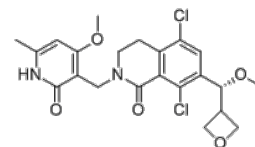


Product Name : PF-06821497
Cat. No. : PC-72620
CAS No. : 1844849-10-0
Molecular Formula : C₂₂H₂₄Cl₂N₂O₅
Molecular Weight : 467.343
Target : Histone Methyltransferase (HMTase)
Solubility : 10 mM in DMSO



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.

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

E-mail: tech@probechem.com