

Ebrotidine

Catalog No: tcsc1391



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

100981-43-9

Formula:

$C_{14}H_{17}BrN_6O_2S_3$

Pathway:

Immunology/Inflammation;GPCR/G Protein

Target:

Histamine Receptor;Histamine Receptor

Purity / Grade:

>98%

Solubility:

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

Alternative Names:

FI3542

Observed Molecular Weight:

477.42

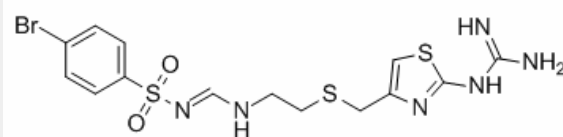
Product Description

Ebrotidine(FI 3542) is a competitive H₂-receptor antagonist ($K_i = 127.5$ nM) with a potent antisecretory activity and evidenced gastroprotection.

IC₅₀ Value: 127.5 nM (K_i) [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 (K_i : 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 \pm 0.3) was significantly lower than that after placebo plus ASA (3.7 \pm 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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