IGF-1R
Insulin-like growth factor-1 receptor

IGF-1R (Insulin-like growth factor 1 receptor) is a protein found on the surface of human cells. It is a transmembrane receptor that is activated by a hormone called insulin-like growth factor 1 (IGF-1) and by a related hormone called IGF-2. It belongs to the large class of tyrosine kinase receptors. This receptor mediates the effects of IGF-1, which is a polypeptide protein hormone similar in molecular structure to insulin. IGF-1 plays an important role in growth and continues to have anabolic effects in adults - meaning that it can induce hypertrophy of skeletal muscle and other target tissues. Mice carrying only one functional copy of IGF-1R are normal, but exhibit a ~15% decrease in body mass. The IGF-1R is implicated in several cancers, including breast, prostate, and lung cancers. In some instances its anti-apoptotic properties allow cancerous cells to resist the cytotoxic properties of chemotherapeutic drugs or radiotherapy.
IGF-1R Inhibitors & Agonists

AG1024
(Tyrphostin AG 1024)

AG1024 (Tyrphostin AG 1024) is a reversible, competitive and selective insulin-like growth factor-1 receptor (IGF-1R) inhibitor with an IC\textsubscript{50} of 7 μM. AG1024 inhibits phosphorylation of insulin receptor (IR, IC\textsubscript{50}=7 μM). AG1024 induces apoptosis and has anti-cancer activity.

Purity: 97.16%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AZ7550

AZ7550 is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC\textsubscript{50} of 1.6 μM.

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

AZ7550 Mesylate
(AZ7550 trimesylate salt)

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

Purity: 98.85%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

AZD-3463
(ALK/IGF1R inhibitor)

AZD-3463 is an ALK/IGF1R inhibitor which overcomes multiple mechanisms of acquired resistance to crizotinib. IC\textsubscript{50} Value: Target: ALK/IGF1R.

Purity: 98.49%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BMS-536924

BMS-536924 is an orally active, competitive and selective insulin-like growth factor receptor (IGF-1R) kinase and insulin receptor (IR) inhibitor with IC\textsubscript{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

Ceritinib
(LDK378)

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

Ceritinib (LDK378) shows great antitumor potency.

Purity: 99.98%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Ceritinib D7
(LDK378 D7)

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

Ceritinib dihydrochloride
(LDK378 dihydrochloride)

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

Purity: 99.98%
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 IC50 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-1R and the insulin receptor inhibitor with IC50's of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an IC50 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 selective inhibitor of IGF-1R and IR with IC50 of 27 nM and 25 nM, >100-fold more selective for IGF-1R/InsR than Akt1/2, Aurora A/B, Raf, CDK2, EGFR etc.

Purity: 99.22%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 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 IC50 of 0.65 μM for IGF1R.

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

Linsitinib

(OST-906)

Cat. No.: HY-10191

Linsitinib (OST-906) is a potent, selective and orally bioavailable dual inhibitor of the IGF-1 and insulin receptor (IR) with IC50 of 35 and 75 nM, respectively.

Purity: 99.90%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 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 IC50 of 0.17 μM. NVP-ADW742 inhibits insulin receptor (InsR) with an IC50 of 2.8 μM. NVP-ADW742 induces pleiotropic antiproliferative/proapoptotic biologic sequelae in tumor cells.

Purity: 99.66%
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 is a potent inhibitor of IGF-1R with IC50 of 0.15 μM, also inhibits InsR, with IC50 of 0.14 μM.

Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 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 IC50 of 1 nM.

Purity: 99.85%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 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: 98.59%
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: 99.61%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg