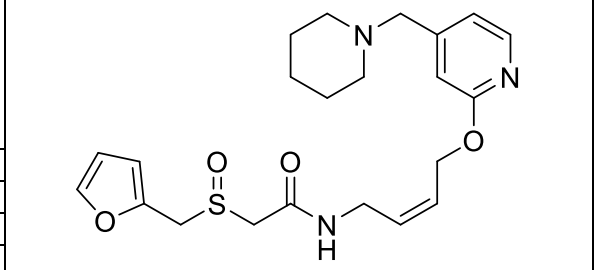
Product data sheet

MedKoo Cat#: 319528 Name: Lafutidine free base CAS: 118288-08-7 (free base) Chemical Formula: $C_{22}H_{29}N_3O_4S$ Exact Mass: 431.1879 Molecular Weight: 431.551	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Lafutidine is a second generation histamine H2 receptor antagonist having multimodal mechanism of action and used to treat gastrointestinal disorders. It is marketed in Japan and India. Like other H2 receptor antagonists it prevents the secretion of gastric acid. It also activates calcitonin gene related peptide, resulting in the stimulation of nitric oxide (NO) and regulation of gastric mucosal blood flow, increases somatostatin levels also resulting in less gastric acid secretion, causes the stomach lining to generate more mucin, inhibits neutrophil activation thus preventing injury from inflammation, and blocks the attachment of H. pylori to gastric cells.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	5.0	11.59
DMSO	48.67	112.77
DMSO:PBS (pH 7.2) (1:10)	0.09	0.21
Ethanol	9.0	20.86

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.32 mL	11.59 mL	23.17 mL
5 mM	0.46 mL	2.32 mL	4.63 mL
10 mM	0.23 mL	1.16 mL	2.32 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Kunieda K, Someya A, Horie S, Ajioka H, Murayama T. Lafutidine-induced increase in intracellular ca(2+) concentrations in PC12 and endothelial cells. J Pharmacol Sci. 2005 Jan;97(1):67-74. doi: 10.1254/jphs.fpj04042x. Epub 2005 Jan 15. PMID: 15655292.
2. Nozawa Y, Nishihara K, Akizawa Y, Orimoto N, Nakano M, Uji T, Ajioka H, Kanda A, Matsuura N, Kiniwa M. Lafutidine inhibits Helicobacter pylori-induced interleukin-8 production in human gastric epithelial cells. J Gastroenterol Hepatol. 2004 May;19(5):506-11. doi: 10.1111/j.1440-1746.2003.03330.x. PMID: 15086593.

In vivo study

1. Sano T, Utsumi D, Amagase K, Matsumoto K, Tominaga M, Higuchi K, Takeuchi T, Kato S. Lafutidine, a histamine H2 receptor antagonist with mucosal protective properties, attenuates 5-fluorouracil-induced intestinal mucositis in mice through activation of extrinsic primary afferent neurons. J Physiol Pharmacol. 2017 Feb;68(1):79-90. PMID: 28456772.

2. Nakano M, Kitano S, Nanri M, Kiniwa M. Lafutidine, a unique histamine H2-receptor antagonist, inhibits distention-induced gastric acid secretion through an H2 receptor-independent mechanism. Eur J Pharmacol. 2011 May 11;658(2-3):236-41. doi: 10.1016/j.ejphar.2011.02.007. Epub 2011 Feb 22. PMID: 21349265.

7. Bioactivity

Biological target:

Lafutidine (FRG-8813) is a histamine H2-receptor antagonist (H2RA).

In vitro activity

Lafutidine at pharmacological concentrations greater than 1 mM induced a sustained increase in [Ca(2+)](i) in the presence of extracellular CaCl(2) in PC12 cells, while capsaicin showed dual effects on [Ca(2+)](i) in PC12 cells, where it activated TRPV1 and inhibited store-operated Ca(2+) entry. These results suggest that lafutidine stimulates Ca(2+) entry via the capsaicin-sensitive pathway but not the SKF96365-sensitive pathway.

Reference: J Pharmacol Sci. 2005 Jan;97(1):67-74. https://pubmed.ncbi.nlm.nih.gov/15655292/

In vivo activity

Daily administration of lafutidine reduced the severity of intestinal mucositis, diarrhea and body weight loss in a dose-dependent manner, while famotidine had no effect on intestinal mucositis. The preventive effects of lafutidine were completely abolished in sensory deafferented and TRPV1-KO mice. Lafutidine significantly suppressed 5-FU-increased MPO activity and inflammatory cytokine expression on day 6, but not apoptosis induction in intestinal crypts on day 1.

Reference: J Physiol Pharmacol. 2017 Feb;68(1):79-90. https://pubmed.ncbi.nlm.nih.gov/28456772/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.