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产品名称：CDK9-IN-2
产品别名：CDK9-IN-2

生物活性：

Description	CDK9-IN-2 is a special cyclin-dependent kinase 9 (CDK9) inhibitor, extracted from patent WO/2012131594A1, compound CDKI(8), has an IC_{50} of 5 nM and 7 nM in H929 multiple myeloma(MM) cell line (72 hours) and A2058 skin cell line (72 hours), respectively.
IC_{50} & Target	CDK9
	5 nM (IC_{50}, H929 multiple myeloma cell line)
In Vitro	CDK9-IN-2 (200 nM) reduces the expression of MEPCE indicating that MEPCE is a pharmacodynamic (PD) marker for any CDK9 inhibitor. The expression of MCL1 protein is reduced 2 hours after treatment and is further reduced after 16 hour exposure to CDK9-IN-2 (500 nM)[1].

Solvent&Solubility

In Vitro:

DMSO : 50 mg/mL (117.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3478 mL	11.7390 mL	23.4780 mL
	5 mM	0.4696 mL	2.3478 mL	4.6956 mL
	10 mM	0.2348 mL	1.1739 mL	2.3478 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 (5.87 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.87 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 (5.87 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.87 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 (5.87 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.87 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]. Michel Faure, et al. Pharmacodynamic markers associated with cyclin-dependent kinase inhibitors. From PCT Int. Appl. (2012), WO 2012131594A1.

实验参考：

Cell Assay	H929, A2058, A375, U87MG, and NCIH441 cell lines are treated with CDK9-IN-2 at 500 nM (high) or 200 nM (low) at different time points. Five cell lines are analyzed: NCI-H929, a multiple myeloma cell line; NCI-H441 , a lung papillary adenocarcinoma cell line; A375, a melanoma cell line; A2058, a melanoma cell line and U-87-MG, a glioblastoma cell line. Cell lines are grown in the medium recommended by ATCC and treated as follows: NCI-H929: 2 hours: DMSO, 200 nM CDK9-IN-2 or 500nM CDK9-IN-2. NCI-H441 and A375: 0 timepoint: Untreated, harvested when compound is added to the other plates. 2 hours: DMSO, 200 nM CDK9-IN-2 or 500 nM CDK9-IN-2 or 500 nM CKDI(7) (3 plates each, total 12 plates).8 hours: DMSO, 200 nM CDK9-IN-2 or 500 nM CDK9-IN-2 or 500 nM CKDI(7) (3 plates each, total 12 plates).16 hours: DMSO, 200 nM CDK9-IN-2 or 500 nM CDK9-IN-2 or 500 nM CKDI(7) (3 plates each, total 12 plates). A2058 and U-87-MG: 0 timepoint: Untreated, harvested when compound is added to the other plates (3 plates). 2 hours: DMSO, 500 nM CDK9-IN-2 (3 plates each, total 6 plates). 8 hours: DMSO, 500 nM CDK9-IN-2 (3 plates each, total 6 plates).16 hours: DMSO, 500 nM CDK9-IN-2 (3 plates each, total 6 plates). The IC50s arethe analysed[1].
References	[1]. Michel Faure, et al. Pharmacodynamic markers associated with cyclin-dependent kinase inhibitors. From PCT Int. Appl. (2012), WO 2012131594A1.