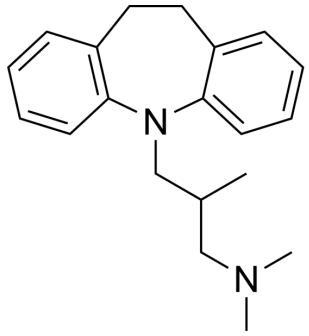
Trimipramine

Cat. No.:	HY-B1213A
CAS No.:	739-71-9
Molecular Formula:	$C_{20}H_{26}N_2$
Molecular Weight:	294.43
Target:	5-HT Receptor; Bacterial
Pathway:	GPCR/G Protein; Neuronal Signaling; Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Trimipramine is a 5-HT receptor antagonist, with pK_i binding values of 6.39, 8.10, 4.66 for 5-HT_{1C}, 5-HT_2 and 5-HT_{1A}, respectively. Trimipramine is also a potent and selective inhibitor targeting human noradrenaline (hNAT), serotonin (hSERT) and organic cation transporters (hOCT1, hOCT2) with IC_{50} values of 4.99 μM, 2.11 μM, 3.72 μM, 8.00 μM, respectively. Trimipramine has vascular activity and anxiolytic efficacy[1][2][3].

IC_{50} & Target			
	5-HT_{1C} Receptor 6.39 (pKi)	5-HT_2 Receptor 8.10 (pKi)	5-HT_{1A} Receptor 4.66 (pKi)

In Vitro

Trimipramine displays much higher affinity for 5-HT_2 than for 5-HT_{1C} receptors[1].

Trimipramine is a moderate inhibitor of the human NAT and SERT, with the IC_{50} values of 4.99 μM and 2.11 μM, respectively [2].

SERT and NAT could represent a target for the antidepressant effects of trimipramine (1 mM, 0.1 mM, 0.01 mM, 1 μM, 0.1 μM; 10 min; HEK293 cells)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Trimipramine (5 mg/kg/d; 14 d; chronic administration) acts as functions in rats:1. Increasing concentration of regional 5-HT. 5-HT is highest in the frontal cortex and the hippocampus, followed by the olfactory tubercles and the hypothalamus. 2. Decreasing the number of frontal cortex 5-HT_2 and striatal DA D_2 receptors. 3. Increasing in the brain regional level of monoamines and metabolites. thus indicates a greater synthesis rate for dopamine (DA) and 5-HT coinciding with an adaptive down regulation of 5-HT_2 and DA D_2 receptors[3].

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Animal Model:	Male Wistar rats (220-250 g); implanted osmotic minipump subcutaneously in the dorsal thoracic interscapular region[3]
Dosage:	5 mg/kg/day
Administration:	Delivered by smotic minipump; 14 days
Result:	Decreased the number of frontal cortex 5-HT_2 and striatal DA D_2 receptors, thus blocked the uptake of 5-HT and dopamine (DA).

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.

[3]. Haenisch B, et al. Inhibitory potencies of trimipramine and its main metabolites at human monoamine and organic cation transporters. Psychopharmacology (Berl). 2011 Sep. 217(2):289-95.

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