JNJ-5207852

Cat. No.: HY-12190

CAS No.: 398473-34-2

Molecular Formula: $C_{20}H_{32}N_2O$

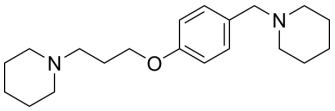
Molecular Weight: 316.48

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; 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 : 77.5 mg/mL (244.88 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1598 mL	15.7988 mL	31.5976 mL
5 mM	0.6320 mL	3.1598 mL	6.3195 mL
10 mM	0.3160 mL	1.5799 mL	3.1598 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: ≥ 2.58 mg/mL (8.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.58 mg/mL (8.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.58 mg/mL (8.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JNJ-5207852 is a selective and potent histamine H_3 receptor (H_3R) antagonist, with pK_is of 8.9, 9.24 for rat and human H_3R, respectively.

IC_{50} & Target

H_3 receptor 8.9 (pKi, for rat)	H_3 receptor 9.24 (pKi, for human)

In Vivo

JNJ-5207852 (1-10mg/kg s.c.) increases time spent awake and decreases REM sleep and slow-wave sleep, but fails to have

an effect on wakefulness or sleep in H_3 receptor knockout mice. The wake promoting effects of this H_3 receptor antagonist are not associated with hypermotility. A 4-week daily treatment of mice with JNJ-5207852 (10 mg/kg i.p.) does not lead to a change in body weight. JNJ-5207852 is extensively absorbed after oral administration and reaches high brain levels[1].

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

Animal Model:	Male, Sprague-Dawley rats weighing 282-334 g[1].
Dosage:	3, 10, 30 mg/kg.
Administration:	S.C.
Result:	Iincreased time spent awake and decreased REM sleep and slow-wave sleep.

REFERENCES

[1]. Barbier AJ, et al. Acute wake-promoting actions of JNJ-5207852, a novel, diamine-based H3 antagonist. Br J Pharmacol. 2004 Nov;143(5):649-61.

[2]. Abuhamdah RM, et al. Effects of methimepip and JNJ-5207852 in Wistar rats exposed to an open-field with and without object and in Balb/c mice exposed to a radial-arm maze. Front Syst Neurosci. 2012 Jul 16;6:54.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA