Pentamidine dihydrochloride

Cat. No.: HY-B0537A

CAS No.: 50357-45-4

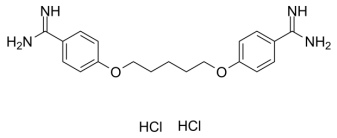
Molecular Formula: $C_{19}H_{26}Cl_2N_4O_2$

Molecular Weight: 413.34

Target: Parasite; Fungal; Phosphatase; Bacterial; Antibiotic

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Pentamidine dihydrochloride (MP-601205 dihydrochloride) is an antimicrobial agent and interferes with DNA biosynthetics. Pentamidine dihydrochloride inhibits parasite ***Leishmania infantum*** with an IC_{50} of 2.5 μM. Pentamidine dihydrochloride is a potent and selective protein tyrosine phosphatases (PTPases) and phosphatase of regenerating liver (PRL) inhibitor. Pentamidine dihydrochloride 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]. Pathak MK, et al. Pentamidine is an inhibitor of PRL phosphatases with anticancer activity. Mol Cancer Ther. 2002 Dec;1(14):1255-64.

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

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

[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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