Orexin Receptor (OX Receptor)

Hypocretin Receptor; HCRT Receptor

The orexin receptors (hypocretin receptors) are a family of G protein-coupled receptors and consist of orexin receptor 1 (OX1R) and orexin receptor 2 (OX2R) subtypes. Orexin receptors are expressed throughout the central nervous system and are involved in the regulation of the sleep/wake cycle.

Orexin A binding to OX1R and OX2R with similar affinity, and orexin B binding to OX2 with higher affinity than OX1R. OX1R is mainly expressed in the prefrontal and infralimbic cortex, hippocampus, paraventricular thalamic nucleus, and locus coeruleus. OX2R is mainly distributed in the cerebral cortex, septal nuclei, lateral hypothalamus, hippocampus, and hypothalamic nuclei.

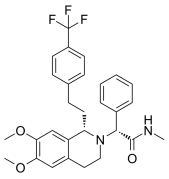
Both OX1R and OX2R are coupled via $G_{q/11}$ to the activation of phospholipase C, leading to an elevation of intracellular Ca^{2+} levels. Moreover, OX2R also couples via G_s and $G_{i/o}$ to the cAMP pathways.

Orexin Receptor (OX Receptor) Antagonists, Agonists & Activators

Almorexant
(ACT 078573)

Cat. No.: HY-10805

Almorexant (ACT 078573) is a potent and competitive dual **orexin 1 receptor** (**OX1**)/**orexin 2 receptor** (**OX2**) antagonist with K_i values of 1.3 and 0.17 nM, respectively.

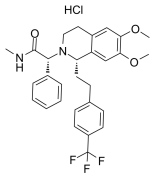


Purity: 99.01%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Almorexant hydrochloride
(ACT-078573 hydrochloride)

Cat. No.: HY-10805A

Almorexant hydrochloride (ACT 078573 hydrochloride) is a potent and competitive dual **orexin 1 receptor** (**OX1**)/**orexin 2 receptor** (**OX2**) antagonist with K_i values of 1.3 and 0.17 nM, respectively.

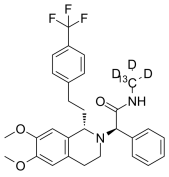


Purity: 99.88%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Almorexant-13C,d3
(ACT 078573-13C,d3)

Cat. No.: HY-10805S

Almorexant-13C,d3 (ACT 078573-13C,d3) is the 13C- and deuterium labeled Almorexant. Almorexant (ACT 078573) is a potent and competitive dual **orexin 1 receptor** (**OX1**)/**orexin 2 receptor** (**OX2**) antagonist with K_i values of 1.3 and 0.17 nM, respectively.

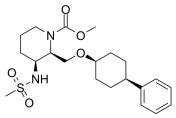


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Danavorexton

Cat. No.: HY-133898

Danavorexton is an **orexin receptor** agonist.

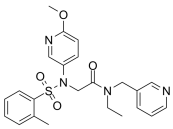


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

EMPA

Cat. No.: HY-108682

EMPA is a high-affinity, reversible and selective **orexin OX_2 receptor** antagonist. [^{3}H]EMPA binds to human and rat OX_2-HEK293 membranes with K_D values of 1.1 and 1.4 nM respectively.

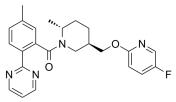


Purity: 99.69%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Filorexant
(MK-6096)

Cat. No.: HY-15653

Filorexant (MK-6096) is an orally bioavailable potent and selective reversible antagonist of OX1 and OX2 receptor(<3 nM in binding).

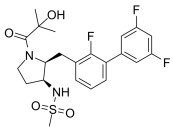


Purity: 99.35%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Firazorexton

Cat. No.: HY-137440

Firazorexton is a potent **orexin type 2 receptor (OX2R)** agonist (patent WO2019027058A1, example 395).

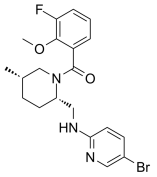


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK1059865

Cat. No.: HY-101534

GSK1059865 is a potent **orexin 1 receptor** antagonist.

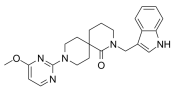


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

IPSU

Cat. No.: HY-13796

IPSU is a selective, orally available and brain penetrant **OX2R** antagonist with a **pK_i** of 7.85.

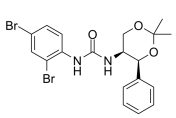


Purity: 98.10%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

JNJ-10397049

Cat. No.: HY-10896

JNJ-10397049 is a potent and selective **orexin 2 receptor (OX_2R)** antagonist, with a pK_i of 8.3. JNJ-10397049 is 600-fold selective for the OX_2R over the OX_1R.



