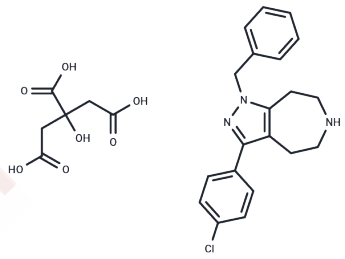
Data Sheet (Cat.No.T11720)

TargetMol

JNJ-18038683

Chemical Properties



CAS No. :	851376-05-1
Formula:	C26H28ClN3O7
Molecular Weight:	529.97
Storage:	Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	JNJ-18038683 is a 5-Hydroxytryptamine Type 7 (5-HT7) receptor antagonist with pKis of 8.19 and 8.20 for rat and human 5-HT7 in HEK293 cells, respectively.
Targets(IC50)	5-HT Receptor
In vitro	JNJ-18038683 produces a concentration-dependent decrease of 5-HT (100 nM)-stimulated adenylyl cyclase. The pKB values determined for JNJ-18038683 are in good agreement with the corresponding Ki values determined from [3H]5-CT binding studies. JNJ-18038683 displaced, with high affinity, specific [3H]5-CT binding sites from rat and human 5-HT7 receptor express in HEK293 cells (pKi=8.19±0.02 and 8.20±0.01, respectively). Similar values are obtained on the native 5-HT7 in membranes from rat thalamus (pKi=8.50±0.20). Hill slope values are close to unity, suggesting one-site competitive binding. Antagonist potency of JNJ-18038683 is determined by the measurement of adenylate cyclase activity in HEK293 cells expressing the human or rat 5-HT7 receptor. 5-HT stimulates adenylyl cyclase activity in rat and human 5-HT7/HEK293 cells with a pEC50 of 8.09 and 8.12, respectively.
In vivo	JNJ-18038683 dose-dependently reduces REM sleep, particularly within the first 4 hours post-administration, with a significant decrease observed from a dosage of 1 mg/kg ($P<0.05$). Furthermore, it extends REM sleep latency, showing a significant prolongation at the highest dose tested (10 mg/kg; $P<0.05$), indicating that these effects are specific to the REM sleep state. An additional study evaluated the impact of administering JNJ-18038683 daily for 7 days (1 mg/kg s.c. per day) on EEG sleep patterns in rats, focusing on REM sleep both during treatment and after its cessation. Initial treatment significantly reduced REM sleep duration in the first 8 hours and increased REM latency, which remained elevated throughout the 7-day treatment but returned to normal after treatment stopped. While the reduction in REM sleep persisted during the treatment period, a rebound increase in REM sleep occurred upon treatment discontinuation. Neither the latency nor the total time of NREM sleep was impacted over the treatment course.

Solubility Information

Solubility	DMSO: 200 mg/mL (377.38 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (9.43 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8869 mL	9.4345 mL	18.869 mL
5 mM	0.3774 mL	1.8869 mL	3.7738 mL
10 mM	0.1887 mL	0.9434 mL	1.8869 mL
50 mM	0.0377 mL	0.1887 mL	0.3774 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Bonaventure P, et al. Translational evaluation of JNJ-18038683, a 5-hydroxytryptamine type 7 receptor antagonist, on rapid eye movement sleep and in major depressive disorder. J Pharmacol Exp Ther. 2012 Aug;342(2):429-40.