# PFI-2 hydrochloride

**Cat. No.:** HY-18627A

**CAS No.:** 1627607-87-7

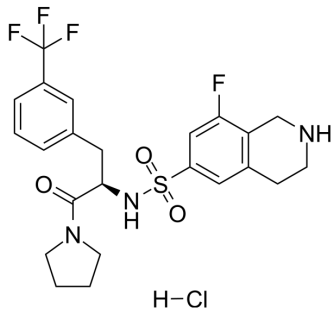
**Molecular Formula:** $C_{23}H_{26}ClF_4N_3O_3S$

**Molecular Weight:** 535.98

**Target:** Histone Methyltransferase

**Pathway:** Epigenetics

**Storage:** 4°C, sealed storage, away from moisture
* In solvent : -80°C, 1 year; -20°C, 6 months (sealed storage, away from moisture)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 32 mg/mL (59.70 mM)

$H_2O$ : 5.56 mg/mL (10.37 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.8657 mL | 9.3287 mL | 18.6574 mL |
| 5 mM | 0.3731 mL | 1.8657 mL | 3.7315 mL |
| 10 mM | 0.1866 mL | 0.9329 mL | 1.8657 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.66 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.66 mM); Clear solution
4. Add each solvent one by one: PBS
   Solubility: 1 mg/mL (1.87 mM); Clear solution; Need ultrasonic

## 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].

| | |
|---|---|
| **$IC_{50}$ & Target** | SETD7/KMT7 |
| **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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | PFI-2 hydrochloride (i.p., 200 μM, twice a week) attenuates the progression of renal fibrosis and preserves renal function in FA nephropathy[2].<br>PFI-2 hydrochloride (i.p., 200 μM, twice a week) reduced ECM accumulation and fibroblasts activation after FA injury[2].<br>PFI-2 hydrochloride (i.p., 200 μM, twice a week) impeded Th2 cytokine signaling activation and M2 macrophage polarization [2].<br>PFI-2 hydrochloride (i.p., 200 μM, twice a week) suppressed M2 macrophages-myofibroblasts transition and myeloid myofibroblasts accumulation in the FA-treated kidneys[2].<br>PFI-2 hydrochloride (i.p., 200 μM, twice a week) attenuated macrophages M2 polarization and M2 macrophages-to-myofibroblasts transition in obstructed kidneys[2].<br>PFI-2 hydrochloride (i.p., 200 μM, twice a week) suppressed myeloid myofibroblast accumulation and renal fibrosis after UUO injury[2].<br>PFI-2 hydrochloride (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[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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|---|---|
| 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).<br>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).<br>Suppressed the accumulation of NF-κB 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.
- Biochem Pharmacol. 2023 Dec 2:115964.

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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.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA