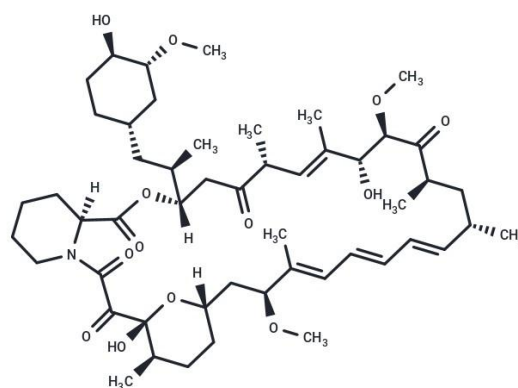


## Rapamycin(雷帕霉素)(西罗莫司)(免疫抑制剂)

货号: RPM0031

### ◆ 产品信息

|                    |                                                                               |
|--------------------|-------------------------------------------------------------------------------|
| 中文名称/Chinese Name: | Rapamycin(雷帕霉素)(西罗莫司)(免疫抑制剂)                                                  |
| 别称/Synonyms:       | Sirolimus, AY 22989, 雷帕霉素, 西罗莫司, NSC-2260804                                  |
| CAS 号/CAS NO.:     | 53123-88-9                                                                    |
| 化学式/Formula:       | $C_{51}H_{79}NO_{13}$                                                         |
| 分子量/M.Wt:          | 914.17                                                                        |
| 外观/Appearance:     | 固体                                                                            |
| 保存条件/Storage:      | Powder: $-20^{\circ}\text{C}$ , 3 年<br>Insolvent: $-80^{\circ}\text{C}$ , 1 年 |



### ◆ 溶解信息

|                 |                                             |                           |
|-----------------|---------------------------------------------|---------------------------|
| 溶解度/Solubility: | Ethanol:                                    | 100 mg/mL (109 mM), 超声处理  |
|                 | H <sub>2</sub> O:                           | 不溶                        |
|                 | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: | 10 mg/mL (10.94 mM), 现配现用 |
|                 | DMSO:                                       | 100 mg/mL (109 mM), 超声处理  |

溶液配制参考/Preparing Stock Solutions:

|       | 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  |
| 50 mM | 0.0219 mL | 0.1094 mL | 0.2188 mL  |

### ◆ 生物活性

|                            |                                                                                                                                 |
|----------------------------|---------------------------------------------------------------------------------------------------------------------------------|
| 产品描述/Description           | Rapamycin(雷帕霉素)(西罗莫司)(免疫抑制剂) 属于大环内酯类天然产物, 是一种 mTOR 抑制剂, 具有特异性 (HEK293 细胞: $IC_{50}=0.1\text{ nM}$ )。Rapamycin 具有免疫抑制活性, 并能诱导自噬。 |
| 靶点活性/Targets ( $EC_{50}$ ) | mTOR:0.1 nM (HEK293 cells)                                                                                                      |

|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |
|--------------------|----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| 体外活性/In vitro      | 人血管内皮细胞用 Rapamycin (1-100 ng/mL) 处理 48 h，使用 Wound-healing 方法检测细胞迁移情况。结果显示 Rapamycin 剂量依赖性地抑制人血管内皮细胞的迁移能力。 <sup>[1]</sup>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   |
| 体内活性/In vivo       | 为确定 Rapamycin 治疗癫痫的合适剂量，将 Rapamycin (0.1-3 mg/kg in 4% ethanol+5% Tween 80+5% PEG 400) 腹腔注射给 Sprague-Dawley 大鼠，每天一次，持续四周。结果显示只有 1.0 mg/kg 和 3.0 mg/kg Rapamycin 抑制 p-S6。用 0.1 和 0.3 mg/kg Rapamycin 处理的大鼠没有明显的不良反应，而用 1.0 和 3.0 mg/kg Rapamycin 处理的大鼠的身体、脾脏和胸腺重量显著降低，表现出认知障碍和焦虑。Rapamycin 剂量不能抑制 mTOR 治疗癫痫而不引起任何副作用，但 1 mg/kg 可能是年轻大鼠抑制 mTOR 的最佳剂量，副作用相对较少。 <sup>[2]</sup>                                                                                                                                                                                                                                                                                                                                                 |
| 细胞实验/Cell Research | The human osteosarcoma MG-63 cells were randomly divided into Control group, Rapamycin group, and Rapamycin + Beclin-1 plasmid transfection group. MTT assay was adopted to detect the viability of MG-63 cells in each group, and the EdU staining and Hoechst staining were applied to determine the proliferation and apoptosis, respectively, of MG-63 cells in each group. The levels of Bcl-2 and Bax were measured using ELISA kits, and the protein expression levels of Beclin-1 and Vps34 in each group of MG-63 cells were tested using the Western blotting. Rapamycin may decrease the viability, inhibit the proliferation, and promote the apoptosis of MG-63 cells by activating autophagy. <sup>[3]</sup> |

## ◆ 参考文献

[1] Si Y, et al. Concentration-dependent effects of rapamycin on proliferation, migration and apoptosis of endothelial cells in human venous malformation. *Exp Ther Med*. 2018 Dec;16(6):4595-4601.

[2] Bishu K, et al. Anti-remodeling effects of rapamycin in experimental heart failure: dose response and interaction with angiotensin receptor blockade. *PLoS One*. 2013 Dec 3;8(12):e81325.

[3] Yu WX, Lu C, Wang B, Ren XY, Xu K. Effects of rapamycin on osteosarcoma cell proliferation and apoptosis by inducing autophagy. *Eur Rev Med Pharmacol Sci*. 2020 Jan;24(2):915-921.

## 注意事项

1. 请在收到本产品后立即按照说明书中推荐的条件保存，使用前进行离心，使粉末充分沉降于管底。
2. 请根据实验目的及本产品的溶解性，选择相应的溶剂配制成高浓度母液后使用，最佳工作浓度请参考相关文献通过实验摸索优化，本说明书中的数据来源于公开文献，仅供参考。
3. 本产品仅供科研使用，不可用于诊断或治疗领域。
4. 为了您的安全和健康，请穿实验服并戴一次性手套操作。