
Product Data Sheet

Product Name: (S)-PFI-2 (hydrochloride)

Cat. No.: GC13634

Chemical Properties

Cas. No. 1627607-88-8

Chemical Name 8-fluoro-1,2,3,4-tetrahydro-N-[(1S)-2-oxo-2-(1-pyrrolidiny)]-1-[[3-(trifluoromethyl)phenyl]methyl]ethyl]-6-isoquinolinesulfonamide, monohydrochloride

SMILES O=S(C1=CC(CCNC2)=C2C(F)=C1)(N[C@@H](CC3=CC(C(F)(F)F)=CC=C3)C(N4CCCC4)=O)=O.Cl

Formula $C_{23}H_{25}F_4N_3O_3S \cdot HCl$ M.Wt 536.0

Solubility ≤ 0.5 mg/ml in ethanol; 2mg/ml in DMSO; 5mg/ml in dimethyl formamide Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure **Background**

(S)-PFI-2 (hydrochloride) is the inactive enantiomer of (R)-PFI-2 and may serve as a negative control. (R)-PFI-2 is a cell-permeable and potent SET7/9 inhibitor with IC50 value of 2 nM [1].

Protein methyltransferases involve in the epigenetic regulation of gene transcription, silencing, chromatin structure establishment, maintenance, DNA repair, and replication. SET domain containing (lysine methyltransferase) 7 (SETD7) (SET9; SET7/9, KMT7) is a protein lysine methyltransferases and is originally characterized as a monomethyltransferase of lysine 4 on histone H3 (H3K4me1). SET7/9 has very broad target specificity that methylates histone H3, tumor suppressor p53 and transcription factor TAF10 [1][2][3].

Caution: Product has not been fully validated for medical applications. For research use only.

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(S)-PFI-2 (hydrochloride), the inactive enantiomer of (R)-PFI-2, was 500-fold less potent with IC₅₀ value of 1 μM and used as a negative control in chemical biology experiments. (R)-PFI-2 is a potent and selective inhibitor of SETD7 methyltransferase with IC₅₀ value of 2 nM. In high-density MCF7 cells, (R)-PFI-2 dose-dependently increased nuclear YAP and enhanced expression of the YAP target genes AREG and CYR61, whereas (S)-PFI-2 had no effect [1].

References:

- [1]. Barsyte-Lovejoy D, Li F, Oudhoff MJ, et al. (R)-PFI-2 is a potent and selective inhibitor of SETD7 methyltransferase activity in cells. Proc Natl Acad Sci U S A. 2014 Sep 2;111(35):12853-8.
- [2]. Kurash JK, Lei H, Shen Q, et al. Methylation of p53 by Set7/9 mediates p53 acetylation and activity in vivo. Mol Cell. 2008 Feb 15;29(3):392-400.
- [3]. Couture JF, Collazo E, Hauk G, et al. Structural basis for the methylation site specificity of SET7/9. Nat Struct Mol Biol. 2006 Feb;13(2):140-6.

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