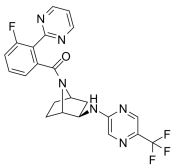
Purity: 98.72%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

JNJ-54717793

Cat. No.: HY-134188

JNJ-54717793, as a brain penetrant, is an orally active, selective and high affinity **orexin-1 receptor** (OX1R) antagonist (plasma EC_{50}=85 ng/mL). The K_i values of JNJ-54717793 for hOX1R (human OX1R) and hOX2R are 16 nM and 700 nM, respectively.



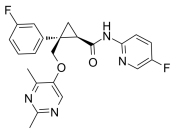
Purity: 98.85%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Lemborexant

(E-2006)

Cat. No.: HY-16725

Lemborexant (E-2006) is a reversible, competitive and orally active dual antagonist of the **orexin OX1** and **OX2 receptors** with IC_{50} values of 6.1 nM and 2.6 nM, respectively. Lemborexant can be treated insomnia.

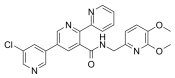


Purity: 99.92%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

MK-1064

Cat. No.: HY-19914

MK-1064 is a selective orexin 2 receptor antagonist (2-SORA) for the research of insomnia.

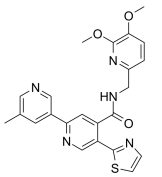


Purity: 99.48%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MK-3697

Cat. No.: HY-12301

MK-3697 is an isonicotinamide small molecule, acting as a potent and selective Orexin 2 receptor antagonist with Ki = 0.95 nM.



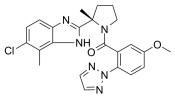
Purity: 99.46%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Nemorexant

(Daridorexant; ACT-541468)

Cat. No.: HY-109095

Nemorexant (Daridorexant; ACT-541468) is a potent **orexin receptor** antagonist extracted from patent WO2015083094A1, compound example 7, has IC_{50}s of 2 nM and 3 nM for **Ox_1 receptor** and **Ox_2 receptor**, respectively.

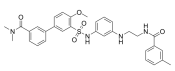


Purity: 99.56%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Orexin 2 Receptor Agonist

Cat. No.: HY-19320

Orexin 2 Receptor Agonist is a potent (EC50 on OX2R is 23 nM) and OX2R-selective (OX1R/OX2R EC50 ratio is 70) agonist. IC50 value: 23 nM (EC50) Target: Orexin 2 Receptor Orexin 2 Receptor Agonist shows not only potent activity but also high selectivity for OX2R over OX1R.

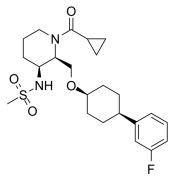


Purity: 99.75%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Orexin 2 Receptor Agonist 2

Cat. No.: HY-138695

Orexin 2 Receptor Agonist 2 is a selective **orexin 2 receptor** agonist, extracted from patent WO2017135306A1, example 16.



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

Orexin A (human, rat, mouse)

Cat. No.: HY-106224

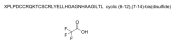
Orexin A human, rat, mouse, a 33 amino acid excitatory neuropeptide, orchestrates diverse central and peripheral processes. Orexin A human, rat, mouse is a specific, high-affinity agonist for G-protein-coupled receptor **OX1R**.

Purity: 99.15%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Orexin A (human, rat, mouse) (TFA)

Cat. No.: HY-106224A

Orexin A human, rat, mouse TFA, a 33 amino acid excitatory neuropeptide, orchestrates diverse central and peripheral processes. Orexin A human, rat, mouse TFA is a specific, high-affinity agonist for G-protein-coupled receptor **OX1R**.



Purity: 99.15%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg

Orexin B, human

(Human orexin B)

Cat. No.: HY-P1339

Orexin B, human is an endogenous agonist at **Orexin** receptor with K_is of 420 and 36 nM for OX1 and OX2, respectively.

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

Orexin B, human TFA

(Human orexin B TFA) Cat. No.: HY-P1339A

Orexin B, human (TFA) is an endogenous agonist at **Orexin** receptor with K_is of 420 and 36 nM for OX1 and OX2, respectively.

RSGPPGLQGRLQRLLQASGNHAAGILTM-NH_2 (TFA salt)

Purity: 98.08%
Clinical Data: No Development Reported
Size: 500 μg, 1 mg, 5 mg

Orexin B, rat, mouse

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

Orexin B, rat, mouse (Rat orexin B) is an endogenous agonist at **Orexin** receptor with K_is of 420 and 36 nM for OX1 and OX2, respectively.

