



上海源叶生物科技有限公司
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产品名称: 三甲曲沙
产品别名: **Trimetrexate; CI-898**

生物活性:

Description

Trimetrexate(CI-898) is a potent competitive inhibitor of bacterial, protozoan, and mammalian dihydrofolate reductase. IC50 value: Target: Antibiotic Trimetrexate therapy had minimal toxicity; transient neutropenia or thrombocytopenia occurred in 12 patients and mild elevation of serum aminotransferases in 4. We conclude that the combination of trimetrexate and leucovorin is safe and effective for the initial treatment of pneumocystis pneumonia in patients with AIDS and for the treatment of patients with intolerance or lack of response to standard therapies [1]. In noncomparative trials trimetrexate was effective in the treatment of P. carinii pneumonia (PCP) in patients with AIDS who were intolerant of or refractory to cotrimoxazole (trimethoprim/sulfamethoxazole) and pentamidine treatment. In these patients, 2- to 4-week survival rates of 48 to 69% were reported. In a comparative trial in the initial therapy of PCP, trimetrexate was less effective than cotrimoxazole in moderate to severe disease as evidenced by a significantly higher failure rate [2]. trimetrexate plus leucovorin was effective, albeit inferior to TMP-SMZ, for moderately severe P. carinii pneumonia but was better tolerated than TMP-SMZ [3].

In Vitro:

DMSO : ≥ 61.5 mg/mL (166.48 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7069 mL	13.5347 mL	27.0695 mL
	5 mM	0.5414 mL	2.7069 mL	5.4139 mL
	10 mM	0.2707 mL	1.3535 mL	2.7069 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℃, 6 months; -20℃, 1 month. -80℃ 储存时，请在 6 个月内使用，-20℃ 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 (6.77 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.77 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



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	Solubility: ≥ 2.5 mg/mL (6.77 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.77 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]. Allegra CJ, et al. Trimetrexate for the treatment of Pneumocystis carinii pneumonia in patients with the acquired immunodeficiency syndrome. N Engl J Med. 1987 Oct 15;317(16):978-85. [2]. Fulton B, et al. Trimetrexate. A review of its pharmacodynamic and pharmacokinetic properties and therapeutic potential in the treatment of Pneumocystis carinii pneumonia. Drugs. 1995 Apr;49(4):563-76. [3]. Sattler FR, et al. Trimetrexate with leucovorin versus trimethoprim-sulfamethoxazole for moderate to severe episodes of Pneumocystis carinii pneumonia in patients with AIDS: a prospective, controlled multicenter investigation of the AIDS Clinical Trials Group Protocol 029/031. J Infect Dis. 1994 Jul;170(1):165-72.

源叶生物