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 $\approx 320$ 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 IC50 of 100 nM/73 nM.

**Purity:**  
99.73%

**Clinical Data:**  
No Development Reported

**Size:**  
10mM x 1mL in DMSO, 5 mg, 10 mg, 50 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 IC50 of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an IC50 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(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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**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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**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 dihydrochloride**  
(Ceritinib dihydrochloride)  
Cat. No.: HY-15656A

**Bioactivity:**  
LDK378 2HCl (Ceritinib) is potent inhibitor against ALK with IC50 of 0.2 nM.

**Purity:**  
99.86%

**Clinical Data:**  
Launched

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

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**LDK378**  
(Ceritinib)  
Cat. No.: HY-15656

**Bioactivity:**  
LDK378 is a potent and more specific ALK inhibitor with IC50 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 IC50<ub>50 of 35 nM, and modestly potent to InsR with IC50 of 75 nM, and has no activity towards Abl, A LK, 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
### MSDC 0160
(Mitoglitazone; CAY10415)  
**Cat. No.: HY-100550**

**Bioactivity:** 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.

<table>
<thead>
<tr>
<th>Purity</th>
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<tr>
<td>Clinical Data</td>
<td>Phase 2</td>
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<td>Size</td>
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### NT157
(Tyrphostin NT157)  
**Cat. No.: HY-100037**

**Bioactivity:** NT157 is a selective inhibitor of IRS-1/2, IC50 values at sub-micromolar doses (ranging from 0.3 to 0.8 μM).

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<tr>
<td>Size</td>
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</tbody>
</table>

### NVP-AEW541
(AEW541)  
**Cat. No.: HY-50866**

**Bioactivity:** NVP-AEW541 is a potent inhibitor of IGF-1R with IC50 of 0.15 μM, also inhibits InsR with IC<sub>sub >50</sub> of 0.14 μM.

<table>
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<tr>
<td>Size</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
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