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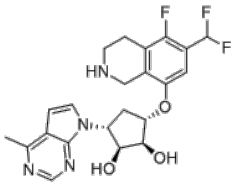
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PF-06939999
Cat. No.	:	PC-72513
CAS No.	:	2159123-14-3
Molecular Formula	:	$C_{22}H_{23}F_3N_4O_3$
Molecular Weight	:	448.446
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

PF-06939999 (PF06939999) is a potent, selective, S-adenosylmethionine (SAM) competitive **PRMT5** inhibitor with SPR Kd of 5.8 pM.
PF-06939999 displays at least one million-fold selective for PRMT5 over a panel of protein methyltransferases and 20 diverse protein kinases.
PF-06939999 demonstrates dose-dependent reduction of symmetric dimethyl arginine (SDMA) and an antiproliferative response in A2780 cells (IC50=3.3 nM).
PF-06939999 showed dose-dependent loss of SDMA on several proteins, with a cellular IC50 1.1 nM in A427 cells.
PF-06939999 demonstrates tumor growth inhibition in splicing mutant NSCLC (oral 3, 10, and 30 mg/kg).

References

Kristen Jensen-Pergakes, et al. ***Mol Cancer Ther.*** 2022 Jan;21(1):3-15.

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