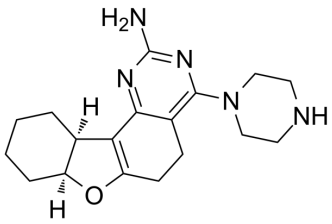
A-987306

Cat. No.:	HY-14364
CAS No.:	1082954-71-9
Molecular Formula:	$C_{18}H_{25}N_5O$
Molecular Weight:	327.42
Target:	Histamine Receptor
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	A-987306 is a potent and oral bioavailable histamine H_4 antagonist, with K_is of 3.4 nM and 5.8 nM for rat H_4, and human H_4. A-987306 shows anti-inflammatory activity in mice peritonitis model[1].
In Vitro	A-987306 has potent functional antagonism in vitro at human, rat, and mouse H_4 receptors in cell-based FLIPR assays[1]. A-987306 is 620-fold, >1600-fold, and 162-fold selective for the human H_4R over the human H_1, H_2 , and H_3 receptors in cell-based Ca^{2+}-flux functional assay (FLIPR)[1]. A-987306 shows lower selectivity for H_4R in the rat (only 4-fold selective for the rat H_4R over the rat H_3R) in FLIPR[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	A-987306 (98.23 μg/kg-9.82 mg/kg; i.p.) is found to reduce scratching induced by the histamine H_4 agonist clobenpropit (HY-101198)[1]. A-987306 (10 mg/kg; p.o.) has a moderate fractional oral bioavailability ($F_{po/iv}$=26%) with a half-life of 3.7 h and a C_{max} of 0.30 μM at a T_{max} of 1.5 h after dosing[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice[1]
Dosage:	98.23 μg/kg , 327.42 μg/kg, 982.26 μg/kg, 9.82 mg/kg (Pharmacokinetic Analysis)
Administration:	Intraperitoneal injection
Result:	$F_{po/iv}$=26%, $T_{1/2}$=3.7 hours, C_{max}=0.30 μM, T_{max}=1.5 hours

REFERENCES

[1]. cis-4-(Piperazin-1-yl)-5,6,7a,8,9,10,11,11a-octahydrobenzofuro[2,3-h]quinazolin-2-amine (A-987306), A New Histamine H4R Antagonist that Blocks Pain Responses against Carrageenan-Induced HyperalgesiaJ. Med. Chem., 2008, 51 (22), pp 7094-7098

Caution: Product has not been fully validated for medical applications. For research use only.

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