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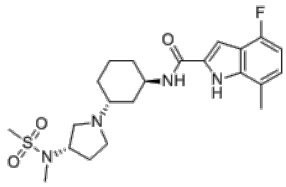
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	EPZ-719
Cat. No.	:	PC-72317
CAS No.	:	2697176-16-0
Molecular Formula	:	$C_{22}H_{31}FN_4O_3S$
Molecular Weight	:	450.573
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

EPZ-719 (EPZ 719) is a potent, selective **SETD2** histone methyltransferase inhibitor with IC50 of 8 nM.
EPZ-719 displayed a >8000-fold selectivity in a panel of 14 other histone methyltransferases, showing a remarkable level of selectivity over these closely related and potentially confounding targets.
EPZ-719 demonstrated the activity in a cellular context using an in-cell Western (ICW) cell biochemical assay in A549 cells by monitoring the H3K36 trimethyl mark with IC50 of 23 nM.
EPZ-719 potent antiproliferative activity against multiple myeloma cell lines, KMS34 and KMS1, with LTP day 14 IC50 of 25 nM and 211 nM.
EPZ-719 is an attractive tool compound for the interrogation of SETD2 biology that enables in vivo target validation studies.

References

John W Lampe, et al. ***ACS Med Chem Lett***. 2021 Aug 24;12(10):1539-1545.

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