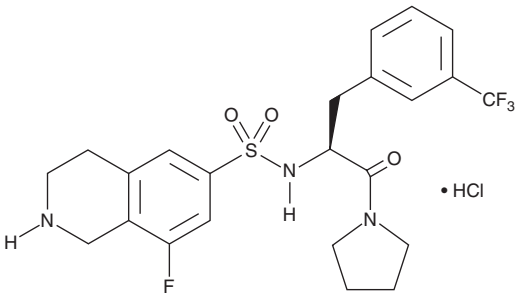
PRODUCT INFORMATION

(S)-PFI-2 (hydrochloride)

Item No. 18119

CAS Registry No.:	1627607-88-8
Formal Name:	8-fluoro-1,2,3,4-tetrahydro-N-[(1S)-2-oxo-2-(1-pyrrolidinyl)-1-[[3-(trifluoromethyl)phenyl]methyl]ethyl]-6-isoquinolinesulfonamide, monohydrochloride
Synonym:	(+)-PFI-2
MF:	$C_{23}H_{25}F_4N_3O_3S \bullet HCl$
FW:	536.0
Purity:	≥95%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(S)-PFI-2 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the (S)-PFI-2 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. (S)-PFI-2 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (S)-PFI-2 (hydrochloride) in these solvents is approximately 0.5, 2, and 5 mg/ml, respectively.

(S)-PFI-2 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (S)-PFI-2 (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. (S)-PFI-2 (hydrochloride) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

SET domain-containing protein 7/9 (SET7/9) is a histone methyltransferase that monomethylates lysine 4 of histone H3, which generates a specific tag for epigenetic transcriptional activation. It plays a role in the transcriptional activation of tumor suppressor p53 in response to DNA damage, as well as the transcription factor TAF10 (Item No. 10228).[1,2] (R)-PFI-2 (Item No. 14678) is a potent, cell-permeable inhibitor of SET7/9 (IC_{50} = 2 nM) that demonstrates greater than 1,000-fold selectivity over a panel of 18 other methyltransferases.[3] (S)-PFI-2, the inactive enantiomer, is 500-fold less potent (IC_{50} = 1 µM) and may serve as a negative control.[3] See the Structural Genomics Consortium (SGC) website for more information.

References

1. Couture, J.-F., Collazo, E., Hauk, G., *et al.* Structural basis for the methylation site specificity of SET7/9. *Nat. Struct. Mol. Biol.* **13(2)**, 140-146 (2006).
2. Kurash, J.K., Lei, H., Shen, Q., *et al.* Methylation of p53 by Set7/9 mediates p53 acetylation and activity *in vivo*. *Mol. Cell* **29**, 392-400 (2008).
3. Barsyte-Lovejoy, D., Li, F., Oudhoff, M.J., *et al.* (R)-PFI-2 is a potent and selective inhibitor of SETD7 methyltransferase activity in cells. *Proc. Natl. Acad. Sci. USA* 1-6 (2014).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM