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Inhibitors, Agonists, Screening Libraries

Raf

Raf kinases

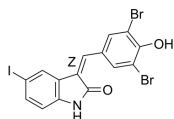
Raf kinases are a family of three serine/threonine-specific protein kinases that are related to retroviral oncogenes. RAF is an acronym for Rapidly Accelerated Fibrosarcoma. Raf kinases participate in the RAS-RAF-MEK-ERK signal transduction cascade, also referred to as the mitogen-activated protein kinase (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

(Z)-GW 5074

Cat. No.: HY-10542A

(Z)-GW 5074 is a compound which interacts with both mHTT (mutant huntingtin protein) and LC3, but not with the wild-type HTT protein. (Z)-GW 5074 inhibits c-Raf, shows no effect on autophagy, and is effective for neurodegenerative disorder.

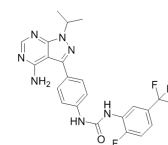


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AD80

Cat. No.: HY-101963

AD80, a multikinase inhibitor, inhibits RET, RAF, SRC and S6K, with greatly reduced mTOR activity.



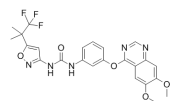
Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Agerafenib

(CEP-32496; RXDX-105)

Cat. No.: HY-15200

Agerafenib (CEP-32496; RXDX-105) is a highly potent and orally efficacious inhibitor of BRAF^{V600E} with a K_d of 14 nM.

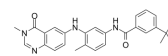


Purity: 99.53%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AZ 628

Cat. No.: HY-11004

AZ 628 is a pan-Raf kinase inhibitor with IC_{50} s of 105, 34 and 29 nM for B-Raf, B-Raf^{V600E}, and c-Raf-1, respectively.

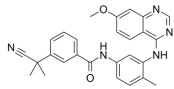


Purity: 99.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

AZ304

Cat. No.: HY-117273

AZ304 is an ATP-competitive dual BRAF kinase inhibitor, potently inhibits wild type BRAF, V600E mutant BRAF and wild type CRAF, with IC_{50} s of 79 nM, 38 nM and 68 nM, respectively. AZ304 also has significant effect on other kinases, such as p38 (IC_{50} 6 nM), CSF1R (IC_{50} 35 nM).

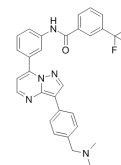


Purity: 99.39%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 25 mg, 50 mg, 100 mg

B-Raf IN 1

Cat. No.: HY-18227

B-Raf IN 1 is a potent and selective B-Raf kinase inhibitor with an IC_{50} of 24 nM.

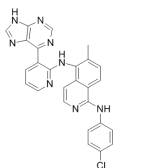


Purity: 98.08%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

B-Raf inhibitor 1

Cat. No.: HY-14177

B-Raf inhibitor 1 is a potent Raf kinase inhibitor with K_d s of 1 nM, 1 nM, and 0.3 nM for B-Raf^{WT}, B-Raf^{V600E}, and C-Raf, respectively.

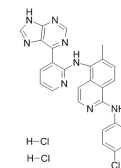


Purity: 98.05%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

B-Raf inhibitor 1 dihydrochloride

Cat. No.: HY-14177A

B-Raf inhibitor 1 dihydrochloride is a potent Raf kinase inhibitor with K_d s of 1 nM, 1 nM, and 0.3 nM for B-Raf^{WT}, B-Raf^{V600E}, and C-Raf, respectively.



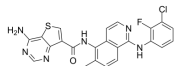
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Belvarafenib

(HM95573; GDC-5573; RG6185)

Cat. No.: HY-109080

Belvarafenib (HM95573) is a potent and pan RAF (Rapidly Accelerated Fibrosarcoma) inhibitor, with IC_{50} s of 56 nM, 7 nM and 5 nM for B-RAF, B-RAF^{V600E} and C-RAF respectively.



