



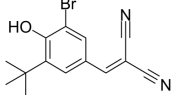
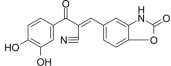
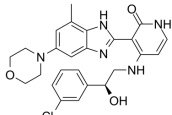
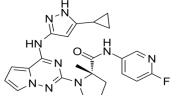
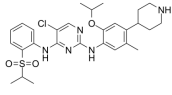
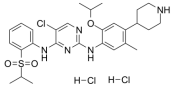
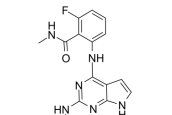
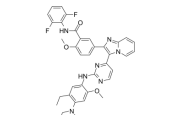
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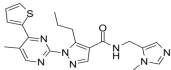
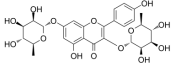
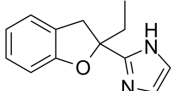
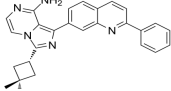
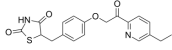
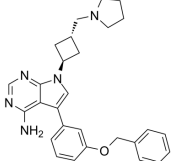
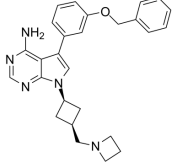
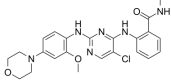
Inhibitors, Agonists, Screening Libraries

# Insulin Receptor

Insulin receptor (IR) is a transmembrane receptor that is activated by insulin, IGF-I, IGF-II and belongs to the large class of tyrosine kinase receptors. Metabolically, the insulin receptor plays a key role in the regulation of glucose homeostasis, a functional process that under degenerate conditions may result in a range of clinical manifestations including diabetes and cancer. Biochemically, the insulin receptor is encoded by a single gene *INSR*, from which alternate splicing during transcription results in either IR-A or IR-B isoforms. Downstream post-translational events of either isoform result in the formation of a proteolytically cleaved  $\alpha$  and  $\beta$  subunit, which upon combination are ultimately capable of homo or hetero-dimerisation to produce the  $\approx 320$  kDa disulfide-linked transmembrane insulin receptor.

## Insulin Receptor Inhibitors, Agonists, Antagonists, Activators & Modulators

<p><b>AG1024</b> (Tyrphostin AG 1024)</p> <p style="text-align: right;">Cat. No.: HY-10253</p>	<p><b>AGL-2263</b></p> <p style="text-align: right;">Cat. No.: HY-112720</p>
<p>AG1024 (Tyrphostin AG 1024) is a reversible, competitive and selective IGF-1R inhibitor with an <math>IC_{50}</math> of 7 <math>\mu</math>M. AG1024 inhibits phosphorylation of IR (<math>IC_{50}</math>=57 <math>\mu</math>M). AG1024 induces apoptosis and has anti-cancer activity.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 97.16% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>AGL-2263 is an insulin receptor and insulin-like growth factor (IGF) receptor inhibitor.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 95.80% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p><b>BMS-536924</b></p> <p style="text-align: right;">Cat. No.: HY-10262</p>	<p><b>BMS-754807</b></p> <p style="text-align: right;">Cat. No.: HY-10200</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 <math>IC_{50}</math>s of 100 nM and 73 nM, respectively. BMS-536924 has anti-cancer activity.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 99.74% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>BMS-754807 is a potent and reversible IGF-1R/IR inhibitor (<math>IC_{50}</math>=1.8 and 1.7 nM, respectively; <math>K_i</math> &lt; 2 nM for both). BMS-754807 also shows potent activities against Met, RON, TrkA, TrkB, AurA, and AurB with <math>IC_{50}</math> values of 6, 44, 7, 4, 9, and 25 nM, respectively.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 99.76% <b>Clinical Data:</b> Phase 2 <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Ceritinib</b> (LDK378)</p> <p style="text-align: right;">Cat. No.: HY-15656</p>	<p><b>Ceritinib dihydrochloride</b> (LDK378 dihydrochloride)</p> <p style="text-align: right;">Cat. No.: HY-15656A</p>
<p>Ceritinib (LDK378) is a selective, orally bioavailable, and ATP-competitive ALK tyrosine kinase inhibitor with an <math>IC_{50}</math> of 200 pM. Ceritinib (LDK378) also inhibits IGF-1R, InsR, and STK22D with <math>IC_{50}</math> values of 8, 7, and 23 nM, respectively. Ceritinib (LDK378) shows great antitumor potency.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 99.97% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>	<p>Ceritinib dihydrochloride (LDK378 dihydrochloride) is a selective, orally bioavailable and ATP-competitive ALK tyrosine kinase inhibitor with an <math>IC_{50}</math> of 200 pM.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 99.83% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>GIP (1-30) amide, porcine</b></p> <p style="text-align: right;">Cat. No.: HY-P2541</p>	<p><b>GIP (3-42), human</b></p> <p style="text-align: right;">Cat. No.: HY-P2542</p>
<p>GIP (1-30) amide, porcine is a full glucose-dependent insulinotropic polypeptide (GIP) receptor agonist with high affinity equal to native GIP(1-42).</p> <p style="text-align: center;"><small>YAEGETFISDYISAMDKRQGGDFYNWLLAQK-NH<sub>2</sub></small></p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p>GIP (3-42), human acts as a glucose-dependent insulinotropic polypeptide (GIP) receptor antagonist, moderating the insulin secreting and metabolic actions of GIP in vivo.</p> <p style="text-align: center;"><small>EGTTFISDYISAMDKRQGGDFYNWLLAQKGGWDRK-NH<sub>2</sub></small></p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>GSK1838705A</b></p> <p style="text-align: right;">Cat. No.: HY-13020</p>	<p><b>GSK1904529A</b></p> <p style="text-align: right;">Cat. No.: HY-10524</p>
<p>GSK1838705A is a potent and reversible IGF-1R and the insulin receptor inhibitor with <math>IC_{50}</math>s of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an <math>IC_{50}</math> of 0.5 nM.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 99.28% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</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 <math>IC_{50}</math>s of 27 and 25 nM, respectively.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 99.22% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 10 mg, 50 mg, 100 mg</p>

