 Cinacalcet HCl

Cinacalcet HCl represents a new class of compounds for the treatment of hyperparathyroidism.

Size 10 mg 100 mg 10 mM/1 mL

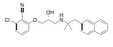


CaSR Antagonist

S2633 NPS-2143

NPS-2143 is a novel potent and selective antagonist of Ca(2+) receptor with IC_{50} of 43 nM.

Size 10 mg 50 mg

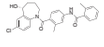


Vasopressin Receptor Antagonist

S2593 Tolvaptan

Tolvaptan is an orally effective nonpeptide arginine vasopressin V2 receptor antagonist with IC_{50} of 3 nM, used to treat hyponatremia.

Size 10 mg 50 mg 10 mM/1 mL

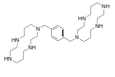


CXCR Antagonists

S8030 Plerixafor (AMD3100)

Plerixafor (AMD3100) is a chemokine receptor antagonist for CXCR4 and CXCL12-mediated chemotaxis with IC_{50} of 44 nM and 5.7 nM in cell-free assays, respectively.

Size 5 mg 10 mg 50 mg



S7651 SB225002

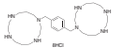
SB225002 is a potent, and selective CXCR2 antagonist with IC_{50} of 22 nM for inhibiting interleukin IL-8 binding to CXCR2, > 150-fold selectivity over the other 7-TMRs tested.

Size 10 mg 50 mg 200 mg

S3013 Plerixafor 8HCl (AMD3100 8HCl)

Plerixafor 8HCl (AMD3100 8HCl) is the hydrochloride of Plerixafor, a chemokine receptor antagonist for CXCR4 and CXCL12-mediated chemotaxis with IC_{50} of 44 nM and 5.7 nM in cell-free assays, respectively.

Size 5 mg 10 mg 50 mg



cAMP Inhibitor | Activator

cAMP Inhibitor

S2454 Bupivacaine HCl

Bupivacaine HCl binds to the intracellular portion of voltage-gated sodium channels and blocks sodium influx into nerve cells, used for treating cardiac arrhythmias.

Size 50 mg 10 mM/1 mL

cAMP Activator

S2449 Forskolin

Forskolin is a ubiquitous activator of eukaryotic adenylyl cyclase (AC) in a wide variety of cell types, commonly used to raise levels of cAMP in the study and research of cell physiology.

Size 10 mg 50 mg 100 mg 10 mM/1 mL

Adenosine Receptor Inhibitor Agonist | Antagonist

Adenosine Receptor Inhibitor

S8314 5-Iodotubercidin *new*

5-Iodotubercidin is a potent adenosine kinase inhibitor with IC_{50} of 26 nM. It inhibits nucleoside transporter, CK1, insulin receptor tyrosine kinase, phosphorylase kinase, PKA, CK2 and PKC.

Size 5 mg 25 mg

Adenosine Receptor Agonist

S2153 CGS 21680 HCl

CGS 21680 HCl is an adenosine A2 receptor agonist with IC_{50} of 22 nM, exhibits 140-fold over A1 receptor.

Size 5 mg 25 mg 50 mg 10 mM/1 mL

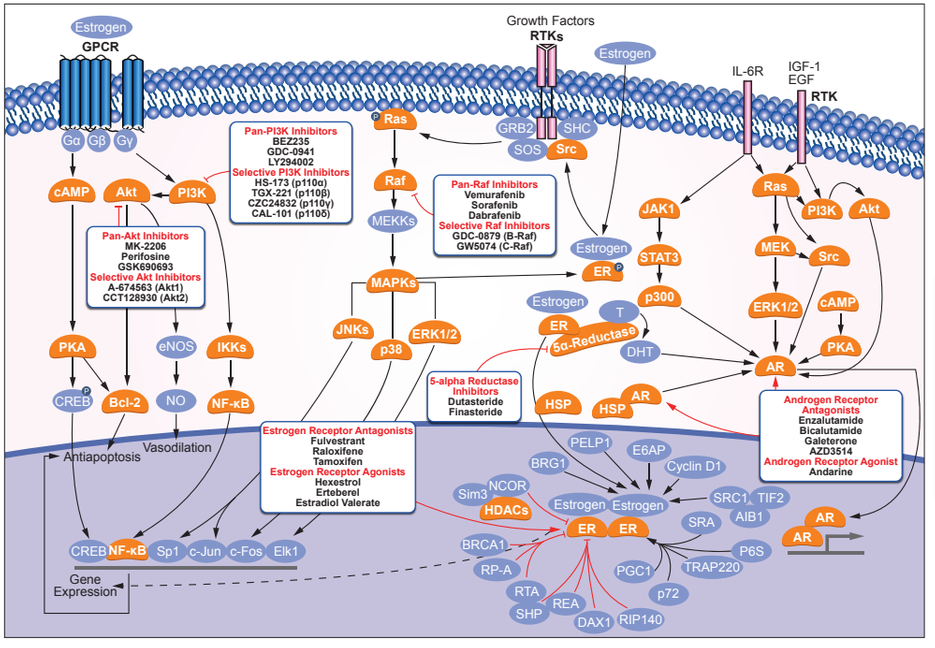
Adenosine Receptor Antagonist

S2790 Istradefylline

Istradefylline is a selective adenosine A2A receptor (A2AR) antagonist with K_i of 2.2 nM. Phase 3.

Size 5 mg 25 mg 10 mM/1 mL

Endocrinology and Hormones



Opioid Receptor Agonist | Antagonist

Detailed product information is on page 102

5-alpha Reductase Inhibitor | Antagonist

5-alpha Reductase Inhibitor

S1197 Finasteride

Finasteride is a potent, reversible inhibitor of the rat type 1 5 alpha-reductase with K_i of 10.2 nM, used in the treatment of benign prostatic hyperplasia (BPH) and male pattern baldness (MPB).

Size 100 mg 200 mg

5-alpha Reductase Antagonist

S1972 Tamoxifen Citrate

Tamoxifen Citrate is an antagonist of the estrogen receptor by competitive inhibition of estrogen binding.

Page 110

Estrogen/progestogen Receptor Inhibitor | Agonists | Antagonists | Chemical | Modulators

Estrogen/progestogen Receptor Inhibitor

S4285 Ospemifene

Ospemifene is a non-hormonal selective estrogen receptor modulator (SERM), used for the treatment of dyspareunia.

Size 25 mg 100 mg

Estrogen/progestogen Receptor Agonists

S2567 Medroxyprogesterone acetate

Medroxyprogesterone acetate is a progestin, a synthetic variant of the human hormone progesterone and a potent progesterone receptor agonist.

Size 50 mg 10 mM/1 mL

S2314 Kaempferol

Kaempferol, a natural flavonol, functions as an ERRα and ERRγ inverse agonist. It inhibits topoisomerase I catalyzed DNA religation and may also inhibit the activity of fatty acid synthase.

Size 50 mg 200 mg

S1972 Tamoxifen Citrate

Tamoxifen Citrate is an antagonist of the estrogen receptor by competitive inhibition of estrogen binding.

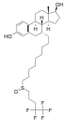
Size 250 mg 10 mM/1 mL

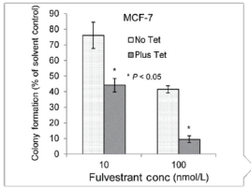
Estrogen/progestogen Receptor Antagonists

S1191 Fulvestrant

Fulvestrant is an estrogen receptor (ER) antagonist with IC_{50} of 0.94 nM in a cell-free assay.

Size 25 mg 100 mg 10 mM/1 mL





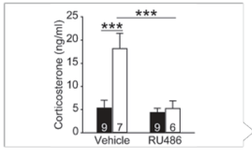
Product Citations (6):
Oncotarget, 2015, 6(4): 2315-30
Mol Cancer Ther, 2014, 13(1): 230-8
...

Data from [**Mol Cancer Ther,** 2014, 13(1): 230-8]
Fulvestrant purchased from **Selleck**

S2606 Mifepristone

Mifepristone is a remarkably active antagonist of progesterone receptor and glucocorticoid receptor with IC_{50} of 0.2 nM and 2.6 nM, respectively.

Size 50 mg 200 mg 10 mM/1 mL



Product Citations (2):
Hippocampus, 2014, 24(5): 528-40
PLoS One, 2014, 9(8): e105528

Data from [**Hippocampus,** 2014, 24(5): 528-40]
RU486 purchased from **Selleck**

Estrogen/progestogen Receptor Chemical

S1251 Dienogest

Dienogest is an orally active synthetic progesterone, used for contraception and the treatment of endometriosis.

Size 10 mg 100 mg 1 g 10 mM/1 mL

Estrogen/progestogen Receptor Modulators

S1776 Toremifene Citrate

Toremifene Citrate is an oral selective estrogen receptor modulator (SERM), used in the treatment of advanced breast cancer.

Size 25 mg 100 mg 10 mM/1 mL

S7827 4-Hydroxytamoxifen new

4-Hydroxytamoxifen is the active metabolite of tamoxifen and a selective estrogen receptor (ER) modulator that is widely used in the therapeutic and chemopreventive treatment of breast cancer.

Size 10 mg 50 mg

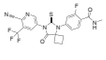
Androgen Receptor Inhibitor | Agonist | Antagonists | Modulator

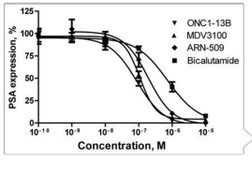
Androgen Receptor Inhibitor

S2840 ARN-509

ARN-509 is a selective and competitive androgen receptor inhibitor with IC_{50} of 16 nM in a cell-free assay, useful for prostate cancer treatment. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL





Product Citation (1):
J Cancer, 2014, 5(2): 133-42

Data from [**J Cancer,** 2014, 5(2): 133-42]
ARN-509 purchased from **Selleck**

Androgen Receptor Agonist

S2604 Dehydroepiandrosterone (DHEA)

Dehydroepiandrosterone is an important endogenous steroid hormone, which is an androgen receptor antagonist and an estrogen receptor agonist.

Size 10 mg

Androgen Receptor Antagonists

S2803 Galeterone

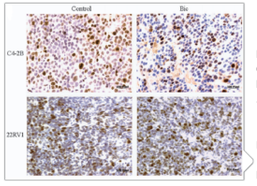
Galeterone is a selective CYP17 inhibitor and androgen receptor (AR) antagonist with IC_{50} of 300 nM and 384 nM, respectively, and is a potent inhibitor of human prostate tumor growth. Phase 2.

Size 5 mg 25 mg 50 mg 10 mM/1 mL

S1190 Bicalutamide

Bicalutamide is an androgen receptor (AR) antagonist with IC_{50} of 0.16 μM.

Size 50 mg 100 mg 200 mg 10 mM/1 mL



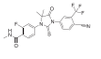
Product Citations (4):
Oncogene, 2014, 10.1038/onc. 2014.302
Int J Cancer, 2012, 131(6): E872-83
...

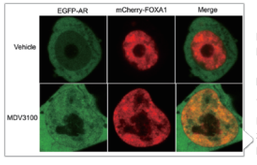
Data from [**Oncogene,** 2014, 10.1038/onc.2014.302]
Bicalutamide purchased from **Selleck**

S1250 Enzalutamide (MDV3100)

Enzalutamide (MDV3100) is an androgen-receptor (AR) antagonist with IC_{50} of 36 nM in LNCaP cells.

Size 5 mg 10 mg 50 mg 10 mM/1 mL





Product Citations (17):
Nucleic Acids Res, 2015, 10.1093/nar/gkv262
Int J Cancer, 2012, 131(6): E872-83
...

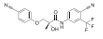
Data from [**Mol Cell Endocrinol,** 2013, 365(1): 95-107]
MDV3100 purchased from **Selleck**

Androgen Receptor Modulator

S1174 MK-2866 (GTx-024)

MK-2866 (GTx-024) is a selective androgen receptor modulator (SARM) with K_i of 3.8 nM, and is tissue-selective for anabolic organs. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



RAAS Inhibitor | Antagonists

Inhibitory Selectivity

Inhibitor Name	AT1 receptor	AT2 receptor	ACE	Renin	RAAS
Aliskiren Hemifumarate				+++ IC_{50}: 1.5 nM	
Candesartan	++++ IC_{50}: 0.26 nM				
Losartan Potassium	+ IC_{50}: 20 nM				
Enalaprilat Dihydrate			+++ IC_{50}: 1.94 nM		
Irbesartan	+++ IC_{50}: 1.3 nM				
PD123319		+ IC_{50}: 34 nM			
Perindopril Erbumine			+++ IC_{50}: 1.05 nM		
Candesartan Cilexetil					++++ IC_{50}: 0.26 nM
Ramipril			++ IC_{50}: 5 nM		
Captopril			+ IC_{50}: 6 nM		
Azilsartan Medoxomil	++ IC_{50}: 2.6 nM				
Imidapril HCl			++ IC_{50}: 2.6 nM		
Eprosartan Mesylate	++++ K_d: 0.83 nM				
Azilsartan	++ IC_{50}: 2.6 nM				
Telmisartan		√			
Valsartan		√			
Benazepril HCl			√		
Enalapril Maleate			√		
Olmesartan Medoxomil	√				
Cilazapril Monohydrate			√		
Lisinopril			√		
Moexipril HCl			√		

Inhibitory Selectivity

Inhibitor Name	AT1 receptor	AT2 receptor	ACE	Renin	RAAS
Temocapril			√		
Temocapril HCl			√		
Quinapril HCl			√		
LCZ696					√
Fosinopril Sodium			√		

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

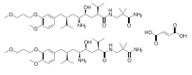
RAAS Inhibitor

S2199 Aliskiren Hemifumarate

Renin selective

Aliskiren Hemifumarate is a direct renin inhibitor with IC_{50} of 1.5 nM.

