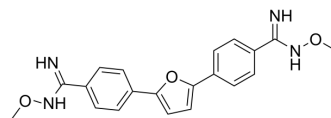


Pafuramidine

Cat. No.:	HY-14932		
CAS No.:	186953-56-0		
Molecular Formula:	C ₂₀ H ₂₀ N ₄ O ₃		
Molecular Weight:	364.4		
Target:	Parasite; Antibiotic		
Pathway:	Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 33.33 mg/mL (91.47 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	Preparing Stock Solutions		10 mg	
	1 mM	2.7442 mL	13.7212 mL	27.4424 mL
	5 mM	0.5488 mL	2.7442 mL	5.4885 mL
	10 mM	0.2744 mL	1.3721 mL	2.7442 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (5.71 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (5.71 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	Pafuramidine (DB289) is an orally active proagent of Furamidine (HY-110137A). Pafuramidine is a potent anti-parasitic agent, can be used to research trypanosomiasis, Pneumocystis pneumonia and malaria ^{[1][2][3]} .	
IC₅₀ & Target	Trypanosoma	Plasmodium falciparum sporozoites
In Vivo	Pafuramidine (1-10 mg/kg; p.o.; for 5 or 10 days) cures some trypanosomes-infected monkeys ^[1] . Pafuramidine (2.5-100 mg/kg; p.o.; for 4 or 5 days) cures some Trypanosoma brucei-infected mice ^[5] .	

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Vervet monkeys (infected by intravenous injection of 10^4 trypanosomes) ^[1]
Dosage:	1, 3, and 10 mg/kg (group 1/2/3); 10 mg/kg (group 4/5)
Administration:	p.o.; 5 consecutive days for group 1/2/3 (started on the 7th day postinfection); 10 days for group 4 (started on the 14th day postinfection); 10 days for group 5 (started on the 28th day postinfection). Post-treatment monitoring was maintained for a period of 180 days.
Result:	Cured all three monkeys in group 3 at 10 mg/kg, and did not recur during the monitoring period. All three monkeys in group 4 became aparasitemic by day 5 of dosing, but only two of three monkeys remained free of blood parasites until 180 days post-treatment monitoring. All three monkeys in group 5 became aparasitemic by day 4 of dosing, but only two of three of monkeys remained free of blood trypanosomes by the end of the 180 days of post-treatment monitoring.

Animal Model:	Female NMRI mice (infected intraperitoneally with 2×10^4 STIB900 bloodstream forms) ^[5]
Dosage:	2.5, 5, 25 and 50 mg/kg
Administration:	p.o.; for 4 days (started on the 4th day postinfection)
Result:	Cured all four mice at 25 and 50 mg/kg.

Animal Model:	Female NMRI mice (infected intraperitoneally with 2×10^4 GVR35 bloodstream forms) ^[5]
Dosage:	25, 50 and 100 mg/kg
Administration:	p.o.; for 5 days (started on the 21st day postinfection)
Result:	Showed good CNS activity in the GVR35 CNS model, with 3/5 mice cured at 100 mg/kg.

CUSTOMER VALIDATION

- Molecules. 2018 May 16;23(5). pii: E1195.

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REFERENCES

- [1]. Mdachi RE, et al. Efficacy of the novel diamidine compound 2,5-Bis(4-amidinophenyl)-furan-bis-O-Methylamidoxime (Pafuramidine, DB289) against *Trypanosoma brucei rhodesiense* infection in vervet monkeys after oral administration. *Antimicrob Agents Chemother.* 2009 Mar;53(3):953-7.
- [2]. Pohlig G, et al. Efficacy and Safety of Pafuramidine versus Pentamidine Maleate for Treatment of First Stage Sleeping Sickness in a Randomized, Comparator-Controlled, International Phase 3 Clinical Trial. *PLoS Negl Trop Dis.* 2016 Feb 16;10(2):e0004363.
- [3]. Chen D, et al. Pafuramidine for *Pneumocystis jiroveci* pneumonia in HIV-infected individuals. *Expert Rev Anti Infect Ther.* 2007 Dec;5(6):921-8.
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[5]. Mdachi RE, et al. Efficacy of the novel diamidine compound 2,5-Bis(4-amidinophenyl)- furan-bis-O-Methylamidoxime (Pafuramidine, DB289) against Trypanosoma brucei rhodesiense infection in vervet monkeys after oral administration. Antimicrob Agents Chemother. 2009 Mar;53(3):953-7.

Caution: Product has not been fully validated for medical applications. For research use only.

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