RET

RET (REarranged during Transfection) is a receptor protein tyrosine kinase, which activates multiple signal transduction pathways. RET protein is composed of three domains: an extracellular ligand-binding domain, a transmembrane domain, and a cytoplasmic tyrosine kinase domain. The RET receptor tyrosine kinase (RTK) regulates key aspects of cellular proliferation and survival by regulating the activity of the mitogen- activated protein kinase (MAPK) and PI3K/Akt signaling pathways. RET also interacts directly with other kinases such as the epidermal growth factor receptor (EGFR) and hepatocyte growth factor receptor (MET) and the focal adhesion kinase (FAK). Furthermore, BRAF and p38MAPK are downstream targets of RET. Kinase inhibitors that simultaneously inhibit RET and its downstream targets.

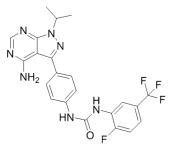
RET tyrosine kinase receptor presents an attractive therapeutic target for the treatment of certain cancer subsets. Deregulated RET activity has been identified as a causative factor in the development, progression and response to therapy of thyroid carcinoma. Elevated RET expression has been associated with the development of endocrine resistance in human breast cancer.

RET Inhibitors & Agonists

AD80

Cat. No.: HY-101963

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



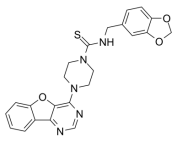
Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Amuvatinib

(MP470; HPK 56)

Cat. No.: HY-10206

Amuvatinib (MP470) is an orally bioavailable multi-targeted tyrosine kinase inhibitor with potent activity against mutant **c-Kit**, **PDGFRα**, **Flt3**, **c-Met** and **c-Ret**.



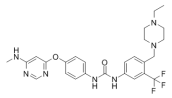
Purity: 99.36%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AST 487

(NVP-AST 487)

Cat. No.: HY-15002

AST 487 is a **RET** kinase inhibitor with IC_{50} of 880 nM, inhibits RET autophosphorylation and activation of downstream effectors, also inhibits **Flt-3** with IC_{50} of 520 nM.



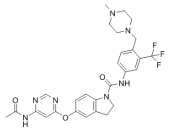
Purity: 99.20%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

BBT594

(NVP-BBT594)

Cat. No.: HY-18840

BBT594 is a potent **receptor tyrosine kinase RET inhibitor**, used for cancer treatment.

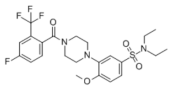


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BT-13

Cat. No.: HY-124401

BT-13 is a potent and selective **glial cell line-derived neurotrophic factor (GDNF) receptor RET** agonist independently of GFLs, promoting neurite growth from sensory neurons in vitro and attenuates experimental neuropathy in the Rat.

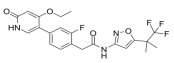


Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GSK3179106

Cat. No.: HY-100459

GSK3179106 is an orally active and selective **RET** kinase inhibitor with IC_{50}s of 0.4 nM, 0.2 nM for human RET and rat RET, respectively. GSK3179106 has the potential for irritable bowel syndrome (IBS) through the attenuation of post-inflammatory and stress-induced visceral hypersensitivity.



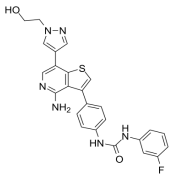
Purity: 99.40%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Ilorasertib

(ABT-348)

Cat. No.: HY-16018

Ilorasertib (ABT-348) is a potent and ATP-competitive multitargeted kinase inhibitor, which inhibits **Aurora C**, **Aurora B**, and **Aurora A** with IC_{50}s of 1 nM, 7 nM, 120 nM, respectively.



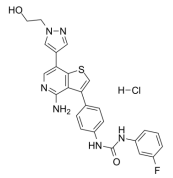
Purity: >98%
Clinical Data: Phase 2
Size: 50 mg, 100 mg

Ilorasertib hydrochloride

(ABT-348 hydrochloride)

Cat. No.: HY-16018A

Ilorasertib hydrochloride (ABT-348 hydrochloride) is a potent and ATP-competitive multitargeted kinase inhibitor, which inhibits **Aurora C**, **Aurora B**, and **Aurora A** with IC_{50}s of 1 nM, 7 nM, 120 nM, respectively.



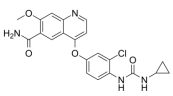
Purity: 98.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Lenvatinib

(E7080)

Cat. No.: HY-10981

Lenvatinib (E7080) is an oral, multi-targeted tyrosine kinase inhibitor that inhibits **VEGFR1-3**, **FGFR1-4**, **PDGFR**, **KIT**, and **RET**, shows potent antitumor activities.



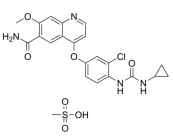
Purity: 99.87%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Lenvatinib mesylate

(E7080 mesylate)

Cat. No.: HY-10981A

Lenvatinib mesylate (E7080 mesylate), an oral, multi-targeted tyrosine kinase inhibitor that inhibits **VEGFR1-3**, **FGFR1-4**, **PDGFR**, **KIT**, and **RET**, shows potent antitumor activities.