RPGPPGLQGRLQRLLQANGNHAAGILTM-NH_2

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

Orexin B, rat, mouse TFA

(Rat orexin B TFA; Orexin B (mouse) (TFA)) Cat. No.: HY-P1349A

Orexin B, rat, mouse (Rat orexin B) TFA is an endogenous **orexin receptor** agonist. Orexin B, rat, mouse TFA binds and activates two closely related orphan G protein-coupled receptors OX1-R and OX2-R.

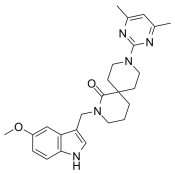
RPGPPGLQGRLQRLLQANGNHAAGILTM-NH_2 (TFA salt)

Purity: 98.49%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Orexin receptor antagonist 2

Cat. No.: HY-136922

Orexin receptor antagonist 2 (compound 30) is a potent **orexin receptor** antagonist with **pK_i**s of 7.69 and 9.78. Orexin receptor antagonist 2 has the potential for the research of insomnia.

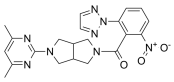


Purity: 98.04%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Orexin receptor antagonist 3

Cat. No.: HY-137093

Orexin receptor antagonist 3 (example 216) is an **orexin receptor** antagonist, which is extracted from the patent WO2011050198A1.

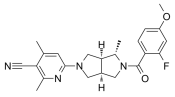


Purity: 99.62%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Orexin receptor antagonist 4

Cat. No.: HY-146517

Orexin receptor antagonist 4 is potent and selective **orexin 2 receptor (OX2R)** antagonist with an **IC_{50}** of 4.27 nM. Orexin receptor antagonist 4 is 61-fold selective for the OX2R over the OX1R (IC_{50} of 295 nM) (WO2018206959A1; example 1).



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

OXA(17-33)

Cat. No.: HY-P1341

OXA(17-33) is a potent and selective **orexin-1 receptor (OX1)** agonist. OXA(17-33) shows a 23-fold selectivity for the OX1 (**EC_{50}**=8.29 nM) over OX2 (187 nM).

YELLHGAGNHAAGILTL-NH_2

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

OXA(17-33) TFA

Cat. No.: HY-P1341A

OXA(17-33) TFA is a potent and selective **orexin-1 receptor (OX1)** agonist. OXA(17-33) TFA shows a 23-fold selectivity for the OX1 (**EC_{50}**=8.29 nM) over OX2 (187 nM).

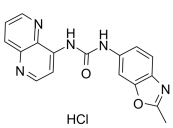
YELLHGAGNHAAGILTL-NH_2 (TFA salt)

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

SB-334867

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

SB-334867 (SB 334867A) is an excellent,selective and blood–brain barrier permeable **orexin-1 (OX1) receptor** antagonist, shows selectivity over **OX2** (**pK_b**=7.4), 100-fold over 5-HT_{2B}, 5-HT_{2C} with **pK_i** values of 5.4 and 5.3, respectively.

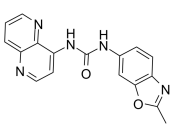


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

SB-334867 free base

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

SB-334867 free base (SB334867A free base) is an excellent, selective and blood–brain barrier permeable **orexin-1 (OX1) receptor** antagonist, shows selectivity over **OX2** (**pK_b**=7.4), 100-fold over 5-HT_{2B}, 5-HT_{2C} with **pK_i** values of 5.4 and 5.3, respectively.

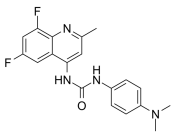


Purity: 99.89%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

SB-408124

Cat. No.: HY-70068

SB-408124 is a non-peptide **OX1 receptor** antagonist with K_is of 57 nM and 27 nM in whole cell and membrane, respectively. SB-408124 exhibits 50-fold selectivity over OX2 receptor.

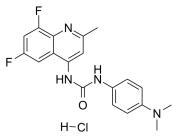


Purity: 98.87%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 100 mg

SB-408124 Hydrochloride

Cat. No.: HY-76612

SB-408124 Hydrochloride is a selective non-peptide **orexin receptor 1 (OX1) receptor** antagonist with K_is of 57 nM and 27 nM in whole cell and membrane, respectively. SB-408124 Hydrochloride exhibits 50-fold selectivity over OX2 receptor.



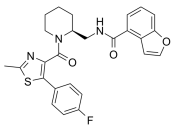
Purity: 98.09%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

SB-649868

(GSK649868)

Cat. No.: HY-10806

SB-649868 is a potent and selective orally active **orexin** (**OX**) **1** and OX_2 receptor antagonist (pK_i =9.4 and 9.5 at the OX_1 and OX_2 receptor, respectively).

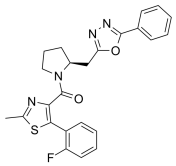


Purity: 99.35%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

SB-674042

Cat. No.: HY-10898

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



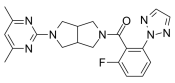
Purity: 99.52%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Seltorexant

(JNJ-42847922)

Cat. No.: HY-109012

Seltorexant (JNJ-42847922) is an orally active, high-affinity, and selective **orexin-2 receptor (OX2R)** antagonist (pK_i values of 8.0 and 8.1 for human and rat OX2R). Seltorexant (JNJ-42847922) crosses the blood-brain barrier and quickly occupies OX2R binding sites in the rat brain.



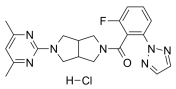
Purity: 99.62%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Seltorexant hydrochloride

(JNJ-42847922 hydrochloride)

Cat. No.: HY-109012A

Seltorexant hydrochloride (JNJ-42847922 hydrochloride) is an orally active, high-affinity, and selective **OX2R** antagonist (pK_i values of 8.0 and 8.1 for human and rat OX2R).

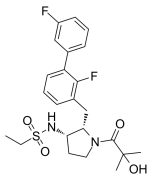


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 250 mg

Suntinorexton

Cat. No.: HY-137452

Suntinorexton, a heterocyclic compound, is an **orexin type 2 receptor** agonist extracted from patent WO2019027058A1, page 288.

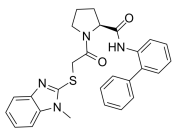


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TCS 1102

Cat. No.: HY-10900

TCS 1102 is a potent, dual orexin receptor antagonist (Ki values are 0.2 and 3 nM for OX2 and OX1 receptors respectively). IC50 value: 0.2 nM (Ki, OX2 receptor); 3 nM (Ki, OX1 receptor)
Target: OX2 and OX1 receptor TCS-1102 (10 and 20 mg/kg, i.p.

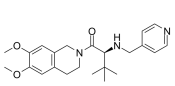


Purity: 99.64%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

TCS-OX2-29

Cat. No.: HY-100452

TCS-OX2-29 is a potent, high affinities and selective **orexin-2 receptor (OX_2R)** antagonist with an IC_{50} value of 40 nM and a pK_i value of 7.5. TCS-OX2-29 displays ~250-fold selectivity for OX_2 over OX_1.



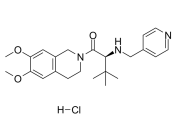
Purity: 99.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TCS-OX2-29 hydrochloride

(OX2R antagonist)

Cat. No.: HY-100452A

TCS-OX2-29 (hydrochloride) is a potent, high affinities and selective **orexin-2 receptor (OX_2R)** antagonist with an IC_{50} value of 40 nM and a pK_i value of 7.5. TCS-OX2-29 displays ~250-fold selectivity for OX_2 over OX_1.



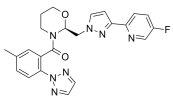
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Vornorexant

(ORN-0829; TS-142)

Cat. No.: HY-139559

Vornorexant (ORN-0829; TS-142) is a potent dual **OX1R** and **OX2R** antagonist with IC_{50} values of 1.05 nM and 1.27 nM, respectively. Vornorexant exhibits potent sleep-promoting effects in vivo and can be used for insomnia treatment research.

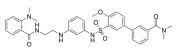


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

YNT-185

Cat. No.: HY-136181A

YNT-185 is a nonpeptide, selective **orexin type-2 receptor (OX2R)** agonist, with EC_{50}s of 0.028 and 2.75 μM for OX2R and OX1R, respectively. YNT-185 ameliorates narcolepsy-cataplexy symptoms in mouse models.

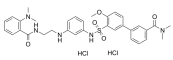


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

YNT-185 dihydrochloride

Cat. No.: HY-136181

YNT-185 dihydrochloride is a nonpeptide, selective **orexin type-2 receptor (OX2R)** agonist, with EC_{50}s of 0.028 and 2.75 μM for OX2R and OX1R, respectively. YNT-185 dihydrochloride ameliorates narcolepsy-cataplexy symptoms in mouse models.



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

[Ala11,D-Leu15]-Orexin B(human)

Cat. No.: HY-P1340

[Ala11,D-Leu15]-Orexin B(human) is a potent and selective **orexin-2 receptor (OX2)** agonist. [Ala11,D-Leu15]-Orexin B(human) shows a 400-fold selectivity for the OX2 (EC_{50}=0.13 nM) over OX1 (52 nM).

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

[Ala11,D-Leu15]-Orexin B(human) TFA

Cat. No.: HY-P1340A

[Ala11,D-Leu15]-Orexin B(human) TFA is a potent and selective **orexin-2 receptor (OX2)** agonist. [Ala11,D-Leu15]-Orexin B(human) TFA shows a 400-fold selectivity for the OX2 (EC_{50}=0.13 nM) over OX1 (52 nM).

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