

Product Data Sheet

Tropisetron Hydrochloride

Cat. No.: HY-B0020 CAS No.: 105826-92-4 Molecular Formula: $C_{17}H_{21}ClN_2O_2$

Target: 5-HT Receptor

Molecular Weight:

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

320.81

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro $H_2O : \ge 50 \text{ mg/mL } (155.86 \text{ mM})$

DMSO: 33.33 mg/mL (103.89 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.1171 mL	15.5855 mL	31.1711 mL
	5 mM	0.6234 mL	3.1171 mL	6.2342 mL
	10 mM	0.3117 mL	1.5586 mL	3.1171 mL

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

In Vivo

1. Add each solvent one by one: PBS

Solubility: 120 mg/mL (374.05 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Tropisetron Hydrochloride (SDZ-ICS-930) is a selective 5-HT3 receptor antagonist and α 7-nicotinic receptor agonist with an IC50 of 70.1 ± 0.9 nM for 5-HT3 receptor.IC50 value: 70.1 ± 0.9 nMTarget: 5-HT3 receptor; α 7-nicotinic receptorin vitro: Retinal ganglion cells(RGCs) pretreated with 100 nM tropisetron before glutamate increased cell survival to an average of 105% compared to controls. Inhibition studies using the alpha7 nAChR antagonist, MLA (10 nM), support the hypothesis that tropisetron is an effective neuroprotective agent against glutamate-induced excitotoxicity; mediated by α 7 nAChR activation. Tropisetron had no discernible effects on pAkt levels but significantly decreased p38 MAPK levels associated with excitotoxicity from an average of 15 ng/ml to 6 ng/ml [2]. Tropisetron, but not granisetron, significantly inhibits the phosphatase activity of calcineurin, over-expresses the CB(1) receptors at both transcriptional and protein levels, and reduces cAMP content in cerebellar granule neurons (CGNs) [4].in vivo: Animals were treated intracerebroventricularly with tropisetron, mCPBG (selective 5-HT3 receptor agonist) or mCPBG plus tropisetron on days 1, 3, 5 and 7. Tropisetron significantly diminished the elevated levels of these markers and reversed the cognitive deficit. Interestingly, tropisetron was also found to be a potent inhibitor of calcineurin phosphatase activity [1]. tropisetron (5mg/kg/day) plus mCPBG

	(10mg/kg/day), and granisetron (5mg/kg/day) intraperitoneally on days 3-35 post-immunization. Treatment with tropisetron and granisetron markedly suppressed the clinical symptoms of EAE (p<0.001) and reduced leukocyte infiltration as well as demyelination in the spinal cord (p<0.05) [3].	
IC ₅₀ & Target	5-HT_3 Receptor $70.1\mathrm{nM}$ (IC $_{50}$)	

CUSTOMER VALIDATION

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

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REFERENCES

- [1]. Rahimian R, et al. Tropisetron attenuates amyloid-beta-induced inflammatory and apoptotic responses in rats. Eur J Clin Invest. 2013 Oct;43(10):1039-51.
- [2]. Swartz MM, et al. Tropisetron as a neuroprotective agent against glutamate-induced excitotoxicity and mechanisms of action. Neuropharmacology. 2013 Oct;73:111-21.
- [3]. Aminian A, et al. Tropisetron diminishes demyelination and disease severity in an animal model of multiple sclerosis. Neuroscience. 2013 Jun 15;248C:299-306.
- [4]. Rahimian R, et al. Tropisetron upregulates cannabinoid CB1 receptors in cerebellar granule cells: possible involvement of calcineurin. Brain Res. 2011 Oct 12;1417:1-8.

Caution: Product has not been fully validated for medical applications. For research use only.

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