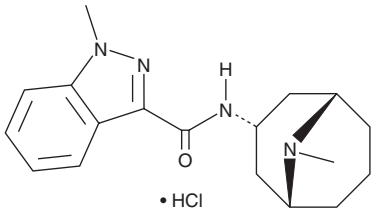
PRODUCT INFORMATION

Granisetron (hydrochloride)

Item No. 21239

CAS Registry No.:	107007-99-8
Formal Name:	1-methyl-N-[(3-endo)-9-methyl-9-azabicyclo[3.3.1]non-3-yl]-1H-indazole-3-carboxamide, monohydrochloride
Synonym:	BRL 43694
MF:	$C_{18}H_{24}N_4O$ • HCl
FW:	348.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 208, 301 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Laboratory Procedures

Granisetron (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the granisetron (hydrochloride) in the solvent of choice. Granisetron (hydrochloride) is slightly soluble in ethanol, which should be purged with an inert gas.

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 granisetron (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of granisetron (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Granisetron is an antagonist of the serotonin (5-HT) receptor subtype 5-HT_3 (K_i = 3.9 nM) with antiemetic activity.[1,2] It is selective for 5-HT_3 over 5-HT_4 receptors (K_i = >1,000 nM).[1] Granisetron (0.3, 1, and 3 mg/kg, p.o.) increases the latency to first vomiting episode and reduces the number of vomiting episodes in a canine model of emesis induced by cisplatin (Item No. 13119).[2] It also increases the latency to first vomiting episode and reduces the number of vomiting and retching episodes in a ferret model of emesis induced by doxorubicin (Item No. 15007) and cyclophosphamide (Item No. 13849) when administered at doses of 0.1, 0.3, and 1 mg/kg. Formulations containing granisetron have been used in the prevention of nausea and vomiting associated with chemotherapy.

References

1. López-Rodriguez, M.L., Benhamś, B., Morcillo, M.J., *et al.* Benzimidazole derivatives. 2. Synthesis and structure-activity relationships of new azabicyclic benzimidazole-4-carboxylic acid derivatives with affinity for serotoninergic 5-HT_3 receptors. *J. Med. Chem.* **42(24)**, 5020-5028 (1999).
2. Haga, K., Inaba, K., Shoji, H., *et al.* The effects of orally administered Y-25130, a selective serotonin$_3$-receptor antagonist, on chemotherapeutic agent-induced emesis. *Jpn. J. Pharmacol.* **63(3)**, 377-383 (1993).

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