Product Data Sheet

Product Name: Methiothepin maleate
Cat. No.: GC17360

Chemical Properties

Cas. No.	19728-88-2		
Chemical Name	(R)-1-methyl-4-(8-(methylthio)-10,11-dihydrodibenzo[b,f]thiepin-10-yl)piperazine maleate		
SMILES	CN1CCN(CC1)[C@@H](C2=C3)CC4=CC=CC=C4SC2=CC=C3SC.OC(/C=C\C(O)=O)=O		
Formula	$C_{20}H_{24}N_2S_2.C_4H_4O_4$	M.Wt	472.62
Solubility	0.5mg/mL in ethanol, 30mg/mL in DMSO, or in DMF	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Methiothepin maleate		

Background

Methiothepin is a non-selective antagonist of the serotonin (5-HT) receptor.[1] It is a potent antagonist of 5-HT1A and 5-HT1B (IC50 = 16 nM and Ki = 0.2 nM, respectively) as well as 5-HT2A, 5-HT2B, and 5-HT2C receptors (Kis = 3.16, 2.08, and 4.46 nM, respectively).[2],[3],[4] Methiothepin binds to 5-HT5A, 5-HT5B, 5-HT6, and 5-HT7 receptors with Kd values of 100, 15.8, 1.8, and 1 nM, respectively.1 It has been used to characterize the role of serotonin in various biological processes, including renal vasodilation and gastrointestinal function.[5],[6]

Reference:
[1]. Hoyer, D., Clarke, D.E., Fozard, J.R., et al. International Union of Pharmacology classification of receptors for 5-hydroxytryptamine (Serotonin). Pharmacol. Rev. 46(2), 157-203 (1994).
[2]. Lovenberg, T.W., Baron, B.M., de Lecea, L., et al. A novel adenylyl cyclase-activating

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serotonin receptor (5-HT7) implicated in the regulation of mammalian circadian rhythms. Neuron 11(3), 449-458 (1993).
[3]. Hamblin, M.W., Metcalf, M.A., McGuffin, R.W., et al. Molecular cloning and functional characterization of a human 5-HT1B serotonin receptor: A homologue of the rat 5-HT1B receptor with 5-HT1D-like pharmacological specificity. Biochem. Bioph. Res. Commun. 184(2), 752-759 (1992).
[4]. Knight, A.R., Misra, A., Quirk, K., et al. Pharmacological characterisation of the agonist radioligand binding site of 5-HT2A, 5-HT2B and 5-HT2C receptors. Naunyn Schmiedebergs Arch. Pharmacol. 370(2), 114-123 (2004).
[5]. García-Pedraza, J.Á., García, M., Martín, M.L., et al. Pharmacological evidence that 5-HT1D activation induces renal vasodilation by NO pathway in rats. Clin. Exp. Pharmacol. Physiol. 42(6), 640-647 (2015).
[6]. Varanasi, S., Chi, J., and Stephens, R.L., Jr. Methiothepin attenuates gastric secretion and motility effects of vagal stimulants at the dorsal vagal complex. Eur. J. Pharmacol. 436(1-2), 67-73 (2002).