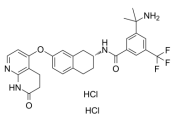
Purity: 99.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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

ML786 dihydrochloride

Cat. No.: HY-14979A

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).



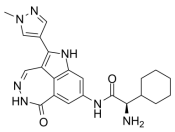
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PF 477736

(PF 00477736)

Cat. No.: HY-10032

PF 477736 (PF 00477736) is a potent, selective and ATP-competitive inhibitor of **Chk1**, with a K_i of 0.49 nM, it is also a **Chk2** inhibitor, with a K_i of 47 nM.



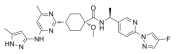
Purity: 99.21%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Pralsetinib

(BLU-667)

Cat. No.: HY-112301

Pralsetinib (BLU-667) is a highly potent, selective **RET** inhibitor. Pralsetinib (BLU-667) inhibits WT RET, RET mutants V804L, V804M, M918T and CCDC6-RET fusion with IC_{50}s of 0.4, 0.3, 0.4, 0.4, and 0.4 nM, respectively.

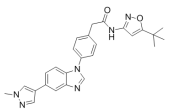


Purity: 99.56%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Pz-1

Cat. No.: HY-U00437

Pz-1 is a potent **RET** and **VEGFR2** inhibitor with IC_{50}s of less than 1 nM for both wild type kinases.



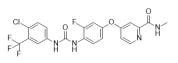
Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Regorafenib

(BAY 73-4506)

Cat. No.: HY-10331

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.



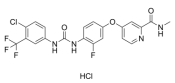
Purity: 99.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Regorafenib Hydrochloride

(BAY 73-4506 hydrochloride)

Cat. No.: HY-13308

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.



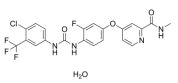
Purity: 99.58%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Regorafenib monohydrate

(BAY 73-4506 monohydrate)

Cat. No.: HY-10331A

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.

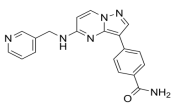


Purity: 99.96%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

RET V804M-IN-1

Cat. No.: HY-136534

RET V804M-IN-1 (compound 5) is a wt-RET -selective inhibitors of **RETV804M** kinase, with an IC_{50} of 20 nM.

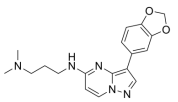


Purity: 98.37%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

RET-IN-3

Cat. No.: HY-133553

RET-IN-3 (compound 34) is a selective **RETV804M** kinase inhibitor, with an IC_{50} of 19 nM.



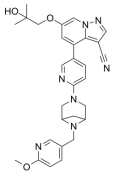
Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Selpercatinib

(LOXO-292)

Cat. No.: HY-114370

Selpercatinib (LOXO-292) is a **RET kinase** inhibitor extracted from patent WO2018071447A1, Compound Example 163, has an IC_{50} of 14.0 nM, 24.1 nM, and 530.7 nM for RET (WT), RET (V804M) , and RET (G810R), respectively. Antineoplastic activity.

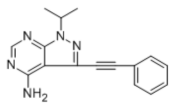


Purity: 99.61%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SPP-86

Cat. No.: HY-110193

SPP-86 is a potent and selective cell permeable inhibitor of **RET tyrosine kinase**, with an IC_{50} of 8 nM. SPP-86 inhibits RET-induced phosphatidylinositide 3-kinases (PI3K)/Akt and MAPK signaling, also inhibits RET-induced estrogen receptorα (ERα) phosphorylation in MCF7 cells.

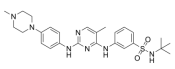


Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg

TG101209

Cat. No.: HY-10410

TG101209 is a selective **JAK2** inhibitor with IC_{50} of 6 nM, less potent to **Flt3** and **RET** with IC_{50} of 25 nM and 17 nM, appr 30-fold selective for JAK2 than JAK3, and sensitive to JAK2V617F and MPLW515L/K mutations.



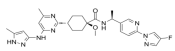
Purity: 99.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

trans-Pralsetinib

(trans-BLU-667)

Cat. No.: HY-112301A

trans-Pralsetinib (trans-BLU-667) is a **rearranged during transfection (RET)** inhibitor extracted from patent US20170121312A1, Compound Example 129.

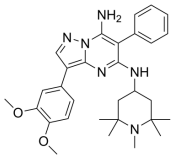


Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

WF-47-JS03

Cat. No.: HY-133551

WF-47-JS03 is a potent and selective **RET** kinase inhibitor with IC_{50}s of 1.7 nM and 5.3 nM for KIF5B-RET transfected Ba/F3 cells and CCDC6-RET transfected LC-2/ad lung cancer cells, respectively.



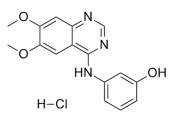
Purity: 99.63%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

WHI-P180 hydrochloride

(Janex 3 hydrochloride;)

Cat. No.: HY-15769A

WHI-P180 (Janex 3) is a multi-kinase inhibitor; inhibits **RET**, **KDR** and **EGFR** with IC_{50}s of 5 nM, 66 nM and 4 μM, respectively.



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