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Inhibitors, Screening Libraries, Proteins

# MEK

Mitogen-activated protein kinase kinase; MAPKK; MAP2K

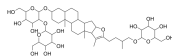
MEK (Mitogen-activated protein kinase kinase, MAPKK) is a kinase enzyme which phosphorylates mitogen-activated protein kinases (MAPKs). The activated MAPK leads to the phosphorylation of downstream transcription factors that regulate various responses such as stress signaling, pathogen response, and hormone signaling. In general, the MAPKK phosphorylates a serine or threonine residue on a MAPK, which sequentially activates a MAPK (ERK, p38 or JNK), the last protein in the cascade. Activation of the p38 MAPK occurs mainly through mitogen-activated protein kinase kinase 3 (MKK3) and MKK6 (sometimes MKK4). The JNK is regulated by two upstream MAP2Ks: MKK4 and MKK7. The highly homologous kinases, MEK1 and MEK2, act downstream of Ras and Raf to activate ERK mitogen-activated protein kinases.

## MEK Inhibitors, Antagonists & Activators

### Anemarsaponin B

Cat. No.: HY-N0811

Anemarsaponin B is a steroidal saponin. Anemarsaponin B decreases the protein and mRNA levels of iNOS and COX-2. Anemarsaponin B reduces the expressions and productions of pro-inflammatory cytokines, including TNF- $\alpha$  and IL-6.

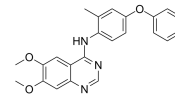


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg

### APS-2-79

Cat. No.: HY-100627

APS-2-79 is a KSR-dependent MEK antagonist. APS-2-79 inhibits ATP<sup>biotin</sup> binding to KSR2 within the KSR2-MEK1 complex with an IC<sub>50</sub> of 120 nM. APS-2-79 makes the stabilization of the KSR inactive state antagonizes oncogenic Ras-MAPK signaling.

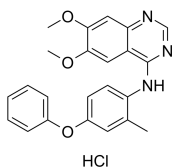


**Purity:** 99.48%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### APS-2-79 hydrochloride

Cat. No.: HY-100627A

APS-2-79 hydrochloride is a KSR-dependent MEK antagonist. APS-2-79 inhibits ATP<sup>biotin</sup> binding to KSR2 within the KSR2-MEK1 complex with an IC<sub>50</sub> of 120 nM. APS-2-79 makes the stabilization of the KSR inactive state antagonizes oncogenic Ras-MAPK signaling.



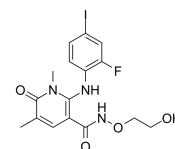
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### AZD8330

(ARRY-424704; ARRY-704)

Cat. No.: HY-12058

AZD8330 (ARRY-424704) is a potent, uncompetitive MEK1/MEK2 inhibitor, with an IC<sub>50</sub> of 7 nM.



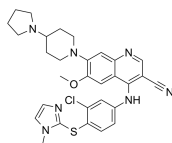
**Purity:** 99.14%  
**Clinical Data:** Phase 1  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### Balamapimod

(MKI 833)

Cat. No.: HY-14947

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

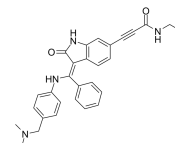


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### BI-847325

Cat. No.: HY-18955

BI-847325 is an ATP competitive dual inhibitor of MEK and aurora kinases (AK) with IC<sub>50</sub> values of 4 and 15 nM for human MEK2 and AK-C, respectively.



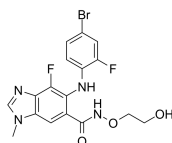
**Purity:** 98.66%  
**Clinical Data:** Phase 1  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Binimetinib

(MEK162; ARRY-162; ARRY-438162)

Cat. No.: HY-15202

Binimetinib (MEK162) is an oral and selective MEK1/2 inhibitor. Binimetinib (MEK162) inhibits MEK with an IC<sub>50</sub> of 12 nM.

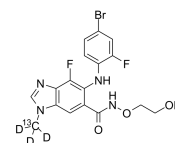


**Purity:** 99.24%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 10 mg, 50 mg, 100 mg, 200 mg

### Binimetinib-13C,d3

(MEK162-13C,d3; ARRY-162-13C,d3; ARRY-438162-13C,d3) Cat. No.: HY-15202S

Binimetinib-13C,d3 (MEK162-13C,d3) is the 13C- and deuterium labeled Binimetinib. Binimetinib (MEK162) is an oral and selective MEK1/2 inhibitor. Binimetinib (MEK162) inhibits MEK with an IC<sub>50</sub> of 12 nM.

