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产品名称：Pafuramidine
产品别名：DB289

生物活性：

Description

Pafuramidine (DB289) is an orally bioavailable prodrug of furamidine, which has clinical activity against Pneumocystis pneumonia. IC50 Value: 4.5 nM (In vitro inhibitory activity against Trypanosoma brucei rhodesiense) [4] Target: Antiparasitic DB289 (pafuramidine maleate; 2,5-bis[4-(N-methoxyamidino)phenyl]furan monomaleate) is a prodrug of DB75 (furamidine dihydrochloride; 2,5-bis(4-guanylphenyl)furan dihydrochloride), an aromatic dication related to pentamidine that has demonstrated good efficacy against African trypanosomiasis, Pneumocystis carinii pneumonia, and malaria, but lacks adequate oral availability. 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: 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]. Clinical trial: 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].

Solvent&Solubility

In Vitro:

DMSO : 33.33 mg/mL (**91.47 mM;** Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.86 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；

	向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.86 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[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 d [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.