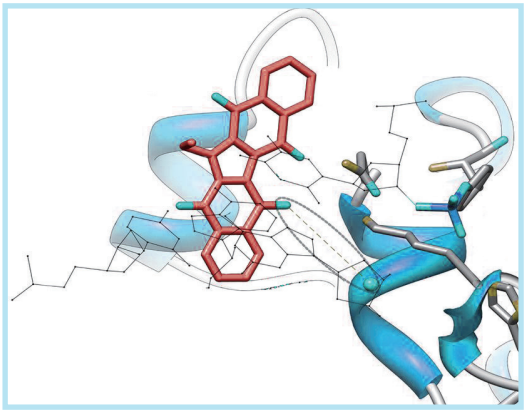

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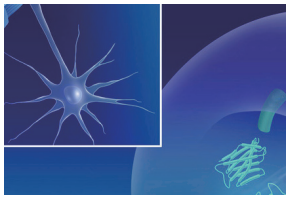

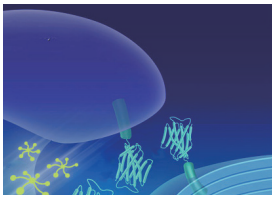

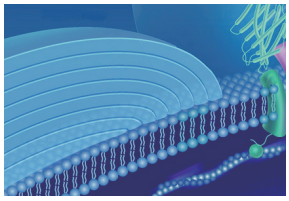

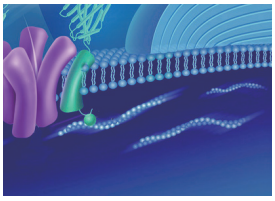

Inhibitors

Agonists

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LubioScience GmbH
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8050 Zürich

+41 (0)41 417 02 80

info@lubio.ch
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Contents

About Us 1

Order Information 2

Bioactive Screening Libraries 3

Research Areas 5

Anti-infection 5
Antibody-drug Conjugates 6
Apoptosis 7
Cell Cycle/DNA Damage 8
GPCR 9
Immunology/Inflammation 10
JAK/STAT 11
MAPK/ERK 12
Ion Channel/Membrane Transporter 13
Neuronal Signaling 14
NF –κB 15
PI3K/Akt/mTOR 16
Protein Tyrosine Kinase/RTKs 17
TGF-beta/Smad 18
Wnt/Hedgehog/Notch 19
Others 20

Hot Products 21

Fluorescent Dyes and Probes 49

Compound Metabolites and Isotope Labelled Compounds 51

Articles and Patents Cited MCE 52

About Us

Overview of MedChemExpress

MedChemExpress (MCE) offers a wide range of high quality research chemicals and biochemicals including novel life-science reagents, reference compounds, APIs and natural compounds for laboratory and scientific use. MCE has knowledgeable, supportive and friendly technical and customer services teams with years of experience in the life science industry. MCE will be a competent and trustworthy partner for your research and scientific projects.

Quality

Product quality is the key to our success and we take pride in offering products with the highest quality. Product identity, quality, purity and activity are assured by our robust quality control and assurance polices, programs and procedures. We perform thorough analytical testing - including HNMR, LC-MS and HPLC - stability testing and activity assays on our products and the results from these tests are available to clients.

Experience

Our chemists are highly experience in synthesizing and preparing a large number of structurally diverse and synthetically challenging molecules. We work with clients that have widely different needs and we have been very successful in meeting such needs.

Services

We offer:

- Structurally and synthetically diverse biologically active compounds
- Flexible order volume ranging from milligrams to kilograms scale
- On-time delivery of products

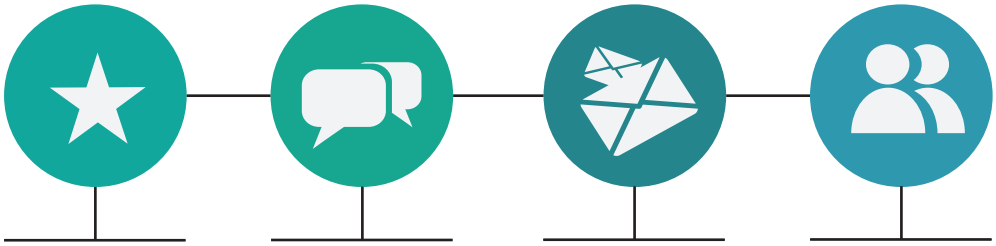
We are client-centric and would like to hear from you about our products and services.

Top Journals Citing MCE

Science. 2014 Oct 3;346(6205):1255784.
Cell. 2014 Dec 18;159(7):1549-62.
Nat Cell Biol. 2014 Dec;16(12):1249-56.
Cancer Discov. 2015 Jul;5(7):768-81.
Blood. 2014 Dec 11;124(25):3758-67.
Leukemia. 2015 Jan;29(1):169-76.
EMBO J. 2015 May 12;34(10):1385-98.
Proc Natl Acad Sci U S A. 2014 Apr 29;111(17):6395-400.

Order Information

Inquiry Online



Delivery

Delivery will be initiated within 24 hours if your requested items are available in stock and the transit time is approximately 2-3 business days.

When items are out of stock, we will arrange for replenishment within 24 hours and we will keep you informed of the delivery status via email or phone.

The requested items will be shipped directly to you via DHL or FedEx.

Packages and products should be inspected immediately upon receipt. Notification of damage, shortage or defects should be sent to us immediately by e-mail or fax.

Order Offline

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: sales@medchemexpress.com

Bioactive Screening Libraries

Bioactive Screening Libraries are ready-to-use chemical libraries used for drug discovery, lab drug screening, drug target identification, and other pharmaceutical-related applications.

• The libraries consist of a unique and diverse collection of over 2,500 small molecules with validated biological and pharmacological activities.

• Safety and effectiveness of the compounds have been demonstrated by preclinical and clinical research, and many of the compounds are FDA-approved.

• The collections of unique small molecules, which include inhibitors, agonists and modulators, are focused on over 200 targets that are part of more than 10 signaling pathways. These pathways include, among many others, apoptosis, the PI3K/Akt/mTOR, and MAPK pathways.

• MCE offers customized bioactive screening libraries, whereby you choose the specific compounds you want in the library, the quantities, plate map, concentration, and format (dry/solid or DMSO solution).

HY-L001

Bioactive Compound Library

A unique collection of 2242 small molecule compounds for drug screening, drug target identification, and other pharmaceutical applications.

HY-L002

Anti-infection Compound Library

A unique collection of 244 bioactive anti-infection compounds for drug screening, drug target identification, and other pharmaceutical applications.

HY-L003

Apoptosis Compound Library

A unique collection of 54 small molecule inhibitors used for cancer/apoptosis research.

HY-L004

Cell Cycle/DNA Damage Compound Library

A unique collection of 379 small molecule compounds for cell cycle, DNA damage and cancer research.

HY-L005

Epigenetics Compound Library

A unique collection of 70 small molecule modulators with biological activity used for epigenetics research and associated assays.

HY-L006

GPCR/G Protein Compound Library

A unique collection of 342 inhibitors/regulators for drug development and GPCR research/screening.

HY-L007

Immunology/Inflammation Compound Library

A unique collection of 111 small molecule inhibitors/regulators for Immunology/Inflammation research.

HY-L008

JAK/STAT Compound Library

A unique collection of 74 small molecule inhibitors/agonists for JAK-STAT signaling pathway research.

HY-L009

Kinase Inhibitor Library

A unique collection of 532 kinase inhibitors/regulators for high throughput screening (HTS) and high content screening (HCS).

HY-L010

MAPK Compound Library

A unique collection of 74 small molecule compounds for MAPK signaling pathway research and screening.

HY-L011

Membrane Transporter/Ion Channel Compound Library

A unique collection of 155 small molecule modulators for Ion channel and Membrane Transporter research.

HY-L012

Metabolism/Protease Compound Library

A unique collection of 133 small molecule compounds for Metabolism/Protease screening.

HY-L013

Neuronal Signaling Compound Library

A unique collection of 258 bioactive compounds for Neuronal Signaling research and screening.

HY-L014

NF-κB Signaling Compound Library

A unique collection of 37 small molecule compounds for NF-κB signaling pathway research and screening.

HY-L015

PI3K/Akt/mTOR Compound Library

A unique collection of 114 small molecule compounds for drug screening and cancer or PI3K/Akt/mTOR pathway research.

HY-L016

Protein Tyrosine Kinase Compound Library

A unique collection of 241 tyrosine kinase inhibitors for high throughput screening (HTS) and high content screening (HCS).

HY-L017

Stem Cell Signaling Compound Library

A unique collection of 86 small molecule inhibitors used for stem cell regulatory and signaling pathway research.

HY-L018

TGF-beta/Smad Compound Library

A unique collection of 20 small molecule compounds for TGF-beta/Smad related screening and research.

HY-L019

Vitamin D Related Compound Library

A unique collection of 9 Vitamin derivatives and Vitamin related compounds for research and drug research and development.

HY-L020

Wnt/Hedgehog/Notch Compound Library

A unique collection of 40 small molecule compounds for Wnt/Hedgehog/Notch pathway research and screening.

HY-L021

Natural Product Library

A unique collection of 55 natural products for high throughput screening (HTS) and high content screening (HCS).

HY-L022

FDA-approved Drug Library

A unique collection of 862 FDA-approved drugs for research of old drugs.

HY-L023

Antibody-drug Conjugates Related Compound Library

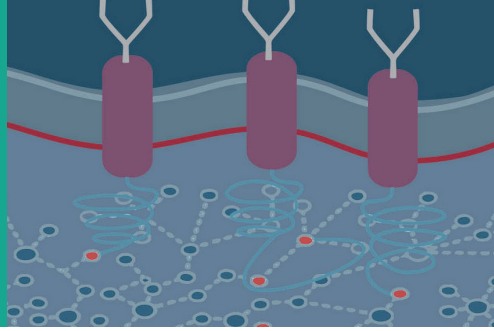
A unique collection of 13 bioactive compounds for antibody-drug conjugates and targeted therapy research.

HY-L024

Histone Modification Research Compound Library

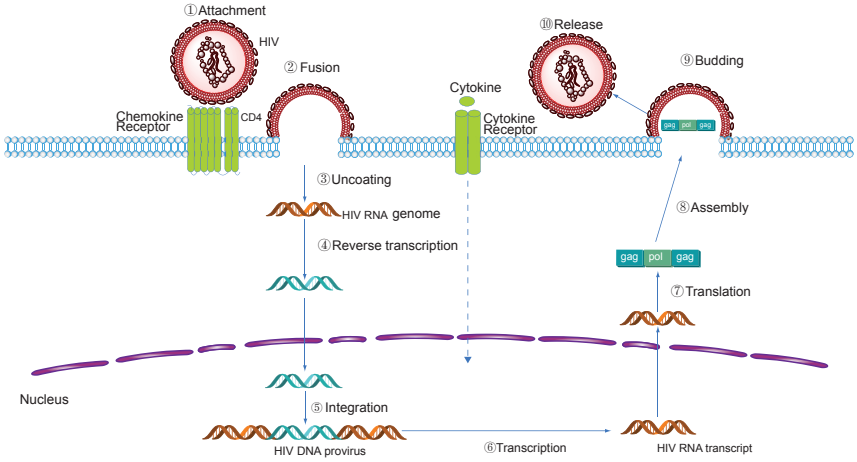
A unique collection of 86 small molecule inhibitors/regulators for histone modification research.

Research Areas



Anti-infection

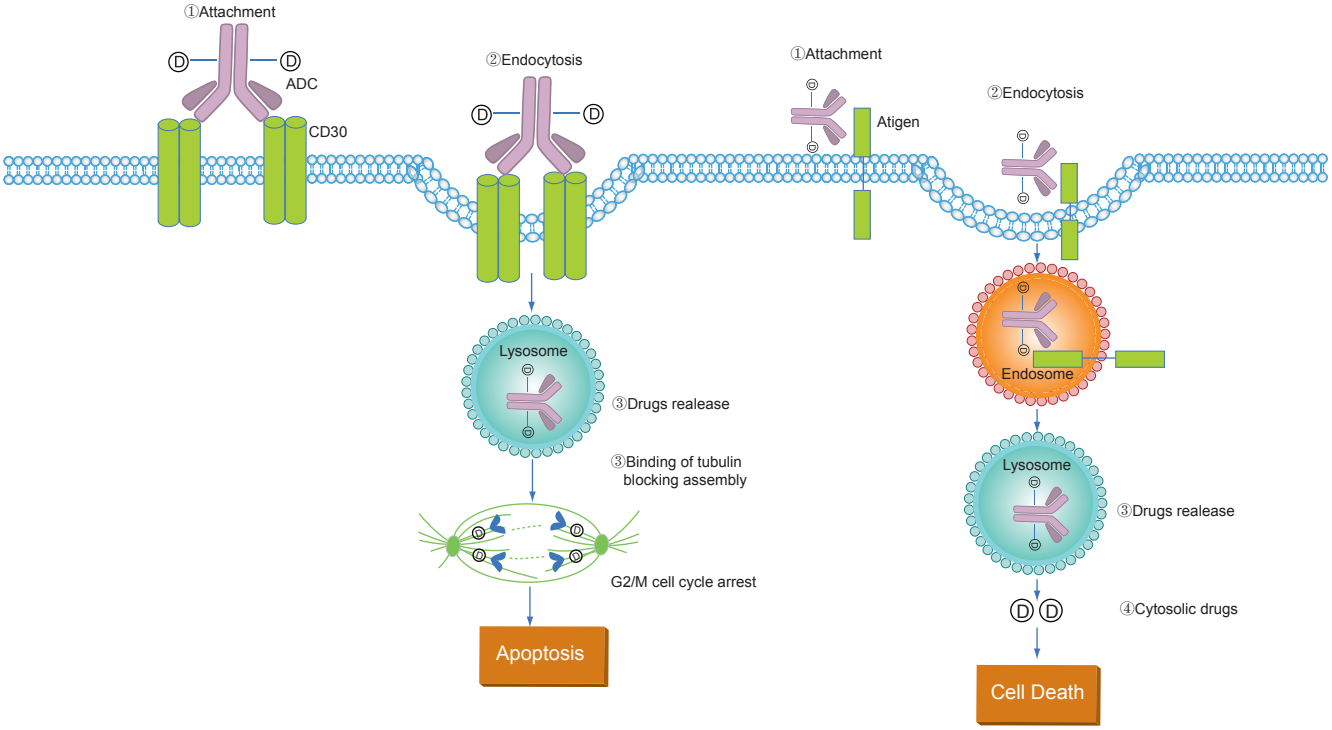
MedChemExpress offers a comprehensive collection of anti-infection compounds, including antibiotics, antiviral, antiparasitics and antifungal agents. These compounds use different mechanism of actions for their anti-infectious activities. For the bactericidal series, some target the bacterial cell wall (Penicillins and Cephalosporins) or the cell membrane (Polymyxins), others interfere with essential bacterial enzymes (Rifamycins, Lipiarmycins, Quinolones, and Sulfonamides). Bacteriostatic compounds usually target protein syntheses (Macrolides, Lincosamides and Tetracyclines) with the exception of bactericidal aminoglycosides. Antiviral Inhibitors are mainly applied in the research areas such as HIV, HBV, HCV, NNRTIs and NRTIs. Most of these antiviral compounds are novel and currently in clinical development.



Catalog No.	CAS No.	Products	Information
HY-15233	917389-32-3	**Letermovir**	An anti-CMV compound which target the viral terminase complex.
HY-A0071	328898-40-4	**Tildipirosin**	An inhibitor of protein synthesis on the ribosome (IC_{50}=0.23 μM).
HY-14800	869884-78-6	**Radezolid**	A novel oxazolidinone antibiotic agent.
HY-14989	502487-67-4	**SQ109**	An orally active antibiotic for treatment of pulmonary T (tuberculosis).
HY-13553	166663-25-8	**Anidulafungin**	A semisynthetic echinocandin used as an antifungal drug.
HY-13238	1051375-16-6	**Dolutegravir**	An HIV integrase inhibitor (IC_{50}=2.7 nM).
HY-15457	35943-35-2	**Triciribine**	A DNA synthesis inhibitor, also inhibits Akt/HIV-1 (IC_{50}=130 nM/20 nM).
HY-11097	857066-90-1	**TMC353121**	A potent RSV fusion inhibitor with pEC_{50} of 9.9.
HY-10466	1009119-64-5	**Daclatasvir**	A first-in-class, highly-selective oral HCV NS5A inhibitor.
HY-15236	863329-66-2	**PSI-6206**	A selective HCV RNA polymerase inhibitor.

Antibody-drug Conjugates

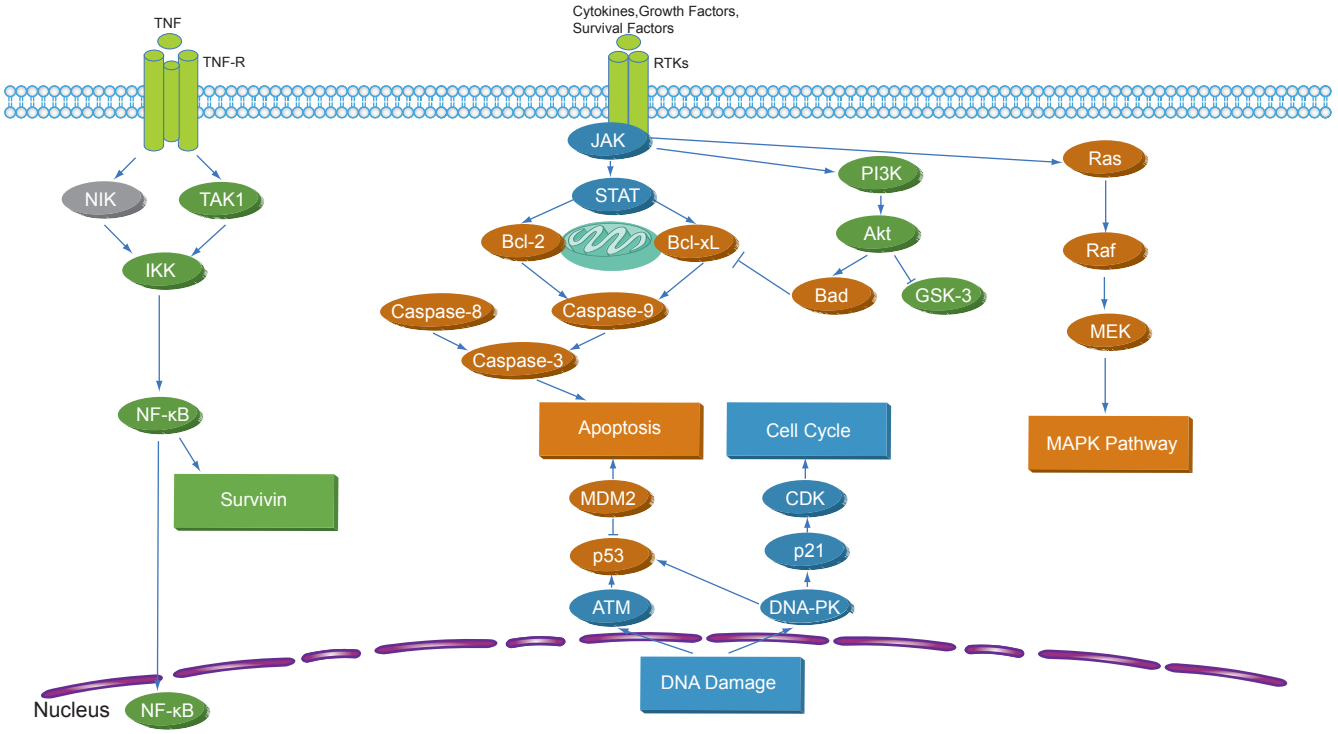
MedChemExpress provides compounds that are used as the building blocks for the synthesis of antibody-drug conjugates (ADCs). An ADC comprises three components: cytotoxic payloads (MMAE, MMAF, Tubulysin A etc.), chemical linker (Mc-Val-Cit-PABC-PNP etc.) and antibody-drug conjugate precursors (Vc-MMAE, Mc-MMAE etc.). **MCE ADCs Compounds** are great tools for conjugation chemistry and compound modifications.



Catalog No.	CAS No.	Products	Information
HY-15750		**Cys-mcMMAD**	A potent tubulin inhibitor, toxin payload in antibody drug conjugate.
HY-20560		**(Ac)Phe-Lys(Alloc)-PABC-PNP**	A useful chemical linker in antibody drug conjugates.
HY-20336	159857-81-5	**Mc-Val-Cit-PABC-PNP**	A cathepsin cleavable ADC peptide linker.
HY-32735	38748-32-2	**Triptolide**	A diterpene triepoxide, immunosuppresive agent.
HY-15162	474645-27-7	**Monomethyl auristatin E**	A hot topic in Antibody-drug conjugates (ADCs) studies.
HY-15575	646502-53-6	**VcMMAE**	An antibody-drug conjugate (ADC) with potent antitumor activity.
HY-15581	203849-91-6	**MMAD**	A potent tubulin inhibitor, a toxin payload in antibody drug conjugate.
HY-16261	1361644-26-9	**INNO-206**	The anthracycline antibiotic doxorubicin (DOXO-EMCH) with antineoplastic activity.
HY-13061	290304-24-4	**Daun02**	A daunorubicin β-galactoside prodrug for use in conjunction.
HY-13316	50-07-7	**Mitomycin C**	A DNA crosslinking agent that inhibits DNA synthesis and induces apoptosis.

Apoptosis

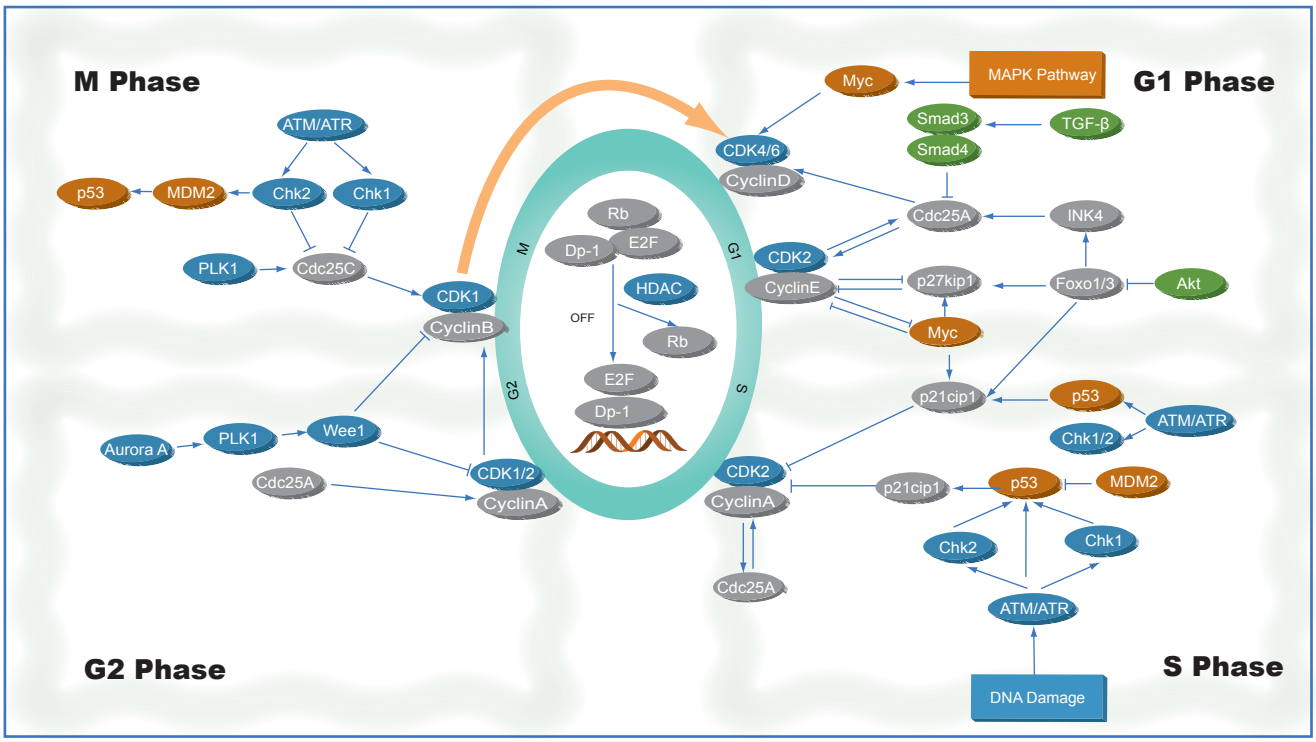
MedChemExpress offers a broad range of apoptosis inhibitors for research on tumor suppressors and proteins that are involved in apoptosis. Neoplastic growth arises from the dysregulation of cell growth, proliferation and programmed death. Various tumor suppressors prevent such aberrant cell expansion by slowing progression of the cell cycle, or by inducing apoptosis. Apoptosis Inhibitors act on various apoptosis-related proteins to inhibit apoptotic cell death. **MCE Apoptosis Inhibitors** include inhibitors of IAPs, Bcl-2 family, Caspase, MDM2-p53 interaction, Survivin etc. An apoptosis compound library is available.



Catalog No.	CAS No.	Products	Information
HY-50907	852808-04-9	**ABT-737**	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, respectively.
HY-10087	923564-51-6	**Navitoclax**	A potent inhibitor of Bcl-xL/Bcl-2/Bcl-w (Ki=0.5 nM/1 nM/1 nM).
HY-15954	1313363-54-0	**NVP-CGM097**	A potent and selective MDM2 inhibitor.
HY-10959	939981-39-2	**RG7112**	The first clinical small-molecule MDM2 inhibitor.
HY-15676	1229705-06-9	**RG7388**	An oral, selective, small molecule MDM2 antagonist.
HY-50696	548472-68-0	**Nutlin-3**	An MDM2 antagonist.
HY-A0003	191732-72-6	**Lenalidomide**	A TNF-α secretion inhibitor with IC_{50} of 13 nM.
HY-14622	852391-19-6	**Necrostatin 2**	A potent necroptosis inhibitor with EC_{50} of 50 nM.
HY-12600	1258392-53-8	**AZD5582**	A potent IAP antagonist, binds to the BIR3 domains of cIAP1/cIAP2/XIAP (IC_{50} = 15/21/15 nM).
HY-10396	254750-02-2	**Emricasan**	A potent irreversible pan-caspase inhibitor.

Cell Cycle/DNA Damage

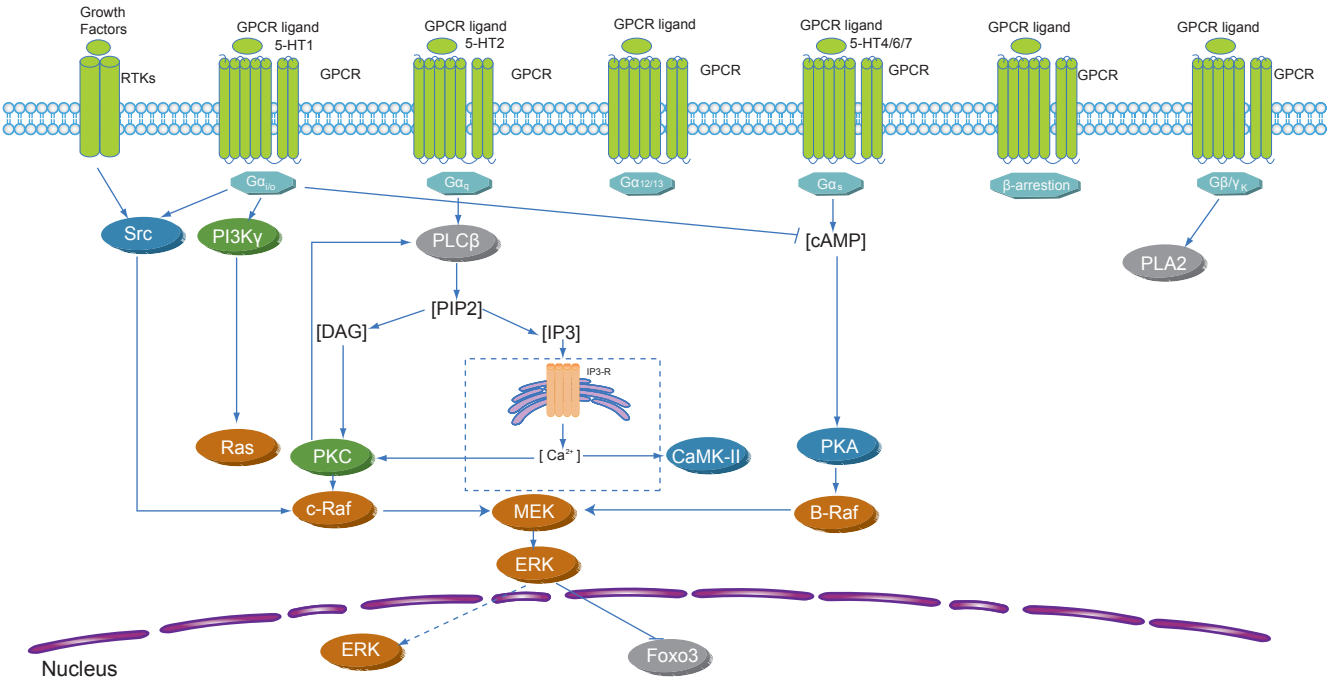
MedChemExpress offers abundant inhibitors targeting the key proteins in cell cycle and DNA damage regulations. These key proteins are widely studied and play a predominant role in anticancer researches. **MCE Cell Cycle/DNA Damage Inhibitors** target Checkpoint kinases, CDKs, ATM/ATR, Aurora kinases, Pim, ROCK and others. These inhibitors will greatly support cell signaling research and anticancer drug discovery, some of these inhibitors are being evaluated in preclinical or clinical studies. Potency, selectivity and high purity of these compounds are well described on our website.



Catalog No.	CAS No.	Products	Information
HY-13030	1268524-70-4	**(+)-JQ-1**	A potent ATM inhibitor with an IC_{50} and Ki of 13 nM and 2.2 nM, respectively.
HY-13032	1260907-17-2	**GSK 525762A**	A potent and selective inhibitor of ATR with an IC_{50} of 5 nM.
HY-10162	763113-22-0	**Olaparib**	A protein kinase inhibitor of IGF1R/Aurora kinase/FGFR1-3/ABL/SRC family kinases.
HY-70044	942918-07-2	**GSK-1070916**	A potent and selective inhibitor of Aurora A/Aurora B/Aurora C (IC_{50}=9/31/3 nM).
HY-10971	1028486-01-2	**Alisertib**	A selective Aurora A inhibitor with an IC_{50} of 1.2 nM.
HY-17543	1572414-83-5	**ML-323**	An inhibitor of BET proteins with IC_{50} of 35 nM.
HY-15149	128517-07-7	**Romidepsin**	A novel small molecule potent CDK2/JAK2/FLT3 inhibitor (IC_{50}=13/73/56 nM).
HY-10492	779353-01-4	**Dinaciclib**	A novel selective and potent covalent CDK7 inhibitor with an IC_{50} of 3.2 nM.
HY-10992	860352-01-8	**AZD-7762**	A novel potent PARP inhibitor with an IC_{50} of 3 nM.
HY-15557	1233339-22-4	**AZ20**	A potent PARP inhibitor with IC_{50}s of 5 nM and 1 nM for PARP-1 and PARP-2, respectively.

GPCR

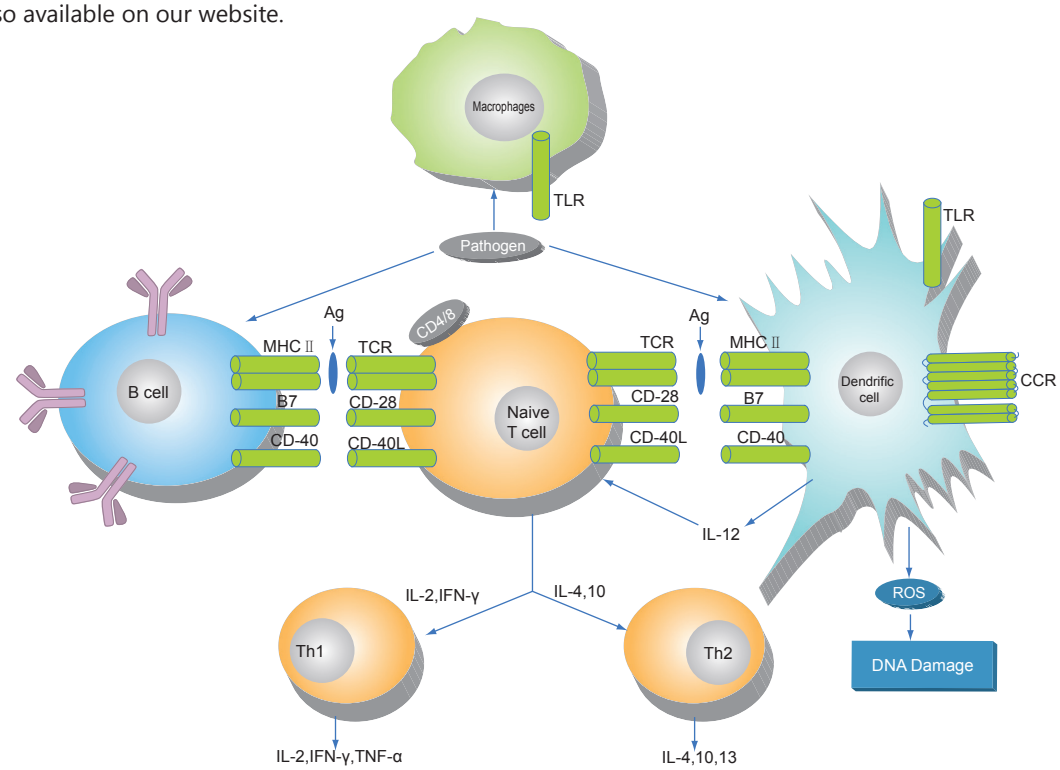
MedChemExpress offers a series of GPCR related compounds for life science research. GPCRs is a diverse group of membrane-bound signaling molecules, which are involved in many diseases. **MCE GPCR Compounds** (Antagonist/Agonist/Modulators) mainly target or interfere with 5-HT, dopaime receptor, histamin receptor, CCR/CXCR, CasR; some are FDA approved drugs. MCE GPCR compounds are useful for G-protein-mediated signaling research and drug discovery. Information on MCE GPCR compound library is also available on our website.



Catalog No.	CAS No.	Products	Information
HY-15543	479683-64-2	**CP-809101**	A 5-HT2C receptor agonist of human 5-HT2C/5-HT2B/5-HT2A receptors (pEC_{50}=9.96/7.19/6.81).
HY-14136	168273-06-1	**Rimonabant**	A selective central cannabinoid (CB1) receptor inverse agonist with Ki of 1.8 nM.
HY-15403A	195733-43-8	**Atrasentan hydrochloride**	An Endothelin receptor antagonist (IC_{50}=0.0551 nM, ETA).
HY-15895	1103522-45-7	**ACT-132577**	A dual ETA/ETB endothelin (ET) receptor antagonist designed for tissue targeting.
HY-14870	475086-01-2	**NS-304**	An oral, selective prostacyclin receptor agonist for the treatment of pulmonary arterial hypertension.
HY-15677	1199796-29-6	**INT-777**	A novel potent and selective TGR5 agonist (EC_{50}=0.82 uM).
HY-10302	957116-20-0	**MK-3207 Hydrochloride**	A potent and orally bioavailable CGRP receptor antagonist (IC_{50}= 0.12 nM; Ki = 0.024 nM).
HY-16039	1345614-59-6	**AM095**	A potent LPA1 receptor antagonist for recombinant human/mouse LPA1 (IC_{50}=0.98 and 0.73 μM).
HY-15277	1228690-19-4	**AM966**	A high affinity, selective, oral LPA1 (IC_{50}=17 nM) antagonist.
HY-10259A	136676-91-0	**PD 123319 ditrifluoroacetate**	A potent, selective AT2 angiotensin II receptor antagonist (IC_{50}=34 nM).

Immunology/Inflammation

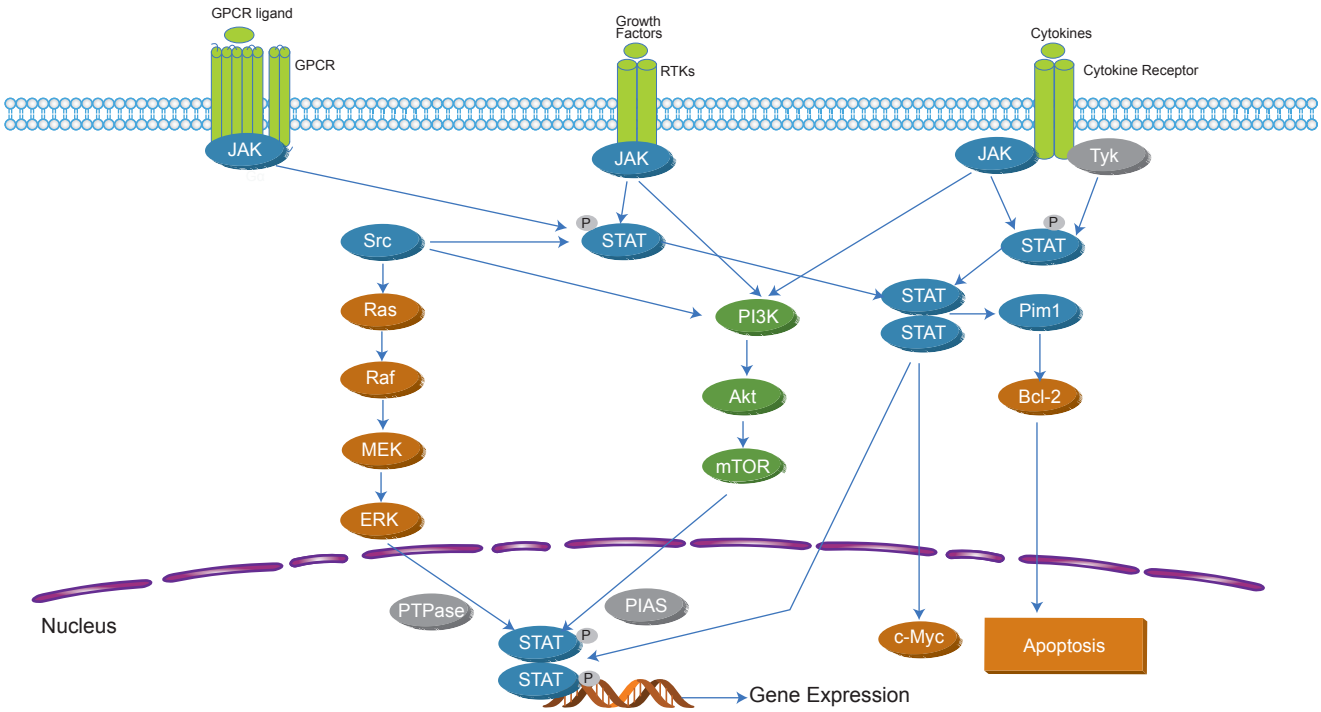
MedChemExpress offers both novel and classic compounds for inflammation and immunology research. **MCE Immunology/Inflammation Compounds** mainly consists of inhibitors for CCR, CXCR, GPR44, 5-lipoxygenase, 5-lipoxygenase and IRAK. Inflammation and infection are critical in developing an understanding of the pathogenesis of infectious diseases, autoimmune diseases, tumorigenesis etc. These compounds are very valuable for inflammation research and drug discovery of autoimmune diseases, such as rheumatoid arthritis and allergy. Information on MCE Immunology/Inflammation compound library is also available on our website.



Catalog No.	CAS No.	Products	Information
HY-15251	266359-83-5	**Reparixin**	An inhibitor of CXCL8 receptor, also inhibits CXCR1 and CXCR2 activation.
HY-10198	473727-83-2	**SCH 527123**	A potent antagonist of CXCR1/2 (IC_{50}=42/3 nM).
HY-50101A	880549-30-4	**AMD-070 hydrochloride**	A potent and selective antagonist of CXCR4 (IC_{50}=13 nM).
HY-10017	906805-42-3	**SCH 546738**	A novel, potent and non-competitive small molecule CXCR3 antagonist with Ki of 0.4 nM.
HY-15320	855527-92-3	**NBI-74330**	An antagonist of CXCR3, inhibits [(125)I]CXCL10/[(125)I]CXCL11 (Ki=1.5/3.2 nM).
HY-10469	801312-28-7	**GSK256066**	A selective PDE4B inhibitor with an IC_{50} of 3.2 pM.
HY-11109	243984-11-4	**TAK-242**	A small-molecule-specific inhibitor of Toll-like receptor (TLR) 4 signaling.
HY-50937	894787-30-5	**ST 2825**	An MyD88 pharmacologic inhibitor.
HY-15776	1456858-58-4	**HG-9-91-01**	A salt-inducible kinase (SIKs) inhibitor for SIK1/2/3 (IC_{50}=0.92/6.6/9.6 nM).
HY-13278	1012104-68-5	**IRAK inhibitor 4**	An interleukin-1 receptor associated kinase 4 (IRAK4) inhibitor.

JAK/STAT

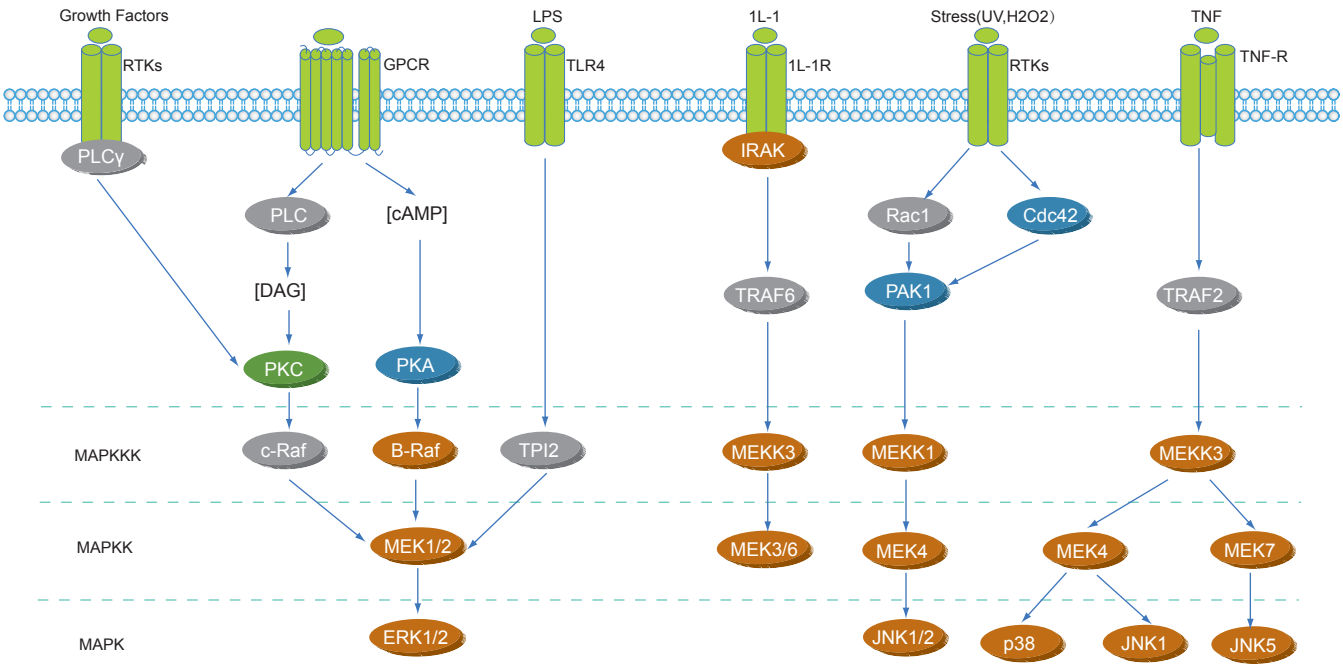
MedChemExpress offers novel potent and selective inhibitors for JAK/STAT signal transduction research. **MCE JAK/STAT Compounds** mainly consists of inhibitors for EGFR, JAKs, STATs, Pim kinase in JAK/STAT signaling. JAK/STAT pathway is involved in the regulation of the immune system and may also be linked to immune deficiency syndromes and cancers. JAK/STAT inhibitors are useful for JAK/STAT signal transduction research and related drug discovery.



Catalog No.	CAS No.	Products	Information
HY-10045	497839-62-0	**AEE788**	A potent inhibitor of EGFR and HER2/ErbB2 with IC_{50} of 2 nM and 6 nM.
HY-18095	1202916-90-2	**CX-6258**	A potent, orally efficacious Pim1/2/3 kinase (IC_{50}=5 nM/25 nM/16 nM) inhibitor.
HY-15146	501919-59-1	**NSC 74859**	A potent inhibitor of STAT3 with IC_{50} of 86 μM.
HY-10193	935666-88-9	**AZD-1480**	A novel ATP-competitive JAK2 inhibitor with IC_{50} of 0.26 nM.
HY-50856	941678-49-5	**Ruxolitinib**	A potent, selective JAK1/2 inhibitor with IC_{50} of 3.3 nM/2.8 nM.
HY-10962	1056636-06-6	**CYT387 sulfate salt**	An ATP-competitive inhibitor of JAK1/JAK2 with IC_{50} of 11 nM/18 nM.
HY-18300	1206161-97-8	**GLPG0634**	A selective JAK1 inhibitor for JAK1/2/3, and TYK2 (IC_{50}=10/28/810 nM, and 116 nM).
HY-15166	937270-47-8	**SB1317**	A novel small molecule potent CDK2/JAK2/FLT3 inhibitor with IC_{50} of 13/73/56 nM.
HY-15604	1204144-28-4	**AZD1208**	A novel, orally bioavailable, highly selective Pim kinases inhibitor.
HY-13775	945755-56-6	**XL019**	A potent and selective JAK2 inhibitor with IC_{50} of 2.2 nM.

MAPK/ERK

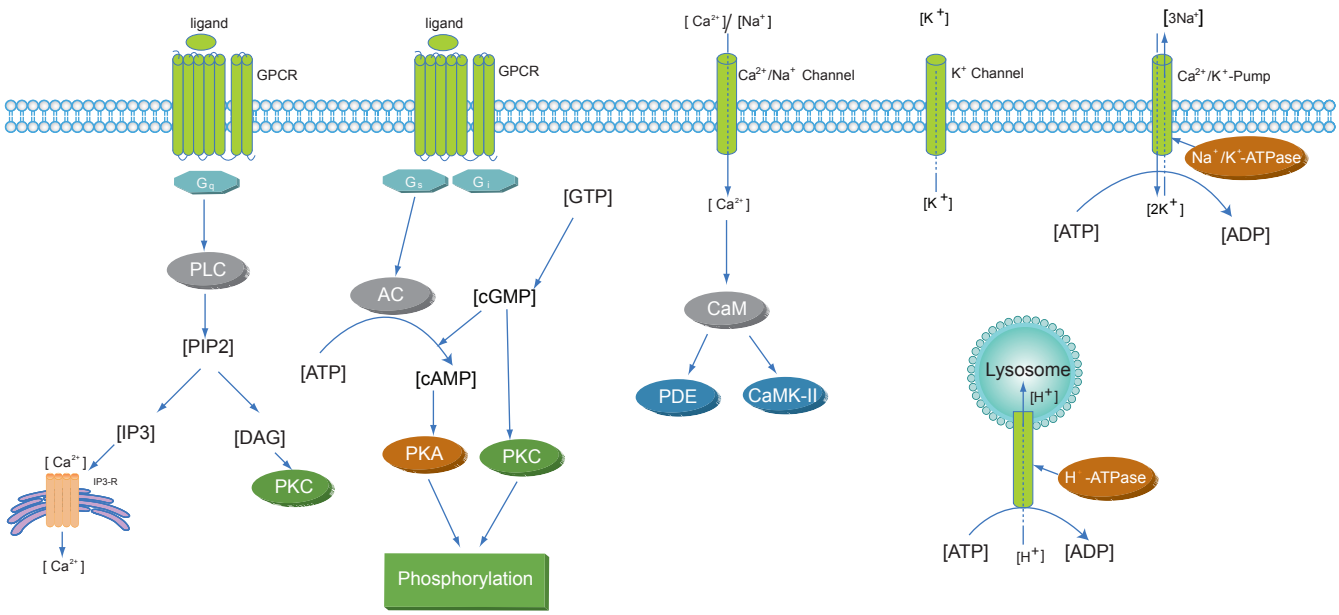
MedChemExpress offers potent and selctive inhibitors of MAPK/ERK signaling pathway. **MCE MAPK/ERK Compounds** mainly consists of inhibitors for ERKs, JNK, p38 MAPK, Raf kinase. The MAPK/ERK pathway (also known as the Ras-Raf-MEK-ERK pathway) is involved in the development of cancers. MAPK/ERK inhibitors are valuable for MAPK/ERK pathway research and anticancer drug discovery.



Catalog No.	CAS No.	Products	Information
HY-15610	1168091-68-6	**GDC-0623**	A potent inhibitor of MEK1 (Ki=0.13 nM).
HY-13064	934660-93-2	**Cobimetinib**	A potent, highly selective inhibitor of MEK1/2.
HY-50706	606143-52-6	**Selumetinib**	A potent, highly selective MEK1 inhibitor with IC_{50} of 14 nM.
HY-10999	871700-17-3	**Trametinib**	A highly specific and potent MEK1/2 inhibitor with IC_{50} of 0.92 nM/1.8 nM.
HY-50846	942183-80-4	**SCH772984**	A novel, specific inhibitor of ERK1/2 with IC_{50} of 4 nM and 1 nM, respectively.
HY-14443	1234480-50-2	**XMD8-92**	A selective inhibitor of BMK1/DCAMKL2/PLK4/TNK1 (Kd=80/190/600/890 nM).
HY-15605	1269440-17-6	**LGX818**	An orally available mutated B-Raf V600E inhibitor with IC_{50} of 0.3 nM.
HY-10966	405554-55-4	**SB-590885**	A potent B-Raf inhibitor with Ki of 0.16 nM.
HY-12057	918504-65-1	**Vemurafenib**	A novel and potent inhibitor of B-Raf V600E with IC_{50} of 31 nM.
HY-15246	1096708-71-2	**MLN 2480**	An oral, selective pan-Raf kinase inhibitor in clinical trials.

Ion Channel/Membrane Transporter

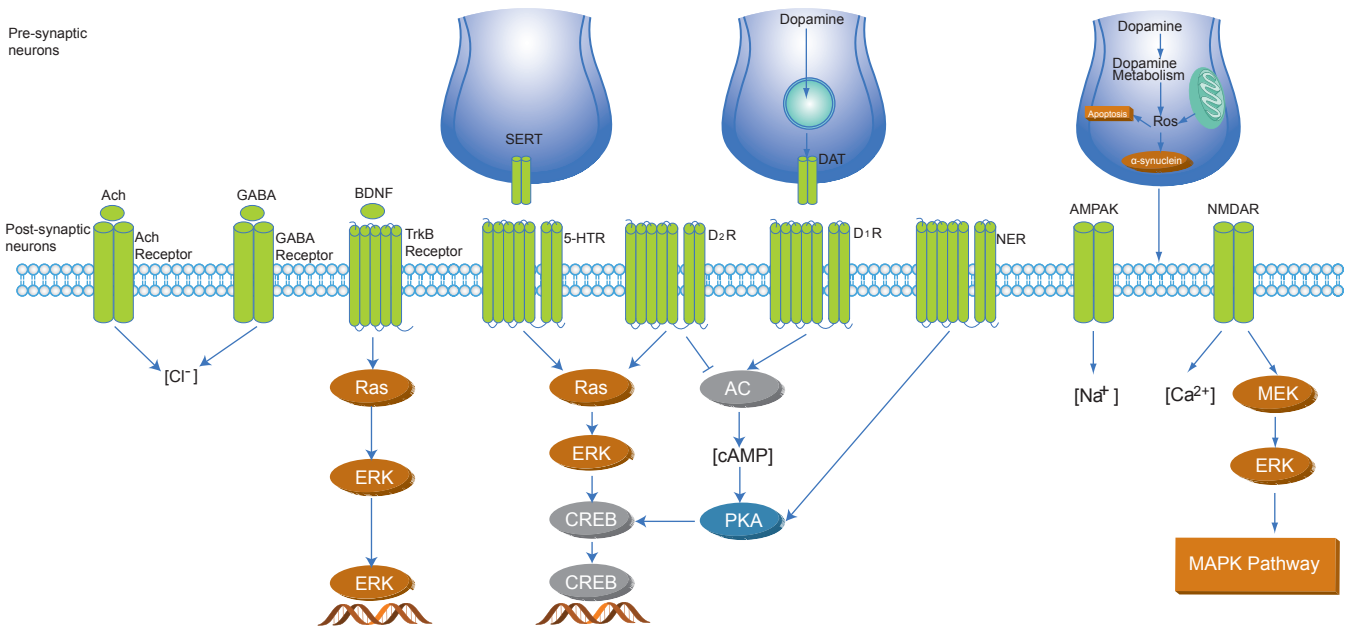
MedChemExpress provides a broad range of Ion Channel/ Membrane Transporter molecules (blockers or openers) for biological researchers. **MCE Ion Channel/Membrane Transporter Compounds** consists of molecules that may interfere with AMPAR, GABAR, sodium channel, K^+channel and CFTR. These targets play essential roles in the nervous system and cardiac, skeletal, and smooth muscle contraction, epithelial transport of nutrients and ions, T-cell activation and pancreatic beta-cell insulin release.



Catalog No.	CAS No.	Products	Information
HY-10015	870653-45-5	**PAP-1**	A selective inhibitor of Kv1.3, voltage-gated K^+ channel.
HY-50694	289656-45-7	**Senicapoc**	A Gardos channel blocker for Ca^{2+}-induced rubidium flux from human RBCs/ inhibited RBC dehydration (IC_{50}=11/30 nM).
HY-14188	19774-82-4	**Amiodarone hydrochloride**	Amiodarone is an antiarrhythmic drug for inhibition of ATP-sensitive potassium channel with IC_{50} of 19.1 μM.
HY-14894	761423-87-4	**Ipragliflozin**	A highly potent and selective SGLT2 inhibitor with IC_{50} of 2.8 nM.
HY-15718A	374559-48-5	**Istaroxime hydrochloride**	A positive inotropic agent that mediates its action through inhibition of sodium/potassium adenosine triphosphatase (Na^+/K^+ ATPase).
HY-13248	496791-37-8	**AR-C155858**	A novel inhibitor of monocarboxylate transporters (MCTs) MCT1 and MCT2 (Ki=2.3, <10 nM, respectively).
HY-10451	842133-18-0	**Canagliflozin**	A highly potent and selective SGLT2 inhibitor for hSGLT2 with IC_{50} of 2.2 nM.
HY-15515	223104-29-8	**SEA0400**	A novel and selective inhibitor of the Na^+-Ca^{2+} exchanger with IC_{50} of 5-33 nM.
HY-13017	873054-44-5	**Ivacaftor**	A potentiator of CFTR targeting G551D-CFTR and F508del-CFTR (EC_{50}=100/25 nM).
HY-15553A	116666-63-8	**Mibefradil dihydrochloride**	A calcium channel blocker for T-type and L-type channels respectively (IC_{50}=2.7/18.6 μM).

