Lafutidine

Cat. No.: HY-B0160

CAS No.: 118288-08-7

Molecular Formula: $C_{22}H_{29}N_3O_4S$

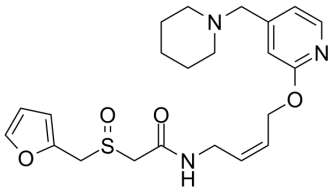
Molecular Weight: 431.55

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	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (115.86 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3172 mL	11.5861 mL	23.1723 mL
5 mM	0.4634 mL	2.3172 mL	4.6345 mL
10 mM	0.2317 mL	1.1586 mL	2.3172 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: ≥ 3 mg/mL (6.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (6.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (6.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Lafutidine (FRG-8813) is a histamine H2-receptor antagonist (H_2RA), with proven gastric mucosal protective effects. Lafutidine can be used for the research of gastroesophageal reflux disease[1].

IC_{50} & Target

Histamine H_2-receptor[1]

In Vitro

Lafutidine exhibits gastric mucosal protective action mediated by capsaicin-sensitive afferent neurons, in addition to a

potent antisecretory effect[2].
Lafutidine (0.1-10 μM) significantly augments the periarterial nerve stimulation (PNS, 1 Hz) induced-vasodilation in a concentration-dependent manner in rat perfused mesenteric vascular beds[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Lafutidine (3-30 mg/kg; p.o.; twice daily; for 6 days) dose-dependently reduces the severity of dextran sulfate Na (DSS)-induced colitis and significantly mitigates changes in the colon length and myeloperoxidase (MPO) activity[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats (180-200 g)[3]
Dosage:	3 mg/kg, 10 mg/kg, 30 mg/kg
Administration:	Oral administration, twice daily, for 6 days
Result:	Reduced the severity of DSS-induced ulcerative colitis in a dose-dependent manner.

REFERENCES

[1]. Motoko Nakano, et al. Possible involvement of host defense mechanism in the suppression of rat acute reflux esophagitis by the particular histamine H2 receptor antagonist lafutidine. Pharmacology. 2012;90(3-4):205-11.

[2]. Tetsuhiro Sugiyama, et al. Lafutidine facilitates calcitonin gene-related peptide (CGRP) nerve-mediated vasodilation via vanilloid-1 receptors in rat mesenteric resistance arteries. J Pharmacol Sci. 2008 Mar;106(3):505-11.

[3]. Mitsuaki Okayama, et al. Protective effect of lafutidine, a novel histamine H2-receptor antagonist, on dextran sulfate sodium-induced colonic inflammation through capsaicin-sensitive afferent neurons in rats. Dig Dis Sci. 2004 Oct;49(10):1696-704.

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