Product Data Sheet

Chemical Properties

**Product Name:** Ebrotidine

**Cas No.:** 100981-43-9

**M.Wt:** 477.42

**Formula:** C14H17BrN6O2S3

**Synonyms:** Fl 3542; Ulsanic; Fl-3542; Fl3542

**Chemical Name:** N-(4-bromophenyl)sulfonyl-N’-[2-[[2-(diaminomethylideneamino)-1,3-thiazol-4-yl]methylsulfanyl]ethyl]methanimidamide

**Canonical SMILES:** C1=CC(=CC=C1S(=O)(=O)NC=NCCSCC2=CSC(=N2)N=C(N)N)Br

**Solubility:** Soluble in DMSO

**Storage:** Store at -20°C

**General tips:** For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

**Shopping Condition:** Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

**Targets:** Neuroscience

**Pathways:** Histamine

**Description:**
Ebrotidine is a selective antagonist of histamine H2-receptor with Ki value of 127.5 nM [1]. Ebrotidine inhibited the secretion of gastric acid through competing with histamine for the combination with H2-receptor. It was found to have gastroprotective effect via abating the hyperplastic effects in gastric enteroendocrine cells and interfering the proteolytic and lipolytic activities and the urease of Helicobacter pylori. Besides that, ebrotidine promoted the
proliferation of the epithelial cells and alleviated the effects brought by stress, ethanol and aspirin. Unlike other H2 inhibitors, ebrotidine showed no carcinogenic risk enterochromaffin-like cells of mice [1 and 2].

Ebrotidine showed about 10-fold higher anti-secretory effects than cimetidine. Its affinity for H2-receptor was 1.5- and 2-fold higher than that of ranitidine and cimetidine, respectively. In the in vitro assays, ebrotidine promoted the phospholipid secretion of gastric mucosa and maintained the calcium balance of mucosal cells through suppressing EGF-induced phosphorylation of calcium channel proteins. Ebrotidine exerted anti- Helicobacter pylori potency with MIC90 value of 256 mg/L. It inhibited 57% of the proteolytic activity and 93% of the lipolytic activity of the bacteria at concentrations of 35 and 60 mg/L, respectively. In addition, ebrotidine displayed inhibition effects on the urease at a low concentration of 2.1 μM by 77% [1]. The administration of 1 to 100 mg/kg ebrotidine dose-dependently inhibited gastric acid secretion in rats. The effects could last for about 8 hours when the dose was 100 mg/kg. Ebrotidine was also found to have the ability of helping healing ulcer [1].

Reference:

Protocol

Cell experiment:

Cell lines               Helicobacter. pylori
Preparation method      The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions     MIC: 75 micrograms/ml, 5 days
Applications            Ebrotidine gave a mean MIC value of 75 micrograms/ml. Ebrotidine at 100 micrograms/ml enhanced the activity of the antimicrobials studied as follows: erythromycin 3 times, tetracycline 1.1 times, amoxicillin 3 times, metronidazole-sensitive strains 9 times and clarithromycin 5 times.

Animal experiment [3]:

Animal models          Sprague-Dawley rats with chronic gastric ulcers
Dosage form: Intragastric route 100 mg/kg, twice daily for 14 days.

Applications: Treatment with ebrotidine resulted in an accelerated ulcer healing. A 40% decrease in ulcer area was observed by the third day and a 71% decrease by the fifth day; the ulcers were essentially healed by the seventh day.

Other notes: Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Caution

FOR RESEARCH PURPOSES ONLY.
NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

ApexBio Technology
www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com