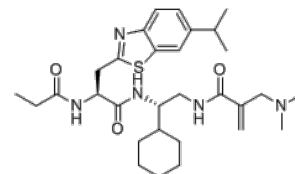


Product Name : DI-1859
Cat. No. : PC-72231
CAS No. : 2247061-09-0
Molecular Formula : C₃₀H₄₅N₅O₃S
Molecular Weight : 555.782
Target : E3 Ubiquitin Ligase
Solubility : 10 mM in DMSO



Biological Activity

DI-1859 (DI 1859) is a potent, selective and covalent **DCN1** inhibitor with K_i of <1 nM, does not bind to DCN3, selectively inhibits neddylation of **cullin 3 RING E3 ligase**.

DI-1859 could inhibit cullin 3 neddylation at concentration as low as 1 nM, which is 1000 times more potent than DI-591. DI-1859 selectively inhibits neddylation of cullin 3 in cells at low nanomolar concentrations and are 2-3 orders of magnitude more potent than our previously reported reversible DCN1 inhibitor DI-591.

DI-1859 at concentrations as low as 0.3 nM significantly reduced the level of neddylated cullin 3 and achieve profound inhibition of the neddylation of cullin 3 at 1-3 n.

DI-1859 is ~30 times more potent than MLN4924 in inhibition of the neddylation of cullin 3 in THLE2 liver cells.

DI-1859 induced a robust increase of NRF2 protein, a CRL3 substrate, in mouse liver and effectively protected mice from acetaminophen-induced liver damage.

References

Haibin Zhou, et al. *Nat Commun.* 2021 May 11;12(1):2621.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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