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 α and β subunit, which upon combination are ultimately capable of homo or hetero-dimerisation to produce the ≈320 kDa disulfide-linked transmembrane insulin receptor.
### Insulin Receptor Inhibitors & Modulators

#### BMS-536924  
**Cat. No.: HY-10262**

**Bioactivity:** BMS-536924 is an ATP-competitive IGF-1R/IR inhibitor with IC\(_{50}\) of 100 nM/73 nM.

**Purity:** 98.73%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

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#### BMS-754807  
**Cat. No.: HY-10200**

**Bioactivity:** BMS-754807 is a potent and reversible inhibitor of the insulin-like growth factor 1 receptor (IGF-1R)/insulin receptor family kinases (IR) with IC\(_{50}\) of 1.8 and 1.7 nM, respectively and K\(_i\) of <2 nM for both, and also shows potent activities against Met, RON, TrkA, TrkB...

**Purity:** 99.18%

**Clinical Data:** Phase 2

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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#### GSK1838705A  
**Cat. No.: HY-13020**

**Bioactivity:** GSK1838705A is a potent and reversible IGF-1R and the insulin receptor inhibitor with IC\(_{50}\) of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an IC\(_{50}\) of 0.5 nM.

**Purity:** 98.99%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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

**Bioactivity:** Insulin cattle is a kind of polypeptide hormone that regulates glucose metabolism in pancreatic islet B-cells.

**Purity:** 98.60%

**Clinical Data:** No Development Reported

**Size:** 10 mg, 25 mg, 50 mg, 100 mg

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#### Kaempferitrin  
**Lespedin; Lespenephryl**  
**Cat. No.: HY-N0628**

**Bioactivity:** Kaempferitrin is a natural flavonoid, possesses antinociceptive, anti-inflammatory, anti-diabetic, antitumoral and chemopreventive effects, and activates insulin signaling pathway.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 1 mg, 5 mg, 10 mg

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#### Insulin(human)  
**Cat. No.: HY-P0035**

**Bioactivity:** Insulin human is composed of 51 amino acids. It is a dimer of an A-chain and a B-chain, which are linked together by disulfide bonds.

**Purity:** 96.90%

**Clinical Data:** Launched

**Size:** 10 mg, 25 mg, 50 mg, 100 mg

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

**Bioactivity:** 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:** 10 mg, 50 mg

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#### LDK378  
**Ceritinib**  
**Cat. No.: HY-15656**

**Bioactivity:** LDK378 is a potent and more specific ALK inhibitor with IC\(_{50}\) of 0.2 nM.

**Purity:** 99.95%

**Clinical Data:** Launched

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg, 1 g, 2 g, 5 g, 10 g

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#### Linsitinib  
**OSI-906**  
**Cat. No.: HY-10191**

**Bioactivity:** Linsitinib is a selective inhibitor of IGF-1R with IC\(_{50}\) of 35 nM, and modestly potent to InsR with IC\(_{50}\) of 75 nM, and has no activity towards Abl, ALK, BTK, EGFR, FGFR1/2, PKA etc.

**Purity:** 99.69%

**Clinical Data:** Phase 3

**Size:** 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg
<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Bioactivity</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>MSDC 0160</td>
<td>HY-100550</td>
<td>MSDC 0160 act as an insulin sensitizer and a modulator of mitochondrial pyruvate carrier (MPC), a key controller of cellular metabolism that influences mTOR (mammalian target of rapamycin) activation</td>
<td>98.76%</td>
<td>Phase 2</td>
<td>2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>NT157</td>
<td>HY-100037</td>
<td>NT157 is a selective inhibitor of IRS-1/2, IC50 values at sub-micromolar doses (ranging from 0.3 to 0.8 μM)</td>
<td>99.19%</td>
<td>No Development Reported</td>
<td>2 mg, 5 mg, 10 mg, 25 mg, 50 mg</td>
</tr>
<tr>
<td>NVP-AEW541</td>
<td>HY-50866</td>
<td>NVP-AEW541 is a potent inhibitor of IGF-1R with IC50 of 0.15 μM, also inhibits InsR, with IC50 of 0.14 μM.</td>
<td>98.76%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

MSDC 0160 (Mitoglitazone; CAY10415)

NT157 (Tyrphostin NT157)

NVP-AEW541 (AEW541)

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