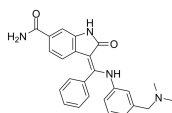


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### BIX02188

Cat. No.: HY-12055

BIX02188 is a potent MEK5-selective inhibitor with an IC<sub>50</sub> of 4.3 nM. BIX02188 inhibits ERK5 catalytic activity, with an IC<sub>50</sub> of 810 nM.

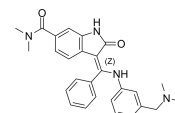


**Purity:** 99.59%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg, 100 mg

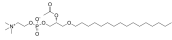
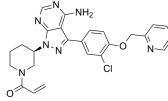
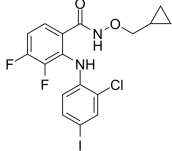
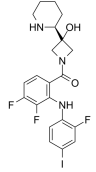
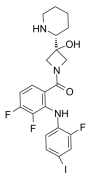
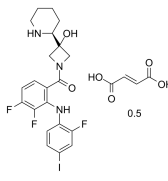
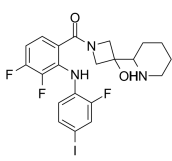
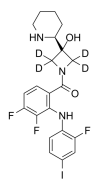
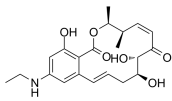
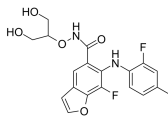
### BIX02189

Cat. No.: HY-12056

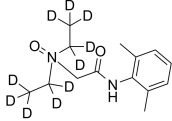
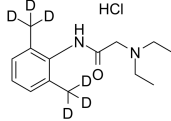
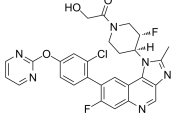
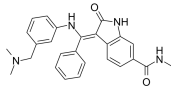
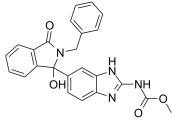
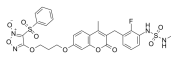
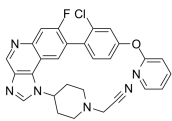
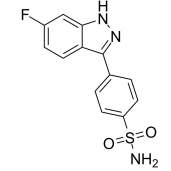
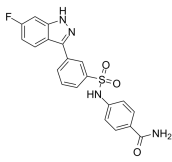
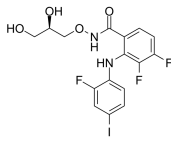
BIX02189 is a potent and selective MEK5 inhibitor with an IC<sub>50</sub> of 1.5 nM. BIX02189 also inhibits ERK5 catalytic activity with an IC<sub>50</sub> of 59 nM.



