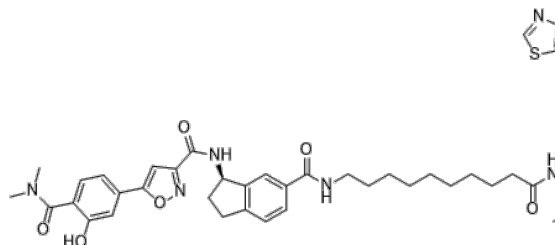


Product Name : ENL degrader MS41
Cat. No. : PC-22963
CAS No. : 2768610-97-3
Molecular Formula : C₅₆H₇₀N₈O₉S
Molecular Weight : 1031.28
Target : PROTAC
Solubility : 10 mM in DMSO



CAS: 2768610-97-3

Biological Activity

MS41 is a potent and selective, VHL-recruiting **ENL PROTAC** degrader, induces robust ENL degradation in a variety of human leukemia cell lines with DC50 of 3.50, 2.84, 3.03, and 26.58 nM in MV4;11, SEMK2, Jurkat, and KASUMI1, respectively, D_{max}>93%.

MS41 induces ENL protein degradation through the UPS.

MS41 exhibits comparable binding affinities to ENL and AF9 YEATS domain, with no affinity GAS41 or YEATS2 YEATS domains (with IC₅₀ > 50 μM).

MS41 efficiently degrades both ENL and AF9 in a concentration-dependent manner in cells, whereas has no effect on GAS41 and YEATS2 protein levels.

MS41 exhibits potent inhibition of leukemia cell survival, with IC₅₀ of 21.28 and 25.06 nM against MV4;11 and RS4;11 cells, respectively.

MS41 also suppresses cell growth in leukemia cell lines harboring other MLL fusions, such as OCI-AML2 and ML-2 with MLL-AF6 fusions and NOMO-1 with MLL-AF9 fusion, as well as KASUMI1, a leukemia cell line harboring the AML1-ETO translocation.

MS41 suppresses ENL-dependent oncogenic gene expression programs, reduces chromatin occupancy of ENL-associated transcription elongation machinery.

MS41 (50 mg/kg) suppresses leukemia progression in a xenograft model of MLL-r leukemia, with negligible in vivo toxicity and a mild impact on normal hematopoiesis.

References

Zhaoyu Xue, et al. *Sci Adv.* 2024 Aug 30;10(35):eado1432.

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

E-mail: tech@probechem.com