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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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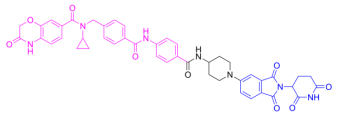
LLC0424

Cat. No.:	HY-161574
Molecular Formula:	$C_{45}H_{41}N_7O_9$
Molecular Weight:	823.85
Target:	PROTACs; Histone Methyltransferase
Pathway:	PROTAC; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

LLC0424 is a potent and selective cereblon-based PROTAC nuclear receptor-binding SET domain-containing 2 (NSD2) degrader. LLC0424 effectively degraded NSD2 with a DC_{50} of 20 nM in RPMI-8402 cells. LLC0424 selectively induces NSD2 degradation in a cereblon- and proteasome-dependent fashion. (Blue: CRBN ligand (HY-14658), Black: linker (HY-40002); Pink: NSD2 inhibitor (HY-161575))[1].

IC_{50} & Target	Cereblon	NSD2 20 nM (DC50)

In Vitro

In SEM and RPMI-8402 cells, LLC0424 dose-dependently suppresses the growth of these two cell lines, with IC_{50} values of 3.56 μM and 0.56 μM respectively[1].

LLC0424 (0.001 μM-10 μM; 1 h-24 h) induces NSD2 degradation in a concentration- and time-dependent manner, and induces NSD2 degradation in a CRBN- and proteasome-dependent manner. LLC0424 also leads to downregulation of H3K36Me2[1].

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

Cell Viability Assay[1]

Cell Line:	SEM or RPMI-8402 cells
Concentration:	5 μM
Incubation Time:	9 days (every 4 days)
Result:	Significantly inhibited the growth of SEM and RPMI-8402 cells.

Western Blot Analysis[1]

Cell Line:	RPMI-8402 cells
Concentration:	0.001 μM, 0.01 μM, 0.1 μM, 1 μM, and 10 μM
Incubation Time:	1 h, 2 h, 4 h, 6 h, 8 h, 12 h, 24 h
Result:	Reduced the protein level of both NSD2 isoforms in a concentration- and time-dependent manner.

In Vivo

LLC0424 (60 mg/kg; iv or ip; for 5 consecutive days) shows potent NSD2 degradation in vivo[1].

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

Animal Model:	6-8 weeks old male CB17 severe combined immunodeficiency (SCID) mice injected with 22RV1 cells were injected[1]
Dosage:	60 mg/kg
Administration:	Intravenous injection or intraperitoneal injection; for five consecutive days
Result:	Significantly downregulated the protein levels of NSD2.

REFERENCES

[1]. Lianchao Liu, et al. Discovery of LLC0424 as a Potent and Selective in Vivo NSD2 PROTAC Degrader. J Med Chem. 2024 May 9;67(9):6938-6951.

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