

PRODUCT INFORMATION

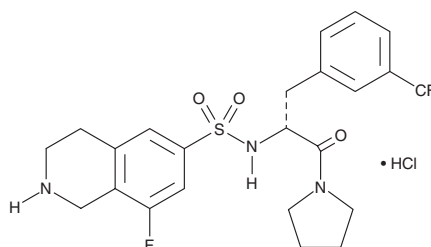


(R)-PFI-2

Item No. 14678

CAS Registry No.: 1627607-87-7
Formal Name: 8-fluoro-1,2,3,4-tetrahydro-N-[(1R)-2-oxo-2-(1-pyrrolidinyl)-1-[[3-(trifluoromethyl)phenyl]methyl]ethyl]-6-isoquinolinesulfonamide, monohydrochloride

Synonym: (-)-PFI-2
MF: C₂₃H₂₅F₄N₃O₃S • 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

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

(R)-PFI-2 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (R)-PFI-2 (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. (R)-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.^{1,2} (R)-PFI-2 is a potent, cell-permeable inhibitor of SET7/9 (IC₅₀ = 2 nM) that demonstrates greater than 1,000-fold selectivity over a panel of 18 other methyltransferases.³ Its enantiomer, (S)-PFI-2 (Item No. 18119), is 500-fold less active (IC₅₀ = 1 μM).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. Baryste-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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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