产品名称：肯帕罗酮
产品别名：Kenpaullone

生物活性：

Description

Kenpaullone is a potent inhibitor of **CDK1/cyclin B** and **GSK-3β**, with **IC_{50}**s of 0.4 μM and 23 nM, and also inhibits CDK2/cyclin A, CDK2/cyclin E, and CDK5/p25 with **IC_{50}**s of 0.68 μM, 7.5 μM, 0.85 μM, respectively.

IC_{50} & Target

Cdk1/cyclin B	cdk2/cyclin A	CDK5/p35	CDK2/cyclinE	GSK-3β
0.4 μM (IC_{50})	0.68 μM (IC_{50})	0.85 μM (IC_{50})	7.5 μM (IC_{50})	0.023 μM (IC_{50})
erk1	erk2	c-raf		
20 μM (IC_{50})	9 μM (IC_{50})	38 μM (IC_{50})		

In Vitro

Kenpaullone shows much less effect on c-src (IC50, 15 μM), casein kinase 2 (IC50, 20 μM), erk 1 (IC50, 20 μM), and erk 2 (IC50, 9 μM). Kenpaullone acts by competitive inhibition of ATP binding, and the apparent Ki is 2.5 μM. Kenpaullone can inhibit the growth of tumor cells in culture (mean GI50, 43 μM) and causes altered cell cycle progression most clearly revealed under conditions of recovery from serum starvation[1]. Kenpaullone demonstrates a wide range of biological utility, extending from maintenance of pancreatic β cell survival and proliferation to the induction of apoptosis in cancer cells[2].

Solvent&Solubility

In Vitro:

DMSO： ≥ 35 mg/mL (106.97 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0564 mL	15.2821 mL	30.5642 mL
5 mM	0.6113 mL	3.0564 mL	6.1128 mL
10 mM	0.3056 mL	1.5282 mL	3.0564 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 (7.64 mM); Suspended solution

此方案可获得 ≥ 2.5 mg/mL (7.64 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 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。

References

[1]. Zaharevitz DW, et al. Discovery and initial characterization of the paullones, a novel class of small-molecule inhibitors of cyclin-dependent kinases. Cancer Res. 1999 Jun 1;59(11):2566-9.

	[2]. Lyssiotis CA, et al. Reprogramming of murine fibroblasts to induced pluripotent stem cells with chemical complementation of Klf4. Proc Natl Acad Sci U S A. 2009 Jun 2;106(22):8912-7.
实验参考：	
Kinase Assay	The kinase assay is run for 10 min at 30°C with 1 mg/mL histone H1, in the presence of 15 μM [g-^{32}P]ATP (3000 Ci/μmol; 1 mCi/mL) in a final volume of 30 ml. Purification and assays or inhibition of other kinases are performed. In kinetic experiments, the histone H1 concentration is lowered to 3.5 mg/mL; the ATP concentration ranged from 50 to 400 μM, and the kenpaullone concentration ranges from 1 to 4 μM. [1]
References	[1]. Zaharevitz DW, et al. Discovery and initial characterization of the paullones, a novel class of small-molecule inhibitors of cyclin-dependent kinases. Cancer Res. 1999 Jun 1;59(11):2566-9. [2]. Lyssiotis CA, et al. Reprogramming of murine fibroblasts to induced pluripotent stem cells with chemical complementation of Klf4. Proc Natl Acad Sci U S A. 2009 Jun 2;106(22):8912-7.