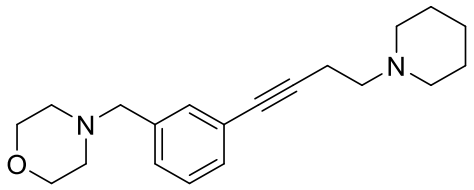
Product data sheet

MedKoo Cat#: 525296 Name: JNJ-10181457 CAS: 544707-19-9 Chemical Formula: $C_{20}H_{28}N_2O$ Exact Mass: 312.2202 Molecular Weight: 312.457	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
JNJ-10181457 is a histamine H3 receptor antagonist (pKi values are 8.15 and 8.93 for rat and human H3 receptors respectively). It increases extracellular norepinephrine and acetylcholine levels in rat frontal cortex but does not stimulate dopamine release.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.20 mL	16.00 mL	32.00 mL
5 mM	0.64 mL	3.20 mL	6.40 mL
10 mM	0.32 mL	1.60 mL	3.20 mL
50 mM	0.06 mL	0.32 mL	0.64 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

TBD

In vivo study

1. García M, García-Pedraza JÁ, Villalón CM, Morán A. Pharmacological Evidence that Histamine H3 Receptors Mediate Histamine-Induced Inhibition of the Vagal Bradycardic Out-flow in Pithed Rats. Basic Clin Pharmacol Toxicol. 2016 Feb;118(2):113-21. doi: 10.1111/bcpt.12475. Epub 2015 Sep 14. PMID: 26301462.
2. Vanhanen J, Nuutinen S, Lintunen M, Mäki T, Rämö J, Karlstedt K, Panula P. Histamine is required for H_3 receptor-mediated alcohol reward inhibition, but not for alcohol consumption or stimulation. Br J Pharmacol. 2013 Sep;170(1):177-87. doi: 10.1111/bph.12170. PMID: 23489295; PMCID: PMC3764859.

7. Bioactivity
Biological target:

JNJ-10181457 is a histamine H3 receptor antagonist.

In vitro activity

TBD

Product data sheet

In vivo activity

Figure 7 shows that, in contrast to the rats pre-treated with saline (1 ml/kg, i.v.; left panel), i.v. pre-treatment with the selective H3 receptor antagonist, JNJ 10181457 (1 mg/kg; right panel), (i) completely blocked the inhibition of the vagally induced bradycardic responses produced by histamine (50 μg/kg) or methimepip (50 μg/kg), and (ii) had no effect per se on the vagally induced bradycardic responses induced in the animals receiving saline.

Reference: Basic Clin Pharmacol Toxicol. 2016 Feb;118(2):113-21. https://pubmed.ncbi.nlm.nih.gov/26301462/