Pafuramidine

Cat. No.: HY-14932

CAS No.: 186953-56-0

Molecular Formula: $C_{20}H_{20}N_4O_3$

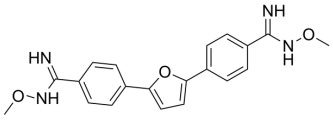
Molecular Weight: 364.4

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)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	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

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution
2. 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
3. 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].

IC_{50} & 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.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Mdachi RE, et al. Efficacy of the novel diamidine compound 2,5-Bis(4-amidinophenyl)- furan-bis-O-Methlylamidoxime (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.

[4]. Purfield AE, et al. Interactions of DB75, a novel antimalarial agent, with other antimalarial drugs in vitro. Antimicrob Agents Chemother. 2008 Jun;52(6):2253-5.

[5]. Mdachi RE, et al. Efficacy of the novel diamidine compound 2,5-Bis(4-amidinophenyl)- furan-bis-O-Methlylamidoxime (Pafuramidine, DB289) against Trypanosoma brucei rhodesiense infection in vervet monkeys after oral administration. Antimicrob Agents Chemother. 2009 Mar;53(3):953-7.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA