

Certificate of Analysis

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Product Name: JNJ 39758979 dihydrochloride

Catalog No.: 6279

Batch No.: 1

IUPAC Name: 4-[(3*R*)-3-Amino-1-pyrrolidinyl]-6-(1-methylethyl)-2-pyridinamine dihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₁H₁₉N₅·2HCl·¼H₂O

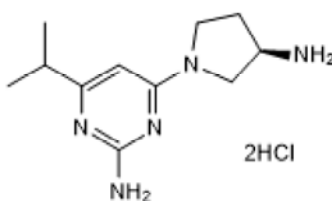
Batch Molecular Weight: 298.72

Physical Appearance: Off White solid

Solubility: water to 100 mM
DMSO to 100 mM

Storage: Desiccate at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows >99.7% purity

Chiral HPLC: Shows 100% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: [α]_D = -30.8 (Concentration = 1, Solvent = Methanol)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	44.23	7.25	23.44
Found	44.24	7.09	23.83

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

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Description:

High affinity and selective histamine H₄ antagonist (K_i = 12.5 nM). Exhibits >80-fold selectivity for H₄ over other histamine receptors and no significant activity at a range of other targets. Inhibits histamine-induced eosinophil shape change in whole blood in vitro and ovalbumin-induced inflammation in ovalbumin-sensitized mice in vivo. Orally bioavailable.

Physical and Chemical Properties:

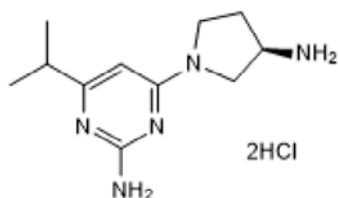
Batch Molecular Formula: C₁₁H₁₉N₅.2HCl.¼H₂O

Batch Molecular Weight: 298.72

Physical Appearance: Off White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Desiccate at RT

Solubility & Usage Info:

water to 100 mM

DMSO to 100 mM

USE WITH CARE; NOT FULLY TESTED - YOUR SUBLICENSE UNDER CERTAIN PATENT RIGHTS OF JANSSEN PHARMACEUTICA N.V. 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 Janssen Pharmaceutica N.V.

References:

Thurmond et al (2014) Clinical and preclinical characterization of the histamine H₄ receptor antagonist JNJ-39758979. *J.Pharmacol.Exp.Ther.* **349** 176. PMID: 24549371 .

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