

Ebrotidine

Catalog No: tcsc1391

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

E

Specifications

CAS No:

100981-43-9

Formula:

 $\mathsf{C}_{14}\mathsf{H}_{17}\mathsf{BrN}_6\mathsf{O}_2\mathsf{S}_3$

Pathway: Immunology/Inflammation;GPCR/G Protein

Target: Histamine Receptor;Histamine Receptor

Purity / Grade:

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

Alternative Names:

FI3542

Observed Molecular Weight:

477.42

Product Description

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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 receptor

in vitro: Ebrotidine displaced 3H-thiotidine specific binding to histamine H2-receptors (Ki: 127.5 nmol/l), showing a higher affinity (p 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].



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