IGF-1R

Insulin-like growth factor-1 receptor

IGF-1R (Insulin-like growth factor 1 receptor), a receptor tyrosine kinase, is activated upon binding to the ligands IGF-1 or IGF-2 leading to cell growth, survival and migration of both normal and cancerous cells.

IGF-1R can initiate the activation of the PI3K/AKT/mTOR signaling and Ras/Raf/MEK/MAPK pathways resulting in the activation of multiple transcription factors such as ELK-1, CREB and AP-1 to modulate cell proliferation, survival, differentiation, motility, invasion and angiogenesis. IGF-1R overexpression or increased IGF-1R kinase activity is associated with a broad range of human cancers and therefore the IGF-1R is widely considered as a very promising target for cancer treatment.

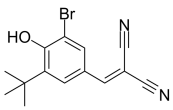
IGF-1R Inhibitors & Agonists

AG1024

(Tyrphostin AG 1024)

Cat. No.: HY-10253

AG1024 (Tyrphostin AG 1024) is a reversible, competitive and selective **IGF-1R** inhibitor with an IC_{50} of 7 μM. AG1024 inhibits phosphorylation of **IR** (IC_{50}=57 μM). AG1024 induces **apoptosis** and has anti-cancer activity.

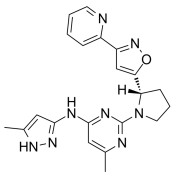


Purity: 98.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AZ12253801

Cat. No.: HY-125102

AZ12253801 is an ATP-competitive **IGF-1R** tyrosine kinase inhibitor that shows 10-fold selectivity over the insulin receptor. AZ12253801 inhibits IGF-1R–driven proliferation in 3T3 mouse fibroblasts (transfected with human IGF-1R) with an IC_{50} of 17 nmol/L.

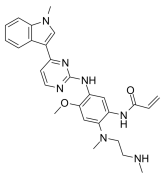


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZ7550

Cat. No.: HY-B0794

AZ7550 is an active metabolite of AZD9291 and inhibits the activity of **IGF1R** with an IC_{50} of 1.6 μM.

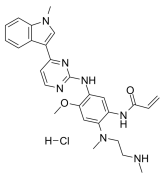


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZ7550 hydrochloride

Cat. No.: HY-B0794A

AZ7550 hydrochloride is an active metabolite of AZD9291 and inhibits the activity of **IGF1R** with an IC_{50} of 1.6 μM.



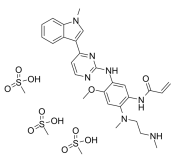
Purity: 98.66%
Clinical Data: Phase 1
Size: 5 mg, 10 mg

AZ7550 Mesylate

(AZ7550 trimesylate salt)

Cat. No.: HY-B0794B

AZ7550 Mesylate is an active metabolite of AZD9291 and inhibits the activity of **IGF1R** with an IC_{50} of 1.6 μM.



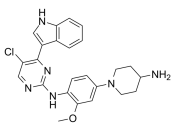
Purity: 99.34%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg

AZD-3463

(ALK/IGF1R inhibitor)

Cat. No.: HY-15609

AZD-3463 (ALK/IGF1R inhibitor) is an orally active **ALK/IGF1R** inhibitor, with a K_i of 0.75 nM for ALK. AZD3463 induces **apoptosis** and **autophagy** in neuroblastoma cells.

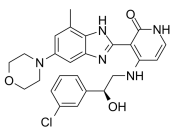


Purity: 99.96%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BMS-536924

Cat. No.: HY-10262

BMS-536924 is an orally active, competitive and selective **insulin-like growth factor receptor (IGF-1R)** kinase and **insulin receptor (IR)** inhibitor with IC_{50}s of 100 nM and 73 nM, respectively. BMS-536924 has anti-cancer activity.

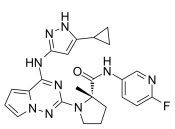


Purity: 99.74%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BMS-754807

Cat. No.: HY-10200

BMS-754807 is a potent and reversible **IGF-1R/IR** inhibitor (IC_{50}=1.8 and 1.7 nM, respectively; K_i=<2 nM for both). BMS-754807 also shows potent activities against Met, RON, TrkA, TrkB, AurA, and AurB with IC_{50} values of 6, 44, 7, 4, 9, and 25 nM, respectively.



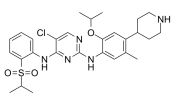
Purity: 99.76%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Ceritinib

(LDK378)

Cat. No.: HY-15656

Ceritinib (LDK378) is a selective, orally bioavailable, and ATP-competitive **ALK** tyrosine kinase inhibitor with an IC_{50} of 200 pM. Ceritinib (LDK378) also inhibits **IGF-1R**, **InsR**, and **STK22D** with IC_{50} values of 8, 7, and 23 nM, respectively. Ceritinib (LDK378) shows great antitumor potency.



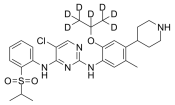
Purity: 99.97%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Ceritinib D7

(LDK378 D7)

Cat. No.: HY-15656S

Ceritinib D7 (LDK378 D7) is a deuterium labeled Ceritinib. Ceritinib is a selective, orally bioavailable and ATP-competitive ALK tyrosine kinase inhibitor.



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

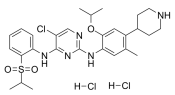
Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Ceritinib dihydrochloride

(LDK378 dihydrochloride)

Cat. No.: HY-15656A

Ceritinib dihydrochloride (LDK378 dihydrochloride) is a selective, orally bioavailable and ATP-competitive **ALK** tyrosine kinase inhibitor with an IC_{50} of 200 pM.

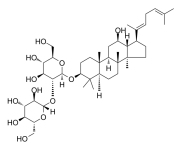


Purity: 99.83%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Ginsenoside Rg5

Cat. No.: HY-N0908

Ginsenoside Rg5 is the main component of Red ginseng. Ginsenoside blocks binding of **IGF-1** to its receptor with an IC_{50} of ~90 nM. Ginsenoside Rg5 also inhibits the mRNA expression of **COX-2** via suppression of the DNA binding activities of **NF-κB p65**.

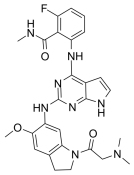


Purity: 99.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

GSK1838705A

Cat. No.: HY-13020

GSK1838705A is a potent and reversible **IGF-IR** and the **insulin receptor** inhibitor with IC_{50}s of 2.0 and 1.6 nM, respectively. It also inhibits **ALK** with an IC_{50} of 0.5 nM.

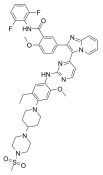


Purity: 99.28%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GSK1904529A

Cat. No.: HY-10524

GSK1904529A is a potent, selective, orally active, and ATP-competitive inhibitor of **insulin-like growth factor-1 receptor (IGF-1R)** and **insulin receptor (IR)**, with IC_{50}s of 27 and 25 nM, respectively.



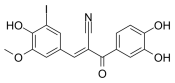
Purity: 99.22%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

I-OMe-Tyrphostin AG 538

(I-OMe-AG 538)

Cat. No.: HY-135680

I-OMe-Tyrphostin AG 538 (I-OMe-AG 538) is a specific inhibitor of **IGF-1R** (insulin-like growth factor-1 receptor tyrosine kinase).

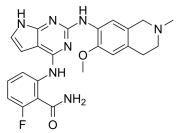


Purity: 99.34%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IGF-1R inhibitor-2

Cat. No.: HY-145110

IGF-1R inhibitor-2 (example 121) is an insulin-like growth factor-1 receptor (**IGF-1R**) inhibitor. Downregulation of IGF-1R can reverse the transformed phenotype of tumor cells and potentially render them susceptible to apoptosis.

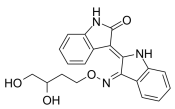


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Indirubin Derivative E804

Cat. No.: HY-18785

Indirubin Derivative E804 is a potent inhibitor of Insulin-like Growth Factor 1 Receptor **(IGF1R)**, with an IC_{50} of 0.65 μM for IGF1R.



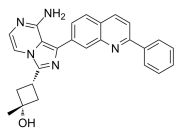
Purity: 99.79%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Linsitinib

(OSI-906)

Cat. No.: HY-10191

Linsitinib (OSI-906) is a potent, selective and orally bioavailable dual inhibitor of the **IGF-1 receptor** and **insulin receptor (IR)** with IC_{50}s of 35 and 75 nM, respectively.



