Rapamycin (Sirolimus) 雷帕霉素

产品编号	产品名称	包装规格
NBS1039-10mg	Rapamycin (Sirolimus) 雷帕霉素	10mg
NBS1039-50mg	Rapamycin (Sirolimus) 雷帕霉素	50mg
NBS1039-100mg	Rapamycin (Sirolimus) 雷帕霉素	100mg
NBS1039-500mg	Rapamycin (Sirolimus) 雷帕霉素	500mg
NBS1039-1g	Rapamycin (Sirolimus) 雷帕霉素	1g

产品简介：

雷帕霉素（Rapamycin），也称西罗莫司（Sirolimus），AY 22989，一种分离自细菌的大环内酯化合物，抗真菌剂和免疫抑制剂。雷帕霉素是一种特异性的 mTOR 抑制剂，IC50 为~0.1nM（HEK293 细胞）。与细胞内受体 FKBP-12 结合形成复合物，之后作用于 mTOR 中的 FRB 结构域从而抑制蛋白活性。雷帕霉素处理细胞引起 p70 S6 激酶的去磷酸化和功能失活（IC50= 50 pM）。还能引起 4E-BP1/PHAS1 去磷酸化，进而促使其结合并失活 eIF4E。雷帕霉素能够阻断蛋白合成和诱导细胞周期停滞在 G1 期。还能诱导酵母和哺乳动物细胞的自吞噬现象。能驱使人多能干细胞（hPSC）分化成中内胚层和血液祖细胞。

产品特性：

1）CAS NO：53123-88-9

2）化学名：(3S,6R,7E,9R,10R,12R,14S,15E,17E,19E,21S,23S,26R,27R,34aS)-9,10,12,13,14,21,22,23,24,25, 26,27,32,33,34,34a-Hexadecahydro-9,27-dihydroxy-3-[(1R)-2-[(1S,3R,4R)-4-hydroxy-3-methoxycyclohexyl]-1-methylethyl]-10,21-dimethoxy-6,8,12,14,20,26-hexamethyl-23,27-epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclohentriacontine-1,5,11,28,29(4H,6H,31H)-pentone

3）同义名：Sirolimus, Antibiotic AY 22989, AY 22989, Cypher, RAPA, NSC-226080,Rapamune, SILA 9268A

4）分子式：$C_{51}H_{79}NO_{13}$

5）分子量：914.18

6）纯度：≥98%

7）外观：白色至类白色固体

8）溶解性：溶于 DMSO（25mg/ml），乙醇（15mg/ml），几乎不溶于水

保存条件:

-20°C 干燥保存，至少 2 年有效。

产品使用:【源自文献，仅作参考】

文献 1，

Iwamaru A et al. Silencing mammalian target of rapamycin signaling by small interfering RNA enhances rapamycin-induced autophagy in malignant glioma cells. Oncogene. 2007 Mar 22; 26(13):1840-51. PMID: 17001313

体外研究:

细胞类型（Cell type）: Human malignant glioma U87-MG and A172 cells

药物配制（Preparation）: Rapamycin was dissolved in DMSO to make 1mM stock solution and stored at -20°C.

实验方法（Assay）: Tumor cells were exposed to rapamycin (1, 10 or 100 nm) for 24 or 48 h. The cytotoxic effect of rapamycin was determined using a WST-1 cell proliferation assay.

文献 2，

Caramés B et al. Autophagy activation by rapamycin reduces severity of experimental osteoarthritis. Ann Rheum Dis. 2012 Apr;71(4):575-81. PMID: 22084394

体内研究:

动物模型（Animal Model）: Experimental osteoarthritis was induced in 2-month-old male C57Bl/6J mice

药物配制（Preparation）: Rapamycin was dissolved in DMSO at 25mg/ml and stored at -20°C. For injection, the stock solution was diluted in phosphate buffered saline (PBS).

注射剂量（Dosages）: Mice received daily intraperitoneal injections of rapamycin at 1 mg/kg body weight/dose in a total injection volume of 0.3 ml for 10 weeks and control animals received the DMSO vehicle at 0.4% in a total injection volume of 0.3 ml.

给药途径（Administration）: Intraperitoneal (i.p.) injection

文献 3，Eshleman JS et al. Inhibition of the Mammalian Target of Rapamycin Sensitizes U87 Xenografts to Fractionated Radiation Therapy. Cancer Res. 2002 Dec 15;62(24):7291-7. PMID: 12499272

体外研究：

细胞类型（Cell type）：A172, U87, and U118 malignant glioma cell lines

药物配制（Preparation）：Rapamycin (NSC 226080) was dissolved in ethanol to yield a 5 mg/ml stock solution, which was stored at −20°C.

实验方法（Assay）：Tumor cells were incubated with 0 or 100 nM rapamycin at 37°C for 72 h and then processed in a MTS assay.

体内研究：

动物模型（Animal Model）：8-10-week-old female athymic nude mice by s.c. injection of 2-5 million U87 cells

药物配制（Preparation）：For rapamycin injections, stock rapamycin was diluted first in sterile 10% PEG400/8% ethanol and then in an equal volume of sterile 10% Tween 80 for a final concentration of 20 μg rapamycin/100 μl. Rapamycin was delivered by i.p. injection, and the doses of rapamycin were calculated assuming that all mice weighed 20 g.

给药途径（Administration）：Intraperitoneal (i.p.) injection

注意事项：

1. 雷帕霉素几乎不溶于水（文献报道仅 2.6μg/ml）。[Simamora P et al. Int J Pharm. 2001 Feb 1;213(1-2):25-9.]
2. 为了您的安全和健康，请穿实验服并戴一次性手套操作。