

## Certificate of Analysis

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**Product Name:** JNJ 10181457 dihydrochloride

**Catalog No.:** 4019

**Batch No.:** 1

**CAS Number:** 544707-20-2

**IUPAC Name:** 4-[3-[4-[Piperidinyl]but-1-ynyl]benzyl]morpholine dihydrochloride

### 1. PHYSICAL AND CHEMICAL PROPERTIES

**Batch Molecular Formula:**  $C_{20}H_{28}N_2O \cdot 2HCl \cdot \frac{1}{2}H_2O$

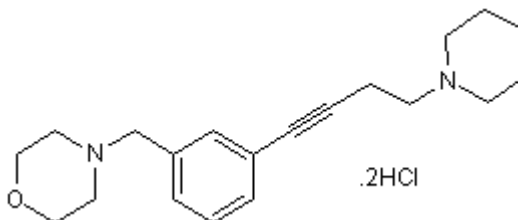
**Batch Molecular Weight:** 394.38

**Physical Appearance:** Tan solid

**Solubility:** water to 100 mM  
ethanol to 25 mM

**Storage:** Desiccate at RT

**Batch Molecular Structure:**



### 2. ANALYTICAL DATA

**TLC:**  $R_f = 0.38$  (Chloroform:Methanol [9:1])

**HPLC:** Shows 98.9% purity

**$^1H$  NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Microanalysis:**

	Carbon	Hydrogen	Nitrogen
Theoretical	60.91	7.92	7.1
Found	61.01	7.76	7.15

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

## Product Information

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### Description:

Histamine H<sub>3</sub> receptor antagonist (pK<sub>i</sub> values are 8.15 and 8.93 for rat and human H<sub>3</sub> receptors respectively). Increases extracellular norepinephrine and acetylcholine levels in rat frontal cortex but does not stimulate dopamine release. Brain penetrant.

### Physical and Chemical Properties:

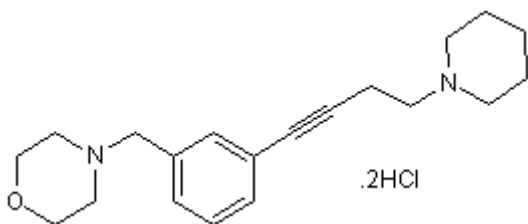
Batch Molecular Formula: C<sub>20</sub>H<sub>28</sub>N<sub>2</sub>O.2HCl.½H<sub>2</sub>O

Batch Molecular Weight: 394.38

Physical Appearance: Tan solid

**Minimum Purity:** >98%

### Batch Molecular Structure:



**Storage:** Desiccate at RT

### Solubility & Usage Info:

water to 100 mM

ethanol to 25 mM

USE WITH CARE; NOT FULLY TESTED - YOUR SUBLICENSE UNDER CERTAIN PATENT RIGHTS OF ORTHO-MCNEIL-JANSSEN PHARMACEUTICAL, INC. RESTRICTS USE TO INTERNAL RESEARCH ONLY - MAY NOT BE USED IN HUMANS - MAY NOT BE SOLD, TRANSFERRED, OR USED IN COMMERCIAL SERVICES

### Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

**SOLIDS:** Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

**SOLUTIONS:** We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

### References:

**Bonaventure *et al*** (2007) Histamine H<sub>3</sub> receptor antagonists: from target identification to drug leads. *Biochem.Pharmacol.* **73** 1084. PMID: 17129577.

**Esbenshade *et al*** (2008) The histamine H<sub>3</sub> receptor: an attractive target for the treatment of cognitive disorders. *Br.J.Pharmacol.* **154** 1166. PMID: 18469850.

**Boggs *et al*** (2009) JNJ-10181457, a selective non-imidazole histamine H<sub>3</sub> receptor antagonist, normalizes acetylcholine neurotransmission and has efficacy in translational rat models of cognition. *Neuropharmacology* **56** 1131. PMID: 19345233.

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