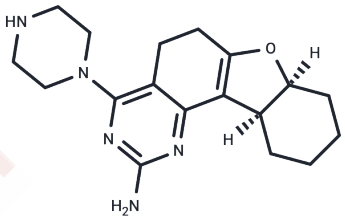
Data Sheet (Cat.No.T22018)

TargetMol

A 987306

Chemical Properties



CAS No. : 1082954-71-9

Formula: C18H25N5O

Molecular Weight: 327.42

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	A-987306 is a potent and orally bioavailable histamine H4 antagonist with Kis of 3.4 nM and 5.8 nM for rat H4 and human H4, respectively. A-987306 exhibits anti-inflammatory activity in a mouse peritonitis model [1].
Targets(IC50)	Others,Histamine Receptor
In vitro	A-987306 exhibits potency as an antagonist of H4 receptors in human, rat, and mouse models, according to cell-based FLIPR assays [1]. Furthermore, it demonstrates significant selectivity for the human H4 receptor, being 620-fold, >1600-fold, and 162-fold more selective over human H1, H2, and H3 receptors, respectively, in cell-based Ca2+-flux FLIPR assays [1]. However, its selectivity is considerably lower in rat models, with only a 4-fold preference for the rat H4 receptor over the rat H3 receptor, as evidenced in FLIPR assays [1].
In vivo	A-987306, administered at doses ranging from 98.23 μg/kg to 9.82 mg/kg (i.p.), effectively mitigates scratching behaviors triggered by the histamine H4 agonist clobenpropit, highlighting its potential as an anti-pruritic agent. Additionally, when given orally at a dose of 10 mg/kg, A-987306 demonstrates a modest fractional oral bioavailability (Fpo/iv = 26%) with a pharmacokinetic profile characterized by a half-life of 3.7 hours and peak plasma concentration (Cmax) of 0.30 μM, achieved approximately 1.5 hours post-administration. These findings are supported by pharmacokinetic analysis conducted in a mouse model, utilizing intraperitoneal injection as the mode of administration and measuring key parameters such as bioavailability, half-life, maximum concentration, and time to peak concentration to assess the compound's systemic exposure and disposition.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0542 mL	15.2709 mL	30.5418 mL
5 mM	0.6108 mL	3.0542 mL	6.1084 mL
10 mM	0.3054 mL	1.5271 mL	3.0542 mL
50 mM	0.0611 mL	0.3054 mL	0.6108 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.