

FK-506

10 mg

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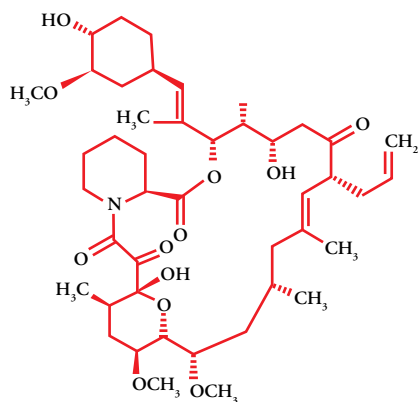
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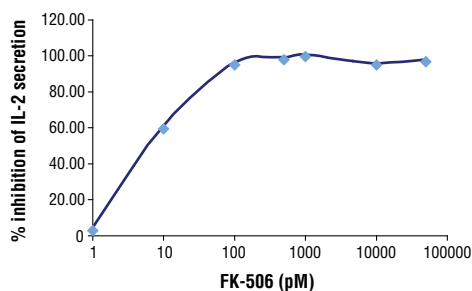
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Background: The calcium dependent protein phosphatase calcineurin is responsible for the de-phosphorylation of the transcriptional regulator nuclear factor of activated T cells (NFAT) and is essential for NFAT's nuclear translocation and activation (1,2). Calcineurin is a target of two common immunosuppressants, cyclosporin A (CsA) (3) and FK-506 (also known as tacrolimus and fujimycin) (4), both of which can inhibit antigen and mitogen triggered T cell activation. These drugs interact with the immunophilins cyclophilin and FKBP-12, respectively, and the immunophilin-drug complex binds to calcineurin to inhibit substrate binding (5). FK-506 can be up to 100-fold more potent than CsA in various models (6-8).

Molecular Formula: C₄₄H₆₉NO₁₂



Molecular Weight: 804.03 g/mol



Dose response inhibition of IL-2 secretion by FK-506 in Jurkat cells treated overnight with TPA (40 nM) and A23187 (2 μM).

Directions for Use: FK-506 is supplied as a 10 mg powder. Store at -20°C. FK-506 is soluble in DMSO and EtOH at 100mg/ml, and stock solutions should be stored at -20°C. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used between 1 and 10 nM.

Background References:

- (1) Schulz, R.A. and Yutzey, K.E. (2004) *Dev Biol* 266, 1–16.
- (2) Rusnak, F. and Mertz, P. (2000) *Physiol Rev* 80, 1483–521.
- (3) Borel, J.F. et al. (1976) *Agents Actions* 6, 468–75.
- (4) Kino, T. et al. (1987) *J Antibiot (Tokyo)* 40, 1249–55.
- (5) Liu, J. et al. (1991) *Cell* 66, 807–15.
- (6) Henderson, D.J. et al. (1991) *Immunology* 73, 316–21.
- (7) Tocci, M.J. et al. (1989) *J Immunol* 143, 718–26.
- (8) Yoshimura, N. et al. (1989) *Transplantation* 47, 351–6.

Storage: Store lyophilized or in solution at -20°C, desiccated. Protect from light. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.