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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
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- Expressversand

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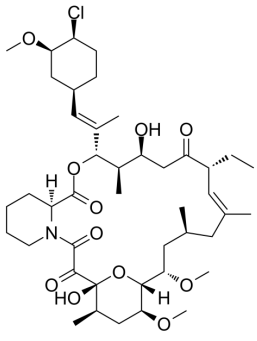
Pimecrolimus



Cat. No.:	HY-13723
CAS No.:	137071-32-0
Molecular Formula:	$C_{43}H_{68}ClNO_{11}$
Molecular Weight:	810.45
Target:	Phosphatase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 32 mg/mL (39.48 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / 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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pimecrolimus (SDZ-ASM 981) is a potent, nonsteroid and orally active calcineurin inhibitor with a K_i of 117 nM. Pimecrolimus shows anti-inflammatory activity[1][2].

IC_{50} & Target

Calcineurin[1]

In Vitro

Pimecrolimus (SDZ-ASM 981) (100 nM) targets T-cells and mast cells and inhibits the production and release of cytokines and other inflammatory mediators, as well as the expression of signals essential for the activation of inflammatory T-lymphocytes[1].

Pimecrolimus acts specifically on cells that are crucial for the effector phase of an inflammatory reaction[1].

Pimecrolimus (10 nM; 3 days) inhibits the polyclonal growth of peripheral blood T cells in murine and human mixed lymphocyte reactions[2].
Pimecrolimus inhibits cytokine IL-2, IL-4, IL-10 and interferon-γ release from T-cell clone CFTS4:3.1 with IC_{50}s of 0.28, 0.3, 0.2 and 0.42 nM, respectively after antigen-specific stimulation[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	T-cell clone (TCC), murine and human mixed lymphocyte reactions (MLR)
Concentration:	0-10 nM
Incubation Time:	3 days
Result:	Inhibited proliferation of TCC CFTS 4:3.1 with IC_{50}s of 0.78-2.6 nM. Inhibited murine and human MLR with IC_{50}s of both 1.3 nM.

In Vivo

Pimecrolimus (SDZ-ASM 981) (0-0.4%; topical; 10 μL once) inhibits allergic contact dermatitis in mice and pigs[3].
Pimecrolimus (0-90 mg/kg; p.o. or s.c.; twice or once) potently inhibits allergic contact dermatitis in mice and rats[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female NMRl mice, allergic contact dermatitis model[3]
Dosage:	0.004%, 0.01%, 0.04%, 0.13% and 0.4% in10 μL ethanolic solution
Administration:	Topical application, once
Result:	Inhibited oedema formation by 17% at concentration of 0.004%.

Animal Model:	Female NMRl mice, allergic contact dermatitis model[3]
Dosage:	10, 30, 90 mg/kg (oral) or 1.5, 4.5, 13.5 mg/kg (subcutaneous)
Administration:	Oral (twice) or subcutaneous administration (once)
Result:	Signiticantiy inhibited inflammatory ear oedema formation.

CUSTOMER VALIDATION

- Biochem Pharmacol. 2021 Feb 16;114476.
- J Cell Sci. 2019 May 20;132(10):jcs227777.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Grassberger M, et al. Pimecrolimus -- an anti-inflammatory drug targeting the skin. Exp Dermatol. 2004 Dec;13(12):721-30.

[2]. Grassberger M, et al. 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.

[3]. Meingassner JG, et al. 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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