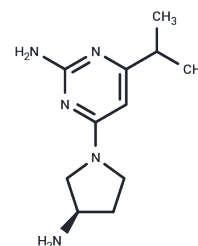


JNJ-39758979

Chemical Properties

CAS No. :	1046447-90-8
Formula:	C11H19N5
Molecular Weight:	221.3
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	JNJ-39758979 is a selective and high-affinity histamine H4 receptor antagonist (K _i : 12.5, 5.3, and 25 nM for human, mouse, and monkey histamine H4 receptor, respectively). JNJ-39758979 functionally antagonizes histamine-induced cAMP inhibition with a pA ₂ of 7.9 in transfected cells.
Targets(IC50)	Histamine Receptor
In vitro	JNJ-39758979 is metabolically stable (t _{1/2} >120 min) when incubated in vitro with human, rat, dog, or monkey liver microsomes. JNJ 39758979 is a selective and high-affinity histamine H4 receptor antagonist (K _i : 12.5 nM versus the human H4 receptor). In transfected cells, functionally antagonizes histamine-induced cAMP inhibition (pA ₂ : 7.9). At the mouse H4R, the K _i =5.3 nM and the pA ₂ =8.3. At the monkey H4R, the K _i =25 nM and the pA ₂ =7.5. The affinity for the rat (K _i =188 nM, pA ₂ = 7.2) and guinea pig H4R (K _i =306 nM) is moderate, and JNJ 39758979 has little if any affinity for the dog H4R (K _i ≥10 μM). The compound is highly selective for H4R, as it exhibits low affinity for the H1, H2, and H3 receptors [1].
In vivo	JNJ-39758979 (2 mg/kg; i.v.) treatment shows that the V _{ss} , AUC, CL and t _{1/2} were 19.9 L/kg, 1.4 μM*h, 2.2 L/h, and 2.1 hours, respectively. JNJ-39758979 (10 mg/kg; p.o.) treatment displays that the C _{max} , t _{1/2} , and F values are 0.3 μM, 7.5 hours, and 36%, respectively [1].

Solubility Information

Solubility	DMSO: 55 mg/mL (248.53 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (14.91 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.5188 mL	22.5938 mL	45.1875 mL
5 mM	0.9038 mL	4.5188 mL	9.0375 mL
10 mM	0.4519 mL	2.2594 mL	4.5188 mL
50 mM	0.0904 mL	0.4519 mL	0.9038 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Savall BM, et al. Discovery and SAR of 6-alkyl-2,4-diaminopyrimidines as histamine H₂ receptor antagonists. *J Med Chem.* 2014 Mar 27;57(6):2429-39.

Murata Y, et al. Phase 2a, randomized, double-blind, placebo-controlled, multicenter, parallel-group study of a H₄ R-antagonist (JNJ-39758979) in Japanese adults with moderate atopic dermatitis.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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