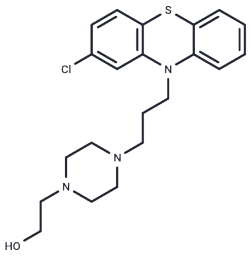
Data Sheet (Cat.No.T1090)

TargetMol

Perphenazine

Chemical Properties



CAS No. : 58-39-9

Formula: C21H26ClN3OS

Molecular Weight: 403.97

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Perphenazine (Trilafon) is a phenothiazine derivative and a dopamine antagonist with antiemetic and antipsychotic properties.
Targets(IC50)	CaMK,Apoptosis,5-HT Receptor,Adrenergic Receptor,Autophagy,Histamine Receptor, Dopamine Receptor
In vitro	Perphenazine is a relatively high potency phenothiazine that blocks dopamine 2 (D2) receptors predominantly but also may possess antagonist actions at histamine 1 (H1) and cholinergic M1 and alpha 1 adrenergic receptors in the vomiting center leading to reduced nausea and vomiting[1]. Perphenazine induces cell death and mitochondrial damage, also caspase-3 activation and a decrease in cellular ATP level. The cell death induced by perphenazine is partially suppressed by antioxidant but not by pan-caspase inhibitor[4]. Perphenazine in concentration range from 0.0001 to 0.01 μM did not have any significant effect on melanocytes viability. The treatment of cells with the drug in higher concentrations results in the loss in cell viability in a concentration-dependent manner. The value of EC50 for perphenazine is 2.76 μM. Perphenazine in concentrations of 1.0 and 3.0 μM also decreases the tyrosinase activity, as well as melanin content[5].
In vivo	Perphenazine is well absorbed after oral administration. The time to peak after oral administration is 1-3 hours with the time to peak of the metabolite 7-hydroxyperphenzaine 2-3 hours. Perphenazine has a half-life elimination of 9-12 hours and its metabolite 7-hydroxyperphenazine of 10-19 hours[1]. Perphenazine has been used as a psychotropic drug for several decades in therapy of certain psychiatric disorders. In rat isolated heart, perphenazine significantly prolongs the QT interval and triggers arrhythmias in considerable numbers both at the high concentration and at the therapeutical concentration. This proarrhythmogenic effect is observed even after repeated exposure to perphenazine[3].
Cell Research	cells are plated on 96-well plates and treated with drugs for various time periods. Then the cells are incubated with MTS assay reagent for 1 hr. The plates are then read at 490 nm using a microplate reader.(Only for Reference)

Solubility Information

Solubility	Ethanol: 74 mg/mL (183.18 mM),Sonication is recommended. DMSO: 250 mg/mL (618.86 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.95 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4754 mL	12.3772 mL	24.7543 mL
5 mM	0.4951 mL	2.4754 mL	4.9509 mL
10 mM	0.2475 mL	1.2377 mL	2.4754 mL
50 mM	0.0495 mL	0.2475 mL	0.4951 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Howard S. Smith, et al. Annals od palliative medicine. 2012, 1(2):137-142.
Dong L, Shen S, Chen W, et al. Discovery of Novel Inhibitors Targeting Human O-GlcNAcase: Docking-Based Virtual Screening, Biological Evaluation, Structural Modification, and Molecular Dynamics Simulation. Journal of chemical information and modeling. 2019, 59(10): 4374-4382.
Bowden G D, Land K M, O'Connor R M, et al. High-throughput screen of drug repurposing library identifies inhibitors of Sarcocystis neurona growth. International Journal for Parasitology: Drugs and Drug Resistance. 2018 Apr；8(1): 137-144
Ozdemir E, et al. Bosn J Basic Med Sci. 2013, 13(2):119-25.
Kateřina Fialová, et al. Acta Vet. Brno. 2011, 80: 87-92.
Seokheon Hong, et al. Animal Cells and Systems. 2012, 16(1):20-26.
Otrba M , Komider L . In vitro anticancer activity of fluphenazine, perphenazine and prochlorperazine. A review[J]. Journal of Applied Toxicology, 2020.
Bowden G D, Land K M, O'Connor R M, et al. High-throughput screen of drug repurposing library identifies inhibitors of Sarcocystis neurona growth[J]. International Journal for Parasitology: Drugs and Drug Resistance. 2018 Apr；8(1): 137-144.
Dong L, Shen S, Chen W, et al. Discovery of Novel Inhibitors Targeting Human O-GlcNAcase: Docking-Based Virtual Screening, Biological Evaluation, Structural Modification, and Molecular Dynamics Simulation[J]. Journal of chemical information and modeling. 2019, 59(10): 4374-4382.