Neuronal Signaling

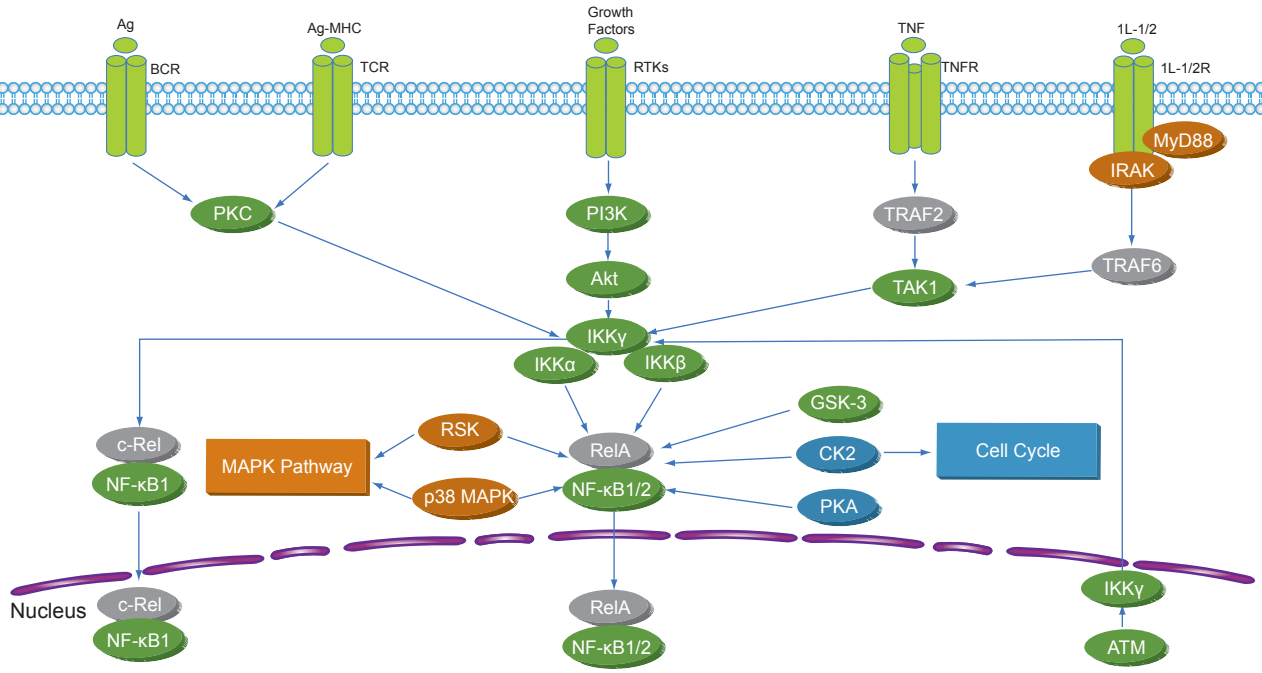
MedChemExpress offers both novel and classic compounds for Neuronal Signaling research. **MCE Neuronal Signaling Compounds** mainly consists of inhibitors or agonists for AChE, mAChR, NMDA receptor, Beta-secretase and Cyclooxygenase. The role of the nervous system is to transfer information from the PNS to the CNS, process the information in the CNS, and send back information to the PNS, which results in the transfer of information from the external environment, through neurons, and back again to the external environment. Neuronal Signaling is involved in CNS disorders, such as Parkinson disease, Alzheimer disease.



Catalog No.	CAS No.	Products	Information
HY-50752	209984-57-6	**LY-411575**	A potent γ-secretase inhibitor with IC_{50} of 0.078 nM/0.082 nM (membrane/cell-based).
HY-15368	846589-98-8	**Lorcaserin Hydrochloride**	A selective full agonist of human 5-HT2C receptor with Ki of 15 nM.
HY-12247	864821-90-9	**Eluxadoline**	An orally active mixed μ opioid receptor (μOR) agonist δ opioid receptor (δOR) antagonist.
HY-15780	913611-97-9	**Brexpiprazole**	A novel D2 dopamine partial agonist.
HY-32709	781649-09-0	**MK-0974**	A CGRP receptor antagonist for human and rhesus CGRP receptors (Ki=0.77/1.2 nM)
HY-15498	1289023-67-1	**BMS-927711**	A highly potent, oral CGRP receptor antagonist (Ki=0.027 nM).
HY-15430A	550999-74-1	**EVP-6124 hydrochloride**	A novel partial agonist of α7 nAChR.
HY-76299	357-70-0	**Galanthamine**	A long-acting, centrally active acetylcholinesterase (AChE) inhibitor (IC_{50}=410 nM).
HY-18163	1351761-44-8	**GNE-7915**	A potent, selective and brain-penetrable LRRK2 inhibitor with IC_{50} of 9 nM.
HY-11102	847925-91-1	**RO4929097**	A γ-secretase inhibitor with IC_{50} of 4 nM.

NF–κB

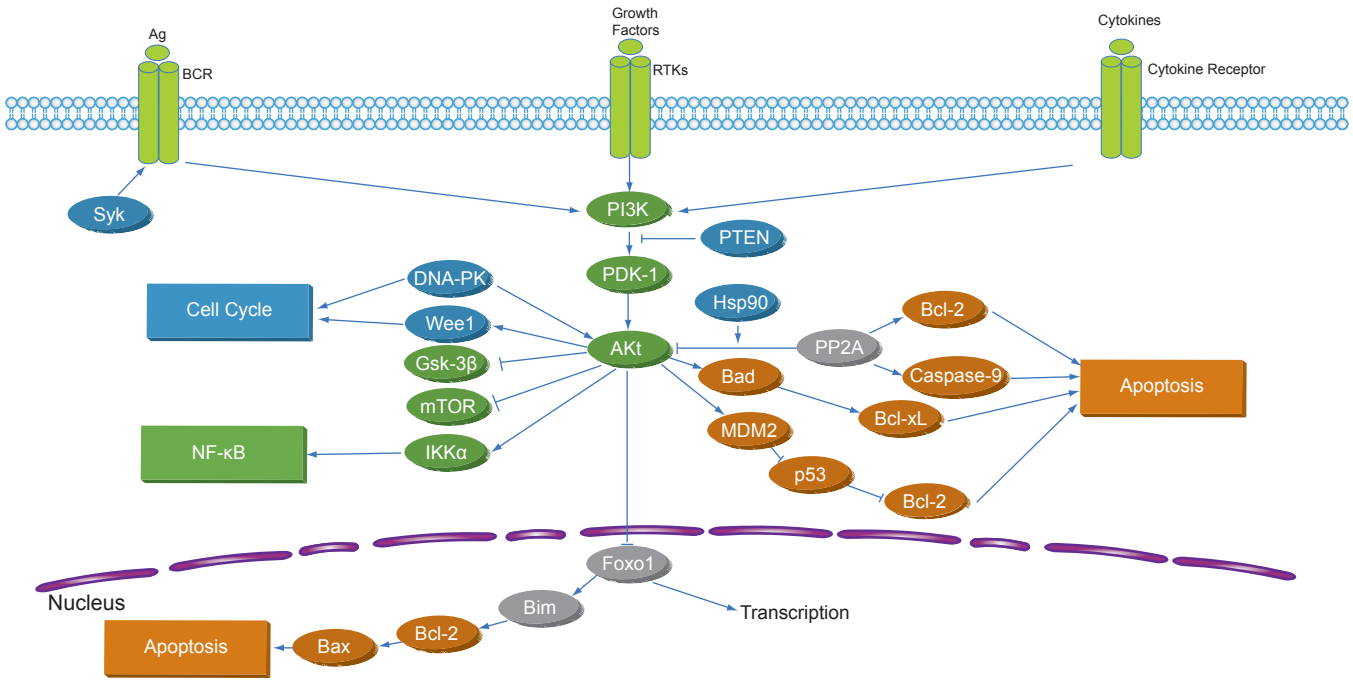
MedChemExpress offers potent and selective inhibitors for NF–κB signaling pathway. **MCE NF-κB Signaling Compounds** mainly consists of inhibitors for IKKs, NF-κB, TAK1 and HDAC. NF-κB plays a key role in regulating the immune response to infection. Incorrect regulation of NF-κB has been linked to cancer, inflammation, and autoimmune diseases, septic shock, viral infection, and improper immune development. MCE NF-κB Signaling compound library is also available.



Catalog No.	CAS No.	Products	Information
HY-13687	873225-46-8	**IKK 16**	A selective IKK-2/IKK complex/IKK1 (IC_{50}=40/70/200 nM) inhibitor.
HY-13060	406209-26-5	**IKK-2 inhibitor VIII**	A potent and selective IKK-2 inhibitor with IC_{50} of 8.5 nM.
HY-10074	507475-17-4	**TPCA-1**	A potent, selective inhibitor of IKK-2 with IC_{50} of 17.9 nM.
HY-13812	545380-34-5	**QNZ**	An inhibitor of PMA/PHA-induced NF-κB pathway activation (IC_{50}=9 nM).
HY-10838	317318-70-0	**GW 501516**	A potent and highly selective PPARβ/δ agonist, with EC_{50} of 1 nM.
HY-15655	196808-24-9	**GW1929**	A PPARγ agonist of human/mouse PPARγ (IC_{50}=6.2 nM/13 nM).
HY-16026	1316214-52-4	**ACY-1215**	A selective HDAC6 inhibitor with IC_{50} of 5 nM.
HY-16914	852475-26-4	**MC1568**	A selective HDAC II inhibitor with IC_{50} of 220 nM.
HY-15473	783348-36-7	**MLN120B**	A potent and effective IKKβ inhibitor.
HY-12213	932730-51-3	**CDDO-EA**	An activator of Nrf2/ARE.

PI3K/Akt/mTOR

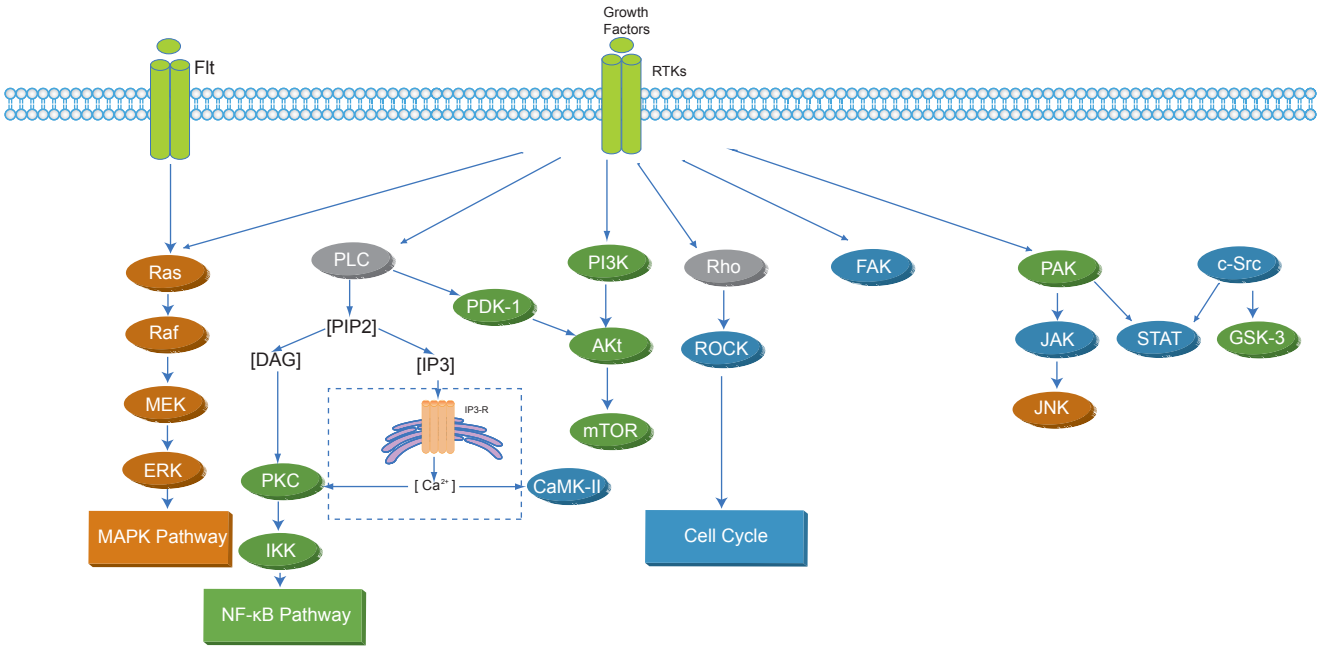
MedchemExpress offers potent and specific inhibitors for PI3K/Akt/mTOR signaling pathway. **MCE PI3K/Akt/mTOR Compounds** mainly consist of inhibitors for PI3K, DNA-PK, GSK-3, mTOR, PDK1 and Akt. PI3K/AKT/mTOR pathway is an intracellular signaling pathway which is important in regulating cell cycle, directly related to cellular quiescence, proliferation, cancer, and longevity. These compounds are useful for anticancer signaling research and drug development. MCE PI3K/Akt/mTOR compound library is also available.



Catalog No.	CAS No.	Products	Information
HY-10218	159351-69-6	**Everolimus**	An mTOR inhibitor of FKBP12 with IC_{50} of 1.6-2.4 nM.
HY-15247	1009298-59-2	**AZD2014**	A novel mTOR inhibitor with IC_{50} of 2.8 nM.
HY-13003	1222998-36-8	**Torin 1**	A potent inhibitor of mTORC1/2 with IC_{50} of 2 nM/10 nM.
HY-13002	1223001-51-1	**Torin 2**	A potent and selective mTOR inhibitor with IC_{50} of 0.25 nM.
HY-10108	154447-36-6	**LY294002**	An inhibitor of PI3Kα/δ/β (IC_{50}=0.5/0.57/0.97 μM).
HY-13261	1166227-08-2	**A66**	A potent and specific p110α inhibitor with IC_{50} of 32 nM.
HY-13026	870281-82-6	**CAL-101**	A selective p110δ inhibitor with IC_{50} of 2.5 nM.
HY-10358	1032350-13-2	**MK 2206**	A highly selective inhibitor of Akt1/2/3 with IC_{50} of 8 nM/12 nM/65 nM.
HY-15965	1047634-65-0	**GSK2141795**	A potent and selective pan-Akt inhibitor Akt1/2/3 (IC_{50}=180/328/38 nM).
HY-13898	1282512-48-4	**GDC-0032**	A next-generation β isoform-sparing PI3K inhibitor for PI3Kα/δ/γ (IC_{50}=0.29/0.12/0.97 nM).

Protein Tyrosine Kinase/RTKs

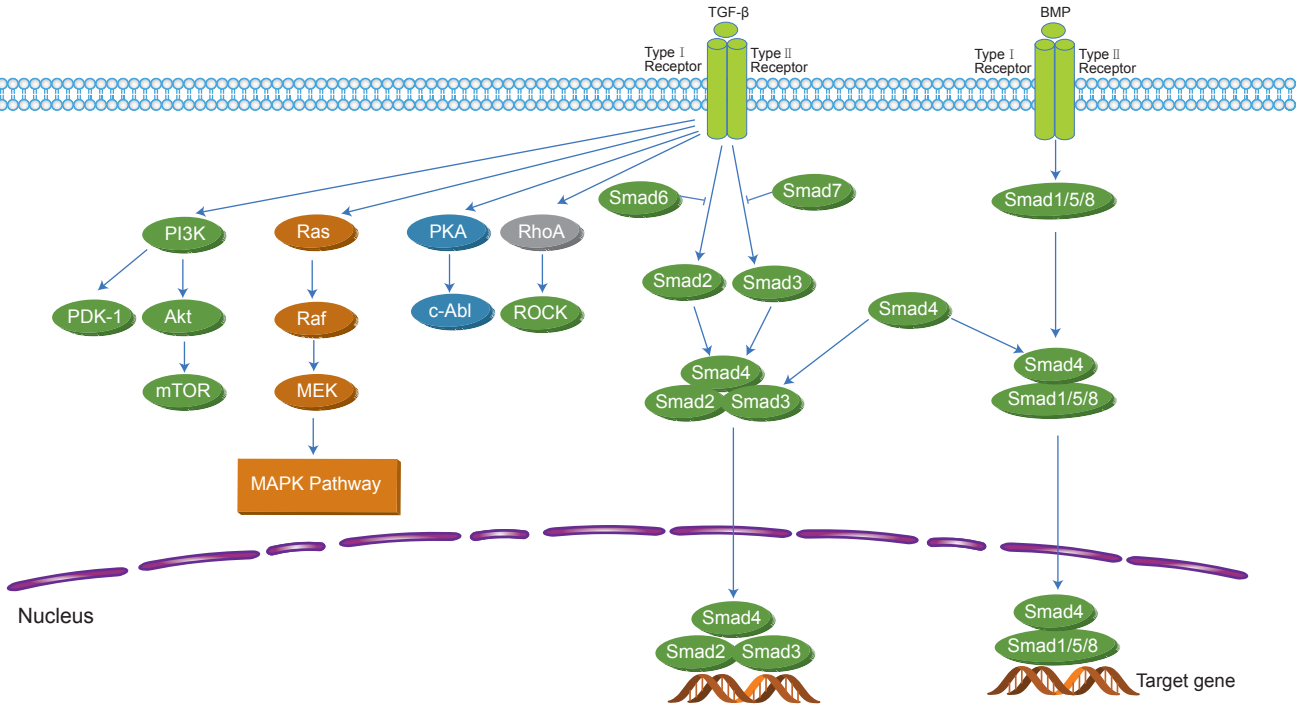
MedChemExpress offers a broad range of potent and selective tyrosine kinases inhibitors for researchers. **MCE Tyrosine Kinase Compounds** mainly consist of inhibitors for EGFR, FGFR, PDGFR, FAK, ALK, VEGFR, Bcr-Abl and Src family kinases. Phosphorylation of proteins by kinases is an important mechanism in communicating signals within a cell (signal transduction) and regulating cellular activity, such as cell division. Mutated kinase inhibitors are also in our product list.



Catalog No.	CAS No.	Products	Information
HY-15656	1032900-25-6	**LDK378**	A potent inhibitor against ALK with IC_{50} of 0.2 nM.
HY-13917	1061353-68-1	**PND-1186**	A potent FAK inhibitor with IC_{50} of 1.5 nM.
HY-15494	477-47-4	**AXL1717**	An orally active IGF-1R inhibitor with IC_{50} of 1 nM.
HY-50904	656247-17-5	**BIBF 1120**	A triple angiokinase inhibitor for VEGFR1/2/3, FGFR1/2/3, PDGFRα/β (IC_{50}=34/13/13/69/37/108/59/65 nM).
HY-18012	1202757-89-8	**AVL-292**	A covalent, highly selective, orally active small molecule inhibitor of Btk with IC_{50} value of 0.5 nM.
HY-10997	936563-96-1	**PCI-32765**	A potent and highly selective Btk inhibitor with IC_{50} of 0.5 nM.
HY-18018	1242156-23-5	**RN486**	A selective Btk inhibitor with an IC_{50} value of 4.0 nM.
HY-12026	1213269-23-8	**WZ4002**	A novel, mutant-selective EGFR inhibitor for EGFR(L858R)/(T790M) with IC_{50} of 2 nM/ 8 nM.
HY-10374	204005-46-9	**SU5416**	A potent and selective VEGFR(Flk-1/KDR) inhibitor with IC_{50} of 1.23 μM.
HY-10205	288383-20-0	**Cediranib**	A highly potent VEGFR(KDR) inhibitor with IC_{50} of <1 nM.

TGF-beta/Smad

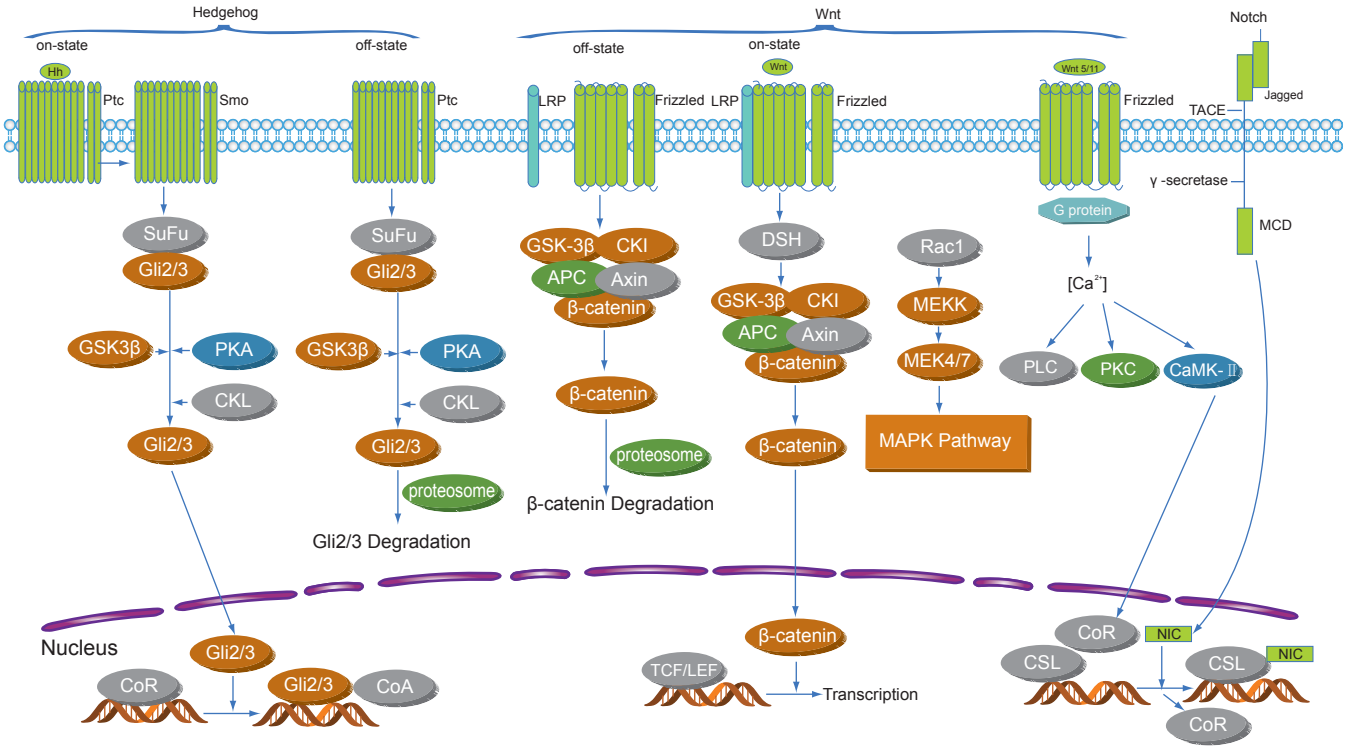
MedChemExpress offers potent and selective inhibitors of TGF-beta/Smad signaling pathway. **MCE TGF-beta/Smad Compounds** mainly consist of inhibitors for PKC, ROCK kinase, PKC, Pyk2 and TGF-beta receptor. TGF beta signaling pathway is involved in many cellular processes in both the adult organism and the developing embryo including cell growth, cell differentiation, apoptosis, cellular homeostasis and other cellular functions.



Catalog No.	CAS No.	Products	Information
HY-13866A	125314-64-9	**Ro 31-8220**	A pan-PKC inhibitor for PKC-α/PKC-βI/PKC-βII/PKC-γ/PKC-ε (IC_{50}=5/24/14/27/24 nM).
HY-10342	170364-57-5	**Enzastaurin**	A potent PKCβ selective inhibitor with IC_{50} of 6 nM.
HY-10343	425637-18-9	**Sotrastaurin**	A potent and selective pan-PKC inhibitor, mostly for PKCθ with Ki of 0.22 nM.
HY-15141	62996-74-1	**Staurosporine**	A potent PKC, PKA and PKG inhibitor with IC_{50} of 0.7, 7 and 8.5 nM.
HY-11000	864082-47-3	**GSK429286A**	A selective inhibitor of ROCK1 and ROCK2 with IC_{50} of 14 nM and 63 nM, respectively.
HY-15556	850664-21-0	**GSK269962A**	A potent ROCK inhibitor for ROCK1/ROCK2 (IC_{50}=1.6/4 nM).
HY-10341A	103745-39-7	**Fasudil**	A potent inhibitor of ROCK-II, PKA, PKG, PKC, MLCK (Ki=0.33/1.6/1.6/3.3/36 μM).
HY-10071	146986-50-7	**Y-27632**	A selective ROCK1 (p160ROCK) inhibitor with Ki of 140 nM.
HY-13226	700874-72-2	**LY2157299**	A potent TGF-β receptor I (TβRI) inhibitor with IC_{50} of 56 nM.
HY-13462	396129-53-6	**LY-364947**	A potent and selective inhibitor of ALK5 with IC_{50} of 94 nM.

Wnt/Hedgehog/Notch

MedChemExpress offers a series of potent and selective inhibitors of Wnt/Hedgehog/Notch signaling pathway. **MCE Wnt/Hedgehog/Notch Compounds** mainly consist of inhibitors for Gli, Hedgehog, Notch, Porcupine and Smoothened. Wnt, Hedgehog, and Notch are key regulators of cell growth, proliferation, migration and differentiation in several tissues. Their related signaling pathways are frequently activated in neoplasms, and particularly in the rare subpopulation of cancer stem cells.



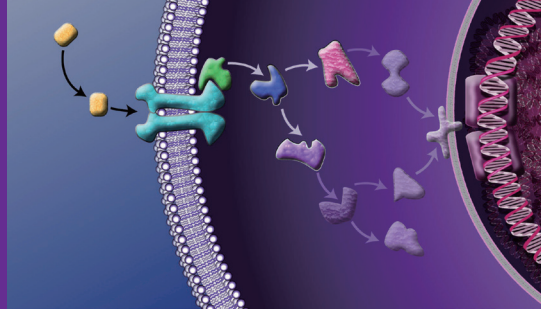
Catalog No.	CAS No.	Products	Information
HY-10440	879085-55-9	**Vismodegib**	A more potent novel and specific synthetic oral hedgehog pathway inhibitor (IC_{50}=3 nM).
HY-12419	1584713-87-0	**BMS-983970**	An oral pan-Notch inhibitor for the treatment of cancer.
HY-13459	1373615-35-0	**PF-5274857**	An Smo antagonist, inhibits Hh signaling (IC_{50}/Ki=5.8 nM/4.6 nM).
HY-17024	4449-51-8	**Cyclopamine**	A specific Hh signaling pathway antagonist of Smo (IC_{50}=46 nM).
HY-16665	677331-12-3	**iCRT 14**	A novel potent inhibitor of β-catenin-responsive transcription (CRT) with IC_{50} of 40.3 nM.
HY-11035	1123231-07-1	**WAY-262611**	A wingless β-Catenin agonist with EC_{50} of 0.63 uM in TCF-Luciferase assay.
HY-12238	1127442-82-3	**IWR-1**	A novel inhibitor of Wnt signaling by stabilizing the Axin destruction complex (EC_{50}=0.2 μM).
HY-14428	847591-62-2	**ICG-001**	Antagonizes Wnt/β-catenin/TCF-mediated transcription/binds to CBP (IC_{50}=3 μM).
HY-13862	612487-72-6	**AZD1080**	A brain permeable inhibitor of GSK3-α and GSK3-β (Ki=6.9/31 nM).
HY-10182	252917-06-9	**CHIR-99021**	A GSK-3α/β inhibitor with IC_{50} of 10 nM/6.7 nM.

Others

MedChemExpress also offers a number of promising inhibitors/agonists that are not included in the above-mentioned categories. These compounds can be applied on targets that are currently attracting great interests in drug discovery. These targets are linked to nerve disease, endocrinesystem disorders, tumorigenesis, metabolic disease and other diseases. Some examples of targets that our compounds can target are PDE, CaMK-II, FXR, Indoleamine-(2,3)-dioxygenase, Integrin and, Nampt.

Catalog No.	CAS No.	Products	Information
HY-70002	915087-33-1	**MDV3100**	An androgen-receptor (AR) antagonist with IC_{50} of 36 nM.
HY-16508	126784-99-4	**Ulipristal Acetate**	A novel SPRM for the treatment of benign gynecological conditions.
HY-16500	82964-04-3	**Tolrestat**	A potent, orally active aldose reductase inhibitor with IC_{50} value of 35 nM.
HY-14992	439083-90-6	**Bay 60-7550**	A potent PDE2 inhibitor with IC_{50} values of 2.0 nM (bovine) and 4.7 nM (human).
HY-15424	24386-93-4	**5-Iodotubercidin**	A potent Adenosine Kinase inhibitor (IC_{50}= 26 nM).
HY-15689	1204669-58-8	**INCB 024360**	A potent and novel indoleamine-2,3 dioxygenase (IDO) inhibitor with an IC_{50} value <100 nM.
HY-15683	914471-09-3	**IDO-IN-2**	A potent IDO1 inhibitor (IC_{50}=10 nM) with desirable pharmaceutical properties.
HY-70062	905579-51-3	**MLN4924**	A potent and selective small molecule NAE inhibitor (IC_{50}= 4.7 nM).
HY-16141	188968-51-6	**Cilengitide**	A potent integrin inhibitor for αvβ3 and αvβ5 integrin with IC_{50} of 4.1 nM and 79 nM, respectively.
HY-15441	1082744-20-4	**PF-04447943**	A selective brain penetrant PDE9 inhibitor for human/rhesus/rat recombinant PDE9 (Ki=2.8/4.5/18 nM).
HY-15845	307543-71-1	**STF-083010**	A novel small-molecule inhibitor of IRE1α, inhibits Ire1 endonuclease activity.
HY-17537	1216665-49-4	**APY29**	An allosteric modulator of IRE1α, inhibits IRE1α autophosphorylation with IC_{50} of 280 nM.
HY-16082	252017-04-2	**AZD7545**	A novel, selective small-molecule inhibitor of PDHK2 with IC_{50} of 6.4 nM.
HY-15425	1415562-82-1	**PF-543**	A novel cell-permeant inhibitor of SphK1 with Ki of 3.6 nM.
HY-13949	218156-96-8	**SRPIN340**	A potent and specific SPRK1 inhibitor with Ki of 0.89 uM.
HY-10010	461054-93-3	**Ko 143**	A potent and selective BCRP inhibitor with EC_{90} of 26 nM, > 200-fold selectivity over P-gp and MRP-1.

