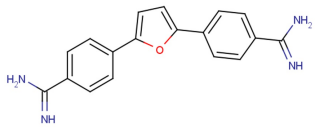
Data Sheet (Cat.No.T11338)

TargetMol
A DRUG SCREENING EXPERT

Furamidine

Chemical Properties



CAS No.:	73819-26-8
Formula:	C18H16N4O
Molecular Weight:	304.35
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Furamidine is also a selective and cell-permeable protein arginine methyltransferase 1 (PRMT1) inhibitor with an IC50 of 9.4 μM. Furamidine is selective for PRMT1 over PRMT5, PRMT6, and PRMT4 (CARM1) (IC50s of 166 μM, 283 μM, and >400 μM, respectively). Furamidine (DB75) is abisbenzamidine derivative and an antiparasite agent. Furamidine is a potent, reversible and competitive tyrosyl-DNA phosphodiesterase 1 (TDP-1) inhibitor. Inhibition of TDP-1 by Furamidine is effective both with single- and double-stranded DNA substrates but is slightly stronger with the duplex DNA.
Targets(IC_{50})	Parasite: None
In vitro	Furamidine binds duplex DNA in the DNA minor groove selectively at AT rich sites. Furamidine can also intercalate between GC base pairs of duplex DNA. Furamidine could therefore interfere with DNA processing enzymes such as TDP-1.Furamidine (; 20 μM; 72 hours; leukemia cell lines) inhibits cell growth for most of the leukemia cell lines except HEL cells which have JAK2V617F mutations. Furamidine (; 20 μM; 15 hours; 293T cells) treatment significantly reduces the expression level of the methylated GFP-ALY protein in 293T cells.
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.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.286 mL	16.428 mL	32.857 mL
5 mM	0.657 mL	3.286 mL	6.571 mL
10 mM	0.329 mL	1.643 mL	3.286 mL
50 mM	0.066 mL	0.329 mL	0.657 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481