

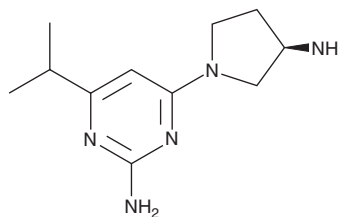
PRODUCT INFORMATION



JNJ-39758979

Item No. 41084

CAS Registry No.: 1046447-90-8
Formal Name: 4-[(3R)-3-amino-1-pyrrolidinyl]-6-(1-methylethyl)-2-pyrimidinamine
MF: $C_{11}H_{19}N_5$
FW: 221.3
Purity: $\geq 98\%$
Supplied as: A solid
Storage: -20°C
Stability: ≥ 4 years



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

Laboratory Procedures

JNJ-39758979 is supplied as a solid. A stock solution may be made by dissolving the JNJ-39758979 in the solvent of choice, which should be purged with an inert gas. JNJ-39758979 is soluble (≥ 10 mg/ml) in ethanol and DMSO.

Description

JNJ-39758979 is a histamine H_4 receptor antagonist ($K_i = 12.5$ nM for the human receptor).¹ It is selective for the histamine H_4 receptor over histamine H_1 , H_2 , and H_3 receptors (K_i s = $>1,000$, $>1,000$, and $1,043$ nM, respectively, for the human receptors), as well as 48 other receptors, ion channels, and transporters at 1 μM and 66 kinases at 10 μM . JNJ-39758979 inhibits chemotaxis induced by histamine (Item No. 33828) in isolated mouse bone marrow-derived mast cells ($\text{IC}_{50} = 8$ nM). It reduces ovalbumin-induced eosinophil infiltration in bronchoalveolar lavage fluid (BALF) in an ovalbumin-sensitized mouse model of asthma when administered at doses ranging from 0.2 to 20 mg/kg. JNJ-39758979 (20 mg/kg) decreases ear edema in a mouse model of Th2-dependent contact hypersensitivity induced by fluorescein isothiocyanate (FITC; Item No. 33264) and inhibits histamine-induced pruritis in mice.^{1,2} It also reduces nephropathy, but does not affect body weight or blood glucose levels, in a mouse model of streptozotocin-induced diabetes when administered at a dose of 100 mg/kg.³

References

1. Thurmond, R.L., Chen, B., Dunford, P.J., et al. Clinical and preclinical characterization of the histamine H_4 receptor antagonist JNJ-39758979. *Clinical Trial* **349(2)**, 176-184 (2014).
2. Savall, B.M., Chavez, F., Tays, K., et al. Discovery and SAR of 6-alkyl-2,4-diaminopyrimidines as histamine H_4 receptor antagonists. *J. Med. Chem.* **57(6)**, 2429-2439 (2014).
3. Pini, A., Grange, C., Veglia, E., et al. Histamine H_4 receptor antagonism prevents the progression of diabetic nephropathy in male DBA2/J mice. *Pharmacol. Res.* **128**, 18-28 (2018).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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