Hot Products



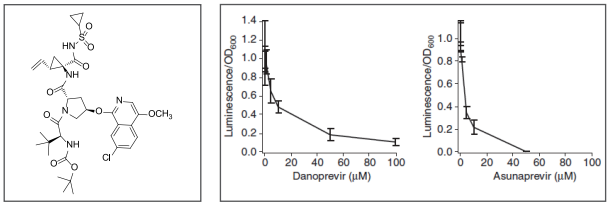
Anti-infection

HCV

Asunaprevi (BMS-650032)

HY-14434 **630420-16-5**

A potent hepatitis C virus (HCV) NS3 protease inhibitor.



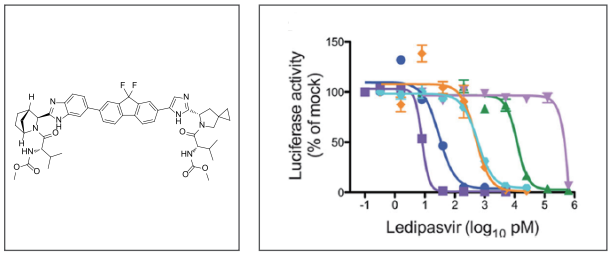
Host cells expressing the HCV PA-RNAP were incubated with HCV protease inhibitors Asunaprevir or Danoprevir for 90 min, followed by inoculation with HCV protease encoding phage.

Asunaprevir purchased from **MedChemExpress**.
[*Nat Commun.* 2014 Oct 30;5:5352.]

Ledipasvir (GS5885)

HY-15602 **1256388-51-8**

An inhibitory effect of Ledipasvir on the replication of various genotypes.

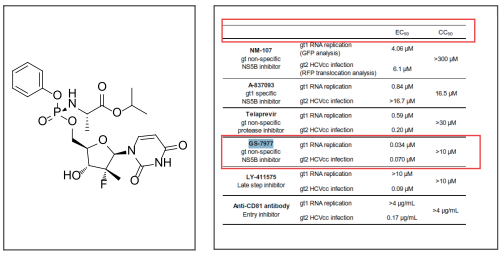


Ledipasvir purchased from **MedChemExpress**.
[*Antimicrob Agents Chemother.* 2014 Jun 30. pii: AAC.03534-14.]

GS-7977 (PSI-7977, Sofosbuvir)

HY-15005 **1190307-88-0**

An investigational nucleotide analog for treatment of chronic HCV infection.



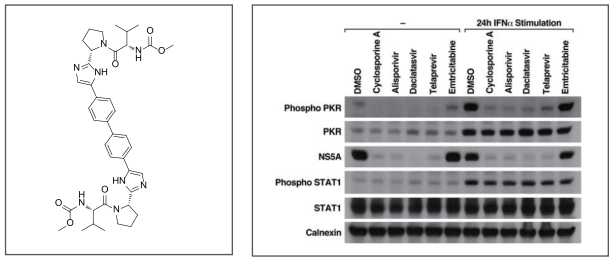
The table provides the EC50 and CC50 values calculated from sigmoidal fitting curves.

GS-7977 purchased from **MedChemExpress**.
[*Antiviral Res.* 2013 Jul;99(1):6-11.]

Daclatasvir (BMS-790052, EBP 883)

HY-10466 **1009119-64-5**

A first-in-class, highly-selective oral HCV NS5A inhibitor.



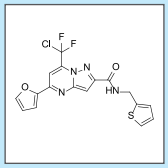
DAAs prevent the IFN-induced PKR activation in HCV-infected cells. JFH-1-infected Huh7.5.1 cells were treated with DAAs (Daclatasvir and Telaprevir) and Emtricitabine.

Daclatasvir purchased from **MedChemExpress**.
[*Open Virol J.* 2014 Mar 7;8:1-8.]

Anguizole

HY-13321 **442666-98-0**

A small molecule inhibitor of HCV replication and alters NS4B's subcellular distribution.



Company	Drug	Mechanism of action	Stage of clinical development
Biotron Limited	BIT225	Inhibits HCV P7 protein	Completed phase IIa
Roche	Danoprevir	Inhibits NS3 protein	Phase II
Merck & Co.	Vaniprevir	Inhibits NS3 protein	Phase II
Merck	Boceprevir	Inhibits NS3 protein	APPROVED
Vertex	Telaprevir	Inhibits NS3 protein	APPROVED
Bristol-Myers Squibb	TMC-435	Inhibits NS3 protein	Phase III
BoehringerIngelheim	BI 201335	Inhibits NS3 protein	Phase III
Gilead and Achillion Pharmaceuticals	ACH-806	NS4A antagonist	Phase 1b/2
EigerBioPharmaceuticals	Clemizole hydrochloride	Inhibitor of NS4B:RNA	Phase 1b
Med Chem express	Anguizole	Inhibitor of HCV RNA replication	Phase 1b
Brystol Mayer Squibb	BMS-790052	NS5A inhibitor	Phase III

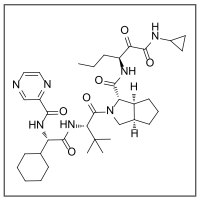
Drugs against Hepatitis C Virus infection.

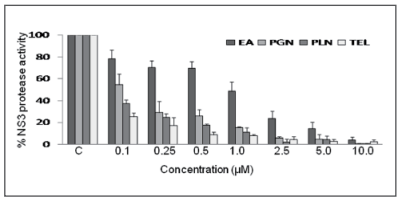
Anguizole purchased from **MedChemExpress**.
[*Arch Virol.* 2014 May;159(5):831-46.]

Telaprevir (VX-950)

HY-10235 **402957-28-2**

A potent, selective, peptidomimetic inhibitor of HCV NS3-4A serine protease (Ki=7 nM).





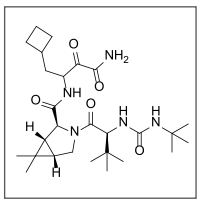
Experiment is performed with increasing concentrations (0.1, 0.25, 0.5, 1.0, 2.5, 5.0, 10.0 mM) of purified ellagitannins EA, PGN, PLN, TEL (Telaprevir).

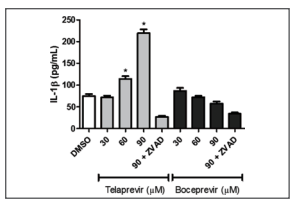
Telaprevir purchased from **MedChemExpress**.
[*Chem Res Toxicol.* 2014 Jun 16;27(6):949-51.]

Boceprevir (EBP-520, SCH503034)

HY-10237 **394730-60-0**

A HCV protease inhibitor (Ki=14 nM) for the treatment of hepatitis C virus infection.





Levels of IL-1β secreted by THP-1 derived macrophages in response to 18h of treatment with increasing concentrations of Telaprevir or Boceprevir in DMSO (0.25%).

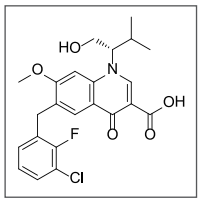
Boceprevir purchased from **MedChemExpress**.
[*Nat Commun.* 2014 Oct 30;5:5352.]

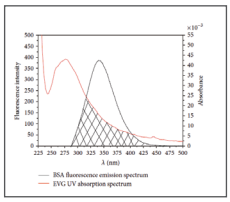
HIV

Elvitegravir (EVG, GS-9137)

HY-14740 **697761-98-1**

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.





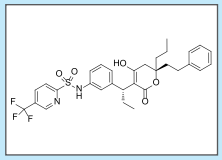
The overlap of the fluorescence spectrum of BSA and the absorbance spectrum of EVG (Elvitegravir).

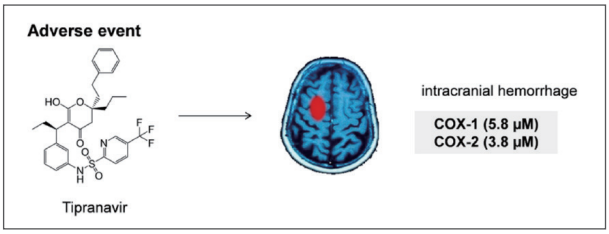
Elvitegravir purchased from **MedChemExpress**.
[Journal of Spectroscopy. 2015. Article ID 435674: p9.]

Tipranavir

HY-15148 **174484-41-4**

A nonpeptidic HIV protease inhibitor (NPPI), inhibits the enzymatic activity and dimerization of HIV-1 protease.





On- and off-target effects. For Tipranavir, targets identified by HTSFP provide explanations for their efficacy or side effects.

Tipranavir purchased from **MedChemExpress**.
[*ACS Chem Biol.* 2014 Jul 18;9(7):1622-31.]

Influenza Virus

Peramivir (RWJ 270201)

HY-17015A **330600-85-6**

A potent, specific influenza viral neuraminidase inhibitor with an IC_{50} of median 0.09 nM.

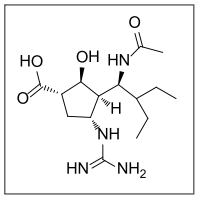


Table 1 | Resistance of the Shanghai/1-NA to NA inhibitors.

NA Inhibitor	Mean IC_{50} (95% CI) (nM)		Relative resistance (SH/AH)
	AH/1-NA (R292)	SH/1-NA (R292K)	
Oseltamivir	1.87 (1.65–2.11)	8,620 (6,590–11,300)	4,610
Peramivir	0.339 (0.259–0.443)	191 (150–242)	563
Zanamivir	3.75 (3.26–4.30)	40.1 (30.1–53.4)	11

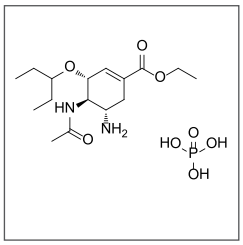
IC_{50}, median inhibitory concentration; 95% CI, 95% confidence interval.

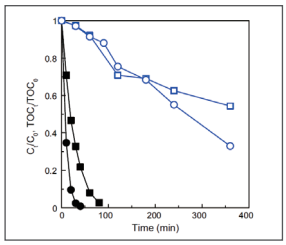
Peramivir purchased from **MedChemExpress**.
[*Nat Commun.* 2013 Dec 10;4:2854.]

Oseltamivir Phosphate

HY-17016 **204255-11-8**

A competitive neuraminidase inhibitor, which is an antiviral drug.





Photocatalytic degradation of the antiviral drug Oseltamivir Phosphate(OP) by UV-A/TiO2:Kinetics and mechanisms. OP concentration vs time changes during direct photolysis under UV-A irradiation.

Oseltamivir Phosphate (OP) purchased from **MedChemExpress**. [*Chemosphere*. 2015 Mar 9;131:41-47.]

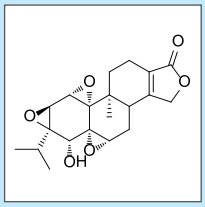
ADCs Related

ADCs cytotoxin

Triptolide

HY-32735 **38748-32-2**

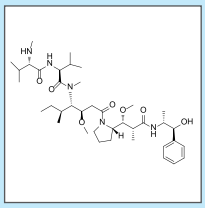
A diterpene triepoxide, immunosuppresive agent extracted from the Chinese herb Tripterygium wilfordii.



Monomethyl auristatin E

HY-15162 **474645-27-7**

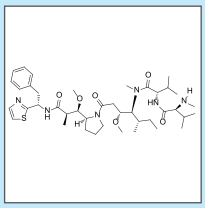
An antimitotic agent which inhibits cell division by blocking the polymerisation of tubulin.



MMAD

HY-15581 **203849-91-6**

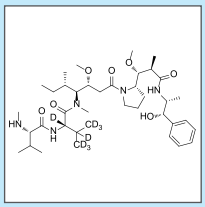
A potent tubulin inhibitor, a toxin payload in antibody drug conjugate.



D8-MMAE

HY-15162A

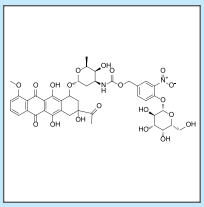
A deuterated form of MMAE, an antimitotic agent which inhibits cell division by blocking the polymerisation of tubulin.



Daun02

HY-13061 **290304-24-4**

A daunorubicin β-galactoside prodrug for use in conjunction.

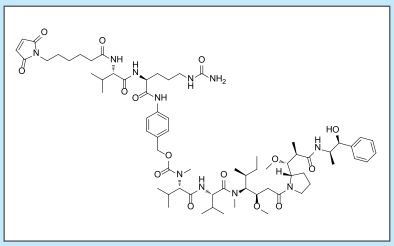


Antibody-drug conjugates

VcMMAE

HY-15575 **646502-53-6**

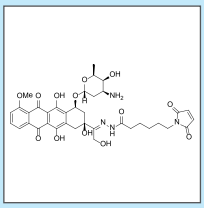
A antibody-drug conjugate (ADC) with potent antitumor activity.



INNO-206

HY-16261 **1361644-26-9**

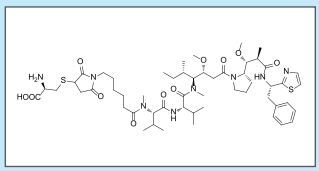
The 6-maleimidocaproyl hydrazone derivative prodrug of the anthracycline antibiotic doxorubicin (DOXO-EMCH) with antineoplastic activity.



Cys-mcMMAD

HY-15750

A potent tubulin inhibitor, a toxin payload in antibody drug conjugate.

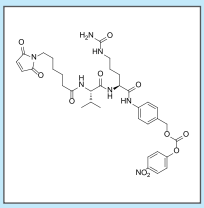


ADCs linker

Mc-Val-Cit-PABC-PNP

HY-20336 **159857-81-5**

A cathepsin cleavable ADC peptide linker.

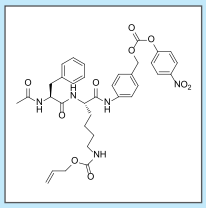


Hot Products

(Ac)Phe-Lys(Alloc)-PABC-PNP

HY-20560

A useful chemical linker in antibody drug conjugate.



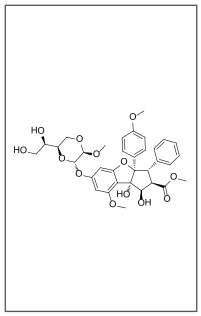
Apoptosis

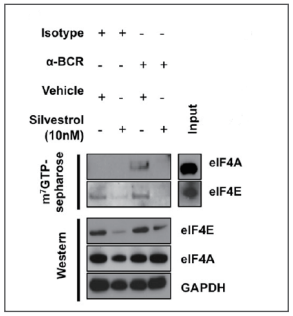
Apoptosis inducer

Silvestrol

HY-13251 **697235-38-4**

An apoptosis inducer in LNCaP cells through the mitochondrial/apoptosome pathway.





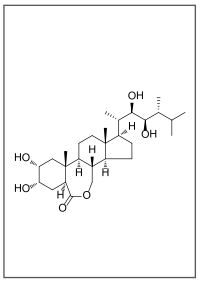
Silvestrol reduces eIF4A cap-binding activity, protein translation, and oncoprotein expression in activated human splenic B cells.

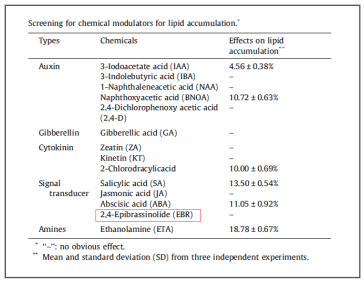
Silvestrol purchased from **MedChemExpress**.
[*Blood.* 2014 Dec 11;124(25):3758-67.]

Epibrassinolide (2, 4-epibrassinolide)

HY-N0848 **78821-43-9**

A potential apoptotic inducer in various cancer cells without affecting the non-tumor cell growth.





Screening for chemical modulators for lipid accumulation.*

Types	Chemicals	Effects on lipid accumulation**
Auxin	3-Iodoacetate acid (IAA)	4.56 ± 0.38%
	3-Indolebutyric acid (IBA)	–
	1-Naphthaleneacetic acid (NAA)	–
	Naphthoxyacetic acid (BNOA)	10.72 ± 0.63%
	2,4-Dichlorophenoxy acetic acid (2,4-D)	–
Gibberellin	Gibberellic acid (GA)	–
Cytokinin	Zeatin (ZA)	–
	Kinetin (KT)	–
	2-Chlorodracylicacid	10.00 ± 0.69%
Signal transducer	Salicylic acid (SA)	13.50 ± 0.54%
	Jasmonic acid (JA)	–
	Abscisic acid (ABA)	11.05 ± 0.92%
	2,4-Epibrassinolide (EBR)	–
Amines	Ethanolamine (ETA)	18.78 ± 0.67%

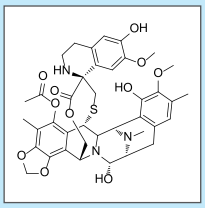
* "–": no obvious effect.
** Mean and standard deviation (SD) from three independent experiments.

Epibrassinolide purchased from **MedChemExpress**.
[*Bioresour Technol.* 2015 Mar 18. pii: S0960-8524(15)00400-9.]

Trabectedin (Ecteinascidin-743)

HY-50936 **114899-77-3**

A novel antitumour agent, inhibits breast cancer cell lines with IC_{50} of 0.1-3.7 nM.

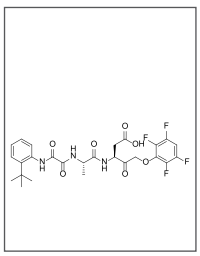


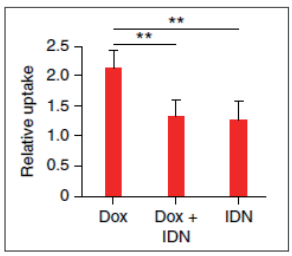
Caspase

Emricasan (IDN-6556)

HY-10396 **254750-02-2**

A potent irreversible pan-caspase inhibitor.





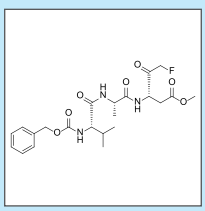
The ^{18}F-FHBG uptake is prohibited after adding the caspase inhibitor IDN6556.

IDN6556 purchased from **MedChemExpress**.
[*Nat Protoc.* 2015 May;10(5):807-21.]

Z-VAD-FMK

HY-16658 **187389-52-2**

A cell-permeable, irresible broad spectrum caspase inhibitor, blocks apoptosis.

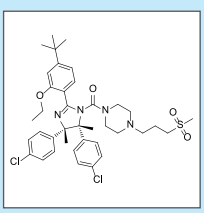


MDM2/p53

RG7112

HY-10959 **939981-39-2**

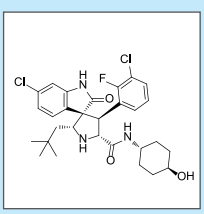
The first clinical small-molecule MDM2 inhibitor designed to occupy the p53-binding pocket of MDM2.



MI-773

HY-17493 **1303607-07-9**

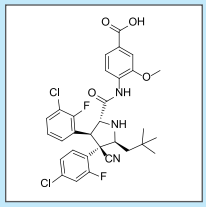
Binds to HDM2 (human double minute 2), preventing the binding of the HDM2 protein to the transcriptional activation domain of the tumor suppressor protein p53.



RG7388

HY-15676 **1229705-06-9**

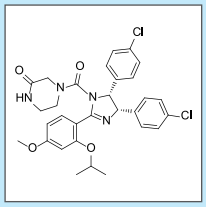
An oral, selective, small molecule MDM2 antagonist that inhibits binding of MDM2 to p53.



Nutlin-3a chiral

HY-10029 **675576-98-4**

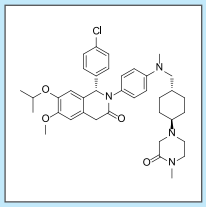
An MDM2 antagonist.



NVP-CGM097 (CGM-097)

HY-15954 **1313363-54-0**

A potent and selective MDM2 inhibitor, an orally bioavailable HDM2 antagonist with potential antineoplastic activity.

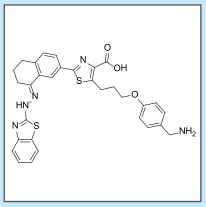


Bcl-2 Family

WEHI-539

HY-15607 **1431866-33-9**

A selective inhibitor of Bcl-xL with IC50 value of 1.1 nM.

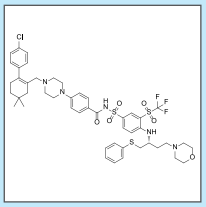


WEHI-539 purchased from **MedChemExpress**.
[*Cell.* 2014 Dec 18;159(7):1549-62.]

Navitoclax (ABT-263)

HY-10087 **923564-51-6**

A potent inhibitor of Bcl-xL, Bcl-2 and Bcl-w with Ki of ≤ 0.5 nM, ≤1 nM and ≤ 1 nM.

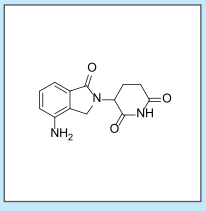


TGF-alpha

Lenalidomide (Revlimid, CC-5013)

HY-A0003 **191732-72-6**

A TNF-alpha secretion inhibitor with IC_{50} of 13 nM.



Cell Cycle/DNA Damage

Deubiquitinase

SJB2-043

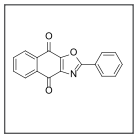
HY-15757 **63388-44-3**

A novel and potent USP1 (ubiquitin-specific protease 1) inhibitor.

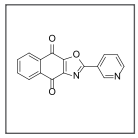
SJB3-019A

HY-80012

A potent and novel USP1 inhibitor.



SJB2-043



SJB3-019A

P22077	USP7, USP47	USP7 inhibition stabilizes p53, upregulates p21, destabilizes MDM2, and has *in vivo* efficacy in neuroblastoma xenograft models	[158,86]	
Pimozide (Teva Pharmaceuticals)	USP1, USP2, USP5, USP7, USP8, USP46-UAF1 complex	Non-competitive reversible inhibitor of USP1	[76]	
ML323	USP1	Inhibits USP1 *via* an allosteric mechanism	[77]	
SJB2-043 (X = C; MedChem Express), SJB3-019A (X = N; MedChem Express)	USP1	USP1 inhibition destabilizes ID transcription factors and increases the ubiquitination status of FANCD2 and FANCI	[78]	

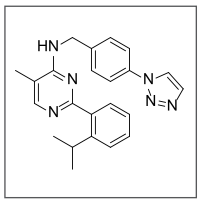
Deubiquitinase inhibitors and antagonists.

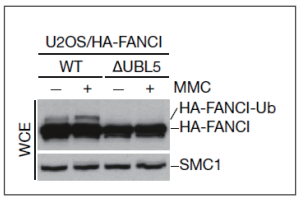
SJB3-019A and **SJB2-043** purchased from **MedChemExpress**.
[*Trends Pharmacol Sci.* 2014 Apr 6. pii: S0165-6147(14)00017-0.]

ML323

HY-17543 **1572414-83-5**

A reversible, potent USP1-UAF1 inhibitor with IC_{50} of 76 nM in a Ub-Rho assay and 174 nM and 820 nM in orthogonal gel-based assays using K63-linked diubiquitin (di-Ub) and monoubiquitinated PCNA (Ub-PCNA) as substrates, respectively.





ML323 increases monoubiquitylation of FANCI WT in undamaged cells, does not induce monoubiquitylation of FANCI ΔUBL5, indicating that this UBL5-binding mutant is refractory to monoubiquitylation under both basal and genotoxic stress conditions.

ML323 purchased from **MedChemExpress**.
[*EMBO J.* 2015 Apr 9. pii: e201490376.]

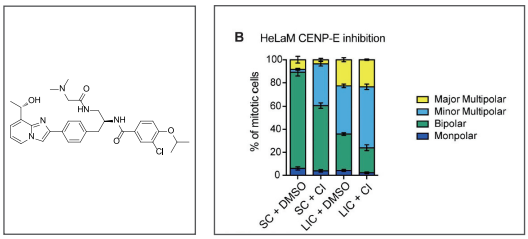
Hot Products

CENP-E

GSK-923295

HY-10299 **1088965-37-0**

A first-in-class, specific allosteric inhibitor of CENP-E kinesin motor ATPase with Ki of 3.2 nM.



Scrambled (SC) or LIC siRNA treated HeLaM cells. Depleted cells were treated with DMSO or CENP-E inhibitor (GSK-923295, CI).

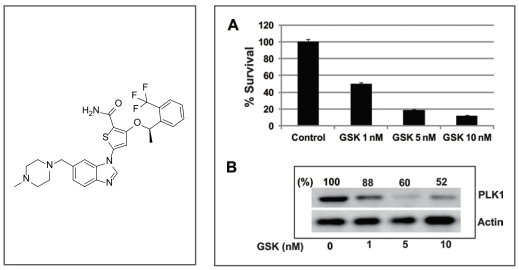
GSK-923295 purchased from **MedChemExpress**.
[*J Cell Biol.* 2014 Nov 24;207(4):499-516.]

Polo-like kinase (PLK)

GSK461364A

HY-50877 **929095-18-1**

A potent small molecule Polo-like kinase 1 (PLK1) inhibitor with a Ki of 2.2 nM.



Pharmacologic inhibition of PLK1 reduces GBM cell growth
U251 cells were exposed to GSK461364A or DMSO control. Western blot analysis of PLK1 protein levels from GSK461364A treated U251 cells, and actin levels were measured as a loading control.

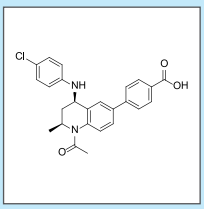
GSK461364A purchased from **MedChemExpress**.
[*Eur J Cancer.* 2013 Sep;49(14):3020-8.]

BET bromodomain

GSK1324726A (I-BET726)

HY-13960 **1300031-52-0**

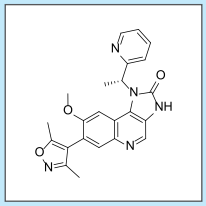
A novel, potent, and selective small molecule inhibitor of BET proteins with high affinity to BRD2 (IC_{50}= 41 nM), BRD3 (IC_{50}= 31 nM), and BRD4 (IC_{50}= 22 nM).



I-BET151 (GSK1210151A)

HY-13235 **1300031-49-5**

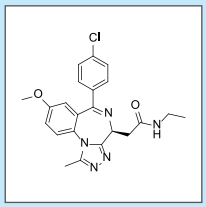
A BET bromodomain inhibitor with pIC_{50} of 6.1 for BED4.



GSK 525762A (I-BET 762)

HY-13032 **1260907-17-2**

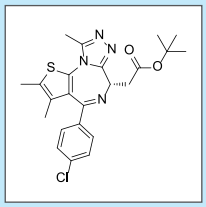
An inhibitor for BET proteins with IC_{50} of ~35 nM.



(+)-JQ-1

HY-13030 **1268524-70-4**

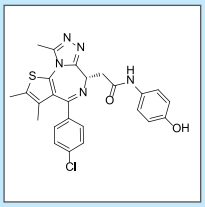
A BET bromodomain inhibitor, with IC_{50} of 77 nM/33 nM for BRD4(1/2).



OTX-015

HY-15743 **202590-98-5**

A new potent BRD2/3/4 inhibitor with evident anti-proliferative activity in several cell lines representative of mature B-cell tumors.

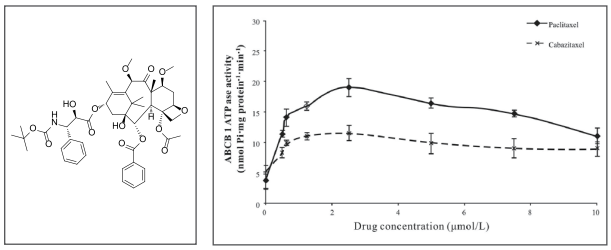


Microtubule/Tubulin

Cabazitaxel (XRP6258, RPR-116258A)

HY-15459 **183133-96-2**

A semi-synthetic derivative of the natural taxoid 10-deacetylbaccatin III with potential antineoplastic activity.



Stimulation of ABCB1 ATPase activity by Paclitaxel and Cabazitaxel.

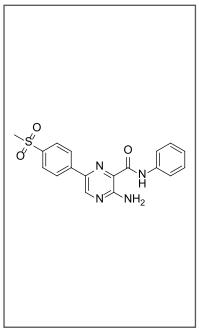
Cabazitaxel purchased from **MedChemExpress**.
[*Chinese Journal of Cancer.* 2015. 34:5.]

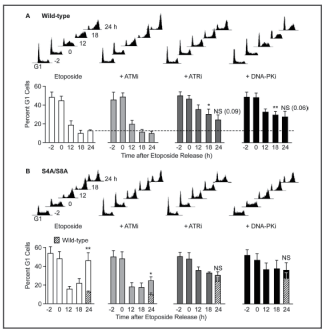
ATR

VE-821

HY-14731 **1232410-49-9**

A potent and selective ATP competitive inhibitor of ATR with Ki/IC50 of 13 nM/26 nM.





Cells were treated with KU60019 (ATMi), VE-821 (ATRi) or NU7441 (DNA-PKi) prior to etoposide treatment. (A) G1 cells are the left-most peak of each profile, average percentages of G1 cells (±SEM). (B) Cell cycle profiles and percent G1 cells for etoposide-treated RPA32 S4A/S8A cellsas in panel A.

VE-821 purchased from **MedChemExpress**.

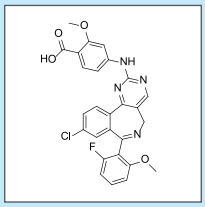
[*DNA Repair (Amst).* 2014 May 9. pii: S1568-7864(14)00121-9.]

Aurora Kinase

Alisertib

HY-10971 **1028486-01-2**

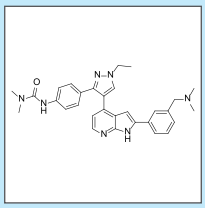
A selective Aurora A inhibitor with IC50 of 1.2 nM.



GSK-1070916

HY-70044 **942918-07-2**

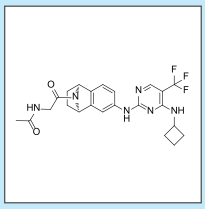
A reversible and ATP-competitive inhibitor of Aurora B/C with IC50 of 3.5 nM/6.5 nM, with Ki of 0.38 nM and 1.5 nM.



PF-03814735

HY-14574 **942487-16-3**

A novel, potent, orally bioavailable, reversible Aurora kinase inhibitor with IC50 of 0.8, 5, 10 and 22 nM for Aurora A, Aurora B, Flt 1 and FAK, respectively.

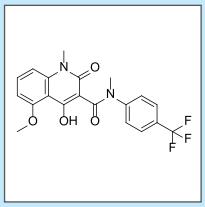


HDAC

Tasquinimod (ABR-215050)

HY-10528 **254964-60-8**

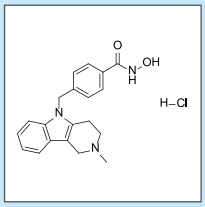
A quinoline-3-carboxamide linomide analogue with antiangiogenic and potential antineoplastic activities.



Tubastatin A Hydrochlorid

HY-13271 **1310693-92-5**

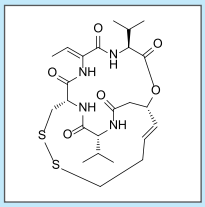
A potent and selective HDAC6 inhibitor with IC50 of 15 nM.



Romidepsin (FK228, Depsipeptide)

HY-15149 **128517-07-7**

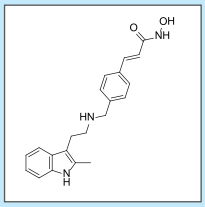
A potent HDAC1 and HDAC2 inhibitor with IC50 of 36 nM and 47 nM, respectively.



Panobinostat (LBH-589)

HY-10224 **404950-80-7**

A broad-spectrum HDAC inhibitor.

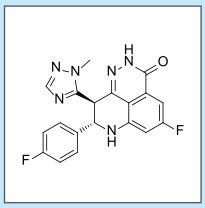


PARP

BMN-673

HY-16106 **1207456-01-6**

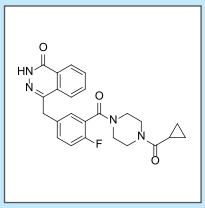
A novel PARP1/2 inhibitor with IC50 of 0.58 nM (PARP1).



Olaparib (AZD2281, KU0059436)

HY-10162 **763113-22-0**

A potent PARP inhibitor with IC50 of 5 and 1 nM for PARP-1 and PARP-2, respectively.

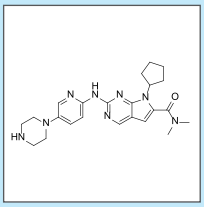


CDK

LEE011

HY-15777 **1211441-98-3**

An orally available cyclin-dependent kinase (CDK) inhibitor targeting cyclin D1/CDK4 and cyclin D3/CDK6 cell cycle pathway, with potential antineoplastic activity.

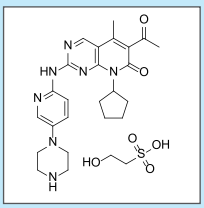


Palbociclib isethionate

(PD-0332991 isethionate)

HY-A0065 **827022-33-3**

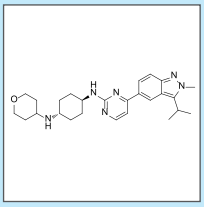
A highly specific inhibitor of CDK4 (IC_{50}=11 nM) and CDK6 (IC_{50}=16 nM).



LY2857785

HY-12293 **1619903-54-6**

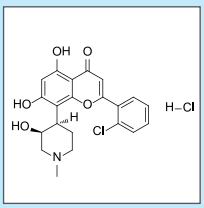
A potent and selective CDK9 inhibitor.



Flavopiridol Hydrochloride

HY-10006 **131740-09-5**

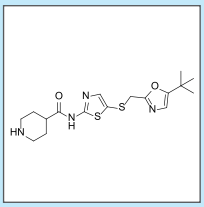
A potent CDKs inhibitor for CDK1, CDK2, CDK4 and CDK6 with IC_{50}s of ~ 40 nM.



SNS-032 (BMS-387032)

HY-10008 **345627-80-7**

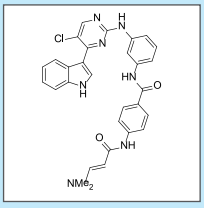
A potent inhibitor of cyclin-dependent kinases (CDKs) 9, 2 and 7 (IC_{50} values are 4, 38 and 62 nM, respectively).



THZ1

HY-80013 **1604810-83-4**

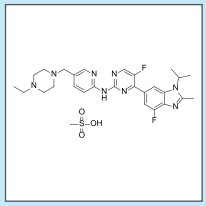
A novel selective and potent covalent CDK7 inhibitor with IC_{50} of 3.2 nM, inhibits Jurkat cell's proliferation with IC_{50} of 50 nM.



LY2835219

HY-16297 **1231930-82-7**

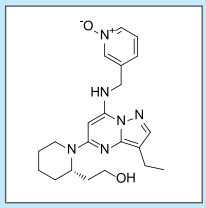
A potent and selective inhibitor of CDK4 and CDK6 with IC_{50} of 2 nM and 10 nM, respectively.



Dinaciclib (SCH727965)

HY-10492 **779353-01-4**

A novel and potent CDKs inhibitor for CDK2/CDK5/CDK1/CDK9 with IC_{50}s of 1/1/3/4 nM.

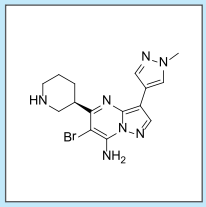


Chk

SCH900776

HY-15532 **891494-63-6**

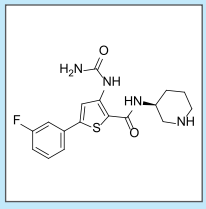
A potent, selective and orally bioavailable inhibitor of Chk1 (IC_{50} = 3 nM), highly selective against Chk2 (IC_{50} =1.5 uM).



AZD-7762

HY-10992 **860352-01-8**

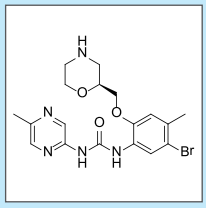
A potent and selective inhibitor of Chk1 with IC_{50} of 5 nM, equally potent against Chk2 (IC_{50}<10 nM).



LY2603618 (IC-83)

HY-14720 **911222-45-2**

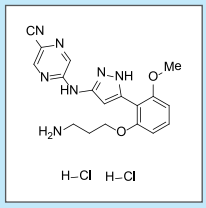
A potent and selective small molecule inhibitor of Chk1 (IC_{50}=7 nM).



LY2606368 (dihydrochloride)

HY-18174A **1234015-54-3**

A potent and selective ATP competitive inhibitor of the Chk1 protein kinase (IC_{50}=1.5 nM in SW1990 cell).



GPCR/G protein

CaSR

Cinacalcet (AMG-073)

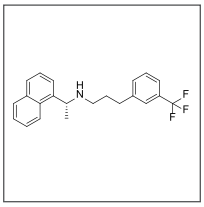
HY-70037 **226256-56-0**

A second-generation calcimimetic compound, used to treat hyperparathyroidism.

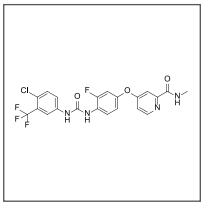
Regorafenib (BAY 73-4506)

HY-10331 **755037-03-7**

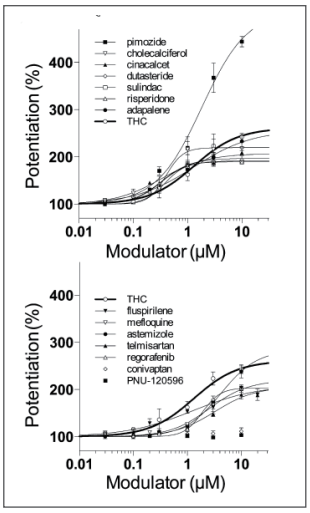
A multi-target inhibitor for VEGFR1, VEGFR2, VEGFR3, PDGFRβ, Kit, RET and Raf-1 with IC_{50} of 13 nM/4.2 nM/46 nM, 22 nM, 7 nM, 1.5 nM and 2.5 nM, respectively.



Cinacalcet



Regorafenib



Functional validation of virtual screening.

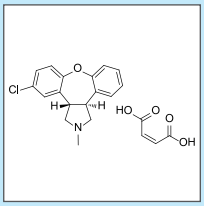
Cinacalcet, Regorafenib purchased from **MedChemExpress**. [*J Med Chem*. 2015 Apr 9;58(7):2958-66.]

5-HT Receptor

Asenapine maleate

HY-11100 **85650-56-2**

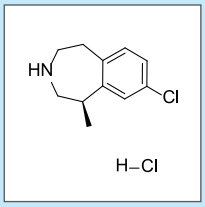
An inhibitor of adrenergic receptor (α1, α2A, α2B, α2C) with Ki of 0.25-1.2 nM, also inhibits 5-HT receptor (1A, 1B, 2A, 2B, 2C, 5A, 6, 7) with Ki of 0.03-4.0 nM.



Lorcaserin HCl (APD-356 HCl)

HY-15368 **846589-98-8**

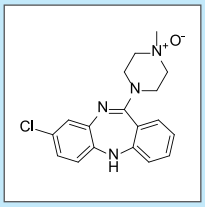
A selective full agonist of human 5-HT2C receptor with Ki of 15 nM.



Clozapine N-oxide

HY-17366 **34233-69-7**

A major metabolite of Clozapine noted to decrease SR-2A (5-HT2 serotonin receptor) density in vitro.

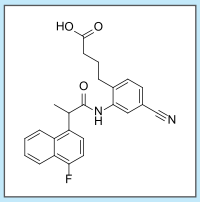


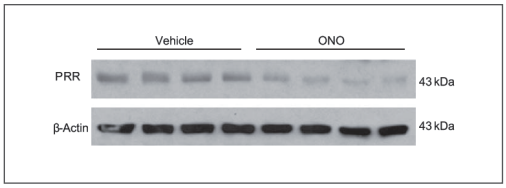
Prostaglandin Receptor

ONO-AE3-208 (AE 3-208)

HY-50901 **402473-54-5**

An EP4 antagonist, suppresses cell invasion, migration and metastasis of prostate cancer.





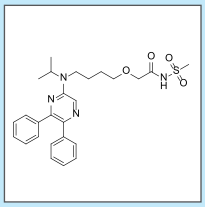
PRR protein expression was analyzed by immunoblotting. Representative PRR immunoblot from 2 to 3 independent experiments. The full-length PRR protein was detected as a 43-kDa band.

ONO-AE3-208 (ONO) purchased from **MedChemExpress**. [*Hypertension*. 2014 Aug;64(2):369-77.]

NS-304 (Selexipag, ACT-293987)

HY-14870 **475086-01-2**

An orally available and potent agonist for the PGI(2) receptor (IP receptor).



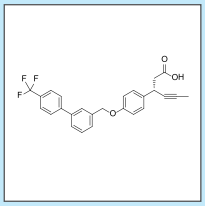
Hot Products

GPR40

AMG 837

HY-13967 **865231-46-5**

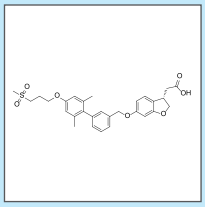
A potent GPR40 agonist (EC_{50}=13 nM) with a superior pharmacokinetic profile.



TAK-875

HY-10480 **1000413-72-8**

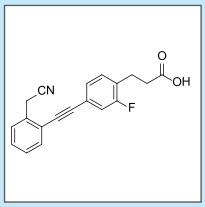
A potent, selective and orally bioavailable GPR40 agonist with EC_{50} of 72 nM.



TUG-770

HY-15697 **1402601-82-4**

A highly potent GPR40 agonist with EC_{50} of 6 nM for hFFA1.

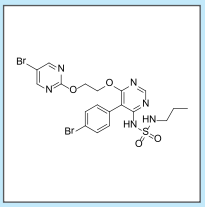


Endothelin Receptor

Macitentan (ACT064992)

HY-14184 **441798-33-0**

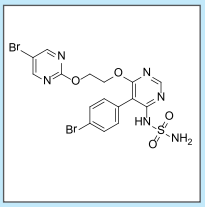
An orally active, non-peptide dual endothelin ETA and ETB receptor antagonist.



ACT-132577

HY-15895 **1103522-45-7**

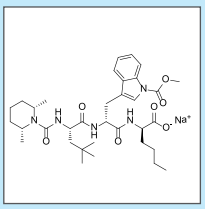
A dual ETA/ETB endothelin (ET) receptor antagonist.



BQ-788 sodium salt

HY-15894 **156161-89-6**

BQ-788 sodium salt is a potent, selective ETB receptor antagonist (IC_{50} =1.2 nM for inhibition of ET-1 binding to human Girardi heart cells).



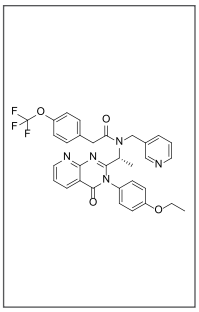
Immunology/Inflammation

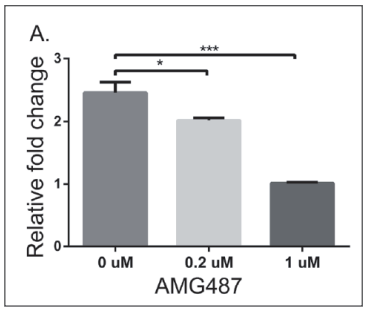
CXCR

AMG487

HY-15319 **473719-41-4**

A small molecule antagonist of the chemokine receptor CXCR3, inhibits binding of 125I-IP-10 and 125I-ITAC to CXCR3 with IC_{50} of 8.0 nM and 8.2 nM.





BOWES cells were cultured under stressful conditions, with the addition of DMSO, 0.2μM, or 1μM AMG487. IL-8 expression was measured with RT-PCR, fold change was calculated relative to cells treated 1μM AMG487.

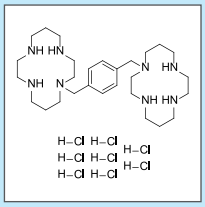
AMG487 purchased from **MedChemExpress**.
[*PLoS One*. 2015 Mar 23;10(3):e0121140.]

Plerixafor octahydrochloride

(AMD3100 8Hcl)

HY-50912 **155148-31-5**

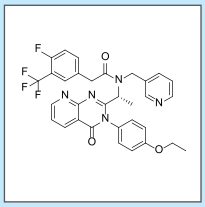
A chemokine receptor antagonist for CXCR4 and CXCL12-mediated chemotaxis with IC_{50} of 44 nM and 5.7 nM, respectively.



NBI-74330

HY-15320 **855527-92-3**

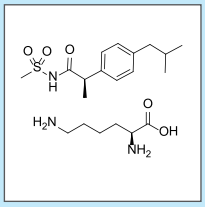
A small molecule antagonist for CXCR3, demonstrates potent inhibition of [^{125}I]CXCL10 and [^{125}I]CXCL11 specific binding Ki of 1.5 and 3.2 nM.



Reparixin L-lysine salt

HY-15252 **266359-93-7**

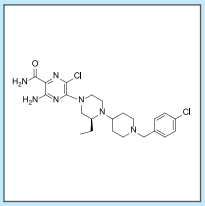
An inhibitor of CXCL8 receptor, also inhibit CXCR1 and CXCR2 activation, which has been shown to attenuate inflammatory responses in various injury models.



SCH 546738

HY-10017 **906805-42-3**

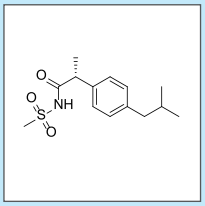
A novel, potent and non-competitive small molecule CXCR3 antagonist with Ki of 0.4 nM .



Reparixin (DF 1681Y)

HY-15251 **266359-83-5**

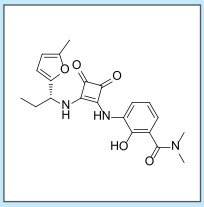
An inhibitor of CXCL8 receptor, also inhibits CXCR1 and CXCR2 activation, which has been shown to attenutate inflammatory responses in various injury models.



SCH 527123

HY-10198 **473727-83-2**

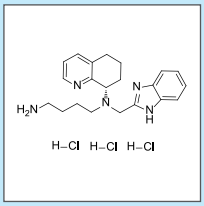
A potent antagonist of both CXCR1 and CXCR2 with IC_{50} of 42 nM and 3 nM, respectively.



AMD-070 hydrochloride

HY-50101A **880549-30-4**

A potent and selective antagonist of CXCR4 with an IC_{50} of 13 nM in a CXCR4 125I-SDF inhibition binding assay.

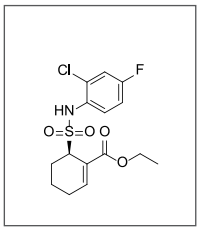


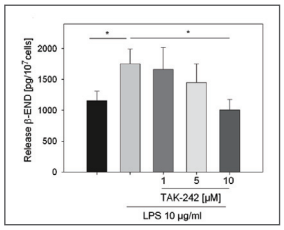
Toll-like receptor (TLR)

TAK-242 (Resatorvid)

HY-11109 **243984-11-4**

A small-molecule-specific inhibitor of Toll-like receptor (TLR) 4 signaling, inhibits the production of lipopolysaccharide-induced inflammatory mediators by binding to the intracellular domain of TLR4.





Purified undifferentiated CD14 human monocytes were incubated with 10 μg/ml LPS and a TLR4 inhibitor (TAK-242) in different doses.

TAK-242 purchased from **MedChemExpress**.

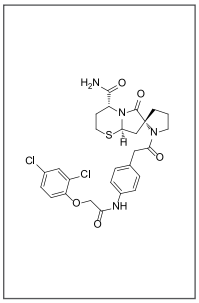
[*Mol Pain.* 2014 Feb 6;10(1):10.]

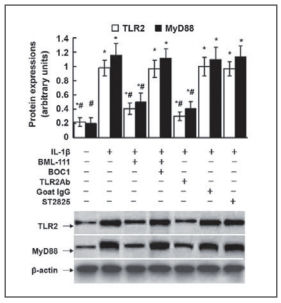
MyD88

ST2825

HY-50937 **894787-30-5**

A MyD88 pharmacologic inhibitor.





Expression of TLR2 and MyD88 assessed using western blot analysis of leukocytes exposed to IL-1β. The cultured leukocytes were stimulated with IL-1β, BOC1, TLR2Ab, goat IgG, and MyD88 dimerization inhibitor ST2825.

ST2825 purchased from **MedChemExpress**.

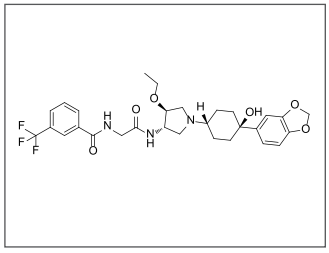
[*Mol Med Rep.* 2015 Jul;12(1):895-904.]

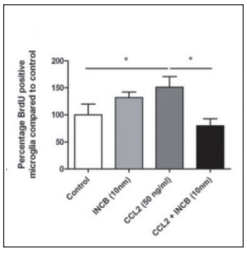
CCR

INCB3344

HY-50674 **1262238-11-8**

A novel, potent and selective small molecule antagonist of the mouse CCR2 receptor, inhibits the binding of CCL2 to mouse monocytes with nanomolar potency (IC_{50} = 10 nM).





Immunofluorescent double labelling study showed colocalization between BM28 and CCR2 in post mortem human hippocampal GML.

INCB3344 purchased from **MedChemExpress**.

[*Acta Neuropathol Commun.* 2014 Aug 23;2(1):98]

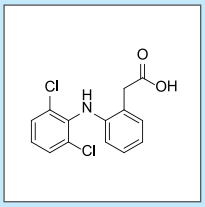
Hot Products

COX

Diclofenac

HY-15036 **15307-86-5**

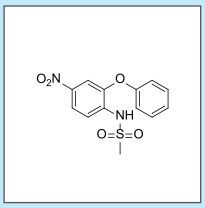
A non-selective COX inhibitor with IC_{50} of 60 uM and 220 nM for ovine COX-1 and COX-2, respectively.



Nimesulide

HY-B0363 **51803-78-2**

A relatively COX-2 selective, non-steroidal anti-inflammatory drug (NSAID) with analgesic and antipyretic properties.



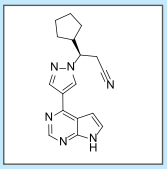
JAK/STAT Signaling

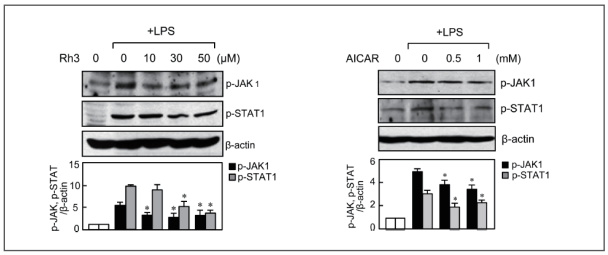
JAK

Ruxolitinib

HY-50856 **941678-49-5**

The first potent, selective, JAK1/2 inhibitor to enter the clinic with IC_{50} of 3.3 nM/2.8 nM.





Investigate the role JAK1/STAT1 in Rh3-mediated anti-inflammation. Investigate a possible involvement of AMPK in Rh3-mediated anti-inflammation.

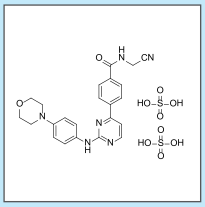
Ruxolitinib purchased from **MedChemExpress**.
[*J Agric Food Chem.* 2015 Mar 31.]

CYT387 sulfate salt

(momelotinib sulfate)

HY-10962 **1056636-06-6**

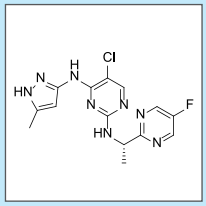
An ATP-competitive inhibitor of JAK1/JAK2 with IC_{50} of 11 nM/18 nM.



AZD-1480

HY-10193 **935666-88-9**

A novel ATP-competitive JAK2 inhibitor with IC_{50} of 0.26 nM, selectivity against JAK3 and Tyk2.

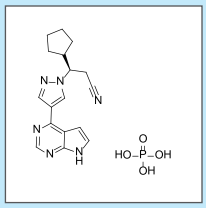


Ruxolitinib phosphate

(INCB018424 phosphate)

HY-50858 **1092939-17-7**

The first potent, selective, JAK1/2 inhibitor to enter the clinic with IC_{50} of 3.3 nM/2.8 nM.



GLPG0634 (Filgotinib)

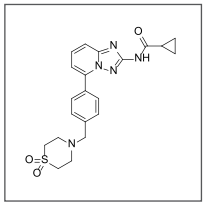
HY-18300 **1206161-97-8**

A selective JAK1 inhibitor with IC_{50} of 10 nM, 28 nM, 810 nM, and 116 nM for JAK1, JAK2, JAK3 and TYK2, respectively.

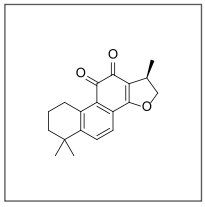
Cryptotanshinone (Tanshinone c)

HY-N0174 **35825-57-1**

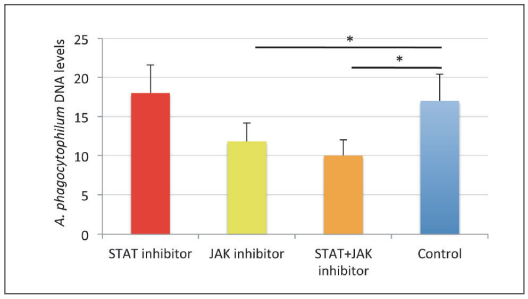
A potent STAT3 inhibitor (IC_{50} =4.6 uM), inhibits STAT3 Tyr705 phosphorylation in DU145 prostate cancer cells.



GLPG0634



Cryptotanshinone



Role of tick JAK/STAT pathway in response to A. phagocytophilum infection. Infected cells were treated with 400 nM of the pan JAK inhibitor (GLPG0634), 9.2 μM of the STAT3 inhibitor (Cryptotanshinone) or a combination of both at the same concentration.

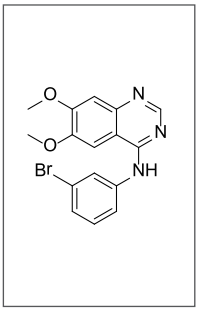
Cryptotanshinone, GLPG0634 purchased from **MedChemExpress**.
[*PLoS Genet.* 2015 Mar 27;11(3):e1005120.]

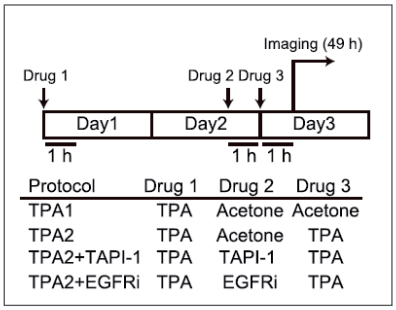
EGFR

PD153035 (ZM 252868, AG 1517)

HY-14346 **153436-54-5**

A potent and specific inhibitor of EGFR with Ki and IC_{50} of 5.2 pM and 29 pM.





