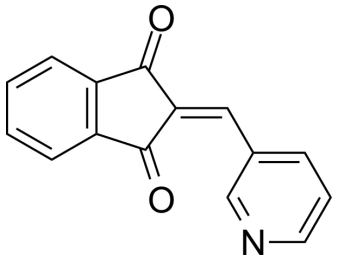
PRT4165

Cat. No.:	HY-19817
CAS No.:	31083-55-3
Molecular Formula:	$C_{15}H_9NO_2$
Molecular Weight:	235.24
Target:	E1/E2/E3 Enzyme
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (106.27 mM; Need ultrasonic)

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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (10.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (10.63 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PRT4165 is a potent inhibitor of polycomb-repressive complex 1 (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 can 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cancer Res. 2018 Jan 1;78(1):51-63.
- Cell Death Dis. 2021 Jun 12;12(6):610.
- Clin Epigenetics. 2022 Dec 24;14(1):184.
- FEBS J. 2023 Mar 4.
- Mol Carcinog. 2023 May 5.

See more customer validations on www.MedChemExpress.com

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA