

Product Data Sheet

Product Name: Betahistine mesylate

Cat. No.: GC60641

Chemical Properties

Cas. No. 54856-23-4

SMILES CNCCC1=NC=CC=C1.CS(=O)(O)=O.CS(=O)(O)=O

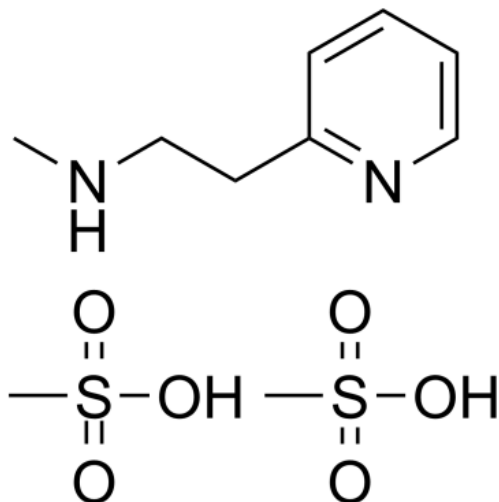
Formula $C_{10}H_{20}N_2O_6S_2$ M.Wt 328.41

Solubility DMSO : 65mg/mL Storage 4°C, protect from light, stored under nitrogen

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Background

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

Betahistine mesylate (0-10 µM) inhibits [125I]iodoproxyfan binding to membranes of CHO (rH3(445)R) and CHO (hH3(445)R) cells with IC50 values of 1.9 µM and 3.3 µM, respectively. Lead to Ki values of 1.4 µM and 2.5 µM, respectively[2]. Betahistine mesylate (0-10 µM) has a regulating

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function on cAMP formation in CHO (rH3(445)R), CHO (rH3(413)R), and CHO (hH3(445)R) cells. At low concentrations, Betahistine mesylate behaves as an apparent inverse agonist, and progressively enhances cAMP formation with EC₅₀ values of 0.1 nM, 0.05 nM and 0.3 nM, respectively. In contrast, at concentrations higher than 10 nM, Betahistine mesylate inhibits cAMP formation with an EC₅₀ value of 0.1 μM in CHO (rH3(445)R) and full agonist activity[2].

Betahistine mesylate (intraperitoneal or oral administration; 0.1-30 mg/kg; single dose) with acute administration has increased tele-methylhistamine (t-MeHA) levels with an ED₅₀ of 0.4 mg/kg, indicating the inverse agonism. Besides, after acute oral administration, it increases t-MeHA levels with an ED₅₀ of 2 mg/kg in male Swiss mice[2]. Betahistine mesylate (oral administration; 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]. Animal Model: Collagen-induced arthritis (CIA) DBA/1 male mouse model[3]

[1]. Poyurovsky M, et al. The effect of Betahistine mesylate, 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 mesylate 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 mesylate attenuates murine collagen-induced arthritis by suppressing both inflammatory and Th17 cell responses. *Int Immunopharmacol.* 2016 Oct;39:236-245

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