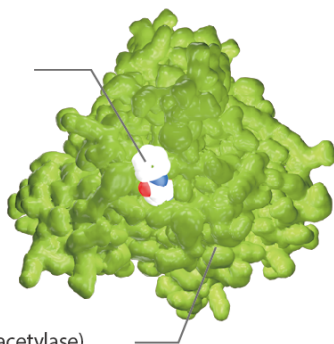


# Raf

## Raf kinases

HDAC Inhibitor:  
Vorinostat (SAHA)



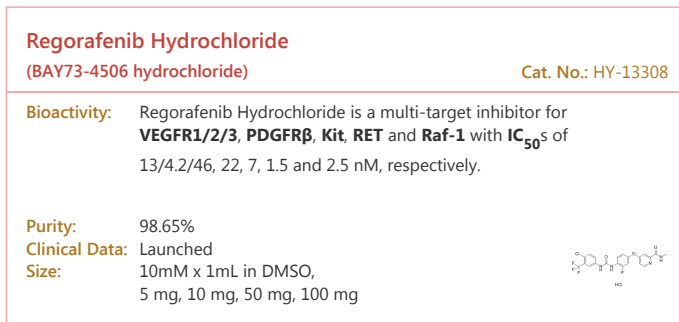
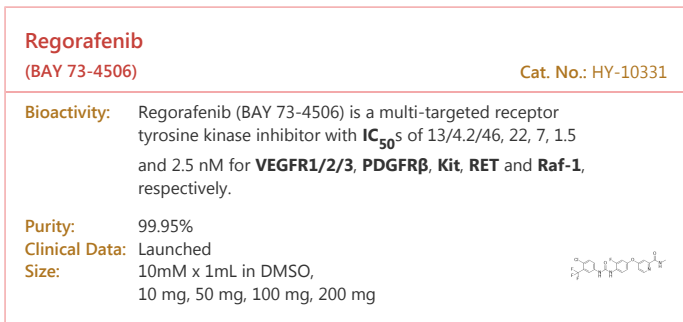
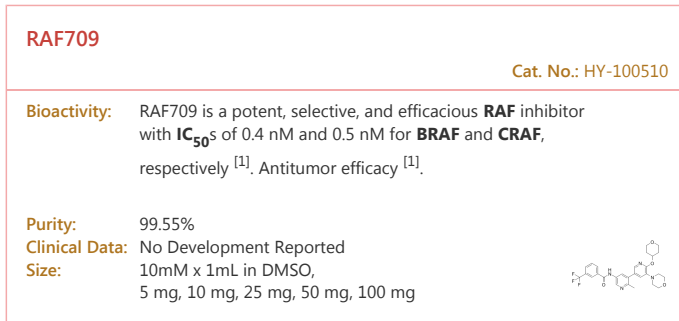
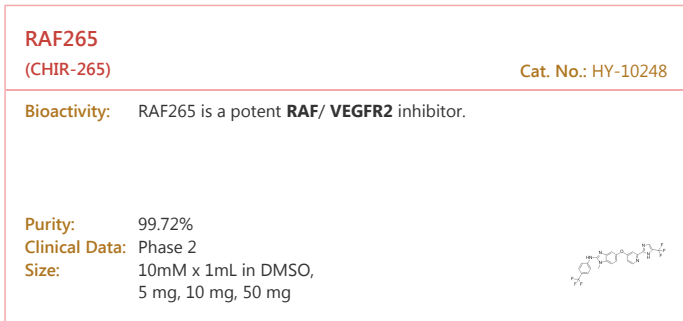
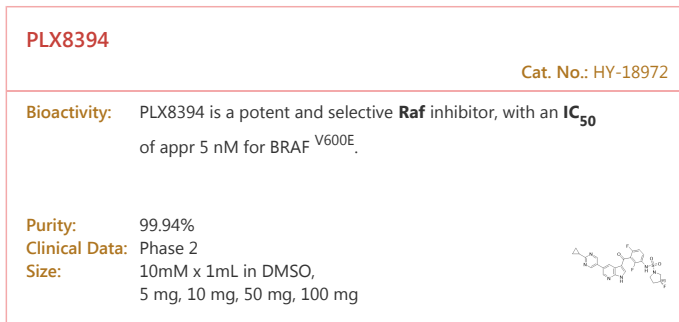
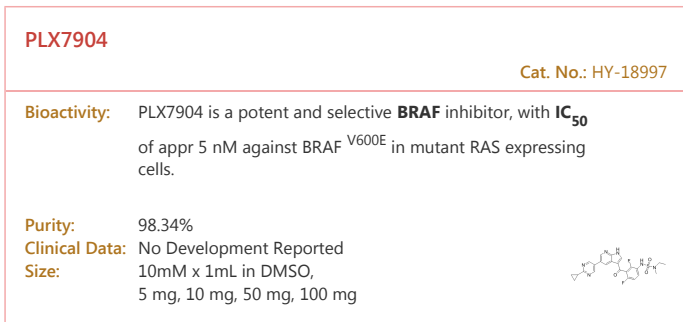
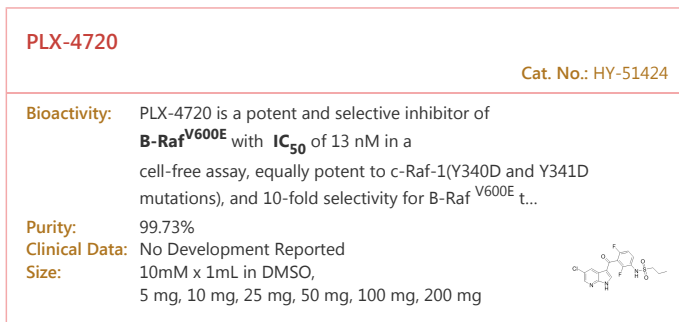
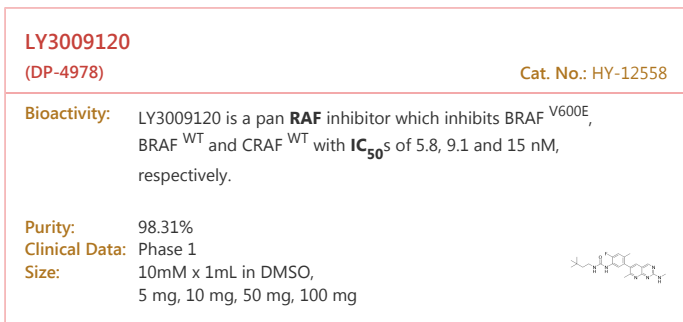
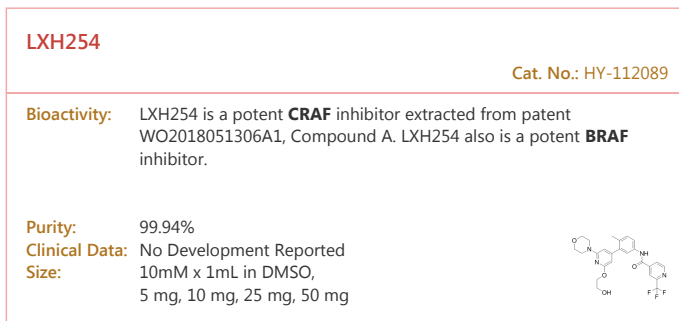
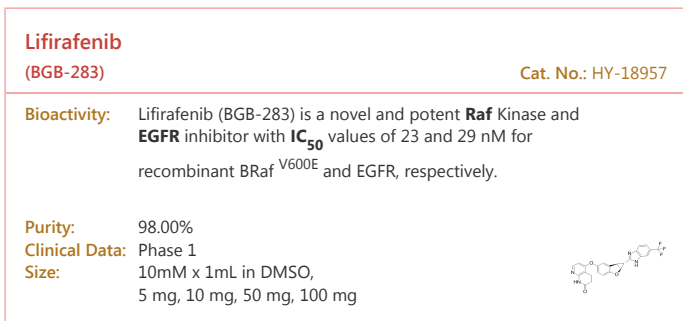
HDAC (Histone deacetylase)