Size 20 mg 50 mg 10 mM/1 mL



RAAS Antagonists

S1359 Losartan Potassium (DuP 753)

AT1 receptor selective

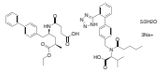
Losartan Potassium is an angiotensin II receptor antagonist, competes with the binding of angiotensin II to AT1 receptors with IC_{50} of 20 nM.

Size 50 mg 10 mM/1 mL

S7678 LCZ696

LCZ696, consisting of valsartan and sacubitril in 1:1 molar ratio, is an orally bioavailable, dual-acting angiotensin receptor-neprilysin inhibitor (ARNi) for hypertension and heart failure. Phase 3.

Size 5 mg 25 mg 100 mg



Aromatase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Aromatase
Letrozole	++++ IC_{50}: 0.07-20 nM
Anastrozole	+++ IC_{50}: 15 nM
Exemestane	+++ IC_{50}: 30 nM
Formestane	++ IC_{50}: 80 nM
Aminoglutethimide	+ IC_{50}: 10 μM

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1188 Anastrozole

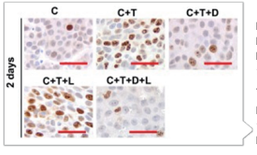
Anastrozole is a third-generation nonsteroidal selective aromatase inhibitor. It may offer greater selectivity compared with other aromatase inhibitors, being without any intrinsic endocrine effects and with no apparent effect on the synthesis of adrenal steroids.

Size 10 mg 100 mg 1 g 10 mM/1 mL

S1235 Letrozole

Letrozole is a third generation inhibitor of aromatase with IC_{50} of 0.07 -20 nM in cell-free assays.It has no effect on the plasma levels of 17 α-OH progesterone, thyroid-stimulating hormone (TSH), luteinizing hormone (LH), follicle-stimulating hormone (FSH), or androstenedione and does not affect normal urine electrolyte excretion or thyroid function in clinical studies.

Size 25 mg 50 mg 200 mg 10 mM/1 mL



Product Citations (6):
Endocrinology, 2013, 154(7): 2296-307
Mol Cell Endocrinol, 2015, 10.1016/j.mce.2015.05.032
...

Data from [**Endocrinology,** 2013, 154(7): 2296-307]
Letrozole purchased from **Selleck**

S1196 Exemestane

Licensed by Pfizer

Exemestane is an aromatase inhibitor, inhibiting human placental and rat ovarian aromatase with IC_{50} of 30 nM and 40 nM, respectively.

Size 10 mg 50 mg 100 mg 10 mM/1 mL

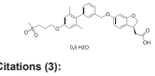
GPR Agonist | Antagonist

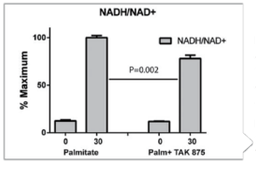
GPR Agonist

S2637 TAK-875

TAK-875 is a selective GPR40 agonist with EC_{50} of 14 nM in human GPR40 expressing CHO cell line, 400-fold more potent than oleic acid.

Size 5 mg 10 mg 50 mg 10 mM/1 mL





Product Citations (3):
J Biol Chem, 2015, 10.1074/jbc.M115.644450
J Biol Chem, 2014, 289(19): 13575-88
...

Data from [**J Biol Chem,** 2014, 289(19): 13575-88]
TAK-875 purchased from **Selleck**

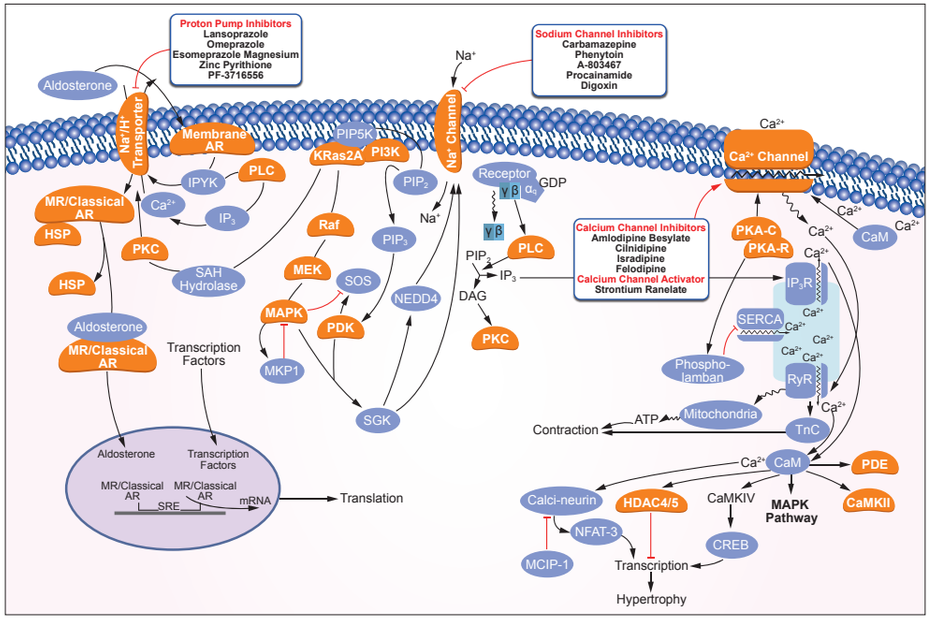
GPR Antagonist

S7263 AZD1981

AZD1981 is a potent, selective CRTh2 (DP2) receptor antagonist with IC_{50} of 4 nM, showing >1000-fold selectivity over more than 340 other enzymes and receptors, including DP1. Phase 2.

Size 5 mg 25 mg

Transmembrane Transporters



GABA Receptor Inhibitor | Activator | Agonist | Antagonist

Detailed product information is on page 102

P-gp Inhibitors | Modulator

Detailed product information is on page 102

Calcium Channel Inhibitor | Activator | Antagonist

Calcium Channel Inhibitor

S2403 Tetrandrine

Tetrandrine, a bis-benzylisoquinoline alkaloid derived from Stephania tetrandra, is a calcium channel blocker.

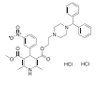
Size 100 mg 10 mM/1 mL

Calcium Channel Antagonist

S2482 Manidipine 2HCl

Manidipine 2HCl is a HCl salt form of Manidipine, which is a calcium channel blocker with IC_{50} of 2.6 nM, used clinically as an antihypertensive. Phase 4.

Size 25 mg 50 mg 200 mg 10 mM/1 mL



Calcium Channel Activator

S2050 Strontium Ranelate

Strontium Ranelate is a strontium(II) salt of ranelic acid for (-)-desmethoxyverapamil binding to calcium channel with IC_{50} of 0.5 mM.

Size 50 mg 200 mg

Sodium Channel Inhibitor | Antagonist

Inhibitory Selectivity

Inhibitor Name	Sodium Channel	Other
Oxcarbazepine	+ IC_{50}: 160 μM	
Riluzole	√	NMDA receptor,Glutamate release
Amiloride HCl	√	T-type calcium channel,uPA
Rufinamide	√	
Zonisamide	√	
Phenytoin Sodium	√	
Amiloride HCl dihydrate	√	
Dronedarone HCl	√	Potassium channel,Calcium channel
Phenytoin	√	
Lamotrigine	√	5-HT (human platelets), 5-HT (rat brain synaptosomes)
Primidone	√	
Procainamide HCl	√	DNA methyltransferase
Digoxin	√	
Mexiletine HCl	√	
Benzocaine	√	
Tolperisone HCl	√	
Levobupivacaine HCl	√	
Dibucaine HCl	√	
Ibutilide Fumarate	√	
Vinpocetine	√	
Propafenone HCl	√	

Notes:

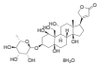
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

Sodium Channel Inhibitor

S4016 Ouabain

Ouabain is a selective Na^+/K^+, -ATPase inhibitor, binds to α2 /α3 subunit with K_i of 41 nM/15 nM.

Size 50 mg 10 mM/1 mL



Sodium Channel Antagonist

S1828 Proparacaine HCl

Proparacaine HCl is a voltage-gated sodium channels antagonist with ED_{50} of 3.4 mM.

Size 50 mg 10 mM/1 mL

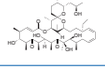
ATPase Inhibitors | Activator

ATPase Inhibitors

S1478 Oligomycin A

Oligomycin A is an inhibitor of ATP synthase, inhibits oxidative phosphorylation and all the ATP-dependent processes occurring on the coupling membrane of mitochondria.

Size 5 mg 10 mg 25 mg 10 mM/1 mL



S7046 Brefeldin A

Brefeldin A is a lactone antibiotic and ATPase inhibitor for protein transport with IC_{50} of 0.2 μM in HCT 116 cells, induces cancer cell differentiation and apoptosis.

Size 5 mg 25 mg 100 mg 10 mM/1 mL

S7099 (-)-Blebbistatin

(-)-Blebbistatin is a cell-permeable inhibitor for non muscle myosin II ATPase with IC_{50} of ~2 μM, does not inhibit myosin light chain kinase, inhibits contraction of the cleavage furrow without disrupting mitosis or contractile ring assembly.

Size 10 mg 25 mg 10 mM/1 mL

S8101 CB-5083

CB-5083 is a potent, selective, and orally bioavailable p97 AAA ATPase inhibitor with IC_{50} of 11 nM. Phase 1.

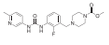
Size 5 mg 25 mg

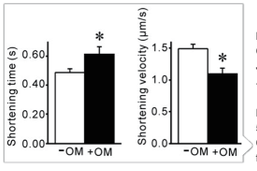
ATPase Activator

S2623 Omecamtiv mecarbil (CK-1827452)

Omecamtiv mecarbil (CK-1827452) is a specific cardiac myosin activator and a clinical drug for left ventricular systolic heart failure. Phase 2.

Size 5 mg 10 mg 50 mg





Product Citations (5):
Circ Heart Fail, 2015, 8(4): 766-75
J Mol Cell Cardiol, 2015, 85: 262-272
...

Data from [**J Gen Physiol,** 2014, 143(4): 513-24]
Omecamtiv mecarbil (OM) purchased from **Selleck**

Potassium Channel Inhibitor | Activator | Antagonist

Potassium Channel Inhibitor

S2456 Chlorpromazine HCl

Chlorpromazine HCl is a dopamine and potassium channel inhibitor with IC_{50} of 6.1 and 16 μM for nward-rectifying K^+ currents and time-independent outward currents.

Page 101

Potassium Channel Activator

S1971 Nicorandil

Nicorandil is a potassium channel activator, and stimulates guanylate cyclase to increase formation of cyclic GMP (cGMP).

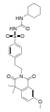
Size 50 mg 10 mM/1 mL

Potassium Channel Antagonist

S3151 Gliquidone

Gliquidone is an ATP-sensitive K^+ channel antagonist with IC_{50} of 27.2 nM.

Size 50 mg 10 mM/1 mL



Proton Pump Inhibitor

S1413 Bafilomycin A1 (Baf-A1)

Bafilomycin A1 is a vacuolar H^+-ATPase inhibitor with IC_{50} of 0.44 nM.

Size 1 mg

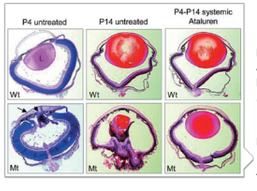
CFTR Inhibitors | Activator | Modulators

CFTR Inhibitors

S6003 Ataluren (PTC124)

Ataluren (PTC124) selectively induces ribosomal read-through of premature but not normal termination codons, with EC_{50} of 0.1 μM, may provide treatment for genetic disorders caused by nonsense mutations (e.g. CF caused by CFTR nonsense mutation). Phase 3.

Size 10 mg 50 mg 100 mg 10 mM/1 mL



Product Citations (12):
J Clin Invest, 2013, 124(1): 111-6
Hum Mol Genet, 2015, 24(4): 972-86
...

Data from [**J Clin Invest,** 2013, 124(1): 111-6]
Ataluren purchased from **Selleck**

S7139 CFTRinh-172

CFTRinh-172 is a voltage-independent, selective CFTR inhibitor with K_i of 300 nM, showing no effects on MDR1, ATP-sensitive K^+ channels, or a series of other transporters.

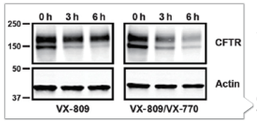
Size 10 mg 50 mg

CFTR Activator

S1144 Ivacaftor (VX-770)

Ivacaftor (VX-770) is a selective potentiator of CFTR targeting G551D-CFTR and F508del-CFTR with EC_{50} of 100 nM and 25 nM in fisher rat thyroid cells, respectively.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (9):
Sci Transl Med, 2014, 6(246): 246ra96
Nat Protoc, 2015, 10(3): 363-81
...

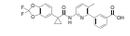
Data from [**Sci Transl Med,** 2014, 6(246): 246ra96]
VX-770 purchased from **Selleck**

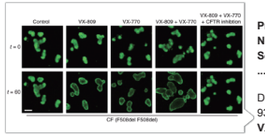
CFTR Modulators

S1565 VX-809 (Lumacaftor)

VX-809 (Lumacaftor) acts to correct CFTR mutations common in cystic fibrosis by increasing mutant CFTR (F508del-CFTR) maturation, EC_{50} of 0.1 μM in fisher rat thyroid cells. Phase 3.

Size 5 mg 10 mg 50 mg 10 mM/1 mL





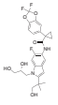
Product Citations (14):
Nat Med, 2013, 19(7): 939-45
Sci Transl Med, 2014, 6(246): 246ra96
...

Data from [**Nat Med,** 2013, 19(7): 939-45]
VX-809 purchased from **Selleck**

S7059 VX-661

VX-661 is a second F508del CFTR corrector and is believed to help CFTR protein reach the cell surface. Phase 2.

Size 5 mg 50 mg 10 mM/1 mL



CRM1 Inhibitors

S7252 Selinexor (KPT-330)

Selinexor (KPT-330) is an orally bioavailable selective CRM1 inhibitor. Phase 2.

Size 5 mg 50 mg

S7125 KPT-185

KPT-185 is a selective CRM1 inhibitor that induces growth inhibition and apoptosis in a panel of NHL cell lines with a median IC_{50} ~25 nM.

Size 10 mg 50 mg

S7551 Piperlongumine

Piperlongumine, a natural alkaloid from Piper longum L., increases the level of reactive oxygen species (ROS) and selectively kills cancer cells. It is a direct TrxR1 inhibitor with suppressive activity against gastric cancer and a novel inhibitor of CRM1; also an inhibitor of PI3K/Akt/mTOR in human breast cancer cells.

Size 10 mg 50 mg 200 mg

S8397 Eltanexor (KPT-8602) new

Eltanexor(KPT-8602) is a second-generation, orally bioavailable XPO1 inhibitor with IC_{50} values of 20−211 nM in 10 AML lines after 3 days exposure.

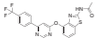
Size 2 mg 5 mg 25 mg

TRPV Antagonist

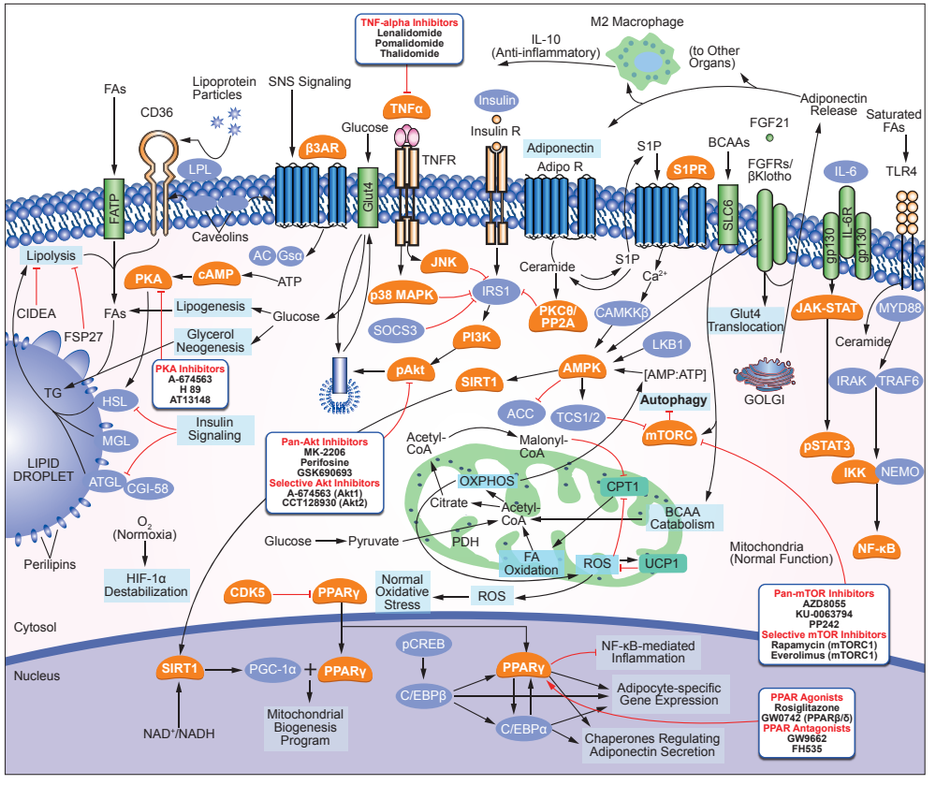
S7115 AMG-517

AMG-517 is a potent and selective TRPV1 antagonist, and antagonizes capsaicin, proton, and heat activation of TRPV1 with IC_{50} of 0.76 nM, 0.62 nM and 1.3 nM, respectively.

Size 5 mg 25 mg 10 mM/1 mL



Metabolism



HSP (e.g. HSP90) Inhibitors | Modulator

Detailed product information is on page 74-75

PPAR Inhibitor | Activator | Agonists | Antagonist

PPAR Inhibitor

S2871 T0070907

T0070907 is a potent and selective PPARγ inhibitor with IC_{50} of 1 nM in a cell-free assay, with a >800-fold selectivity over PPARα and PPARδ.

Size	5 mg	25 mg	50 mg	10 mM/1 mL

PPAR Activator

S8029 WY-14643 (Pirinixic Acid)

WY-14643 (Pirinixic Acid) is a potent peroxisome proliferator and activator of PPARα with EC_{50} of 1.5 μM.

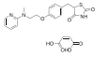
Size	50 mg	250 mg	10 mM/1 mL

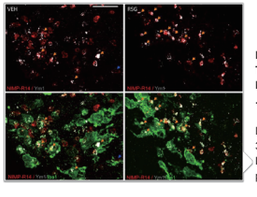
PPAR Agonists

S2505 Rosiglitazone maleate

Rosiglitazone, a member of the thiazolidinedione class of antihyperglycaemic agents, is a high-affinity selective agonist of the peroxisome proliferator-activated receptor-γ with IC_{50} of 42 nM.

Size	25 mg	200 mg	1 g	10 mM/1 mL





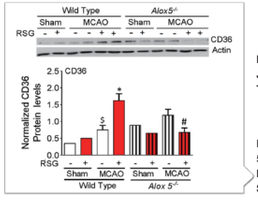
Product Citations (4):
Toxicol Appl Pharmacol, 2015, 285(1)
Mol Metab, 2013, 2(3): 215-26
...

Data from [**Stroke,** 2013, 44(12): 3498-508]
Rosiglitazone maleate (RSG) purchased from **Selleck**

S2556 Rosiglitazone

Rosiglitazone is a potent antihyperglycemic agent and a potent thiazolidinedione insulin sensitizer with IC_{50} of 12, 4 and 9 nM for rat, 3T3-L1 and human adipocytes, respectively. Rosiglitazone is a pure ligand of PPAR-gamma, and has no PPAR-alpha-binding action.

Size	25 mg	50 mg	200 mg	10 mM/1 mL



Product Citations (2):
J Leukoc Biol, 2014, 95(4): 587-98
Toxicol Appl Pharmacol, 2015, 285(1)

Data from [**J Leukoc Biol,** 2014, 95(4): 587-98]
Rosiglitazone (RSG) purchased from **Selleck**

PPAR Antagonist

S2915 GW9662 (TIMTEC-BB, SBB006523)

GW9662 is a selective PPAR antagonist for PPARγ with IC_{50} of 3.3 nM, with at least 100 to 1000-fold functional selectivity in cells with PPARγ versus PPARα and PPARδ.

Size	10 mg	25 mg	50 mg	10 mM/1 mL

P450 (e.g. CYP17) Inhibitors

S1123 Abiraterone (CB-7598) *CYP17 selective*

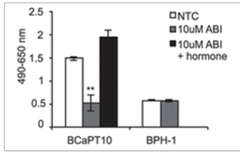
Abiraterone is a potent CYP17 inhibitor with IC_{50} of 2 nM in a cell-free assay.

Size	5 mg	25 mg

S2246 Abiraterone Acetate (CB7630) *CYP17 selective*

Abiraterone Acetate is an acetate salt form of Abiraterone which is a steroidal cytochrome CYP17 inhibitor with IC_{50} of 72 nM in a cell-free assay.

Size	5 mg	25 mg	100 mg



Product Citations (7):
J Biol Chem, 290(6): 3248-68
Endocrinology, 2014, 155(2): 358-69
...

Data from [**Endocrinology,** 2014, 155(2): 358-69]
Abiraterone Acetate (ABI) purchased from **Selleck**

S2187 Avasimibe (CI-1011)

Avasimibe inhibits ACAT with IC_{50} of 3.3 μM, also inhibits human P450 isoenzymes CYP2C9, CYP1A2 and CYP2C19 with IC_{50} of 2.9 μM, 13.9 μM and 26.5 μM, respectively.

Size	10 mg	50 mg	200 mg	10 mM/1 mL

S2262 Apigenin *CYP2 selective*

Apigenin is a potent P450 inhibitor for CYP2C9 with K_i of 2 μM.

Size	50 mg	100 mg	200 mg	10 mM/1 mL

S2803 Galeterone *CYP17 selective*

Galeterone is a selective CYP17 inhibitor and androgen receptor (AR) antagonist with IC_{50} of 300 nM and 384 nM, respectively, and is a potent inhibitor of human prostate tumor growth. Phase 2.

Page 110

S1712 Deferasirox

Deferasirox is an iron chelator, also a cytochrome P450 3A4 inducer, Cytochrome P450 2C8 inhibitor, and Cytochrome P450 1A2 inhibitor.

Size	2 mg	10 mg	25 mg	10 mM/1 mL

PDE Inhibitors

Inhibitory Selectivity

Inhibitor Name	PDE	PDE1	PDE2	PDE3	PDE4	PDE5	PDE6	PDE10A	Other
Roflumilast					++++ IC50: 0.7 nM				
Sildenafil Citrate						+++ IC50: 3.5 nM	+++ IC50: 33 nM		
Cilomilast					+++ IC50: 100 nM				
Tadalafil						+++ IC50: 1.8 nM			
Vardenafil HCl Trihydrate		+++ IC50: 180 nM				++++ IC50: 0.7 nM			
Pimobendan				++ IC50: 0.32 μM					
GSK256066					++++ IC50: 3.2 pM				
PF-2545920								++++ IC50: 0.37 nM	
Rolipram					++ IC50: 2.0 μM				
Cilostazol				++ IC50: 0.2 μM					
Milrinone			++ IC50: 5.2 μM	++ IC50: 2.1 μM					ATPase

Inhibitory Selectivity

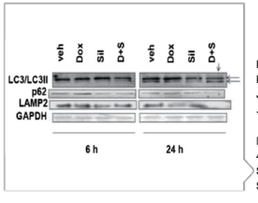
Inhibitor Name	PDE	PDE1	PDE2	PDE3	PDE4	PDE5	PDE6	PDE10A	Other
Avanafil						++++ IC_{50}: 1 nM			
S- (+)-Rolipram					++ IC_{50}: 0.75 μM				
Aminophylline	+ IC_{50}: 0.12 mM								adenosine receptor
TAK-063								++++ IC_{50}: 0.3 nM	
Deltarasin	+++ K_d: 38 nM								
Luteolin		+ K_i: 15.0 μM	+ K_i: 6.4 μM	+ K_i: 13.9 μM	+ K_i: 11.1 μM	+ K_i: 9.5 μM			
Icariin						++ IC_{50}: 0.432 μM			
Anagrelide HCl	√								
Irsogladine	√								mAChR,AChR
Doxofylline	√								
Dipyridamole	√								
Dyphylline	√								

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1431 Sildenafil Citrate

Sildenafil Citrate, a selective inhibitor of cyclic guanosine monophosphate (cGMP)-specific phosphodiesterase type 5 (PDE5), is a well-tolerated and highly effective treatment for erectile dysfunction.

Size 25 mg 50 mg 500 mg 10 mM/1 mL



Product Citations (5):
Mol Pharmacol, 2014, 85(3): 408-19
J Cell Physiol, 2015, 10.1002/jcp.24961
...

Data from [**Mol Pharmacol,** 2014, 85(3): 408-19]
Sildenafil Citrate (Sil) purchased from **Selleck**

S1512 Tadalafil (IC351) *PDE5 selective*

Tadalafil is a PDE-5 inhibitor with IC_{50} of 1.8 nM in a cell-free assay. Tadalafil is at least 9000 times more selective for PDE5 than most of the other families of PDEs, with the exception of PDE11. It can partial inhibits PDE11.

Size 50 mg 100 mg 500 mg 10 mM/1 mL

S1430 Rolipram *PDE4 selective*

The PDE4 selective inhibitor, rolipram, inhibited immunopurified PDE4B and PDE4D activities similarly, with IC_{50} values of approx. 130 nM and 240 nM respectively; an anti-inflammatory agent.

Size 10 mg 25 mg 50 mg 10 mM/1 mL

S2320 Luteolin

Luteolin is a flavonoid found in *Terminalia chebula*, which is a non-selective phisphodiesterase PDE inhibitor for PDE1-5 with K_i of 15.0 μM, 6.4 μM, 13.9 μM, 11.1 μM and 9.5 μM, respectively. Phase 2.

Size 10 mg 50 mg 200 mg 10 mM/1 mL

Hydroxylase Inhibitor | Activator

Hydroxylase Inhibitor

S7483 DMOG (Dimethyloxalylglycine)

DMOG is an antagonist of α-ketoglutarate cofactor and inhibitor for HIF prolyl hydroxylase.

Size 10 mM/1 mL

Hydroxylase Activator

S1379 Isotretinoin (13-cis retinoic acid)

Isotretinoin was developed to be used as a chemotherapy medication for the treatment of brain cancer, pancreatic cancer and more.

Size 50 mg 10 mM/1 mL

Factor Xa Inhibitors

Inhibitory Selectivity

Inhibitor Name	Factor Xa	Other
Rivaroxaban	++ IC_{50}: 0.7 nM	Prothrombinase
Apixaban	++++ K_i: 0.08 nM	
Edoxaban	+++ K_i: 0.561 nM	

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S3002 Rivaroxaban (BAY 59-7939)

Rivaroxaban is a direct inhibitor of Factor Xa with K_i and IC_{50} of 0.4 nM and 0.7 nM in cell-free assays, respectively. It is selective for human factor Xa, for which it has >10 000-fold greater selectivity than for other biologically relevant serine proteases (IC_{50} >20 μM).

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S1593 Apixaban

Apixaban is a highly selective, reversible inhibitor of Factor Xa with K_i of 0.08 nM and 0.17 nM in human and rabbit, respectively.

Size 10 mg 50 mg 10 mM/1 mL

DHFR Inhibitors

Inhibitory Selectivity

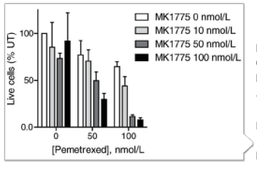
Inhibitor Name	DHFR	Other
Pemetrexed	++++ K_i: 7.2 nM	TS,GARFT
Methotrexate	+ IC_{50}: 24 nM	
Pyrimethamine	++ IC_{50}: 15.4 nM	
Pemetrexed Disodium Hydrate	++++ K_i: 7.2 nM	TS,GARFT

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1135 Pemetrexed (LY-231514)

Pemetrexed is a novel antifolate and antimetabolite for TS, DHFR and GARFT with K_i of 1.3 nM, 7.2 nM and 65 nM, respectively.

Size 10 mg 50 mg 200 mg



Product Citations (4):
Cancer Res, 2014, 74(21): 5948-54
Mol Cancer Res, 2013, 12(12): 2675-84
...

Data from [**Mol Cancer Res,** 2013, 12(12): 2675-84]
Pemetrexed purchased from **Selleck**

S1210 Methotrexate

Methotrexate (MTX), analog of folic acid, is a nonspecific inhibitor of the dihydrofolate reductase(DHFR) of bacteria and cancerous cells as well as normal cells. It forms an inactive ternary complex with DHFR and NADPH.

Size 100 mg 500 mg 10 g 10 mM/1 mL

Aminopeptidase Inhibitor

S1591 Bestatin

Bestatin is a potent aminopeptidase-B and leukotriene (LT) A4 hydrolase inhibitor, used in the treatment of acute myelocytic leukemia.

Size 10 mg 25 mg 50 mg 100 mg

Dehydrogenase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Dehydrogenase
Mycophenolate Mofetil	+++ IC_{50}: 39 nM
AGI-5198	++ IC_{50}: 0.16 μM
MK-8245	++++ IC_{50}: 1 nM
Enasidenib	++++ IC_{50}: 12 nM
NCT-501	++ IC_{50}: 40 nM
SW033291	++++ K_i: 0.1 nM
Vidofludimus	+ IC_{50}: 134 nM
AGI-6780	+++ IC_{50}: 23 nM
CPI-613	√
Leflunomide	√
Disulfiram	√
Trilostane	√
Teriflunomide	√
PluriSIn #1 (NSC 14613)	√
Ammonium Glycyrrhizinate	√
Gimeracil	√
Ivosidenib (AG-120)	√
Isovaleramide	√
Gossypol Acetate	√
Enoxolone	√
Emodin	√

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2776 CPI-613

CPI-613, a lipoate analog, inhibits mitochondrial enzymes pyruvate dehydrogenase (PDH) and α-ketoglutarate dehydrogenase in NCI-H460 cell line, disrupts tumor cell mitochondrial metabolism. Phase 2.

Size 5 mg 50 mg 10 mM/1 mL

S7185 AGI-5198 (IDH-C35)

AGI-5198 is the first highly potent and selective inhibitor of IDH1 R132H/R132C mutants with IC_{50} of 0.07 μM/0.16 μM.

Size 5 mg 25 mg

S2303 Gossypol Acetate

Gossypol Acetate is a polyphenolic aldehyde that permeates cells and acts as an inhibitor for several dehydrogenase enzymes such as lactate dehydrogenase, NAD-linked enzymes.

Size 100 mg 250 mg

S8206 Ivosidenib (AG-120) *new*

Ivosidenib (AG-120) is an orally available inhibitor of isocitrate dehydrogenase type 1 (IDH1), with potential antineoplastic activity.

Size 5 mg 25 mg

Metabolism

S8205 Enasidenib (AG-221) *new*

Enasidenib (AG-221) is a first-in-class, oral, potent, reversible, selective inhibitor of the IDH2 mutant enzyme with IC_{50} of 12 nM.

Size 5 mg 25 mg 100 mg

Procollagen C Proteinase Inhibitor

S2224 UK 383367 *Licensed and Manufactured by Pfizer*

UK 383367 is a procollagen C-proteinase inhibitor with IC_{50} of 44 nM, has excellent selectivity over MMPs.

Size 10 mg 25 mg

Carbonic Anhydrase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Carbonic Anhydrase	Carbonic Anhydrase I	Carbonic Anhydrase II	Carbonic Anhydrase IV	Carbonic Anhydrase IX	Carbonic Anhydrase XII	Carbonic Anhydrase XII
Dorzolamide HCl		+ K_i: 6000 nM	++++ K_i: 1.9 nM	+++ K_i: 31 nM			
U-104					++ K_i: 45.1 nM	++++ K_i: 4.5 nM	
Tioxolone		++ K_i: 91 nM					
Brinzolamide			++++ IC_{50}: 3.19 nM				
Methazolamide		++ K_i: 50 nM	+++ K_i: 14 nM	++ K_i: 36 nM			
Topiramate	√						sodium channel, AMPA/kainate receptor, Calcium Channel
Dichlorphenamide	√						

Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1438 Topiramate

Topiramate is a mutil-targeted inhibitor, including voltage-gated sodium channel and calcium channel, AMPA/kainate receptor and carbonic anhydrase, used to treat epilepsy.

Size 100 mg 10 mM/1 mL

S2866 U-104 (MST-104) *Carbonic Anhydrase XII selective*

U-104 is a potent carbonic anhydrase (CA) inhibitor for CA IX and CA XII with K_i of 45.1 nM and 4.5 nM, respectively, very low inhibition for CA I and CA II.

Size 5 mg 25 mg 50 mg 10 mM/1 mL

MAO Inhibitor

Inhibitory Selectivity

Inhibitor Name	MAO-A	MAO-B	MAO
Safinamide Mesylate		++++ IC_{50}: 98 nM	
Rasagiline Mesylate	+++ IC_{50}: 412 nM	++++ IC_{50}: 4.43 nM	
Moclobemide	+++ IC_{50}: 6.1 μM		
Sennoside A			++ IC_{50}: 17 μM
Paeonol	+ IC_{50}: 54.6 μM	++ IC_{50}: 42.5 μM	
Tranylcypromine HCl			√

Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S4246 Tranylcypromine (2-PCPA) HCl

Tranylcypromine (2-PCPA) HCl is a monoamine oxidase inhibitor, which inhibits CYP2A6 with K_i of 0.08 μM and 0.2 μM in cDNA-expressing microsomes and Human Liver Microsomes, respectively.

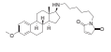
Size 50 mg

Phospholipase (e.g. PLA) Inhibitor

S8011 U73122

U73122 is a potent phospholipase C (PLC) inhibitor, which reduces agonist-induced Ca^{2+} increases in platelets and PMN.

Size 5 mg 25 mg 100 mg



FAAH Inhibitor

S2631 URB597 (KDS-4103)

URB597 is a potent, orally bioavailable FAAH inhibitor with IC_{50} of 4.6 nM, with no activity on other cannabinoid-related targets. Phase 1.

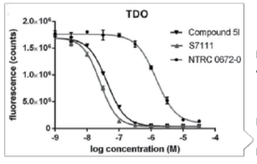
Size 5 mg 25 mg 100 mg 10 mM/1 mL

IDO Inhibitors

S7111 NLG919

NLG919 is a potent IDO (indoleamine-(2,3)-dioxygenase) pathway inhibitor with K_i/EC_{50} of 7 nM/75 nM in cell-free assays. Phase 1.

Size 10 mg 50 mg



Product Citation (1):
J Biomol Screen, 2014, 19(9): 1266-74

Data from [**J Biomol Screen,** 2014, 19(9): 1266-74]
NLG919 purchased from **Selleck**

S7587 INCB024360 analogue

INCB024360 analogue is a potent, competitive IDO1 (indoleamine-(2,3)-dioxygenase) inhibitor with IC_{50} of 67 nM. Phase 2.

Size 5 mg 25 mg 100 mg

S7756 Indoximod (NLG-8189)

Indoximod (NLG-8189), a methylated tryptophan, acts as an IDO (indoleamine-(2,3)-dioxygenase) pathway inhibitor, and reverses IDO-mediated immune suppression. Phase 2.

Size 50 mg 200 mg

S7910 Epacadostat (INCB024360)

Epacadostat (INCB024360) is a potent and selective indoleamine 2,3-dioxygenase (IDO1) inhibitor with IC_{50} of 10 nM and displays high selectivity over other related enzymes such as IDO2 or tryptophan 2,3-dioxygenase (TDO).

Size 5 mg 25 mg

Transferase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Transferase
Tipifarnib	+++ IC_{50}: 0.6 nM
Lonafarnib	+++ IC_{50}: 1.9~5.2 nM
Daporinad (FK866, APO866)	++++ K_i: 0.4 nM
A922500	++ IC_{50}: 7~24 nM
Lomeguatrib	++ IC_{50}: 5 nM
FTI 277 HCl	++++ IC_{50}: 500 pM
LB42708	+++ IC_{50}: 0.8~2 nM
PF-04620110	++ IC_{50}: 19 nM
Tolcapone	+ K_i: 30 nM

Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S2797 Lonafarnib (SCH66336)

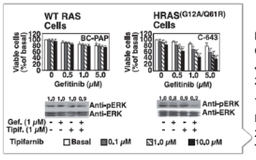
Lonafarnib is an orally bioavailable FPTase inhibitor for H-ras, K-ras-4B and N-ras with IC_{50} of 1.9 nM, 5.2 nM and 2.8 nM in cell-free assays, respectively. Phase 3.

Size 5 mg 10 mg 10 mM/1 mL

S1453 Tipifarnib (R115777)

Tipifarnib (R115777) is a potent and specific farnesyltransferase (FTase) inhibitor with IC_{50} of 0.6 nM, its anti-proliferative effects are most prominent in H-ras or N-ras mutant cells. Phase 3.

