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产品名称：PRT4165
产品别名：NSC600157

生物活性：

Description	PRT4165 is a potent inhibitor of PRC1-mediated H2A ubiquitylation.
IC_{50} & Target	PRC1[1]
In Vitro	PRT4165 is a potent inhibitor of PRC1-mediated H2A ubiquitylation. *In vitro* E3 ubiquitin ligase activity assays reveal that PRT4165 inhibits both RNF2 and RING 1A, but not RNF8 nor RNF168. In the presence of PRT4165, H2A ubiquitylation could be completely inhibited regardless of whether RING1 or RNF2 contributes the E3 ubiquitin ligase activity. Treatment of cells for 60 min with 50 μM PRT4165 results in a dramatic reduction in total ubiquitylated histone H2A. It is also found that longer exposure of the cells with the PRT4165 (30 and 60 min) leads to increased levels of γ-H2AX in unirradiated cells. PRT4165 inhibits double-strand break (DSB) repair at the 8-h time point compare with mock treated cells. Cells treated with increasing concentrations of PRT4165 show increasing numbers of cells in G_2/M[1].
Solvent&Solubility	***In Vitro:*** **DMSO : 25 mg/mL** (**106.27 mM**; Need ultrasonic) **H_2O : < 0.1 mg/mL** (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.2510 mL	21.2549 mL	42.5098 mL
	5 mM	0.8502 mL	4.2510 mL	8.5020 mL
	10 mM	0.4251 mL	2.1255 mL	4.2510 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 (10.63 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (10.63 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 (10.63 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (10.63 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 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 (10.63 mM); Precipitated solution 此方案可获得 ≥ 2.5 mg/mL (10.63 mM，饱和度未知) 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]. Ismail IH, et al. A small molecule inhibitor of polycomb repressive complex 1 inhibits ubiquitin signaling at DNA double-strand breaks. J Biol Chem. 2013 Sep 13;288(37):26944-54.
实验参考：	
Cell Assay	Cells are treated with different concentrations of the PRT4165 60 min prior to irradiation (2 Gy). The cells are harvested 2 h after IR. The cells are washed with PBS twice and then fixed with 1% paraformaldehyde at 37°C for 10 min. After cooling on ice for 1 min, the cells are permeabilized with 90% methanol and stored at -20°C overnight. Fixed cells are washed with PBS twice and blocked with FACS incubation buffer (0.5% BSA in PBS) for 10 min. The cells are then stained with anti-phosphohistone H3 (serine 10) antibody at 1:500 dilution in FACS incubation buffer for 1 h at room temperature[1].
References	[1]. Ismail IH, et al. A small molecule inhibitor of polycomb repressive complex 1 inhibits ubiquitin signaling at DNA double-strand breaks. J Biol Chem. 2013 Sep 13;288(37):26944-54.