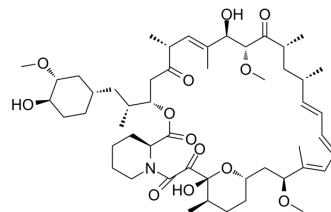


## Rapamycin

<b>Cat. No.:</b>	HY-10219												
<b>CAS No.:</b>	53123-88-9												
<b>Molecular Formula:</b>	C <sub>51</sub> H <sub>79</sub> NO <sub>13</sub>												
<b>Molecular Weight:</b>	914.17												
<b>Target:</b>	mTOR; FKBP; Autophagy; Endogenous Metabolite; Fungal; Antibiotic; Bacterial												
<b>Pathway:</b>	PI3K/Akt/mTOR; Apoptosis; Autophagy; Immunology/Inflammation; Metabolic Enzyme/Protease; Anti-infection												
<b>Storage:</b>	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>6 months</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 month</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	6 months		-20°C	1 month
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### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 125 mg/mL (136.74 mM; Need ultrasonic)  
 Ethanol : 50 mg/mL (54.69 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (2.73 mM); Suspended solution
- Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)  
Solubility: 2.5 mg/mL (2.73 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% EtOH >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (2.73 mM); Suspended solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.08 mg/mL (2.28 mM); Clear solution
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### BIOLOGICAL ACTIVITY

<b>Description</b>	Rapamycin (Sirolimus; AY 22989) is a potent and specific mTOR inhibitor with an IC <sub>50</sub> of 0.1 nM in HEK293 cells. Rapamycin binds to FKBP12 and specifically acts as an allosteric inhibitor of mTORC1 <sup>[1]</sup> . Rapamycin is an autophagy activator, an immunosuppressant <sup>[2]</sup> .																			
<b>IC<sub>50</sub> &amp; Target</b>	mTOR 0.1 nM (IC <sub>50</sub> , in HEK293 cells )	Microbial Metabolite	Autophagy	Human Endogenous Metabolite																
<b>In Vitro</b>	<p>Rapamycin (12.5-100 nM; 24 hours) treatment exerts modest inhibitory effect on lung cancer cell proliferation in a dose-dependent manner in all cell lines (A549, SPC-A-1, 95D and NCI-H446 cells) tested, achieving about 30-40% reduction in cell proliferation at 100 nM vs. ~10% reduction at 12.5 nM<sup>[3]</sup>.</p> <p>Lung cancer cell line 95D cells are exposed to Rapamycin (10 nM, 20 nM) and RP-56976 (1 nM, 10 nM) alone or in combination (Rapamycin 20 nM+ RP-56976 10 nM). After 24 hours exposure to Rapamycin or RP-56976 alone does not significantly alter the level of expression or phosphorylation of ERK1/2, whereas cells treated with the combination of Rapamycin with RP-56976 exhibit a marked reduction in the phosphorylation levels of ERK1/2<sup>[3]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay<sup>[3]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>Lung cancer cell lines A549, SPC-A-1, 95D and NCI-H446</td> </tr> <tr> <td>Concentration:</td> <td>12.5 nM, 25 nM, 50 nM, 100 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Treatment exerted modest inhibitory effect on lung cancer cell proliferation in a dose-dependent manner in all cell lines.</td> </tr> </table> <p>Western Blot Analysis<sup>[3]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>95D cells</td> </tr> <tr> <td>Concentration:</td> <td>10 nM and 20 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Combination treatment with RP-56976 decreased phosphorylation of ERK.</td> </tr> </table>				Cell Line:	Lung cancer cell lines A549, SPC-A-1, 95D and NCI-H446	Concentration:	12.5 nM, 25 nM, 50 nM, 100 nM	Incubation Time:	24 hours	Result:	Treatment exerted modest inhibitory effect on lung cancer cell proliferation in a dose-dependent manner in all cell lines.	Cell Line:	95D cells	Concentration:	10 nM and 20 nM	Incubation Time:	24 hours	Result:	Combination treatment with RP-56976 decreased phosphorylation of ERK.
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<b>In Vivo</b>	<p>Rapamycin (2.0 mg/kg; intraperitoneal injection; every other day; 28 days) alone has a moderate inhibitory effect. However, the combination of Metformin and Rapamycin exerts a significantly increased inhibition of tumor growth compared with the control group, the Rapamycin monotherapy group and the Metformin monotherapy group<sup>[4]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>24 male nu/nu mice aged 4-5 week old (15-20 g)<sup>[4]</sup></td> </tr> <tr> <td>Dosage:</td> <td>2.0 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; every other day; 28 days</td> </tr> <tr> <td>Result:</td> <td>Had a moderate inhibitory effect in monotherapy group. The combination with Metformin exerted a significantly increased inhibition of tumor growth.</td> </tr> </table>				Animal Model:	24 male nu/nu mice aged 4-5 week old (15-20 g) <sup>[4]</sup>	Dosage:	2.0 mg/kg	Administration:	Intraperitoneal injection; every other day; 28 days	Result:	Had a moderate inhibitory effect in monotherapy group. The combination with Metformin exerted a significantly increased inhibition of tumor growth.								
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- Immunity. 2023 Mar 14;56(3):500-515.e6.
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## REFERENCES

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- [1]. Edwards SR, et al. The rapamycin-binding domain of the protein kinase mammalian target of rapamycin is a destabilizing domain. *J Biol Chem*, 2007, 282(18), 13395-13401.
- [2]. Rangaraju S, et al. Rapamycin activates autophagy and improves myelination in explant cultures from neuropathic mice. *J Neurosci*. 2010 Aug 25;30(34):11388-97.
- [3]. Niu H, et al. Rapamycin potentiates cytotoxicity by RP-56976 possibly through downregulation of Survivin in lung cancer cells. *J Exp Clin Cancer Res*. 2011 Mar 10;30:28.
- [4]. Zhang JW, et al. Metformin synergizes with rapamycin to inhibit the growth of pancreatic cancer in vitro and in vivo. *Oncol Lett*. 2018 Feb;15(2):1811-1816.
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Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA