产品名称：LDC000067
产品别名：LDC067

生物活性：

Description

LDC000067 is a highly specific CDK9 inhibitor with an IC_{50} value of 44±10 nM *in vitro*.

IC_{50} & Target

CDK9- Cyclin T1	cdk2-cyclin A	cdk1-cyclin B1	cdk4-cyclin D1	GSK3A
44 nM (IC_{50})	2441 nM (IC_{50})	5513 nM (IC_{50})	9242 nM (IC_{50})	1460 nM (IC_{50})
HGK/MAP4K4	ABL2/ARG			
820 nM (IC_{50})	3640 nM (IC_{50})			

In Vitro

The selectivity of LDC000067 for CDK9 over other CDKs exceeds that of the known inhibitors flavopiridol and DRB. LDC000067 displayed 55/125/210/ >227/ >227-fold selectivity for CDK9 versus CDK2/1/4/6/7. LDC000067 inhibits in vitro transcription in an ATP-competitive and dose-dependent manner. Gene expression profiling of cells treated with LDC000067 demonstrates a selective reduction of short-lived mRNAs, including important regulators of proliferation and apoptosis[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 47 mg/mL (126.88 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6996 mL	13.4978 mL	26.9957 mL
	5 mM	0.5399 mL	2.6996 mL	5.3991 mL
	10 mM	0.2700 mL	1.3498 mL	2.6996 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 (6.75 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.75 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% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (6.75 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.75 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 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

	3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.75 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.75 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]. Albert TK, et al. Characterization of molecular and cellular functions of the cyclin-dependent kinase CDK9 using a novel specific inhibitor. Br J Pharmacol. 2014 Jan;171(1):55-68.

实验参考：

Kinase Assay	The fluorescence resonance energy transfer (FRET)-based LANCE Ultra KinaSelect Ser/Thr kit is used to determine IC_{50} values for various CDK inhibitors. Briefly, a specific ULight MBP peptide substrate (50 nM final concentration) is phosphorylated by a CDK-cyclin pair in buffer (50 mM HEPES-KOH pH 7.5, 10 mM MgCl2, 1 mM EGTA, 2 mM dithiothreitol) containing ATP at the concentration of the K_m values of the individual kinases for 1 h at room temperature. Subsequently, phosphorylation is detected by addition of specific Eu-labelled anti-phospho-antibodies (2 nM), which upon binding to the phosphopeptide give rise to a FRET signal. FRET signals are then recorded[1]
References	[1]. Albert TK, et al. Characterization of molecular and cellular functions of the cyclin-dependent kinase CDK9 using a novel specific inhibitor. Br J Pharmacol. 2014 Jan;171(1):55-68.