

Data Sheet

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 PF-06939999

 Cat. No.
 :
 PC-72513

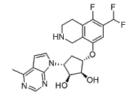
 CAS No.
 :
 2159123-14-3

 Molecular Formula
 :
 C₂₂H₂₃F₃N₄O₃

 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.

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

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