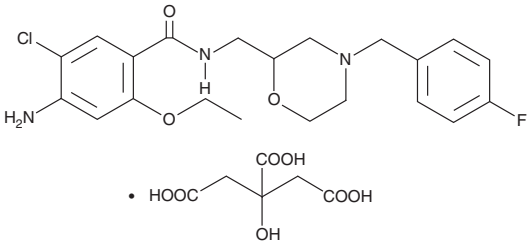
PRODUCT INFORMATION

Mosapride (citrate)

Item No. 30048

CAS Registry No.: 112885-42-4
Formal Name: 4-amino-5-chloro-2-ethoxy-N-[[4-[(4-fluorophenyl)methyl]-2-morpholinyl]methyl]-benzamide, 2-hydroxy-1,2,3-monopropanetricarboxylate
Synonym: AS-4370
MF: $C_{21}H_{25}ClFN_3O_3 \bullet C_6H_8O_7$
FW: 614.0
Purity: ≥98%
UV/Vis.: λ_{max}: 212, 232, 274, 309 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

Mosapride (citrate) is supplied as a solid. A stock solution may be made by dissolving the mosapride (citrate) in the solvent of choice, which should be purged with an inert gas. Mosapride (citrate) is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of mosapride (citrate) in these solvents is approximately 15 and 20 mg/ml, respectively.

Mosapride (citrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, mosapride (citrate) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Mosapride (citrate) has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Mosapride is an agonist of the serotonin (5-HT) receptor subtype 5-HT_4 (K_i = 69.9 nM).[1,2] It is selective for 5-HT_4 over 5-HT_1, 5-HT_2, dopamine D_2, and α_1- and α_2-adrenergic receptors but acts as a partial antagonist at 5-HT_3 (K_i = 1,189 nM).[1,2] It induces relaxation of precontracted rat esophageal thoracic muscularis mucosa preparations and enhances electrically evoked contractions in isolated guinea pig ileum (EC_{50}s = 208.4 and 73.2 nM, respectively).[3] Mosapride (0.5 and 1 mg/kg, i.v.) increases antral and duodenal, but not ileal or colonic, motility in conscious dogs.[1] It also increases gastric emptying in rats when administered at doses ranging from 0.03 to 30 mg/kg.

References

1. Yoshida, N., Omoya, H., Oka, M., *et al.* AS-4370, a novel gastrokinetic agent free of dopamine D2 receptor antagonist properties. *Arch. Int. Pharmacodyn. Ther.* **300**, 51-67 (1989).
2. Hirokawa, Y., Fujiwara, I., Suzuki, K., *et al.* Synthesis and structure-affinity relationships of novel *N*-(1-ethyl-4-methylhexahydro-1,4-diazepin-6-yl)pyridine-3-carboxamides with potent serotonin 5-HT_3 and dopamine D_2 receptor antagonistic activity. *J. Med. Chem.* **46(5)**, 702-715 (2003).
3. Mine, Y., Yoshikawa, T., Oku, S., *et al.* Comparison of effect of mosapride citrate and existing 5-HT_4 receptor agonists on gastrointestinal motility *in vivo* and *in vitro*. *J. Pharmacol. Exp. Ther.* **283(3)**, 1000-1008 (1997).

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