

PRODUCT INFORMATION

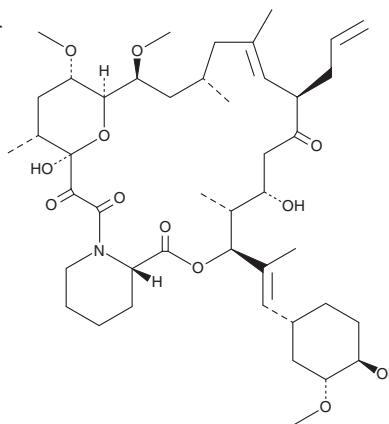


FK-506

Item No. 10007965

CAS Registry No.: 104987-11-3
Formal Name: (3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-3-[(1E)-2-[(1R,3R,4R)-4-hydroxy-3-methoxycyclohexyl]-1-methylethenyl]-14,16-dimethoxy-4,10,12,18-tetramethyl-8-(2-propen-1-yl)-15,19-epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone

Synonym: Tacrolimus
MF: C₄₄H₆₉NO₁₂
FW: 804.0
Purity: ≥99%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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 (DMF). The solubility of FK-506 in ethanol and DMF is approximately 30 mg/ml, and in DMSO it 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.

Description

FK-506 is a potent immunosuppressant in the same molecular class as cyclosporin A (Item No. 12088) and rapamycin (Item No. 13346).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

- Schreiber, S.L. Chemistry and biology of the immunophilins and their immunosuppressive ligands. *Science* **251**(4991), 283-287 (1991).
- Dumont, F.J. FK506, An immunosuppressant targeting calcineurin function. *Current Medicinal Chemistry* **7**(7), 731-748 (2000).
- Snyder, S.H., Sabatini, D.M., Lai, M.M., et al. Neural actions of immunophilin ligands. *TIPS* **19**(1), 21-26 (1998).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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