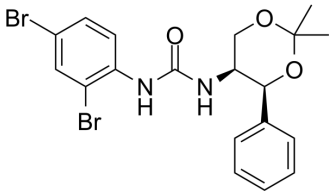
JNJ-10397049

Cat. No.:	HY-10896
CAS No.:	708275-58-5
Molecular Formula:	$C_{19}H_{20}Br_2N_2O_3$
Molecular Weight:	484.18
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 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 170 mg/mL (351.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0653 mL	10.3267 mL	20.6535 mL
	5 mM	0.4131 mL	2.0653 mL	4.1307 mL
	10 mM	0.2065 mL	1.0327 mL	2.0653 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: 4.25 mg/mL (8.78 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4.25 mg/mL (8.78 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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[1][2].

In Vivo

JNJ-10397049 (10-30 mg/kg) decreases the latency for persistent sleep and increased nonrapid eye movement and rapid eye movement sleep time[2].

JNJ-10397049 blocks ethanol self-administration, place preference and reinstatement[3].

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

Animal Model:	Male Sprague-Dawley rats[2].

Dosage:	10 mg/kg.
Administration:	Subcutaneous administration.
Result:	Induced a significant reduction in NREM sleep latency and an increase in NREM sleep duration during the first 2 h after administration relative to vehicle treatment.

REFERENCES

[1]. Laura C McAtee, et al. Novel substituted 4-phenyl-[1,3]dioxanes: potent and selective orexin receptor 2 (OX(2)R) antagonists. Bioorg Med Chem Lett. 2004 Aug 16;14(16):4225-9.

[2]. Christine Dugovic, et al. Blockade of orexin-1 receptors attenuates orexin-2 receptor antagonism-induced sleep promotion in the rat. J Pharmacol Exp Ther. 2009 Jul;330(1):142-51.

[3]. Da-Thao Tran, et al. Chimeric, mutant orexin receptors show key interactions between orexin receptors, peptides and antagonists. Eur J Pharmacol. 2011 Sep 30;667(1-3):120-8.

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