



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: 托烷司琼

产品别名: Tropisetron; SDZ-ICS-930 free base

生物活性:						
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 receptor in 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].				
		In Vitro: DMSO : ≥ 100 mg/mL (351.68 mM) H₂O : ≥ 55 mg/mL (193.42 mM) * "≥" means soluble, but saturation unknown.				
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	此方案可获得 ≥ 2.75 mg/mL (9.67 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水溶液中, 混合均匀。 3.请依序添加每种溶剂: 10% DMSO $\rightarrow$ 90% corn oil Solubility: ≥ 2.75 mg/mL (9.67 mM); Clear solution 此方案可获得 ≥ 2.75 mg/mL (9.67 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例, 取 100 μL 27.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Macor JE, et al. The 5-HT₃ antagonist tropisetron (ICS 205-930) is a potent and selective α7 nicotinic receptor partial agonist. <i>Bioorg Med Chem Lett</i>. 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. <i>Biochem Pharmacol</i>. 2005 Aug 1;70(3):369-80. [3]. Stratz C, et al. The anti-inflammatory effects of the 5-HT₃ receptor antagonist tropisetron are mediated by the inhibition of p38 MAPK activation in primary human monocytes. <i>Int Immunopharmacol</i>. 2012 Aug;13(4):398-402. [4]. Candelario-Jalil E, et al. Detrimental effects of tropisetron on permanent ischemic stroke in the rat. <i>BMC Neurosci</i>. 2008 Feb 6;9:19.

源叶生物