CCT367766 formic

Cat. No.: HY-122653A

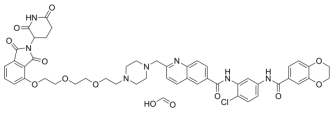
Molecular Formula: $C_{50}H_{50}ClN_7O_{13}$

Molecular Weight: 992.42

Target: PROTACs

Pathway: PROTAC

Storage: -20°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 250 mg/mL (251.91 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.0076 mL	5.0382 mL	10.0764 mL
5 mM	0.2015 mL	1.0076 mL	2.0153 mL
10 mM	0.1008 mL	0.5038 mL	1.0076 mL

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

BIOLOGICAL ACTIVITY

Description

CCT367766 formic 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 formic exhibits a moderate affinity for the CRBN-DDB1 complex with an IC_{50} value of 490 nM. CCT367766 formic reveals a good affinity for the recombinant pirin and CRBN with K_d values of 55 nM and 120 nM, respectively. CCT367766 formic provides a potential chemical tool to study a largely unexplored protein[1].

IC_{50} & Target

CRBN-DDB1
490 nM (IC_{50})

In Vitro

CCT367766 formic (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 formic (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 formic (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.

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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