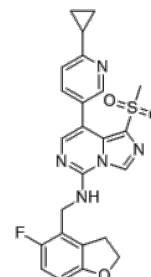

Product Name	: EEDi-5285
Cat. No.	: PC-72488
CAS No.	: 2488952-40-3
Molecular Formula	: C ₂₄ H ₂₂ FN ₅ O ₃ S
Molecular Weight	: 479.53
Target	: Histone Methyltransferase (HMTase)
Solubility	: 10 mM in DMSO



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.

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

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