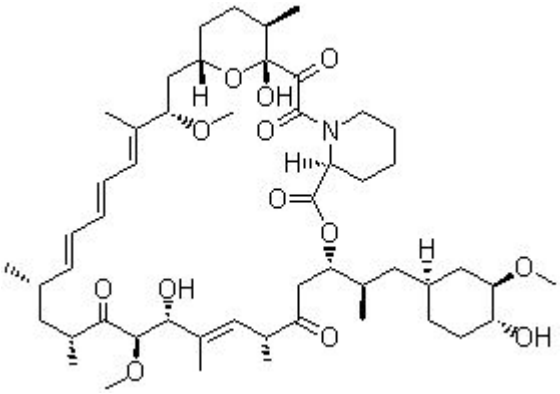
Product Introduction

Rapamycin (Sirolimus)

Rapamycin (Sirolimus, AY-22989, WY-090217) is a specific **mTOR** inhibitor with **IC50** of ~0.1 nM.

Technical Data:

Molecular Weight (MW):	914.18	
Formula:	$C_{51}H_{79}NO_{13}$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 20 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	53123-88-9	

Biological Activity

Rapamycin inhibits endogenous mTOR activity in HEK293 cells with IC50 of ~0.1 nM, more potently than iRap and AP21967 with IC50 of ~5 nM and ~10 nM, respectively. [1] In Saccharomyces cerevisiae, Rapamycin treatment induces a severe G1/S cell cycle arrest and inhibition of translation initiation to levels below 20% of control. [2] Rapamycin significantly inhibits the cell viability of T98G and U87-MG in a dose-dependent manner with IC50 of 2 nM and 1 μM, respectively, while displaying little activity against U373-MG cells with IC50 of >25 μM despite the similar extent of the inhibition of mTOR signaling. Rapamycin (100 nM) induces G1 arrest and autophagy but not apoptosis in Rapamycin-sensitive U87-MG

and T98G cells by inhibiting the function of mTOR. [3]

Treatment with Rapamycin in vivo specifically blocks targets known to be downstream of mTOR such as the phosphorylation and activation of p70S6K and the release of inhibition of eIF4E by PHAS-1/4E-BP1, leading to complete blockage of the hypertrophic increases in plantaris muscle weight and fibre size. [4] Short-term Rapamycin treatment, even at the lowest dose of 0.16 mg/kg, produces profound inhibition of p70S6K activity, which correlates with increased tumor cell death and necrosis of the Eker renal tumors. [5] Rapamycin inhibits metastatic tumor growth and angiogenesis in CT-26 xenograft models by reducing the production of VEGF and blockage of VEGF-induced endothelial cell signaling. [6] Rapamycin treatment at 4 mg/kg/day significantly reduces tumor growth of C6 xenografts, and tumor vascular permeability. [7]

References

[1] Edwards SR, et al. J Biol Chem, 2007, 282(18), 13395-13401.

[2] Barbet NC, et al. Mol Biol Cell, 1996, 7(1), 25-42.

[3] Takeuchi H, et al. Cancer Res, 2005, 65(8), 3336-3346.

[4] Bodine SC, et al. Nat Cell Biol, 2001, 3(11), 1014-1019.

[5] Kenerson HL, et al. Cancer Res, 2002, 62(20), 5645-5650.

[6] Guba M, et al. Nat Med, 2002, 8(2), 128-135.

[7] Phung TL, et al. Cancer Cell, 2006, 10(2), 159-170.

[8] MG Mohi, et al. Proc Natl Acad Sci U S A, 2004, 101(9), 3130–3135.

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