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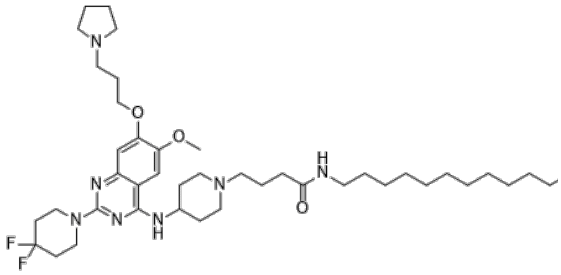
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MS8709
Cat. No.	:	PC-22157
CAS No.	:	3060730-06-2
Molecular Formula	:	$C_{64}H_{95}F_2N_{11}O_7S$
Molecular Weight	:	1200.59
Target	:	PROTAC
Solubility	:	10 mM in DMSO



Biological Activity

MS8709 is a potent, selective first-in-class **G9a/GLP** proteolysis targeting chimera (**PROTAC**) degrader with DC50 of 274/260 nM in 22Rv1 cells, respectively.
MS8709 potently inhibits 22Rv1 cell growth with GI50 of 4.1 uM, but not the parent inhibitor UNC0642.
MS8709 induces G9a/GLP protein degradation in a VHL- and UPS-dependent manner and is a bona fide G9a/GLP PROTAC degrader.
MS8709 does not induce the degradation of EZH2, PRMT7, and SET7/9, also shows no significant inhibition in a panel of 21 protein methyltransferases.
MS8709 (3 uM) effectively degrades G9a and GLP and displays superior antiproliferative activity in K562 and H1299 cells compared to UNC0642, inhibits cell growth H1299 cells with GI50 of 5 uM.
MS8709 has sufficient mouse PK properties and is suitable for in vivo efficacy studies.

References

Velez J, et al. ***Cancer Res*** (2024) 84 (6_Supplement): 4511.

Velez J, et al. ***J Med Chem***. 2024 Apr 11. doi: 10.1021/acs.jmedchem.3c02394.

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