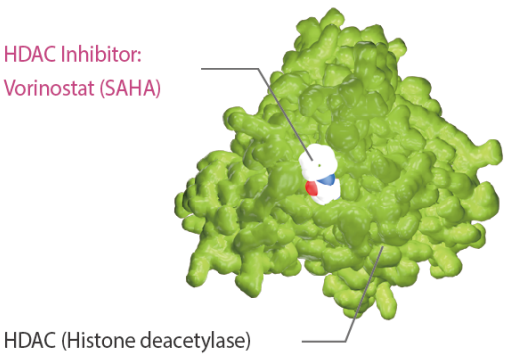
MEK

Mitogen-activated protein kinase kinase;MAPKK;MAP2K



MEK (Mitogen-activated protein kinase kinase, MAPKK) is a kinase enzyme which phosphorylates mitogen-activated protein kinase (MAPK). The activators of p38 (MKK3 and MKK6), JNK (MKK4 and MKK7), and ERK (MEK1 and MEK2) define independent MAP kinase signal transduction pathways. The acronym MEK derives from Mitogen/Extracellular signal-regulated Kinase. MEK is a member of the MAPK signaling cascade that is activated in melanoma. When MEK is inhibited, cell proliferation is blocked and apoptosis (controlled cell death) is induced.

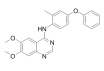
MEK Inhibitors & Modulators

APS-2-79

Cat. No.: HY-100627

Bioactivity: APS-2-79 behaves as a kinase suppressor of Ras (**KSR**)-dependent antagonist of RAF-mediated **MEK** phosphorylation. APS-2-79 binds directly to **KSR2** within the KSR2-MEK1 complex with an **IC $_{50}$** of 120±23 nM for KSR2.

Purity: 99.21%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg

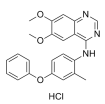


APS-2-79 hydrochloride

Cat. No.: HY-100627A

Bioactivity: APS-2-79 hydrochloride behaves as a kinase suppressor of Ras (**KSR**)-dependent antagonist of RAF-mediated **MEK** phosphorylation. APS-2-79 binds directly to **KSR2** within the KSR2-MEK1 complex with an **IC $_{50}$** of 120±23 nM for KSR2.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



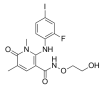
AZD8330

(ARRY-424704; ARRY-704)

Cat. No.: HY-12058

Bioactivity: AZD8330 (ARRY-424704) is a potent, uncompetitive **MEK1**/**MEK2** inhibitor, with an **IC$_{50}$** of 7 nM.

Purity: 98.75%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



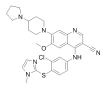
Balamapimod

(MKI 833)

Cat. No.: HY-14947

Bioactivity: Balamapimod (MKI 833) is a reversible **Ras/Raf/MEK** inhibitor with potential anti-tumor activity.

Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg

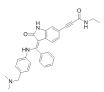


BI-847325

Cat. No.: HY-18955

Bioactivity: BI-847325 is an ATP competitive dual inhibitor of **MEK** and aurora kinases (**AK**) with **IC$_{50}$** values of 4 and 15 nM for human MEK2 and AK-C, respectively.

Purity: 98.42%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg



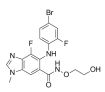
Binimetinib

(MEK162; ARRY-162; ARRY-438162)

Cat. No.: HY-15202

Bioactivity: Binimetinib (MEK162) is an oral and selective **MEK1/2** inhibitor with an **IC$_{50}$** of 12 nM.

Purity: 98.61%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg, 200 mg

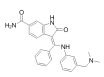


BIX02188

Cat. No.: HY-12055

Bioactivity: BIX02188 is a potent **MEK5**-selective inhibitor with an **IC$_{50}$** of 4.3 nM. BIX02188 inhibits **ERK5** catalytic activity, with an **IC$_{50}$** of 810 nM.

Purity: 99.49%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

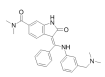


BIX02189

Cat. No.: HY-12056

Bioactivity: BIX02189 is a potent and selective **MEK5** inhibitor with an **IC$_{50}$** of 1.5 nM. BIX02189 also inhibits **ERK5** catalytic activity with an **IC$_{50}$** of 59 nM.

Purity: 99.99%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



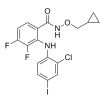
CI-1040

(PD 184352)

Cat. No.: HY-50295

Bioactivity: CI-1040 (PD184352) is an orally active, highly specific, small-molecule inhibitor of **MEK** with an **IC$_{50}$** of 17 nM for MEK1.

