Betahistine

Cat. No.: HY-B0524

CAS No.: 5638-76-6

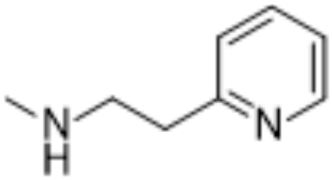
Molecular Formula: $C_8H_{12}N_2$

Molecular Weight: 136.19

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (734.27 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	7.3427 mL	36.7134 mL	73.4268 mL
	5 mM	1.4685 mL	7.3427 mL	14.6854 mL
	10 mM	0.7343 mL	3.6713 mL	7.3427 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (18.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (18.36 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (18.36 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Betahistine is an orally active histamine H1 receptor agonist and a H3 receptor antagonist[1]. Betahistine is used for the study of rheumatoid arthritis (RA)[3].

IC_{50} & Target	H_1 Receptor	H_3 receptor

In Vitro

Betahistine (0-10 μM) inhibits [^{125}I]iodoproxyfan binding to membranes of CHO ($rH_{3(445)}R$) and CHO ($hH_{3(445)}R$) cells with IC_{50} values of 1.9 μM and 3.3 μM, respectively. Lead to K_i values of 1.4 μM and 2.5 μM, respectively[2].
Betahistine (0-10 μM) has a regulating function on cAMP formation in CHO ($rH_{3(445)}R$), CHO ($rH_{3(413)}R$), and CHO ($hH_{3(445)}R$) cells. At low concentrations, betahistine behaves an apparent inverse agonist, and progressively enhances cAMP formation

	with EC_{50} values of 0.1 nM, 0.05 nM and 0.3 nM, respectively. In contrast, at concentrations higher than 10 nM, betahistine inhibits cAMP formation with an EC_{50} value of 0.1 μM in CHO ($rH_{3(445)}R$) and full agonist activity[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Betahistine (intraperitoneal or oral administration; 0.1-30 mg/kg; single dose) with acute administration has increased tele-methylhistamine (t-MeHA) levels with an ED_{50} of 0.4 mg/kg, indicating the inverse agonism. Besides, after acute oral administration, it increases t-MeHA levels with an ED_{50} of 2 mg/kg in male Swissmice[2]. Betahistine (oral adminstration; 1 and 5 mg/kg; daily for 3 weeks) attenuates the severity of arthritis and reduces the levels of pro-inflammatory cytokines in the paw tissues of CIA mice[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Collagen-induced arthritis (CIA) DBA/1 male mouse model[3]
Dosage:	1 mg/kg; 5mg/kg
Administration:	Oral adminstration; day 21 to day 42 after a 21-day CIA induction
Result:	Ameliorated mouse CIA by decreasing joint destruction.

REFERENCES

[1]. Poyurovsky M, et al. The effect of betahistine, a histamine H1 receptor agonist/H3 antagonist, on olanzapine-induced weight gain in first-episode schizophrenia patients. Int Clin Psychopharmacol. 2005 Mar;20(2):101-3.

[2]. Gbahou F, et al. Effects of betahistine at histamine H3 receptors: mixed inverse agonism/agonism in vitro and partial inverse agonism in vivo.J Pharmacol Exp Ther. 2010 Sep 1;334(3):945-54.

[3]. Tang KT, et al. Betahistine attenuates murine collagen-induced arthritis by suppressing both inflammatory and Th17 cell responses.Int Immunopharmacol. 2016 Oct;39:236-245.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA