

# 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

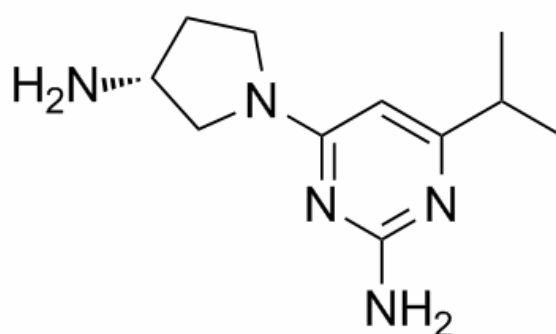
JNJ-39758979 is a selective, high-affinity **histamine H<sub>4</sub> receptor** antagonist with a **K<sub>i</sub>** of 12.5 nM.

IC50 & Target: K<sub>i</sub>: 12.5 nM (histamine H<sub>4</sub> receptor)<sup>[1]</sup>

**In Vitro:** JNJ-39758979 is a selective, high-affinity histamine H<sub>4</sub> receptor antagonist with a K<sub>i</sub> of 12.5 nM.

The affinity of JNJ-39758979 for the rat (K<sub>i</sub>=188 nM) and guinea pig H<sub>4</sub>R (K<sub>i</sub>=306 nM) is moderate, and JNJ-39758979 has little if any affinity for the dog H<sub>4</sub>R (K<sub>i</sub>≥10 μM). JNJ-39758979 is metabolically stable (t<sub>1/2</sub> >120 min) when incubated *in vitro* with human, rat, dog, or monkey liver microsomes<sup>[1]</sup>.

**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<sub>max</sub>=2.0 h). The elimination of JNJ-39758979 is slow from the brain, liver, and kidneys, with mean t<sub>1/2</sub> values of 42.5, 22.3, and 20.5 h, respectively. The highest exposure (based on C<sub>max</sub> and AUC<sub>0-inf</sub> 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<sup>[1]</sup>.



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