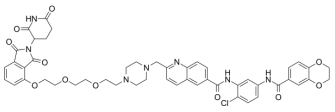
CCT367766

Cat. No.:	HY-122653
CAS No.:	2229856-58-8
Molecular Formula:	$C_{49}H_{48}ClN_7O_{11}$
Molecular Weight:	946.4
Target:	PROTACs
Pathway:	PROTAC
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CCT367766 is a potent and the third generation heterobifunctional and Cereblon-based pirin targeting protein degradation probe (PDP, or PROTAC), depletes pirin protein expression at low concentration. CCT367766 exhibits a moderate affinity for the CRBN-DDB1 complex with an IC_{50} value of 490 nM. CCT367766 reveals a good affinity for the recombinant pirin and CRBN with K_d values of 55 nM and 120 nM, respectively. CCT367766 provides a potential chemical tool to study a largely unexplored protein[1].

IC_{50} & Target

CRBN-DDB1
490 nM (IC_{50})

In Vitro

CCT367766 (50-1500 nM; 24 hours) demonstates the depletion of pirin protein as a the time-dependent hook-effect in SK-OV-3 human ovarian cancer cells[1].
CCT367766 (0.5-50 nM; 2 hours) demonstrates the concentration-dependent depletion of pirin protein after 2 h exposure in SK-OV-3 cells[1].
CCT367766 (0.5-50 nM; 2 hours) dose-dependently rescuses pirin expression from pretreatment of chlorobisamide in SK-OV-3 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	SK-OV-3 human ovarian cancer cells
Concentration:	50, 150, 250, 500 and 1500 nM
Incubation Time:	2 hours, 4 hours, 24 hours
Result:	Decreased pirin protein expression.

Western Blot Analysis[1]

Cell Line:	SK-OV-3 human ovarian cancer cells
Concentration:	0.5, 1, 2.5, 5, 7.5, 10, 25, and 50 nM
Incubation Time:	2 hours
Result:	Completely degraded pirin just at 50 nM treatment.

REFERENCES

[1]. Chessum NEA, et al. Demonstrating In-Cell Target Engagement Using a Pirin Protein Degradation Probe (CCT367766).J Med Chem. 2018 Feb 8;61(3):918-933.

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