Raf kinases are a family of three serine/threonine-specific protein kinases that are related to retroviral oncogenes. RAF is an acronym for Rapidly Accelerated Fibrosarcoma. Raf kinases participate in the RAS-RAF-MEK-ERK signal transduction cascade, also referred to as the mitogen-activated protein kinase (MAPK) cascade. Activation of RAF kinases requires interaction with RAS-GTPases. The three RAF kinase family members are: A-Raf, B-Raf, C-Raf (Raf-1). The B-Raf protein is involved in sending signals inside cells, which are involved in directing cell growth. It was shown to be faulty (mutated) in some human cancers. C-RAF or even Raf-1 is an enzyme that in humans is encoded by the RAF1 gene. The c-Raf protein is part of the ERK1/2 pathway as a MAP kinase kinase kinase (MAP3K) that functions downstream of the Ras subfamily of membrane associated GTPases. C-Raf is a member of the Raf kinase family of serine/threonine-specific protein kinases, from the TKL (Tyrosine-kinase-like) group of kinases.

## Raf Inhibitors & Modulators

<p><b>AD80</b></p> <p style="text-align: right;">Cat. No.: HY-101963</p> <p><b>Bioactivity:</b> AD80, a multikinase inhibitor, inhibits <b>RET</b>, <b>RAF</b>, <b>SRC</b> and <b>S6K</b>, with greatly reduced mTOR activity.</p> <p><b>Purity:</b> 99.46%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 	<p><b>Agerafenib</b></p> <p>(CEP-32496; RXDX-105) <span style="float: right;">Cat. No.: HY-15200</span></p> <p><b>Bioactivity:</b> Agerafenib (CEP-32496; RXDX-105) is a highly potent and orally efficacious inhibitor of <b>BRAF<sup>V600E</sup></b> with a <b>K<sub>d</sub></b> of 14 nM.</p> <p><b>Purity:</b> 99.20%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>Agerafenib hydrochloride</b></p> <p>(CEP-32496 (hydrochloride); RXDX-105 hydrochloride) <span style="float: right;">Cat. No.: HY-15199</span></p> <p><b>Bioactivity:</b> Agerafenib hydrochloride is a highly potent and orally efficacious inhibitor of <b>BRAF<sup>V600E</sup></b> with a <b>K<sub>d</sub></b> of 14 nM.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>AZ 628</b></p> <p style="text-align: right;">Cat. No.: HY-11004</p> <p><b>Bioactivity:</b> AZ628 is a <b>pan-Raf</b> kinase inhibitor with <b>IC<sub>50</sub>s</b> of 105, 34 and 29 nM for <b>B-Raf</b>, <b>B-RafV600E</b>, and <b>c-Raf-1</b>, respectively.</p> <p><b>Purity:</b> 99.56%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</p> 
<p><b>AZ304</b></p> <p style="text-align: right;">Cat. No.: HY-117273</p> <p><b>Bioactivity:</b> AZ304 is an ATP-competitive dual <b>BRAF</b> kinase inhibitor, potently inhibits wild type BRAF, V600E mutant BRAF and wild type CRAF, with <b>IC<sub>50</sub>s</b> of 79 nM, 38 nM and 68 nM, respectively. AZ304 also has significant effect on other kinases, such...</p> <p><b>Purity:</b> 99.39%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>B-Raf IN 1</b></p> <p style="text-align: right;">Cat. No.: HY-18227</p> <p><b>Bioactivity:</b> B-Raf IN 1 is a potent and selective <b>B-Raf</b> kinase inhibitor with an <b>IC<sub>50</sub></b> of 24 nM.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>B-Raf inhibitor 1</b></p> <p style="text-align: right;">Cat. No.: HY-14177</p> <p><b>Bioactivity:</b> B-Raf inhibitor 1 is a potent <b>Raf</b> kinase inhibitor with <b>K<sub>i</sub>s</b> of 1 nM, 1 nM, and 0.3 nM for B-Raf<sup>WT</sup>, B-Raf<sup>V600E</sup>, and C-Raf, respectively.</p> <p><b>Purity:</b> 97.76%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>B-Raf inhibitor 1 dihydrochloride</b></p> <p style="text-align: right;">Cat. No.: HY-14177A</p> <p><b>Bioactivity:</b> B-Raf inhibitor 1 dihydrochloride is a potent <b>Raf</b> kinase inhibitor with <b>K<sub>i</sub>s</b> of 1 nM, 1 nM, and 0.3 nM for B-Raf<sup>WT</sup>, B-Raf<sup>V600E</sup>, and C-Raf, respectively.</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, 50 mg, 100 mg</p> 
<p><b>Belvarafenib</b></p> <p style="text-align: right;">Cat. No.: HY-109080</p> <p><b>Bioactivity:</b> Belvarafenib is a potent and pan <b>RAF</b> (Rapidly Accelerated Fibrosarcoma) inhibitor, with <b>IC<sub>50</sub>s</b> of 56 nM, 7 nM and 5 nM for B-RAF, B-RAFV<sup>600E</sup> and C-RAF respectively.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 250 mg, 500 mg</p> 	<p><b>BRAF inhibitor</b></p> <p style="text-align: right;">Cat. No.: HY-10247</p> <p><b>Bioactivity:</b> BRAF inhibitor is a <b>B-Raf</b> inhibitor extracted from patent WO/2011103196 A1, Compound P-0850.</p> <p><b>Purity:</b> 98.91%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10mM x 1mL in DMSO, 10 mg, 50 mg</p> 