<p><b>Insulin (human)</b></p> <p style="text-align: right;">Cat. No.: HY-P0035</p> <p>Insulin (human) is a polypeptide hormone that regulates the level of glucose.</p> <p style="text-align: center;"><b>Insulin (human)</b></p> <p><b>Purity:</b> 96.90%  <b>Clinical Data:</b> Launched  <b>Size:</b> 25 mg, 50 mg, 100 mg</p>	<p><b>Insulin levels modulator</b></p> <p style="text-align: right;">Cat. No.: HY-112819</p> <p>Insulin levels modulator could be used to treat diabetes.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Insulin(cattle)</b> (Insulin from bovine pancreas)</p> <p style="text-align: right;">Cat. No.: HY-P1156</p> <p>Insulin cattle (Insulin from bovine pancreas) is a two-chain polypeptide hormone produced in vivo in the pancreatic <math>\beta</math> cells. Insulin cattle has often been used as growth supplement in culturing cells.</p> <p style="text-align: center;"><b>Insulin(cattle)</b></p> <p><b>Purity:</b> 98.60%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mg, 25 mg, 50 mg, 100 mg</p>	<p><b>Kaempferitrin</b> (Lespedin; Lespenephryl)</p> <p style="text-align: right;">Cat. No.: HY-N0628</p> <p>Kaempferitrin is a natural flavonoid, possesses antinociceptive, anti-inflammatory, anti-diabetic, antitumoral and chemopreventive effects, and activates <b>insulin</b> signaling pathway.</p>  <p><b>Purity:</b> 99.12%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 1 mg, 5 mg, 10 mg</p>
<p><b>KU14R</b></p> <p style="text-align: right;">Cat. No.: HY-15481</p> <p>KU14R is a new I(3)-R antagonist, which selectively blocks the insulin secretory response to imidazolines.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p><b>Linsitinib</b> (OSI-906)</p> <p style="text-align: right;">Cat. No.: HY-10191</p> <p>Linsitinib (OSI-906) is a potent, selective and orally bioavailable dual inhibitor of the <b>IGF-1 receptor</b> and <b>insulin receptor (IR)</b> with <math>IC_{50}</math>s of 35 and 75 nM, respectively.</p>  <p><b>Purity:</b> 99.90%  <b>Clinical Data:</b> Phase 3  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>MSDC 0160</b> (Mitoglitazone; CAY10415)</p> <p style="text-align: right;">Cat. No.: HY-100550</p> <p>MSDC 0160 (Mitoglitazone) is a mitochondrial target of thiazolidinediones (mTOT)-modulating <b>insulin sensitizer</b> and a modulator of <b>mitochondrial pyruvate carrier (MPC)</b>. MSDC 0160 is a thiazolidinedione (TZD) with antidiabetic and neuroprotective activities.</p>  <p><b>Purity:</b> 99.40%  <b>Clinical Data:</b> Phase 2  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>NVP-ADW742</b> (ADW742; GSK 552602A; ADW)</p> <p style="text-align: right;">Cat. No.: HY-10252</p> <p>NVP-ADW742 (ADW742) is an orally active, selective <b>IGF-1R tyrosine kinase inhibitor</b> with an <math>IC_{50}</math> of 0.17 <math>\mu</math>M. NVP-ADW742 inhibits <b>insulin receptor (InsR)</b> with an <math>IC_{50}</math> of 2.8 <math>\mu</math>M. NVP-ADW742 induces pleiotropic antiproliferative/<b>proapoptotic</b> biologic sequelae in tumor cells.</p>  <p><b>Purity:</b> 99.30%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>NVP-AEW541</b> (AEW541)</p> <p style="text-align: right;">Cat. No.: HY-50866</p> <p>NVP-AEW541 is a potent inhibitor of <b>IGF-1R</b> with <math>IC_{50}</math> of 0.15 <math>\mu</math>M, also inhibits <b>InsR</b>, with <math>IC_{50}</math> of 0.14 <math>\mu</math>M.</p>  <p><b>Purity:</b> &gt;99.0%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p><b>NVP-TAE 226</b> (TAE226)</p> <p style="text-align: right;">Cat. No.: HY-13203</p> <p>NVP-TAE 226 (TAE226) is a potent and ATP-competitive dual <b>FAK</b> and <b>IGF-1R</b> inhibitor with <math>IC_{50}</math>s of 5.5 nM and 140 nM, respectively. NVP-TAE 226 (TAE226) also effectively inhibits <b>Pyk2</b> and <b>insulin receptor (InsR)</b> with <math>IC_{50}</math>s of 3.5 nM and 44 nM, respectively.</p>  <p><b>Purity:</b> 99.92%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>

