Product Data Sheet

Product Name: Pentamidine
Cat. No.: GC11468

Chemical Properties

Cas. No.	100-33-4		
Chemical Name	4-[5-(4-carbamimidoylphenoxy)pentoxy]benzenecarboximidamide		
SMILES	C1=CC(=CC=C1C(=N)N)OCCCCCOC2=CC=C(C=C2)C(=N)N		
Formula	$C_{19}H_{24}N_4O_2$	M.Wt	340.42
Solubility	≥ 13.5mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Pentamidine		

Protocol

Cell experiment [1]:

Cell lines	Macrophage RAW264.7 cells
Preparation Method	RAW264.7 cells were treated with 20ng/mL LPS and indicated concentrations of pentamidine (1, 10, 100μM) for 24h. Total RNA was extracted and qRT-PCR was performed to measure the expression of TNF-α and IL-1β mRNAs. The TNF-α and IL-1β proteins in the supernatant were measured by ELISA.

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Reaction Conditions	1, 10, 100μM; 24h
Applications	Pentamidine (1, 10, 100μM) inhibits LPS-induced pro-inflammatory factors TNF-α and IL-1β at mRNAs and protein level overproduction.

Animal experiment [2]:

Animal models	6-week-old athymic nude mice with WM9 cells (4×10^6 cells/site; two sites/mice; s.c.)
Preparation Method	Athymic nude mice 6 weeks of age were inoculated (s.c.) in the flanks with WM9 cells (4×10^6 cells/site, two sites/mice) on day 0. Starting on day 2, the mice were subjected to treatment with pentamidine (6-10mg/kg (0.25mg/mouse); every 2 days; i.m.) injected at the hip area. Tumor volume was calculated and mouse viability and body weights were recorded weekly.
Dosage form	6-10mg/kg (0.25mg/mouse); every 2 days; i.m.
Applications	Following 16 weeks of treatment, Pentamidine (0.25mg/mouse; every two days) exhibited significant inhibition of WM9 tumor growth. The treatment was well-tolerated, as evidenced by the absence of overt abnormalities and steady body weight gain throughout the study period.

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References:
[1] WU S, LIN C, ZHANG T, et al. Pentamidine alleviates inflammation and lipopolysaccharide-induced sepsis by inhibiting TLR4 activation via targeting MD2[J]. Frontiers in pharmacology, 2022, 13: 835081.
[2] PATHAK M K, DHAWAN D, LINDNER D J, et al. Pentamidine is an inhibitor of PRL phosphatases with anticancer activity[J]. Molecular cancer therapeutics, 2002, 1(14): 1255-1264.

Background

Pentamidine is a broad-spectrum anti-infective agent that interferes with polyamine synthesis and RNA polymerase activity, demonstrating efficacy against a variety of parasites, protozoa, and fungi[1,2]. As a well-established diamidine drug with a long history of clinical use, Pentamidine is widely employed for the treatment of Pneumocystis pneumonia, leishmaniasis, and trypanosomiasis, and is also commonly used in research related to infectious diseases[3].

In vitro, treatment of various human malignant cell lines (WM9, DU145, C4-2, Hey, WM480, and A549) with Pentamidine (0-10μM) for 6 days resulted in concentration-dependent inhibition of cell growth across all six lines, with complete suppression observed at 10μM[4]. In LPS-induced (20ng/mL) RAW264.7 cells, 24h treatment with Pentamidine (1, 10, 100μM) concentration-dependently suppressed the overexpression of pro-inflammatory cytokines TNF-α and IL-1β at both mRNA and protein levels[5]. Exposure of HeLa cells to γ-irradiation (5Gy) in the presence of Pentamidine (0.05mM)

disrupted mitotic progression and led to an increase in multinucleated cells[6].

In vivo, intramuscular administration of Pentamidine (6-10mg/kg (0.25mg/mouse); every 2 days) in 6-week-old nude mice inoculated with WM9 cells significantly inhibited tumor growth throughout the 16-week study period. No significant abnormalities were observed, and the mice exhibited steady weight gain[4]. In a LPS-induced (25mg/kg; i.p.) septic C57BL/6 mouse model, intraperitoneal injection of Pentamidine (10mg/kg; once a day) for 4 days prolonged survival, attenuated systemic inflammation, and ameliorated LPS-induced damage in the liver, kidney, and lungs[5]. Treatment with Pentamidine (0.8, 4mg/kg) in dextran sodium sulphate (DSS)-induced acute colitis CD-1 mice resulted in comprehensive improvement of colitis-related intestinal symptoms, preserved colon length, and prevented splenomegaly[7].

References:
[1] HAFIZ S, KYRIAKOPOULOS C. Pentamidine[M]//StatPearls. Treasure Island (FL): StatPearls Publishing, 2025.
[2] SANDS M, KRON M A, BROWN R B. Pentamidine: a review[J]. Reviews of infectious diseases, 1985, 7(5): 625-6344.
[3] BRAY P G, BARRETT M P, WARD S A, et al. Pentamidine uptake and resistance in pathogenic protozoa: past, present and future[J]. Trends in parasitology, 2003, 19(5): 232-239.
[4] PATHAK M K, DHAWAN D, LINDNER D J, et al. Pentamidine is an inhibitor of PRL phosphatases with anticancer activity[J]. Molecular cancer therapeutics, 2002, 1(14): 1255-1264.
[5] WU S, LIN C, ZHANG T, et al. Pentamidine alleviates inflammation and lipopolysaccharide-induced sepsis by inhibiting TLR4 activation via targeting MD2[J]. Frontiers in pharmacology, 2022, 13: 835081.
[6] KOBAYASHI J, KATO A, OTA Y, et al. Bisbenzamidine derivative, pentamidine represses DNA damage response through inhibition of histone H2A acetylation[J]. Molecular cancer, 2010, 9(1): 34.
[7] ESPOSITO G, CAPOCCIA E, SARNELLI G, et al. The antiprotozoal drug pentamidine ameliorates experimentally induced acute colitis in mice[J]. Journal of neuroinflammation, 2012, 9(1): 277.

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