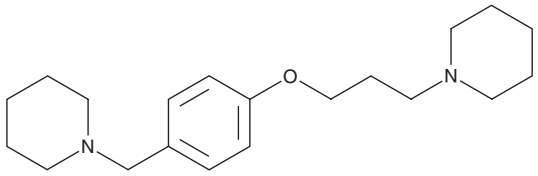
PRODUCT INFORMATION

JNJ-5207852

Item No. 11998

CAS Registry No.:	398473-34-2
Formal Name:	1-[3-[4-(1-piperidinylmethyl) phenoxy]propyl]-piperidine
MF:	$C_{20}H_{32}N_2O$
FW:	316.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 226, 275 nm
Supplied as:	A solution in ethanol
Storage:	-20°C
Stability:	≥1 year



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

JNJ-5207852 is supplied as a solution in ethanol. To change the solvent, simply evaporate the ethanol under a gentle stream of nitrogen and immediately add the solvent of choice. Solvents such as DMSO and dimethyl formamide purged with an inert gas can be used. The solubility of JNJ-5207852 in these solvents is approximately 5 and 20 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. If an organic solvent-free solution of JNJ-5207852 is needed, it can be prepared by evaporating the ethanol and directly dissolving the neat oil in aqueous buffers. The solubility of JNJ-5207852 in PBS, pH 7.2, is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Histamine has been implicated in a variety of biological functions including thermo- and immunoregulation, food intake, hyperexcitability, pain transmission, arousal, reward, memory, and emotional responses. The histamine H_3 receptor is a G_i-coupled presynaptic auto- and hetero-receptor whose activation leads to a decreased release of histamine, glutamate, norepinephrine, and acetylcholine in the brain. H_3R antagonists are expected to increase the release of these neurotransmitters, which may contribute therapeutic benefit in diseases characterized by sleep/wake disturbances or cognitive disorders.[1,2] JNJ-5207852 is a selective, non-imidazole histamine H_3R antagonist with high affinity at the rat (pK_i = 8.9) and human (pK_i = 9.24) H_3 receptors.[3] In rodents, 1-10 mg/kg JNJ-5207852 administered subcutaneously increases time spent awake and decreases REM sleep and slow-wave sleep.[3]

References

1. Tiligada, E., Zampeli, E., Sander, K., *et al*. Histamine H_3 and H_4 receptors as novel drug targets. *Expert Opin. Investig. Drugs* **18(10)**, 1519-1532 (2009).
2. Barbier, A.J., Dugovic, B.C., Laposky, A.D., *et al*. Acute wake-promoting actions of JNJ-5207852, a novel, diamine-based H_3 antagonist. *Br. J. Pharmacol.* **143**, 649-661 (2004).
3. Esbenshade, T.A., Browman, K.E., Bitner, R.S., *et al*. The histamine H_3 receptor: An attractive target for the treatment of cognitive disorders. *Br. J. Pharmacol.* **154**, 1166-1181 (2008).

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