Insulin Receptor

Insulin receptor (IR), a phylogenetically ancient tyrosine kinase receptor, is a large cell surface glycoprotein that concentrates insulin at the site of action and also initiates responses to insulin. The receptor is a disulfide-linked oligomer comprised of two alpha and two beta subunits. The insulin receptor exists in two isoforms, IR-A and IR-B, expressed in different relative abundance in the various organs and tissues. The two IR isoforms have similar binding affinity for insulin but different affinity for insulin-like growth factor (IGF)-2 and proinsulin, which are bound by IR-A but not IR-B.

The insulin receptor has a crucial role in controlling glucose homeostasis, regulating lipid, protein and carbohydrate metabolism, and modulating brain neurotransmitter levels. Insulin receptor dysfunction has been associated with many diseases, including diabetes, cancer and Alzheimer’s disease.
# Insulin Receptor Inhibitors, Agonists, Antagonists, Activators & Modulators

<table>
<thead>
<tr>
<th><strong>Cat. No.</strong></th>
<th><strong>Purity</strong></th>
<th><strong>Clinical Data</strong></th>
<th><strong>Size</strong></th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>AG1024</strong> (Tyrphostin AG 1024)</td>
<td>98.86%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>AGL-2263</strong></td>
<td>97.04%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td><strong>AVJ16</strong></td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
</tr>
<tr>
<td><strong>BMS-536924</strong></td>
<td>99.74%</td>
<td>No Development Reported</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>BMS-754807</strong></td>
<td>99.76%</td>
<td>Phase 2</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Ceritinib (LDK378)</strong></td>
<td>99.97%</td>
<td>Launched</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Ceritinib dihydrochloride (LDK378 dihydrochloride)</strong></td>
<td>99.83%</td>
<td>Launched</td>
<td>10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>DA-JC4</strong></td>
<td>96.57%</td>
<td>No Development Reported</td>
<td>5 mg</td>
</tr>
<tr>
<td><strong>Demethylasterriquinone B1</strong> (DAQ B1; L-783281; Dimethylasterriquinone)</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>1 mg, 5 mg</td>
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</tbody>
</table>

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

**AGL-2263** is an insulin receptor and insulin-like growth factor (IGF) receptor inhibitor.

**AVJ16** is a member of the insulin-like growth factor 2 mRNA-binding protein family. AVJ16 regulates protein translation by binding to the mRNAs of certain genes.

**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.

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

**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.

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

**Demethylasterriquinone B1** is a selective insulin receptor activator. Demethylasterriquinone B1 stimulates tyrosine phosphorylation of the IR β subunit, and the activation of PIK3 and AKT.
<table>
<thead>
<tr>
<th><strong>GIP (1-30) amide, porcine</strong></th>
<th>Cat. No.: HY-P2541</th>
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</thead>
<tbody>
<tr>
<td>GIP (1-30) amide, porcine is a full glucose-dependent insulinotropic polypeptide (GIP) receptor agonist with high affinity equal to native GIP(1-42). GIP (1-30) amide, porcine is a weak inhibitor of gastric acid secretion and potent stimulator of insulin.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
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<table>
<thead>
<tr>
<th><strong>GIP (1-30) amide, human</strong></th>
<th>Cat. No.: HY-P2080</th>
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</thead>
<tbody>
<tr>
<td>GIP (1-30) amide, human is a glucose-dependent insulinotropic polypeptide (GIP) fragment. GIP is an incretin hormone that stimulates insulin secretion and reduces postprandial glycaemic excursions.</td>
<td></td>
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<tr>
<td>Purity: &gt;98%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
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<table>
<thead>
<tr>
<th><strong>GIP (3-42), human</strong></th>
<th>Cat. No.: HY-P2542</th>
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<tbody>
<tr>
<td>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.</td>
<td></td>
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<tr>
<td>Purity: 98.24%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 1 mg, 5 mg, 10 mg</td>
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<table>
<thead>
<tr>
<th><strong>GIP, human TFA</strong> (Gastric Inhibitory Peptide (GIP), human TFA)</th>
<th>Cat. No.: HY-P0276A</th>
</tr>
</thead>
<tbody>
<tr>
<td>GIP, human TFA, a peptide hormone consisting of 42 amino acids, is a stimulator of glucose-dependent insulin secretion and a weak inhibitor of gastric acid secretion. GIP, human TFA acts as an incretin hormone released from intestinal K cells in response to nutrient ingestion.</td>
<td></td>
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<tr>
<td>Purity: 96.24%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 1 mg</td>
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<tr>
<th><strong>GSK1838705A</strong></th>
<th>Cat. No.: HY-13020</th>
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<tbody>
<tr>
<td>GSK1838705A is a potent and reversible IGF-IR and the insulin receptor inhibitor with IC_50s of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an IC_50 of 0.5 nM.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.28%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<th><strong>GSK1904529A</strong></th>
<th>Cat. No.: HY-10524</th>
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</thead>
<tbody>
<tr>
<td>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_50s of 27 and 25 nM, respectively.</td>
<td></td>
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<tr>
<td>Purity: 99.22%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
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<td>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</td>
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<tr>
<th><strong>HNMPA</strong></th>
<th>Cat. No.: HY-101962</th>
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<tbody>
<tr>
<td>HNMPA is a membrane impermeable insulin receptor tyrosine kinase inhibitor. HNMPA inhibits serine and tyrosine autophosphorylation by the human insulin receptor. HNMPA has no effect on protein kinase C or cyclic AMP-dependent protein kinase activities.</td>
<td></td>
</tr>
<tr>
<td>Purity: &gt;98%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 5 mg, 10 mg</td>
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www.MedChemExpress.com
### HNMPA-(AM)3
**Cat. No.:** HY-124097

HNMPA-(AM)3 is a cell-permeable and selective insulin receptor tyrosine kinase inhibitor analog of HNMPA. HNMPA-(AM)3 greatly inhibits the ability of prothoracicotropic hormone (PTTH) to activate ERK phosphorylation and stimulate ecdysteroidogenesis.