Protocol	Drug 1	Drug 2	Drug 3
TPA1	TPA	Acetone	Acetone
TPA2	TPA	Acetone	TPA
TPA2+TAPI-1	TPA	TAPI-1	TPA
TPA2+EGFRi	TPA	EGFRi	TPA

Delayed exit from S/G2/M phase by inhibitors treatment. Drugs were applied in the following concentrations: 0.5 nM TPA, 207 nM PD0329105, 2.0 nM TAPI-1, and 0.2 nM PD153035 in 20 µl acetone, or vehicle alone. For each protocol, at least three mice were observed.

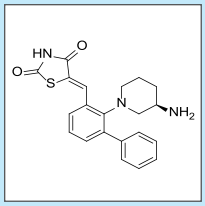
PD153035 purchased from **MedChemExpress**.
[*Elife*. 2015 Feb 10;4:e05178.]

Pim

AZD1208

HY-15604 **1204144-28-4**

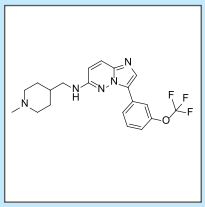
A novel, orally bioavailable, highly selective PIM kinases inhibitor with IC_{50} < 5 nM.



SGI-1776

HY-13287 **1025065-69-3**

A novel ATP competitive inhibitor of Pim1 with IC_{50} of 7 nM, 50- and 10-fold selective versus Pim2 and Pim3, also potent to Flt3 and haspin.

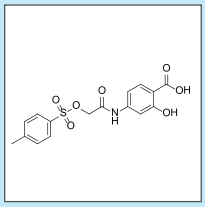


STAT

NSC 74859 (S3I-201)

HY-15146 **501919-59-1**

A potent inhibitor of STAT3 DNA-binding activity with IC_{50} of 86 µM.

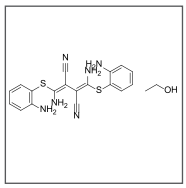


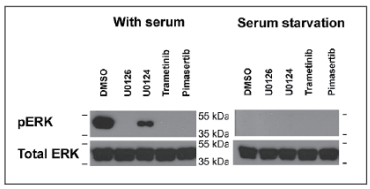
MEK

U0126 (U0126-EtOH)

HY-12031 **1173097-76-1**

A highly selective inhibitor of MEK1/2 with IC_{50} of 70 nM/60 nM.





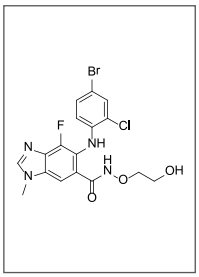
Western blot of ERK phosphorylation demonstrates that the MEK inhibitors U0126, "is effective in blocking ERK phosphorylation under complete media (left panel), while U0124 results in slight ERK inhibition compared to DMSO control."

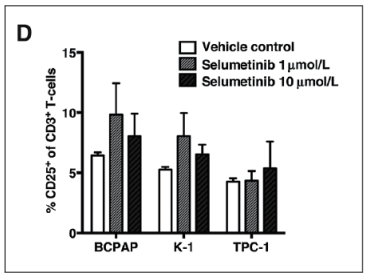
U0126 purchased from **MedChemExpress**.
[*ACS Chem Neurosci*. 2014 Dec 27.]

Selumetinib (AZD6244, ARRY-142886)

HY-50706 **606143-52-6**

A potent, highly selective MEK1 inhibitor with IC_{50} of 14 nM, also inhibits ERK1/2 phosphorylation with IC_{50} of 10 nM.





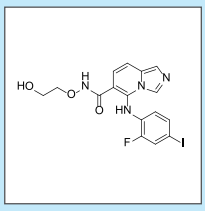
Select tyrosine kinase inhibitors increase the antigenicity of PTC cell lines T-cell activationmeasured as the $CD25^+$ fraction of $CD3^+$ T cells in PBL cocultured with PTC after pretreatment with drug or vehicle control.

Selumetinib purchased from **MedChemExpress**.
[*Clin Cancer Res*. 2014 Dec 1;20(23):6034-44.]

GDC-0623

HY-15610 **1168091-68-6**

A potent, ATP-uncompetitive inhibitor of MEK1 with Ki of 0.13 nM.

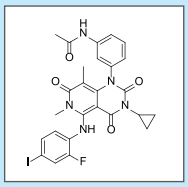


Hot Products

GSK1120212 (Trametinib, JTP 74057)

HY-10999 **871700-17-3**

A highly specific and potent MEK1/2 inhibitor with IC_{50} of 0.92 nM/1.8 nM.



	Drug Concentration	kc ($\mu M\ O_2\ min^{-1}\ mg^{-1}$)	Inhibition (%)	P
GSK1120212 (MEK inhibitor)	0	1.30 ± 0.32 (4)	-	-
	10 μM	1.03 ± 0.18 (7)	21	0.164

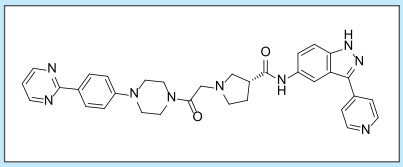
Effects of selected inhibitors of protein kinases and phosphatases on renal cellular respiration.

GSK1120212 purchased from **MedChemExpress**.
[*J Clin Toxicol* 2014, 4:5.]

SCH772984

HY-50846 **942183-80-4**

A novel, specific inhibitor of ERK1/2 with IC_{50} of 4 nM and 1 nM, respectively.

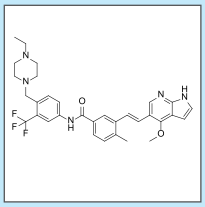


Raf

HG6-64-1

HY-12291 **1315329-43-1**

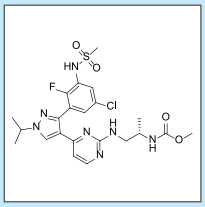
A potent and selective B-Raf and mutant B-Raf inhibitor.



LGX818

HY-15605 **1269440-17-6**

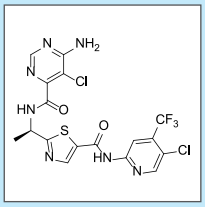
An orally available mutated B-Raf V600E inhibitor with IC_{50} of 0.3 nM, shows potential antineoplastic activity.



MLN 2480 (BIIB-024)

HY-15246 **1096708-71-2**

An oral, selective pan-Raf kinase inhibitor.



AZ628

HY-11004 **878739-06-1**

A new pan-Raf inhibitor for BRAF, BRAFV600E, and c-Raf-1 with IC_{50} of 105 nM, 34 nM and 29 nM, also inhibits VEGFR2, DDR2, Lyn, Flt1, FMS, etc.

Vemurafenib (PLX4032)

HY-12057 **918504-65-1**

A novel and potent inhibitor of B-RafV600E with IC_{50} of 31 nM, also inhibits c-Raf with IC_{50} of 48 nM.

PLX4720

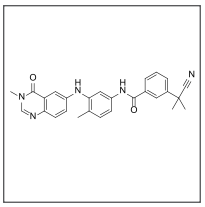
HY-51424 **918505-84-7**

A potent and selective inhibitor of B-RafV600E (IC_{50}=13 nM) and c-Raf-1Y340D/Y341D (IC_{50}=6.7 nM).

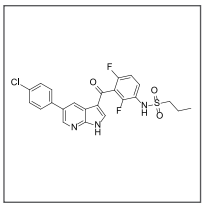
SB590885

HY-10966 **405554-55-4**

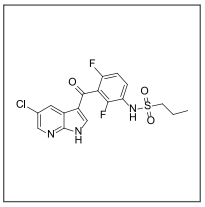
A potent B-Raf inhibitor with Ki of 0.16 nM.



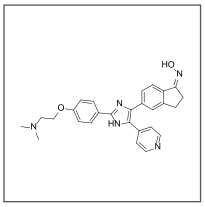
HY-11004



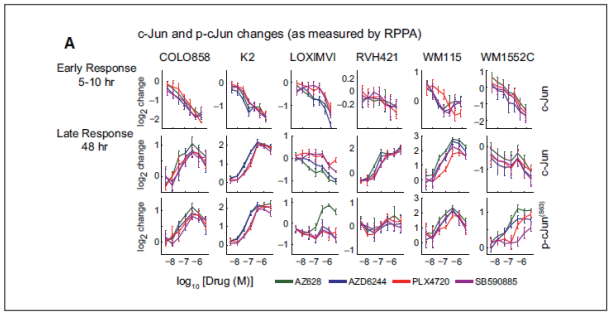
HY-12057



HY-51424



HY-10966



The c-Jun and p-c-Jun (Ser63) changes as measured by RPPA in six melanoma cell lines in response to different doses of RAF and MEK inhibitors.

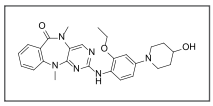
AZ628, Vemurafenib, PLX4720, SB590885 purchased from **MedChemExpress**.
[*Mol Syst Biol.* 2015 Mar 26;11(3):797.]

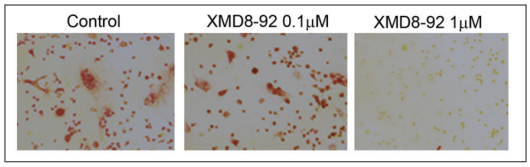
ERK

XMD8-92

HY-14443 **1234480-50-2**

A highly selective ERK5/BMK1 inhibitor with Kd of 80 nM, 190 nM, 600 nM and 890 nM for BMK1, DCAMKL2, PLK4 and TNK1, respectively.





The formation of TRAP (+) MNCs in 4B12 cells was inhibited by XMD8-92.

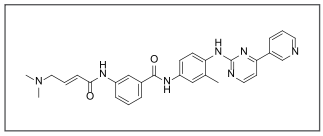
XMD8-92 purchased from **MedChemExpress**.
[*PLoS One*. 2015 Apr 17;10(4):e0125054.]

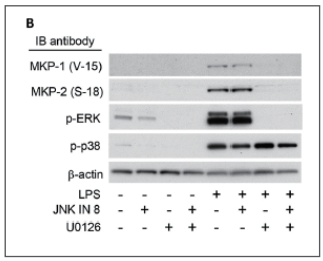
JNK

JNK-IN-8

HY-13319 **1410880-22-6**

A selective JNK1/2/3 inhibitor (IC_{50}=4.67/18.7/0.98 nM) that inhibits phosphorylation of c-Jun.





Effect of the JNK inhibitor (JNK-IN-8) on the induction of MKP-1 and MKP-2. RAW264.7 cells were first pretreated with vehicle (DMSO), JNK-IN-8, U0126, or a combination of both JNK-IN-8 and U0126, and then stimulated with LPS.

JNK-IN-8 purchased from **MedChemExpress**.
[*J Biol Chem*. 2014 Oct 17;289(42):28753-64.]

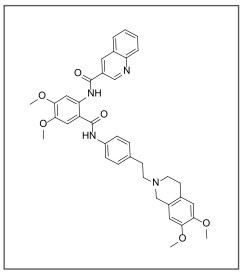
Membrane Transporterlon Channel

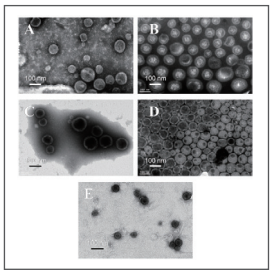
P-glycoprotein

Tariquidar (TQR, XR9576)

HY-10550 **206873-63-4**

A potent and selective noncompetitive inhibitor of P-glycoprotein with Kd of 5.1 nM.





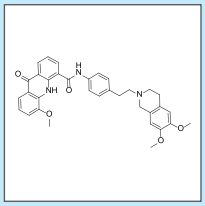
TEM images of different nanovesicles: (A) HP/PS, (B) HP/PS/$CaCO_3$, (C) HP/PS/DOX, (D) HP/PS/$CaCO_3$/DOX, and (E) HP/PS/$CaCO_3$/DOX/TQR.

Tariquidar (TQR) purchased from **MedChemExpress**.
[*Langmuir*. 015 May 12;31(18):5115-22.]

Elacridar (GF120918, GW0918)

HY-50879 **143664-11-3**

A potent inhibitor of the ABC transporters MDR-1 (P-gp) and BCRP, also increase levels of anti-HIV drugs in the brain and CNS.

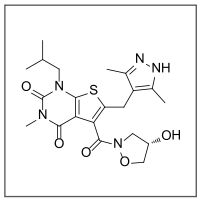


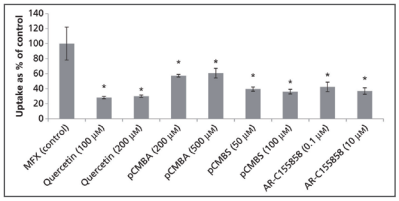
MCT

AR-C155858

HY-13248 **496791-37-8**

A novel inhibitor of MCT1 and MCT2 with Ki of 2.3 nM and <10 nM, respectively.





Inhibition of moxifloxacin uptake by monocarboxylate transporter inhibitors.

AR-C155858 purchased from **MedChemExpress**.
[*J Pharm Pharmacol*. 2014 Apr;66(4):574-83.]

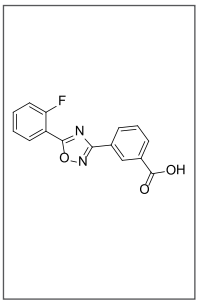
Hot Products

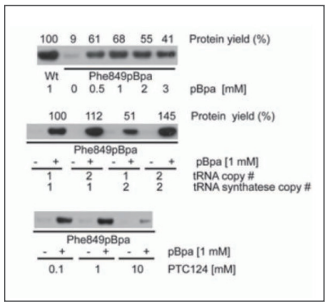
CFTR

PTC124

HY-14832 **775304-57-9**

A selective inducer of ribosomal read-through of premature but not normal termination codons with EC_{50} of 0.1 μM in HEK293 cells.





A Western blot (α-FLAG) comparison of LexA+Gal4 Phe849pBpa expressed in the presence of increasing concentrations of PTC124.

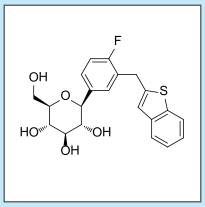
PTC124 purchased from **MedChemExpress**.
[*Biopolymers.* 2014 Apr;101(4):391-7.]

SGLT

Ipragliflozin (ASP1941)

HY-14894 **761423-87-4**

A highly potent and selective SGLT2 inhibitor with IC_{50} of 2.8 nM.

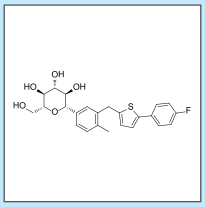


Canagliflozin

(JNJ28431754, TA 7284)

HY-10451 **842133-18-0**

A highly potent and selective SGLT2 inhibitor for hSGLT2 with IC_{50} of 2.2 nM.

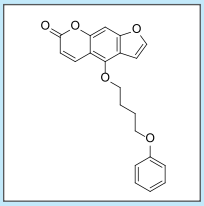


Potassium Channel

PAP-1

HY-10015 **870653-45-5**

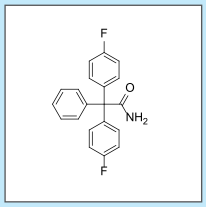
A selective inhibitor of Kv1.3, potently inhibits human T effector memory cell proliferation and delayed hypersensitivity (EC_{50}= 2 nM).



Senicapoc (ICA17043)

HY-50694 **289656-45-7**

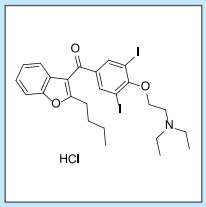
A potent and selective Gardos channel blocker, blocks Ca(2+)-induced rubidium flux from human RBCs/ inhibited RBC dehydration with IC_{50} of 11 nM/30 nM, respectively.



Amiodarone hydrochloride

HY-14188 **19774-82-4**

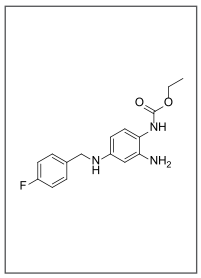
An antiarrhythmic compound, inhibits ATP-sensitive potassium channel with IC_{50} of 19.1 μM.

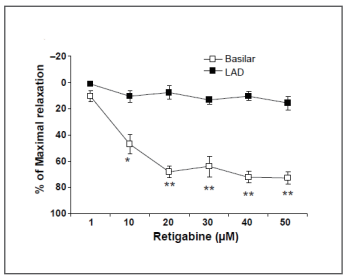


Retigabine (Ezogabine, D23129)

HY-15471 **150812-12-7**

A Kv7.2-7.5 (KCNQ2-5) neuronal potassium channel opener with anticonvulsant activity.





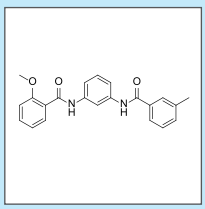
Effect of the Kv7 activator, Retigabine on vasorelaxation.
The Kv7 channel activator, Retigabine-induced marked vasorelaxation in the Basilar, while causing slight relaxation in the LAD .

Retigabine purchased from **MedChemExpress**.
[*Microcirculation.* 2015 Feb;22(2):109-21.]

ML365

HY-12345 **947914-18-3**

A novel selective inhibitor of TASK1(KCNK3) with IC_{50} of 4 nM (thallium influx fluorescent assay) and 16 nM (automated electrophysiology assay).



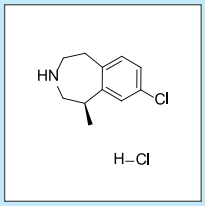
Neuronal Signaling

5-HT Receptor

Lorcaserin Hydrochloride
(APD-356 Hcl)

HY-15368 **846589-98-8**

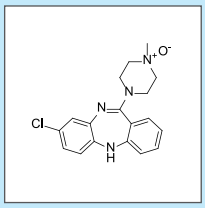
A selective full agonist of human 5-HT2C receptor with Ki of 15 nM.



Clozapine N-oxide

HY-17366 **34233-69-7**

A major metabolite of Clozapine noted to decrease SR-2A (5-HT2 serotonin receptor) density in vitro.

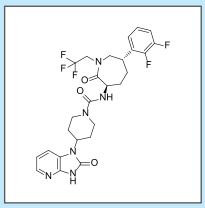


CGRP Receptor

MK-0974 (Telcagepant)

HY-32709 **781649-09-0**

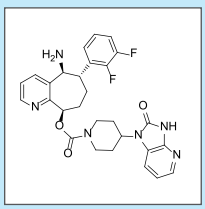
A highly potent, selective and orally bioavailable CGRP receptor antagonist with Ki of 0.77 nM and 1.2 nM for human and rhesus CGRP receptors respectively.



BMS-927711

HY-15498 **1289023-67-1**

A highly potent, oral calcitonin gene-related peptide (CGRP) receptor antagonist with Ki of 0.027 nM.

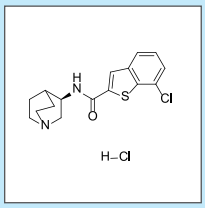


nAChR

EVP-6124 hydrochloride

HY-15430A **550999-74-1**

A novel partial agonist of α7 neuronal nicotinic acetylcholine receptors (nAChRs).

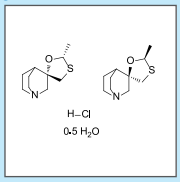


mAChR

Cevimeline hydrochloride hemihydrate

HY-76772 **153504-70-2**

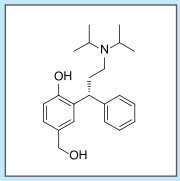
A novel muscarinic receptor agonist, is a candidate therapeutic drug for xerostomia in Sjogren's syndrome.



(R)-5-Hydroxymethyl Tolterodine
(PNU-200577, Desfesoterodine)

HY-76569 **207679-81-0**

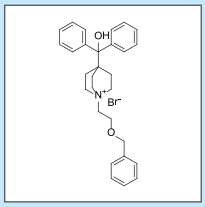
A potent and selective muscarinic receptor antagonist with a Kb and a pA2 of 0.84 nM and 9.14 nM, respectively.



Umeclidinium bromide
(GSK573719A)

HY-12100 **869113-09-7**

A muscarinic receptor antagonist which is useful in treatment of chronic obstructive pulmonary disease (COPD).

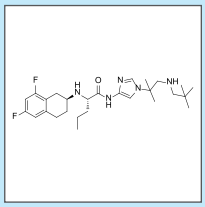


γ-secretase

PF-3084014

HY-15185 **1290543-63-3**

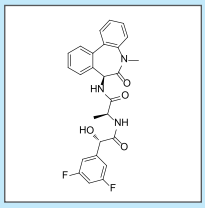
A novel γ-secretase inhibitor that reduces amyloid-beta (Abeta) production with an in vitro IC50 of 1.2 nM (whole-cell assay) to 6.2 nM (cell-free assay).



LY-411575

HY-50752 **209984-57-6**

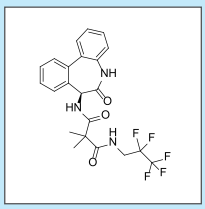
A potent γ-secretase inhibitor with IC_{50} of 0.078 nM/0.082 nM (membrane/cell-based), also inhibits Notch clevage with IC_{50} of 0.39 nM.



RO4929097

HY-11102 **847925-91-1**

A γ-secretase inhibitor with IC_{50} of 4 nM, inhibits cellular processing of Aβ40 and Notch with EC_{50} of 14 nM and 5 nM, respectively.



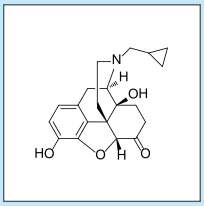
Hot Products

Opioid Receptor

Naltrexone

HY-76711 **16590-41-3**

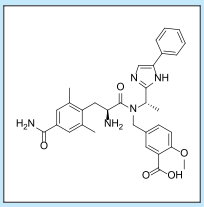
An opioid receptor antagonist used primarily in the management of alcohol dependence and opioid dependence.



Eluxadoline (JNJ-27018966)

HY-12247 **864821-90-9**

An orally active mixed μ opioid receptor (μOR) agonist and δ opioid receptor (δOR) antagonist.



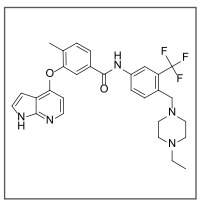
NF-κB

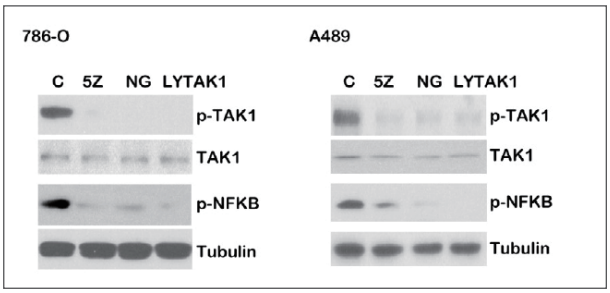
TAK1

NG-25

HY-15434 **1315355-93-1**

A TAK1 inhibitor, inhibits the activation of IKK by TLR7 and TLR9 agonists.





Inhibition of TAK1 kinase activity suppresses NF-κB activation and RCC cell survival. The cell viability of 786-O/A489 cells treated with LYTAK1 or NG-25.

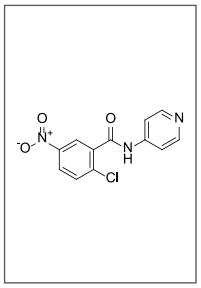
NG-25 purchased from **MedChemExpress**.
[*Biochem Biophys Res Commun.* 2014 Sep 26. pii: S0006-291X(14)01696-9.]

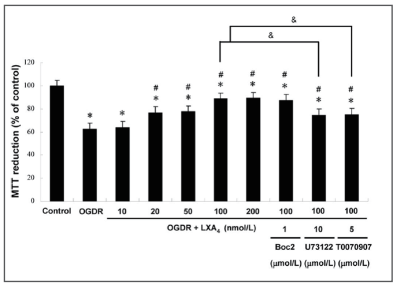
PPAR

T0070907

HY-13202 **313516-66-4**

A potent and selective PPARγ antagonist with IC_{50} of 1 nM.





Effect of LXA4 on astrocyte viability. Cell viability was measured by MTT reduction assay.

T0070907 purchased from **MedChemExpress**.
[*J Mol Neurosci.* 2015 Aug;56(4):848-57.]

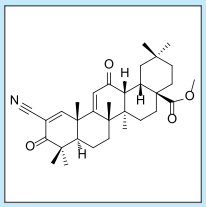
KEAP1-Nrf2

Bardoxolone methyl

(RTA 402, NSC 713200)

HY-13324 **218600-53-4**

The lead molecule in Reata's portfolio of Antioxidant Inflammation Modulators (AIMs).

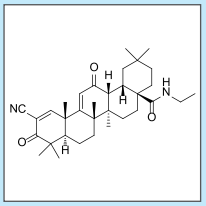


CDDO-EA

(CDDO ethyl amide, RTA 405, TP319)

HY-12213 **932730-51-3**

An potent activator of Nrf2/ARE with neuroprotective effect.

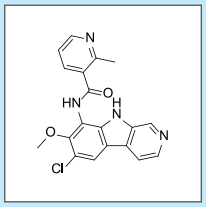


IKK

MLN120B

HY-15473 **783348-36-7**

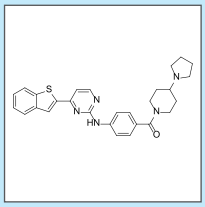
A potent and effective IKK-beta inhibitor.



IKK 16

HY-13687 **873225-46-8**

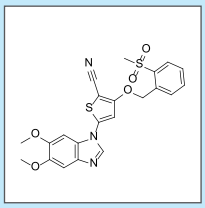
A selective IκB kinase (IKK) inhibitor for IKK-2, IKK complex and IKK-1 with IC_{50} of 40 nM, 70 nM and 200 nM, respectively.



IKK-3 Inhibitor

HY-14682 **862812-98-4**

A potent, selective, inhibitor of IKK-epsilon kinase with IC_{50} of 40 nM, inactive at IKK-α and IKK-β.

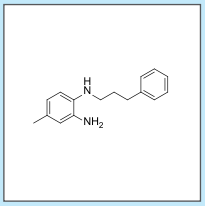


NF-κB

JSH-23

HY-13982 **749886-87-1**

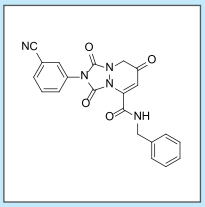
An inhibitor of NF-κB transcriptional activity with IC_{50} of 7.1 μM.



PNRI-299

HY-15131 **550368-41-7**

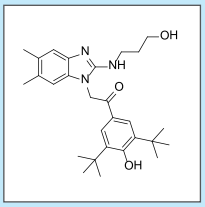
A selective AP-1 transcription inhibitor with IC_{50} of 20 uM without affecting NF-κB transcription (up to 200 uM) or thioredoxin (up to 200 uM).



CID-2858522

HY-15530 **758679-97-9**

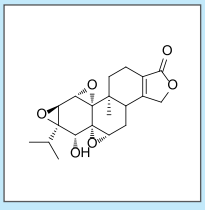
An inhibitor of the NF-κB pathway with IC_{50} of <0.1 μM for PMA-stimulated IL-8 production induced by PKC.



Triptolide

HY-32735 **38748-32-2**

Triptolide is a diterpene triepoxide, immunosuppresive agent extracted from the Chinese herb Tripterygium wilfordii.



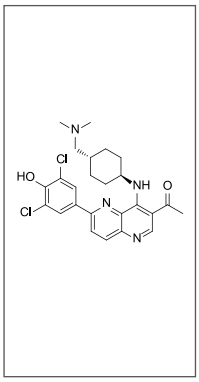
PI3k/Akt/mTOR

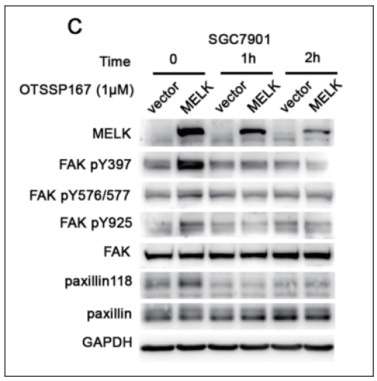
MELK

OTSSP167

HY-15512

A highly potent MELK inhibitor (IC_{50}= 0.41 nM) and inhibits the phosphorylation of PSMA1 and DBNL (drebrin-like).





MELK specific inhibitor OTSSP167 suppresses cell migration and invasion. OTSSP167 partially reverses the up-regulation of pY397, pY576/577, and pY925 of FAK, and pY118 of paxillin caused by MELK overexpression.

OTSSP167 purchased from **MedChemExpress**.

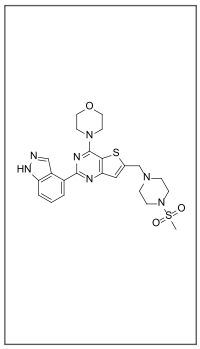
[*Mol Cancer.* 2014 May 4;13:100.]

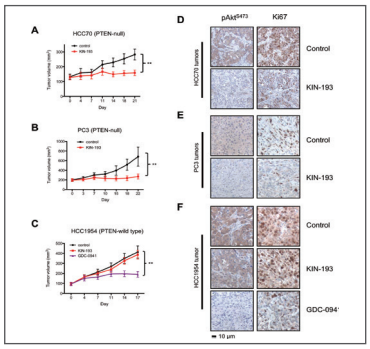
PI3K

GDC-0941

HY-50094 **957054-30-7**

A potent inhibitor of PI3Kα/δ with IC_{50} of 3 nM, with modest selectivity against p110β (11-fold) and p110γ (25-fold).





In vivo effect of KIN-193 and GDC-0941 on PTEN-deficient tumors.

GDC-0941 purchased from **MedChemExpress**.

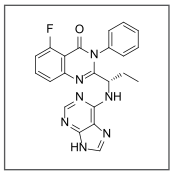
[*Cancer Discov.* 2012 May;2(5):425-33.]

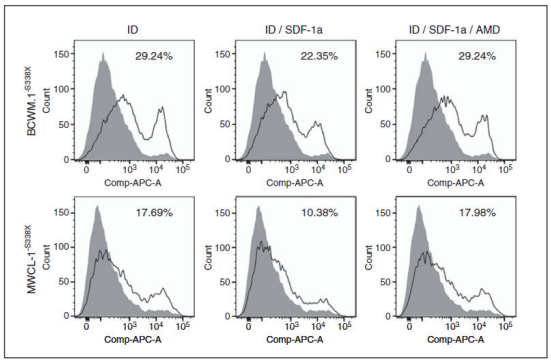
Hot Products

CAL-101 (Idelalisib, GS-1101)

HY-13026 **870281-82-6**

A selective p110δ inhibitor with IC_{50} of 2.5 nM.





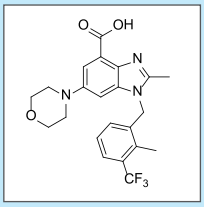
Impact of $CXCR4^{S338X}$-expressing on apoptosis triggered by other WM therapeutics.
Annexin V staining of $CXCR4^{S338X}$-expressing BCWM.1 and MWCL-1 cells following treatment with DMSO vehicle control (shaded curve), Idelalisib (ID), Idelalisib plus SDF-1a (ID/SDF-1a) or ID plus SDF-1a and the CXCR4 inhibitor AMD3100 (ID/SDF-1a/AMD) (non-shaded curves).

Idelalisib (ID) purchased from **MedChemExpress**.
[*Leukemia*. 2014 Jun 10. doi: 10.1038/leu.]

GSK2636771

HY-15245 **1372540-25-4**

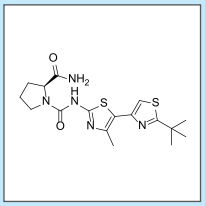
A potent and orally bioavailable PI3K β-selective inhibitor, sensitive to PTEN null cell lines.



A66

HY-13261 **1166227-08-2**

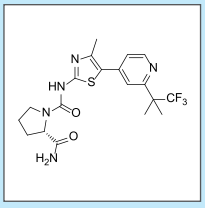
A potent and specific p110α inhibitor with IC_{50} of 32 nM.



BYL-719

HY-15244 **1217486-61-7**

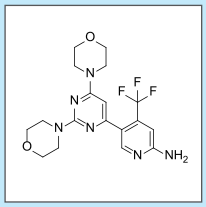
A potent and selective PI3Kα inhibitor with IC_{50} of 5 nM.



NVP-BKM120 (BKM120)

HY-70063 **944396-07-0**

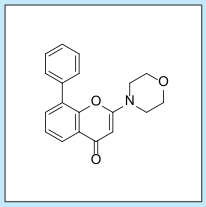
A selective PI3K inhibitor of p110 α/β/δ/γ with IC_{50} of 52 nM/166 nM/116 nM/262 nM, respectively.



LY294002

HY-10108 **154447-36-6**

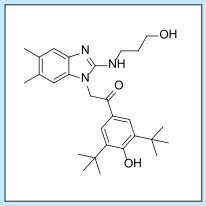
The first synthetic molecule known to inhibit PI3Kα/δ/β with IC_{50} of 0.5 μM/0.57 μM/0.97 μM, respectively.



CID-2858522

HY-15530 **758679-97-9**

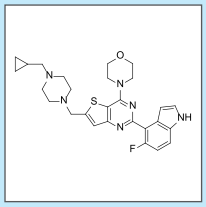
Inhibits the NF-κB pathway (IC_{50} < 0.1 μM for PMA-stimulated IL-8 production) induced by PKC.



PI-3065

HY-12235 **955977-50-1**

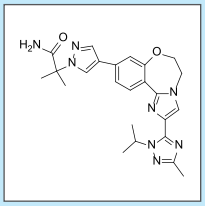
A novel potent and selective PI3K p110δ inhibitor with IC_{50} of 15 nM.



GDC-0032

HY-13898 **1282512-48-4**

A potent, next-generation β isoform-sparing PI3K inhibitor targeting PI3Kα/δ/γ with IC_{50} of 0.29 nM/0.12 nM/0.97 nM.

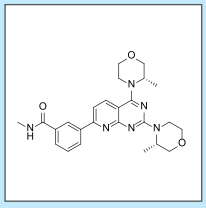


mTOR

AZD2014

HY-15247 **1009298-59-2**

A novel mTOR inhibitor with IC_{50} of 2.8 nM, highly selective against multiple PI3K isoforms (α/β/γ/δ).



GSK2126458

HY-10297 **1086062-66-9**

A highly selective and potent inhibitor of PI3K with Ki of 0.019 nM/0.13 nM/0.024 nM/0.06 nM and 0.18 nM/0.3 nM for p110 α/β/δ/γ, mTORC1/2 , respectively.

BEZ235 Tosylate （NVP-BEZ 235 Tosylate）

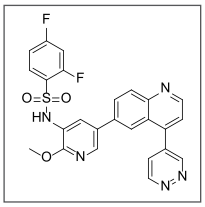
HY-15174 **1028385-32-1**

A dual ATP-competitive PI3K and mTOR inhibitor for p110 α/γ/δ/β and mTOR(p70S6K) with IC_{50} of 4 nM/5 nM/7 nM/75 nM and 6 nM, respectively, inhibits ATR with IC_{50} of 21 nM.

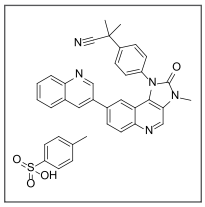
GDC0980 (RG7422)

HY-13246 **1032754-93-0**

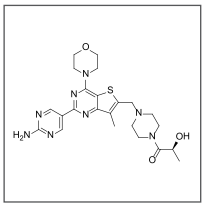
A potent, class I PI3K inhibitor for PI3Kα/β/δ/γ with IC_{50} of 5 nM/27 nM/7 nM/14 nM, respectively, also inhibits mTOR with Ki of 17 nM.



GSK2126458



BEZ235 Tosylate



GDC0980

	Drug Concentration	kc ($\mu M\ O_2\ min^{-1}\ mg^{-1}$)	Inhibition (%)	P
GSK2126458 (PI3K/mTOR inhibitor)	0	1.12 ± 0.27 (22)	-	-
	0.1 μM	1.36 ± 0.23 (4)	0	0.150
	1.0 μM	1.02 ± 0.19 (9)	9	0.453
	10 μM	0.74 ± 0.18 (11)	34	<0.001
BEZ235 (PI3K/mTOR inhibitor)	0	0.72 ± 0.18 (18)	-	-
	0.1 μM	0.75 ± 0.20 (8)	0	0.724
	1.0 μM	0.62 ± 0.15 (16)	16	0.126
	10 μM	0.40 ± 0.13 (10)	31	<0.001
GDC0980 (PI3K/mTOR inhibitor)	0	0.93 ± 0.20 (12)	-	-
	0.1 μM	0.98 ± 0.21 (7)	0	0.400
	1.0 μM	0.62 ± 0.07 (8)	27	<0.001
	10 μM	0.64 ± 0.10 (12)	26	0.003

Effects of selected inhibitors of protein kinases and phosphatases on renal cellular respiration.

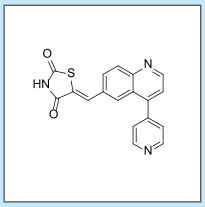
GSK2126458, BEZ235 Tosylate, GDC0980 purchased from **MedChemExpress**.

[*J Clin Toxicol*. 2014, 4:5]

GSK1059615

HY-12036 **958852-01-2**

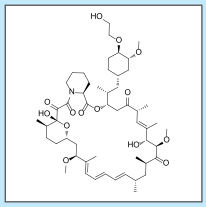
A dual inhibitor of PI3Kα/β/δ/γ (reversible) and mTOR with IC_{50} of 0.4 nM/0.6 nM/2 nM/5 nM and 12 nM, respectively.



Everolimus (RAD001)

HY-10218 **159351-69-6**

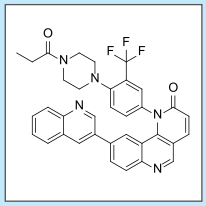
An mTOR inhibitor of FKBP12 with IC_{50} of 1.6-2.4 nM.



Torin 1

HY-13003 **1222998-36-8**

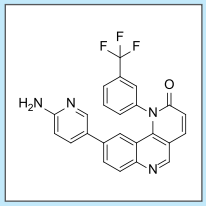
A potent inhibitor of mTORC1/2 with IC_{50} of 2 nM/10 nM.



Torin 2

HY-13002 **1223001-51-1**

A potent and selective mTOR inhibitor with IC_{50} of 0.25 nM.

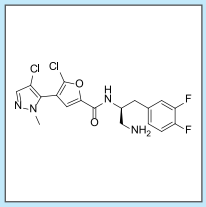


Akt

GSK2141795

HY-15965 **1047634-65-0**

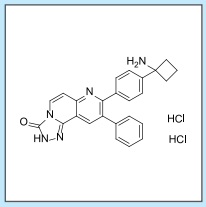
A potent and selective pan-Akt inhibitor with IC_{50} of 180 nM for Akt1, 328 nM for Akt2 and 38 nM for Akt3, respectively.



MK 2206

HY-10358 **1032350-13-2**

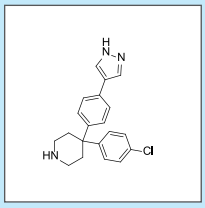
A highly selective inhibitor of Akt1/2/3 with IC_{50} of 8 nM/12 nM/65 nM, respectively.



AT7867

HY-12059 **857531-00-1**

AT7867 is a potent ATP-competitive inhibitor of Akt1/2/3 and p70S6K/PKA with IC_{50} of 32 nM/17 nM/47 nM and 85 nM/20 nM, respectively.



Hot Products

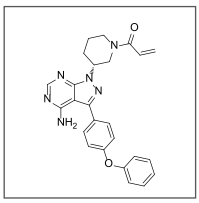
Protein Tyrosine Kinase/RTK

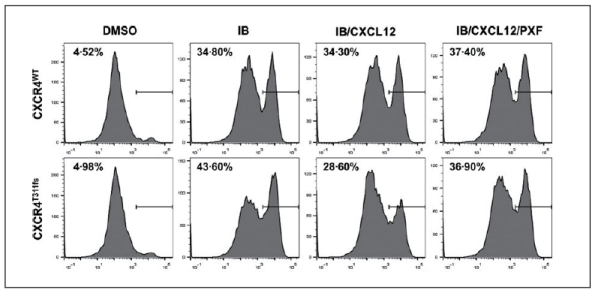
Btk

Ibrutinib (PCI-32765)

HY-10997 **936563-96-1**

A potent and highly selective Btk inhibitor with IC_{50} of 0.5 nM, modestly potent to Bmx, CSK, FGR, BRK, HCK.





Impact of CXCL12 and plerixafor on CXCR4 WT and CXCR4 WHIM receptor expressing WM cells treated with Ibrutinib.

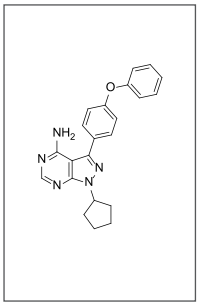
Ibrutinib purchased from **MedChemExpress**.

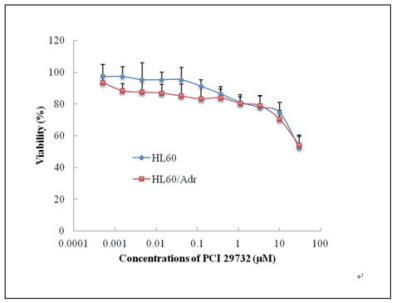
[*Br J Haematol.* 2014 Nov 5. doi: 10.1111/bjh.13200.]

PCI 29732

HY-18010 **330786-25-9**

A selective and irreversible Btk inhibitor with IC_{50} of 8.2 nM in a FRET based biochemical enzymology assay.





Cytotoxicity of PCI 29732 in drug-resistant and their parental-sensitive cells. Concentration–response curves of HL60 and HL60/Adr cells treated with PCI 29732 alone.

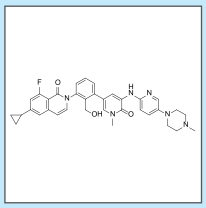
PCI 29732 purchased from **MedChemExpress**.

[*Br J Pharmacol.* 2014 Dec;171(24):5845-57.]

RN486

HY-18018 **1242156-23-5**

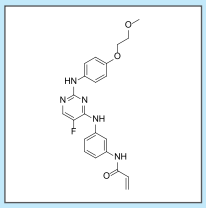
A selective Btk inhibitor with an IC_{50} Value of 4.0 nM.



AVL-292

HY-18012 **1202757-89-8**

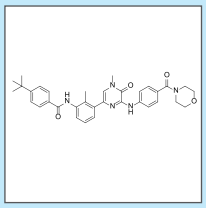
A covalent, highly selective, orally active small molecule inhibitor of Btk with IC_{50} value of 0.5 nM.



CGI-1746

HY-11999 **910232-84-7**

A small-molecule Bruton's tyrosine kinase (Btk) inhibitor.

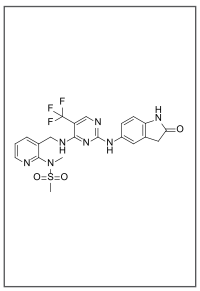


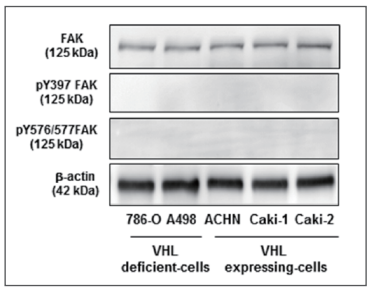
FAK

PF-562271

HY-10459 **717907-75-0**

A potent, ATP-competitive, reversible inhibitor of FAK with IC_{50} of 1.5 nM, inhibits Pyk2 with IC_{50} of 14 nM.





Evaluate the effects of PF-562, 271 and PF-573, 228 inhibitors which target the kinase activity of FAK.

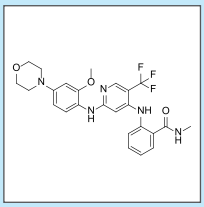
PF-562,271 purchased from **MedChemExpress**.

[*Int J Cancer.* 2015 Mar 21.]

PND-1186

HY-13917 **1061353-68-1**

A potent FAK inhibitor with IC_{50} of 1.5 nM.

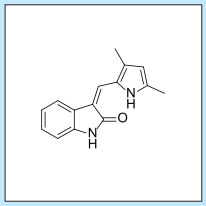


VEGFR

Semaxanib (SU5416)

HY-10374 **204005-46-9**

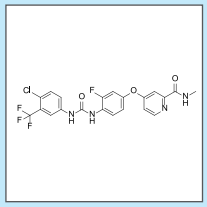
A potent and selective VEGFR (Flk-1/KDR) inhibitor with IC_{50} of 1.23 μM.



Regorafenib (BAY 73-4506)

HY-10331 **755037-03-7**

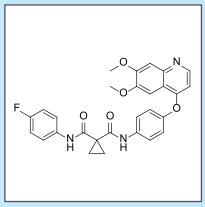
A multi-target inhibitor for VEGFR1, VEGFR2, VEGFR3, PDGFRβ, Kit, RET and Raf-1 with IC_{50} of 13 nM/4.2 nM/46 nM, 22 nM, 7 nM, 1.5 nM and 2.5 nM, respectively.



Cabozantinib (XL184, BMS-907351)

HY-13016 **849217-68-1**

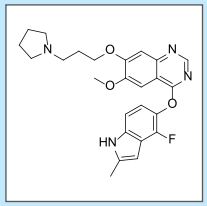
A potent VEGFR2 inhibitor with IC_{50} of 0.035 nM and also inhibits c-Met, Ret, Kit, Flt-1/3/4, Tie2, and AXL with IC50 of 1.3 nM, 4 nM, 4.6 nM, 12 nM/11.3 nM/6 nM, 14.3 nM and 7 nM, respectively.



Cediranib (AZD2171)

HY-10205 **288383-20-0**

A highly potent VEGFR (KDR) inhibitor with IC_{50} of <1 nM, also inhibits Flt1/4 with IC_{50} of 5 nM/≤3 nM, similar activity against c-Kit and PDGFRβ.

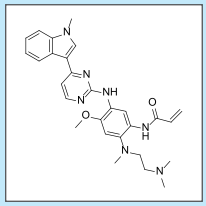


EGFR

AZD-9291

HY-15772 **1421373-65-0**

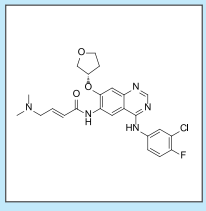
A potent and selective mutated forms EGFR inhibitor (Exon 19 deletion EGFR IC_{50}=12.92 nM, L858R/T790M EGFR IC50=11.44 nM, wild type EGFR IC_{50}= 493.8 nM).



Afatinib (BIBW2992)

HY-10261 **850140-72-6**

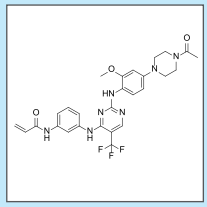
An irreversible inhibitor of EGFR/HER2 including EGFR (wt), EGFR (L858R), EGFR (L858R/T790M) and HER2 with IC_{50} of 0.5 nM, 0.4 nM, 10 nM and 14 nM, respectively.



CO-1686

HY-15729 **1374640-70-6**

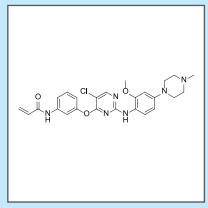
A novel, irreversible and orally delivered kinase inhibitor that specifically targets the mutant forms of EGFR including T790M (IC_{50}= 21 nM).



WZ4002

HY-12026 **1213269-23-8**

A novel, mutant-selective EGFR inhibitor for EGFR (L858R)/(T790M) with IC_{50} of 2 nM/8 nM.

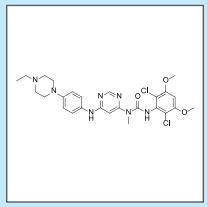


FGFR

NVP-BGJ398 (BGJ-398)

HY-13311 **872511-34-7**

A novel selective, pan-specific FGFR inhibitor with IC_{50} of 0.9 nM, 1.4 nM, and 1 nM for FGFR1, FGFR2 and FGFR3, respectively.

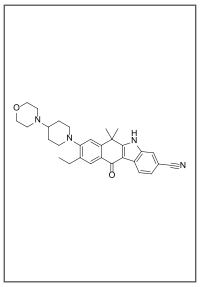


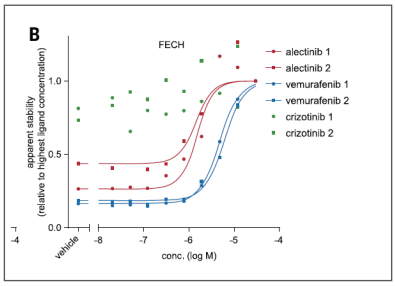
ALK

CH5424802 (AF 802, Alectinib)

HY-13011 **1256580-46-7**

A potent ALK inhibitor with IC_{50} of 1.9 nM.





The clinical kinase drugs Vemurafenib and Alectinib, which can cause phototoxicity as a side effect, induce T shifts in the heme biosynthesis enzyme FECH.

Alectinib purchased from **MedChemExpress**.

[*Science.* 2014 Oct 3;346(6205):1255784.]

Hot Products

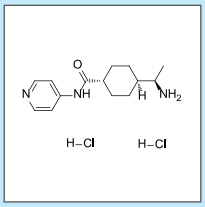
TGF-β/Smad

ROCK

Y-27632 dihydrochloride

HY-10583 **129830-38-2**

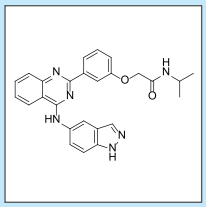
A selective ROCK1 (p160ROCK) inhibitor with Ki of 140 nM.



SLx-2119 (KD-025)

HY-15307 **911417-87-3**

A small molecule and selective inhibitor of ROCK2 with IC_{50} of 105 nM.

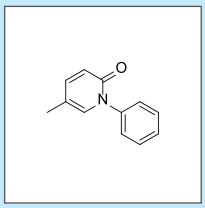


TGF-beta/Smad

Pirfenidone (AMR69)

HY-B0673 **53179-13-8**

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.

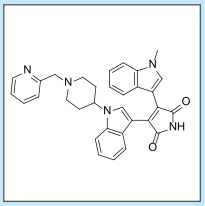


PKC

Enzastaurin (LY317615)

HY-10342 **170364-57-5**

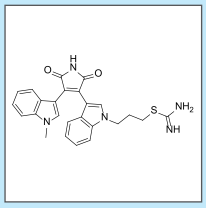
A potent PKCβ selective inhibitor with IC_{50} of 6 nM, 6- to 20-fold selectivity against PKCα, PKCγ and PKCε.



Ro 31-8220

HY-13866A **125314-64-9**

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.

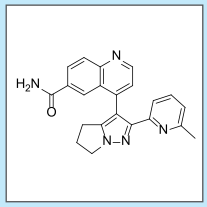


TGF-β Receptor

LY2157299

HY-13226 **700874-72-2**

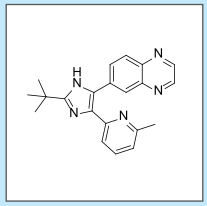
A potent TGFβ receptor I (TβRI) inhibitor with IC_{50} of 56 nM.



SB 525334

HY-12043 **356559-20-1**

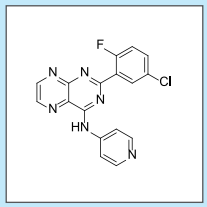
A potent and selective inhibitor of TGFβ receptor I (ALK5) with IC_{50} of 14.3 nM.



SD-208

HY-13227 **627536-09-8**

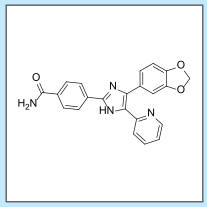
A potent, orally active ATP-competitive TGF-βRI inhibitor (IC_{50}= 49 nM).



SB-431542

HY-10431 **301836-41-9**

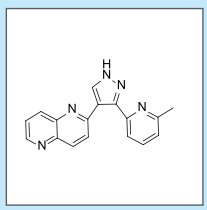
A potent and selective inhibitor of TGFβ receptor I (ALK5) with IC_{50} of 94 nM.



RepSox (E-616452, SJN 2511)

HY-13012 **446859-33-2**

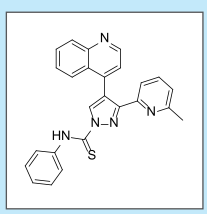
A potent and selective inhibitor of the TGFβR-1/ALK5 with IC_{50} of 23 nM and 4 nM for ATP binding to ALK5 and ALK5 autophosphorylation, respectively.



A 83-01

HY-10432 **909910-43-6**

A 83-01 is a selective inhibitor of TGF-β I receptor ALK5 kinase, type I activin/nodal receptor ALK4 and type I nodal receptor ALK7 with IC_{50} of 12, 45 and 7.5 nM respectively.



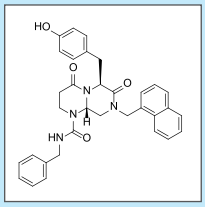
Wnt/Hedgehog/Notch

Wnt

ICG-001

HY-14428 **847591-62-2**

Antagonizes Wnt/β-catenin/TCF-mediated transcription and specifically binds to element-binding protein (CBP) with IC_{50} of 3 μM.

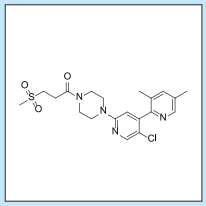


Smo

PF-5274857

HY-13459 **1373615-35-0**

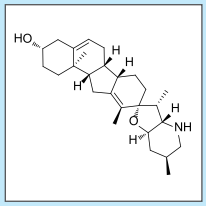
A potent and selective Smoothened (Smo) antagonist, inhibits Hedgehog (Hh) signaling with IC_{50} and Ki of 5.8 nM and 4.6 nM, respectively, and can penetrate the blood–brain barrier.



Cyclopamine (11-Deoxojervine)

HY-17024 **4449-51-8**

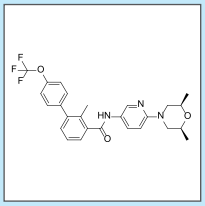
A specific Hedgehog (Hh) signaling pathway antagonist of Smoothened (Smo) with IC_{50} of 46 nM.



LDE225 (NVP-LDE225, Erismodegib)

HY-16582A **956697-53-3**

A potent Smoothened antagonist, inhibits Hedgehog (Hh) signaling with IC_{50} of 1.3 nM (mouse) and 2.5 nM (human), respectively.

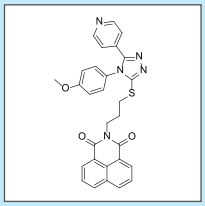


β-catenin

WIKI4

HY-16910 **838818-26-1**

A potent inhibitor of Wnt/β-catenin signaling (EC_{50} ~75 nM), inhibits auto-ADP-ribosylation of tankyrase 2 (TNKS2) (IC_{50} ~15 nM).

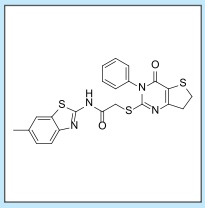


Porcupine

IWP-2

HY-13912 **686770-61-6**

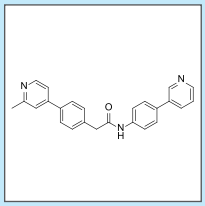
An inactivator of Porcn function with IC_{50} of 27 nM, an inhibitor of Wnt production.



Wnt-C59 (C59)

HY-15659 **1243243-89-1**

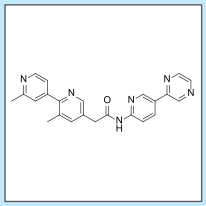
A very potent and highly selective Wnt signaling antagonist with an IC_{50} ~ 74 pM in the Wnt signaling reporter assay.



LGK974

HY-17545 **1243244-14-5**

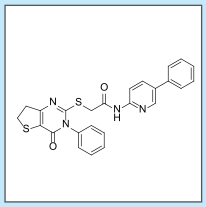
A potent and specific PORCN inhibitor, and inhibits Wnt signaling with IC_{50} of 0.4 nM.



IWP L6

HY-15825 **1427782-89-5**

A Porcn inhibitor with EC_{50} of 0.5 nM.

