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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Furamidine dihydrochloride

Cat. No.: HY-110137

CAS No.: 55368-40-6

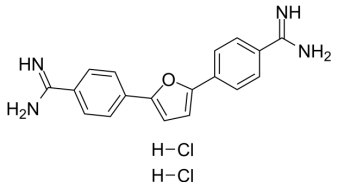
Molecular Formula: $C_{18}H_{18}Cl_2N_4O$

Molecular Weight: 377.27

Target: Histone Methyltransferase; Phosphodiesterase (PDE); Parasite

Pathway: Epigenetics; Metabolic Enzyme/Protease; Anti-infection

Storage: -20°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (33.13 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6506 mL	13.2531 mL	26.5062 mL
	5 mM	0.5301 mL	2.6506 mL	5.3012 mL
	10 mM	0.2651 mL	1.3253 mL	2.6506 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: ≥ 1.25 mg/mL (3.31 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.31 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Furamidine dihydrochloride (DB75 dihydrochloride) is a selective protein arginine methyltransferase 1 (PRMT1) inhibitor with an IC_{50} of 9.4 μM. Furamidine dihydrochloride is selective for PRMT1 over PRMT5, PRMT6, and PRMT4 (CARM1) (IC_{50}s of 166 μM, 283 μM, and >400 μM, respectively). Furamidine dihydrochloride is a potent, reversible and competitive tyrosyl-DNA phosphodiesterase 1 (TDP-1) inhibitor. Inhibition of TDP-1 by Furamidine dihydrochloride is effective both with single- and double-stranded DNA substrates but is slightly stronger with the duplex DNA. Furamidine dihydrochloride is also an antiparasite agent[1][2][3].

IC_{50} & Target

PRMT1

In Vitro

Furamidine (compound 1; 20 μM; 72 hours; leukemia cell lines) inhibits cell growth for most of the leukemia cell lines except HEL cells which have JAK2V617F mutations[1].

Furamidine (compound 1; 20 μM; 15 hours; 293T cells) treatment significantly reduces the expression level of the methylated GFP-ALY protein in 293T cells[1].
Furamidine binds duplex DNA in the DNA minor groove selectively at AT rich sites [(A/T)4]. Furamidine can also intercalate between GC base pairs of duplex DNA. Furamidine could therefore interfere with DNA processing enzymes such as TDP-1[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Meg-01, K562, HL-60, NB4, MOLM13, HEL, CMK, CMY, CMS and CHRF cells
Concentration:	20 μM
Incubation Time:	72 hours
Result:	Inhibited cell growth for most of the leukemia cell lines except HEL cells which have JAK2V617F mutations.

Western Blot Analysis[1]

Cell Line:	293T cells
Concentration:	20 μM
Incubation Time:	15 hours
Result:	The expression level of the methylated GFP-ALY protein is significantly reduced.

In Vivo

Furamidine (1 mg/kg; intraperitoneal injection; 3 times a week and repeated every 4 weeks; for 34 weeks; female NZB/NZW mice) and Irinotecan combined treatment suppresses proteinuria and prolongs survival of lupus-prone NZB/NZW mice. The combination treatment does not change the levels of anti-dsDNA antibodies[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female NZB/NZW mice (6-week-old) with Irinotecan (1 mg/kg)[3]
Dosage:	1 mg/kg
Administration:	Intraperitoneal injection; 3 times a week and repeated every 4 weeks; for 34 weeks
Result:	Suppressed proteinuria and prolongs survival of lupus-prone NZB/NZW mice combined with Irinotecan.

CUSTOMER VALIDATION

- Research Square Print. September 20th, 2022.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Yan L, et al. Diamidine compounds for selective inhibition of protein arginine methyltransferase 1. J Med Chem. 2014 Mar 27;57(6):2611-22.

[2]. Antony S, et al. Novel high-throughput electrochemiluminescent assay for identification of human tyrosyl-DNA phosphodiesterase (Tdp1) inhibitors and characterization of furamidine (NSC 305831) as an inhibitor of Tdp1. Nucleic Acids Res. 2007;35(13):4474-84.

[3]. Keil A, et al. The Topoisomerase I Inhibitor Irinotecan and the Tyrosyl-DNA Phosphodiesterase 1 Inhibitor Furamidine Synergistically Suppress Murine Lupus Nephritis. Arthritis Rheumatol. 2015 Jul;67(7):1858-67.

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