Olopatadine hydrochloride

Cat. No.: HY-B0426A

CAS No.: 140462-76-6

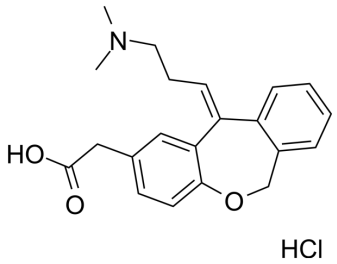
Molecular Formula: $C_{21}H_{24}ClNO_3$

Molecular Weight: 373.87

Target: Histamine Receptor; Endogenous Metabolite

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Metabolic Enzyme/Protease

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 : 50 mg/mL (133.74 mM; Need ultrasonic)
H_2O : 6.67 mg/mL (17.84 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6747 mL	13.3736 mL	26.7473 mL
	5 mM	0.5349 mL	2.6747 mL	5.3495 mL
	10 mM	0.2675 mL	1.3374 mL	2.6747 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 17.14 mg/mL (45.84 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.69 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.69 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Olopatadine hydrochloride (ALO4943A) is a histamine blocker used to treat allergic conjunctivitis.Target: Histamine ReceptorOlopatadine hydrochloride (ALO4943A) is one of the second-generation histamine H1 receptor antagonists that are treated for allergic disorders. Olopatadine hydrochloride (ALO4943A) significantly inhibited the ear swelling and the increased production of IL-4, IL-1beta, IL-6, GM-CSF and NGF in the lesioned ear [1]. Olopatadine hydrochloride (ALO4943A) was highly and rapidly absorbed in healthy human volunteers. The urinary excretion of Olopatadine hydrochloride

(ALO4943A) accounted for not less than 58% and the contribution of metabolism was considerably low in the clearance of olopatadine in humans. Olopatadine hydrochloride (ALO4943A) is one of the few renal clearance drugs in antiallergic drugs. Olopatadine hydrochloride (ALO4943A) was shown to be useful for the treatment of allergic rhinitis and chronic urticaria in double-blind clinical trials [2]. Olopatadine hydrochloride (ALO4943A) inhibits histamine release in a concentration-dependent fashion (IC50 = 559 microM) from human conjunctival mast cell preparations in vitro. Passive anaphylaxis in guinea pig conjunctiva was attenuated by Olopatadine hydrochloride (ALO4943A) applied 30 min prior to intravenous or topical ocular antigen challenge (ED50 values 0.0067% and 0.0170%, w/v, respectively) [3].

REFERENCES

[1]. Tamura, T., et al., Effect of olopatadine and other histamine H1 receptor antagonists on the skin inflammation induced by repeated topical application of oxazolone in mice. Pharmacology, 2005. 75(1): p. 45-52.

[2]. Ohmori, K., et al., Pharmacological, pharmacokinetic and clinical properties of olopatadine hydrochloride, a new antiallergic drug. Jpn J Pharmacol, 2002. 88(4): p. 379-97.

[3]. Yanni, J.M., et al., The in vitro and in vivo ocular pharmacology of olopatadine (AL-4943A), an effective anti-allergic/antihistaminic agent. J Ocul Pharmacol Ther, 1996. 12(4): p. 389-400.

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