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产品名称: **Ro-3306**
产品别名: **Ro-3306**

生物活性:

Description	Ro-3306 is a potent and selective inhibitor of CDK1, with Kis of 20 nM, 35 nM and 340 nM for CDK1, CDK1/cyclin B1 and CDK2/cyclin E, respectively.				
IC ₅₀ & Target	CDK1	CDK1/cyclinB1	CDK1/cyclin A	CDK2/cyclinE	PKCδ
	20 nM (Ki)	35 nM (Ki)	110 nM (Ki)	340 nM (Ki)	318 nM (Ki)
	SGK	ERK			
	497 nM (Ki)	1980 nM (Ki)			
In Vitro	RO-3306 is an ATP-competitive inhibitor, and inhibits CDK1/cyclin A complexes with Ki of 110 nM. RO-3306 blocks the cell cycle in the G2/M phase of human cancer cells. RO-3306 (4 μM) induces apoptosis in cancer cells[1]. RO-3306 (5 μM) induces G2/M-phase cell cycle arrest and apoptosis of AML cells in a time-dependent manner. RO-3306 treatment significantly increases the percentage of Annexin V-positive cells in G1-phase cells without affecting the cell cycle distribution. RO-3306 enhances p53-mediated apoptosis. RO-3306 cooperates with Nutlin-3 in activating Bax and inducing mitochondrial apoptosis. RO-3306 (5 μM) downregulates antiapoptotic p21, Bcl-2 and survivin protein expression in AML. RO-3306 inhibits p53-induced p21 synthesis. RO-3306 does not inhibit RNA polymerase II CTD phosphorylation[2]. RO-3306 (10 μM) effectively arrests oocyte maturation. RO-3306 reduces the blastocyst formation in oocytes[3].				
Solvent&Solubility	In Vitro: DMSO : ≥ 47 mg/mL (133.73 mM) H ₂ O : < 0.1 mg/mL (insoluble) * "≥" means soluble, but saturation unknown.				
		Solvent Mass Concentration	1 mg	5 mg	10 mg
	Preparing	1 mM	2.8454 mL	14.2268 mL	28.4535 mL
	Stock Solutions	5 mM	0.5691 mL	2.8454 mL	5.6907 mL
		10 mM	0.2845 mL	1.4227 mL	2.8454 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				



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	Solubility: ≥ 1.67 mg/mL (4.75 mM); Clear solution 此方案可获得 ≥ 1.67 mg/mL (4.75 mM, 饱和度未知) 的澄清溶液。 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 $\rightarrow$ 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.67 mg/mL (4.75 mM); Suspended solution 此方案可获得 ≥ 1.67 mg/mL (4.75 mM, 饱和度未知) 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。
References	[1]. Vassilev LT, et al. Selective small-molecule inhibitor reveals critical mitotic functions of human CDK1. Proc Natl Acad Sci U S A. 2006 Jul 11;103(28):10660-5. [2]. Kojima K, et al. Cyclin-dependent kinase 1 inhibitor RO-3306 enhances p53-mediated Bax activation and mitochondrial apoptosis in AML. Cancer Sci. 2009 Jun;100(6):1128-36. [3]. Jang WI, et al. A specific inhibitor of CDK1, RO-3306, reversibly arrests meiosis during in vitro maturation of porcine oocytes. Anim Reprod Sci. 2014 Jan 30;144(3-4):102-8.
实验参考:	
Kinase Assay	The CDK assays are run by using recombinant human CDK/cyclin complexes (CDK1/cyclin B1, CDK1/cyclin A, CDK2/cyclin E, and CDK4/cyclin D) expressed and isolated from Hi5 insect cells. GST-cyclin B1, CDK1, GST-cyclin-E, CDK2, GST-CDK4, and cyclin D, are used in the assay. The GST-tagged proteins are coexpressed and purified in complex with their partners. All assays use a His-6-tagged fragment of pRB (amino acids 385-928) as a substrate. The protein is expressed from a construct. It is expressed in M15 Escherichia coli cells and bound on a Ni-chalated agarose column pretreated with 1 mM imidazole and eluted with 500 mM imidazole. The eluted protein is dialyzed against 20 mM Hepes, pH 7/6.25 mM MgCl₂/1.5 mM DTT, aliquoted, and stored at -80°C. [1]
References	[1]. Vassilev LT, et al. Selective small-molecule inhibitor reveals critical mitotic functions of human CDK1. Proc Natl Acad Sci U S A. 2006 Jul 11;103(28):10660-5. [2]. Kojima K, et al. Cyclin-dependent kinase 1 inhibitor RO-3306 enhances p53-mediated Bax activation and mitochondrial apoptosis in AML. Cancer Sci. 2009 Jun;100(6):1128-36. [3]. Jang WI, et al. A specific inhibitor of CDK1, RO-3306, reversibly arrests meiosis during in vitro maturation of porcine oocytes. Anim Reprod Sci. 2014 Jan 30;144(3-4):102-8.