Orexin Receptor (OX Receptor)
Hypocretin Receptor; HCRT Receptor

Orexin receptors (OX receptor) include orexin 1 receptor and orexin 2 receptor. Orexin receptor type 1 (Ox1R or OX1), is a protein that in humans is encoded by the HCRTR1 gene. The orexin 1 receptor (OX1), is a G-protein coupled receptor expressed in the hypothalamus and involved in the regulation of feeding behaviour. OX1 selectively binds the orexin-A neuropeptide. It shares 64% identity with OX2. The OX2 receptors, also known as hypocretin receptor 2, are located primarily in the cerebral cortex, paraventricular hypothalamus, nucleus accumbens, subthalamic and paraventricular thalamus where they are thought to regulate sleep-wakefulness. The OX2 receptor displays equal affinity for Orexin A and Orexin B. The human OX2 receptor gene has been localized to chromosome 6.
### Orexin Receptor (OX Receptor) Inhibitors & Modulators

<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><strong>Almorexant</strong>&lt;br&gt;(ACT 078573)</td>
<td>HY-10805</td>
<td>Almorexant (ACT 078573) is a potent and competitive dual orexin 1 receptor (OX1)/orexin 2 receptor (OX2) antagonist with Ki values of 1.3 and 0.17 nM for OX1 and OX2, respectively.</td>
<td>99.17%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>Almorexant hydrochloride</strong>&lt;br&gt;(ACT-078573 hydrochloride)</td>
<td>HY-10805A</td>
<td>Almorexant Hcl (ACT078573) is a potent and competitive dual orexin 1 receptor (OX1)/orexin 2 receptor (OX2) antagonist with Ki values of 1.3 and 0.17 nM for OX1 and OX2, respectively.</td>
<td>99.88%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>GSK1059865</strong></td>
<td>HY-101534</td>
<td>GSK1059865 is a potent orexin 1 receptor antagonist.</td>
<td>99.91%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>IPSU</strong></td>
<td>HY-13796</td>
<td>IPSU is a selective, orally available and brain penetrant OX2R antagonist with a pKᵢ of 7.85.</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</td>
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<tr>
<td><strong>Lemborexant</strong>&lt;br&gt;(E-2006)</td>
<td>HY-16725</td>
<td>Lemborexant (E-2006) is a dual antagonist of the orexin OX1 and OX2 receptors which is under development for treatment of insomnia.</td>
<td>99.71%</td>
<td>Phase 3</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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<tr>
<td><strong>MK-1064</strong></td>
<td>HY-19914</td>
<td>MK-1064 is a selective orexin 2 receptor antagonist (2-SORA) for the research of insomnia</td>
<td>99.15%</td>
<td>Phase 1</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>MK-3697</strong></td>
<td>HY-12301</td>
<td>MK-3697 is an isonicotinamide small molecule, acting as a potent and selective Orexin 2 receptor antagonist with Ki = 0.95 nM.</td>
<td>99.19%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
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<tr>
<td><strong>MK-6096</strong>&lt;br&gt;(Filorexant)</td>
<td>HY-15653</td>
<td>MK-6096(Filorexant) is an orally bioavailable potent and selective reversible antagonist of OX1 and OX2 receptor(&lt;3 nM in binding)</td>
<td>98.95%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td><strong>Nemorexant</strong></td>
<td>HY-109095</td>
<td>Nemorexant is a potent orexin receptor antagonist extracted from patent WO2015083094A1, compound example 7, has IC₅₀ of 2 nM and 3 nM for OX₁ receptor and OX₂ receptor, respectively.</td>
<td>99.47%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</td>
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<tr>
<td><strong>Orexin 2 Receptor Agonist</strong></td>
<td>HY-19320</td>
<td>Orexin 2 Receptor Agonist is a potent (EC50 on OXCR is 23 nM) and OX2R-selective (OX1R/OX2R EC50 ratio is 70) agonist.</td>
<td>99.93%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>
Orexin B, human
(Human orexin B)  
Cat. No.: HY-P1339

Bioactivity: Orexin B, human is an endogenous agonist at Orexin receptor with $K_i$ of 420 and 36 nM for OX1 and OX2, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 500 ug, 1 mg, 5 mg

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Orexin B, rat, mouse
(Rat orexin B; Orexin B (mouse))  
Cat. No.: HY-P1349

Bioactivity: Orexin B, rat, mouse is an endogenous agonist at Orexin receptor with $K_i$ of 420 and 36 nM for OX1 and OX2, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 500 ug, 1 mg, 5 mg

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SB-334867
(SB 334867A)  
Cat. No.: HY-10895

Bioactivity: SB-334867 is a selective non-peptide orexin OX1 receptor antagonist with a $pK_b$ value of 7.

Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

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SB-334867 free base
(SB334867A free base)  
Cat. No.: HY-10895A

Bioactivity: SB-334867 free base is a selective non-peptide orexin OX1 receptor antagonist with a $pK_b$ value of 7.2.

Purity: 99.77%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

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SB-408124
Cat. No.: HY-70068

Bioactivity: SB408124 is a non-peptide antagonist for OX1 receptor with $K_i$ of 57 nM and 27 nM in both whole cell and membrane, respectively; exhibits 50-fold selectivity over OX2 receptor.

Purity: 98.27%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 100 mg

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SB-408124 Hydrochloride  
Cat. No.: HY-76612

Bioactivity: SB408124 Hcl is a non-peptide antagonist for OX1 receptor with $K_i$ of 57 nM and 27 nM in both whole cell and membrane, respectively; exhibits 50-fold selectivity over OX2 receptor.

Purity: 98.14%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg

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SB-649868
(GSK649868)  
Cat. No.: HY-10806

Bioactivity: SB-649868 is a potent and selective orally active orexin (OX) 1 and OX2 receptor antagonist ($pK_i$ = 9.4 and 9.5 at the OX1 and OX2 receptor, respectively).

Purity: 99.88%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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SB-674042  
Cat. No.: HY-10898

Bioactivity: SB-674042 is a potent and selective non-peptide orexin OX1 receptor antagonist ($K_d$ = 3.76 nM); exhibits 100-fold selectivity for OX1 over OX2 receptors.

Purity: 99.70%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

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TCS 1102  
Cat. No.: HY-10900

Bioactivity: TCS 1102 is a potent, dual orexin receptor antagonist ($K_i$ values are 0.2 and 3 nM for OX2 and OX1 receptors respectively).

Purity: 99.77%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 10 mg, 50 mg

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TCS-OX2-29  
Cat. No.: HY-100452

Bioactivity: TCS-OX2-29 is a potent and selective OX2 receptor antagonist with $IC_{50}$ of 40 nM. Displays >250-fold selectivity for OX2 over OX1.

Purity: 99.18%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg