

Granisetron (Hydrochloride)

Catalog No: tcsc1510



Available Sizes

Size: 50mg

Size: 100mg



Specifications

CAS No:

107007-99-8

Formula:

$C_{18}H_{25}ClN_4O$

Pathway:

Neuronal Signaling;GPCR/G Protein

Target:

5-HT Receptor;5-HT Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

BRL 43694A

Observed Molecular Weight:

348.87

Product Description

Granisetron Hcl(BRL 43694A) is a serotonin 5-HT₃ receptor antagonist used as an antiemetic to treat nausea and vomiting following chemotherapy.

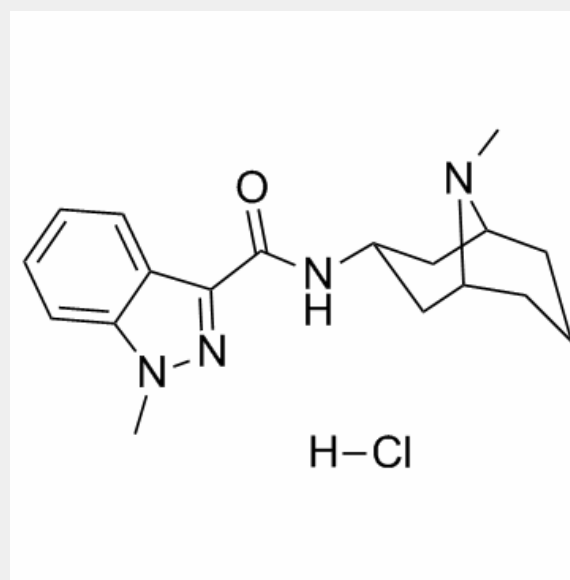
IC50 Value: 17uM (GR reduced 5-HT-evoked contractions) [1]

Target: 5-HT3 receptor

in vitro: In rat forestomach GR reduced 5-HT-evoked contractions at IC50 17 /- 6 uM. In isolated rabbit heart, GR 0.003-0.03 nM dose-dependently reduced s-HT tachycardia; at high levels GR reduced submaximal and maximal responses to 5-HT [1].

in vivo: Leukocyte accumulation was dose-dependently inhibited by granisetron both at 6 and 72 h after induction of inflammation. Granisetron increased PGE(2) level at a lower dose (50 microg/pouch) but higher doses (100 and 200 microg/pouch) inhibited the release. At the same time, TNFalpha production was decreased by the lower dose and increased by higher doses of granisetron in a reciprocal fashion [2]. The GTDS displayed non-inferiority to oral granisetron: complete control was achieved by 60% of patients in the GTDS group, and 65% in the oral granisetron group (treatment difference, -5%; 95% confidence interval, -13-3). Both treatments were well tolerated, the most common adverse event being constipation [3].

Clinical trial: Effect of External Heat on a Transdermal Granisetron Patch in Pharmacokinetics (PK) of Healthy Subjects. Phase 1



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