**Purity:** 99.99%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 50 mg, 100 mg

<p><b>C16-PAF</b> (PAF (C16))</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-108635</p>	<p><b>CHMFL-EGFR-202</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-101522</p>
<p>C16-PAF (PAF (C16)), a phospholipid mediator, is a platelet-activating factor and ligand for PAF G-protein-coupled receptor (PAFR). C16-PAF exhibits anti-apoptotic effect and inhibits caspase-dependent death by activating the PAFR.</p>  <p><b>Purity:</b> ≥98.0% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg</p>	<p>CHMFL-EGFR-202 is a potent, irreversible inhibitor of <b>epidermal growth factor receptor (EGFR) mutant kinase</b>, with <math>IC_{50}</math>s of 5.3 nM and 8.3 nM for drug-resistant mutant EGFR T790M and WT EGFR kinases, respectively.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>CI-1040</b> (PD 184352)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-50295</p>	<p><b>Cobimetinib</b> (GDC-0973; XL518)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-13064</p>
<p>CI-1040 (PD 184352) is an orally active, highly specific, small-molecule inhibitor of MEK with an <math>IC_{50}</math> of 17 nM for MEK1.</p>  <p><b>Purity:</b> 99.79% <b>Clinical Data:</b> Phase 2 <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg</p>	<p>Cobimetinib (GDC-0973, RG7420) is a potent, selective and oral MEK1 inhibitor with an <math>IC_{50}</math> of 4.2 nM for MEK1.</p>  <p><b>Purity:</b> 99.71% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Cobimetinib (R-enantiomer)</b> (GDC-0973 R-enantiomer; XL-518 R-enantiomer)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-13079</p>	<p><b>Cobimetinib hemifumarate</b> (GDC-0973 hemifumarate; XL-518 hemifumarate)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-13064A</p>
<p>Cobimetinib R-enantiomer is the less active R-enantiomer of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg</p>	<p>Cobimetinib hemifumarate is a novel selective MEK1 inhibitor, and the <math>IC_{50}</math> value against MEK1 is 4.2 nM.</p>  <p><b>Purity:</b> 98.08% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p><b>Cobimetinib racemate</b> (GDC-0973 racemate; XL518 racemate)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-13078</p>	<p><b>Cobimetinib-d4</b> (GDC-0973-d4; XL518-d4)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-13064S</p>
<p>Cobimetinib racemate (GDC-0973 racemate; XL518 racemate) is the racemate of Cobimetinib. Cobimetinib is a potent and selective MEK inhibitor.</p>  <p><b>Purity:</b> 99.71% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Cobimetinib-d4 (GDC-0973-d4) is the deuterium labeled Cobimetinib. Cobimetinib (GDC-0973, RG7420) is a potent, selective and oral MEK1 inhibitor with an <math>IC_{50}</math> of 4.2 nM for MEK1.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>E6201</b> (ER-806201)</p> <p style="text-align: right;"><b>Cat. No.:</b> HY-15496</p>	<p><b>EBI-1051</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-111368</p>
<p>E6201 (ER-806201) is an ATP-competitive dual kinase inhibitor of MEK1 and FLT3.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p>EBI-1051 is a highly potent and orally efficacious MEK inhibitor with an <math>IC_{50}</math> of 3.9 nM.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>

<p><b>GDC-0623</b> (RG 7421; MEK inhibitor 1)</p>	<p><b>Gossypetin</b></p>
<p>GDC-0623 (RG 7421) is a potent, ATP-uncompetitive inhibitor of <b>MEK1</b> (<math>K_i=0.13</math> nM, +ATP), and displays 6-fold weaker potency against HCT116 (KRAS (G13D), <math>EC_{50}=42</math> nM) versus A375 (BRAF<sup>V600E</sup>, <math>EC_{50}=7</math> nM).</p> <p><b>Purity:</b> 99.15% <b>Clinical Data:</b> Phase 1 <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Gossypetin is a hexahydroxylated flavonoid and is a potent <b>mitogen-activated protein kinase kinase (MKK3) and MKK6</b> inhibitor with strongly attenuates the <b>MKK3/6-p38</b> signaling pathway, has various pharmacological activities, including antioxidant, antibacterial...</p> <p><b>Purity:</b> 99.82% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg</p>
<p><b>GW284543</b> (UNC10225170)</p>	<p><b>Hypothemycin</b></p>
<p>GW284543 (UNC10225170) is a selective <b>MEK5</b> inhibitor. GW284543 (UNC10225170) reduces pERK5, and decreases endogenous MYC protein.</p> <p><b>Purity:</b> 99.99% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Hypothemycin, a fungal polyketide, is a multikinase inhibitor with <math>K_i</math>s of 10/70 nM, 17/38 nM, 90 nM, 900 nM/1.5 <math>\mu</math>M, and 8.4/2.4 <math>\mu</math>M for VEGFR2/VEGFR1, MEK1/MEK2, FLT-3, PDGFR<math>\beta</math>/PDGFR<math>\alpha</math>, and ERK1/ERK2, respectively.</p> <p><b>Purity:</b> 96.10% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg</p>
<p><b>Isorhamnetin</b> (3'-Methylquercetin)</p>	<p><b>Isorhamnetin-d3</b> (3'-Methylquercetin-d3)</p>
<p>Isorhamnetin is a flavonoid compound extracted from the Chinese herb Hippophae rhamnoides L. Isorhamnetin suppresses skin cancer through direct inhibition of <b>MEK1</b> and <b>PI3K</b>.</p> <p><b>Purity:</b> 99.95% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>Isorhamnetin-d3 (3'-Methylquercetin-d3) is the deuterium labeled Isorhamnetin. Isorhamnetin is a flavonoid compound extracted from the Chinese herb Hippophae rhamnoides L. Isorhamnetin suppresses skin cancer through direct inhibition of <b>MEK1</b> and <b>PI3K</b>.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Lidocaine</b> (Lignocaine)</p>	<p><b>Lidocaine hydrochloride</b> (Lignocaine hydrochloride)</p>
<p>Lidocaine (Lignocaine) inhibits <b>sodium channels</b> involving complex voltage and using dependence.</p> <p><b>Purity:</b> 99.96% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 500 mg, 5 g, 10 g</p>	<p>Lidocaine hydrochloride (Lignocaine hydrochloride) inhibits <b>sodium channels</b> involving complex voltage and using dependence.</p> <p><b>Purity:</b> 99.81% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 500 mg, 5 g, 10 g</p>
<p><b>Lidocaine-d10</b></p>	<p><b>Lidocaine-d10 hydrochloride</b></p>
<p>Lidocaine-d10 is the deuterium labeled Lidocaine. Lidocaine (Lignocaine) inhibits <b>sodium channels</b> involving complex voltage and using dependence.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p>Lidocaine-d10 (Lignocaine-d10) hydrochloride is the deuterium labeled Lidocaine hydrochloride. Lidocaine hydrochloride (Lignocaine hydrochloride) inhibits <b>sodium channels</b> involving complex voltage and using dependence.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 50 mg</p>

<p><b>Lidocaine-d10 N-Oxide</b></p> <p><b>Cat. No.:</b> HY-B01855</p> <p>Lidocaine-d10 N-Oxide is the deuterium labeled Lidocaine. Lidocaine (Lignocaine) inhibits <b>sodium channels</b> involving complex voltage and using dependence.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 2.5 mg, 25 mg</p> 	<p><b>Lidocaine-d6 hydrochloride</b> (Lignocaine-d6 hydrochloride)</p> <p><b>Cat. No.:</b> HY-B0185A51</p> <p>Lidocaine-d6 (hydrochloride) is deuterium labeled Lidocaine (hydrochloride). Lidocaine hydrochloride (Lignocaine hydrochloride) inhibits sodium channels involving complex voltage and using dependence.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p> 
<p><b>MAP855</b></p> <p><b>Cat. No.:</b> HY-145702</p> <p>MAP855 is a highly potent, selective, ATP-competitive and orally active MEK1/2 kinase inhibitor (MEK1 ERK2 cascade <math>IC_{50}</math>=3 nM, pERK <math>EC_{50}</math>=5 nM). MAP855 shows equipotent inhibition of wild-type and mutant MEK1/2.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p> 	<p><b>MEK inhibitor</b></p> <p><b>Cat. No.:</b> HY-12202</p> <p>MEK inhibitor is a potent MEK inhibitor with antitumor potency.</p> <p><b>Purity:</b> 98.55%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg</p> 
<p><b>MEK-IN-1</b></p> <p><b>Cat. No.:</b> HY-U00312</p> <p>MEK-IN-1 is a MEK inhibitor extracted from patent WO2008076415A1.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p> 	<p><b>MEK-IN-5</b></p> <p><b>Cat. No.:</b> HY-143468</p> <p>MEK-IN-5 is a potent MEK inhibitor and NO donor. MEK-IN-5 significantly reduces the levels of pMEK and pERK in a dose-dependent and time-dependent manner. MEK-IN-5 induces <b>apoptosis</b> in MDA-MB-231 cells.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p> 
<p><b>MEK1/2-IN-2</b></p> <p><b>Cat. No.:</b> HY-145701</p> <p>MEK1/2-IN-2 is a potent ATP-competitive MEK1/2 inhibitor and shows equipotent inhibition of WT MEK1/2 and a panel of MEK1/2 mutant cell lines.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p> 	<p><b>MEK4 inhibitor-1</b></p> <p><b>Cat. No.:</b> HY-139638</p> <p>MEK4 inhibitor-1 is a novel MEK4 inhibitor against pancreatic adenocarcinoma with an <math>IC_{50}</math> value of 61 nM.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p> 
<p><b>MEK4 inhibitor-2</b></p> <p><b>Cat. No.:</b> HY-139639</p> <p>MEK4 inhibitor-2 is a novel MEK4 inhibitor against pancreatic adenocarcinoma with an <math>IC_{50}</math> value of 83 nM.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p> 	<p><b>Mirdametinin</b> (PD0325901; PD325901)</p> <p><b>Cat. No.:</b> HY-10254</p> <p>Mirdametinin (PD0325901) is an orally active, selective and non-ATP-competitive MEK inhibitor with an <math>IC_{50}</math> of 0.33 nM. Mirdametinin exhibits a <math>K_i^{app}</math> of 1 nM against activated MEK1 and MEK2. Mirdametinin suppresses the expression of p-ERK1/2 and induces <b>apoptosis</b>.</p> <p><b>Purity:</b> 99.95%</p> <p><b>Clinical Data:</b> Phase 2</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 

