

产品名称：利福西明
产品别名：Rifaximin

生物活性：

Description

Rifaximin(Xifaxan) is an orally administered, semi-synthetic, nonsystemic antibiotic derived from rifamycin SV with antibacterial activity. IC50 Value: Target: RNA polymerase; antibacterial Rifaximin is a semisynthetic, rifamycin-based non-systemic antibiotic, meaning that very little of the drug will pass the gastrointestinal wall into the circulation as is common for other types of orally administered antibiotics. It is used in the treatment of traveler's diarrhea and hepatic encephalopathy, for which it received orphan drug status from the U.S. Food and Drug Administration in 1998. Rifaximin interferes with transcription by binding to the β -subunit of bacterial RNA polymerase. This results in the blockage of the translocation step that normally follows the formation of the first phosphodiester bond, which occurs in the transcription process. From Wikipedia.

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (63.62 mM)

H₂O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing	1 mM		1.2725 mL	6.3623 mL	12.7246 mL
Stock Solutions	5 mM		0.2545 mL	1.2725 mL	2.5449 mL
	10 mM		0.1272 mL	0.6362 mL	1.2725 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: ≥ 3 mg/mL (3.82 mM); Clear solution

此方案可获得 ≥ 3 mg/mL (3.82 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μ L 30.0 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中，混合均匀；向上述体系中加入 50 μ L Tween-80，混合均匀；然后继续加入 450 μ L 生理盐水定容至 1 mL。

2.请依序添加每种溶剂：10% DMSO→90% (20% SBE- β -CD in saline)

Solubility: 3 mg/mL (3.82 mM); Suspended solution; Need ultrasonic

此方案可获得 3 mg/mL (3.82 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。

以 1 mL 工作液为例，取 100 μ L 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μ L 20% 的 SBE- β -CD 生理盐水溶液中，混合均匀。

	3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 3 mg/mL (3.82 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (3.82 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 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. Cruciani F, Brigidi P, Calanni F et al. Efficacy of rifaximin vaginal tablets in treatment of bacterial vaginosis: a molecular characterization of the vaginal microbiota. Antimicrob Agents Chemother. 2012 Aug;56(8):4062-70. [2]. Cremonini F, Lembo A. Rifaximin for the treatment of irritable bowel syndrome. Expert Opin Pharmacother. 2012 Feb;13(3):433-40. [3]. Rivkin A, Gim S. Rifaximin: new therapeutic indication and future directions. Clin Ther. 2011 Jul;33(7):812-27. [4]. Cottreau J, Baker SF, DuPont HL, Garey KW. Rifaximin: a nonsystemic rifamycin antibiotic for gastrointestinal infections. Expert Rev Anti Infect Ther. 2010 Jul;8(7):747-60. [5]. Koo HL, DuPont HL. Rifaximin: a unique gastrointestinal-selective antibiotic for enteric diseases. Curr Opin Gastroenterol. 2010 Jan;26(1):17-25. [6]. Ojetti V, Lauritano EC, Barbaro F et al. Rifaximin pharmacology and clinical implications. Expert Opin Drug Metab Toxicol. 2009 Jun;5(6):675-82.

源叶生物