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## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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# Granisetron

**Cat. No.:** HY-B0071

**CAS No.:** 109889-09-0

**Molecular Formula:** $C_{18}H_{24}N_4O$

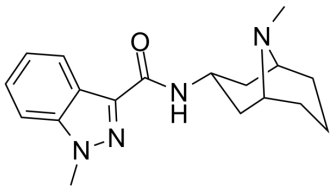
**Molecular Weight:** 312.41

**Target:** 5-HT Receptor

**Pathway:** GPCR/G Protein; Neuronal Signaling

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 25 mg/mL (80.02 mM; ultrasonic and warming and heat to 60°C)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.2009 mL | 16.0046 mL | 32.0092 mL |
| | 5 mM | 0.6402 mL | 3.2009 mL | 6.4018 mL |
| | 10 mM | 0.3201 mL | 1.6005 mL | 3.2009 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.00 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

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

**$IC_{50}$ & Target**

$5\text{-}HT_3$ Receptor
17 μM ($IC_{50}$)

**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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES


[1]. Sanger GJ, Nelson DR. Selective and functional 5-hydroxytryptamine3 receptor antagonism by BRL 43694 (granisetron). Eur J Pharmacol. 1989 Jan 10;159(2):113-24.

[2]. Maleki-Dizaji N, Eteraf-Oskouei T, Fakhrjou A, The effects of 5HT3 receptor antagonist granisetron on inflammatory parameters and angiogenesis in the air-pouch model of inflammation. Int Immunopharmacol. 2010 Sep;10(9):1010-6.

[3]. Boccia RV, Gordan LN, Clark G, Efficacy and tolerability of transdermal granisetron for the control of chemotherapy-induced nausea and vomiting associated with moderately and highly emetogenic multi-day chemotherapy: a randomized, double-blind, phase III





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