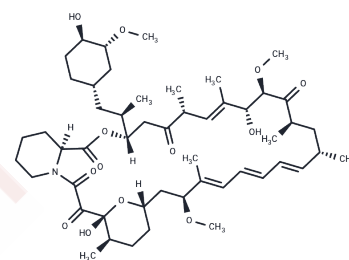


Rapamycin

Chemical Properties

CAS No. :	53123-88-9
Formula:	C ₅₁ H ₇₉ N ₃ O ₁₃
Molecular Weight:	914.17
Storage:	Keep away from moisture, Store at low temperature, Keep away from direct sunlight Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Rapamycin (AY 22989) is a natural product of macrolides, an mTOR inhibitor with specificity (HEK293 cells: IC ₅₀ =0.1 nM). Rapamycin has immunosuppressive activity and induces autophagy.
Targets(IC ₅₀)	Endogenous Metabolite, Antibacterial, Antibiotic, Autophagy, Antifungal, FKBP, mTOR
In vitro	<p>METHODS: Normal human renal epithelial cells HREC were treated with Rapamycin (0.01-1000 nmol/L) for 6 days, and cell growth inhibition was detected using MTT.</p> <p>RESULTS: Rapamycin dose-dependently inhibited the growth of HREC, with a 40% reduction in cell viability at a concentration of 10 nmol/L. [1]</p> <p>METHODS: Human cervical cancer cells HeLa and human prostate cancer cells PC3 were treated with Rapamycin (100 nM) for 0.5-24 h, and the expression levels of target proteins were detected by Immunoprecipitation.</p> <p>RESULTS: Rapamycin had little effect on the expression levels of mTOR, raptor and rictor. Rapamycin significantly reduced raptor binding to mTOR at 0.5 h and rictor binding to mTOR at 24 h. Long-term treatment of cells with Rapamycin inhibited mTORC2 assembly. [2]</p> <p>METHODS: Human vascular endothelial cells were treated with Rapamycin (1-100 ng/mL) for 48 h, and cell migration was examined using the Wound-healing method.</p> <p>RESULTS: Rapamycin dose-dependently inhibited the migration of human vascular endothelial cells. [3]</p>
In vivo	<p>METHODS: To study the effect of Rapamycin on life expectancy, Rapamycin (8 mg/kg in DMSO+5% PEG-400+5% Tween-80) was administered intraperitoneally to 20-21 month old C57BL/6J mice once daily for three months.</p> <p>RESULTS: Three months of Rapamycin treatment was sufficient to increase the life expectancy of middle-aged mice by 60% and improve their healthy lifespan. [4]</p> <p>METHODS: To determine the appropriate dose of Rapamycin for the treatment of epilepsy, Rapamycin (0.1-3 mg/kg in 4% ethanol + 5% Tween 80 + 5% PEG 400) was injected intraperitoneally into Sprague-Dawley rats once a day for four weeks.</p> <p>RESULTS: Only 1.0 mg/kg and 3.0 mg/kg Rapamycin inhibited p-S6. Rats treated with 0.1 and 0.3 mg/kg Rapamycin had no significant adverse effects, whereas rats treated with 1.0 and 3.0 mg/kg Rapamycin showed significant reductions in body, spleen, and thymus weights, and exhibited cognitive impairment and anxiety. The Rapamycin dose</p>

A DRUG SCREENING EXPERT

In vivo	could not inhibit mTOR in the treatment of epilepsy without causing any side effects, but 1 mg/kg may be the optimal dose to inhibit mTOR in young rats with relatively few side effects. [5]
Kinase Assay	HEK293 cells were plated at $2-2.5 \times 10^5$ cells per well of a 12-well plate and serum-starved for 24 h in DMEM only. Cells were mock-treated or treated with rapamycin (0.05-50 nM), iRap (0.5-500 nM), or AP21967 (0.5-500 nM) for 15 minutes at 37 °C. Serum was added to a final concentration of 20% for 30 minutes at 37 °C. Cells were lysed as described and cell lysates were separated by SDS-PAGE. Resolved proteins were transferred to a PVDF membrane and immunoblotted with a phosphospecific primary antibody against Thr389 of p70 S6 kinase. Data were analyzed using ImageQuant and KaleidaGraph [1].
Cell Research	To determine the effects of rapamycin and rapamycin plus LY294002 or UCN-01 on tumor cells, we determined cell viability after the treatments. We used a trypan blue dye exclusion assay as described previously. Tumor cells in exponential growth were harvested and seeded at 5×10^3 cells per well (0.1 mL) in 96-well flat-bottomed plates and incubated overnight at 37°C. The cells were then incubated for 72 hours with or without rapamycin or with rapamycin plus LY294002 or UCN-01. After the cells were collected by trypsinization, they were stained with trypan blue, and the viable cells in each well were counted. The viability of the untreated cells (the control) was considered 100%. Survival fractions were calculated from the mean cell viability of the treated cells [3].
Animal Research	Animals were randomized to treatment or vehicle groups so that the mean starting body weights of each group were equal. Drug treatment began on the day of surgery or on the first day of reloading after the 14-day suspension. Rapamycin was delivered once daily by intraperitoneal injection at a dose of 1.5 mg/kg, dissolved in 2% carboxymethylcellulose. CsA was delivered once daily by subcutaneous injection at a dose of 15 mg/kg, dissolved in 10% methanol and olive oil. FK506 was delivered once daily via subcutaneous injection at a dose of 3 mg/kg, dissolved in 10% ethanol, 10% cremophor and saline [4].

Solubility Information

Solubility	Ethanol: 100 mg/mL (109.39 mM),Sonication is recommended. H2O: Insoluble, DMSO: 257.5 mg/mL (281.68 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (10.94 mM),Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.0939 mL	5.4694 mL	10.9389 mL
5 mM	0.2188 mL	1.0939 mL	2.1878 mL
10 mM	0.1094 mL	0.5469 mL	1.0939 mL
50 mM	0.0219 mL	0.1094 mL	0.2188 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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