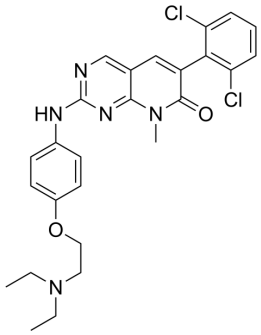
PD0166285

Cat. No.:	HY-13925
CAS No.:	185039-89-8
Molecular Formula:	$C_{26}H_{27}Cl_2N_5O_2$
Molecular Weight:	512.43
Target:	Wee1; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (97.57 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9515 mL	9.7574 mL	19.5149 mL
	5 mM	0.3903 mL	1.9515 mL	3.9030 mL
	10 mM	0.1951 mL	0.9757 mL	1.9515 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 (4.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.17 mg/mL (4.23 mM); Clear solution; Need warming

BIOLOGICAL ACTIVITY

Description

PD0166285, a substrate of P-gp, is a WEE1 inhibitor and a weak Myt1 inhibitor with IC_{50} values of 24 and 72 nM, respectively. PD0166285 exhibits an IC_{50} of 3.433 μM for Chk1[1].

IC_{50} & Target

IC50: 24 nM (WEE1), 72 nM (Myt1), 3.433 μM (Chk1)[1].

In Vitro

PD0166285 (0.5 μM) dramatically inhibits irradiation-induced Cdc2 phosphorylation at the Tyr-15 and Thr-14 in seven of seven cancer cell lines[1].
?PD0166285 sensitizes radiation-induced cell killing in p53 mutant HT29 cells and in the E6-transfected, p53-null ovarian cancer cell line PA-1 but to a lesser extent in p53 wild-type PA-1 cells. PD0166285 abrogates irradiation-induced G2 arrest and significantly increases mitotic cell populations[1].

?PD0166285 acts as a radiosensitizer to sensitize cells to radiation-induced cell death with a sensitivity enhancement ratio of 1.23[1].

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

Western Blot Analysis[1]

Cell Line:	Human and mouse cancer cell lines (HCT116, HT29, DLD-1, HCT8, H460, HeLa, C 26).
Concentration:	0.5 μM.
Incubation Time:	4 h.
Result:	Inhibited Cdc2Y15 and CdcT14 phosphorylation.

CUSTOMER VALIDATION

- Clin Cancer Res. 2020 Jul 1;26(13):3431-3442.
- Reprod Toxicol. 2022 Jun;110:172-179.
- bioRxiv. 2020 Jun.

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REFERENCES

[1]. Wang Y, et al. Radiosensitization of p53 mutant cells by PD0166285, a novel G(2) checkpoint abrogator. Cancer Res. 2001 Nov 15;61(22):8211-7.

[2]. Mark C de Gooijer, et al. ATP-binding cassette transporters limit the brain penetration of Wee1 inhibitors. Invest New Drugs. 2018 Jun;36(3):380-387.

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