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Print Date: Oct 8th 2019

Certificate of Analysis

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Product Name: **JNJ 5207852 dihydrochloride** **Catalog No.: 4020** **Batch No.: 1**

CAS Number: 1782228-76-5

IUPAC Name: 1-[3-[4-(1-Piperidinylmethyl)phenoxy]propyl]piperidine hydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{20}H_{32}N_2O.2HCl.¾H_2O$

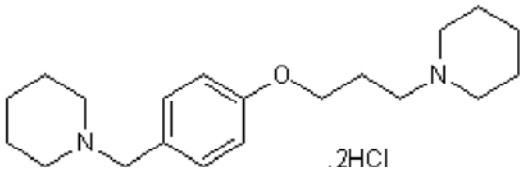
Batch Molecular Weight: 402.91

Physical Appearance: Pale yellow solid

Solubility: water to 50 mM
DMSO to 20 mM with gentle warming

Storage: Desiccate at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.32 (Chloroform:Methanol:Ammonia soln. [9:1:0.1])

HPLC: Shows 99.5% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	59.62	8.88	6.95
Found	59.56	8.48	6.95

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Product Information

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CAS Number: 1782228-76-5

IUPAC Name: 1-[3-[4-(1-Piperidinylmethyl)phenoxy]propyl]piperidine hydrochloride

Description:

High affinity histamine H_3 receptor neutral antagonist (pK_i values are 8.9 and 9.2 in rat and human respectively). Brain penetrant and orally active. Has 3- and 100-fold higher affinity than thioperamide (Cat. No. 0644) for rat and human H_3 receptors respectively. Suppresses slow-wave sleep; exhibits wake-promoting effects in rodent arousal models.

Physical and Chemical Properties:

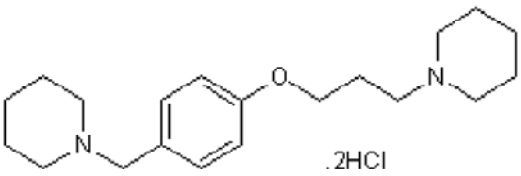
Batch Molecular Formula: $C_{20}H_{32}N_2O.2HCl.¾H_2O$

Batch Molecular Weight: 402.91

Physical Appearance: Pale yellow solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Desiccate at RT

Solubility & Usage Info:

water to 50 mM
DMSO to 20 mM with gentle warming

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.

Licensing Information:

Sold with the permission of Ortho-McNeil-Janssen Pharmaceuticals, Inc.

References:

Le *et al* (2008) Correlation between ex vivo receptor occupancy and wake-promoting activity of selective H_3 receptor antagonists. J.Pharmacol.Exp.Ther. ***325*** 902. PMID: 18305012.

Jia *et al* (2005) Effects of histamine H_3 antagonists and donep. on learning and mnemonic deficits induced by pentylenetetrazol kindling in weanling mice. Neuropharmacology ***50*** 404. PMID: 16310812.

Barbier *et al* (2004) Acute wake-promoting actions of JNJ-5207852, a novel, diamine-based H_3 antagonist. Br.J.Pharmacol. ***143*** 649. PMID: 15466448.

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