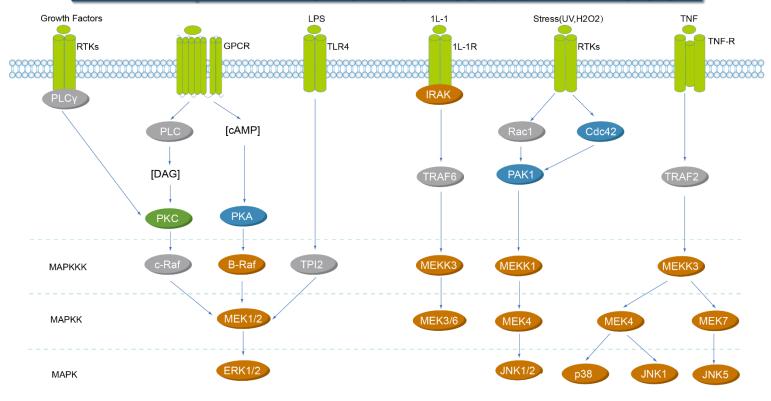
# インヒビターやアゴニスト、モジュレーターを幅広く供給



# MAPK/ERK 伝達経路 関連化合物



- ●アルツハイマー病、パーキンソン病、筋萎縮性側索硬化症(ALS) および種々のタイプのガンを含む多くのヒト疾患の発症に関与しているMAPKシグナル伝達経路の関連化合物を提供。
- ●MAPKファミリーは、増殖、分化、発達、形質転換、アポトーシス などの細胞プログラムにおいて重要な役割を果たしています。
- ●ERK、JNK、MEK、p38 MAPK、Raf、RSK、MNKなどをターゲット。
- ●高純度を保証するためにNMRおよびHPLCにより分析。



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# ~MAPK/ERK Pathway~



(CAS No.: 1453848-26-4)

(CAS No.: 896720-20-0)

(CAS No.: 1616632-77-9)

(CAS No.: 869886-67-9)

# HY-50846 SCH772984



SCH772984 potently inhibits ERK1 and ERK2 activity with IC50 values of 4 and 1 nM, respectively.

Target: ERK Effect: Inhibitor

# (CAS No.: 942183-80-4)

# HY-14443 XMD8-92



XMD8-92 is a highly selective ERK5/BMK1 inhibitor with dissociation constant (Kd) value of 80 nM.

(CAS No.: 1234480-50-2)

(CAS No.: 865362-74-9)

(CAS No.: 35807-85-3)

Target: ERK Effect: Inhibitor

# HY-12275 FR 180204



FR 180204 is an ATP-competitive, selective ERK inhibitor with Ki of 0.31  $\,\mu$  M and 0.14  $\,\mu$  M for ERK1 And ERK2, respectively.

Target: ERK Effect: Inhibitor



Astragaloside IV Astragaloside IV, an active component isolated from Astragalus membranaceus, suppresses the activation of ERK1/2 and JNK, and downregulates matrix metalloproteases (MMP)-2, (MMP)-9 in MDA-MB

Target: ERK

# Effect: Inhibitor

# HY-N0431

-231 breast cancer cells

(CAS No.: 84687-43-4)

Tauroursodeoxycholate Sodium

### HY-19696A



Tauroursodeoxycholate (TUDCA) inhibits neointimal hyperplasia by reducing proliferation and inducing apoptosis of smooth muscle cells by suppression of ERK via PKC  $\alpha$  -mediated MKP-1 induction.

Target: ERK Effect: Inhibitor

# HY-100627

# APS-2-79

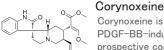


APS-2-79 is an antagonist of MEK phosphorylation by RAF through direct binding of the KSR active site.

Target: **ERK** Effect: Antagonist

# (CAS No.: 2002381-25-9)

# HY-N0590



Corynoxeine is a potent ERK1/2 inhibitor of key PDGF-BB-induced VSMC proliferation; a useful and prospective compound in the prevention and treatment for vascular diseases.

Target: ERK Effect: Inhibitor

# (CAS No.: 630-94-4)

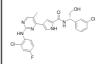
# HY-15947 GDC-0994



GDC-0994 is an orally bioavailable inhibitor selective for ERK kinase activity with IC50 of 6.1 nM and 3.1 nM for ERK1 and ERK2, respectively.

Target: **ERK** Effect: Inhibitor

# HY-14178



VX-11e is a potent, selective, and orally bioavailable inhibitor of ERK with  $Ki \le 2$  nM.

Target: ERK Effect: Inhibitor

# HY-100627A

# APS-2-79 hydrochloride



APS 2-79 hydrochloride is an antagonist of MEK phosphorylation by RAF through direct binding of the KSR active site with IC50 values of 120 ± 23 and 418 ±40 nM for KSR2 and MEK1, respectively.

Target: **ERK** Effect: Antagonist (CAS No.: 2002381-31-7)

# HY-15615A



TIC10 is a potent, orally active, and stable TRAIL inducer, also inhibits Akt and ERK activity.

Target: ERK Effect: Inhibitor

# HY-15816



VRT752271 is a pyrrole inhibitors of ERK protein

Target: ERK Effect: Inhibitor

# HY-14403 ERK5-IN-1

ERK5-IN-1 exhibits potent inhibition of ERK5 with cellular EC50 values of 0.19  $\mu$  M and enzymatic IC50 values of 0.087  $\,\mu$  M and of LRRK2[G2019S] with enzymatic IC50 values of 0.026  $\mu$  M.

Target: **ERK** Effect: Inhibitor

(CAS No.: 1234479-76-5)

# HY-18932

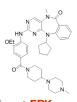
DEL-22379 is an ERK dimerization inhibitor with IC50 of 0.5  $\mu$  M.

Target: ERK Effect: Inhibitor

(CAS No.: 181223-80-3)

# ~MAPK/ERK Pathway~





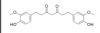
# HY-15665 XMD17-109

XMD17-109 is a novel, specific ERK-5 inhibitor, which inhibits the ERK5-mediated AP1 transcriptional activity at 30  $\mu$  M, and has an EC50 of 4.2  $\mu$  M.

Target: ERK Effect: Inhibitor (CAS No.: 1435488-37-1)

# HY-N0893

### Tetrahydrocurcumin



Tetrahydrocurcumin is one of the major metabolites of Curcumin; apoptosis inducer and has been demonstrated to be an antioxidant.

Target: **ERK** Effect: Inhibitor (CAS No.: 36062-04-1)



# HY-N2312

# Mogrol

Mogrol is a biometabolite of mogrosides, and acts via inhibition of the ERK1/2 and STAT3 pathways, or reducing CREB activation and activating AMPK signaling

Target: ERK Effect: Inhibitor

(CAS No.: 88930-15-8)

# HY-N2149

# **Tomatidine**

Tomatidine inhibits the phosphorylation of ERK, Akt, and the nuclear content of NF-  $\kappa$  B.

Target: ERK Effect: Inhibitor

# Sanguinarine chloride

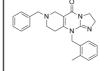
HY-N0052A

Sanguinarine (chloride) is natural product.

Target: ERK Effect: Activator

# HY-15615

### TIC10 isomer



TIC10 isomer(ONC201 isomer) is an isomer of TIC10; TIC10 is a potent, orally active, and stable small molecule that transcriptionally induces TRAIL in a p53-independent manner and crosses the blood-brain barrier.

Target: ERK Effect: Inhibitor

(CAS No.: 41276-02-2)

(CAS No.: 77-59-8)

### HY-N0052

# Sanguinarine

Sanguinarine(Pseudochelerythrine) is a benzophenanthridine alkaloid which has antimicrobial, anti-oxidant and anti-inflammatory properties; specific inhibitor of Rac1b.

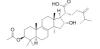
Target: ERK Effect: Activator

(CAS No.: 2447-54-3)

(CAS No.: 5578-73-4)

### HY-N0371

# Pachymic acid



Pachymic acid is a lanostrane-type triterpenoid, which possesses anti-emetic, anti-inflammatory, and anti-cancer properties.

Target: ERK Effect: Inhibitor

(CAS No.: 29070-92-6)



# HY-15947A

# GDC-0994 hydrochloride

GDC-0994 hydrochloride is an orally bioavailable inhibitor selective for ERK kinase activity with IC50 of 6.1 nM and 3.1 nM for ERK1 and ERK2, respectively.

Target: ERK Effect: Inhibitor

(CAS No.: 2070009-58-2)

# HY-N0300

### **Tetrahydropalmatine**

Tetrahydropalmatine, an active component isolated from corydalis (a Chinese herbal medicine), possesses analgesic effects.

Target: ERK Effect: Inhibitor

(CAS No.: 2934-97-6)



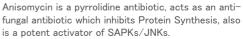
# HY-12041 SP600125

SP600125 is a broad-spectrum JNK inhibitor for JNK1, JNK2 and JNK3 with IC50 of 40 nM, 40 nM and 90 nM, respectively.

Target: JNK Effect: Inhibitor

(CAS No.: 129-56-6)

# HY-18982 Anisomycin



Target: JNK Effect: Activator

(CAS No.: 22862-76-6)

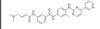
# HY-15495

CC-930 is a potent JNK1/JNK2/JNK3 inhibitor with IC50 values of 61/7/6 nM, respectively, and used for the treatment of fibrotic and infammatory indications.

Target: JNK Effect: Inhibitor

(CAS No.: 899805-25-5)

# HY-13319



JNK-IN-8 is a potent JNK inhibitor with IC50 of 4.7 nM, 18.7 nM, and 1 nM for JNK1, JNK2, and JNK3, respectively.

Target: JNK Effect: Inhibitor

(CAS No.: 1410880-22-6)

# ~MAPK/ERK Pathway~



# HY-P0069 D-JNKI-1

GRKKRRQRRRPPRPKRPTTLNLFPQVPRSQDT

D-JNKI-1 is a specific inhibitor of JNK, and strongly interferes with JNK activation.

Target: JNK Effect: Inhibitor

# HY-15617

JNK-IN-7

JNK-IN-7 is a relatively selective JNKs inhibitor (IC50= 1.54/1.99/0.75 for JNK1/2/3); also bound to IRAK1, PIK3C3, PIP5K3 and PIP4K2C.

(CAS No.: 1408064-71-0)

(CAS No.: 1438391-30-0)

(CAS No.: 345987-15-7)

(CAS No.: 1128096-91-2)

Target: JNK Effect: Inhibitor

# HY-13022

# CC-401 hydrochloride

CC-401 hydrochloride is a potent inhibitor of all three forms of JNK with Ki of 25 to 50 nM.

Target: JNK Effect: Inhibitor

# HY-11010

AS601245



AS601245 is an inhibitor of the c-Jun NH2-terminal kinase (JNK) (hJNK1: IC50=150nM, hJNK2: IC50=220nM and hJNK3: IC50=70 nM), has neuroprotective properties.

Target: JNK Effect: Inhibitor

# HY-12829

# SR-3306

SR-3306 is a brain penetrant small molecule JNK inhibitor from the aminopyrimidine class.

Target: JNK Effect: Inhibitor

OH

 $NH_2$ 

### HY-15027

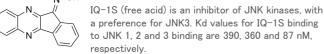
# 5-Aminosalicylic acid

5-Aminosalicylic acid is an anti-inflammatory compound.

Target: **JNK** Effect: **Inhibitor** 

# HY-100233

# N-OH IQ-1S free acid



Target: JNK Effect: Inhibitor

(CAS No.: 23146-22-7)

(CAS No.: 89-57-6)

# OH HOH

# HY-N0431

# Astragaloside IV

Astragaloside IV, an active component isolated from Astragalus membranaceus, suppresses the activation of ERK1/2 and JNK, and downregulates matrix metalloproteases (MMP)-2, (MMP)-9 in MDA-MB -231 breast cancer cells.

