Trimipramine maleate

Cat. No.: HY-B1213

CAS No.: 521-78-8

Molecular Formula: $C_{24}H_{30}N_2O_4$

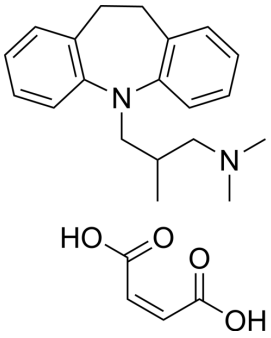
Molecular Weight: 410.51

Target: 5-HT Receptor; Bacterial

Pathway: GPCR/G Protein; Neuronal Signaling; Anti-infection

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

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (243.60 mM)

H_2O : 14.29 mg/mL (34.81 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / 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

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 5.88 mg/mL (14.32 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Trimipramine maleate 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[1]. Trimipramine maleate 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[2]. Trimipramine maleate has vascular activity and anxiolytic efficacy[3].

IC_{50} & Target	5-HT_{1C} Receptor 6.39 (pKi)	5-HT_2 Receptor 8.10 (pKi)	sPLA2 4.66 (pKi)

In Vitro

Trimipramine maleate displays much higher affinity for 5-HT_2 than for 5-HT_{1C} receptors[1].
?Trimipramine maleate 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 maleate (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 maleate (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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. 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.

[2]. 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.

[3]. 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.

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