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

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### Insulin (human)
**Cat. No.:** HY-0035

Insulin (human) is a polypeptide hormone that regulates the level of glucose.

**Purity:** 96.90%
**Clinical Data:** Launched
**Size:** 25 mg, 50 mg, 100 mg

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### Insulin glargine
**Cat. No.:** HY-108719

Insulin glargine is a long-acting insulin analog. Insulin glargine can be used for the diabetes mellitus.

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

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### Insulin (cattle)
**Cat. No.:** HY-P1156

Insulin cattle (Insulin from bovine pancreas) is a two-chain polypeptide hormone produced in vivo in the pancreatic β cells. Insulin cattle has often been used as growth supplement in culturing cells.

**Purity:** 98.60%
**Clinical Data:** No Development Reported
**Size:** 10 mg, 25 mg, 50 mg, 100 mg

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### KU14R
**Cat. No.:** HY-15481

KU14R is a new I(3)-R antagonist, which selectively blocks the insulin secretory response to imidazolines.

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

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### Linsitinib-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\textsubscript{50} of 35 and 75 nM, respectively.

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

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### MID-1
**Cat. No.:** HY-115461

MID-1 is a disruptor of MG53-IRS-1 (Mitsugumin 53-insulin receptor substrate-1) interaction.

**Purity:** 99.91%
**Clinical Data:** No Development Reported
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg
MSDC 0160
(Mitoglitazone; CAY10415)
Cat. No.: HY-100550
MSDC 0160 (Mitoglitazone) is a mitochondrial target of thiazolidinediones (mTOT)-modulating insulin sensitizer and a modulator of mitochondrial pyruvate carrier (MPC). MSDC 0160 is a thiazolidinedione (TZD) with antidiabetic and neuroprotective activities.
Purity: 99.40%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

NT219
Cat. No.: HY-145935
NT219 is a potent and dual inhibitor of insulin receptor substrates 1/2 (IRS1/2) and STAT3. IRS1/2 and STAT3 are major signaling junctions regulated by various oncogenes. NT219 affects IRS1/2 degradation and inhibits STAT3 phosphorylation.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

NVP-AEW541
(AEW541)
Cat. No.: HY-50866
NVP-AEW541 (AEW541) is a potent inhibitor of IGF-1R with IC<sub>50</sub> of 0.15 μM, also inhibits InsR with IC<sub>50</sub> of 0.34 μM.
Purity: 98.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

Peonidin 3-O-glucoside chloride
Cat. No.: HY-W040127
Peonidin 3-O-glucoside chloride, an anthocyanin, act as an insulin secretagogue. Peonidin 3-O-glucoside chloride can increase glucose uptake in HepG2 cells. Peonidin 3-O-glucoside chloride has the potential for type-2 diabetes comorbidities research.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Rhoifolin
Cat. No.: HY-N0755
Rhoifolin is a flavone glycoside isolated from Citrus grandis (L.) Osbeck leaves. Rhoifolin is beneficial for diabetic complications through enhanced adiponectin secretion, tyrosine phosphorylation of insulin receptor-β and glucose transporter 4 (GLUT 4) translocation.
Purity: 99.24%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

MSDC-0602K
(Azemiglitazone potassium)
Cat. No.: HY-108022A
MSDC-0602K (Azemiglitazone potassium), a PPARγ-sparing thiazolidinedione (Ps-TZD), binds to PPARγ with an IC<sub>50</sub> of 18.25 μM. MSDC-0602K modulates the mitochondrial pyruvate carrier (MPC).
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

O1338
Cat. No.: HY-142663
O1338 is an orally available, ultralong-acting insulin analogue.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

S961
Cat. No.: HY-P2093
S961 is an high-affinity and selective insulin receptor (IR) antagonist with IC<sub>50</sub> of 0.048, 0.027, and 630 nM for HIR-A, HIR-B, and human insulin-like growth factor I receptor (HIGF-IR) in SPA-assay, respectively.
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 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<sub>50</sub> of 5.5 nM and 140 nM, respectively. NVP-TAE 226 (TAE226) also effectively inhibits Pyk2 and insulin receptor (InsR) with IC<sub>50</sub> 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

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<sub>50</sub> of 0.17 μM. NVP-ADW742 inhibits insulin receptor (InsR) with an IC<sub>50</sub> 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, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg
**S961 acetate**

Cat. No.: HY-P2093B

S961 acetate is a high-affinity and selective insulin receptor (IR) antagonist with $IC_{50}$s of 0.048, 0.027, and 630 nM for HIR-A, HIR-B, and human insulin-like growth factor I receptor (HIGF-IR) in SPA-assay, respectively.

Purity: 99.52%
Clinical Data: No Development Reported
Size: 5 mg

**S961 TFA**

Cat. No.: HY-P2093A

S961 TFA is a high-affinity and selective insulin receptor (IR) antagonist with $IC_{50}$s of 0.048, 0.027, and 630 nM for HIR-A, HIR-B, and human insulin-like growth factor I receptor (HIGF-IR) in SPA-assay, respectively.

Purity: 97.60%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

**SU4984**

Cat. No.: HY-118203

SU4984 is a protein tyrosine kinase inhibitor, with an $IC_{50}$ of 10-20 μM for fibroblast growth factor receptor 1 (FGFR1). SU4984 is also inhibits platelet-derived growth factor receptor, and insulin receptor. SU4984 can be used for the research of cancer.

Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg