

Product data sheet



MedKoo Cat#: 592996 Name: Tacrolimus hydrate CAS#: 109581-93-3 (hydrate) Chemical Formula: C ₄₄ H ₇₁ NO ₁₃ Exact Mass: 821.4925 Molecular Weight: 822.05		
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:

Tacrolimus, also known as FK-506, is an immunosuppressive drug used mainly after allogeneic organ transplant to reduce the activity of the patient's immune system and to lower the risk of organ rejection. It is also used in a topical preparation in the treatment of atopic dermatitis (eczema), severe refractory uveitis after bone marrow transplants, exacerbations of minimal change disease, TH2-mediated diseases such as Kimura's disease, and the skin condition vitiligo. FK-506 is a macrolide isolated from the fungus *Streptomyces tsukubaensis*.

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
DMSO	20	24.33

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.22 mL	6.08 mL	12.16 mL
5 mM	0.24 mL	1.22 mL	2.43 mL
10 mM	0.12 mL	0.61 mL	1.22 mL
50 mM	0.02 mL	0.12 mL	0.24 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

Yoshikawa T, Nakajima H, Uemura T, Kasai T, Enomoto Y, Tamura T, Nonomura A, Takakura Y. In vitro bone formation induced by immunosuppressive agent tacrolimus hydrate (FK506). *Tissue Eng.* 2005 Mar-Apr;11(3-4):609-17. doi: 10.1089/ten.2005.11.609. PMID: 15869437.

In vivo study

Tanaka S, Hirano T, Saito T, Wakata N, Oka K. P-glycoprotein function in peripheral blood mononuclear cells of myasthenia gravis patients treated with tacrolimus. *Biol Pharm Bull.* 2007 Feb;30(2):291-6. doi: 10.1248/bpb.30.291. PMID: 17268068.

7. Bioactivity

Biological target:

Tacrolimus inhibits calcineurin phosphatase, which inhibits T-lymphocyte signal transduction and IL-2 transcription.

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In vitro activity

Scanning electron microscopy revealed mineralized bone matrix in the cell clusters, which was identical to that of living bone. High levels of alkaline phosphatase (ALP), indicating osteoblastic activity, and high levels of osteocalcin (Oc) and calcium were found in the mature bone matrix of the cultures. There was significantly increased expression of mRNAs for ALP and Oc. These results indicate that the cultures contained both bone matrix and high osteoblastic activity, suggesting that FK506 induces ossification.

Reference: Yoshikawa T, Nakajima H, Uemura T, Kasai T, Enomoto Y, Tamura T, Nonomura A, Takakura Y. In vitro bone formation induced by immunosuppressive agent tacrolimus hydrate (FK506). Tissue Eng. 2005 Mar-Apr;11(3-4):609-17. doi: 10.1089/ten.2005.11.609. PMID: 15869437.

In vivo activity

P-gp function was estimated by transporter activity that was inferred from a decrease in fluorescent P-gp substrate Rhodamine 123 (Rh123) and its inhibition by cyclosporine A (CsA). The P-gp efflux function in MG (FK+) patients assessed by the Kolmogorov-Smirnov (KS) statistic D was lower than in the healthy subjects ($p=0.0084$). However, PBMC sensitivity to FK506 in MG (FK+) patients was significantly higher compared to that of the healthy subjects ($p=0.02$). There was a significant correlation between the Rh123 efflux activity and PBMC sensitivity to FK506 in vitro ($p=0.011$). The data raise the possibility that FK506 treatment attenuated P-gp function in the PBMCs of the MG patients.

Reference: Tanaka S, Hirano T, Saito T, Wakata N, Oka K. P-glycoprotein function in peripheral blood mononuclear cells of myasthenia gravis patients treated with tacrolimus. Biol Pharm Bull. 2007 Feb;30(2):291-6. doi: 10.1248/bpb.30.291. PMID: 17268068.

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.