

Product Data Sheet

Product Name: Betahistine 2HCl

Cat. No.: GC10127

Chemical Properties

Cas. No. 5579-84-0

Chemical Name N-methyl-2-pyridin-2-ylethanamine; dihydrochloride

SMILES CNCCC1=CC=CC=N1.Cl.Cl

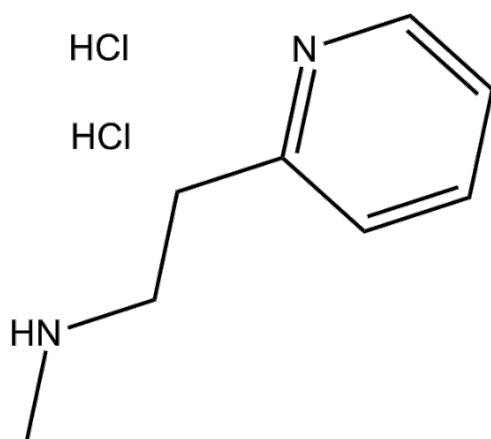
Formula $C_8H_{12}N_2 \cdot 2HCl$ M.Wt 209.12

Solubility $\geq 10.5\text{mg/mL}$ in DMSO 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 dihydrochloride is a histamine H₃ receptors inhibitor used as an antivertigo drug. Target: Histamine Receptor. Betahistine dihydrochloride, a structural analogue of histamine with weak

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

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histamine H(1) receptor agonist and more potent H(3) receptor antagonist properties. Betahistine dihydrochloride acts centrally by enhancing histamine synthesis within tuberomammillary nuclei of the posterior hypothalamus and histamine release within vestibular nuclei through antagonism of H(3) autoreceptors [1]. Therapeutic effects of betahistine in vestibular disorders result from its antagonist properties at histamine H(3) receptors (H(3)Rs). On inhibition of cAMP formation and [(3)H]arachidonic acid release, betahistine behaved as a nanomolar inverse agonist and a micromolar agonist. After acute oral administration, Betahistine increased t-MeHA levels with an ED(50) of 2 mg/kg, a rightward shift probably caused by almost complete first-pass metabolism. Therapeutic effects of betahistine result from an enhancement of histamine neuron activity induced by inverse agonism at H(3) autoreceptors [2].

References:

- [1]. Lacour, M. and O. Sterkers, Histamine and betahistine in the treatment of vertigo: elucidation of mechanisms of action. *CNS Drugs*, 2001. 15(11): p. 853-70.
- [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. 334(3): p. 945-54.

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