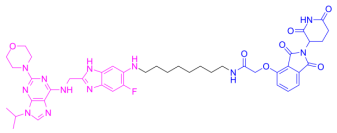
PP-C8

Cat. No.:	HY-144691
CAS No.:	3032108-74-7
Molecular Formula:	$C_{43}H_{51}FN_{12}O_7$
Molecular Weight:	866.94
Target:	PROTACs; CDK
Pathway:	PROTAC; Cell Cycle/DNA Damage
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 : 100 mg/mL (115.35 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.1535 mL	5.7674 mL	11.5348 mL
	5 mM	0.2307 mL	1.1535 mL	2.3070 mL
	10 mM	0.1153 mL	0.5767 mL	1.1535 mL

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

BIOLOGICAL ACTIVITY

Description

PP-C8 is a potent and selective PROTAC CDK12-Cyclin K degrader. PP-C8 induces CDK12-Cyclin K degradation with DC_{50}s of 416 and 412 nM for CDK12 and Cyclin K, respectively. PP-C8 demonstrates profound synergistic antiproliferative effects with PARP inhibitor in triple-negative breast cancer (TNBC)[1].

In Vitro

PP-C8 (0-30 μM; 24 hours; Bel-7402 and MDA-MB-231 cells) is a potent and highly selective CDK12-Cyclin K complex degrade [1].

PP-C8 (0-3 μM; 24 hours; Bel-7402 and MDA-MB-231 cells) mediated CDK12-CycK complex degradation is cereblon and UPS dependent PROTAC-MOA[1].

PP-C8 (0-3 μM; Bel-7402 and MDA-MB-231 cell lines) induces CDK12-Cyclin K degradation demonstrates superior synergistic antiproliferative activity with the PARP inhibition[1].

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

Western Blot Analysis[1]

Cell Line:	Bel-7402 and MDA-MB-231 cells

Concentration:	0, 0.1, 0.3 ,1.0, 3.0, 10.0 and 30.0 μM
Incubation Time:	24 hours
Result:	Downregulated of both CDK12 and CycK protein levels in a dose-dependent manner with DC_{50} of 416 nM and 412 nM.

Western Blot Analysis[1]

Cell Line:	Bel-7402 and MDA-MB-231 cells
Concentration:	1 and 3 μM
Incubation Time:	24 hours
Result:	Nondegraded CDK12-CycK in neither CRBN nor neither UPS depleted cell lines.

REFERENCES

[1]. Tian Niu, et al. Noncovalent CDK12/13 dual inhibitors-based PROTACs degrade CDK12-Cyclin K complex and induce synthetic lethality with PARP inhibitor. Eur J Med Chem. 2022 Jan 15;228:114012.

Caution: Product has not been fully validated for medical applications. For research use only.

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