

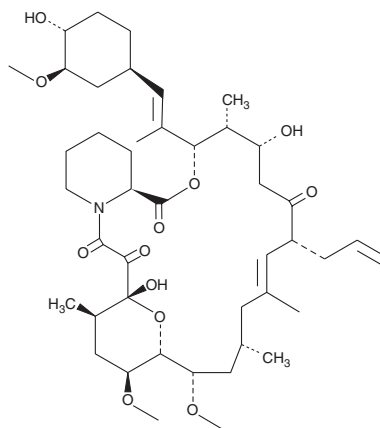
Product Information



FK-506

Catalog No. 10007965

CAS Registry No.: 104987-11-3
Formal Name: 5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26aS-hexahydro-5S,19R-dihydroxy-3S-[(1E)-2-(4R-hydroxy-3R-methoxycyclohexyl)-1R-methylethenyl]-14S,16S-dimethoxy-4R,10,12S,18R-tetramethyl-8R-(2-propenyl)-15R,19R-epoxy-3H-pyrido[2,1-c][1,4]oxazacyclotrisine-1,7,20,21,(4H,23H)-tetrone
MF: C₄₄H₆₉NO₁₂
FW: 804.0
Purity: ≥99%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that FK-506 be stored as supplied at -20°C. It should be stable for at least two years.

FK-506 is supplied as a crystalline solid. A stock solution may be made by dissolving the FK-506 in an organic solvent purged with an inert gas. FK-506 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of FK-506 in these solvents is approximately 20 mg/ml.

FK-506 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, FK-506 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. FK-506 has a solubility of approximately 0.15 mg/ml in a 1:5 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

FK-506 is a potent, clinically-useful immunosuppressant in the same molecular class as cyclosporin A and rapamycin.¹ Its mechanism of action involves the formation of a high affinity complex ($K_i = 0.2$ nM) with FK-506 Binding Protein 12 (FKBP12). This complex then inhibits the activity of the calcium/calmodulin-dependent protein phosphatase, calcineurin, leading to disruption of T-cell activation.² The physiological effects of FK-506 also include regulation of nitric oxide neurotoxicity, neurotransmitter release, and regulation of Ca²⁺ release *via* the ryanodine and inositol-(1,4,5)-trisphosphate (IP₃) receptors.³ In the latter case, FKBP12 forms a tight complex with both ryanodine and IP₃ receptors which can be disrupted by FK-506, thereby rendering the receptors 'leaky' to Ca²⁺.

References

1. Schreiber, S.L. Chemistry and biology of the immunophilins and their immunosuppressive ligands. *Science* **251**, 283-287 (1991).
2. Dumont, F.J. FK506, An immunosuppressant targeting calcineurin function. *Current Medicinal Chemistry* **7**, 731-748 (2000).
3. Snyder, S.H., Sabatini, D.M., Lai, M.M., *et al.* Neural actions of immunophilin ligands. *TIPS* **19**, 21-26 (1998).

Related Product

FTY720 - Cat. No. 10006292

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent *via* email to your institution.

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