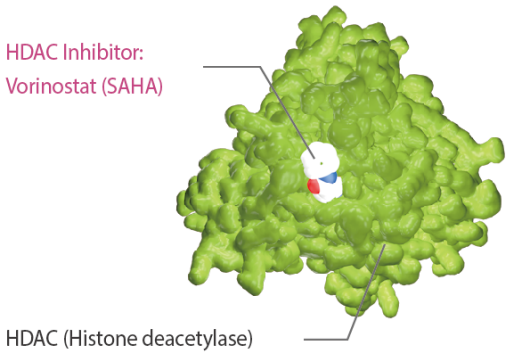
Raf

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.

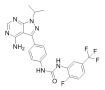
Raf Inhibitors & Modulators

AD80

Cat. No.: HY-101963

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

Purity: 99.46%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



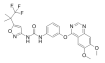
Agerafenib

(CEP-32496; RXDX-105)

Cat. No.: HY-15200

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

Purity: 99.20%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



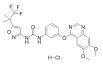
Agerafenib hydrochloride

(CEP-32496 (hydrochloride); RXDX-105 hydrochloride)

Cat. No.: HY-15199

Bioactivity: Agerafenib hydrochloride is a highly potent and orally efficacious inhibitor of **BRAF^{V600E}** with a **K_d** of 14 nM.

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

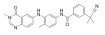


AZ 628

Cat. No.: HY-11004

Bioactivity: AZ 628 is a **pan-Raf** kinase inhibitor with **IC_{50}**s of 105, 34 and 29 nM for **B-Raf**, **B-RafV600E**, and **c-Raf-1**, respectively.

Purity: 99.56%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

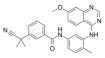


AZ304

Cat. No.: HY-117273

Bioactivity: 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...

Purity: 99.39%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

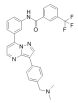


B-Raf IN 1

Cat. No.: HY-18227

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

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

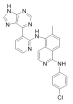


B-Raf inhibitor 1

Cat. No.: HY-14177

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

Purity: 97.76%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

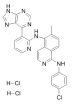


B-Raf inhibitor 1 dihydrochloride

Cat. No.: HY-14177A

Bioactivity: B-Raf inhibitor 1 dihydrochloride is a potent **Raf** kinase inhibitor with **K_i**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: 5 mg, 10 mg, 50 mg, 100 mg

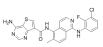


Belvarafenib

Cat. No.: HY-109080

Bioactivity: Belvarafenib 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-RAFv ^{600E} and C-RAF respectively.

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

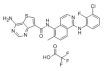


Belvarafenib TFA

Cat. No.: HY-109080A

Bioactivity: Belvarafenib (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-RAFv ^{600E} and C-RAF respectively [1].

Purity: 99.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in Water, 5 mg

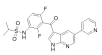


BRAF inhibitor

Cat. No.: HY-10247

Bioactivity: BRAF inhibitor is a **B-Raf** inhibitor extracted from patent WO/2011103196 A1, Compound P-0850.

Purity: 98.91%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

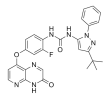


CCT196969

Cat. No.: HY-12846

Bioactivity: 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.

Purity: 99.04%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



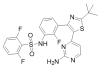
Dabrafenib

(GSK2118436A; GSK2118436)

Cat. No.: HY-14660

Bioactivity: Dabrafenib 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.

Purity: 99.91%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg



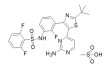
Dabrafenib Mesylate

(GSK2118436 Mesylate; GSK 2118436B)

Cat. No.: HY-14660A

Bioactivity: 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.

Purity: 99.94%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg



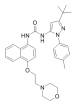
Doramapimod

(BIRB 796)

Cat. No.: HY-10320

Bioactivity: Doramapimod (BIRB 796) is a highly potent **p38 MAPK** inhibitor with an **IC_{50}** of 4 nM. It also inhibits **B-Raf** with an **IC_{50}** of 83 nM.

Purity: 99.72%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg



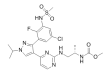
Encorafenib

(LGX818)

Cat. No.: HY-15605

Bioactivity: Encorafenib (LGX818) is a highly potent **RAF** inhibitor with selective anti-proliferative and apoptotic activity in cells expressing BRAF ^{V600E} (**EC_{50}**=4 nM).

Purity: 99.63%
Clinical Data: Phase 3
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

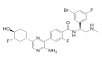


ERK-IN-1

Cat. No.: HY-114491

Bioactivity: ERK-IN-1 (compound B) is a **RAF** and **ERK1/2** 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 celllung cancer), BRAF-mutant NSCLC, KRAS-mutant...

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

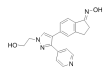


GDC-0879

Cat. No.: HY-50864

Bioactivity: GDC-0879 is a potent and selective **B-Raf** inhibitor with an **IC_{50}** of 0.13 nM.

Purity: 99.94%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

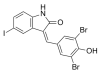


GW 5074

Cat. No.: HY-10542

Bioactivity: 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.

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



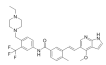
HG6-64-1

(HMSL 10017-101-1)

Cat. No.: HY-12291

Bioactivity: 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.

