Bepotastine tosylate

Cat. No.: HY-I0021A

CAS No.: 1160415-45-1

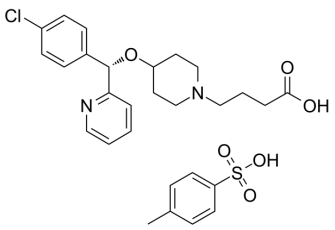
Molecular Formula: $C_{28}H_{33}ClN_2O_6S$

Molecular Weight: 561.09

Target: Histamine Receptor

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

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Bepotastine tosylate is a selective and orally active second-generation histamine H1 receptor antagonist. Bepotastine tosylate can suppress the expression of nerve growth factor (NGF). Bepotastine tosylate can be used in studies of allergic rhinitis, allergic conjunctivitis and urticaria/pruritus[1][2][3][4].

IC_{50} & Target

Histamine H1 Receptor[1][2][3][4].

In Vitro

Bepotastine tosylate (10, 100, 1000 μM; preincubates for 120 min) decreases the release of histamine induced by A23187 treatment, which reaches a statistically significant reduces level at 1000 μM[1].

Bepotastine tosylate (50 μM; 1 h) suppresses the expression of NGF mRNA in NHEKs[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	RPMCs
Concentration:	10, 100, 1000 μM
Incubation Time:	120 min (preincubate)
Result:	Decreased the release of histamine.

Western Blot Analysis[2]

Cell Line:	NHEKs
Concentration:	50 μM (preincubation)
Incubation Time:	1 h
Result:	Suppressed the expression of NGF mRNA in NHEKs.

In Vivo

Bepotastine tosylate (10 g/L; eye drop; 3 times at intervals of 20 min in one eye) demonstrates significant inhibition of PAF-induced conjunctival eosinophil infiltration[1].

Bepotastine tosylate (3 mg/kg; p.o.; once) suppresses scratching behavior to a frequency of 59.0 and a duration of 14.57 seconds, which are almost the same levels compares with the control[3].

Bepotastine tosylate (10 mg/kg; p.o.; once) significantly suppresses serum LTB 4 levels to 711.3 pg/mL at 1 h and 858.8 pg/mL at 2 h in NC/Nga mice with a rash[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Guinea pigs (6-week-old)[1].
Dosage:	10 g/L (1.0% (w/v)) for 10 μL.
Administration:	Eye drop; 3 times at intervals of 20 min (in one eye)
Result:	Inhibited PAF-induced conjunctival eosinophil infiltration.

Animal Model:	Male BALB/c mice(12-week-old); NC/Nga mice[3].
Dosage:	3, 10 mg/kg
Administration:	Oral administration; once (1 h before induces scratching behavior of Male BALB/c mice).
Result:	Significantly inhibited histamine-mediated scratching behavior in male BALB/c mice. Significantly suppressed serum LTB 4 levels in NC/Nga mice with a rash.

CUSTOMER VALIDATION

- J Med Chem. 2021 Feb 23.

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REFERENCES

[1]. Jon I Williams, et al. Non-clinical pharmacology, pharmacokinetics, and safety findings for the antihistamine bepotastine besilate. Curr Med Res Opin. 2010 Oct;26(10):2329-38.

[2]. Kida T, et al. Bepotastine besilate, a highly selective histamine H(1) receptor antagonist, suppresses vascular hyperpermeability and eosinophil recruitment in in vitro and in vivo experimental allergic conjunctivitis models. Exp Eye Res. 2010 Jul;91(1):85-91.

[3]. Tanizaki H, et al. Oral administration of bepotastine besilate suppressed scratching behavior of atopic dermatitis model NC/Nga mice. Int Arch Allergy Immunol. 2008;145(4):277-82.

[4]. Kamata Y, et al. Bepotastine besilate downregulates the expression of nerve elongation factors in normal human epidermal keratinocytes. J Dermatol Sci. 2018 Apr 23:S0923-1811(18)30186-5.

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