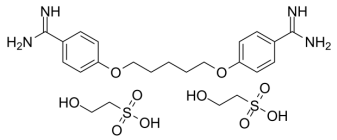
Pentamidine isethionate

Cat. No.:	HY-B0537B
CAS No.:	140-64-7
Molecular Formula:	$C_{23}H_{36}N_4O_{10}S_2$
Molecular Weight:	592.68
Target:	Parasite; Fungal; Phosphatase; Bacterial; Antibiotic
Pathway:	Anti-infection; Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (168.73 mM; Need ultrasonic)
DMSO : 100 mg/mL (168.73 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.51 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pentamidine isethionate (MP-601205 isethionate) is an antimicrobial agent and interferes with DNA biosynthetics. Pentamidine isethionate inhibits parasite ***Leishmania infantum*** with an IC_{50} of 2.5 μM. Pentamidine isethionate is a potent and selective protein tyrosine phosphatases (PTPases) and phosphatase of regenerating liver (PRL) inhibitor. Pentamidine isethionate has the potential for Gambian trypanosomiasis, antimony-resistant leishmaniasis, and Pneumocystis carinii pneumonia treatment. Antitumor and antibacterial activities[1][2][3][4].

IC_{50} & Target

IC50: 2.5 μM (Leishmania infantum)[2]

	Protein tyrosine phosphatases (PTPases)[1] Phosphatase of regenerating liver (PRL)[1]
In Vitro	Pentamidine (0-10 μg/mL; 6 days; WM9, DU145, C4-2, Hey, WM480, and A549 cells) treatment inhibits the growth of cancer cells in a concentration-dependent manner[1]. The cytotoxic properties of Pentamidine isethionate towards the promastigotes of the protozoan parasite Leishmania infantum is determined. The leishmanicidal activity of Pentamidine isethionate is 60 times higher after 72 h of incubation than that of Cisplatin. Pentamidine isethionate induces a higher amount of programmed cell death (PCD) than Cisplatin, which is associated with inhibition of DNA synthesis and cell-cycle arrest in the G2/M phase. Binding of Pentamidine isethionate to calf-thymus DNA (CT-DNA) induces conformational changes in the DNA double helix, consistent with a B-->A transition. The interaction of Pentamidine isethionate with ubiquitin leads to a 6% increase in the beta-sheet content of the protein[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay[1]

Cell Line:	WM9, DU145, C4-2, Hey, WM480, and A549 cells
Concentration:	0-10 μg/mL
Incubation Time:	6 days
Result:	The growth of all six of the cell lines in culture was inhibited in a concentration-dependent manner with complete growth inhibition of the cell lines occurring at 10 μg/mL.

In Vivo	Pentamidine (0.25 mg/mouse; intramuscular injection; every 2 days; for 4 weeks; athymic nude mice) treatment markedly inhibits the growth of WM9 human melanoma tumors in nude mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Athymic nude mice (6 weeks old) injected with WM9 cells[1]
Dosage:	0.25 mg/mouse
Administration:	Intramuscular injection; every 2 days; for 4 weeks
Result:	Markedly inhibited the growth of WM9 human melanoma tumors in nude mice.

CUSTOMER VALIDATION

- Molecules. 2020 Apr 23;25(8):1980.
- Drug Des Dev Ther. 2021 Jul 1;15:2857-2868.
- Biochem Biophys Res Commun. 2019 Sep 17;517(2):221-226.

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REFERENCES

[1]. Sands M, et al. Pentamidine: a review. Rev Infect Dis. 1985 Sep-Oct;7(5):625-34.

[2]. Pathak MK, et al. Pentamidine is an inhibitor of PRL phosphatases with anticancer activity. Mol Cancer Ther. 2002 Dec;1(14):1255-64.

[3]. Nguewa, P.A., et al., Pentamidine is an antiparasitic and apoptotic drug that selectively modifies ubiquitin. Chem Biodivers, 2005. 2(10): p. 1387-400.

[4]. David C. Bean, et al. Pentamidine: a drug to consider re-purposing in the targeted treatment of multi-drug resistant bacterial infections? J Lab Precis Med 2017;2:49.

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