产品名称：Sertaconazole Nitrate
产品别名：Sertaconazole nitrate；硝酸舍他康唑； FI7056

生物活性：

Description

Sertaconazole nitrate is a topical broad-spectrum antifungal that is developed to provide an additional agent for the treatment of superficial cutaneous and mucosal infections. Target: Antifungal Sertaconazole nitrate reduces the release of cytokines from activated lymphocytes and mitigated inflammation in animal models of irritant contact dermatitis and neurogenic inflammation in a dose-dependent fashion. Sertaconazole nitrate is found to inhibit the proliferation of stimulated human lymphocytes with IC50 of 4 μg/mL [1]. Sertaconazole nitrate inhibits ergosterol synthesis by blockade of the P450-dependent enzyme pathway that catalyzes the methylation of lanosterol to ergosterol, thus inhibits fungal cell growth. Sertaconazole nitrate binds directly to nonsterol lipids in the membrane, which interferes with the regulation of the permeability of fungal cell membranes, thus induces fungal cell death [2]. The mean ear weight of Tetradecanoyl phorbol acetate (TPA)-challenged murine treated with sertaconazole nitrate (1%) is 7.23 mg compared with 14.7 mg for controls, indicating a statistically significant reduction in irritant dermatitis. Sertaconazole nitrate 1% elicits a significant reduction in Resiniferatoxin-induced ear edema when compared with controls in CD-1 mice. Topical treatment with sertaconazole nitrate 1% significantly inhibits contact hypersensitivity and decreases the content of the pro-inflammatory cytokines TNFα, IL-2, and IFNγ in oxazolone exposed murine skin [1]. Clinical trials with sertaconazole nitrate cream 2% show efficacy in the treatment of superficial cutaneous fungal infections [2]. Sertaconazole reduces inflammation via inducing PGE2 production and the COX-2 inhibitor blocks sertaconazole from exerting its anti-inflammatory effects in a mouse model of TPA-induced ear edema [3].

Solvent&Solubility

In Vitro:

DMSO： ≥ 100 mg/mL (199.69 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9969 mL	9.9844 mL	19.9688 mL
	5 mM	0.3994 mL	1.9969 mL	3.9938 mL
	10 mM	0.1997 mL	0.9984 mL	1.9969 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 (4.99 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.99 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% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (4.99 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.99 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (4.99 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.99 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]. Liebel, F., et al., Anti-inflammatory and anti-itch activity of sertaconazole nitrate. Arch Dermatol Res, 2006. 298(4): p. 191-9. [2]. Pfaller, M.A. and D.A. Sutton, Review of in vitro activity of sertaconazole nitrate in the treatment of superficial fungal infections. Diagn Microbiol Infect Dis, 2006. 56(2): p. 147-52. [3]. Sur, R., et al., Anti-inflammatory activity of sertaconazole nitrate is mediated via activation of a p38-COX-2-PGE2 pathway. J Invest Dermatol, 2008. 128(2): p. 336-44.