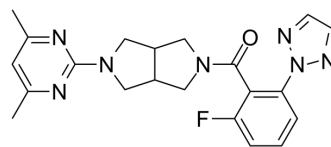


Seltorexant

Cat. No.:	HY-109012		
CAS No.:	1293281-49-8		
Molecular Formula:	C ₂₁ H ₂₂ FN ₇ O		
Molecular Weight:	407.44		
Target:	Orexin Receptor (OX Receptor)		
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)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	<ol style="list-style-type: none"> 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 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 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] .	
IC₅₀ & Target	human OX2R 8.0 (pKi)	rat OX2R 8.1 (pKi)

In Vivo

Seltorexant (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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