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Inhibitors, Agonists, Screening Libraries

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

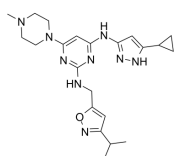
<p>AG1024 (Tyrphostin AG 1024)</p> <p>AG1024 (Tyrphostin AG 1024) is a reversible, competitive and selective IGF-1R inhibitor with an IC_{50} of 7 μM. AG1024 inhibits phosphorylation of IR (IC_{50}=57 μM). AG1024 induces apoptosis and has anti-cancer activity.</p> <p>Purity: 97.16% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>AZ7550 hydrochloride</p> <p>AZ7550 hydrochloride is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC_{50} of 1.6 μM.</p> <p>Purity: 98.66% Clinical Data: Phase 1 Size: 5 mg, 10 mg</p>
<p>AZ7550 Mesylate (AZ7550 trimesylate salt)</p> <p>AZ7550 Mesylate is an active metabolite of AZD9291 and inhibits the activity of IGF1R with an IC_{50} of 1.6 μM.</p> <p>Purity: 99.34% Clinical Data: Phase 1 Size: 5 mg, 10 mg</p>	<p>AZD-3463 (ALK/IGF1R inhibitor)</p> <p>AZD-3463 (ALK/IGF1R inhibitor) is an orally bioavailable ALK/IGF1R inhibitor, with a K_i of 0.75 nM for ALK. AZD3463 induces apoptosis and autophagy in neuroblastoma cells.</p> <p>Purity: 99.96% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>BMS-536924</p> <p>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.</p> <p>Purity: 99.74% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>BMS-754807</p> <p>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.</p> <p>Purity: 99.76% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Ceritinib (LDK378)</p> <p>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.</p> <p>Purity: 99.97% Clinical Data: Launched Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>	<p>Ceritinib dihydrochloride (LDK378 dihydrochloride)</p> <p>Ceritinib dihydrochloride (LDK378 dihydrochloride) is a selective, orally bioavailable and ATP-competitive ALK tyrosine kinase inhibitor with an IC_{50} of 200 pM.</p> <p>Purity: 99.83% Clinical Data: Launched Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Ginsenoside Rg5</p> <p>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.</p> <p>Purity: 99.86% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg</p>	<p>GSK1838705A</p> <p>GSK1838705A is a potent and reversible IGF-1R 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.</p> <p>Purity: 99.28% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>

<p>GSK1904529A</p> <p style="text-align: right;">Cat. No.: HY-10524</p>	<p>I-Ome-Tyrphostin AG 538 (I-Ome-AG 538)</p> <p style="text-align: right;">Cat. No.: HY-135680</p>
<p>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.</p> <p>Purity: 99.22% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p>I-Ome-Tyrphostin AG 538 (I-Ome-AG 538) is a specific inhibitor of IGF-1R (insulin-like growth factor-1 receptor tyrosine kinase).</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Indirubin Derivative E804</p> <p style="text-align: right;">Cat. No.: HY-18785</p>	<p>Linsitinib (OSI-906)</p> <p style="text-align: right;">Cat. No.: HY-10191</p>
<p>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.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>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.</p> <p>Purity: 99.90% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>NBI-31772 hydrate</p> <p style="text-align: right;">Cat. No.: HY-110135A</p>	<p>NVP-ADW742 (ADW742; GSK 552602A; ADW)</p> <p style="text-align: right;">Cat. No.: HY-10252</p>
<p>NBI-31772 hydrate is a potent inhibitor of interaction between insulin-like growth factor (IGF) and IGF-binding proteins (IGFBPs).</p> <p>Purity: >98.0% Clinical Data: No Development Reported Size: 5 mg</p>	<p>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.</p> <p>Purity: 99.30% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>NVP-AEW541 (AEW541)</p> <p style="text-align: right;">Cat. No.: HY-50866</p>	<p>NVP-TAE 226 (TAE226)</p> <p style="text-align: right;">Cat. No.: HY-13203</p>
<p>NVP-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.</p> <p>Purity: >99.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>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.</p> <p>Purity: 99.92% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Picropodophyllin (AXL1717; Picropodophyllin; PPP)</p> <p style="text-align: right;">Cat. No.: HY-15494</p>	<p>PQ401</p> <p style="text-align: right;">Cat. No.: HY-13686</p>
<p>Picropodophyllin (AXL1717) is a selective insulin-like growth factor-1 receptor (IGF-1R) inhibitor with an IC_{50} of 1 nM.</p> <p>Purity: 99.85% Clinical Data: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>PQ401 is a potent inhibitor of IGF-1R signaling. PQ401 inhibits IGF-I-stimulated IGF-1R 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).</p> <p>Purity: 99.88% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</p>

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