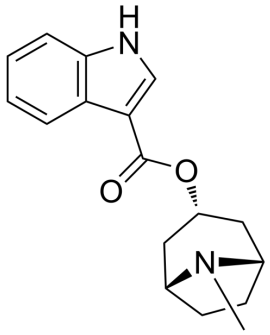
Tropisetron



Cat. No.:	HY-B0072
CAS No.:	89565-68-4
Molecular Formula:	$C_{17}H_{20}N_2O_2$
Molecular Weight:	284.35
Target:	5-HT Receptor; nAChR
Pathway:	GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 46.67 mg/mL (164.13 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (9.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (9.67 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (9.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tropisetron (SDZ-ICS-930 free base) is a selective 5-HT3 receptor antagonist and α7-nicotinic receptor agonist with an IC50 of 70.1 ± 0.9 nM for 5-HT3 receptor. IC50 value: 70.1 ± 0.9 nM [1]Target: 5-HT3 receptorin vitro: Tropisetron specifically inhibited both IL-2 gene transcription and IL-2 synthesis in stimulated T cells. tropisetron inhibited both the binding to DNA and the transcriptional activity of NFAT and AP-1. We also observed that tropisetron is a potent inhibitor of PMA plus ionomycin-induced NF-(kappa)B activation but in contrast TNF(alpha)-mediated NF-(kappa)B activation was not affected by this antagonist [2]. Tropisetron prevents the phosphorylation and thus activation of the p38 MAPK, which is involved in post-transcriptional regulation of various cytokines [3].in vivo: Two different doses of tropisetron (5 and 10 mg/kg) or vehicle were administered intraperitoneally 30 min before pMCAO. Neurological deficit scores, mortality rate and infarct volume were determined 24 h after permanent focal cerebral ischemia [4].

IC_{50} & Target		
	5-HT_3 Receptor 70.1 nM (IC_{50})	α7

CUSTOMER VALIDATION

- Int J Neuropsychopharmacol. 2019 Sep 1;22(9):574-584.

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REFERENCES

[1]. Macor JE, et al. The 5-HT3 antagonist tropisetron (ICS 205-930) is a potent and selective alpha7 nicotinic receptor partial agonist. Bioorg Med Chem Lett. 2001 Feb 12;11(3):319-21.

[2]. Vega Lde L, et al. The 5-HT3 receptor antagonist tropisetron inhibits T cell activation by targeting the calcineurin pathway. Biochem Pharmacol. 2005 Aug 1;70(3):369-80.

[3]. Stratz C, et al. The anti-inflammatory effects of the 5-HT? receptor antagonist tropisetron are mediated by the inhibition of p38 MAPK activation in primary human monocytes. Int Immunopharmacol. 2012 Aug;13(4):398-402.

[4]. Candelario-Jalil E, et al. Detrimental effects of tropisetron on permanent ischemic stroke in the rat. BMC Neurosci. 2008 Feb 6;9:19.

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