<p><b>CCT196969</b> Cat. No.: HY-12846</p> <p><b>Bioactivity:</b> CCT196969 is a pan-Raf inhibitor, which inhibits <b>B-Raf</b>, <b>BRaf<sup>V600E</sup></b> and <b>CRAF</b> with <b>IC<sub>50</sub>s</b> of 0.1, 0.04, and 0.01 <math>\mu</math>M, respectively.</p> <p><b>Purity:</b> 99.04% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>Dabrafenib</b> (GSK2118436A; GSK2118436) Cat. No.: HY-14660</p> <p><b>Bioactivity:</b> Dabrafenib is an ATP-competitive inhibitor of <b>Raf</b> with <b>IC<sub>50</sub>s</b> of 5 nM and 0.6 nM for <b>C-Raf</b> and <b>B-Raf<sup>V600E</sup></b>, respectively.</p> <p><b>Purity:</b> 99.72% <b>Clinical Data:</b> Launched <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p> 
<p><b>Dabrafenib Mesylate</b> (GSK2118436 Mesylate; GSK 2118436B) Cat. No.: HY-14660A</p> <p><b>Bioactivity:</b> Dabrafenib Mesylate is a potent and selective <b>Raf kinase</b> inhibitor with <b>IC<sub>50</sub>s</b> of 0.6 and 5.0 nM for Raf <sup>V600E</sup> and c-Raf, respectively.</p> <p><b>Purity:</b> 99.94% <b>Clinical Data:</b> Launched <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg</p> 	<p><b>Doramapimod</b> (BIRB 796) Cat. No.: HY-10320</p> <p><b>Bioactivity:</b> Doramapimod (BIRB 796) is a highly potent <b>p38 MAPK</b> inhibitor with an <b>IC<sub>50</sub></b> of 4 nM. It also inhibits <b>B-Raf</b> with an <b>IC<sub>50</sub></b> of 83 nM.</p> <p><b>Purity:</b> 99.72% <b>Clinical Data:</b> Phase 2 <b>Size:</b> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</p> 
<p><b>Encorafenib</b> (LGX818) Cat. No.: HY-15605</p> <p><b>Bioactivity:</b> Encorafenib (LGX818) is a highly potent <b>RAF</b> inhibitor with selective anti-proliferative and apoptotic activity in cells expressing BRAF <sup>V600E</sup> (<b>EC<sub>50</sub></b>=4 nM).</p> <p><b>Purity:</b> 99.63% <b>Clinical Data:</b> Phase 3 <b>Size:</b> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p> 	<p><b>ERK-IN-1</b> Cat. No.: HY-114491</p> <p><b>Bioactivity:</b> ERK-IN-1 (compound B) is a <b>RAF</b> and <b>ERK1/2</b> inhibitor in the treatment of a proliferative disease characterized by activating mutations in the MAPK pathway. The activity is particularly related to the treatment of KRAS-mutant NSCLC (non-small cell lung cancer), BRAF-mutant NSCLC, KRAS-mutant...</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 250 mg, 500 mg</p> 
<p><b>GDC-0879</b> Cat. No.: HY-50864</p> <p><b>Bioactivity:</b> GDC-0879 is a potent and selective <b>B-Raf</b> inhibitor with an <b>IC<sub>50</sub></b> of 0.13 nM.</p> <p><b>Purity:</b> 99.94% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</p> 	<p><b>GW 5074</b> Cat. No.: HY-10542</p> <p><b>Bioactivity:</b> GW 5074 is a potent and selective <b>c-Raf</b> inhibitor with <b>IC<sub>50</sub></b> of 9 nM, and has no effect on the activities of JNK1/2/3, MEK1, MKK6/7, CDK1/2, c-Src, p38 MAP, VEGFR2 or c-Fms.</p> <p><b>Purity:</b> 98.77% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>HG6-64-1</b> (HMSL 10017-101-1) Cat. No.: HY-12291</p> <p><b>Bioactivity:</b> HG6-64-1 is a potent and selective <b>B-Raf</b> inhibitor extracted from patent WO 2011090738 A2, example 9 (XI-1); has a <b>IC<sub>50</sub></b> of 0.09 <math>\mu</math>M on B-raf V600E transformed Ba/F3 cells.</p> <p><b>Purity:</b> 99.05% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>L-779450</b> Cat. No.: HY-12787</p> <p><b>Bioactivity:</b> L-779450 is a potent and selective <b>B-Raf</b> kinase inhibitor with a <b>K<sub>d</sub></b> of 2.4 nM.</p> <p><b>Purity:</b> 98.75% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 



