Small Molecules

FK506

Calcineurin/NFAT pathway inhibitor;
Binds FKBP-12

Catalog # 74152 10 mg
74154 50 mg

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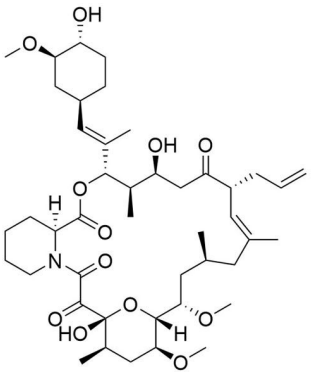
Product Description

FK506 is a macrolide antibiotic with potent immunosuppressive properties (Thomson et al.). It inhibits the calcineurin/NFAT pathway (Martinez-Martinez & Redondo) and binds with high affinity to FK506 binding protein 12 (FKBP-12) (Thomson et al.).

Molecular Name:	FK506
Alternative Names:	Tacrolimus
CAS Number:	104987-11-3
Chemical Formula:	$C_{44}H_{69}NO_{12}$
Molecular Weight:	804.0 g/mol
Purity:	≥ 99%
Chemical 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
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 20 mM · Absolute ethanol ≤ 35 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 1.24 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

MAINTENANCE AND SELF-RENEWAL
· Promotes the self-renewal of mouse embryonic stem cells independent of leukemia inhibitory factor (LIF), and attenuates differentiation following LIF withdrawal (Li et al.).
· In combination with 1-Azakenpaullone (Catalog #74252) and ID-8 (Catalog #72502), enhances the expansion rates of several human pluripotent stem cell lines (Yasuda et al.).
IMMUNOLOGY
· Inhibits pro-inflammatory cytokine production by activated T cells (Sakuma et al.).

References

Li X et al. (2011) Calcineurin-NFAT signaling critically regulates early lineage specification in mouse embryonic stem cells and embryos. Cell Stem Cell 8(1): 46–58.
Martinez-Martinez S & Redondo J. (2004) Inhibitors of the calcineurin / NFAT pathway. Curr Med Chem 11(8): 997–1007.
Sakuma S et al. (2000) FK506 potently inhibits T cell activation induced TNF-alpha and IL-1beta production in vitro by human peripheral blood mononuclear cells. Br J Pharmacol 130(7): 1655–63.
Thomson AW et al. (1995) Mode of action of tacrolimus (FK506): molecular and cellular mechanisms. Ther Drug Monit 17(6): 584–91.
Yasuda S et al. (2018) Chemically defined and growth-factor-free culture system for the expansion and derivation of human pluripotent stem cells. Nat Biomed Eng 2(3): 173–82.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).