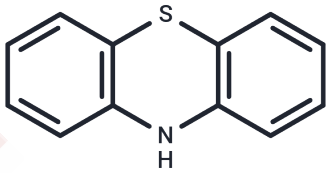
Data Sheet (Cat.No.T0776)

TargetMol

Phenothiazine

Chemical Properties



CAS No. : 92-84-2

Formula: C12H9NS

Molecular Weight: 199.27

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Phenothiazine (ENT 38) is a class of agents exhibiting antiemetic, antipsychotic, antihistaminic, and anticholinergic activities. Phenothiazines antagonize the dopamine D2-receptor in the chemoreceptor trigger zone (CTZ) of the brain, potentially preventing chemotherapy-induced emesis.
Targets(IC50)	Antibacterial,Antibiotic,Antifungal,Dopamine Receptor
In vitro	Phenothiazines mostly substitutes at position 10 with the dialkylaminoalkyl groups and additionally at position 2 with small groups exhibit valuable activities such as neuroleptic, antiemetic, antihistaminic, antipuritic, analgesic and antihelmintic. 2-trifluoromethyl-10-(4-aminobutyl)phenothiazine inhibits S. cerevisiae strains and T. mentagrophites with MIC of 0.4 μg/mL and 1.5 μg/mL, respectively. 10-carbamoylalkylphenothiazines shows significant activities against Gram-positive Bacillus subtilis with MIC's in the range of 7.8 μg/mL–30 μg/mL. The tetracyclic phenothiazines (modified with the naphthoquinone ring) shows significant actibacterial activity against S. aureus with the MIC50 of 12.5 μg/mL. Phenothiazines with the butylene linker are more effective than with the propylene linker, the 2-chloro-10-chloroethylureidobutyl derivative giving GI50 of 1.4 μM and 1.6 μM against 4 leukemia cell lines and 7 colon cancer cell lines. 10-Amino(hydroxy)propylphenothiazines (5 μM) induces a marked G2/M phase of cell-cycle arrest followed by cell death in human transformed WI38VA cells after 2-day incubation. [1] Phenothiazine drugs undergo extensive metabolism in the body before being excreted, mainly ring hydroxylation, ring sulphoxidation, N-demethylation, N-oxidation, sulphate and glucuronide conjugation. Phenothiazines have considerably lower binding affinities to α2-adrenoceptors than to dopamine D2 receptors and al-adrenoceptors. [2] Phenothiazines have significant in vitro activity against susceptible, polydrug- and multidrug-resistant strains of M. tuberculosis, as well as enhancing the activity of some agents employed for first-line treatment. [3]

Solubility Information

Solubility	DMSO: 45 mg/mL (225.82 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.0183 mL	25.0916 mL	50.1832 mL
5 mM	1.0037 mL	5.0183 mL	10.0366 mL
10 mM	0.5018 mL	2.5092 mL	5.0183 mL
50 mM	0.1004 mL	0.5018 mL	1.0037 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Pluta K, et al. Eur J Med Chem, 2011, 46(8), 3179-3189.
Hals PA, et al. Eur J Pharmacol, 1986, 125(3), 373-381.
Amaral L, et al. J Antimicrob Chemother, 2001, 47(5), 505-511.

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