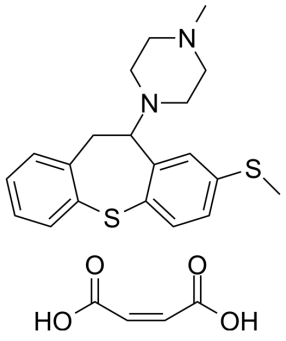
Methiothepin maleate

Cat. No.:	HY-101009
CAS No.:	19728-88-2
Molecular Formula:	$C_{24}H_{28}N_2O_4S_2$
Molecular Weight:	472.62
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Methiothepin maleate is a potent and non-selective 5-HT_2 receptor antagonist, with pK_ds 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 pK_is 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 maleate 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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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