Size 10 mg 50 mg 10 mM/1 mL

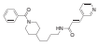


Product Citations (12):
Clin Cancer Res, 2012, 18(13): 3524-31
J Clin Endocrinol Metab, 2013, 98(6): 2502-12
...
Data from [**J Clin Endocrinol Metab,** 2013, 98(6): 2502-12]
Tipifarnib purchased from **Selleck**

S2799 Daporinad (FK866, APO866)

Daporinad (FK866, APO866) effectively inhibits nicotinamide phosphoribosyltransferase (NMPRTase) with IC_{50} of 0.09 nM in a cell-free assay. Phase 1/2.

Size 5 mg 10 mg 50 mg



S2821 RG108

RG108 is an inhibitor of DNA methyltransferase with IC_{50} of 115 nM, does not cause trapping of covalent enzymes.

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HMG-CoA Reductase Inhibitors

Inhibitory Selectivity

Inhibitor Name	HMG-CoA Reductase
Simvastatin	++++ K_i: 0.1-0.2 nM
Rosuvastatin Calcium	++ IC_{50}: 11 nM
Lovastatin	+++ IC_{50}: 3.4 nM
Fluvastatin Sodium	+++ IC_{50}: 8 nM
Pravastatin sodium	++ IC_{50}: 5.6 μM
Clinofibrate	+ IC_{50}: 0.47 mM
Atorvastatin Calcium	√
Mevastatin	√

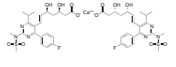
Notes:

1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2169 Rosuvastatin Calcium (ZD4522)

Rosuvastatin Calcium is a competitive inhibitor of HMG-CoA reductase with IC_{50} of 11 nM in a cell-free assay.

Size 50 mg 100 mg 1 g 10 mM/1 mL



S2061 Lovastatin (MK-803)

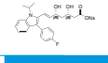
Lovastatin is an inhibitor of HMG-CoA reductase with IC_{50} of 3.4 nM, used for lowering cholesterol (hypolipidemic agent).

Size 50 mg 200 mg 10 mM/1 mL

S1909 Fluvastatin Sodium (XU-62-320)

Fluvastatin Sodium inhibits HMG-CoA reductase activity with IC_{50} of 8 nM in a cell-free assay.

Size 50 mg 5 g 10 mM/1 mL

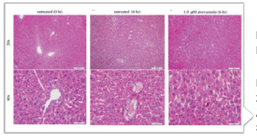


S2077 Atorvastatin Calcium

Licensed by Pfizer

Atorvastatin Calcium is an inhibitor of HMG-CoA reductase used as a cholesterol-lowering medication that blocks the production of cholesterol.

Size 50 mg 500 mg 10 mM/1 mL



Product Citation (1):
BMC Pharmacol Toxicol, 2013, 14: 15

Data from [**BMC Pharmacol Toxicol,** 2013, 14: 15] **Atorvastatin Calcium** purchased from **Selleck**

S1759 Pitavastatin Calcium

Pitavastatin calcium, a novel member of the medication class of statins, is a calcium salt formulation of pitavastatin which is a highly effective HMG-CoA reductase inhibitor.

Size 10 mg 50 mg 200 mg

CETP Inhibitor

Inhibitory Selectivity

Inhibitor Name	CETP
Anacetrapib (MK-0859)	+++ IC_{50}: 7.9-11.8 nM

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S2748 Anacetrapib (MK-0859)

Anacetrapib (MK0859) is a potent, selective, reversible rhCETP and mutant CETP(C13S) inhibitor with IC_{50} of 7.9 nM and 11.8 nM, increases HDL-C and decreases LDL-C, does not increase aldosterone or blood pressure. Phase 3.

Size 5 mg 10 mg 10 mM/1 mL

Ferroptosis Inhibitors | Activators

Ferroptosis Inhibitors

S7243 Ferrostatin-1 (Fer-1)

Ferrostatin-1 (Fer-1) is a potent and selective inhibitor of ferroptosis with EC_{50} of 60 nM.

Size 5 mg

S7699 Liproxstatin-1

Liproxstatin-1 is a potent ferroptosis inhibitor with an IC_{50} of 22 nM.

Size 5 mg 25 mg 100 mg

Ferroptosis Activators

S7242 Erastin

Erastin is a ferroptosis activator by acting on mitochondrial VDAC, exhibiting selectivity for tumor cells bearing oncogenic RAS.

Size 5 mg 50 mg

S8155 RSL3

RSL3 is a ferroptosis activator in a VDAC-independent manner, exhibiting selectivity for tumor cells bearing oncogenic RAS. RSL3 binds, inactivates GPX4 and thus mediates GPX4-regulated ferroptosis.

Size 5 mg 25 mg

Vitamin

S1466 Calcitriol

Calcitriol is a nonselective vitamin D receptor activator/agonist(VDRA), exhibiting a 10-fold higher vitamin D receptor (VDR) binding affinity(IC_{50}=0.4 nM) than the selective VDRA paricalcitol.

Size 2 mg 5 mg 10 mM/1 mL

AhR Antagonists | Modulator

AhR Antagonists

S2858 StemRegenin 1 (SR1)

StemRegenin 1 is an aryl hydrocarbon receptor (AhR) inhibitor with IC_{50} of 127 nM in a cell-free assay.

Size 10 mg 50 mg 200 mg 10 mM/1 mL

S7711 CH-223191

CH-223191 is a potent and specific aryl hydrocarbon receptor (AhR) antagonist with IC_{50} of 30 nM.

Size 10 mg 50 mg 200 mg

AhR Modulator

S7510 UM729

UM729 is an enhancer of aryl hydrocarbon receptor (AhR) antagonists.

Size 5 mg 25 mg 100 mg

GLUT Inhibitor

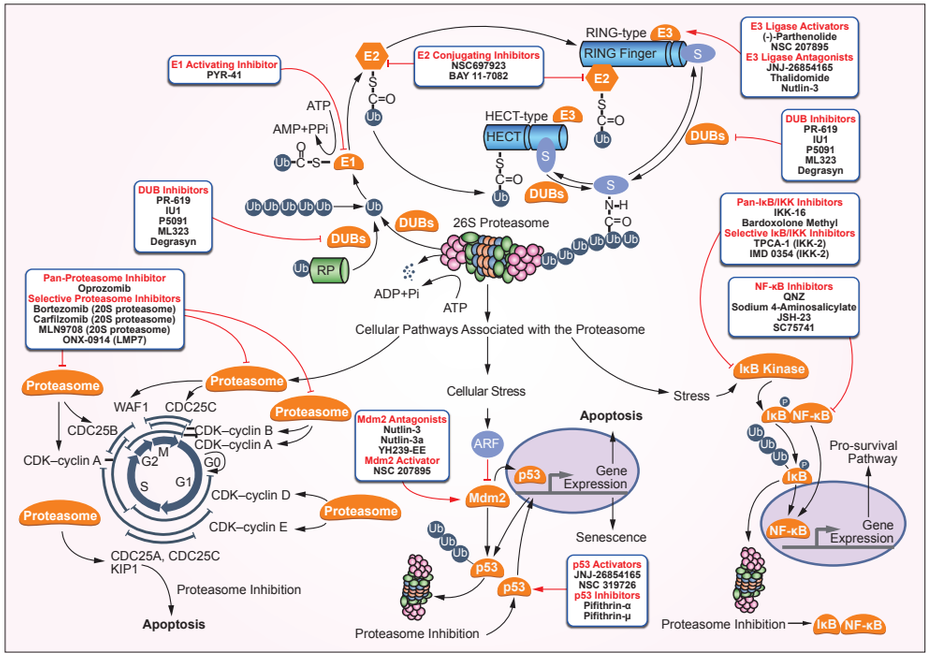
S7927 WZB117

new

WZB117 is an inhibitor of Glucose Transporter 1 (GLUT1). It inhibited cell proliferation in lung cancer A549 cells and breast cancer MCF7 cells with an IC_{50} of approximately 10 μM.

Size 10 mg 50 mg 200 mg

Proteases



Proteasome Inhibitors

Detailed product information is on page 95-96

Gamma-secretase Inhibitors

Detailed product information is on page 92-93

Caspase Inhibitors | Activator

Detailed product information is on page 57-58

HCV Protease Inhibitor

Inhibitory Selectivity

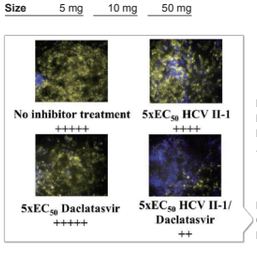
Inhibitor Name	HCV Protease
Daclatasvir (BMS-790052)	++++ EC_{50}: 9~50 pM
Telaprevir (VX-950)	++ IC_{50}: 0.35 μM
Lomibuvir (VX-222, VCH-222)	+ IC_{50}: 0.94~1.2 μM
Danoprevir (ITMN-191)	+++ IC_{50}: 0.2~3.5 nM

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.

S1482 Daclatasvir (BMS-790052, EBP883)

Daclatasvir (BMS-790052) is a highly selective inhibitor of HCV NS5A with EC_{50} of 9-50 pM, for a broad range of HCV replicon genotypes and the JFH-1 genotype 2a infectious virus in cell culture. Phase 3.

Size 5 mg 10 mg 50 mg



Product Citations (14):
Nature, 2013, 501(7466): 237-41
Hepatology, 2014, 10.1002/hep.27197
...

Data from [**Antimicrob Agents Chemother,** 2014, 58(1): 386-96] **Daclatasvir** purchased from **Selleck**

DPP-4 Inhibitors

Inhibitory Selectivity

Inhibitor Name	DPP-4
Sitagliptin phosphate monohydrate	++ IC_{50}: 19 nM
Linagliptin	++++ IC_{50}: 1 nM
Vildagliptin (LAF-237)	+++ IC_{50}: 2.3 nM
Saxagliptin	+ IC_{50}: 26 nM
Alogliptin	+++ IC_{50}: <10 nM
Trelagliptin	√

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S4002 Sitagliptin phosphate monohydrate (MK-0431)

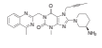
Sitagliptin phosphate monohydrate is a potent inhibitor of DPP-IV with IC_{50} of 19 nM in Caco-2 cell extracts.

Size 200 mg 10 mM/1 mL

S3031 Linagliptin (BI-1356)

Linagliptin is a highly potent, selective DPP-4 inhibitor with IC_{50} of 1 nM and exhibits a 10,000-fold higher selectivity for DPP-4 than for other dipeptidyl peptidases such as DPP-2, DPP-8, and DPP-9.

Size 5 mg 10 mg 10 mM/1 mL



S3033 Vildagliptin (LAF-237)

Vildagliptin (LAF-237) inhibits DPP-4 with IC_{50} of 2.3 nM.

Size 10 mg 25 mg 10 mM/1 mL

HIV Protease Inhibitors

Inhibitory Selectivity

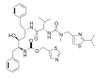
Inhibitor Name	HIV Protease	Other
Lopinavir	++++ K_i: 1.3 pM	
Atazanavir Sulfate	++ K_i: 2.66 nM	
Amprenavir	+ IC_{50}: 14.6 ng/mL	
Nelfinavir Mesylate	+++ K_i: 2 nM	
Ritonavir	√	CYP3A4
Darunavir Ethanolate	√	
Limonin	√	

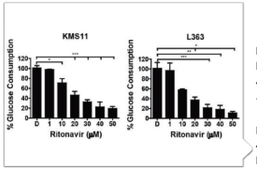
Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1185 Ritonavir (ABT-538, A 84538)

Ritonavir is a Cytochrome P450 3A and Protease Inhibitor; Also inhibits Cytochrome P450 2D6, P-Glycoprotein and induces Cytochrome P450 2C19, Cytochrome P450 1A2, Cytochrome P450 2C9, Cytochrome P450 2B6 and UDP Glucuronosyltransferases.

Size 10 mg 50 mg 100 mg 10 mM/1 mL





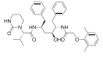
Product Citations (4):
Blood, 2012, 119(20): 4686-97
J Immunol, 2014, 192(8): 3496-506
...

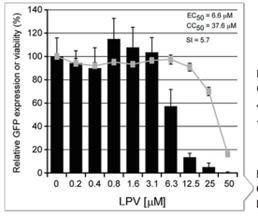
Data from [**Blood,** 2012, 119(20): 4686-97]
Ritonavir purchased from **Selleck**

S1380 Lopinavir (ABT-378)

Lopinavir is a potent HIV protease inhibitor with K_i of 1.3 pM in a cell-free assay.

Size 10 mg 100 mg 200 mg 10 mM/1 mL





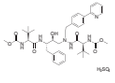
Product Citations (4):
Cell, 2012, 148(1-2): 201-12
J Immunol, 2014, 192(8): 3496-506
...

