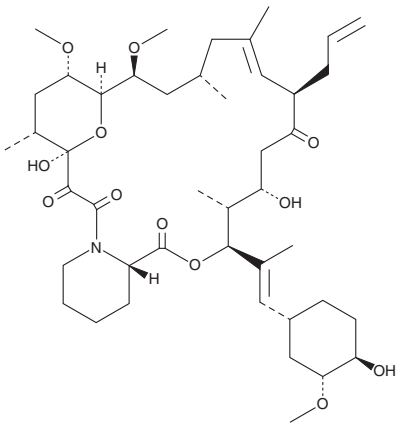
# PRODUCT INFORMATION

## 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:** $C_{44}H_{69}NO_{12}$
**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 $Ca^{2+}$ release *via* the ryanodine and inositol-(1,4,5)-trisphosphate ($IP_3$) receptors.[3] In the latter case, FKBP12 forms a tight complex with both ryanodine and $IP_3$ receptors which can be disrupted by FK-506, thereby rendering the receptors leaky to $Ca^{2+}$.

## References


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





**CAYMAN CHEMICAL**
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
**PHONE:** [800] 364-9897
[734] 971-3335
**FAX:** [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM