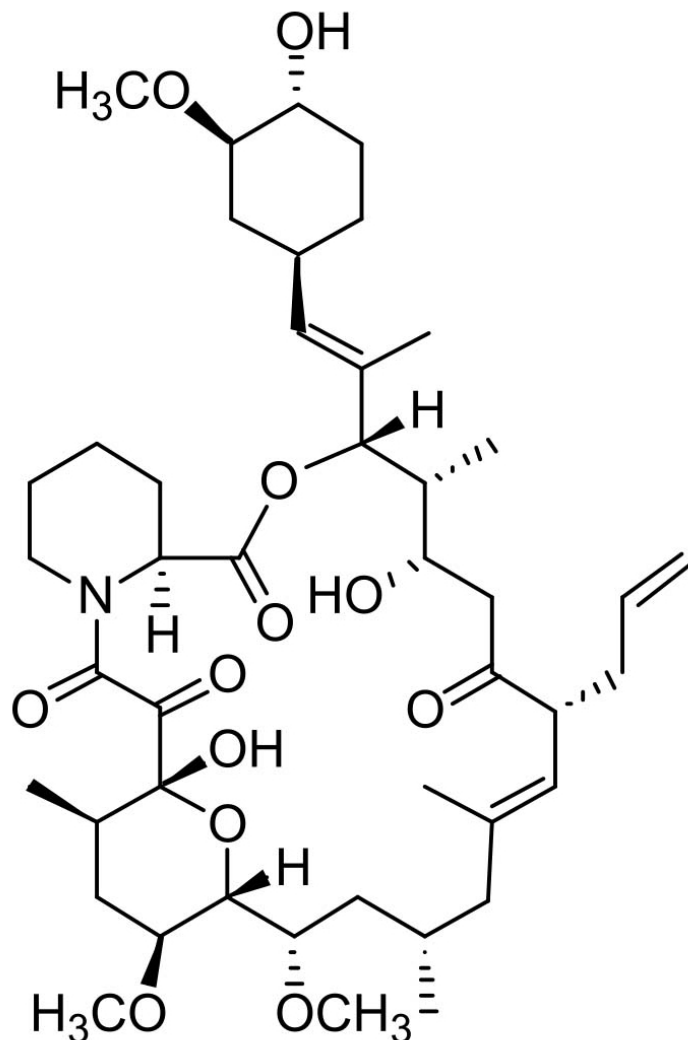


Tacrolimus

Code No.: BIA-T1184

Pack sizes.: 25mg, 100mg



Synonyms:

Fujimycin, FK506, FR900506, Tskubaenolide

Specifications

CAS #	: 104987-11-3
Molecular Formula	: C ₄₄ H ₆₉ N ₁ O ₁₂
Molecular Weight	: 804
Source	: -
Appearance	: White solid
Purity	: >99% by HPLC
Long Term Storage	: -20°C
Solubility	: Soluble in ethanol, methanol, DMF or DMSO. Limited water solubility.

Application Notes

Tacrolimus (fujimycin) was discovered as a potent inhibitor of IL2 production in a targeted search for novel immunosuppressants. Tacrolimus acts by blocking T cell proliferation in vitro by inhibiting the generation of several lymphokines, notably the original target IL-2. Tacrolimus inhibits the activity of FK-506 binding protein, Ca²⁺-dependent phosphatase and calcineurin, and activates NF-κB through phosphorylation and degradation of IκBα.

References

1. FK-506, a novel immunosuppressant isolated from a *Streptomyces*. I. Fermentation, isolation, and physico-chemical and biological characteristics. Kino T. et al., *J. Antibiot.* 1987, 40, 1249.
2. Cyclosporin A and FK506: molecular mechanisms of immunosuppression and probes for transplantation biology. Bierer B.E. et al., *Curr. Opin. Immunol.* 1993, 5, 763.
3. Immunosuppressant FK506 activates NF-kappaB through the proteasome-mediated degradation of IκappaBα. Requirement for IκappaBα n-terminal phosphorylation but not ubiquitination sites. Zhang Y. et al., *J. Biol. Chem.* 1999, 274, 34657.