产品名称：吡美莫司
产品别名：匹美克莫司；Pimecrolimus

生物活性：

Description

Pimecrolimus is an immunophilin ligand, which binds specifically to the cytosolic receptor, immunophilin macrophilin-12. Target: Others Pimecrolimus blocks T-lymphocyte activation pathway by inhibiting calcineurin function [1]. Pimecrolimus prevents the release of cytokines and pro-inflammatory mediators from mast cells. Pimecrolimus binds to macrophilin-12, the pimecrolimusmacrophilin complex then binds to the cytosolic enzyme calcineurin phosphatase. The pimecrolimus-macrophilin complex prevents the dephosphorylation of the cytoplasmic component of the nuclear factor of activated T cells by inhibiting the action of calcineurin. Pimecrolimus inhibits not only the transcription and synthesis of cytokines from mast cells, but also the release of preformed mediators serotonin and β-hexosaminidase by the inhibition of Fcε-RI-mediated degranulation and secretion. Pimecrolimus treatment causes a strong down-regulation of the expression of mRNA for genes associated with the macrolactam target pathway and inflammation [2]. Pimecrolimus is found to be as effective as cyclosporine A following oral ingestion and slightly superior after subcutaneous administration in mice. Pimecrolimus contrasts cyclosporine A and tacrolimus by inhibiting ongoing secondary inflammatory response, but not impairing the primary immune response in allergic contact dermatitis in mice. [2] Pimecrolimus is as effective as the high-potency corticosteroid clobetasol-17-propionate in a pig model of allergic contact dermatitis (ACD). Pimecrolimus also effectively reduces skin inflammation and pruritus in hypomagnesemic hairless rats, a model that mimics acute signs of atopic dermatitis [3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 32 mg/mL (39.48 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.2339 mL	6.1694 mL	12.3388 mL
5 mM	0.2468 mL	1.2339 mL	2.4678 mL
10 mM	0.1234 mL	0.6169 mL	1.2339 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 (3.08 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (3.08 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 (3.08 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (3.08 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]. Nghiem, P., G. Pearson, and R.G. Langley, Tacrolimus and pimecrolimus: from clever prokaryotes to inhibiting calcineurin and treating atopic dermatitis. J Am Acad Dermatol, 2002. 46(2): p. 228-41. [2]. Gupta, A.K. and M. Chow, Pimecrolimus: a review. J Eur Acad Dermatol Venereol, 2003. 17(5): p. 493-503. [3]. Stuetz, A., M. Grassberger, and J.G. Meingassner, Pimecrolimus (Elidel, SDZ ASM 981)--preclinical pharmacologic profile and skin selectivity. Semin Cutan Med Surg, 2001. 20(4): p. 233-41.