

产品名称: **Rbin-1**

产品别名: **Rbin-1**

生物活性:				
Description	Rbin-1 is a potent, reversible, and specific chemical inhibitor of eukaryotic ribosome biogenesis. Rbin-1 inhibits the ATPase with GI_{50} of 136 nM. Rbin-1 is a potent and selective chemical inhibitor of Midasin (Mdn1).			
IC ₅₀ & Target	GI ₅₀ : 136±7 nM (ATPase)[1]			
In Vitro	Rbin-1 is a potent and reversible triazinoindole-based inhibitors of eukaryotic ribosome biogenesis. Rbin-1 inhibits recombinant full-length Mdn1's ATPase activity. Two of the active analogs (Rbin-1 and Rbin-2) inhibit the ATPase activity by 40% at 1 uM. In particular, an analog (Rbin-2) with a bromine substituent at position-7 is 10-fold more active than Rbin-1 (GI_{50} =14±1 nM (Rbin-2); 136±7 nM (Rbin-1), n=4, mean±SD)[1].			
Solvent&Solubility	In Vitro: DMSO : ≥ 31 mg/mL (120.94 mM) H₂O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.			
	Preparing Stock Solutions	<div>Solvent / Mass / Concentration</div>	1 mg	5 mg
		1 mM	3.9012 mL	19.5061 mL
		5 mM	0.7802 mL	3.9012 mL
		10 mM	0.3901 mL	1.9506 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂: ——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶			
	1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: 1.67 mg/mL (6.52 mM); Suspended solution; Need ultrasonic 此方案可获得 1.67 mg/mL (6.52 mM)的均匀悬浊液, 悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例, 取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀; 向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。			
	2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 1.67 mg/mL (6.52 mM); Precipitated solution; Need ultrasonic 此方案可获得 1.67 mg/mL (6.52 mM) 以 1 mL 工作液为例, 取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。			

	<p>3.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 1.67 mg/mL (6.52 mM); Clear solution</p> <p>此方案可获得 ≥ 1.67 mg/mL (6.52 mM, 饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例，取 100 μL 16.699999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p>
References	<p>[1]. Kawashima SA, et al. Potent, Reversible, and Specific Chemical Inhibitors of Eukaryotic Ribosome Biogenesis. Cell. 2016 Oct 6;167(2):512-524.e14.</p>
实验参考：	
Kinase Assay	<p>Radioactive γ-P³²-ATP is added to 600 mM MgATP (pH=7) solutions at volume ratios of 1:1000-1:300, depending on the lifetime of the radioactive reagent. The total volume of each reaction is 12 mL, including 6 ml of protein from size exclusion chromatography fractions (final concentration 0-50 nM for different fractions, peak fractions are used for Rbin-1 and AMPPNP inhibition), 4 mL FPLC SEC buffer with 0.6 mM Na₂SO₄ and 2 mL MgATP (final concentration=100 mM). The reactions are then incubated at room temperature for 30 or 60 min before quenching with 12 mL 0.2 M EDTA. 1 mL from each reaction mixture is spotted on to TLC PEI cellulose F plates. The TLC buffer contained 0.15 M formic acid and 0.15 M lithium chloride. The TLC plates are then imaged using the Typhoon Scanner 9400. ImageJ is used to calculate the densitometric ratio of the spots corresponding to radioactive free phosphate and ATP to determine the percent of ATP hydrolyzed[1].</p>
References	<p>[1]. Kawashima SA, et al. Potent, Reversible, and Specific Chemical Inhibitors of Eukaryotic Ribosome Biogenesis. Cell. 2016 Oct 6;167(2):512-524.e14.</p>

源叶生物