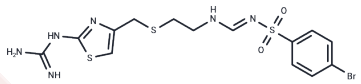
Data Sheet (Cat.No.T15195)

TargetMol

Ebrotidine

Chemical Properties



CAS No. : 100981-43-9

Formula: C14H17BrN6O2S3

Molecular Weight: 477.42

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ebrotidine (FI3542) is a competitive H2-receptor antagonist with Ki of 127.5 nM. Ebrotidine has a potent antisecretory activity and evidenced gastroprotection.
Targets(IC50)	Histamine Receptor
In 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	Ebrotidine inhibited histamine- and pentagastrin-stimulated acid secretion in a dose-dependent manner (ED50: 0.21 and 0.44 mg/kg, respectively), following intravenous administration to rats [2]. Results of the 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 a 20% increase in phospholipids, and the content of sulfo- (18%) and sialomucins (21%) [4]. The mean number of gastric erosions seen at endoscopy after treatment with ebrotidine plus ASA (2.0 +/- 0.3) was obviously 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 micro bleeding [3].

Solubility Information

Solubility	DMSO: 100 mg/mL (209.46 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (8.38 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0946 mL	10.473 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
50 mM	0.0419 mL	0.2095 mL	0.4189 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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

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