

JNJ-5207852

Chemical Properties

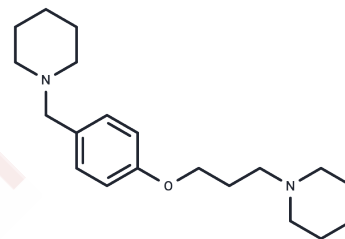
CAS No. : 398473-34-2

Formula: C₂₀H₃₂N₂O

Molecular Weight: 316.48

Storage: Pure form: -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-5207852 is a novel, non-imidazole histamine H3 receptor antagonist, with high affinity at the rat (pKi=8.9) and human (pKi=9.24) H3 receptor.
Targets(IC50)	Histamine Receptor
In vivo	JNJ-5207852 is a potent dibasic amine antagonist that binds potently to rat H3 receptors (Ki=1.2?nm), and has good brain penetration. In ex vivo binding studies in mice, the compound had an ED50 of 0.13?mg?kg?1, subcutaneously. It promotes wakefulness in rodents at 10?mg?kg?1 s.c. but not at 1?mg?kg?1, and significantly, this effect was absent in H3 receptor KO mice. JNJ-10181457 is also a dibasic amine antagonist that exhibits high-affinity binding for the rat H3 receptor (Ki=7.1?nm), promoting wakefulness in rodents and reducing cataplectic attacks in narcoleptic dogs . JNJ-10181457 improved cognitive performance in SHR pups at 10?mg?kg?1[1].

Solubility Information

Solubility	DMSO: 77.5 mg/mL (244.88 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: 2 mg/mL (6.32 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1598 mL	15.7988 mL	31.5976 mL
5 mM	0.632 mL	3.1598 mL	6.3195 mL
10 mM	0.316 mL	1.5799 mL	3.1598 mL
50 mM	0.0632 mL	0.316 mL	0.632 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

Esbenshade T A , Browman K E , Bitner R S , et al. The histamine H3 receptor: an attractive target for the treatment of cognitive disorders[J]. 2008, 154(6):1166-1181.

Abuhamdah R M A , Ruan V R , Lethbridge N L , 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[J]. Frontiers in Systems Neuroscience, 2012, 6.

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