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Data Sheet

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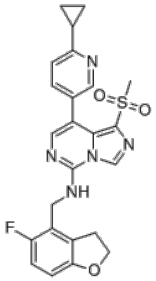
Product Name	:	EEDi-5285
Cat. No.	:	PC-72488
CAS No.	:	2488952-40-3
Molecular Formula	:	$C_{24}H_{22}FN_5O_3S$
Molecular Weight	:	479.53
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

EEDi-5285 (EEDi5285) is a highly potent, efficacious, and orally active **PRC2 EED** inhibitor with IC50 of 0.2 nM.
EEDi-5285 inhibits cell growth with IC50 values of 20 pM and 0.5 nM in the Pfeiffer and KARPAS422 lymphoma cell lines, respectively, carrying an EZH2 mutation.
EEDi-5285 is approximately 100 times more potent than EED226 in binding to EED and >300 times more potent than EED226 in inhibition of cell growth in the KARPAS422 cell line.
EEDi-5285 has excellent pharmacokinetics and achieves complete and durable tumor regression in the KARPAS422 xenograft model in mice with oral administration, achieved complete tumor regression at 50 mg/kg.

References

Rohan Kalyan Rej, et al. ***J Med Chem***. 2020 Jul 9;63(13):7252-7267.

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