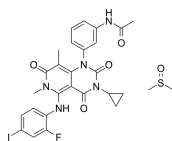
<p><b>MS432</b></p> <p style="text-align: right;">Cat. No.: HY-130602</p>	<p><b>PD 198306</b></p> <p style="text-align: right;">Cat. No.: HY-107620</p>
<p>MS432 is a first-in-class and highly selective PD0325901-based <b>von Hippel-Lindau</b>-recruiting PROTAC degrader for <b>MEK1</b> and <b>MEK2</b>. MS432 displays good plasma exposure in mice, exhibiting <math>DC_{50}</math> values of 31 nM and 17 nM for MEK1, MEK2 in HT29 cells respectively.</p> <p><b>Purity:</b> 98.20%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>PD 198306 is a selective MAPK/ERK-kinase (MEK) inhibitor. PD 198306 results in an observable reduction in the Streptozocin induced increase in the level of active ERK1 and 2. Antihyperalgesic effects.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>PD-334581</b></p> <p style="text-align: right;">Cat. No.: HY-107619</p>	<p><b>PD0325901-O-C2-dioxolane</b></p> <p style="text-align: right;">Cat. No.: HY-131295</p>
<p>PD-334581 is a <b>MEK1</b> inhibitor.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>PD0325901-O-C2-dioxolane has main portion of MEK inhibitor PD0325901. PD0325901-O-C2-dioxolane and a ligand of VHL or CRBN E3 ligase can be used in the synthesis of MEK1/2 degrader.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p><b>PD184161</b></p> <p style="text-align: right;">Cat. No.: HY-10174</p>	<p><b>PD318088</b></p> <p style="text-align: right;">Cat. No.: HY-12062</p>
<p>PD184161 is an orally active <b>MEK</b> inhibitor. PD184161 inhibits MEK activity (<math>IC_{50}</math>=10-100 nM) in a time- and concentration-dependent manner. PD184161 inhibits cell proliferation and induces <b>apoptosis</b>. PD184161 produces depressive-like behavior.</p> <p><b>Purity:</b> 99.38%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>PD318088 is a potent, allosteric and non-ATP competitive <b>MEK1/2</b> inhibitor, an analog of PD184352 (HY-50295). PD318088 binds simultaneously with ATP in a region of the MEK1 active site that is adjacent to the ATP-binding site. PD318088 can be used for cancer research.</p> <p><b>Purity:</b> 99.88%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>PD98059</b></p> <p style="text-align: right;">Cat. No.: HY-12028</p>	<p><b>Pimasertib</b> (AS703026; MSC1936369B)</p> <p style="text-align: right;">Cat. No.: HY-12042</p>
<p>PD98059 is a potent and selective <b>MEK</b> inhibitor with an <math>IC_{50}</math> of 5 <math>\mu</math>M. PD98059 binds to the inactive form of <b>MEK</b>, thereby preventing the activation of <b>MEK1</b> (<math>IC_{50}</math> of 2-7 <math>\mu</math>M) and <b>MEK2</b> (<math>IC_{50}</math> of 50 <math>\mu</math>M) by upstream kinases. PD98059 is a <b>ERK1/2</b> signaling inhibitor.</p> <p><b>Purity:</b> 99.94%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>Pimasertib (AS703026) is a highly selective, ATP non-competitive allosteric orally available <b>MEK1/2</b> inhibitor.</p> <p><b>Purity:</b> 99.70%</p> <p><b>Clinical Data:</b> Phase 2</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Refametinib</b> (BAY 869766; RDEA119)</p> <p style="text-align: right;">Cat. No.: HY-14691</p>	<p><b>Refametinib (R enantiomer)</b> (BAY 869766 R enantiomer; RDEA119 R enantiomer)</p> <p style="text-align: right;">Cat. No.: HY-10216</p>
<p>Refametinib (BAY 869766; RDEA119) is an orally available, potent, non-ATP-competitive, selective, allosteric <b>MEK1/MEK2</b> inhibitor with <math>IC_{50}</math>s of 19 nM and 47 nM, respectively.</p> <p><b>Purity:</b> 99.82%</p> <p><b>Clinical Data:</b> Phase 2</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Refametinib R enantiomer is a <b>MEK</b> inhibitor extracted from patent WO2007014011A2, compound 1022, has an <math>EC_{50}</math> of 2.0-15 nM.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg</p>

