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Zuschläge

- Mindermengenzuschlag
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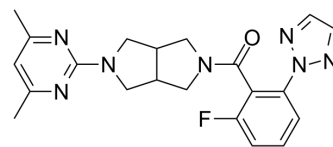
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Seltorexant

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)					
	Preparing Stock Solutions	Solvent Concentration	Mass	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

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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