Product Data Sheet

Seltorexant

Cat. No.: HY-109012 CAS No.: 1293281-49-8 Molecular Formula: C₂₁H₂₂FN₇O Molecular Weight: 407.44

Orexin Receptor (OX Receptor) Target: Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Powder -20°C 3 years 4°C 2 years

In solvent -80°C 6 months

> -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 25 mg/mL (61.36 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.4543 mL	12.2717 mL	24.5435 mL
	5 mM	0.4909 mL	2.4543 mL	4.9087 mL
	10 mM	0.2454 mL	1.2272 mL	2.4543 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.14 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.14 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	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 ^[1] .	
IC ₅₀ & Target	human OX2R 8.0 (pKi)	rat OX2R 8.1 (pKi)

In Vivo

 $Seltor exant (JNJ-42847922) \ (3-30 \ mg/kg; p.o.) \ dose-dependently induces \ and \ prolongs \ sleep \ in \ male \ Sprague-Dawley \ rats \ ^{[1]}$

The sleep-promoting effects of JNJ-42847922 (30 mg/kg; p.o.; per day for 7 days) are maintained upon 7-day repeated dosing in rats^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (350-450 g) ^[1]		
Dosage:	30 mg/kg		
Administration:	p.o.; per day for 7 days		
Result:	The reduced sleep onset (non-rapid eye movement (NREM) latency) and the increased NREM sleep duration were maintained upon 7-day repeated dosing with JNJ-42847922. The prolongation of NREM sleep time was due to a significant increase in NREM bout duration throughout the treatment period assessed on D1 and D7. Rapid eye movement (REM) sleep was only marginally affected on D4 of treatment, resulting in a small but significant reduction in REM sleep latency and an increase in REM sleep duration.		

CUSTOMER VALIDATION

• Bioorg Chem. 2022 Jun;123:105779.

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REFERENCES

[1]. Bonaventure P, et al. Characterization of JNJ-42847922, a Selective Orexin-2 Receptor Antagonist, as a Clinical Candidate for the Treatment of Insomnia. J Pharmacol Exp Ther. 2015 Sep;354(3):471-82.

Caution: Product has not been fully validated for medical applications. For research use only.

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