<p><b>RGB-286638</b></p> <p>Cat. No.: HY-15504</p>	<p><b>RGB-286638 free base</b></p> <p>Cat. No.: HY-15504A</p>
<p>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<sub>50</sub>s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with IC<sub>50</sub>s of 3, 5, 50, and 54 nM.</p> <p><b>Purity:</b> 99.84%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>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<sub>50</sub>s of 1, 2, 3, 4, 5 and 5 nM, respectively; also inhibits GSK-3β, TAK1, Jak2 and MEK1, with IC<sub>50</sub>s of 3, 5, 50, and 54 nM.</p> <p><b>Purity:</b> 98.07%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Ro 5126766</b> (CH5126766)</p> <p>Cat. No.: HY-18652</p>	<p><b>RO4987655</b> (CH4987655)</p> <p>Cat. No.: HY-14719</p>
<p>Ro 5126766 (CH5126766) is a first-in-class dual MEK/RAF inhibitor that allosterically inhibits BRAF<sup>V600E</sup>, CRAF, MEK, and BRAF (IC<sub>50</sub>: 8.2, 56, 160 nM, and 190 nM, respectively).</p> <p><b>Purity:</b> 98.19%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>RO4987655 is an orally active and highly selective MEK inhibitor with an IC<sub>50</sub> of 5.2 nM for inhibition of MEK1/MEK2.</p> <p><b>Purity:</b> 99.26%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM × 1 mL, 2 mg, 5 mg, 10 mg</p>
<p><b>Selumetinib</b> (AZD6244; ARRY-142886)</p> <p>Cat. No.: HY-50706</p>	<p><b>Selumetinib sulfate</b> (AZD6244 sulfate; ARRY-142886 sulfate)</p> <p>Cat. No.: HY-50706A</p>
<p>Selumetinib (AZD6244) is selective, non-ATP-competitive oral MEK1/2 inhibitor, with an IC<sub>50</sub> of 14 nM for MEK1. Selumetinib (AZD6244) inhibits ERK1/2 phosphorylation.</p> <p><b>Purity:</b> 99.87%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</p>	<p>Selumetinib (AZD6244) is selective, non-ATP-competitive oral MEK1/2 inhibitor, with an IC<sub>50</sub> of 14 nM for MEK1. Selumetinib (AZD6244) inhibits ERK1/2 phosphorylation.</p> <p><b>Purity:</b> 99.48%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</p>
<p><b>Selumetinib-d4</b> (AZD6244-d4; ARRY-142886-d4)</p> <p>Cat. No.: HY-50706S</p>	<p><b>SL327</b></p> <p>Cat. No.: HY-15437</p>
<p>Selumetinib-d4 (AZD6244-d4) is the deuterium labeled Selumetinib. Selumetinib (AZD6244) is selective, non-ATP-competitive oral MEK1/2 inhibitor, with an IC<sub>50</sub> of 14 nM for MEK1. Selumetinib (AZD6244) inhibits ERK1/2 phosphorylation.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>SL327 inhibits MEK1 and MEK2, with IC<sub>50</sub> values of 180 nM and 220 nM, respectively.</p> <p><b>Purity:</b> ≥98.0%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p><b>TAK-733</b></p> <p>Cat. No.: HY-13449</p>	<p><b>Trametinib</b> (GSK1120212; JTP-74057)</p> <p>Cat. No.: HY-10999</p>
<p>TAK-733 is a potent and selective MEK allosteric site inhibitor with an IC<sub>50</sub> of 3.2 nM.</p> <p><b>Purity:</b> 99.48%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Trametinib (GSK1120212; JTP-74057) is an orally active MEK inhibitor that inhibits MEK1 and MEK2 with IC<sub>50</sub>s of about 2 nM. Trametinib activates autophagy and induces apoptosis.</p> <p><b>Purity:</b> 99.92%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>

### Trametinib (DMSO solvate)

(GSK-1120212 (DMSO solvate); JTP-74057 (DMSO solvate)) **Cat. No.:** HY-10999A

Trametinib (DMSO solvate) (GSK-1120212 (DMSO solvate); JTP-74057 (DMSO solvate)) is an orally active **MEK** inhibitor that inhibits MEK1 and MEK2 with  $IC_{50}$ s of about 2 nM. Trametinib (DMSO solvate) activates **autophagy** and induces **apoptosis**.

