Bepotastine besilate

Cat. No.: HY-A0015

CAS No.: 190786-44-8

Molecular Formula: $C_{27}H_{31}ClN_2O_6S$

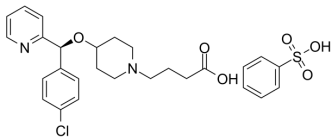
Molecular Weight: 547.06

Target: Histamine Receptor

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

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 : ≥ 100 mg/mL (182.80 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8280 mL	9.1398 mL	18.2795 mL
5 mM	0.3656 mL	1.8280 mL	3.6559 mL
10 mM	0.1828 mL	0.9140 mL	1.8280 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bepotastine besilate is a selective and orally active second-generation histamine H1 receptor antagonist, can suppress the expression of nerve growth factor (NGF). Bepotastine besilate has the potential for allergic rhinitis, allergic conjunctivitis and urticaria/pruritus research[1][2][3][4].

IC_{50} & Target

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

In Vitro

Bepotastine besilate (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 besilate (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[2]

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

Western Blot Analysis[1]

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

In Vivo

Bepotastine besilate (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 besilate (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 besilate (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]. 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.

[2]. 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.

[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]. 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.

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