

Tacrolimus (monohydrate)

Catalog No: tcsc3076



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

109581-93-3

Formula:

$C_{44}H_{71}NO_{13}$

Pathway:

Autophagy

Target:

Autophagy

Purity / Grade:

>98%

Solubility:

H2O :

Alternative Names:

Fujimycin monohydrate;FR900506 monohydrate;FK506 monohydrate

Observed Molecular Weight:

822.03

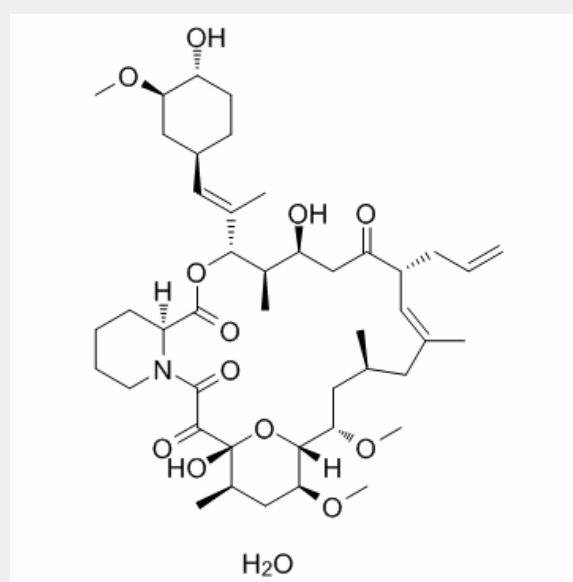
Product Description

Tacrolimus monohydrate binds to **FK506 binding protein (FKBP)**. This complex inhibits calcineurin phosphatase (PP2B). Tacrolimus monohydrate is a mTOR-independent **autophagy** inducer.

IC50 & Target: PP2B (calcineurin phosphatase)^[1]
Autophagy inducer^[2]

In Vitro: Tacrolimus (FK506) inhibits calcium-dependent events, such as IL-2 gene transcription, NO synthase activation, cell degranulation, and apoptosis. Tacrolimus also potentiates the actions of glucocorticoids and progesterone by binding to FKBP s contained within the hormone receptor complex, preventing degradation. The agent may enhance expression of the TGFβ-1 gene in a fashion analogous to that demonstrated for CsA. T cell proliferation in response to ligation of the T cell receptor is inhibited by Tacrolimus^[1]. Treatment with a low concentration of Tacrolimus (FK506, 10 µg/L) does not significantly affect the proliferation of MH3924A cells (P=0.135). Upon treatment with higher concentrations of Tacrolimus (100-1,000 µg/L), the proliferation of MH3924A cells is significantly enhanced (P<0.05). However, when different concentrations of AMD3100 are combined with 100 µg/L Tacrolimus, the in vitro proliferation of MH3924A cells is increased (P<0.05).

In Vivo: The therapeutic effect of Tacrolimus is investigated on progression and perpetuation of colitis by administering Tacrolimus to Dextran sulfate sodium (DSS)-treated mice from Days 10 to 16 or to 23. At Days 17 and 24, colon length is significantly shortened, and colon weight is significantly higher in DSS-treated control animals than in normal animals. In addition, colon weight per unit length in the control group is more than twice that in the normal group. While both 7 and 14 d treatment with Tacrolimus significantly suppresses increases in colon weight per unit length in DSS-treated animals compared with the control group, this treatment does not actually restore the colon shortening. In addition, this inhibitory effect of Tacrolimus on increases in colon weight per unit length is more pronounced with 14-d than 7-d treatment, as shown by the inhibitory percentages (59% vs. 28%)^[4].



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