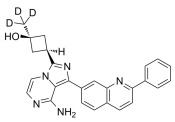
Purity: 99.88%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Linsitinib-d3

(OSI-906-d3)

Cat. No.: HY-10191S

Linsitinib-d3 (OSI-906-d3) is the deuterium labeled Linsitinib. Linsitinib (OSI-906) is a potent, selective and orally bioavailable dual inhibitor of the **IGF-1 receptor** and **insulin receptor (IR)** with IC_{50}s of 35 and 75 nM, respectively.

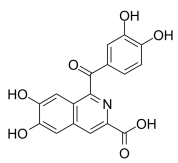


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

NBI-31772

Cat. No.: HY-110135

NBI-31772 is the potent and nonselective inhibitor of **IGFBP** with a K_i value of 47 nM. NBI-31772 has the potential for the research of IGF-responsive diseases.

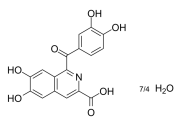


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

NBI-31772 hydrate

Cat. No.: HY-110135A

NBI-31772 hydrate is a potent inhibitor of interaction between **insulin-like growth factor (IGF)** and **IGF-binding proteins (IGFBPs)**.



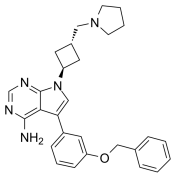
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg

NVP-ADW742

(ADW742; GSK 552602A; ADW)

Cat. No.: HY-10252

NVP-ADW742 (ADW742) is an orally active, selective **IGF-1R tyrosine kinase** inhibitor with an IC_{50} of 0.17 μM. NVP-ADW742 inhibits **insulin receptor (InsR)** with an IC_{50} of 2.8 μM. NVP-ADW742 induces pleiotropic antiproliferative/**proapoptotic** biologic sequelae in tumor cells.



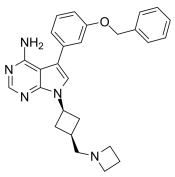
Purity: 99.30%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

NVP-AEW541

(AEW541)

Cat. No.: HY-50866

NVP-AEW541 (AEW541) is a potent inhibitor of **IGF-1R** with IC_{50} of 0.15 μM, also inhibits **InsR**, with IC_{50} of 0.14 μM.



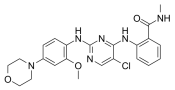
Purity: 98.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

NVP-TAE 226

(TAE226)

Cat. No.: HY-13203

NVP-TAE 226 (TAE226) is a potent and ATP-competitive dual **FAK** and **IGF-1R** inhibitor with IC_{50}s of 5.5 nM and 140 nM, respectively. NVP-TAE 226 (TAE226) also effectively inhibits **Pyk2** and **insulin receptor (InsR)** with IC_{50}s of 3.5 nM and 44 nM, respectively.



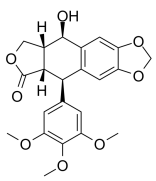
Purity: 99.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Picropodophyllin

(AXL1717; Picropodophyllin; PPP)

Cat. No.: HY-15494

Picropodophyllin (AXL1717) is a selective **insulin-like growth factor-1 receptor (IGF-1R)** inhibitor with an IC_{50} of 1 nM.

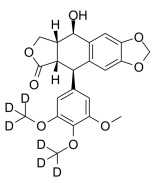


Purity: 99.90%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Picropodophyllotoxin-d6

Cat. No.: HY-15494S1

Picropodophyllotoxin-d6 is deuterium labeled Picropodophyllin. Picropodophyllin (AXL1717) is a selective insulin-like growth factor-1 receptor (IGF-1R) inhibitor with an IC50 of 1 nM.

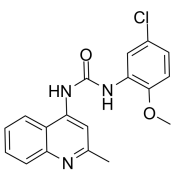


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PQ401

Cat. No.: HY-13686

PQ401 is a potent inhibitor of **IGF-IR** signaling. PQ401 inhibits IGF-I-stimulated IGF-IR autophosphorylation with an IC_{50} of 12.0 μM in a series of studies in MCF-7 cells. PQ401 is effective at inhibiting IGF-I-stimulated growth of MCF-7 cells (IC_{50}, 6 μM).

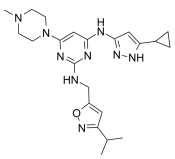


Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

XL228

Cat. No.: HY-15749

XL228 is a multi-targeted tyrosine kinase inhibitor with IC_{50}s of 5, 3.1, 1.6, 6.1, 2 nM for **Bcr-Abl**, **Aurora A**, **IGF-1R**, **Src** and **Lyn**, respectively.



Purity: 99.58%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg