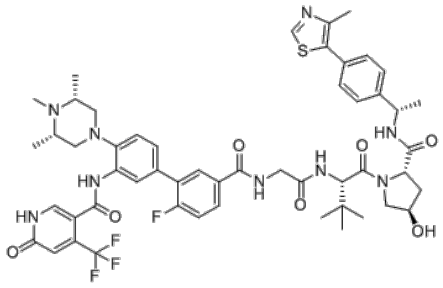
ProbeChem®
Expedite Your Scientific Research

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MS67
Cat. No.	:	PC-49507
CAS No.	:	2407452-77-9
Molecular Formula	:	$C_{52}H_{59}F_4N_9O_7S$
Molecular Weight	:	1030.15
Target	:	PROTAC
Solubility	:	10 mM in DMSO



Biological Activity

MS67 is a highly effective, selective **WDR5** degrader (**PROTAC**), contains the E3 ligase ligand VHL-1 and WDR5 binding moiety, shows binding affinities to VCB (Kd=140 nM) and WDR5 (Kd=63 nM), potently and selectively degrades WDR5 in MLL-r AML and PDAC cells.
MS67 potently and selectively degrades WDR5 in MV4;11 cells at a concentration as low as 1 nM with DC50 of 3.7 nM (Dmax=94%), and DC50 of 45 nM in MIA PaCa-2 cells.
MS67 is effective in suppressing transcription of WDR5-regulated genes and H3K4me2 on chromatin.
MS67 exhibits significant inhibition of in vitro growth in a panel of MLL-r AML lines with IC50 of 15 and 38 nM for MV4;11 and EOL-1 cells, respectively.
MS67 (75-150 mg/kg, BID, i.p.) suppresses tumor growth in MV4;11 MLL-r AML xenograft mouse model, inhibits the growth of primary AML cells and improves survival in a PDX model.

References

Xufen Yu, et al. ***Sci Transl Med.*** 2021 Sep 29;13(613):eabj1578.

E-mail: tech@probechem.com