Purity: 98.54%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg



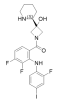
Cobimetinib

(GDC-0973; XL518)

Cat. No.: HY-13064

Bioactivity: Cobimetinib (GDC-0973, RG7420) is a potent, selective and oral **MEK1** inhibitor with an **IC$_{50}$** of 4.2 nM for **MEK1**.

Purity: 99.38%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



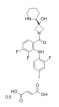
Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Cobimetinib hemifumarate

(GDC-0973 hemifumarate; XL-518 hemifumarate) Cat. No.: HY-13064A

Bioactivity: Cobimetinib hemifumarate is a novel selective **MEK1** inhibitor, and the **IC_{50}** value against MEK1 is 4.2 nM.

Purity: 99.27%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

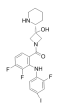


Cobimetinib R-enantiomer

(GDC-0973 R-enantiomer; XL-518 R-enantiomer) Cat. No.: HY-13079

Bioactivity: Cobimetinib R-enantiomer is the less active R-enantiomer of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg

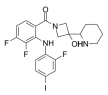


Cobimetinib racemate

(GDC-0973 (racemate); XL518 (racemate)) Cat. No.: HY-13078

Bioactivity: Cobimetinib racemate (GDC-0973 racemate; XL518 racemate) is the less active racemate of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.

Purity: 99.09%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

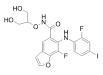


EBI-1051

Cat. No.: HY-111368

Bioactivity: EBI-1051 is a highly potent and orally efficacious **MEK** inhibitor with an **IC_{50}** of 3.9 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 250 mg, 500 mg

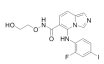


GDC-0623

(RG 7421; MEK inhibitor 1) Cat. No.: HY-15610

Bioactivity: GDC-0623 (RG 7421) is a potent, ATP-uncompetitive inhibitor of **MEK1** (K_i=0.13 nM, +ATP), and displays 6-fold weaker potency against HCT116 (KRAS (G13D), EC_{50}=42 nM) versus A375 ($BRAF^{V600E}$, EC_{50}=7 nM).

Purity: 99.15%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

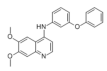


GW284543

(UNC10225170) Cat. No.: HY-114189

Bioactivity: GW284543 (UNC10225170) is a selective **MEK5** inhibitor [1].

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

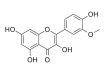


Isorhamnetin

(3'-Methylquercetin) Cat. No.: HY-N0776

Bioactivity: Isorhamnetin is a flavonoid compound extracted from the Chinese herb Hippophae rhamnoides L.. Isorhamnetin suppresses skin cancer through direct inhibition of **MEK1** and **PI3K**.

Purity: 98.00%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

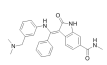


MEK inhibitor

Cat. No.: HY-12202

Bioactivity: MEK inhibitor is a potent **MEK** inhibitor with antitumor potency.

Purity: 98.68%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

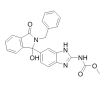


MEK-IN-1

Cat. No.: HY-U00312

Bioactivity: MEK-IN-1 is a **MEK** inhibitor extracted from patent WO2008076415A1.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

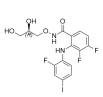


PD0325901

(PD325901) Cat. No.: HY-10254

Bioactivity: PD0325901 is a selective and cell permeable **MEK** inhibitor with an **IC_{50}** of 0.33 nM.

Purity: 99.95%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

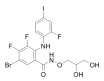


PD318088

Cat. No.: HY-12062

Bioactivity: PD318088 is an allosteric **MEK** inhibitor.

Purity: 99.53%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg

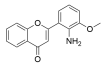


PD98059

Cat. No.: HY-12028

Bioactivity: PD98059 is a potent, selective and cell-permeable **MEK1** and **MEK2** inhibitor with IC_{50}s of 4 μM and 50 μM respectively.

Purity: 99.33%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg



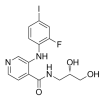
Pimasertib

(AS703026; MSC1936369B)

Cat. No.: HY-12042

Bioactivity: Pimasertib (AS703026) is a highly selective, potent, ATP non-competitive allosteric inhibitor of **MEK1/2**, used for cancer treatment.

Purity: 99.95%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



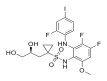
Refametinib

(BAY 869766; RDEA119)

Cat. No.: HY-14691

Bioactivity: Refametinib is a potent, selective, allosteric **MEK1**/ **MEK2** inhibitor with IC_{50}s of 19 nM and 47 nM, respectively.

