Betahistine dihydrochloride

Cat. No.: HY-B0524A

CAS No.: 5579-84-0

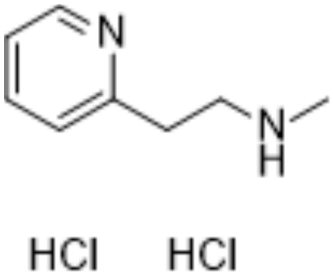
Molecular Formula: $C_8H_{14}Cl_2N_2$

Molecular Weight: 209.12

Target: Histamine Receptor

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

Storage: 4°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 50 mg/mL (239.10 mM)
DMSO : 33.33 mg/mL (159.38 mM; Need ultrasonic)
DMF : 5 mg/mL (23.91 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.7819 mL	23.9097 mL	47.8194 mL
5 mM	0.9564 mL	4.7819 mL	9.5639 mL
10 mM	0.4782 mL	2.3910 mL	4.7819 mL

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

In Vivo

1. Add each solvent one by one: PBS
Solubility: 150 mg/mL (717.29 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

H_3 Receptor

In Vitro

Betahistine dihydrochloride (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 dihydrochloride (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 dihydrochloride (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 dihydrochloride (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.

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