<p><b>Regorafenib monohydrate</b> (BAY 73-4506 monohydrate) <span style="float: right;">Cat. No.: HY-10331A</span></p> <p><b>Bioactivity:</b> Regorafenib monohydrate is a multi-target inhibitor for <b>VEGFR1/2/3</b>, <b>PDGFRβ</b>, <b>Kit</b>, <b>RET</b> and <b>Raf-1</b> with <b>IC<sub>50</sub></b>s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</p> <p><b>Purity:</b> 99.94% <b>Clinical Data:</b> Launched <b>Size:</b> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg</p> 	<p><b>Ro 5126766</b> (CH5126766) <span style="float: right;">Cat. No.: HY-18652</span></p> <p><b>Bioactivity:</b> Ro 5126766 is a first-in-class dual <b>MEK/ RAF</b> inhibitor that allosterically inhibits <b>BRAF<sup>V600E</sup></b>, <b>CRAF</b>, <b>MEK</b>, and <b>BRAF</b> (<b>IC<sub>50</sub></b>: 8.2, 56, 160 nM, and 190 nM, respectively).</p> <p><b>Purity:</b> 97.92% <b>Clinical Data:</b> Phase 1 <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>SB-590885</b> <span style="float: right;">Cat. No.: HY-10966</span></p> <p><b>Bioactivity:</b> SB-590885 is a potent <b>B-Raf</b> inhibitor with <b>K<sub>i</sub></b> of 0.16 nM, and has 11-fold greater selectivity for B-Raf over c-Raf, without inhibition to other human kinases.</p> <p><b>Purity:</b> 99.03% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</p> 	<p><b>Sorafenib</b> (Bay 43-9006) <span style="float: right;">Cat. No.: HY-10201</span></p> <p><b>Bioactivity:</b> Sorafenib (Bay 43-9006) is a potent multikinase inhibitor with <b>IC<sub>50</sub></b>s of 6 nM, 20 nM, and 22 nM for <b>Raf-1</b>, <b>B-Raf</b>, and <b>VEGFR-3</b>, respectively.</p> <p><b>Purity:</b> 99.92% <b>Clinical Data:</b> Launched <b>Size:</b> 10mM x 1mL in DMSO, 100 mg, 500 mg</p> 
<p><b>Sorafenib Tosylate</b> (Bay 43-9006) <span style="float: right;">Cat. No.: HY-10201A</span></p> <p><b>Bioactivity:</b> Sorafenib tosylate is a potent multikinase inhibitor, with <b>IC<sub>50</sub></b>s of 6 nM, 20 nM, and 22 nM for <b>Raf-1</b>, <b>B-Raf</b>, and <b>VEGFR-3</b>, respectively.</p> <p><b>Purity:</b> 99.53% <b>Clinical Data:</b> Launched <b>Size:</b> 10mM x 1mL in DMSO, 100 mg, 500 mg</p> 	<p><b>TAK-580</b> (MLN 2480; BIIB-024) <span style="float: right;">Cat. No.: HY-15246</span></p> <p><b>Bioactivity:</b> TAK-580 (MLN 2480) is an orally active and selective inhibitor of <b>pan-Raf</b> kinase.</p> <p><b>Purity:</b> 99.89% <b>Clinical Data:</b> Phase 1 <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>TAK-632</b> <span style="float: right;">Cat. No.: HY-15767</span></p> <p><b>Bioactivity:</b> TAK-632 is a potent <b>pan-RAF</b> inhibitor with <b>IC<sub>50</sub></b> of 1.4, 2.4 and 8.3 nM for <b>CRAF</b>, <b>BRAF<sup>V600E</sup></b>, <b>BRAF<sup>WT</sup></b>, respectively.</p> <p><b>Purity:</b> 99.13% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>Vemurafenib</b> (RG7204; RO5185426; PLX4032) <span style="float: right;">Cat. No.: HY-12057</span></p> <p><b>Bioactivity:</b> Vemurafenib (RG7204; PLX4032) is a novel and potent inhibitor of <b>B-RAF</b> kinase, with <b>IC<sub>50</sub></b>s of 31 and 48 nM for <b>RAF<sup>V600E</sup></b> and c-RAF-1, respectively.</p> <p><b>Purity:</b> 99.73% <b>Clinical Data:</b> Launched <b>Size:</b> 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</p> 
<p><b>ZM 336372</b> <span style="float: right;">Cat. No.: HY-13343</span></p> <p><b>Bioactivity:</b> ZM 336372 is a potent inhibitor of the protein kinase <b>c-Raf</b>. The <b>IC<sub>50</sub></b> value is 0.07 μM in the standard assay, which contains 0.1 mM ATP.</p> <p><b>Purity:</b> 96.79% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 