PRODUCT INFORMATION



Lafutidine

Item No. 30275

CAS Registry No.: 118288-08-7

Formal Name: (+)-2-[(2-furanylmethyl)sulfinyl]-N-

[(2Z)-4-[[4-(1-piperidinylmethyl)-2-

pyridinyl]oxy]-2-buten-1-yl]-acetamide

Synonyms: FRG 8813, (+)-Lafutidine

MF: $C_{22}H_{29}N_3O_4S$

FW: 431.5 **Purity:** ≥98% Supplied as: A solid Storage: -20°C Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Lafutidine is supplied as a solid. A stock solution may be made by dissolving the lafutidine in the solvent of choice, which should be purged with an inert gas. Lafutidine is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of lafutidine in ethanol and DMF is approximately 5 mg/ml and approximately 10 mg/ml in DMSO.

Lafutidine is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lafutidine should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lafutidine has a solubility of approximately 0.09 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Lafutidine is a histamine H₂ receptor antagonist with gastroprotective activity.¹ It inhibits histamine-induced cAMP production in CHO cells expressing human histamine H2 receptors when used at a concentration of 10 nM. Intragastric administration of lafutidine (3, 10, and 30 mg/kg) reduces hemorrhagic esophageal lesion size and gastric acid secretion in a rat model of pyloric ligation-induced reflux esophagitis.² It prevents 5-fluorouracil-induced intestinal mucositis, diarrhea, and body weight loss in wild-type, but not Trpv1^{-/-} or sensory deafferented, mice when administered at doses ranging from 3 to 30 mg/kg.3 Lafutidine (10 mg/kg) also reduces indomethacin-induced antral ulcer size in wild-type, but not chemically-deafferented, rats.4

References

- 1. Fukushima, Y., Otsuka, H., Ishikawa, M., et al. Potent and long-lasting action of lafutidine on the human histamine H₂ receptor. Digestion **64(3)**, 155-160 (2001).
- Nagahama, K., Yamato, M., Kato, S., et al. Protective effect of lafutidine, a novel H₂-receptor antagonist, on reflux esophagitis in rats through capsaicin-sensitive afferent neurons. J. Pharmacol. Sci. 93(1), 55-61
- 3. Sano, T., Utsumi, D., Amagase, K., et al. 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. 68(1), 79-90 (2017).
- Onodera, S., Shibata, M., Tanaka, M., et al. Gastroprotective mechanism of lafutidine, a novel anti-ulcer drug with histamine H2-receptor antagonistic activity. Arzneimittelforschung 49(6), 519-526 (1999).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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