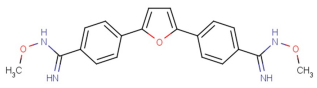
Data Sheet (Cat.No.T16428)

TargetMol
A DRUG SCREENING EXPERT

Pafuramidine

Chemical Properties



CAS No.:	186953-56-0
Formula:	C20H20N4O3
Molecular Weight:	364.4
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Pafuramidine is an orally bioavailable prodrug of furamidine. It has activity against Pneumocystis pneumonia (IC50 value: 4.5 nM)
Targets(IC_{50})	Others: None
In vitro	The results of this investigation suggest that DB75 inhibits mitochondrial function. Yeast cells relying upon mitochondrial metabolism for energy production are especially sensitive to DB75 [1].
In vivo	DB289, a novel orally active prodrug of DB75, is undergoing phase IIb clinical trials for early-stage human African trypanosomiasis, Pneumocystis jiroveci carinii pneumonia, and malaria [1]. Clearance of DB289 approximated the liver plasma flow and its large volume of distribution was consistent with extensive tissue binding. Plasma protein binding of DB289 was 97 to 99% in four animal species and humans, but that of DB75 was noticeably less and more species- and concentration-dependent [2]. Despite excellent oral activity against early-stage sleeping sickness, oral administration of DB289 exhibited limited efficacy in mouse models of late-stage disease [3].

Solubility Information

Solubility	DMSO: 33.33 mg/mL (91.47 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.744 mL	13.721 mL	27.442 mL
5 mM	0.549 mL	2.744 mL	5.488 mL
10 mM	0.274 mL	1.372 mL	2.744 mL
50 mM	0.055 mL	0.274 mL	0.549 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. Lanteri CA, Trumpower BL, Tidwell RR, DB75, a novel trypanocidal agent, disrupts mitochondrial function in Saccharomyces cerevisiae. Antimicrob Agents Chemother. 2004 Oct;48(10):3968-74.
2. Midgley I, Fitzpatrick K, Taylor LM, Pharmacokinetics and metabolism of the prodrug DB289 (2,5-bis[4-(N-methoxyamidino)phenyl]furan monomaleate) in rat and monkey and its conversion to the antiprotozoal/antifungal drug DB75 (2,5-bis(4-guanylphenyl)furan dihydrochloride). Drug Metab Dispos. 2007 Jun;35(6):955-67.
3. Sturk LM, Brock JL, Bagnell CR, Distribution and quantitation of the anti-trypanosomal diamidine 2,5-bis(4-amidinophenyl)furan (DB75) and its N-methoxy prodrug DB289 in murine brain tissue. Acta Trop. 2004 Jul;91(2):131-43.
4. In vitro inhibitory activity against Trypanosoma brucei rhodesiense - BioAssay Summary.

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