Ebrotidine

Cat. No.: HY-15538

CAS No.: 100981-43-9

Molecular Formula: $C_{14}H_{17}BrN_6O_2S_3$

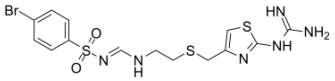
Molecular Weight: 477.42

Target: Histamine Receptor

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (209.46 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0946 mL	10.4730 mL	20.9459 mL
	5 mM	0.4189 mL	2.0946 mL	4.1892 mL
	10 mM	0.2095 mL	1.0473 mL	2.0946 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 (5.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ebrotidine(FI 3542) is a competitive H2-receptor antagonist (Ki= 127.5 nM) with a potent antisecretory activity and evidenced gastroprotection.IC50 Value: 127.5 nM (Ki)[1]; 0.21mg/kg (ED50, histamine- stimulated acid secretion) [2]Target: H2 receptorin vitro: Ebrotidine displaced 3H-thiotidine specific binding to histamine H2-receptors (Ki: 127.5 nmol/l), showing a higher affinity ($p < 0.05$) than ranitidine (Ki: 190.0 nmol/l) and cimetidine (Ki: 246.1 nmol/l) [1]. in vivo: Following intravenous administration to rats, ebrotidine inhibited histamine- and pentagastrin-stimulated acid secretion in a dose-dependent manner, ED50 being 0.21 and 0.44 mg/kg, respectively [2]. The mean number of gastric erosions seen at endoscopy after treatment with ebrotidine plus ASA (2.0 +/- 0.3) was significantly lower than that after placebo plus ASA (3.7

+/- 0.2). This reduction in lesion core by ebrotidine was accompanied by a significant increase in gastric blood flow (by 15% in corpus and 26% in antrum), by a rise in transmucosal potential difference (by 12%), and by a decrease of mucosal microbleeding [3]. Results of macroscopic assessment revealed that ebrotidine at doses of 50mg and higher/kg body weight effectively prevented mucosal injury, and that the maximal protective effect was achieved by 1h. Physicochemical analysis established that ebrotidine evoked 30% increase in mucus gel dimension, and showed 20% increase in phospholipids, and the content of sulfo- (18%) and sialomucins (21%) [4].

REFERENCES

[1]. Agut J, Sánchez JC, Sacristán A, Action of ebrotidine, ranitidine and cimetidine on the specific binding to histamine H1- and H2-receptors. Arzneimittelforschung. 1997 Apr;47(4A):447-9.

[2]. Palop D, Agut J, Márquez M, Histamine H2-receptor antagonist action of ebrotidine. Effects on gastric acid secretion, gastrin levels and NSAID-induced gastrotoxicity in the rat. Arzneimittelforschung. 1997 Apr;47(4A):439-46.

[3]. Konturek SJ, Kwiecien N, Sito E, Effects of ebrotidine on aspirin-induced gastric mucosal damage and blood flow in humans. Scand J Gastroenterol. 1993 Dec;28(12):1047-50.

[4]. Piotrowski J, Yamaki K, Morita M, Ebrotidine--a new H2-receptor antagonist with mucosal strengthening activity. Biochem Int. 1992 Mar;26(4):659-67.

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