Purity: 99.05%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

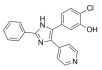


L-779450

Cat. No.: HY-12787

Bioactivity: L-779450 is a potent and selective **B-Raf** kinase inhibitor with a K_d of 2.4 nM.

Purity: 98.75%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

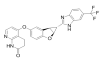


Lifirafenib (BGB-283)

Cat. No.: HY-18957

Bioactivity: 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.

Purity: 98.00%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

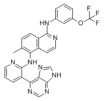


LUT014

Cat. No.: HY-111940

Bioactivity: 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 [1].

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

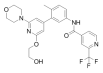


LXH254

Cat. No.: HY-112089

Bioactivity: LXH254 is a potent **CRAF** inhibitor extracted from patent WO2018051306A1, Compound A. LXH254 also is a potent **BRAF** inhibitor.

Purity: 99.94%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg

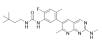


LY3009120 (DP-4978)

Cat. No.: HY-12558

Bioactivity: 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.

Purity: 98.66%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

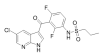


PLX-4720

Cat. No.: HY-51424

Bioactivity: 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} t...

Purity: 99.73%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

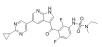


PLX7904

Cat. No.: HY-18997

Bioactivity: PLX7904 is a potent and selective **BRAF** inhibitor, with **IC_{50}** of appr 5 nM against BRAF ^{V600E} in mutant RAS expressing cells.

Purity: 98.62%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

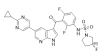


PLX8394

Cat. No.: HY-18972

Bioactivity: PLX8394 is a potent and selective **Raf** inhibitor, with an **IC_{50}** of appr 5 nM for BRAF ^{V600E}.

Purity: 99.94%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

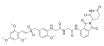


PROTAC B-Raf degrader 1

Cat. No.: HY-111758

Bioactivity: PROTAC B-Raf degrader 1 (compound 2) is a proteolysis targeting chimera (PROTAC) for the degradation of **B-Raf**. With anti-cancer activity [1].

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

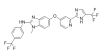


RAF265 (CHIR-265)

Cat. No.: HY-10248

Bioactivity: RAF265 is a potent **RAF/ VEGFR2** inhibitor.

Purity: 99.72%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

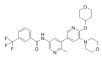


RAF709

Cat. No.: HY-100510

Bioactivity: 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 [1]. Antitumor efficacy [1].

Purity: 99.55%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg



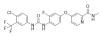
Regorafenib

(BAY 73-4506)

Cat. No.: HY-10331

Bioactivity: 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.

Purity: 99.96%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg



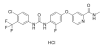
Regorafenib Hydrochloride

(BAY73-4506 hydrochloride)

Cat. No.: HY-13308

Bioactivity: Regorafenib 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.

Purity: 99.58%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg



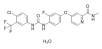
Regorafenib monohydrate

(BAY 73-4506 monohydrate)

Cat. No.: HY-10331A

Bioactivity: Regorafenib 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.

Purity: 99.96%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg, 200 mg



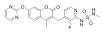
Ro 5126766

(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

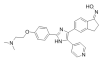


SB-590885

Cat. No.: HY-10966

Bioactivity: 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.

Purity: 99.03%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg



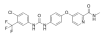
Sorafenib

(Bay 43-9006)

Cat. No.: HY-10201

Bioactivity: Sorafenib (Bay 43-9006) is a potent multikinase inhibitor with **IC_{50}**s of 6 nM, 20 nM, and 22 nM for **Raf-1**, **B-Raf**, and **VEGFR-3**, respectively.

Purity: 99.92%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg, 500 mg



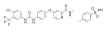
Sorafenib Tosylate

(Bay 43-9006 (Tosylate))

Cat. No.: HY-10201A

Bioactivity: Sorafenib Tosylate (Bay 43-9006 Tosylate) is a potent multikinase inhibitor, with **IC_{50}**s of 6 nM, 20 nM, and 22 nM for **Raf-1**, **B-Raf**, and **VEGFR-3**, respectively.

Purity: 99.53%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg, 500 mg



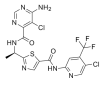
TAK-580

(MLN 2480; BIIB-024)

Cat. No.: HY-15246

Bioactivity: TAK-580 (MLN 2480) is an orally active and selective inhibitor of **pan-Raf** kinase.

Purity: 99.89%
Clinical Data: Phase 1
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

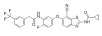


TAK-632

Cat. No.: HY-15767

Bioactivity: 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.

Purity: 99.13%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

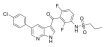


Vemurafenib

(PLX4032; RG7204; RO5185426) **Cat. No.:** HY-12057

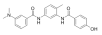
Bioactivity: Vemurafenib (PLX4032; RG7204) is a novel and potent inhibitor of **B-RAF** kinase, with **IC_{50}**s of 31 and 48 nM for RAF ^{V600E} and c-RAF-1, respectively.

Purity: 99.73%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g



ZM 336372

Cat. No.: HY-13343

Bioactivity: 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.

Purity: 96.79%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg