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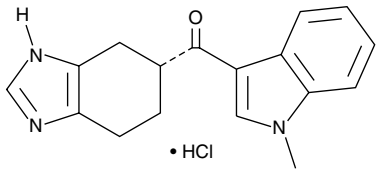
Product Information

Ramosetron (hydrochloride)

Item No. 15548

CAS Registry No.: 132907-72-3
Formal Name: (1-methyl-1H-indol-3-yl)[(6R)-4,5,6,7-tetrahydro-1H-benzimidazol-6-yl]-methanone, monohydrochloride
MF: $C_{17}H_{17}N_3O \cdot HCl$
FW: 315.8
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 212, 244, 306 nm



Laboratory Procedures

For long term storage, we suggest that ramosetron (hydrochloride) be stored as supplied at -20°C. It should be stable for at least two years.

Ramosetron (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the ramosetron (hydrochloride) in the solvent of choice. Ramosetron (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of ramosetron (hydrochloride) in these solvents is approximately 1, 20, and 10 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of ramosetron (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of ramosetron (hydrochloride) in PBS, pH 7.2, is approximately 0.1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Ramosetron is a potent and selective antagonist of the serotonin (5-HT) receptor subtype 5-HT_3 (K_i = 0.06 nM).[1-2] It has little or no effect at other 5-HT receptor subtypes or at adrenergic or histamine receptors.[1] Ramosetron competitively blocks serotonin-mediated contraction of the colon.[1] It has applications in ameliorating diarrhea-predominant inflammatory bowel syndrome and postoperative nausea and vomiting.[3-4]

References

1. Miyata, K., Kamato, T., Nishida, A., *et al.* Pharmacologic profile of (R)-5-[(1-methyl-3-indolyl)carbonyl]-4,5,6,7-tetrahydro-1H-benzimidazol hydrochloride (YM060), a potent and selective 5-hydroxytryptamine$_3$ receptor antagonist, and its enantiomer in the isolated tissue. *J. Pharmacol. Exp. Ther.* **259(1)**, 15-21 (1991).
2. Manning, D.D., Cioffi, C.L., Usyatinsky, A., *et al.* Novel serotonin type 3 receptor partial agonists for the potential treatment of irritable bowel syndrome. *Bioorg. Med. Chem. Lett.* **21(1)**, 58-61 (2011).
3. Manabe, N., Rao, A.S., Wong, B.S., *et al.* Emerging pharmacologic therapies for irritable bowel syndrome. *Curr. Gastroenterol. Rep.* **12(5)**, 408-416 (2010).
4. Mihara, T., Tojo, K., Uchimoto, K., *et al.* Reevaluation of the effectiveness of ramosetron for preventing postoperative nausea and vomiting: A systematic review and meta-analysis. *Anesth. Analg.* **117(2)**, 329-339 (2013).

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