Target: JNK Effect: Inhibitor

# (CAS No.: 84687-43-4)

# OH H

# HY-N0176

### Dihydroartemisinin

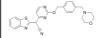
Dihydroartemisinin, one of the most active artemisinin derivative, exhibits anticancer activity in a number of human cancer cells.

Target: JNK
Effect: Activator

(CAS No.: 71939-50-9)

# HY-14761

### AS 602801



AS 602801 is an ATP-competitive JNK inhibitor with IC50 of 80 nM, 90 nM, and 230 nM for JNK1, JNK2, and JNK3, respectively.

Target: JNK Effect: Inhibitor

# HY DB

Target: JNK Effect: Inhibitor (CAS No.: 848344-36-5)

# **HY-15737** DB07268



 $\ensuremath{\mathsf{DB07268}}$  is a potent and selective JNK1 inhibitor with an IC50 value of 9 nM.

(CAS No.: 929007-72-7)

# **HY-13022A** CC-401



CC-401 is a potent inhibitor of all three forms of JNK with Ki of 25 to 50 nM.

Target: JNK Effect: Inhibitor

(CAS No.: 395104-30-0)

# **HY-15881** TCS JNK 5a



TCS JNK 5a(JNK Inhibitor IX) is a selective inhibitor of JNK2 and JNK3 (pIC50 values are 6.7, 6.5, <5.0 and <4.8 for JNK3, JNK2, JNK1 and p38  $\alpha$  respectively); displays no significant activity at a range of other protein kinases including EGFR, ErbB2,

Target: JNK Effect: Inhibitor

(CAS No.: 312917-14-9)

# **HY-10366** BI-78D3



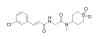
Target: JNK Effect: Inhibitor

(CAS No.: 883065-90-5)

# ~MAPK/ERK Pathway~



# HY-19994 ML264



ML 264 is a potent Krüppel-like factor 5 (KLF5)

Target: KLF Effect: Inhibitor

# (CAS No.: 1550008-55-3)

# HY-12834A



MK2-IN-1 hydrochloride

MK2-IN-1 hydrochloride is a potent and selecitve MAPKAPK2(MK2) inhibitor(IC50=0.11 uM) with a non-ATP competitive binding mode.

Target: MAPKAPK2 (MK2)

Effect: Inhibitor (CAS No.: 1314118-94-9)

# HY-10999 Trametinib



Trametinib is a potent MEK1/2 inhibitor that specifically inhibits MEK1/2, with an IC50 value of

Target: MEK Effect: Inhibitor

# (CAS No.: 871700-17-3)

# HY-50706



Selumetinib is a highly potent MEK inhibitor, with an IC50 value of 14 nM against MEK1.

Target: MEK

# Effect: Inhibitor

# HY-18955 BI-847325

BI-847325 is an ATP competitive dual inhibitor of MEK and aurora kinases (AK) with IC50 values of 4 and 15 nM for human MEK2 and AK-C, respectively.

Target: MEK Effect: Inhibitor

# HY-10999A

# Trametinib DMSO solvate

Trametinib DMSO solvate is a potent MEK1/2 inhibitor that specifically inhibits MEK1/2, with an IC50 value of about 2 nM.

Target: MEK Effect: Inhibitor

(CAS No.: 1187431-43-1)

(CAS No.: 606143-52-6)

(CAS No.: 1207293-36-4)

# HY-N0003

# Honokiol

Honokiol(NSC-293100), a hydroxylated biphenyl compound isolated from the Chinese herb Magnolia officinalis, has been reported to have anticancer activities in a variety of cancer cell lines.

Target: MEK Effect: Activator

(CAS No.: 35354-74-6)

# HY-12834 MK2-IN-1

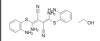


MK2-IN-1 is a potent and selecitve MAPKAPK2(MK2) inhibitor(IC50=0.11 uM) with a non-ATP competitive binding mode.

Target: MAPKAPK2 (MK2)

(CAS No.: 1314118-92-7) Effect: Inhibitor

# HY-12031



U0126 is a non-ATP competitive MEK inhibitor, with IC50 of 70 nM and 60 nM for MEK1 and MEK2,

Target: MEK Effect: Inhibitor

# HY-12028

### PD98059



PD98059 is an MEK inhibitor with IC50 of 5  $\,\mu$  M, also suppresses TCDD binding to the aryl hydrocarbon receptor (AHR) with IC50 of 4  $\mu$  M.

(CAS No.: 1173097-76-1)

(CAS No.: 167869-21-8)

(CAS No.: 391210-10-9)

(CAS No.: 934660-93-2)

(CAS No.: 606143-89-9)

Target: MEK Effect: Inhibitor

# HY-10254



PD0325901 (PD325901) is selective and non ATPcompetitive MEK inhibitor with IC50 of 0.33 nM.

Target: MEK Effect: Inhibitor

# HY-13064



Cobimetinib is a novel selective MEK inhibitor, and the IC50 value against MEK1 is 4.2 nM.

Target: MEK Effect: Inhibitor

# HY-15202

# MFK162



MEK162 is a potent and selective mitogen-activated protein kinase (MEK) inhibitor wirh IC50 of 12 nM.

Target: MEK Effect: Inhibitor

# HY-50295



CI-1040 (PD184352) is an orally active, highly specific, small-molecule inhibitor of MEK with an IC50 of 17 nM for MEK1.

