产品名称：PURVALANOL A
产品别名：NG-60

生物活性：

Description

Purvalanol A is a potent CDK inhibitor, which inhibits cdc2-cyclin B, cdk2-cyclin A, cdk2-cyclin E, cdk4-cyclin D1, and cdk5-p35 with IC_{50}s of 4, 70, 35, 850, 75 nM, resepctively.

IC_{50} & Target

cdc2-cyclin B	cdk2-cyclin E	cdk2-cyclin A	cdk4-cyclin D1	cdk5-p35	erk1
4 nM (IC_{50})	35 nM (IC_{50})	70 nM (IC_{50})	850 nM (IC_{50})	75 nM (IC_{50})	9000 nM (IC_{50})

In Vitro

Purvalanol A inhibits cdc28 (S. cerevisiae) and erk1 with IC50s of 80 and 9000 nM. Purvalanol A shows inhibitory activities against the NCI panel of 60 human tumor cell lines, with average GI50 of 2 μM; two cell lines show an ~20-fold increase in sensitivity to purvalanol A: the KM12 colon cancer cell line with a GI50 of 76 nM and the NCI-H522 non–small cell lung cancer cell line with a GI50 of 347 nM[1]. Purvalanol A is a 2.5-fold more potent inhibitor of CDK2, but also inhibits DYRK1A potently and a number of other protein kinases in the low micromolar range. Purvalanol A inhibits MKK1, MAPK2/ERK2, JNK/SAPK1c with IC50s of 80, 26, 84 μM[2]. Purvalanol A selectively inhibits the phosphorylation of cellular proteins. Purvalanol A prevents the increases of the contents of cyclins D and E during serum-induced G1 phase progression. Purvalanol A does not inhibit transcription under cell-free conditions[3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (128.57 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5714 mL	12.8571 mL	25.7142 mL
5 mM	0.5143 mL	2.5714 mL	5.1428 mL
10 mM	0.2571 mL	1.2857 mL	2.5714 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: ≥ 2.5 mg/mL (6.43 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.43 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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% corn oil

Solubility: ≥ 2.5 mg/mL (6.43 mM); Clear solution

	此方案可获得 ≥ 2.5 mg/mL (6.43 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。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Gray NS, et al. Exploiting chemical libraries, structure, and genomics in the search for kinase inhibitors. Science. 1998 Jul 24;281(5376):533-8. [2]. Bain J, et al. The specificities of protein kinase inhibitors: an update. Biochem J. 2003 Apr 1;371(Pt 1):199-204. [3]. Villerbu N, et al. Cellular effects of purvalanol A: a specific inhibitor of cyclin-dependent kinase activities. Int J Cancer. 2002 Feb 20;97(6):761-9.