Data from [**Antimicrob Agents Chemother,** 2014, 58(8): 4875-84]
Lopinavir (LPV) purchased from **Selleck**

S1457 Atazanavir Sulfate (BMS-232632)

Atazanavir Sulfate is a HIV protease inhibitor with K_i of 2.66 nM in a cell-free assay.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



MMP Inhibitors

Inhibitory Selectivity

Inhibitor Name	MMP
Batimastat (BB-94)	+++ IC_{50}: 3~20 nM
Ilomastat (GM6001, Galardin)	++++ K_i: 0.1~3.7 nM
SB-3CT	+ K_i: 13.9~600 nM
Marimastat (BB-2516)	+++ IC_{50}: 3~230 nM
NSC 405020	√
Nobiletin	√

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S7155 Batimastat (BB-94)

Batimastat (BB-94) is a potent, broad spectrum matrix metalloprotease (MMP) inhibitor for MMP-1, MMP-2, MMP-9, MMP-7 and MMP-3 with IC_{50} of 3 nM, 4 nM, 4 nM, 6 nM and 20 nM, respectively. Also inhibits the activitity of other metalloproteases, such as ADAM17.

Size 1 mg 10 mg

S7157 Ilomastat (GM6001, Galardin)

Ilomastat (GM6001, Galardin) is a broad spectrum matrix metalloprotease (MMP) inhibitor for MMP-1, MMP-2, MMP-3, MMP-7, MMP-8, MMP-9, MMP-12, MMP-14, and MMP-26 with K_i of 0.4 nM, 0.5 nM, 27 nM, 3.7 nM, 0.1 nM, 0.2 nM, 3.6 nM, 13.4 nM, 0.36 nM, respectively.

Size 5 mg

S7430 SB-3CT

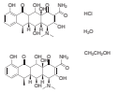
SB-3CT is an effective and selective gelatinase inhibitor with K_i of 13.9 nM and 600 nM for MMP-2 and MMP-9, respectively.

Size 5 mg 25 mg 100 mg

S4163 Doxycycline Hyclate

Doxycycline is a member of the tetracycline antibiotics group, and is commonly used to treat a variety of infections. It is also an inhibitor of matrix metallo-proteinases (MMP).

Size 50 mg



Cysteine Protease Inhibitors

Inhibitory Selectivity

Inhibitor Name	Cysteine Protease	Other
Odanacatib (MK-0822)	++++ IC_{50}: 0.2 nM	
E-64	+++ IC_{50}: 9 nM	
PD 151746	+ IC_{50}: 5.33 μM	
Calpeptin	++ ID_{50}: 52 nM	
Cathepsin Inhibitor 1	+++ pIC_{50}: 5.2	
PMSF	√	chymotrypsin
Aloxistatin	√	
Loxistatin Acid (E-64C)	√	
Leupeptin Hemisulfate	√	serine protease
Z-FA-FMK	√	
MG-101 (ALLN)	√	

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S7379 E-64

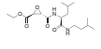
E-64 is an irreversible and selective cysteine protease inhibitor, and also inhibits papain, calpain, and cathepsins B and H, but not serine proteases or aspartic proteases. The IC_{50} for papain is 9 nM.

Size 10 mg 25 mg

S7393 Aloxistatin (E-64d)

Aloxistatin is an irreversible and membrane-permeable cysteine protease inhibitor with blood platelet aggregation inhibiting activity.

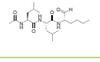
Size 2 mg 5 mg



S7386 MG-101 (ALLN)

MG-101 (ALLN) is a cell-permeable and potent inhibitor of cysteine proteases including calpains and lysosomal cathepsins.

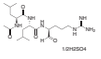
Size 5 mg 25 mg 100 mg



S7380 Leupeptin Hemisulfate

Leupeptin Hemisulfate is a reversible inhibitor of serine and cysteine proteases. It inhibits cathepsin B (K_i = 6 nM), calpain (K_i = 10 nM), trypsin (K_i = 35 nM), plasmin (K_i = 3.4 μM), and kallikrein (K_i = 19 μM), and has no effect against chymotrypsin, elastase, renin, or pepsin.

Size 10 mg 50 mg



S7396 Calpeptin

Calpeptin is a potent, cell-permeable calpain inhibitor with ID_{50} of 52 nM, 34 nM, 138 nM, and 40 nM for Calpain I (porcine erythrocytes), Calpain II (porcine kidney), Papainb, and Calpain I (human platelets), respectively.

Size 10 mg 50 mg 200 mg

S7420 CA-074 methyl ester (CA-074 Me) new

CA-074 Me is a membrane-permeable derivative of CA-074 and acts as an irreversible cathepsin B inhibitor.

Size 5 mg 25 mg

Serine Protease Inhibitors

Inhibitory Selectivity

Inhibitor Name	Serine Protease	Other
Gabexate Mesylate	++ IC_{50}: 0.19 μM	
Aprotinin	+++ K_i: 9.5 nM	Thrombin,Trypsin,kallikrein
Alvelestat (AZD9668)	++++ IC_{50}: 12 nM	
Nafamostat Mesylate	√	
PMSF	√	cysteine protease
Sivelestat (ONO-5046)	√	
Leupeptin Hemisulfate	√	Cysteine protease
AEBSF HCl	√	

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S7378 AEBSF HCl

AEBSF HCl is a broad spectrum, irreversible serine protease inhibitor.

Size 100 mg 250 mg 500 mg

S7218 Alvelestat (AZD9668)

Alvelestat (AZD9668) is an oral, highly selective inhibitor of neutrophil elastase (NE) with IC_{50} and K_i of 12 nM and 9.4 nM, at least 600-fold more selective over other serine proteases. Phase 2.

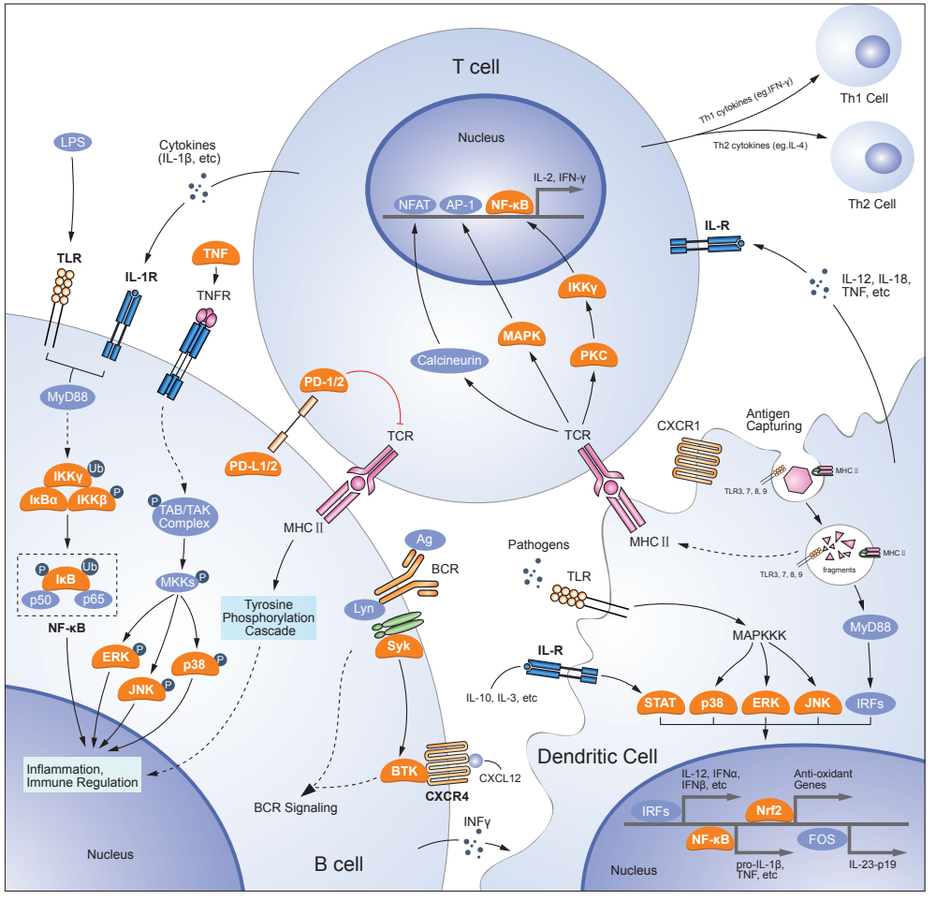
Size 5 mg 25 mg

S8136 Sivelestat (ONO-5046) new

Sivelestat is a potent and selective inhibitor of neutrophil elastase with IC_{50} of 44nM. It almost shows no activity at a range of other proteases.

Size 10 mg 50 mg 200 mg

Immunology & Inflammation

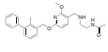


PD-1/PD-L1 Inhibitors

S7912 BMS202 (PD-1/PD-L1 inhibitor 2)

BMS202 (PD-1/PD-L1 inhibitor 2) is a small-molecule PD-1/PD-L1 interaction inhibitor with IC_{50} of 18 nM.

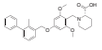
Size 5 mg 25 mg



S7911 PD-1/PD-L1 inhibitor 1

PD-1/PD-L1 inhibitor 1 is a small-molecule inhibitor of PD-1/PD-L1 interaction with IC_{50} of 6 nM.

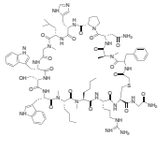
Size 5 mg 25 mg



S8158 PD-1/PD-L1 Inhibitor 3

PD-1/PD-L1 Inhibitor 3（Programmed Death-1/Programmed Death -Ligand 1 Inhibitor 3）is a Macrocyclic inhibitor of PD-1/PD-L1 interaction with IC_{50} of 5.6 nM.

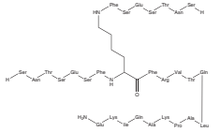
Size 1 mg 5 mg



S8549 AUNP-12

AUNP-12, a new immune checkpoint modulator, is an inhibitor of the PD-1 pathway.

Size 2 mg 5 mg

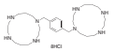


Inhibitors (CXCR, COX, TLR, ...)

S3013 Plerixafor 8HCl (AMD3100 8HCl)

Plerixafor 8HCl (AMD3100 8HCl) is the hydrochloride of Plerixafor, a chemokine receptor antagonist for CXCR4 and CXCL12-mediated chemotaxis with IC_{50} of 44 nM and 5.7 nM in cell-free assays, respectively.

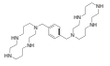
Size 5 mg 10 mg 50 mg



S8030 Plerixafor (AMD3100)

Plerixafor (AMD3100) is a chemokine receptor antagonist for CXCR4 and CXCL12-mediated chemotaxis with IC_{50} of 44 nM and 5.7 nM in cell-free assays, respectively.

Size 5 mg 10 mg 50 mg



S7651 SB225002

SB225002 is a potent, and selective CXCR2 antagonist with IC_{50} of 22 nM for inhibiting interleukin IL-8 binding to CXCR2, > 150-fold selectivity over the other 7-TMRs tested.

Size 10 mg 50 mg 200 mg

S1261 Celecoxib

Celecoxib is a selective COX-2 inhibitor with IC_{50} of 40 nM in Sf9 cells.

Size 100 mg 1 g

S1322 Dexamethasone (DHAP)

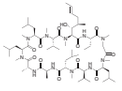
Plerixafor 8HCl (AMD3100 8HCl) is the hydrochloride of Plerixafor, a chemokine receptor antagonist for CXCR4 and CXCL12-mediated chemotaxis with IC_{50} of 44 nM and 5.7 nM in cell-free assays, respectively.

Size 50 mg 10 mM/1 mL

S2286 Cyclosporin A

Cyclosporin A is an immunosuppressive agent, binds to the cyclophilin and then inhibits calcineurin with IC_{50} of 7 nM in a cell-free assay, widely used in organ transplantation to prevent rejection.

Size 50 mg 5 g 10 mM/1 mL



S8133 Resiquimod

Resiquimod is an immune response modifier that acts as a potent TLR 7/8 agonist. Phase 2.

Size 20 mg 50 mg 200 mg

S2425 Apocynin

Apocynin is a selective NADPH-oxidase inhibitor with IC_{50} of 10 μM.

Size 1 g

S7171 GKT137831

GKT137831 is a potent, dual NADPH oxidase NOX1/NOX4 inhibitor with K_i of 110 nM and 140 nM, respectivelyl; ~10-fold selectivity towards NOX1, 4 and 5 over NOX2, does not inhibit XO or scavange ROS/RNS.

Size 5 mg 25 mg 100 mg

S2003 Maraviroc

Maraviroc is a CCR5 antagonist for MIP-1α, MIP-1β and RANTES with IC_{50} of 3.3 nM, 7.2 nM and 5.2 nM in cell-free assays, respectively.

Size 5 mg 25 mg 100 mg 10 mM/1 mL

S1623 Acetylcysteine

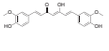
Acetylcysteine(N-acetyl-l-cysteine) is a ROS(reactive oxygen species) inhibitor that antagonizes the activity of proteasome inhibitors. It is also a tumor necrosis factor production inhibitor, used mainly as a mucolytic, protects against acetaminophen overdose-induced hepatotoxicity by maintaining or restoring hepatic concentrations of glutathione.