Target: MEK

(CAS No.: 212631-79-3) Effect: Inhibitor

# ~MAPK/ERK Pathway~





# HY-14691

### Refametinib

Refametinib (RDEA119, BAY 86-9766), is an orally bioavailable selective MEK inhibitor with potential antineoplastic activity (IC50=19 nM MEK1; IC50=47

Target: MEK Effect: Inhibitor

Target: MEK Effect: Inhibitor

# HY-12467 OTS-964

OTS964 is a potent TOPK inhibitor with an IC50 value of 28 nM.

(CAS No.: 1338545-07-5)



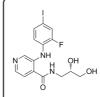
# HY-15610 GDC-0623

GDC-0623 is a potent, ATP-uncompetitive inhibitor of MEK1 (Ki=0.13 nM, +ATP), and displays 6-fold weaker potency against HCT116 (KRAS (G13D), EC50=42 nM) versus A375 (BRAFV600E, EC50=7

Target: MEK Effect: Inhibitor

(CAS No.: 1168091-68-6)

(CAS No.: 923032-37-5)



# HY-12042 AS703026

AS703026 is a highly selective, potent, ATP noncompetitive allosteric inhibitor of MEK1/2, used for

Target: MEK Effect: Inhibitor

(CAS No.: 1236699-92-5)

(CAS No.: 1265916-41-3)

(CAS No.: 334949-59-6)

### HY-18652 Ro 5126766



Ro 5126766 (CH5126766) is a potent and selective dual RAF/MEK inhibitor. For SK-MEL-28, SK-MEL-2, MIAPaCa-2, and SW480 cell lines, the IC50 is determined by WST-8 assay is 65, 28, 40, and 46 nM, respectively.

Target: MEK Effect: Inhibitor

(CAS No.: 946128-88-7)



# HY-12056

### RIX02189

BIX02189 is a selective MEK5/ERK5 inhibitor with an IC50 of 59 nM.

Target: MEK Effect: Inhibitor





TAK-733 is a potent and selective MEK allosteric site inhibitor for MEK1 with IC50 of 3.2 nM, inactive to Abl1, AKT3, c-RAF, CamK1, CDK2, c-Met, etc.

Target: MEK Effect: Inhibitor

# HY-12058 AZD8330



AZD8330(ARRY-424704; ARRY-704) is a novel, selective, non-ATP competitive MEK 1/2 inhibitor with IC50 of 7 nM.

Target: MEK Effect: Inhibitor

(CAS No.: 869357-68-6)

# HY-14719 RO4987655

RO4987655(CH-4987655) is an orally active small molecule, targeting mitogen-activated protein kinase kinase 1 (MAP2K1/MEK1 IC50=5.2 nM), with potential antineoplastic activity.

Target: MEK Effect: Inhibitor

(CAS No.: 1035555-63-5)

HY-12055 BIX02188 BIX02188 is a selective inhibitor of MEK5 with IC50 of 4.3 nM, also inhibits ERK5 catalytic activity with

IC50 of 810 nM, and does not inhibit closely related kinases MEK1, MEK2, ERK2, and JNK2.

Target: MEK Effect: Inhibitor

# (CAS No.: 874101-00-5)

# HY-15437

# SL327

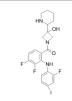
SL-327 is a cell-permeable vinylogous cyanamide that acts as a selective inhibitor of MEK-1 and MEK -2 (IC50 = 0.18 and 0.22  $\mu$  M respectively).

Target: MEK Effect: Inhibitor

PD318088 is a non-ATP competitive allosteric MEK1/2 inhibitor, binds simultaneously with ATP in a region of the MEK1 active site that is adjacent to the ATP-binding site.

Target: MEK Effect: Inhibitor

# (CAS No.: 391210-00-7)



# HY-13078

# Cobimetinib racemate

Cobimetinib(GDC-0973; XL518) is a potent, highly selective inhibitor of MEK1/2.

Target: MEK Effect: Inhibitor

(CAS No.: 934662-91-6)

(CAS No.: 305350-87-2)

# HY-N0776

HY-12062

PD318088

# Isorhamnetin

Isorhamnetin is an O-methylated flavonol, a flavonoid

Target: MEK Effect: Inhibitor

(CAS No.: 480-19-3)

# ~MAPK/ERK Pathway~



# HY-12202

### MEK inhibitor

MEK inhibitor is a potent MEK inhibitor, antitumor

Target: MEK Effect: Inhibitor

# HY-10216

# Refametinib R enantiomer

Refametinib R enantiomer (BAY 869766; RDEA119) is the only cyclopropane-1-sulfonamide derivative, and exhibits a highly selective allosteric inhibition of MEK

Target: MEK Effect: Inhibitor

# (CAS No.: 923032-38-6)

# HY-13079

# Cobimetinib R-enantiomer

Cobimetinib R-enantiomer (GDC-0973; XL518) is the R-enantiomer of Cobimetinib, which is a potent, highly selective inhibitor of mitogen-activated protein kinase kinase(MFK1/2)

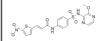
(CAS No.: 334951-92-7)

(CAS No.: 934660-94-3)

Target: MEK Effect: Inhibitor

# HY-100573

# Necrosulfonamide



Necrosulfonamide is a pharmacological inhibitor of MLKL with IC50 values of 124 nM in human HT-29.

Target: Mixed Lineage Kinase

(CAS No.: 1360614-48-7) Effect: Inhibitor

### HY-12599 URMC-099

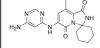
URMC-099 is an orally bioavailable, brain penetrant inhibitor of Mixed Lineage Kinase 3(MLK3) with IC50 of 14 nM: inhibits LPS-induced TNF  $\alpha$  release in microglial cells, HIV-1 Tat-induced release of cytokines in human monocytes, and up-regulation of

Target: Mixed Lineage Kinase

(CAS No.: 1229582-33-5) Effect: Inhibitor

# HY-100022

### eFT508



eFT508 is a potent, highly selective, and orally bioavailable MNK1 and MNK2 inhibitor, with IC50 of 1 -2 nM against both of the two isoforms.

(CAS No.: 1849590-01-7)

(CAS No.: 131436-22-1)

(CAS No.: 152121-30-7)

(CAS No.: 869185-85-3)

(CAS No.: 586379-66-0)

Target: MNK Effect: Inhibitor

# HY-10520



CGP 57380 is a cell-permeable pyrazolo-pyrimidine compound that acts as a selective inhibitor of Mnk1 with IC50 of 2.2  $\,\mu$  M, but has no inhibitory activity against p38, JNK1, ERK1/2, PKC, or Src-like kinases.

Target: MNK Effect: Inhibitor

### HY-16982

### Cercosporamide

Cercosporamide is a potent and selective Mnk inhibitor, and a orally bioavailable antifungal agent, suppresses phosphorylation of eIF4E and exhibits antileukemic effects.

Target: MNK Effect: Inhibitor



### (CAS No.: 522629-08-9)

# HY-10295

# SB 202190



SB 202190 inhibits p38 and p38  $\beta$  2 with IC50 values of 50 nM and 100 nM.

Target:p38 MAPK Effect: Inhibitor

# HY-10256 SB 203580

SB 203580 is a p38 MAPK inhibitor with IC50 of 0.3  $-0.5~\mu$  M, also blocks PKB phosphorylation with IC50

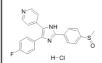
Target:p38 MAPK Effect: Inhibitor

(CAS No.: 152121-47-6)

(CAS No.: 862507-23-1)

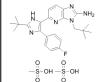
(CAS No.: 285983-48-4)

# HY-10256A SB 203580 hydrochloride



SB 203580 hydrochloride is a p38 MAPK inhibitor with IC50 of 0.3-0.5  $\,\mu$  M, also blocks PKB phosphorylation with IC50 of 3-5  $\,\mu$  M.

Target: p38 MAPK Effect: Inhibitor



# HY-13241 LY2228820

LY2228820 is a novel and potent p38MAPK inhibitor (the IC50 for p38  $\alpha$  MAPK and p38  $\beta$  MAPK were 7 nM and 3 nM, respectively).

Target:p38 MAPK Effect: Inhibitor



# HY-10320

# Doramapimod

Doramapimod is a highly potent p38 lpha inhibitor with an IC50 of 4 nM, also inhibits B-Raf with an IC50 of 83 nM and Abl with an IC50 of 14.6  $\,\mu$  M.

Target:p38 MAPK Effect: Inhibitor

HY-10403 PH-797804

PH-797804 is a novel pyridinone inhibitor of p38 lphawith IC50 of 26 nM; 4-fold more selective versus p38  $\beta$  and does not inhibit JNK2.

Target:p38 MAPK

Effect: Inhibitor

# ~MAPK/ERK Pathway~



# HY-16715

### Acumapimod



Acumapimod (BCT197) is an orally active p38 MAP kinase inhibitor, with an IC50 of less than 1  $\,\mu$  M for p38  $\,\alpha$ 

Target: p38 MAPK Effect: Inhibitor

# (CAS No.: 836683-15-9)

(CAS No.: 585543-15-3)

(CAS No.: 1449277-10-4)

(CAS No.: 152121-53-4)

(CAS No.: 303162-79-0)

# HY-10402

# Losmapimod Losmapimod is p38 MAPK inhib

Losmapimod is a selective, potent, and orally active p38 MAPK inhibitor with pKi of 8.1 and 7.6 for p38  $\alpha$  and p38  $\beta$  , respectively.

Target: p38 MAPK Effect: Inhibitor

# **HY-100343** GNE-495



GNE-495 is a potent and Selective MAP4K4 Inhibitor with IC50 of 3.7 nM.

Target: p38 MAPK Effect: Inhibitor

# **HY-10578** PD 169316



PD 169316 is a potent, cell-permeable and selective p38 MAP kinase inhibitor (IC50 = 89 nM).

Target:p38 MAPK Effect: Inhibitor

# HY-10456

# TAK-715



TAK-715 is a p38 MAPK inhibitor for p38  $\alpha$  with IC50 of 7.1 nM, 28-fold more selective for p38  $\alpha$  over p38  $\beta$ , no inhibition to p38  $\gamma$  /  $\delta$ , JNK1, ERK1, IKK  $\beta$ , MFKK1 or TAK1

Target: p38 MAPK Effect: Inhibitor

# **HY-18306** SB 242235



SB-242235 is a potent and selective p38 MAP kinase inhibitor with IC50 of 1.0  $\mu$ M.

Target: p38 MAPK Effect: Inhibitor

# (CAS No. : 193746-75-7)

### HY-14975

# R1487 Hydrochloride



R1487 (Hydrochloride) is highly potent and highly selective inhibitors of p38  $\alpha\,.$ 

Target: p38 MAPK Effect: Inhibitor

# (CAS No.: 449808-64-4)

# HY-N0674A



Dehydrocorydaline chloride
Dehydrocorydaline chloride is an alkaloidal that has anti-inflammatory and anti-cancer activities.

Target:p38 MAPK Effect: Activator

### HY-12048

# Chelerythrine Chloride



Chelerythrine Chloride is a potent, cell-permeable inhibitor of protein kinase C and mitogen-activated protein kinase (MAPK), with IC50 of 660 nM for PKC, competitive with respect to the phosphate acceptor and non-competitive with respect to ATP.

Target:p38 MAPK Effect: Inhibitor

(CAS No.: 3895-92-9)

(CAS No.: 209410-46-8)

(CAS No.: 745833-23-2)

(CAS No.: 193551-21-2)

(CAS No.: 10605-03-5)

# HY-10328





VX-745 is a potent and selective inhibitor of p38  $\alpha$  , and possesses anti-inflammatory activity.

Target: p38 MAPK Effect: Inhibitor

# HY-10401

### VX-702



VX-702 is a highly selective inhibitor of p38  $\alpha$  MAPK (IC50=4 -20 nM), 14-fold higher potency against the p38  $\alpha$  versus p38  $\beta$  .

