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Data Sheet

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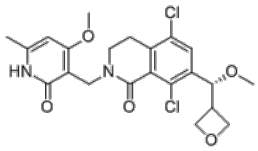

Product Name	:	PF-06821497
Cat. No.	:	PC-72620
CAS No.	:	1844849-10-0
Molecular Formula	:	$C_{22}H_{24}Cl_2N_2O_5$
Molecular Weight	:	467.343
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO

Biological Activity

PF-06821497 (PF 06821497) is a potent, selective, orally bioavailable Enhancer of Zeste Homolog 2 (**EZH2**) inhibitor with Ki of <1 nM against Y641N mutant.
PF-06821497 demonstrates high selectivity in the CEREP histone methyltransferase and broad-ligand screening panels, with exception EZH1 (Ki=70 nM), does not impair the function of various cytochrome P450 (CYPs) isoforms (IC50>30 uM) and does not exhibit appreciable inhibition of hERG ion channel.
PF-06821497 significantly reduced H3K27me3 levels in mice bearing Karpas-422 DLBCL tumor xenografts (which contain the Y641N EZH2 mutation).

References

Kung PP, et al. ***J Med Chem.*** 2018 Feb 8;61(3):650-665.

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