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产品名称：马来酸三甲丙咪嗪
产品别名：Trimipramine maleate

生物活性：

Description	Trimipramine maleate is a 5-HT receptor antagonist, with pK_is of 6.39, 8.10, 4.66 for 5-HT_{1C}, 5-HT_2 and 5-HT_{1A}, respectively.		
IC_{50} & Target	5-HT_{1C} Receptor	5-HT_2 Receptor	sPLA2
	6.39 (pKi)	8.10 (pKi)	4.66 (pKi)
In Vitro	Trimipramine displays much higher affinity for 5-HT_2 than for 5-HT_{1C} receptors[1].		
In Vivo	The chronic administration of Trimipramine (5 mg/kg/day), as delivered by the osmotic minipump in 14 days produce significant increases in the regional concentration of 5-HT. The increases are highest in the frontal cortex and the hippocampus, followed by the olfactory tubercles and the hypothalamus. The Trimipramine treatment also produces marked increases in brain 5-HIAA concentrations ranging from 63% in the hippocampus to 25% in the nucleus accumbens with intermediate values for the hypothalamus, olfactory tubercles, frontal cortex and nucleus accumbens. Trimipramine treatment produces significant increases in DA concentrations in the nucleus accumbens, striaturn, and olfactory tubercles reaching 43, 21 and 11% respectively. Chronic administration of Trimipramine produces a marked reduction in the number of frontal cortex 5-HT_2 and striatal DA D_2 receptors. The chronic administration of Trimipramine produces an increase in the brain regional level of monoamines and metabolites indicating a greater synthesis rate for DA and 5-HT coinciding with an adaptive down regulation of 5-HT_2 and DA D_2 receptors[2].		

Solvent&Solubility

In Vitro:

DMSO : ≥ 31 mg/mL (75.52 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4360 mL	12.1800 mL	24.3599 mL
5 mM	0.4872 mL	2.4360 mL	4.8720 mL
10 mM	0.2436 mL	1.2180 mL	2.4360 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Jenck F, et al. Evidence for a role of 5-HT1C receptors in the antiserotonergic properties of some antidepressant drugs. Eur J Pharmacol. 1993 Feb 9;231(2):223-9.

[2]. Juorio AV, et al. The effects of chronic trimipramine treatment on biogenic amine metabolism and on dopamine D2, 5-HT2 and tryptamine binding sites in rat brain. Gen Pharmacol. 1990;21(5):759-62.

实验参考：

	Rats[2] Male Wistar rats weighing 220-250 g at the beginning of the experiment are used. The animals, housed in groups of 6-8 have free access to food and water and are kept on a 12 hr light/dark cycle

Animal Administration	(light on at 6a.m.) at a temperature. The rats are anaesthetized with pentobarbital (50 mg/kg i.p.) and an osmotic minipump is implanted subcutaneously in the dorsal thoracic interscapular region. Each pump delivers 5 mg/kg/day of Trimipramine or amitriptyline. Control rats are implanted with pumps filled with 0.9%, saline. The total volume of solution in the pump is sufficient for at least 14 days delivery of drugs. After implantation, the incision is cleaned and sutured and the animals kept warm until they recovered from anaesthesia[2].
References	[1]. Jenck F, et al. Evidence for a role of 5-HT1C receptors in the antiserotonergic properties of some antidepressant drugs. Eur J Pharmacol. 1993 Feb 9;231(2):223-9. [2]. Juorio AV, et al. The effects of chronic trimipramine treatment on biogenic amine metabolism and on dopamine D2, 5-HT2 and tryptamine binding sites in rat brain. Gen Pharmacol. 1990;21(5):759-62.