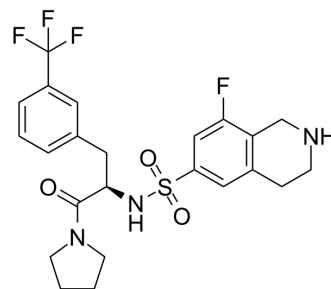


PFI-2

Cat. No.:	HY-18627
CAS No.:	1627676-59-8
Molecular Formula:	C ₂₃ H ₂₅ F ₄ N ₃ O ₃ S
Molecular Weight:	499.52
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PFI-2 ((R)-PFI-2 hydrochloride) hydrochloride is a potent and selective SET domain containing lysine methyltransferase 7 (SETD7) inhibitor. (R)-PFI-2 shows high inhibiting activity with IC ₅₀ value of 2.0 nM and (S)-PFI-2 shows inhibiting activity with IC ₅₀ value of 1.0 μM. PFI-2 hydrochloride can be used for the research of chronic kidney disease and inflammation response in the development of renal fibrosis ^{[1][2]} .								
In Vitro	(R)-PFI-2 shows high inhibiting activity with IC ₅₀ value of 2.0 nM and (S)-PFI-2 shows inhibiting activity with IC ₅₀ value of 1.0 μM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
In Vivo	<p>PFI-2 (i.p., 200 μM, twice a week) attenuates the progression of renal fibrosis and preserves renal function in FA nephropathy^[2].</p> <p>PFI-2 (i.p., 200 μM, twice a week) reduced ECM accumulation and fibroblasts activation after FA injury^[2].</p> <p>PFI-2 (i.p., 200 μM, twice a week) impeded Th2 cytokine signaling activation and M2 macrophage polarization^[2].</p> <p>PFI-2 (i.p., 200 μM, twice a week) suppressed M2 macrophages-myofibroblasts transition and myeloid myofibroblasts accumulation in the FA-treated kidneys^[2].</p> <p>PFI-2 (i.p., 200 μM, twice a week) attenuated macrophages M2 polarization and M2 macrophages-to-myofibroblasts transition in obstructed kidneys^[2].</p> <p>PFI-2 (i.p., 200 μM, twice a week) suppressed myeloid myofibroblast accumulation and renal fibrosis after UUO injury^[2].</p> <p>PFI-2 (i.p., 200 μM, twice a week) reduced the infiltration of inflammatory cells, the production of inflammatory molecules, and NF-κB activation in FA nephropathy.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Male C57BL/6 mice (8-10 week old, 20-25 g)^[2]</td> </tr> <tr> <td>Dosage:</td> <td>200 μM (PFI-2 is diluted in 100 μL 0.1% (v/v) DMSO to a concentration of 200 μM/100 μL)</td> </tr> <tr> <td>Administration:</td> <td>intraperitoneal injection, twice a week</td> </tr> <tr> <td>Result:</td> <td>Presented less bone marrow-derived myofibroblasts, fewer CD206+/α-smooth muscle actin + cells and developed less renal fibrosis (P<0.01). Reduced the infiltration of inflammatory cells and decreased the production of pro-inflammatory cytokines and chemokines in the kidneys after folic acid treatment (P<0.01).</td> </tr> </table>	Animal Model:	Male C57BL/6 mice (8-10 week old, 20-25 g) ^[2]	Dosage:	200 μM (PFI-2 is diluted in 100 μL 0.1% (v/v) DMSO to a concentration of 200 μM/100 μL)	Administration:	intraperitoneal injection, twice a week	Result:	Presented less bone marrow-derived myofibroblasts, fewer CD206+/ α -smooth muscle actin + cells and developed less renal fibrosis (P<0.01). Reduced the infiltration of inflammatory cells and decreased the production of pro-inflammatory cytokines and chemokines in the kidneys after folic acid treatment (P<0.01).
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Suppressed the accumulation of NF- κ B p65+ cells in folic acid nephropathy (P<0.01).

CUSTOMER VALIDATION

- Sci Adv. 2023 May 26;9(21):eade4186.
- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.
- Sci Total Environ. 2022 Dec 5;861:160682.
- Acta Pharmacol Sin. 2021 Apr 13.
- Int J Mol Med. 2021 Dec;48(6):210.

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REFERENCES

- [1]. Yuzhen Niu, et al. Revealing inhibition difference between PFI-2 enantiomers against SETD7 by molecular dynamics simulations, binding free energy calculations and unbinding pathway analysis. Sci Rep. 2017 Apr 18;7:46547.
- [2]. Benquan Liu, et al. Pharmacological inhibition of SETD7 by PFI-2 attenuates renal fibrosis following folic acid and obstruction injury. Eur J Pharmacol. 2021 Jun 15;901:174097.
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Caution: Product has not been fully validated for medical applications. For research use only.

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