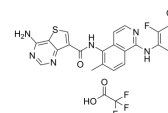
Purity: 98.05%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Belvarafenib TFA

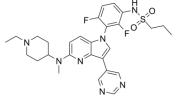
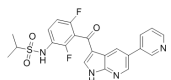
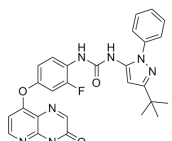
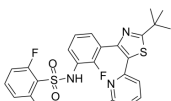
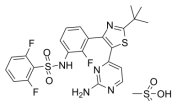
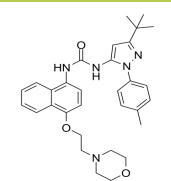
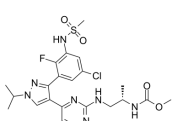
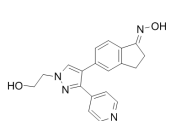
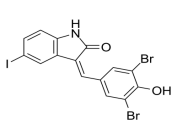
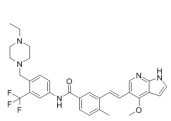
(HM95573 TFA; GDC-5573 TFA; RG6185 TFA)

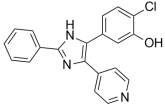
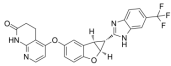
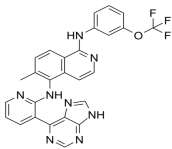
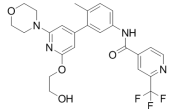
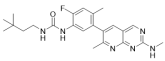
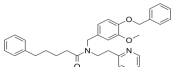
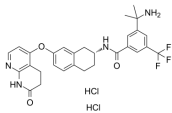
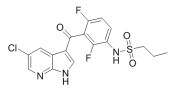
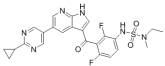
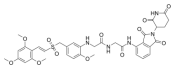
Cat. No.: HY-109080A

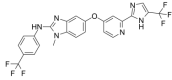
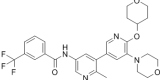
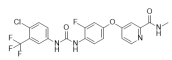
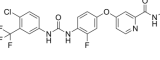
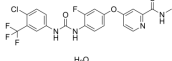
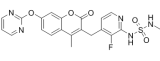
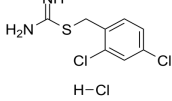
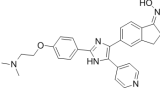
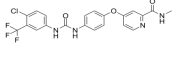
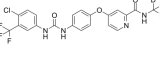
Belvarafenib TFA (HM95573 TFA) is a potent and pan RAF (Rapidly Accelerated Fibrosarcoma) inhibitor, with IC_{50} s of 56 nM, 7 nM and 5 nM for B-RAF, B-RAF^{V600E} and C-RAF respectively.

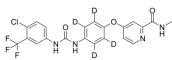
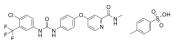
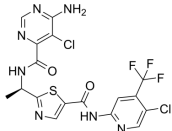
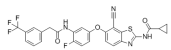
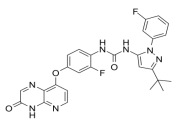
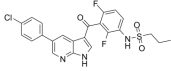


