



上海源叶生物科技有限公司
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产品名称: **Efinaconazole**
产品别名: 艾氟康唑; **KP-103**

生物活性:

Description	Efinaconazole (KP-103) is a triazole antifungal agent and againsts T. mentagrophytes SM-110 and C. albicans ATCC 10231 with MICs of 0.0039 µg/mL and 0.00098 µg/mL, respectively[1]. Efinaconazole has a potent in vitro activity against fungal pathogens including dermatophytes, Candida and Malassezia species[1].				
IC ₅₀ & Target	Fungal ^[1]				
In Vivo	Topical Efinaconazole solution (0.25 to 1%) is dose-dependently effective in treating both dermatophytoses, for 10 guinea pigs with interdigital tinea pedis or tinea corporis is investigated. The follow up study performs on day-30 and day-9 posttreatment demonstrated that the relapse rates for 1% Efinaconazole-treated animals with tinea pedis and for those with tinea corporis are 20 and 30%, respectively. When a single dose of 1% Efinaconazole is applied to the back skin 48 hours before fungal inoculation, 9 of the 10 animals are protected from the dermatophytosis, suggesting that active Efinaconazole is retained in skin tissue for at least 48 hours after dosing[2].				
Solvent&Solubility	In Vitro: DMSO : ≥ 100 mg/mL (287.03 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg	10 mg
		1 mM	2.8703 mL	14.3517 mL	28.7035 mL
		5 mM	0.5741 mL	2.8703 mL	5.7407 mL
		10 mM	0.2870 mL	1.4352 mL	2.8703 mL
	<p>*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。</p> <p>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。</p> <p>In Vivo:</p> <p>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：</p> <p>——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</p> <p>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</p> <p>Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.18 mM, 饱和度未知) 的澄清溶液。</p> <p>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。</p> <p>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)</p>				



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	<p>Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.18 mM, 饱和度未知) 的澄清溶液。</p> <p>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 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。</p> <p>3. 请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (7.18 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。</p> <p>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。</p>
References	<p>[1]. Tatsumi Y, et al. Mechanism of action of efinaconazole, a novel triazole antifungal agent. Antimicrob Agents Chemother. 2013 May;57(5):2405-9.</p> <p>[2]. Tatsum Y, et al. KP-103, a novel triazole derivative, is effective in preventing relapse and successfully treating experimental interdigital tinea pedis and tinea corporis in guinea pigs. Microbiol Immunol. 2002;46(7):425-32.</p>

源叶生物