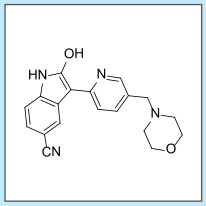


GSK-3

AZD1080

HY-13862 **612487-72-6**

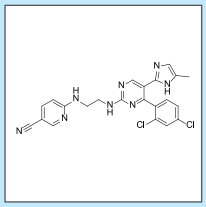
A selective, orally active, brain permeable GSK3 inhibitor of GSK3α and GSK3β with Ki of 6.9 nM and 31 nM, respectively.



CHIR-99021 (CT99021)

HY-10182 **252917-06-9**

A GSK-3α/β inhibitor with IC_{50} of 10 nM/6.7 nM.



Hot Products

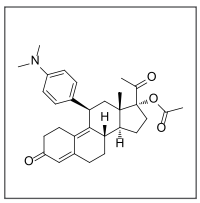
Others

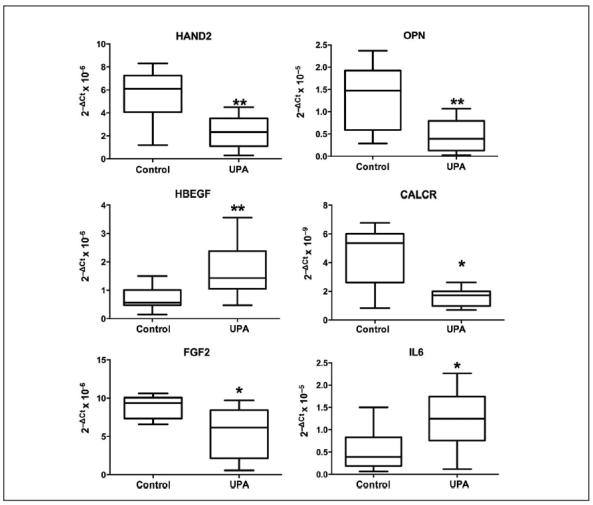
Progesterone Receptor

Ulipristal Acetate (CDB2914)

HY-16508 **126784-99-4**

A novel selective progesterone receptor modulator (SPRM) for the treatment of benign gynecological conditions such as uterine myoma.





Six out of eleven genes suggested to be involved in endometrial receptivity in the endometrial construct, namely HAND2, OPN, HBEGF, CALCR, FGF2 and IL6 showed significant difference in their expression levels as analysed by real-time PCR on exposure with ulipristal acetate (UPA).

Ulipristal acetate purchased from **MedChemExpress**.

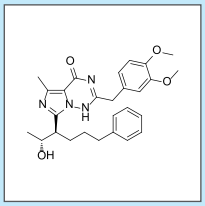
[*Hum Reprod.* 2015 Apr;30(4):800-11.]

PDE

Bay 60-7550

HY-14992 **439083-90-6**

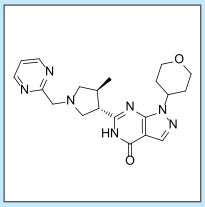
A potent PDE2 inhibitor with IC_{50} of 2.0 nM (bovine) and 4.7 nM (human).



PF-0444794

HY-15441 **1082744-20-4**

A potent, selective brain penetrant PDE9 inhibitor with Ki of 2.8 nM, 4.5 nM and 18 nM for human, rhesus and rat recombinant PDE9, respectively.

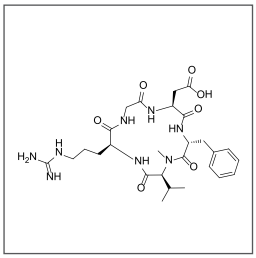


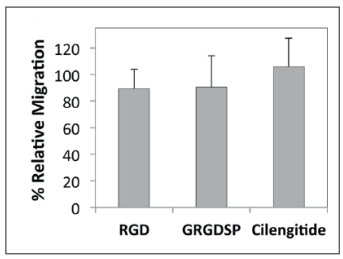
Integrin

Cilengitide (EMD 121974, NSC 707544)

HY-16141 **188968-51-6**

A potent integrin inhibitor for αvβ3 and αvβ5 integrin with IC_{50} of 4.1 nM and 79 nM, respectively.





Migration of HEK 293 cells in the presence of the integrin inhibitors – RGD, GRGDSP and Cilengitide relative to migration in the absence of the inhibitors.

Cilengitide purchased from **MedChemExpress**.

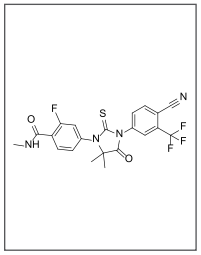
[*PLoS One.* 2014 Oct 13;9(10):e110453.]

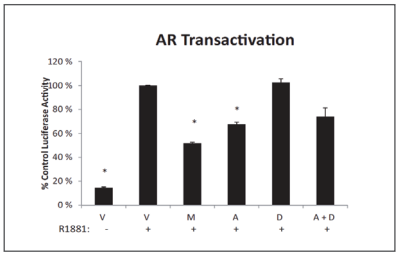
Androgen Receptor

MDV3100 (Enzalutamide)

HY-70002 **915087-33-1**

An androgen-receptor (AR) antagonist with IC_{50} of 36 nM.





Effect of Abiraterone and Dutasteride on Androgen receptor (AR) activation. (A) 22RV1 cells incubated with Abiraterone (A), Dutasteride (D), MDV3100 (M), Abiraterone + Dutasteride.

MDV3100 purchased from **MedChemExpress**.

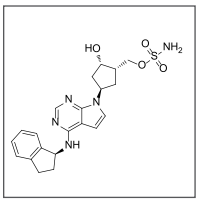
[*J Steroid Biochem Mol Biol.* 2014 Oct;144 Pt B:436-44.]

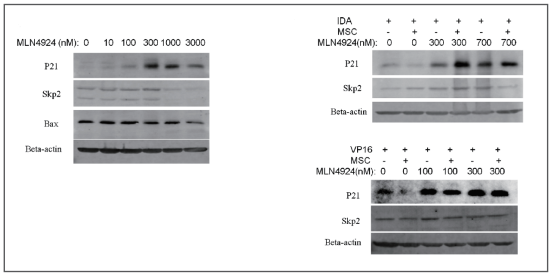
NAE

MLN4924

HY-70062 **905579-51-3**

A potent and selective small molecule NEDD8-activating enzyme (NAE) inhibitor (IC_{50} = 4.7 nM).





MLN4924-induced p21 high expression eliminates the cell cycle promotion effect of BM-MSCs on Reh cells. Western blot analysis of p21, skp2, and bax expression in Reh cells treated with different concentration of MLN4924.

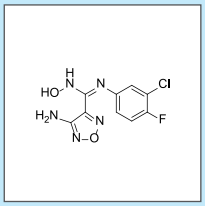
MLN4924 purchased from **MedChemExpress**.
[*Ann Hematol.* 2014 Sep;93(9):1499-508.]

IDO

IDO-IN-2

HY-15683 **914471-09-3**

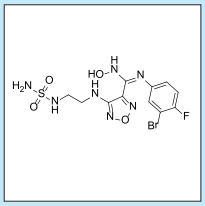
A potent IDO1 inhibitor with IC_{50} of 10 nM.



INCB 024360

HY-15689 **1204669-58-8**

A potent and novel indoleamine-2,3 dioxygenase (IDO) inhibitor with IC_{50} <100 nM.

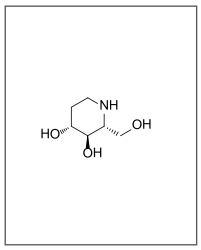


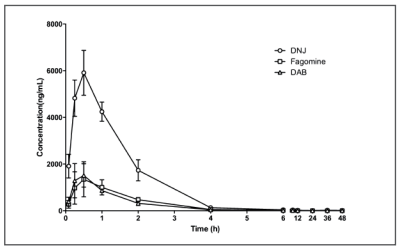
Others

Fagomine

HY-13005 **53185-12-9**

An iminosugar originally isolated from seeds of buckwheat, present in the human diet and now available as a pure crystalline product.





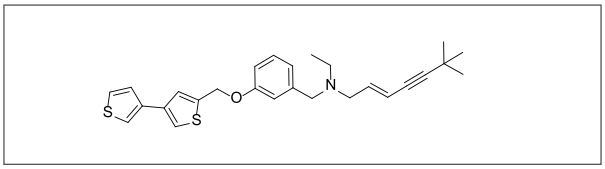
Plasma concentration–time curves of three alkaloids in rats after oral administration of SZ-A. The pharmacokinetic study of DNJ, Fagomine and DAB in rats.

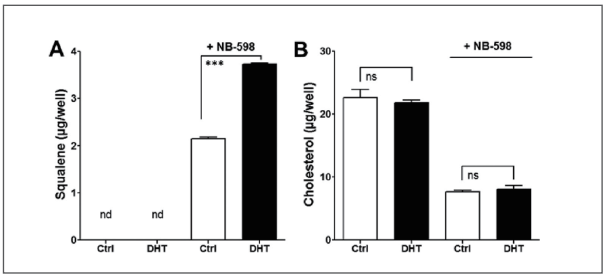
Fagomine purchased from **MedChemExpress**.
[*J Pharm Biomed Anal.* 2015 Feb 19;109:177-183.]

NB-598

HY-16343 **131060-14-5**

A potent competitive inhibitor of squalene epoxidase (SE).





Squalene was only detected in NB-598-treated cell layers. DHT significantly increased squalene without significantly modifying cholesterol.

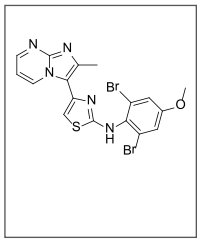
NB-598 purchased from **MedChemExpress**.
[*J Steroid Biochem Mol Biol.* 2015 Apr 9;152:34-44.]

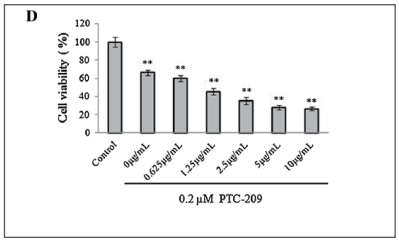
Hot Products

PTC-209

HY-15888 **315704-66-6**

A specific inhibitor for BMI-1 with IC_{50} of 0.5 uM in both GEMS reporter and ELISA assays.





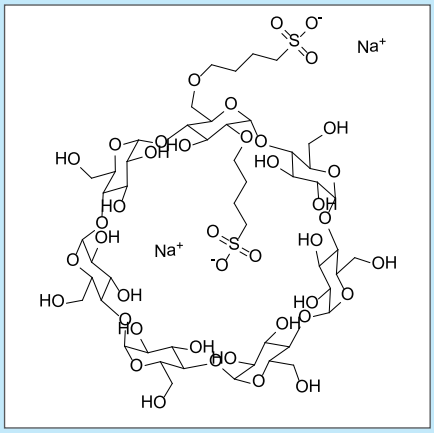
The synergistic effect of Bmi-1 inhibitor PTC-209 and THA on HepG2 cells was measured by MTT assay.

PTC-209 purchased from **MedChemExpress**.
[*Apoptosis*. 2015 Jan;20(1):75-82.]

Captisol (SBE-β-CD)

HY-17031 **182410-00-0**

A chemically modified cyclodextrin with a structure designed to optimize the solubility and stability of drugs.

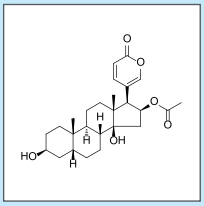


Natural Compounds

Bufotalin

HY-N0878 **471-95-4**

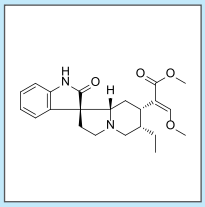
A cardiotoxic bufanolide steroid, novel anti-osteoblastoma agent.



Corynoxine

HY-N0901 **6877-32-3**

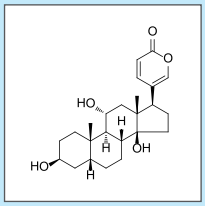
An autophagy inducer in different neuronal cell lines (N2a, SHSY-5Y cells).



Gamabufotalin

HY-N0883 **465-11-2**

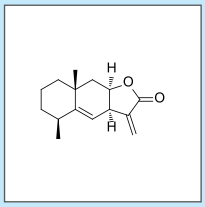
A major bufadienolide of Chansu, has anticancer activity.



Alantolactone

HY-N0038 **546-43-0**

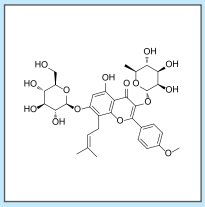
A sesquiterpene lactone, suppresses STAT3 signaling in MDA-MB-231 cell.



Icariin

HY-N0014 **489-32-7**

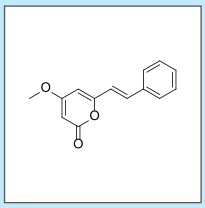
A flavonoid, exhibits anti-inflammatory and neuroprotective activities.



Desmethoxyyangonin

HY-N0918 **15345-89-8**

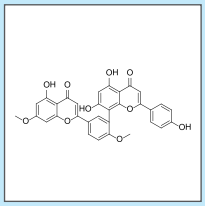
A major kavalactone found in Piper methysticum plant, reversible inhibitor of MAO-B.



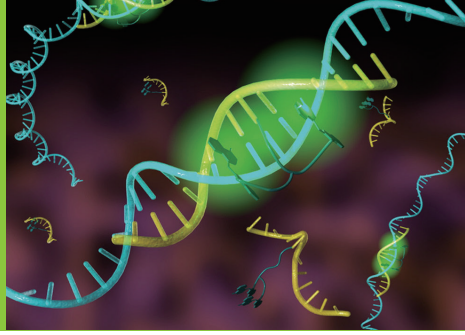
Ginkgetin

HY-N0889 **481-46-9**

A biflavonoid isolated from Ginkgo bilobal L, shows anti-inflammatory and anticancer activities.



Fluorescent Dyes and Probes

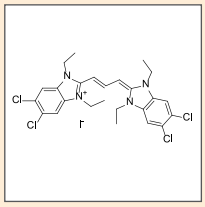


Fluorescent molecules, also called fluorophores or simply fluors, respond distinctly to light. A photon of excitation light is absorbed by an electron of a fluorescent particle, which raises the energy level of the electron to an excited state. Fluorescent probes are often employed to detect protein location and activation, monitor biological processes and identify protein complex formation in vivo. MedchemExpress provides series of fluorescent molecules and probes for biological research.

JC-1
(CBIC2)

HY-15534 **3520-43-2**

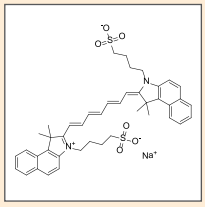
Mitochondrial membrane potential sensitive probe.



Cardiogreen
(Foxgreen, IC Green, Indocyanine green)

HY-D0711 **3599-32-4**

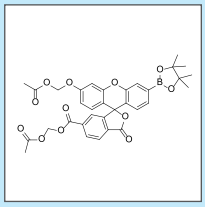
Cyanine dye for protein determination by HPCE.



PF6-AM
(Peroxyfluor 6 acetoxymethyl ester)

HY-D0710 **1268491-69-5**

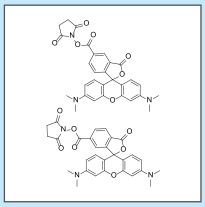
Selective fluorescent indicator for H_2O_2.



5(6)-TAMRA SE

HY-D0723 **246256-50-8**

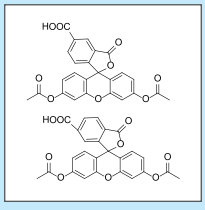
Nucleic acid probe, fluorescent dyes.



5(6)-CFDA
(5-(6)-Carboxyfluorescein diacetate)

HY-D0722 **124387-19-5**

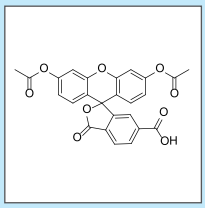
Cell-permeant esterase substrate, viability probe.



6-CFDA
(6-Carboxyfluorescein diacetate)

HY-D0721 **3348-03-6**

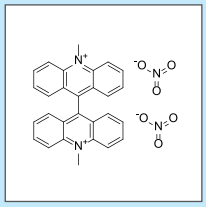
Cell-permeant esterase substrate, viability probe.



Lucigenin
(NSC-151912, L-6868)

HY-D0720 **2315-97-1**

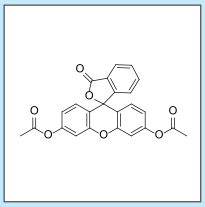
Chemilumigenic probe for detecting superoxide anion radical production.



Fluorescein Diacetate
(3,6-Diacetoxyfluoran)

HY-D0719 **596-09-8**

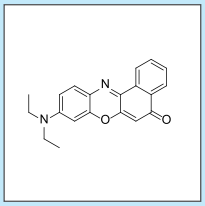
Cell viability fluorescent probe.



Nile Red
(Nile Blue A oxazone)

HY-D0718 **7385-67-3**

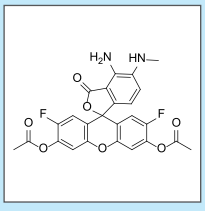
Localize and quantitate lipids in cell.



DAF-FM DA
(Diaminofluorescein-FM diacetate)

HY-D0717 **254109-22-3**

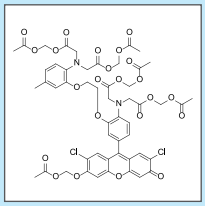
Nitric oxide (NO) fluorescent indicator.



Fluo-3AM
(Fluo-3-pentaacetoxymethyl ester)

HY-D0716 **121714-22-5**

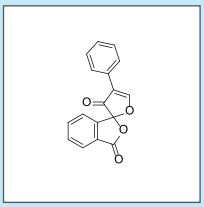
Fluo-3AM, labeled calcium indicator.



Fluram
(Fluorescamine, Ro 20-7234)

HY-D0715 **38183-12-9**

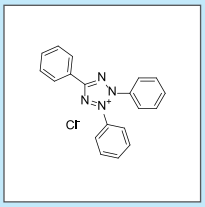
For fluorimetric determination of primary amines and amino acids.



Tetrazolium Red
(TTC, TPTZ)

HY-D0714 **298-96-4**

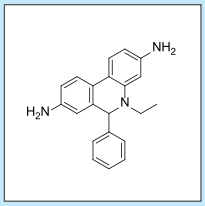
For visualize dehydrogenase enzyme activity.



Dihydroethidium
(Hydroethidine, PD-MY 003)

HY-D0079 **104821-25-2**

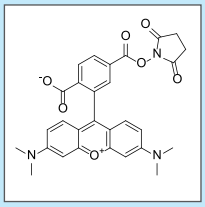
Superoxide indicator.



6-TAMRA-SE
(6-TAMRA-NHS ester)

HY-D0049 **150810-69-8**

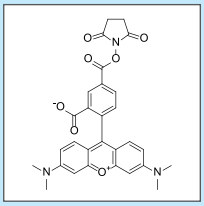
Fluorescent labelling of peptides.



5-TAMRA-SE
(5-TAMRA-NHS ester)

HY-D0048 **150810-68-7**

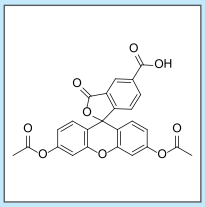
Fluorescent labelling of peptides.



5-CFDA
(5-Carboxyfluorescein diacetate)

HY-D0047 **79955-27-4**

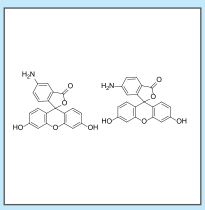
Cell-permeant esterase substrate, viability probe.



5(6)-Aminofluorescein
(5(6)-AFM)

HY-D0029 **27599-63-9**

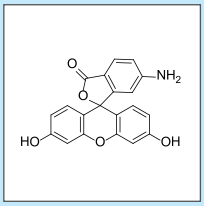
Fluorescent labelling reagent for proteins.



Fluoresceinamine Isomer II
(6-AFM, 6-Aminofluorescein)

HY-D0022A **51649-83-3**

Amine-reactive fluorescent label.



Fluoresceinamine Isomer I
(5-AFM, 5-Aminofluorescein)

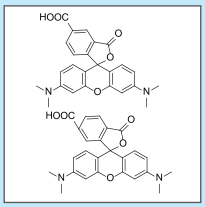
HY-D0022 **3326-34-9**

Amine-reactive fluorescent label.

5(6)-TAMRA
(5(6)-Carboxytetramethylrhodamine)

HY-15944 **98181-63-6**

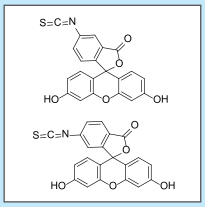
Amine-reactive fluorescent label.



5(6)-FITC
(Fluorescein 5(6)-isothiocyanate, FITC)

HY-15941 **27072-45-3**

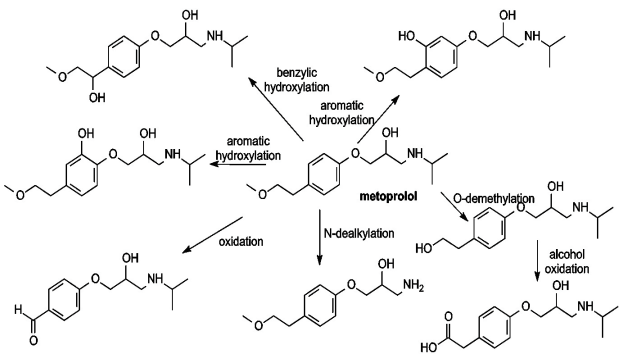
Amine-reactive fluorescent label.



Compound Metabolites and Isotope Labelled Compounds

MedChemExpress also offers drug metabolite standards and products containing stable isotopes. Isotopically labelled reference standards are used as internal standards in bioanalytical mass spectrometry and for obtaining clearer results when analyzing NMR samples. Isotopically labelled reference standards possess the same physico-chemical properties as the unlabeled version, differing only in a higher molecular weight.
We provide over 100 stable isotope labelled compounds, including many hard-to-find stable isotope labelled compounds useful for both research and analytical communities. Many of these compounds can also be provided in larger quantities than as listed in the catalog.

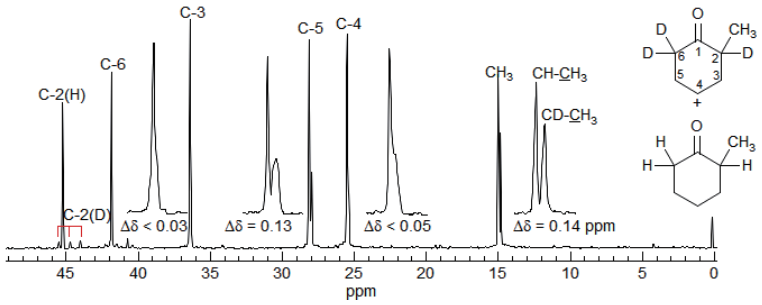
Compound Metabolites



Lurasidone Metabolite 14283	186204-31-9
Lurasidone metabolite 14326	186204-33-1
Mebeverine acid	475203-77-1
Netupitant N-oxide	910808-11-6
N-desmethyl Netupitant	290296-72-9
N-Desmethyl Imatinib	404844-02-6
More ...	

PSI-6206 13CD3	1256490-42-2
Azilsartan D5	1346599-45-8
Olmesartan D4	1420880-41-6
Naratriptan D3 HCl	1190021-64-7
Etoricoxib D4	1131345-14-6
Nifedipine D6	1188266-14-9
Aliskiren D6 HCl	1246815-96-2
Febuxostat D9	1246819-50-0
More ...	

Isotope Labelled Compounds



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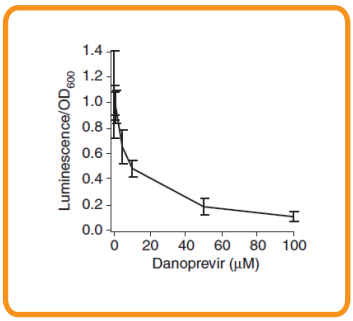

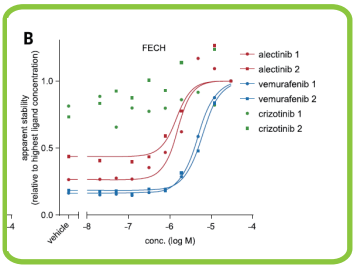

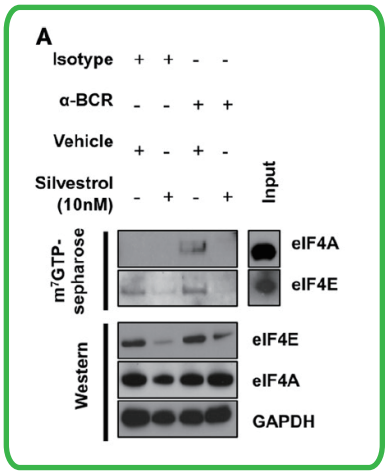

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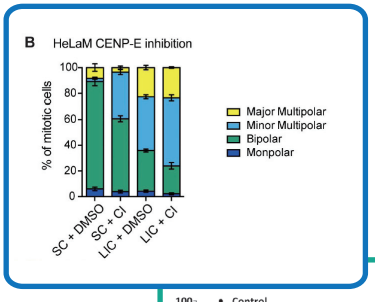

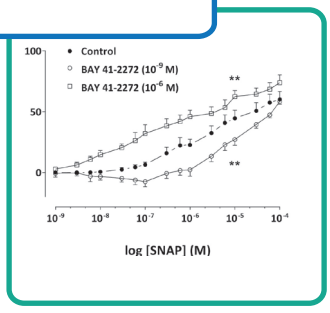

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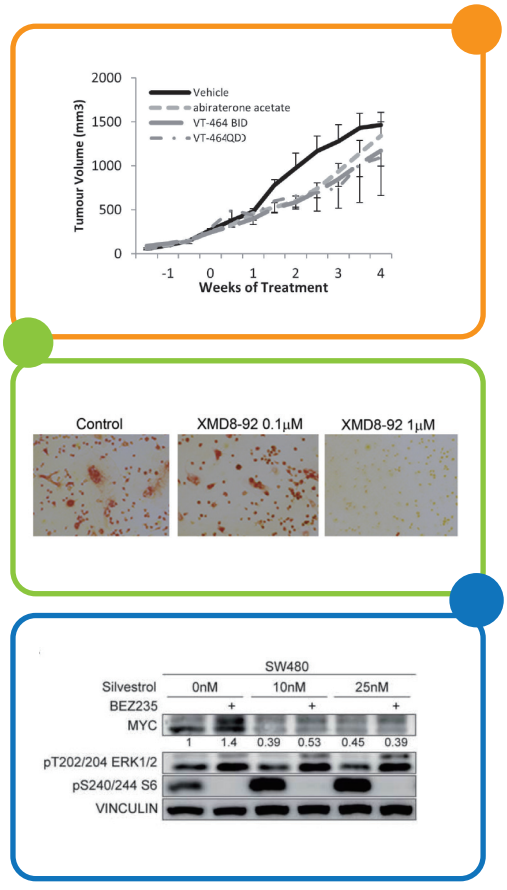

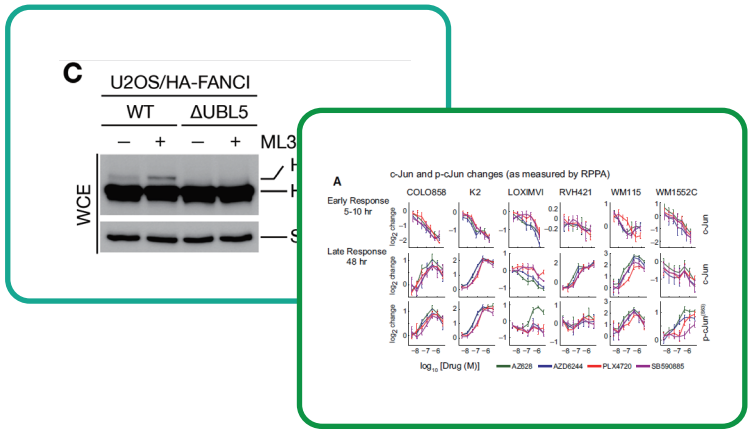

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MedChemExpress USA

Address: 11 Deer Park Drive, Suite 102D Monmouth Junction, NJ 08852
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LubioScience GmbH
Baumackerstrasse 24
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+41 (0)41 417 02 80

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