

JNJ-39758979

Catalog No: tcsc0020957



Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1046447-90-8

Formula:

$C_{11}H_{19}N_5$

Pathway:

Immunology/Inflammation;GPCR/G Protein

Target:

Histamine Receptor;Histamine Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

221.3

Product Description

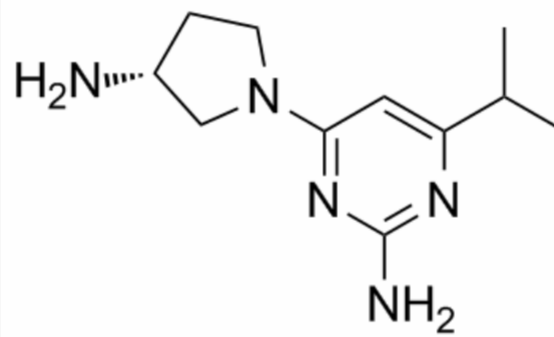
JNJ-39758979 is a selective, high-affinity **histamine H₄ receptor** antagonist with a **K_i** of 12.5 nM.

IC50 & Target: K_i: 12.5 nM (histamine H₄ receptor)^[1]

In Vitro: JNJ-39758979 is a selective, high-affinity histamine H₄ receptor antagonist with a K_i of 12.5 nM.

The affinity of JNJ-39758979 for the rat (K_i=188 nM) 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). JNJ-39758979 is metabolically stable (t_{1/2} >120 min) when incubated *in vitro* with human, rat, dog, or monkey liver microsomes^[1].

In Vivo: JNJ-39758979 shows dose-proportional pharmacokinetic (PK) in rat in the range of 2 to 500 mpK. JNJ-39758979 rapidly reaches the kidneys and liver (mean t_{max}=2.0 h). The elimination of JNJ-39758979 is slow from the brain, liver, and kidneys, with mean t_{1/2} values of 42.5, 22.3, and 20.5 h, respectively. The highest exposure (based on C_{max} and AUC_{0-inf} values) is observed in the liver followed by the kidney and brain. Tissue-to-plasma ratios for liver and kidney range from 23.2 to 95.8; the tissue-to-plasma ratios in brain increases with time from 0.256 to 22.7 up to 48 h after dosing. JNJ-39758979 is able to inhibit histamine-induced itch at doses of 5 and 20 mg/kg in mice. JNJ-39758979 exhibits dose-dependent inhibition of the clinical score in a mouse collagen-induced arthritis model^[1].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!