Target: p38 MAPK Effect: Inhibitor

# OH ON N

# **HY-11068** SB 239063

SB 239063 is a potent and selective p38 MAPK inhibitor (IC50 = 44 nM for p38  $\alpha$  ).

Target:p38 MAPK Effect: Inhibitor

# HY-10406

SCIO-469



SCIO-469 is a selective ATP-competitive p38 inhibitor with IC50 of 9 nM for p38  $\alpha$  in vitro, about 10-fold selectivity for p38  $\alpha$  over p38  $\beta$ , and at least 2000-fold selectivity for p38  $\alpha$  over an in vitro panel of 20 other kinases, including other MAK kinases.

Target:p38 MAPK Effect: Inhibitor

(CAS No.: 309913-83-5)

# F F

# **HY-12839** p38 MAPK-IN-1

p38 MAPK-IN-1 is a novel potent and selective inhibitor of p38 MAPK with IC50 of 68 nM, shows sustained levels, low clearance and good bioavailability.

Target:p38 MAPK Effect: Inhibitor

(CAS No.: 1006378-90-0)

# ~MAPK/ERK Pathway~





# HY-14305A

### BMS-582949 hydrochloride

BMS-582949 hydrochloride is a novel highly selective p38 lpha MAPK inhibitor, inhibits p38 lpha with IC50 of 13

Target:p38 MAPK Effect: Inhibitor

(CAS No.: 912806-16-7)

Pamapimod is a novel p38 mitogen-activated protein

### Target:p38 MAPK Effect: Inhibitor

# (CAS No.: 449811-01-2)



# HY-100114

### TA-01

TA-01 potently inhibits CK1  $\varepsilon$  , CK1  $\delta$  ,and p38  $\alpha$ (IC50values are 6.4, 6.8, and 6.7 nM respectively).

Target:p38 MAPK Effect: Inhibitor

(CAS No.: 1784751-18-3)

# HY-12511

HY-10405

**Pamapimod** 

### SKF-86002

SKF-86002 is a potent inhibitor of p38 MAP kinase wit IC50 of 0.5-1 uM; inhibits LPS-induced IL-1 and TNF- $\alpha$  production in human monocytes (IC50 = 1

(CAS No.: 72873-74-6)

Target:p38 MAPK Effect: Inhibitor

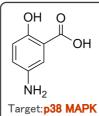
HY-15300

### Skepinone-L

Skepinone-L is a selective p38 mitogen-activated protein kinase inhibitor.

Target:p38 MAPK Effect: Inhibitor

(CAS No.: 1221485-83-1)



Effect: Inhibitor

### HY-15027

# 5-Aminosalicylic acid

5-Aminosalicylic acid is an anti-inflammatory

(CAS No.: 89-57-6)



# HY-100115

### TA-02

TA-02 is a p38 MAPK inhibitor with IC50 of 20 nM.

Target:p38 MAPK

Effect: Inhibitor

(CAS No.: 1784751-19-4)

(CAS No.: 284461-73-0)

Target:p38 MAPK



### Bakuchiol



Bakuchiol is a phytoestrogen isolated from the seeds of Psoralea corylifolia L; has anti-tumor effects.

Effect: Inhibitor

HY-N0342 Scopoletin



Scopoletin has important anti-inflammatory activity by inhibiting the phosphorylation of NF-  $\kappa$  B and p38 MAPK. Scopoletin cause significant suppression of sprouting of microvessels in rat aortic explants with IC50 of 0.06 // M

Target:p38 MAPK Effect: Inhibitor

Target:p38 MAPK (CAS No.: 92-61-5)

# HY-11087 SD-06

HY-12057

Vemurafenib



SD-06 is a p38 MAP kinase inhibitor; inhibits p38 lphawith an IC50 value of 170 nM and inhibits LPSstimulated TNF-release in rats (83% inhibition at 1mg/kg. po).

Effect: Inhibitor

(CAS No.: 271576-80-8)

(CAS No.: 10309-37-2)

# HY-10201

# Sorafenib

Sorafenib is a potent multikinase inhibitor with IC50s of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.

Target: Raf Effect: Inhibitor

Vemurafenib is a novel and potent inhibitor of B-RAF kinase, with IC50 values of RAFV600E (31 nM) and c-RAF-1 (48 nM).

Target: Raf Effect: Inhibitor

(CAS No.: 918504-65-1)

HY-10201A

# Sorafenib Tosylate

Sorafenib tosylate is a potent multikinase inhibitor, with IC50s of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.

Target: Raf Effect: Inhibitor

(CAS No.: 475207-59-1)

# HY-14660

# Dabrafenib

Dabrafenib is an ATP-competitive inhibitor of BRAF with IC50s of 5 nM and 0.6 nM for CRAF and BRAFV600E, respectively.

Target: Raf Effect: Inhibitor

(CAS No.: 1195765-45-7)

# ~MAPK/ERK Pathway~



(CAS No.: 1628838-42-5)

(CAS No.: 1163719-56-9)

(CAS No.: 918505-84-7)

(CAS No.: 1393465-84-3)

(CAS No.: 220904-83-6)

(CAS No.: 1315329-43-1)

# **HY-18972** PLX8394



PLX8394 is a potent and selective inhibitor of B-RafV600E (IC50 $^{\circ}$ 5 nM).

Target: Raf Effect: Inhibitor

# (CAS No.: 1393466-87-9)

(CAS No.: 1446090-77-2)

(CAS No.: 1195768-06-9)

# **HY-18957** BGB-283



BGB-283 is a novel and potent Raf Kinase and EGFR inhibitor with IC50 values of 23 and 29 nM for recombinant BRafV600E and EGFR, respectively.

Target: **Raf** Effect: **Inhibitor** 

# HY-14660A

# Dabrafenib Mesylate



Dabrafenib (Mesylate) is a novel, potent, and selective Raf kinase inhibitor, and inhibits the kinase activity of B-RafV600Eand c-Raf with IC50 values of 0.6 and 5.0 nM, respectively.

Target: **Raf** Effect: **Inhibitor** 

# **HY-15605** LGX818



LGX818 is an orally available mutated BRaf V600E inhibitor(IC50=0.3 nM) with potential antineoplastic

Target: Raf Effect: Inhibitor

# **hhibitor** (CAS No. : 1269440-17-6)

# **HY-15246** MLN 2480



MLN 2480 is an orally active and selective inhibitor of pan-Raf kinase.

Target: Raf Effect: Inhibitor

# (CAS No. : 1096708-71-2)

# **HY-12558** LY3009120



LY3009120 is a small molecule that has been shown in vitro to be a pan–RAF inhibitor of all isoforms, blocking proliferation in both BRAF and RAS mutant cells.

Target: Raf Effect: Inhibitor

# HY-11004

# AZ 628



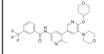
AZ628 is a new pan-Raf inhibitor for BRAF, BRAFV600E, and c-Raf-1 with IC50 of 105 nM, 34 nM and 29 nM, also inhibits VEGFR2, DDR2, Lyn, Flt1, FMS at a

Target: Raf Effect: Inhibitor

# (CAS No.: 878739-06-1)

(CAS No.: 1454682-72-4)

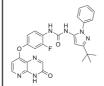
# **HY-100510** RAF709



RAF709 is a novel Raf kinase inhibitor extracted from patent WO2014151616A1, compound example 131, has an IC50 of 0.5 and 1.8 nM for c-Raf and b-Raf, respectively.

Target: **Raf** Effect: **Inhibitor** 

# **HY-12846** CCT196969



CCT196969, a pan–Raf inhibitor, inhibits B–Raf with an IC50 of 0.1  $\,\mu$  M.

Target: **Raf** Effect: **Inhibitor** 

# HY-10320 Doramapimod



Doramapimod is a highly potent p38  $\alpha$  inhibitor with an IC50 of 4 nM, also inhibits B-Raf with an IC50 of 83 nM and AbI with an IC50 of 14.6  $\,\mu$  M.

Target: Raf Effect: Inhibitor

# (CAS No. : 285983-48-4)

# **HY-51424** PLX-4720



PLX 4720 is a potent and selective inhibitor of B-RafV600E(IC50=13 nM) and c-Raf-1Y340D/Y341D (IC50=6.7 nM); 10-fold selectivity for B-RafV600E than wild-type B-Raf.

Target: Raf Effect: Inhibitor

# **HY-18997** PLX7904



PLX7904 is a potent and selective BRAF inhibitor, with IC50 of appr 5 nM against BRAFV600E in mutant RAS expressing cells.

Target: Raf Effect: Inhibitor

# **HY-10542** GW 5074



GW 5074 is a potent, selective and cell–permeable c-Raf1 kinase inhibitor (IC50 = 9 nM); displays  $\geq$  100–fold selectivity for raf kinase over CDK1, CDK2, c-src, ERK2, MEK, p38, Tie2, VEGFR2 and c-fms.

Target: Raf Effect: Inhibitor

# HY-12291



HG6-64-1 is a potent and selective B-Raf and mutant B-Raf inhibitor; more information can be found in Patent WO 2011090738.

Target: Raf Effect: Inhibitor

(全ての製品は試験研究用です。試験研究以外の用途にはご利用いただけません。)

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# ~MAPK/ERK Pathway~



(CAS No.: 208260-29-1)

(CAS No.: 927880-90-8)

# **HY-18652** Ro 5126766

Ro 5126766 (CH5126766) is a potent and selective dual RAF/MEK inhibitor. For SK-MEL-28, SK-MEL-2, MIAPaCa-2, and SW480 cell lines, the IC50 is determined by WST-8 assay is 65, 28, 40, and 46 nM, respectively.

Target: Raf Effect: Inhibitor

(CAS No.: 946128-88-7)

# HY-15767

### TAK-632



TAK-632 is a potent pan-RAF inhibitor with IC50 of 1.4, 2.4 and 8.3 nM for CRAF, BRAFV600E, BRAFWT, respectively

Target: **Raf** Effect: **Inhibitor** 

(CAS No.: 1228591-30-7)

# HY-50864

# <sub>N</sub>-он GDC-0879

GDC-0879 is a novel, potent, and selective B-Raf inhibitor with IC50 of 0.13 nM with activity against c-Raf as well; no inhibition known to other protein kinases.

Target: **Raf** Effect: **Inhibitor** 

# (CAS No. : 905281-76-7)

# HY-18227 B-Raf IN 1



B-Raf IN 1 is a highlt potent and selective B-Raf inhibitor with IC50 of 24 nM; equipotent against c-Raf (IC50= 25 nM).

Target: Raf Effect: Inhibitor

(CAS No.: 950736-05-7)

# **HY-12787** L-779450



L-779450 is a potent, ATP-competitive Raf kinase inhibitor (IC50 =10 nM) that displays > 7, > 30 and > 70-fold selectivity over p38  $\alpha$ , GSK3  $\beta$  and Lck respectively.

Target: Raf Effect: Inhibitor

# **HY-10966** SB-590885



SB590885 is a potent B-Raf inhibitor with Ki of 0.16 nM, 11-fold greater selectivity for B-Raf over c-Raf, no inhibition to other human kinases.

Target: Raf Effect: Inhibitor

(CAS No. : 405554-55-4)

# HY-77251

B-Raf inhibitor



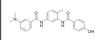
A B-Raf inhibitor, pyrazine and pyrrolo[2,3-b]pyridine derivatives, useful in the treatment of cancer and proliferative diseases.

