Data Sheet (Cat.No.T1654)

TargetMol

Pentamidine isethionate

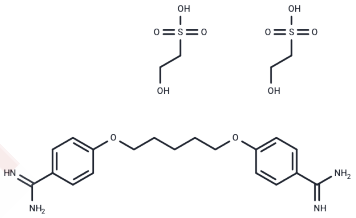
Chemical Properties

CAS No. : 140-64-7

Formula: C23H36N4O10S2

Molecular Weight: 592.68

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	Pentamidine isethionate (Pentamidine diisethionate) is a synthetic amidine derivative, Pentamidine Isethionate is an antiprotozoal and antifungal agent.
Targets(IC50)	Antibacterial,Antibiotic,Parasite,Antifungal,Phosphatase
In vitro	Pentamidine is known experimentally to interfere with numerous cellular processes. Specifically, Pentamidine has been shown to bind to DNA in a nonintercalative manner and appears to preferentially bind to kinetoplast DNA in trypanosomes. Additionally, Pentamidine may inhibit RNA polymerase and ribosomal function, as well as nucleic acid, protein, phospholipid, and polyamine synthesis. Pentamidine also inhibits certain proteases, including trypsin, and impairs cellular oxygen consumption. [1] Pentamidine has a potent in vitro antiprotozoal activity. Pentamidine displays cytotoxic activity against L. infantum promastigotes with IC50 of 2.5 μM. 2.5 μM Pentamidine induces early programmed cell death in 49.6% of L. infantum promastigotes. 2.5 μM Pentamidine induces a notorious decrease in promastigotes in both G1 and S phases relative to the control-untreated samples (G1:77.0 vs 15.0%; S:11.0 vs 2.4% for control- and pentamidine-treated promastigotes, resp). Pentamidine is able to bind with calf-thymus DNA (CT-DNA) and induces conformational changes in the DNA double helix. Pentamidine also binds with ubiquitin to modifiy the β-cluster of ubiquitin. [2] Pentamidine is an inhibitor of phosphatase of regenerating liver (PRLs). 1 μg/mL of Pentamidine complete inhibits the activity of recombinant PTP1B in dephosphorylating a phos-photyrosine peptide. 10 μg/mL of Pentamidine completely inhibits the activities of recombinant PRL-1, PRL-2 and PRL-3 in dephosphorylating a phosphotyrosine peptide substrate. Incubation with Pentamidine (1 μg/mL) for 48 h reduces the activity of intracellular PRL phosphatases in transfected NIH3T3 cells by more than 85%. 10 μg/mL Pentamidine completely inhibits the growth of melanoma cell line (WM9), prostate carcinoma cell line (DU145 and C4-2), ovarian carcinoma cell line (Hey), colon carcinoma cell line (WM480), and lung carcinoma cell line (A549) which all express endogenous PRLs. [3]
In vivo	Pentamidine has a potent antiprotozoal activity in animal models. Pentamidine (0.3-9 mg/L) decreased the viability of P. carinii in experimental models in chick embryo lung epithelial cells and lung cells of rats with pneumonia. 5 mg/kg Pentamidine treatment for 2 weeks eradicates Pneumocystis carinii pneumonia in 75% of the animals. [4] Pentamidine inhibits the growth of WM9 human melanoma tumors in nude mice. During the 16-week study period, the tumors in 250 μg pentamidine-treated mice stays at sizes

In vivo	similar to those at the treatment initiation point, whereas the tumors in the control mice grow so rapidly that humane sacrifice of the animals is required at the 4th week. Pentamidine induces significant necrosis that accounts for more than 50% of the tumor mass. [3]
Kinase Assay	In vitro PTPase assays: Individual PTPases (0.01 μg/reaction) in 50 μL of PTPase buffer [50 mM Tris (pH 7.4)] are incubated at 22 ℃ for 10 min or as indicated in the absence or presence of inhibitory compounds. Substrates (0.2 mM phosphotyrosine peptide) are then added and allows to react at 22 ℃ for 18 hr. PTPase activity of individual reactions is measured by adding 100 μL of malachite green solution (UBI) and then quantifying the amounts of free phosphate cleaved by the PTPase from the peptide substrate by spectrometry (A660 nm). Relative PTPase activities are calculated based on the formula [(PTPase activity in the presence of an inhibitory compound)/(PTPase activity in the absence of the compound) × 100%]. Reactions performed under comparable conditions in the absence of recombinant PTPases only are used as controls and shows no detectable PTPase activity.
Cell Research	Cells are washed in 10% FCS contained RPMI 1640 medium twice, resuspended in 10% FCS medium, incubated at 37 ℃ for 16 hr, and then cultured at 37 ℃ in 10% FCS medium containing various amounts of Pentamidine for 6 days. The cell numbers in proliferation assays are determined by an MTT assay.(Only for Reference)

Solubility Information

Solubility	DMSO: 250 mg/mL (421.81 mM),Sonication is recommended. H2O: 168.7 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 (3.37 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	1.6873 mL	8.4363 mL	16.8725 mL
5 mM	0.3375 mL	1.6873 mL	3.3745 mL
10 mM	0.1687 mL	0.8436 mL	1.6873 mL
50 mM	0.0337 mL	0.1687 mL	0.3375 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

Sands M, et al. Rev Infect Dis, 1985, 7(5), 625-634.
Nguewa PA, et al. Chem Biodivers, 2005, 2(10), 1387-1400.
Pathak MK, et al. Mol Cancer Ther, 2002, 1(14), 1255-1264.
Monk JP, et al. Drugs, 1990, 39(5), 741-756.

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