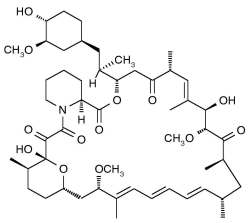
FT-Q62227

Rapamycin

Marker for translation initiation activation

Product Description

Name : **Rapamycin, >99%**



Catalog : Q62221, 5 mg

Q62224, 50 mg

Q62225, 100 mg

Q62226, 200 mg

Q62227, 500 mg

Q6222K, 1 g

MW : 914,17 CAS [53123-88-9]

Solubility : Soluble in DMSO or ethanol (up to about 50 mg/mL for either solvent)
The solubility of rapamycin in 50/50 DMSO/water, as used in osmotic pumps, is about 0.5 mg/mL at ambient temperature.

Storage: –20°C

Directions for use

Guidelines for use

Rapamycin formulations for *in vivo* use: Many of our customers have asked for information about preparing formulations of rapamycin for administration to animals. Here are several journal citations and links for information on this topic:

A vehicle for rapamycin injection can consist of a rapamycin suspension in 0.2% carboxymethyl cellulose and 0.25% polysorbate-80. They don't say how the suspension was done; one way is to thoroughly agitate or grind the rapamycin in the vehicle. Another way, probably better and easier, is to dissolve the rapamycin at high concentration in DMSO, dimethylformamide or dimethylacetamide, then dilute into the aqueous vehicle--this should give a very fine suspension if agitation is good during addition of the DMSO solution. Injection was i.m. Gallo, R., *et al*. "Inhibition of Intimal Thickening After Balloon Angioplasty in Porcine Coronary Arteries by Targeting Regulators of the Cell Cycle." *Circulation* **99**: 2164-2170 (1999).

Rapamycin has been dissolved in dimethylacetamide, then added it in 1:24 proportion to a vehicle of (final conc.'s) 10% polyethylene glycol (MW avg. = 400) and 17% polyoxyethylene sorbitan monooleate. Injection was i.p. in mice, total vol. of 100 µl. Rivera, V.M., *et al*. "Long-term regulated expression of growth hormone in mice after intramuscular gene transfer." *Proc. Natl. Acad. Sci. USA* **96**: 8657-8662 (1999) Article

0.75 mg/kg rapamycin has been used in 5% dimethyl sulfoxide, for injection into pigs via jugular vein catheter. Kimball, S.R., *et al*. "Feeding stimulates protein synthesis in muscle and liver of neonatal pigs through an mTOR-dependent process." *Am. J. Physiol. Endocr. Metab.* **279**: E1080-E1087 (2000) Article

Rapamycin has been injected in 51% wt/vol polyethylene glycol 300 (PEG300), 2.5% wt/vol polysorbate 80, 10% vol/vol ethanol, i.p. Hackstein, H., *et al*. "Rapamycin inhibits macropinocytosis and mannose

receptor-mediated endocytosis by bone marrow-derived dendritic cells." *Blood* **100**: 1084-1087 (2002) Article

Rapamycin has been injected i.p. in mice by diluting an ethanol stock solution of rapamycin first into sterile 10% PEG400/8% ethanol and then that solution was further diluted into an equal volume of sterile 10% Tween 80 for a final concentration of 20 µg rapamycin/100 µl. (Most of this information is in the Materials and Methods section of the paper, but the "ethanol stock solution" is mentioned under the Cell Culture and Antibodies section, and the additional details are found in the Regrowth Delay Assay section.) Eshleman, J.S., *et al*. "Inhibition of the Mammalian Target of Rapamycin Sensitizes U87 Xenografts to Fractionated Radiation Therapy" Cancer Res. **62**: 7291-7297 (2002) Article

Technical and Scientific Information

- Immunosuppressant, related to FK-506, but without calcineurin inhibitory activity even when complexed to FK-506 binding protein. Selectively blocks signaling that leads to p70 S6 kinase activation (IC50 = 50 pM). Terada, N., *et al*. "Failure of rapamycin to block proliferation once resting cells have entered the cell cycle despite inactivation of p70 S6 kinase." *J. Biol. Chem.* **268**: 12062-12068 (1993). Fingar, D.C., *et al*. "Dissociation of pp70 ribosomal protein S6 kinase from insulin-stimulated glucose transport in 3T3-L1 adipocytes." *J. Biol. Chem.* **268**: 3005-3008 (1993). Price, D.J., *et al*. "Rapamycin-induced inhibition of the 70-kilodalton S6 protein kinase." *Science* **257**: 973-977 (1992). Chung, J., *et al*. "Rapamycin-FKBP specifically blocks growth-dependent activation of and signaling by the 70 kd S6 protein kinases." *Cell* **69**: 1227-1236 (1992).

- Lymphokine-induced cell proliferation at the G1 phase is inhibited and apoptosis in a murine B cell line is induced by rapamycin. Rapamycin arrests the *Saccharomyces cerevisiae* cell cycle irreversibly in the G1 phase. Morice, W.G. ,*et al*. "Rapamycin-induced inhibition of p34cdc2 kinase activation is associated with G1/S-phase growth arrest in T lymphocytes." *J. Biol. Chem.* **268**: 3734-3738 (1993). Kay, J.E., *et al*. "Inhibition of T and B lymphocyte proliferation by rapamycin." *Immunology* **72**: 544-549 (1991). Heitman, J., *et al*. "Targets for cell cycle arrest by the immunosuppressant rapamycin in yeast." *Science* **253**: 905-909 (1991).

- Due to a different mechanism of action than cyclosporin and FK506, rapamycin may prove to be important in organ transplant patient therapy. Fewer side effects than the standard anti-rejection treatments have been observed. Proliferation of activated T cells, but not apoptosis, is blocked by rapamycin. The induction of apoptosis of rejection-causing T cells reduces the tendency towards transplant rejection. Schwarz, C. and Oberbauer, R. "The future role of target of rapamycin inhibitors in renal transplantation." *Curr Opin Urol.* **12**: 109-113 (2002). Wells, A.D. *et al*. "Requirement for T-cell apoptosis in the induction of peripheral transplantation tolerance." *Nat. Med.* **5**: 1303-1307 (1999). Li, Y., *et al*. "Blocking both signal 1 and signal 2 of T-cell activation prevents apoptosis of alloreactive T cells and induction of peripheral allograft tolerance." *Nat. Med.* **5**: 1298-1302 (1999).

- We note that one of our competitors, Selleck Chemical, advertises 99.9% purity for its rapamycin. This claim is not credible in general chemical terms for this complex natural product, nor in terms of available analytical techniques. Furthermore, we have had Selleck's rapamycin analyzed by a highly experienced and expert clinical analytical laboratory that specializes in rapamycin analyses. Using liquid chromatography - mass spectrometry, they found a purity of 96.7% (*cis* plus *trans*) for a lot of Selleck's rapamycin. In contrast, we have proven our rapamycin to be greater than 99% in purity for every lot, no exceptions.

Related / associated products and documents

See BioSciences Innovations catalogue and e-search tool.
#39375 IminoBiotin (UP39375) and NHS-IminoBiotin (UP35329)
page A352+ other saturating agents for immunoassays (i.e. SeaBlock)

- Cyclosporin A, IU6441
- EdU, cell proliferation assay based on Click method, FP-MM982A

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Please inquire for higher quantities (availability, shipment conditions).

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