Purity: 99.82%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



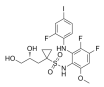
Refametinib R enantiomer

(BAY 869766 R enantiomer; RDEA119 R enantiomer)

Cat. No.: HY-10216

Bioactivity: Refametinib R enantiomer is a **MEK** inhibitor extracted from patent WO2007014011A2, compound 1022, has an EC_{50} of 2.0-15 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg

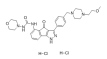


RGB-286638

Cat. No.: HY-15504

Bioactivity: RGB-286638 is a **CDK** inhibitor that inhibits the kinase activity of **cyclin T1-CDK9**, **cyclin B1-CDK1**, **cyclin E-CDK2**, **cyclin D1-CDK4**, **cyclin E-CDK3**, and **p35-CDK5** with IC_{50}s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TA...

Purity: >98%
Clinical Data: Phase 1
Size: 5 mg, 10 mg, 50 mg, 100 mg

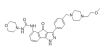


RGB-286638 free base

Cat. No.: HY-15504A

Bioactivity: RGB-286638 is a **CDK** inhibitor that inhibits the kinase activity of **cyclin T1-CDK9**, **cyclin B1-CDK1**, **cyclin E-CDK2**, **cyclin D1-CDK4**, **cyclin E-CDK3**, and **p35-CDK5** with IC_{50}s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TA...

Purity: 99.55%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



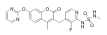
Ro 5126766

(CH5126766)

Cat. No.: HY-18652

Bioactivity: Ro 5126766 is a first-in-class dual **MEK**/ **RAF** inhibitor that allosterically inhibits **$BRAF^{V600E}$**, **CRAF**, **MEK**, and **BRAF** (IC_{50}: 8.2, 56, 160 nM, and 190 nM, respectively).

Purity: 97.92%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg



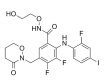
RO4987655

(CH4987655)

Cat. No.: HY-14719

Bioactivity: RO4987655 is an orally active and highly selective **MEK** inhibitor with an IC_{50} of 5.2 nM for inhibition of **MEK1**/ **MEK2**.

Purity: 98.22%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO,
2 mg, 5 mg, 10 mg, 50 mg



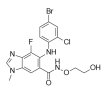
Selumetinib

(AZD6244; ARRY-142886)

Cat. No.: HY-50706

Bioactivity: Selumetinib is a highly potent **MEK** inhibitor, with an IC_{50} of 14 nM against MEK1.

Purity: 99.87%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO,
50 mg, 100 mg, 200 mg, 500 mg, 1 g

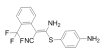


SL327

Cat. No.: HY-15437

Bioactivity: SL327 inhibits **MEK1** and **MEK2**, with IC_{50} values of 180 nM and 220 nM, respectively.

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

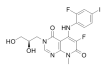


TAK-733

Cat. No.: HY-13449

Bioactivity: TAK-733 is a potent and selective **MEK** allosteric site inhibitor with an IC_{50} of 3.2 nM.

Purity: 99.81%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg



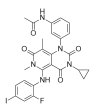
Trametinib

(GSK1120212; JTP-74057)

Cat. No.: HY-10999

Bioactivity: Trametinib is a potent **MEK** inhibitor that inhibits MEK1 and MEK2 with IC_{50}s of about 2 nM. Due to the poor solubility of Trametinib, **Trametinib DMSO solvate (Cat. No.: HY-10999A)** is recommeded.

Purity: 99.37%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg



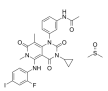
Trametinib DMSO solvate

(GSK-1120212 (DMSO solvate); JTP-74057 (DMSO solvate))

Cat. No.: HY-10999A

Bioactivity: Trametinib DMSO solvate is a potent **MEK** inhibitor that specifically inhibits MEK1/2, with an IC_{50} value of about 2 nM.

Purity: 99.77%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg



trans-Zeatin

Cat. No.: HY-19700

Bioactivity: trans-Zeatin is a plant cytokinin, which plays an important role in cell growth, differentiation, and division; trans-Zeatin also inhibits UV-induced **MEK/ERK** activation.

Purity: 99.28%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

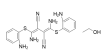
U0126

(U0126-EtOH)

Cat. No.: HY-12031

Bioactivity: U0126 is a potent and non-ATP competitive **MEK1** and **MEK2** inhibitor, with IC_{50}s of 70 nM and 60 nM, respectively.

Purity: 98.06%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg



Xantocillin

Cat. No.: HY-122404

Bioactivity: Xanthocillin is a marine agent extracted from Penicillium commune, induces autophagy through inhibition of the **MEK/ERK** pathway [1].

Purity: >98%
Clinical Data: No Development Reported
Size: 500 mg, 250 mg