Alosetron (hydrochloride)

**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:** C₁₇H₁₈N₄O • HCl  
**FW:** 330.8  
**Purity:** ≥98%  
**UV/Vis.:** λₘₐₓ: 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 a potent and selective antagonist of the serotonin (5-HT) receptor subtype 5-HT₃ with Kᵢ values of 0.3 and 0.4 nM at the rat cortical and human recombinant receptors, respectively. It has no activity at α₁-, β₁-, and β₂- adrenergic, M₁, M₂, and M₃ muscarinic, GABA, D₂ dopamine, or nicotinic receptors at concentrations up to 10 μM. In vitro, alosetron blocks activation of 5-HT₃ receptors, which prevents depolarization of the isolated rat vagus nerve (Kᵢ = 0.2 nM). Alosetron (0.1 mg/kg) 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). Formulations containing alosetron are in clinical trials for treatment of severe IBS-D in women.

**References**