Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

JNJ-39758979 is a selective, high-affinity **histamine H_4 receptor** antagonist with a **K_i** of 12.5 nM.

IC50 & Target: Ki: 12.5 nM (histamine H_4 receptor)[1]

In Vitro: JNJ-39758979 is a selective, high-affinity histamine H_4 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 H_4R (K_i=306 nM) is moderate, and JNJ-39758979 has little if any affinity for the dog H_4R (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 $AUC_{0\text{-inf}}$ 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].