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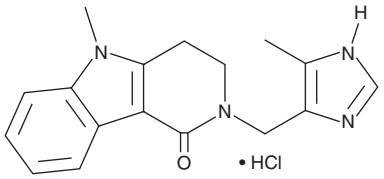
PRODUCT INFORMATION

Alosetron (hydrochloride)

Item No. 22434

CAS Registry No.: 122852-69-1
Formal Name: 2,3,4,5-tetrahydro-5-methyl-2-[(4-methyl-1H-imidazol-5-yl)methyl]-1H-pyrido[4,3-b]indol-1-one, monohydrochloride
Synonym: GR 68755
MF: $C_{17}H_{18}N_4O \bullet HCl$
FW: 330.8
Purity: ≥98%
UV/Vis.: λ_{max}: 218, 259, 294 nm
Supplied as: A crystalline 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

Alosetron (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the alosetron (hydrochloride) in the solvent of choice. Alosetron (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of alosetron (hydrochloride) in these solvents is approximately 0.5, 20, and 10 mg/ml, respectively.

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

Description

Alosetron is an antagonist of the serotonin (5-HT) receptor subtype 5-HT_3 (K_is = 0.3 and 0.4 nM for the rat cortical and human recombinant receptors, respectively).[1] It is selective for 5-HT_3 over 5-HT_2 and 5-HT_{1A} receptors (K_is = 6.3 and >100 µM, respectively), as well as α_1-, β_1-, and β_2- adrenergic, M_1, M_2, and M_3 muscarinic, $GABA_A$, dopamine D_2, and nicotinic receptors at 10 µM.[2] Alosetron (0.3-10 nM) inhibits 5-HT-induced depolarization of isolated rat vagus nerve.[1] It reduces wrap restraint stress-induced defecation and the number of abdominal muscle contractions in a rat model of irritable bowel syndrome with diarrhea (IBS-D) when administered at a dose of 0.1 mg/kg.[3] Formulations containing alosetron have been used in the treatment of diarrhea-predominant irritable bowel syndrome in women.

References

1. Humphrey, P.P., Bountra, C., Clayton, N., *et al. Ailment Pharmacol. Ther.* **13(Suppl 2)**, 31-38 (1999).
2. Kilpatrick, G.J., Hagan, R.M., Butler, A., *et al. Glaxo Grp. Res. Ltd.*, 259P (1991).
3. Taquichi, R., Shilkata, K., Furuya, Y., *et al. Psychoneuroendocrinology* **75**, 110-115 (2017).

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