Size 10 mg 50 mg 10 mM/1 mL

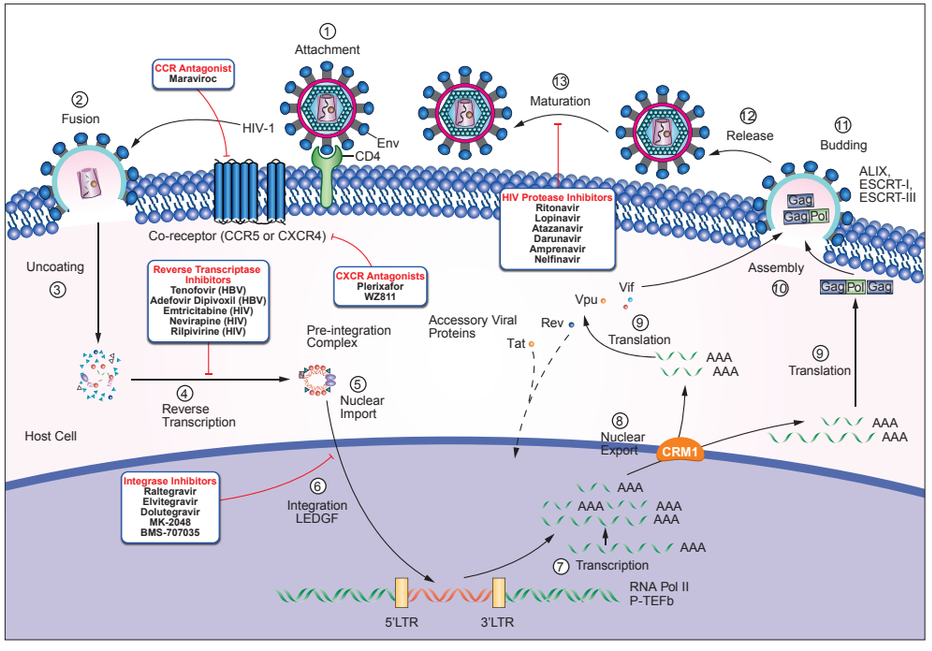
S1848 Curcumin

Curcumin is the principal curcuminoid of the popular Indian spice turmeric, which is a member of the ginger family (Zingiberaceae). It is an inhibitor of p300 histone acetylatransferase (IC_{50}~25 μM） and Histone deacetylase; activates Nrf2 pathway and supresses the activation of transcription factor NF-κB.

Size 50 mg 10 mM/1 mL



Microbiology



HCV Protease Inhibitor

Detailed product information is on page 123

HIV Protease Inhibitors

Detailed product information is on page 124

Integrase Inhibitors

Inhibitory Selectivity

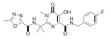
Inhibitor Name	Integrase	
Raltegravir (MK-0518)	+	IC_{50}: 40-90 nM
Elvitegravir (GS-9137, JTK-303)	++++	IC_{50}: 0.7-2.8 nM
Dolutegravir (GSK1349572)	+++	IC_{50}: 2.7 nM
BMS-707035	++	IC_{50}: 15 nM
MK-2048	+++	IC_{50}: 1.5-2.6 nM
Dolutegravir Sodium	+++	IC_{50}: 2.7 nM
Cabotegravir (GSK744, GSK1265744)	√	

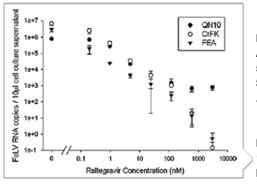
Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S2005 Raltegravir (MK-0518)

Raltegravir (MK-0518) is a potent integrase (IN) inhibitor for WT and S217Q PFV IN with IC_{50} of 90 nM and 40 nM in cell-free assays, respectively. It shows greater than 1000-fold selectivity for HIV-1 IN over several related Mg^{2+}-dependent enzyme such as HCV polymerase, HIV reverse transcriptase, HIV RNaseH and human α-, β-, γ-polymerases.

Size 5 mg 10 mg 50 mg 10 mM/1 mL





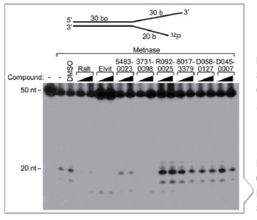
Product Citations (9):
Antimicrob Agents Chemother, 2015, 59(6): 3140-8
Sci Rep, 2013, 3: 2103
...

Data from [**Vet Microbiol,** 2011, 152(1-2): 165-8]
Raltegravir purchased from **Selleck**

S2001 Elvitegravir (GS-9137, JTK-303)

Elvitegravir (GS-9137, JTK-303) is an HIV integrase inhibitor for HIV-1 IIIB, HIV-2 EHO and HIV-2 ROD with IC_{50} of 0.7 nM, 2.8 nM and 1.4 nM, respectively.

Size 10 mg 50 mg 10 mM/1 mL



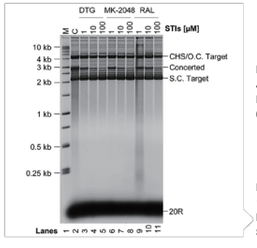
Product Citations (11):
Sci Transl Med, 2014, 6(262): 262ra15
Cancer Res, 2012, 72(23): 6200-8
...

Data from [**Cancer Res,** 2012, 72(23): 6200-8]
Elvitegravir (Elvit) purchased from **Selleck**

S2667 Dolutegravir (GSK1349572)

Dolutegravir (GSK1349572) is a two-metal-binding HIV integrase inhibitor with IC_{50} of 2.7 nM, modest activity against raltegravir-resistant signature mutants Y143R, Q148K, N155H, and G140S/Q148H.

Size 5 mg 10 mg 50 mg 10 mM/1 mL



Product Citations (2):
J Biol Chem, 2014, 289(28): 19648-58
Retrovirology, 2015, 10.1186/s12977-015-0139-7

Data from [**J Biol Chem,** 2014, 289(28): 19648-58]
Dolutegravir (DTG) purchased from **Selleck**

S4642 Dolutegravir Sodium *new*

Dolutegravir is a HIV integrase inhibitor with IC_{50} of 2.7 nM.

Size 5 mg 25 mg

Reverse Transcriptase Inhibitors

Inhibitory Selectivity

Inhibitor Name	Integrase	Other
Didanosine	++ IC_{50}: 490 nM	
Dapivirine (TMC120)	+++ IC_{50}: 24 nM	
Tenofovir	√	
Tenofovir Disoproxil Fumarate	√	
Emtricitabine	√	
Entecavir Hydrate	√	
Adefovir Dipivoxil	√	
Nevirapine	√	
Lamivudine	√	
Stavudine (d4T)	√	
Telbivudine	√	
Etravirine (TMC125)	√	

Inhibitory Selectivity

Inhibitor Name	Integrase	Other
Zidovudine	√	
Zalcitabine	√	
Abacavir sulfate	√	
Foscarnet Sodium	√	RNA polymerase,DNA polymerase
Rilpivirine	√	
Salicylanilide	√	integrase

Notes:
1. For more details, such as half maximal inhibitory concentrations (IC_{50}s) and working concentrations of each inhibitor, please visit the website of www.selleckchem.com.
2. "+" indicates inhibitory effect. Increased inhibition is marked by a higher "+" designation.
3. Red "√" refers to compounds which do inhibitory effects on the related isoform, but without specific value.

S1401 Tenofovir

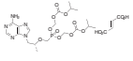
Tenofovir blocks reverse transcriptase and hepatitis B virus infections.

Size 5 mg 20 mg 50 mg 10 mM/1 mL

S1400 Tenofovir Disoproxil Fumarate

Tenofovir Disoproxil Fumarate belongs to a class of antiretroviral drugs, it inhibits the activity of HIV reverse transcriptase by competing with the natural substrate deoxyadenosine 5'-triphosphate and, after incorporation into DNA, by DNA chain termination.

Size 10 mg 50 mg 10 mM/1 mL



S1704 Emtricitabine

Emtricitabine (FTC) is a new nucleoside agent that has activity against both human immunodeficiency virus (HIV) and hepatitis B virus. It is a reverse transcriptase inhibitor. Intracellular half-life is 39 h.

Size 10 mg 50 mg 200 mg 10 mM/1 mL

S1742 Nevirapine

Nevirapine is a non-nucleoside reverse transcriptase inhibitor (NNRTI) used to treat HIV-1 infection and AIDS.

Size 5 mg 25 mg 100 mg 10 mM/1 mL

S1706 Lamivudine

Lamivudine is a potent nucleoside analog reverse transcriptase inhibitor, used for treatment of chronic HBV and HIV/AIDS. It works by blocking the HIV reverse transcriptase and hepatitis B virus polymerase.

Size 10 mg 25 mg 50 mg 10 mM/1 mL

S2579 Zidovudine

Zidovudine is a nucleoside analogue reverse transcriptase inhibitor, used to treat HIV.

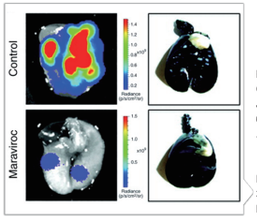
Size 25 mg 100 mg 1 g

CCR Antagonist

S2003 Maraviroc (UK-427857)

Maraviroc is a CCR5 antagonist for MIP-1α, MIP-1β and RANTES with IC_{50} of 3.3 nM, 7.2 nM and 5.2 nM in cell-free assays, respectively.

Size 5 mg | 25 mg | 100 mg | 10 mM/1 mL



Product Citations (5):
Cancer Res, 2014, 74(23): 7103-14
J Neuroimmune Pharmacol, 2014, 9(5): 629-41
...

Data from [**Cancer Res,** 2012, 72(15): 3839-50]
Maraviroc purchased from **Selleck**

Antifection

S1517 Natamycin

Natamycin, a natural and versatile anti-fungal agent during fermentation by the bacterium Streptomyces natalensis, commonly found in soil; with little to no flavour interference.

Size 50 mg | 100 mg | 200 mg | 10 mM/1 mL

S1878 Ganciclovir

Ganciclovir is an antiviral drug for feline herpesvirus type-1 with IC_{50} of 5.2 μM in a cell-free assay.

Size 50 mg | 250 mg | 10 mM/1 mL

S2265 Artesunate

Artesunate is a part of the artemisinin group of agents with an IC_{50} of < 5 μM for small cell lung carcinoma cell line H69. It is a potential inhibitor of STAT-3 and exhibits selective cytotoxicity of cancer cells over normal cells in vitro; A potent inhibitor of EXP1.

Size 10 mg | 50 mg | 200 mg | 10 mM/1 mL

S2597 Oseltamivir Phosphate

Oseltamivir Phosphate is a potent and selective inhibitor of the neuraminidase that is essential for replication of influenza A and B viruses, used to prevent influenza.

Size 250 mg

S2908 Hygromycin B

Hygromycin B, a selective antibiotic that is effective on most bacteria, fungi and higher eukaryotes, inhibits protein synthesis by interfering with translocation and causing mistranslation at the 70S ribosome.

Size 250 mg

S3028 Geneticin (G418 Sulfate)

Geneticin (G418 Sulfate), an aminoglycoside antibiotic, is an elongation inhibitor of 80 S ribosomes that blocks polypeptide synthesis by inhibiting the elongation step in both prokaryotic and eukaryotic cells.

Size 1 g

S3073 Caspofungin Acetate

Caspofungin acetate is an lipopeptide antifungal β-1,3-glucan synthase inhibitor.

Size 5 mg | 25 mg | 10 mM/1 mL

S3162 Tylosin tartrate

Tylosin tartrate is a macrolide antibiotic approved for the control of mycoplasmosis in poultry.

Size 50 mg | 10 mM/1 mL

S7417 Puromycin 2HCl

Puromycin 2HCl is an aminonucleoside antibiotic, which acts as a protein synthesis inhibitor.

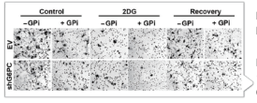
Size 50 mg

Phosphorylase Inhibitor

S2717 CP-91149 Licensed by Pfizer

CP-91149 is a selective glycogen phosphorylase (GP) inhibitor with IC_{50} of 0.13 μM in the presence of glucose, 5- to 10-fold less potent in the absence of glucose.

Size 5 mg | 10 mg | 100 mg | 10 mM/1 mL



Product Citation (1):
Mol Cancer Res, 2014, 12: 1547

Data from [**Mol Cancer Res,** 2014, 12: 1547]
CP-91149 (GPi) purchased from **Selleck**

Liver X Receptor Agonists

S2630 GW3965 HCl

GW3965 HCl is a potent, selective LXR agonist for hLXRα and hLXRβ with EC_{50} of 190 and 30 nM in cell-free assays, respectively.

Size 5 mg | 10 mg | 50 mg | 10 mM/1 mL

S7076 T0901317

T0901317 is a potent and selective agonist for both LXR and FXR, with EC_{50} of ~50 nM and 5 μM, respectively.

