

## **Data Sheet**

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 PFI-2

 Cat. No.
 :
 PC-45488

 CAS No.
 :
 1627676-59-8

 Molecular Formula
 :
 C<sub>23</sub>H<sub>25</sub>F<sub>4</sub>N<sub>3</sub>O<sub>3</sub>S

 Molecular Weight
 :
 499.5215

Target : Histone Methyltransferase (HMTase)

**Solubility** : 10 mM in DMSO

## **Biological Activity**

A first-in-class, potent and selective inhibitor of SETD7 methyltransferase with Ki app/IC50 of 0.33/2 nM; shows high selectivity (>1,000-fold) for SETD7 over 18 other methyltransferases and DNMT1, 500-fold more active than enantiomer (S)-PFI-2; increases YAP nuclear localization, regulates YAP target genes, and phenocopys the effects of Setd7 deficiency on Hippo pathway signaling in murine embryonic fibroblasts.

## References

Barsyte-Lovejoy D, et al. Proc Natl Acad Sci U S A. 2014 Sep 2;111(35):12853-8.

Niu Y, et al. Sci Rep. 2017 Apr 18;7:46547.

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

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