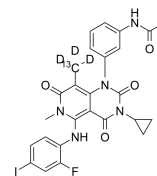


**Purity:** 99.74%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

### Trametinib-13C,d3

(GSK1120212-13C,d3; JTP-74057-13C,d3) **Cat. No.:** HY-10999S2

Trametinib-13C,d3 is the 13C- and deuterium labeled Trametinib (GSK1120212; JTP-74057) is an orally active **MEK** inhibitor that inhibits MEK1 and MEK2 with  $IC_{50}$ s of about 2 nM. Trametinib activates **autophagy** and induces **apoptosis**.

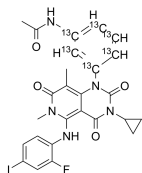


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Trametinib-13C6

**Cat. No.:** HY-10999S1

Trametinib-13C6 is the 13C-labeled Trametinib. Trametinib (GSK1120212; JTP-74057) is an orally active **MEK** inhibitor that inhibits MEK1 and MEK2 with  $IC_{50}$ s of about 2 nM. Trametinib activates **autophagy** and induces **apoptosis**.

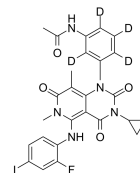


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Trametinib-d4

**Cat. No.:** HY-10999S

Trametinib-d4 is the deuterium labeled Trametinib. Trametinib (GSK1120212; JTP-74057) is an orally active **MEK** inhibitor that inhibits MEK1 and MEK2 with  $IC_{50}$ s of about 2 nM. Trametinib activates **autophagy** and induces **apoptosis**.

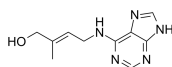


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### trans-Zeatin

**Cat. No.:** HY-19700

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.

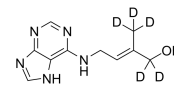


**Purity:** 99.69%  
**Clinical Data:** No Development Reported  
**Size:** 10 mg, 50 mg

### trans-Zeatin-d5

**Cat. No.:** HY-19700S

trans-Zeatin-d5 is deuterium labeled trans-Zeatin. 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.

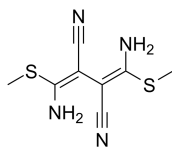


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### U0124

**Cat. No.:** HY-107621

U0124, an inactive U0126 analog, has no effect on c-Fos and c-Jun protein or mRNA levels. U0126 is a **MEK** inhibitor. U0124 does not inhibit **MEK** at concentrations up to 100  $\mu$ M.

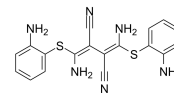


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### U0126

**Cat. No.:** HY-12031A

U0126 is a potent, non-ATP competitive and selective **MEK1** and **MEK2** inhibitor, with  $IC_{50}$ s of 72 nM and 58 nM, respectively. U0126 is an **autophagy** and **mitophagy** inhibitor.

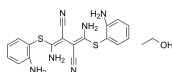


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### U0126-EtOH

**Cat. No.:** HY-12031

U0126 (U0126-EtOH) is a potent, non-ATP competitive and selective **MEK1** and **MEK2** inhibitor, with  $IC_{50}$ s of 72 nM and 58 nM, respectively. U0126 is an **autophagy** and **mitophagy** inhibitor.



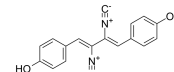
**Purity:** 99.41%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

### Xantocillin

(Xanthocillin X)

**Cat. No.:** HY-122404

Xantocillin (Xanthocillin X) is a marine agent extracted from *Penicillium commune*, induces **autophagy** through inhibition of the **MEK/ERK** pathway.



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

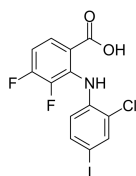


## Zapnometinib

(PD0184264; ATR-002)

Cat. No.: HY-139558

Zapnometinib (PD0184264), an active metabolite of CI-1040, is a MEK inhibitor, with an  $IC_{50}$  of 5.7 nM. Zapnometinib exhibits antiviral activity against influenza virus and antibacterial activities.



**Purity:** 99.63%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg, 50 mg, 100 mg