Size 25 mg | 100 mg

IL Receptor Inhibitor | Modulator

IL Receptor Inhibitor

S4028 Dexamethasone Sodium Phosphate

Dexamethasone Sodium Phosphate is a potent synthetic member of the glucocorticoid class of steroid drugs, and an interleukin receptor modulator that has anti-inflammatory and immunosuppressant effects.

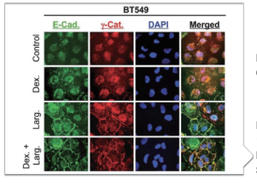
Size 50 mg

IL Receptor Modulator

S1322 Dexamethasone (DHAP)

Dexamethasone (DHAP) is a potent synthetic member of the glucocorticoid class of steroid drugs, and aninterleukin receptor modulator that has anti-inflammatory and immunosuppressant effects.

Size 50 mg | 10 mM/1 mL



Product Citation (1):
Oncogene, 2013, 32(10): 1316-1329

Data from [**Oncogene,** 2013, 32(10): 1316-29]
Dexamethasone (Dex.) purchased from **Selleck**

PKA Inhibitor | Activators

PKA Inhibitor

S1582 H 89 2HCl

H 89 2HCl is a potent PKA inhibitor with K_i of 48 nM in a cell-free assay, 10-fold selective for PKA than PKG, 500-fold greater selectivity than PKC, MLCK, calmodulin kinase II and casein kinase I/II.

Size 10 mg | 50 mg | 200 mg | 10 mM/1 mL

PKA Activators

S7857 8-Bromo-cAMP

8-bromo-cAMP is a cell permeable analog of cAMP that activates cyclic-AMP-dependent protein kinase with a Ka value of 0.05 μM; and a PKA activator.

Size 25 mg | 100 mg

S7858 Dibutyryl-cAMP (Bucladesine)

Dibutyryl-cAMP (Bucladesine) is a cell-permeable PKA activator by mimicing the action of endogenous cAMP.

Size 100 mg | 500 mg

Thrombin Inhibitor

S2196 Dabigatran (BIBR 953)

Dabigatran (BIBR 953) is a potent nonpeptide thrombin inhibitor with an IC_{50} of 9.3 nM in a cell-free assay.

Size 5 mg | 10 mg | 50 mg

Substance P Antagonist

S1189 Aprepitant (MK-0869, L-754030)

Aprepitant is a potent and selective neurokinin-1 receptor antagonist with IC_{50} of 0.1 nM.

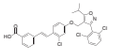
Size 2 mg | 10 mg | 25 mg | 10 mM/1 mL

FXR Agonists

S2782 GW4064

GW4064 is an agonist of farnesoid X receptor (FXR) with EC_{50} of 65 nM in CV1 cell line and displays no activity at other nuclear receptors at concentrations up to 1 μM.

Size 5 mg 25 mg 50 mg 10 mM/1 mL



S2694 Turofexorate Isopropyl (XL335, Fxr 450)

Turofexorate Isopropyl (XL335) is a potent, selective FXR agonist with EC_{50} of 4 nM, highly selective versus other nuclear receptors, such as LXR, PPAR, ER and etc. Phase 1.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

S7660 Obeticholic Acid

Obeticholic Acid is a potent and selective farnesoid X receptor (FXR) agonist with EC_{50} of 99 nM. Phase 3.

Size 5 mg 25 mg 100 mg

gp120/CD4 Inhibitor

S2632 BMS-378806

BMS-378806 selectively inhibits the binding of HIV-1 gp120 to the CD4 receptor with EC_{50} of 0.85-26.5 nM in virus.

Size 5 mg 10 mg 50 mg 10 mM/1 mL

Phosphatase Inhibitors

S1949 Menadione

Menadione(Vitamin K3), a fat-soluble compound, is an inhibitor of Cdc25 phosphatase and mitochondrial DNA polymerase γ (pol γ), used as a nutritional supplement.

Size 50 mg 10 mM/1 mL

S8278 SHP099 dihydrochloride new

SHP099 is a highly potent, selective and orally bioavailable small-molecule SHP2 inhibitor with an IC_{50} value of 0.071 μM and shows no activity against SHP1.

Size 5 mg 25 mg 100 mg

NADPH Oxidase Inhibitors

S2425 Apocynin

Apocynin is a selective NADPH-oxidase inhibitor with IC_{50} of 10 μM.

Size 1 g

S7171 GKT137831

GKT137831 is a potent, dual NADPH oxidase NOX1/NOX4 inhibitor with K_i of 110 nM and 140 nM, respectivelyl; ~10-fold selectivity towards NOX1, 4 and 5 over NOX2, does not inhibit XO or scavange ROS/RNS.

Size 5 mg 25 mg 100 mg

PTEN Inhibitor

S7310 SF1670

SF1670 is a highly potent and specific PTEN inhibitor with IC_{50} of 2 μM.

Size 5 mg 25 mg 100 mg

Others

S5003 Tacrolimus (FK506)

Tacrolimus (FK506) is a 23-membered macrolide lactone, it reduces peptidyl-prolyl isomerase activity in T cells by binding to the immunophilin FKBP12 (FK506 binding protein) creating a new complex.

Size 50 mg 100 mg 500 mg 10 mM/1 mL

S1212 Bendamustine HCl

Bendamustine HCl is a DNA-damaging agent with IC_{50} of 50 μM in cell-free assay.

Size 25 mg 100 mg 10 mM/1 mL

S1290 Celastrol

Celastrol is a potent proteasome inhibitor for the chymotrypsin-like activity of a purified 20S proteasome with IC_{50} of 2.5 μM.

Size 10 mg 50 mg 100 mg

S1373 Daptomycin

Daptomycin is a novel antibiotic with rapid in vitro bactericidal activity against gram-positive organisms.

Size 20 mg 50 mg 100 mg

S2485 Mitoxantrone HCl

Mitoxantrone is a type II topoisomerase inhibitor with IC_{50} of 2.0 μM, 0.42 mM for HepG2 and MCF-7/wt cells, respectively.

Size 50 mg 100 mg 10 mM/1 mL

S1680 Disulfiram

Disulfiram is a specific inhibitor of aldehyde-dehydrogenase (ALDH1), used for the treatment of chronic alcoholism by producing an acute sensitivity to alcohol.

Size 50 mg 10 mM/1 mL

S1692 Busulfan

Busulfan is a cell cycle non-specific alkylating antineoplastic agent.

Size 50 mg 10 mM/1 mL

S1709 Estradiol

Estradiol, or more precisely, 17β-estradiol, is a human sex hormone and steroid, and the primary female sex hormone.

Size 50 mg 10 mM/1 mL

S1653 Tretinoin

Tretinoin, which is a ligand for both the retinoic acid receptor (RAR) and the retinoid X receptor (RXR), can induce granulocytic differentiation and apoptosis in acute promyelocytic leukemia (APL) cells.

Size 50 mg 10 mM/1 mL

S1896 Hydroxyurea

Hydroxyurea is an antineoplastic agent that inhibits DNA synthesis through the inhibition of ribonucleoside diphosphate reductase.

Size 10 mg 50 mg 200 mg 10 mM/1 mL

S1950 Metformin HCl

Metformin HCl decreases hyperglycemia in hepatocytes primarily by suppressing glucose production by the liver (hepatic gluconeogenesis).

Size 50 mg 5 g 10 mM/1 mL

S1899 Nicotinamide (Vitamin B3)

Nicotinamide (Vitamin B3), a water-soluble vitamin, is an active component of coenzymes NAD and NADP, and also act as an inhibitor of sirtuins.

Size 50 mg 10 mM/1 mL

S1792 Simvastatin

Simvastatin is a competitive inhibitor of HMG-CoA reductase with K_i of 0.1-0.2 nM in cell-free assays.

Size 25 mg 100 mg

S2286 Cyclosporin A

Cyclosporin A is an immunosuppressive agent, binds to the cyclophilin and then inhibits calcineurin with IC_{50} of 7 nM in a cell-free assay, widely used in organ transplantation to prevent rejection.

Size 50 mg 5 g 10 mM/1 mL

S1786 Verteporfin

Verteporfin is a potent second-generation photosensitizing agent derived from porphyrin in endothelial cel.

Size 10 mg 50 mg

S2476 Itraconazole

Itraconazole is a relatively potent inhibitor of CYP3A4 with IC_{50} of 6.1 nM, used as a triazole antifungal agent.

Size 100 mg 200 mg

S1696 Hydrocortisone

Hydrocortisone is a steroid hormone or glucocorticoid produced by the adrenal gland.

Size 50 mg 10 mM/1 mL

S2590 Pioglitazone

Pioglitazone is a selective peroxisome proliferator-activated receptor-gamma (PPARγ) agonist, used to treat diabetes; A weak activator for full-length hPPARα, but not full-length hPPARδ.

Size 10 mg 50 mg 200 mg 10 mM/1 mL

S2057 Cyclophosphamide Monohydrate

Cyclophosphamide Monohydrate is a nitrogen mustard alkylating agent, it attaches the alkyl group to the guanine base of DNA, shown to crosslink DNA, causing strand breakage and inducing mutations.

Size 50 mg 5 g

S2858 StemRegenin 1 (SR1)

StemRegenin 1 is an aryl hydrocarbon receptor (AhR) inhibitor with IC_{50} of 127 nM in a cell-free assay.

Size 10 mg 100 mg 200 mg 10 mM/1 mL

S3022 Cabazitaxel

Cabazitaxel is a semi-synthetic derivative of a natural taxoid that kills cancer cells by inhibiting cell division and growth. Cabazitaxel exerts its effects by inhibiting microtubule growth and assembly, processes that are essential for cells to divide.

Size 5 mg 10 mg 10 mM/1 mL

S2877 L-NAME HCl

L-NAME HCl is a nonselective inhibitor of nitric oxide synthetases (NOS) for nNOS (bovine), eNOS (human), and iNOS (murine), with K_i of 15 nM, 39 nM and 4.4 μM, respectively.

Size 100 mg

S3190 N6-methyladenosine (m6A)

N6-methyladenosine (m6A) is a base modified analog of adenosine and is found as a minor nucleoside in natural RNAs.

Size 50 mg

S4202 Verapamil HCl

Verapamil HCl is an L-type calcium channel blocker that is a class IV anti-arrhythmia agent.

Size 50 mg

S4227 Fidaxomicin

Fidaxomicin is a narrow spectrum macrocyclic antibiotic that inhibits RNA polymerase sigma subunit.

Size 50 mg

S7272 4μ8C

4μ8C is a potent and selective IRE1 Rnase inhibitor with IC_{50} of 76 nM.

Size 10 mg 50 mg

S7534 BAPTA-AM

BAPTA-AM is a selective, membrane-permeable calcium chelator.

Size 10 mg 50 mg

S7381 Pepstatin A

Pepstatin A is a potent aspartic protease inhibitor, and also inhibits HIV replication.

Size 10 mg 50 mg 200 mg

S7209 GSK650394

GSK650394 is a serum- and glucocorticoid-regulated kinase-1 inhibitor with IC_{50} of 62 nM and 103 nM for SGK1 and SGK2, respectively.

Size 5 mg 25 mg 100 mg

S7537 LB-100

LB-100 is a water soluble protein phosphatase 2A (PP2A) inhibitor with IC_{50}s of 0.85 μM and 3.87 μM in BxPc-3 and Panc-1 cells.

Size 5 mg 25 mg 100 mg

S7655 CB-839

CB-839 is a potent, selective, and orally bioavailable glutaminase inhibitor with IC_{50} of 24 nM for recombinant human GAC. Phase 1.

Size 5 mg 25 mg 100 mg

S7753 BPTES

BPTES is a potent and selective Glutaminase GLS1 (KGA) inhibitor with IC_{50} of 0.16 μM. It has no effect on glutamate dehydrogenase activity and causes only a very slight inhibition of γ-glutamyl transpeptidase activity.

Size 10 mg

S7771 STF-083010

STF-083010 is a specific IRE1α endonuclease inhibitor without affecting its kinase activity.

Size 10 mg 50 mg 200 mg

S7809 MCC950 (CP-456773)

MCC950 sodium salt is a potent, selective inhibitor of NLRP3 with IC_{50} of 7.5 nM in BMDMs; but not the AIM2, NLRC4 or NLRP1 inflammasomes.

Size 10 mg 50 mg 200 mg

S7339 AZD3965 *new*

AZD3965 is a potent, selective and orally available monocarboxylate transporter 1 (MCT1) inhibitor with a binding affinity of 1.6 nM, 6-fold selective over MCT2. Phase 1.

Size 5 mg 25 mg

S8368 LM10 *new*

LM10 is a selective tryptophan 2,3-dioxygenase (TDO) inhibitor with IC_{50} values of 0.62 and 2 μM for human and mouse TDO, respectively.

Size 10 mg 50 mg 200 mg