Purity: >99.0%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg

<p>BI-882370</p> <p style="text-align: right;">Cat. No.: HY-107779</p>	<p>BRAF inhibitor</p> <p style="text-align: right;">Cat. No.: HY-10247</p>
<p>BI-882370 is a potent and selective RAF kinase inhibitor that binds to the ATP binding site of the kinase positioned in the DFG-out (inactive) conformation of the BRAF kinase.</p> <p style="text-align: center;"></p> <p>Purity: 99.16% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>BRAF inhibitor is a B-Raf inhibitor extracted from patent WO/2011103196 A1, Compound P-0850.</p> <p style="text-align: center;"></p> <p>Purity: 98.61% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</p>
<p>CCT196969</p> <p style="text-align: right;">Cat. No.: HY-12846</p>	<p>Dabrafenib (GSK2118436A; GSK2118436)</p> <p style="text-align: right;">Cat. No.: HY-14660</p>
<p>CCT196969 is a pan-Raf inhibitor, which inhibits B-Raf, BRaf^{V600E} and CRAF with IC_{50}s of 0.1, 0.04, and 0.01 μM, respectively.</p> <p style="text-align: center;"></p> <p>Purity: 99.04% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Dabrafenib (GSK2118436A) is an ATP-competitive inhibitor of Raf with IC_{50}s of 5 nM and 0.6 nM for C-Raf and B-Raf^{V600E}, respectively.</p> <p style="text-align: center;"></p> <p>Purity: 99.97% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>
<p>Dabrafenib Mesylate (GSK2118436 Mesylate; GSK 2118436B)</p> <p style="text-align: right;">Cat. No.: HY-14660A</p>	<p>Doramapimod (BIRB 796)</p> <p style="text-align: right;">Cat. No.: HY-10320</p>
<p>Dabrafenib Mesylate is a potent and selective Raf kinase inhibitor with IC_{50}s of 0.6 and 5.0 nM for Raf^{V600E} and c-Raf, respectively.</p> <p style="text-align: center;"></p> <p>Purity: 99.94% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg</p>	<p>Doramapimod (BIRB 796) is an orally active, highly potent p38 MAPK inhibitor, which has an IC_{50} for $p38\alpha=38$ nM, for $p38\beta=65$ nM, for $p38\gamma=200$ nM, and for $p38\delta=520$ nM. Doramapimod has picomolar affinity for p38 kinase ($K_d=0.1$ nM). Doramapimod also inhibits B-Raf with an IC_{50} of 83 nM.</p> <p style="text-align: center;"></p> <p>Purity: 99.88% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg</p>
<p>Encorafenib (LGX818)</p> <p style="text-align: right;">Cat. No.: HY-15605</p>	<p>GDC-0879</p> <p style="text-align: right;">Cat. No.: HY-50864</p>
<p>Encorafenib (LGX818) is a highly potent BRAF inhibitor with selective anti-proliferative and apoptotic activity in cells expressing BRAF^{V600E} ($EC_{50}=4$ nM).</p> <p style="text-align: center;"></p> <p>Purity: 99.63% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>GDC-0879 is a potent and selective B-Raf inhibitor with an IC_{50} of 0.13 nM.</p> <p style="text-align: center;"></p> <p>Purity: 99.94% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>GW 5074</p> <p style="text-align: right;">Cat. No.: HY-10542</p>	<p>HG6-64-1 (HMSL 10017-101-1)</p> <p style="text-align: right;">Cat. No.: HY-12291</p>
<p>GW 5074 is a potent and selective c-Raf inhibitor with IC_{50} 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 style="text-align: center;"></p> <p>Purity: 99.49% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>HG6-64-1 is a potent and selective B-Raf inhibitor extracted from patent WO 2011090738 A2, example 9 (XI-1); has a IC_{50} of 0.09 μM on B-raf V600E transformed Ba/F3 cells.</p> <p style="text-align: center;"></p> <p>Purity: 99.05% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>

<p>L-779450</p> <p style="text-align: right;">Cat. No.: HY-12787</p>	<p>Lifirafenib (BGB-283)</p> <p style="text-align: right;">Cat. No.: HY-18957</p>
<p>L-779450 is a potent and selective B-Raf kinase inhibitor with a K_d of 2.4 nM.</p>  <p>Purity: 98.75% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Lifirafenib (BGB-283) is a novel and potent Raf Kinase and EGFR inhibitor with IC_{50} values of 23 and 29 nM for recombinant BRAF^{V600E} and EGFR, respectively.</p>  <p>Purity: 98.02% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>LUT014</p> <p style="text-align: right;">Cat. No.: HY-111940</p>	<p>LXH254</p> <p style="text-align: right;">Cat. No.: HY-112089</p>
<p>LUT014 is a B-Raf inhibitor with an IC_{50} of 11.7 nM, and developed to reduce dose-limiting acneiform lesions associated EGFR Inhibitors treatment. Extracted from patent WO 2019026065A2 .</p>  <p>Purity: 97.19% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>LXH254 is a potent B/C RAF inhibitor extracted from patent WO2018051306A1, Compound A.</p>  <p>Purity: 99.94% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>LY3009120 (DP-4978)</p> <p style="text-align: right;">Cat. No.: HY-12558</p>	<p>MCP110</p> <p style="text-align: right;">Cat. No.: HY-123673</p>
<p>LY3009120 is a pan RAF inhibitor which inhibits BRAF^{V600E}, BRAF^{WT} and CRAF^{WT} with IC_{50}s of 5.8, 9.1 and 15 nM, respectively.</p>  <p>Purity: 99.01% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>MCP110 is an inhibitor of Ras/Raf-1 interaction. MCP110 blocks the interaction of Ras with Raf. MCP110 disrupts this interaction might can be used for the research of human tumors.</p>  <p>Purity: 98.91% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>ML786 dihydrochloride</p> <p style="text-align: right;">Cat. No.: HY-14979A</p>	<p>PLX-4720</p> <p style="text-align: right;">Cat. No.: HY-51424</p>
<p>ML786 dihydrochloride potent and orally bioavailable Raf inhibitor, with IC_{50}s of 2.1, 4.2, and 2.5 nM for ^{V600E}ΔB-Raf, wt B-Raf, and C-Raf, respectively. ML786 dihydrochloride also inhibits Abl-1, DDR2, EPHA2, KDR, and RET (IC_{50}= <0.5, 7.0, 11, 6.2, 0.8 nM).</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>PLX-4720 is a potent and selective inhibitor of B-Raf^{V600E} with IC_{50} of 13 nM in a cell-free assay, equally potent to c-Raf-1(Y340D and Y341D mutations), and 10-fold selectivity for B-Raf^{V600E} than wild-type B-Raf.</p>  <p>Purity: 99.88% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</p>
<p>PLX7904</p> <p style="text-align: right;">Cat. No.: HY-18997</p>	<p>PROTAC B-Raf degrader 1</p> <p style="text-align: right;">Cat. No.: HY-111758</p>
<p>PLX7904 is a potent and selective BRAF inhibitor, with IC_{50} of appr 5 nM against BRAF^{V600E} in mutant RAS expressing cells.</p>  <p>Purity: 98.80% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>PROTAC B-Raf degrader 1 (compound 2) is a proteolysis targeting chimera (PROTAC) for the degradation of B-Raf. With anti-cancer activity.</p>  <p>Purity: 99.18% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p>

<p>RAF265 (CHIR-265) Cat. No.: HY-10248</p> <p>RAF265 is a potent RAF/VEGFR2 inhibitor.</p>  <p>Purity: 99.98% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>RAF709 Cat. No.: HY-100510</p> <p>RAF709 is a potent, selective, and efficacious RAF inhibitor with IC_{50}s of 0.4 nM and 0.5 nM for BRAF and CRAF, respectively. Antitumor efficacy.</p>  <p>Purity: 99.91% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Regorafenib (BAY 73-4506) Cat. No.: HY-10331</p> <p>Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1, respectively.</p>  <p>Purity: 99.96% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>Regorafenib Hydrochloride (BAY 73-4506 hydrochloride) Cat. No.: HY-13308</p> <p>Regorafenib Hydrochloride (BAY 73-4506 hydrochloride) is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</p>  <p>Purity: 99.58% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p>Regorafenib monohydrate (BAY 73-4506 monohydrate) Cat. No.: HY-10331A</p> <p>Regorafenib monohydrate (BAY 73-4506 monohydrate) is a multi-target inhibitor for VEGFR1/2/3, PDGFRβ, Kit, RET and Raf-1 with IC_{50}s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM, respectively.</p>  <p>Purity: 99.96% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>Ro 5126766 (CH5126766) Cat. No.: HY-18652</p> <p>Ro 5126766 (CH5126766) 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).</p>  <p>Purity: 97.92% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>RRD-251 Cat. No.: HY-117737A</p> <p>RRD-251 is an inhibitor of retinoblastoma tumor suppressor protein (Rb)-Raf-1 interaction, with potent anti-proliferative, anti-angiogenic and anti-tumor activity.</p>  <p>Purity: 99.55% Clinical Data: No Development Reported Size: 5 mg</p>	<p>SB-590885 Cat. No.: HY-10966</p> <p>SB-590885 is a potent B-Raf inhibitor with K_i of 0.16 nM, and has 11-fold greater selectivity for B-Raf over c-Raf, without inhibition to other human kinases.</p>  <p>Purity: 99.03% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p>Sorafenib (Bay 43-9006) Cat. No.: HY-10201</p> <p>Sorafenib (Bay 43-9006) is a potent and orally active Raf inhibitor with IC_{50}s of 6 nM and 20 nM for Raf-1 and B-Raf, respectively. Sorafenib is a multikinase inhibitor with IC_{50}s of 90 nM, 15 nM, 20 nM, 57 nM and 58 nM for VEGFR2, VEGFR3, PDGFRβ, FLT3 and c-Kit, respectively.</p>  <p>Purity: 99.92% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg, 500 mg</p>	<p>Sorafenib (D3) (Bay 43-9006 (D3)) Cat. No.: HY-10201S</p> <p>Sorafenib D3 (Bay 43-9006 D3) is the deuterium labeled Sorafenib. Sorafenib is a multikinase inhibitor IC_{50}s of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>Sorafenib (D4) (Bay 43-9006 (D4))</p> <p>Sorafenib D4 (Bay 43-9006 D4) is the deuterium labeled Sorafenib. Sorafenib is a multikinase inhibitor IC_{50}s of 6 nM, 20 nM, and 22 nM for Raf-1, B-Raf, and VEGFR-3, respectively.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p> <p style="text-align: right;">Cat. No.: HY-10201S1</p> 	<p>Sorafenib Tosylate (Bay 43-9006 Tosylate)</p> <p>Sorafenib Tosylate (Bay 43-9006 Tosylate) is a potent and orally active Raf inhibitor with IC_{50}s of 6 nM and 20 nM for Raf-1 and B-Raf, respectively.</p> <p>Purity: 99.74% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg, 500 mg</p> <p style="text-align: right;">Cat. No.: HY-10201A</p> 
<p>TAK-580 (MLN 2480; BIIB-024)</p> <p>TAK-580 (MLN 2480) is an orally active and selective inhibitor of pan-Raf kinase.</p> <p>Purity: 99.89% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p> <p style="text-align: right;">Cat. No.: HY-15246</p> 	<p>TAK-632</p> <p>TAK-632 is a potent pan-RAF inhibitor with IC_{50} of 1.4, 2.4 and 8.3 nM for CRAF, BRAF^{V600E}, BRAF^{WT}, respectively.</p> <p>Purity: 99.13% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p> <p style="text-align: right;">Cat. No.: HY-15767</p> 
<p>TBAP-001</p> <p>TBAP-001 (Synthesis 13), extracted from patent WO2015075483A1, is a pan-RAF kinase inhibitor, with an IC_{50} of 62 nM in BRAF V600E kinase assay.</p> <p>Purity: 99.85% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 50 mg, 100 mg</p> <p style="text-align: right;">Cat. No.: HY-136567</p> 	<p>Vemurafenib (PLX4032; RG7204; RO5185426)</p> <p>Vemurafenib (PLX4032) is a first-in-class, selective, potent inhibitor of B-RAF kinase, with IC_{50}s of 31 and 48 nM for RAF^{V600E} and c-RAF-1, respectively. Vemurafenib induces cell autophagy.</p> <p>Purity: 99.80% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g</p> <p style="text-align: right;">Cat. No.: HY-12057</p> 
<p>ZM 336372</p> <p>ZM 336372 is a potent inhibitor of the protein kinase c-Raf. The IC_{50} value is 0.07 μM in the standard assay, which contains 0.1 mM ATP.</p> <p>Purity: >96.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p> <p style="text-align: right;">Cat. No.: HY-13343</p> 