Product Data Sheet

Product Name: A 987306
Cat. No.: GC17743

Chemical Properties

Cas. No.	1082954-71-9		
Chemical Name	(7aR,11aR)-4-(piperazin-1-yl)-5,6,7a,8,9,10,11,11a-octahydrobenzofuro[2,3-h]quinazolin-2-amine		
SMILES	NC(N=C1N2CCNCC2)=NC3=C1CCC4=C3[C@@H](CCCC5)[C@@H]5O4		
Formula	$C_{18}H_{25}N_5O$	M.Wt	327.42
Solubility	<32.74mg/ml in DMSO; <32.74mg/ml in ethanol	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	A 987306		

Background

A987306,cis-4-(Piperazin-1-yl)-5,6,7a,8,9,10,11,11a-octahydrobenzofuro[2,3-h]quinazolin-2-amine[1], is a selective and potent H4 receptor antagonist [2].

H4R is one of 4 known G-protein-coupled receptors (H1, H2, H3 and H4 receptors) of histamine. It is for mediating some physiological functions of histamine [3].

In a cell-based Ca2+-flux functional assay, A 987306 had no activation to the receptor, but blocked the H4R activation induced by endogenous histamine. A 987306 potently decreased histamine-mediated binding between rat H4-receptor-containing membranes and GTP-γ-[35S] with a Kb of 6 nM [3].

In Sprague-Dawley rats, after ip injection, A 987306 had a favorable fractional bioavailability (Fip/iv =72%), a half-life of 4.7 h and a Cmax of 1.73 μM at a Tmax of 0.25 h after dosing. After oral dosing, A 987306 had a moderate fractional oral bioavailability (Fpo/iv =26%) with a half-life of 3.7 h and a Cmax of 0.30 μM at a Tmax of 1.5 h after

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

dosing. The plasma protein binding of A 987306 measured in rats was found to be 59% [3].

To human H4R and rat H4R, the Ki values of A 987306 are 5.8 nM and 3.4 nM, respectively. A 987306 reduced scratch responses in mice with an ED50 of 0.36 μmol/kg. A 987306 was found to be selective and bear an IC50 > 810 nM for over 100 kinases [3].

References:
[1]. Vanina A. Medina and Elena S. Rivera. Histamine receptors and cancer pharmacology. British Journal of Pharmacology, 2010, 161:755-767.
[2]. M.I. Strakhova, C.A. Cuff, A.M. Manelli, et al. In vitro and in vivo characterization of A-940894: a potent histamine H4 receptor antagonist with anti-inflammatory properties. British Journal of Pharmacology, 2009, 157:44-54.
[3]. Huaqing Liu, Robert J. Altenbach, Tracy L. Carr, et al. 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 Hyperalgesia. J. Med. Chem., 2008, 51:7094-7098.

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