Datasheet

Bepotastine Besilate

Product Name	Bepotastine Besilate
Catalogue Number	BSV-S3037
Chemical Formula	$C_{21}H_{25}ClN_2O_3.C_6H_6O_3S$
Function	Histamine receptor antagonist
CAS No.:	190786-44-8

Description:

Bepotastine is a non-sedating, selective antagonist of **histamine 1 (H1)** receptor with **pIC50** of 5.7.

Product Details:

Target: Histamine H1 receptor [1]

Chemical name: 1-Piperidinebutanoic acid, 4-[(S)-(4-chlorophenyl)-2-pyridinylmethoxy]-, benzenesulfonate (1:1)

Formula: $C_{21}H_{25}ClN_2O_3.C_6H_6O_3S$

Molecular weight: 547.06

Purity: 99.86 %

Solubility: 109 mg/mL (DMSO)

Storage: 3 years -20°C powder, 2 years -80°C in solvent

Regulatory/ Restrictions: For laboratory use only.

Preparing stock solutions:

ConcentrationVolumeMass	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
50 mM	0.0366 mL	0.1828 mL	0.3656 mL

Biological activity.
In vitro:

The flux ratios of [14C]Bepotastine (5 μM) in LLC-GA5-COL150 cells are significantly greater than those in LLC-PK1, showing that the B-to-A flux exceeds those in the other direction in LLC-GA5-COL150 cells. Bepotastine stimulates P-gp-mediated ATP hydrolysis with Km, Vmax, and Vmax/Km values of 1.25 mM, 108 nmol/min/mg protein, and 0.087 mL/min/mg protein, respectively. [2] Bepotastine besilate (100 mM) suppresses Leukotriene B(4) induced Ca(2+) concentration in cultured dorsal root ganglion neurons and cultured neutrophils. [3] Bepotastine (100 μM) dose-dependently inhibits chemotaxis of cultured guinea pig peritoneal eosinophils induced by LTB4. Bepotastine (1 mM) significantly reduces A23187-induced histamine release of cultured rat peritoneal mast cells. [4]

In vivo:
Bepotastine (0.8 mg/kg) administrated in WT and P-gp KO mice results in the plasma total concentrations 580 ng/mL and 467 ng/mL at 6 min after dosing, respectively, and the plasma protein binding with 41.1% and 45.9%. The absorption of [14C]Bepotastine from the proximal region in the presence and absence of verapamil is 63.0% and 72.4%, respectively, and that from the distal region is 10.9% and 62.7%, respectively. [2] Bepotastine besilate (10 mg/kg) inhibits scratching induced by an intradermal injection of histamine (100 nmol/site), but not serotonin (100 nmol/site). Bepotastine besilate (1 mg/kg-10 mg/kg, oral) dose-dependently suppresses scratching induced by substance P (100 nmol/site) and leukotriene B(4) (0.03 nmol/site). [3] Bepotastine besilate significantly inhibits conjunctival vascular hyperpermeability in a dose-dependent manner in guinea pig allergic conjunctivitis models with maximal effect for Bepotastine besilate 1.5%. [4] Bepotastine (3 mg/kg and 10 mg/kg) effectively inhibits the compound 48/80-induced scratching behavior of BALB/c mice 1 hour after oral administration. Bepotastine (10 mg/kg) also significantly inhibits the scratching behavior and suppresses the serum LTB(4) levels in atopic dermatitis model NC/Nga mice. [5]

References:
[1] Da Prada M, et al. J Pharmacol Exp Ther, 1989, 248(1), 400-414.
[2] Ohashi R, et al. Drug Metab Dispos, 2006, 34(5), 793-799.
[3] Andoh T, et al. Eur J Pharmacol, 2006, 547(1-3), 59-64.
[4] Kida T, et al. Exp Eye Res, 2010, 91(1), 85-91.
[5] Tanizaki H, et al. Int Arch Allergy Immunol, 2008, 145(4), 277-282.