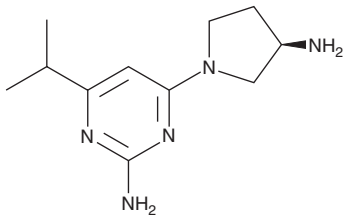
PRODUCT INFORMATION

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:	≥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_is = >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 (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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM