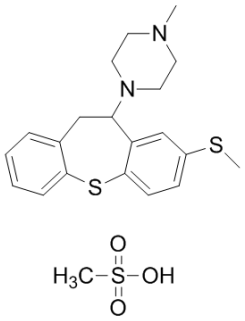
Methiothepin mesylate

Cat. No.:	HY-107836
CAS No.:	74611-28-2
Molecular Formula:	$C_{21}H_{28}N_2O_3S_3$
Molecular Weight:	452.65
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



Solvent & Solubility

In Vitro

DMSO : ≥ 125 mg/mL (276.15 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	2.2092 mL	11.0461 mL	22.0921 mL
	5 mM	0.4418 mL	2.2092 mL	4.4184 mL
	10 mM	0.2209 mL	1.1046 mL	2.2092 mL

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

BIOLOGICAL ACTIVITY

Description

Methiothepin mesylate is a potent and non-selective **5-HT$_2$ receptor** antagonist, with p**K$_d$**s of 7.10 (5-HT$_{1A}$), 7.28 (5HT$_{1B}$), 7.56 (5HT$_{1C}$), 6.99 (5HT$_{1D}$), 7.0 (5-HT$_{5A}$), 7.8 (5-HT$_{5B}$), 8.74 (5-HT$_6$), and 8.99 (5-HT$_7$), and p**K$_i$**s of 8.50 (5HT$_{2A}$), 8.68 (5HT$_{2B}$), and 8.35 (5HT$_{2C}$).

IC$_{50}$ & Target

pKd: 7.10 (5-HT$_{1A}$), 7.28 (5HT$_{1B}$), 7.56 (5HT$_{1C}$), 6.99 (5HT$_{1D}$)[1], 7.0 (5-HT$_{5A}$), 7.8 (5-HT$_{5B}$), 8.74 (5-HT$_6$), 8.99 (5-HT$_7$)[3]
pKi: 8.50 (5-HT$_{2A}$), 8.68 (5-HT$_{2B}$), 8.35 (5-HT$_{2C}$)[2]

In Vitro

Methiothepin mesylate is a 5-HT receptor antagonist, with pK_ds of 7.10, 7.28, 7.56, and 6.99 for 5-HT$_{1A}$, 5HT$_{1B}$, 5HT$_{1C}$, 5HT$_{1D}$[1]. Methiothepin mesylate also shows pK_ds of 7.0, 7.8, 8.74, and 8.99 for 5-HT$_{5A}$, 5-HT$_{5B}$, 5-HT$_6$, and 5-HT$_7$, respectively[2]. Methiothepin exhibits high affinity at 5-HT$_{2A}$, 5HT$_{2B}$, and 5HT$_{2C}$ with pK_is of 8.50, 8.68, and 8.35, respectively[3].

REFERENCES

[1]. Schoeffter P, et al. 5-Hydroxytryptamine (5-HT)-induced endothelium-dependent relaxation of pig coronary arteries is mediated by 5-HT receptors similar to the 5-HT1D receptor subtype. J Pharmacol Exp Ther. 1990 Jan;252(1):387-95.

[2]. Knight AR, et al. Pharmacological characterisation of the agonist radioligand binding site of 5-HT(2A), 5-HT(2B) and 5-HT(2C) receptors. Naunyn Schmiedebergs Arch Pharmacol. 2004 Aug;370(2):114-23. Epub 2004 Jul 30.

[3]. Hoyer D, et al. International Union of Pharmacology classification of receptors for 5-hydroxytryptamine (Serotonin). Pharmacol Rev. 1994 Jun;46(2):157-203.

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