Target: Raf Effect: Inhibitor

(CAS No.: 1315330-11-0)

(CAS No.: 303727-31-3)

# **HY-13343** ZM 336372



ZM 336372 is a potent and selective c-Raf inhibitor with IC50 of 70 nM, 10-fold selectivity over B-RAF, no inhibition to PKA/B/C, AMPK, p70S6, etc.

Target: Raf Effect: Inhibitor

# HY-10248

### **RAF265**



RAF265 (CHIR-265) is a potent selective inhibitor of C-Raf/B-Raf/B-Raf V600E with IC50 of 3-60 nM, and exhibits potent inhibition on VEGFR2 phosphorylation with EC50 of 30 nM.

Target: Raf Effect: Inhibitor

### HY-14177

### B-Raf inhibitor 1



B-Raf inhibitor 1 is a potent and selective B-Raf inhibitor with cell IC50s of 0.31 uM and 2 nM for A375 proliferation and A375 p-ERK respectively.

Target: Raf
Effect: Inhibitor

# (CAS No.: 1093100-40-3)

# HY-10247

# **BRAF** inhibitor

BRAF inhibitor is a potent BRAF inhibitor.

Target: Raf Effect: Inhibitor

# HY-15200

# CEP-32496



CEP-32496 is a highly potent inhibitor of BRAF (V600E/WT) and c-Raf with Kd of 14 nM/36 nM and 39 nM, also potent to Abl-1, c-Kit, Ret, PDGFR  $\beta$  and VEGFR2, respectively; insignificant affinity for MEK-1, MEK-2, ERK-1 and ERK-2.

Target: **Raf** Effect: **Inhibitor** 

(CAS No.: 1188910-76-0)

(CAS No.: 918505-61-0)

### HY-15199



CEP-32496 hydrochloride CEP-32496 Hcl is a highly potent inhibitor of BRAF (V600E/WT) and c-Raf with Kd of 14 nM/36 nM and 39 nM, also potent to Abl-1, c-Kit, Ret, PDGFR  $\beta$  and VEGFR2, respectively; insignificant affinity for MEK-1, MEK-2, ERK-1 and ERK-2.

Target: Raf Effect: Inhibitor

(CAS No.: 1227678-26-3)

# HY-14177A



B-Raf inhibitor 1 dihydrochloride B-Raf inhibitor 1 is a potent and selective B-Raf

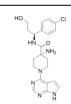
inhibitor with cell IC50s of 0.31 uM and 2 nM for A375 proliferation and A375 p-ERK respectively.

Target: Raf
Effect: Inhibitor

(CAS No.: 1191385-19-9)

# ~MAPK/ERK Pathway~





# **HY-15431** AZD5363

AZD5363 is a potent pan-AKT kinase inhibitor with IC50 of 3, 7 and 7 nM for Akt1,2 and 3, respectively.

Target: Ribosomal S6 Kinase (RSK)

Effect: **Inhibitor** (CAS No. : 1143532–39–1)



# **HY-10510** BI-D1870

BI-D1870 is an ATP-competitive inhibitor of S6 ribosome for RSK1/2/3/4 with IC50 of 31 nM/24 nM/18 nM/15 nM, respectively; 10- to 100-fold selectivity for RSK than MST2, GSK-3  $\beta$  , MARK3, CK1 and Aurora B.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No. : 501437–28–1)

# HY-10579

### Pluripotin

Pluripotin (SC-1) inhibits in vitro kinase activity of RSK2 with EC50 of 2.5  $\pm$  1.8  $\mu$  M.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No. : 839707–37–8)

# **HY-16104** BIX 02565

BIX 02565 is a potent ribosomal S6 kinase 2 (RSK2) inhibitor with IC50 of 1.1 nM.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No.: 1311367–27–7)



# **HY-19712** LJH685

LJH685 is a potent , specific and selective RSK inhibitor, inhibits RSK1, 2, and 3 biochemical activities with IC50 of 4 to 13 nM.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No.: 1627710-50-2)

### HY-12493A

# LY-2584702 tosylate salt

LY-2584702 tosylate salt is an orally available inhibitor of p70S6K signaling; inhibits p70S6K and prevents phosphorylation of the S6 subunit of ribosomes

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No.: 1082949-68-5)

# HO OH OH OH

### он **HY-N0418**

# Quercitrin

Quercitrin is a natural compound found in Tartary buckwheat with a potential anti-inflammation effect that is used to treat heart and vascular conditions.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No. : 522–12–3)



# HY-52101

### **CMK**

CMK is a RSK2 kinase inhibitor, used for cancer treatment

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No. : 821794–90–5)



# HY-52101A

### **FMK**

FMK is a RSK2 kinase inhibitor.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No. : 821794–92–7)



# HY-15773

### PF-4708671

PF-4708671 is a novel cell-permeable inhibitor of S6K1 (p70 ribosomal S6 kinase 1), with a Ki of 20 nM and IC50 of 160 nM.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No.: 1255517-76-0)



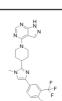
# HY-19713

### **LJI308**

LJI308 is a new and potent pan-RSK inhibitor, with IC50 of 6 nM, 4 nM, and 13 nM for RSK1, RSK2, and RSK3, respectively.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No. : 1627709-94-7)



# HY-12493

# LY-2584702 free base

LY-2584702 is an orally available inhibitor of p70S6K signaling; inhibits p70S6K and prevents phosphorylation of the S6 subunit of ribosomes.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No. : 1082949-67-4)



### HY-B0405A

# Bupivacaine hydrochloride

Bupivacaine Hydrochloride is a local anaesthetic drug belonging to the amino amide group.

HCI

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No. : 18010-40-7)



### HY-12493B

# LY-2584702 hydrochloride

LY-2584702 Hcl is an orally available inhibitor of p70S6K signaling; inhibits p70S6K and prevents phosphorylation of the S6 subunit of ribosomes.

Target: Ribosomal S6 Kinase (RSK)

Effect: Inhibitor (CAS No.: 1082948-81-9)