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产品名称：DC_517
产品别名：DC_517

生物活性：

Description	DC_517 is a DNA methyltransferase 1 (DNMT1) inhibitor, with an IC_{50} and a K_d of 1.7 μM and 0.91 μM, respectively.	
IC_{50} & Target	DNMT1	DNMT1
	0.91 μM (Kd)	1.7 μM (IC_{50})
In Vitro	DC_517 is a DNA methyltransferase 1 (DNMT1) inhibitor, with an IC_{50} and a K_d of 1.7 μM and 0.91 μM, respectively. DC_517 (1.25, 2.5, 5, and 10 μM) potently inhibits the proliferation of HCT116 (human colon cancer) and Capan-1 (human pancreatic adenocarcinoma cells) after treatment for 24, 48, and 72 h. DC_517 (0, 0.75, 1.5, and 3 μM) also dose-dependently induces apoptotic cell death in HCT116 cells[1].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 50 mg/mL (98.88 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	1.9777 mL	9.8883 mL	19.7765 mL
	5 mM	0.3955 mL	1.9777 mL	3.9553 mL
	10 mM	0.1978 mL	0.9888 mL	1.9777 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: ≥ 3.25 mg/mL (6.43 mM); Clear solution

此方案可获得 ≥ 3.25 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 32.5 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: 3.25 mg/mL (6.43 mM); Suspended solution; Need ultrasonic

此方案可获得 3.25 mg/mL (6.43 mM)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 32.5 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: ≥ 3.25 mg/mL (6.43 mM); Clear solution 此方案可获得 ≥ 3.25 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 32.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Chen S, et al. Identifying novel selective non-nucleoside DNA methyltransferase 1 inhibitors through docking-based virtual screening. J Med Chem. 2014 Nov 13;57(21):9028-9041.
实验参考：	
Kinase Assay	To measure the effects of DC_517 on mouse DNMT1 activity, 200 nM purified DNMT1 is incubated with 200 μM of DC_517 and S-adenosylmethionine (AdoMet) in the DNMT assay buffer in the assay plate at 37°C for 2 h. Next, every sample is incubated with the capture and detection antibody, followed by incubation with developer solution for 10 min at room temperature. The absorbance is measured at 450 nm using a microplate reader. S-Adenosylhomocysteine (AdoHcy) is used as a positive control[1].
References	[1]. Chen S, et al. Identifying novel selective non-nucleoside DNA methyltransferase 1 inhibitors through docking-based virtual screening. J Med Chem. 2014 Nov 13;57(21):9028-9041.