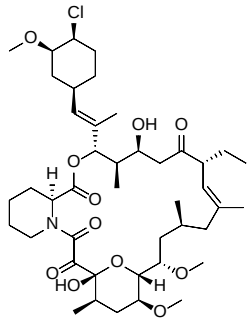
Product data sheet

MedKoo Cat#: 315295 Name: Pimecrolimus CAS: 137071-32-0 Chemical Formula: $C_{43}H_{68}ClNO_{11}$ Exact Mass: 809.4481 Molecular Weight: 810.463	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Pimecrolimus is an immunomodulating agent used in the treatment of atopic dermatitis (eczema). It is currently available as a topical cream, once marketed by Novartis (however Galderma is promoting the compound in Canada since early 2007) under the trade name Elidel. Pimecrolimus is an ascomycin macrolactam derivative. It has been shown in vitro that pimecrolimus binds to macrophilin-12(also referred to as FKBP-12) and inhibits calcineurin. Thus pimecrolimus inhibits T-cell activation by inhibiting the synthesis and release of cytokines from T-cells. Pimecrolimus also prevents the release of inflammatory cytokines and mediators from mast cells.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	20.0	24.68
DMSO	50.67	62.52
Ethanol	60.0	74.03
Ethanol:PBS (pH 7.2) (1:3)	0.25	0.31

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.23 mL	6.17 mL	12.34 mL
5 mM	0.25 mL	1.23 mL	2.47 mL
10 mM	0.12 mL	0.62 mL	1.23 mL
50 mM	0.03 mL	0.12 mL	0.25 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Xu P, Chen J, Tan C, Lai RS, Min ZS. Pimecrolimus increases the melanogenesis and migration of melanocytes in vitro. Korean J Physiol Pharmacol. 2017 May;21(3):287-292. doi: 10.4196/kjpp.2017.21.3.287. Epub 2017 Apr 21. PMID: 28461770; PMCID: PMC5409113.

2. Grassberger M, Baumruker T, Enz A, Hiestand P, Hultsch T, Kalthoff F, Schuler W, Schulz M, Werner FJ, Winiski A, Wolff B, Zenke G. A novel anti-inflammatory drug, SDZ ASM 981, for the treatment of skin diseases: in vitro pharmacology. Br J Dermatol. 1999 Aug;141(2):264-73. doi: 10.1046/j.1365-2133.1999.02974.x. PMID: 10468798.

In vivo study

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1. Shin N, Jung N, Lee SE, Kong D, Kim NG, Kook MG, Park H, Choi SW, Lee S, Kang KS. Pimecrolimus interferes the therapeutic efficacy of human mesenchymal stem cells in atopic dermatitis by regulating NFAT-COX2 signaling. Stem Cell Res Ther. 2021 Aug 28;12(1):482. doi: 10.1186/s13287-021-02547-8. PMID: 34454603; PMCID: PMC8399851.
2. Meingassner JG, Grassberger M, Fahrngruber H, Moore HD, Schuurman H, Stütz A. A novel anti-inflammatory drug, SDZ ASM 981, for the topical and oral treatment of skin diseases: in vivo pharmacology. Br J Dermatol. 1997 Oct;137(4):568-76. doi: 10.1111/j.1365-2133.1997.tb03788.x. PMID: 9390333.

7. Bioactivity

Biological target:

Pimecrolimus (SDZ-ASM 981) is a potent, nonsteroid and orally active calcineurin inhibitor with a Ki of 117 nM.

In vitro activity

SDZ ASM 981 inhibits the proliferation of human T cells after antigen-specific or non-specific stimulation. It downregulates the production of Th1 [interleukin (IL)-2, interferon-gamma] and Th2 (IL-4, IL-10) type cytokines after antigen-specific stimulation of a human T-helper cell clone isolated from the skin of an atopic dermatitis patient. SDZ ASM 981 inhibits the phorbol myristate acetate/phytohaemagglutinin-stimulated transcription of a reporter gene coupled to the human IL-2 promoter in the human T-cell line Jurkat and the IgE/antigen-mediated transcription of a reporter gene coupled to the human tumour necrosis factor (TNF)-alpha promoter in the murine mast-cell line CPII.

Reference: Br J Dermatol. 1999 Aug;141(2):264-73. https://pubmed.ncbi.nlm.nih.gov/10468798/

In vivo activity

In the pig model, topical SDZ ASM 981 was as effective as the ultrapotent corticosteroid clobetasol-17-propionate, and when compared with a series of commercial topical corticosteroid preparations, 0.1% SDZ ASM 981 had equivalent efficacy to clobetasol-17-propionate (0.05%), the most potent product on the market. Unlike the corticosteroid, however, SDZ ASM 981 did not cause skin atrophy in pigs. SDZ ASM 981 potently inhibited allergic contact dermatitis in mice and rats when given systemically, and oral treatment was more effective than cyclosporin A in rats. Furthermore, SDZ ASM 981 has a low potential for affecting systemic immune responses, as demonstrated in rat models of localized graft vs. host reaction and allogeneic kidney transplantation.

Reference: Br J Dermatol. 1997 Oct;137(4):568-76. https://pubmed.ncbi.nlm.nih.gov/9390333/