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- Diagnostik & molekulare Diagnostik
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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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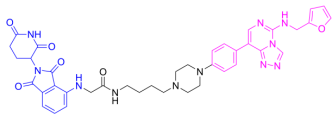
MS181

Cat. No.:	HY-158239
Molecular Formula:	$C_{39}H_{41}N_{11}O_6$
Molecular Weight:	759.81
Target:	E1/E2/E3 Enzyme; PROTACs
Pathway:	Metabolic Enzyme/Protease; PROTAC
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

MS181 (compound 1) is a potent cereblon (CRBN)-recruiting and EED-binding polycomb repressive complex 1 (PRC1) PROTAC degrader. MS181 decreases the expression of EED, EZH2, SUZ12, BMI1 and RING1B. MS181 shows antiproliferative activity (Strtucture Note: Red, EED binder (HY-158771); Blue, CRBN ligand (HY-41547); Black, Linker HY-131717)[1].

In Vitro

MS181 (compound 1) (0, 0.1, 0.3, 1, 3, 10 μM; 24 h) decreases the expression of EED, EZH2, SUZ12, BMI1 and RING1B in a dose dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	K562 cells
Concentration:	0, 0.1, 0.3, 1, 3, 10 μM
Incubation Time:	24 h
Result:	Decreassed the expression of EED, EZH2, SUZ12, BMI1 and RING1B, H3K27me3 in a dose dependent manner, showed no changes in the histone H3 lysine 27 trimethylation (H3K27me3) mark catalyzed by the PRC2 complex.

In Vivo

MS181 (50 mg/kg; i.p.; once) shows bioavailable in mice[1].

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

Animal Model:	Male swiss albino mice[1]
Dosage:	50 mg/kg
Administration:	I.p.; once
Result:	Showed above 1 μM for the first 2 h and maintained above 0.50 μM for 8 h post in the plasma concentration.

REFERENCES

[1]. Kabir M, et al. Discovery and Characterization of a Novel Cereblon-Recruiting PRC1 Bridged PROTAC Degrader. J Med Chem. 2024 Apr 25;67(8):6880-6892.

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