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产品名称：PFI-2
CAS 号：1627676-59-8
产品货号：S88048

生物活性：

Description	PFI-2 ((R)-PFI-2) 是一种化学探针，是一种强效的选择性 SETD7 抑制剂。(R)-PFI-2 具有高度抑制活性，其 IC50 值为 2.0 nM，(S)-PFI-2 的抑制活性 IC50 值为 1.0 μM。PFI-2 hydrochloride 可用于研究慢性肾脏疾病和肾纤维化发展过程中的炎症反应。
IC50 & Target	SETD7/KMT7
In Vitro	(R)-PFI-2 shows high inhibiting activity with IC50 value of 2.0 nM and (S)-PFI-2 shows inhibiting activity with IC50 value of 1.0 μM[1]..
In Vivo	PFI-2 (i.p., 200 μM, twice a week) attenuates the progression of renal fibrosis and preserves renal function in FA nephropathy[2]. PFI-2 (i.p., 200 μM, twice a week) reduced ECM accumulation and fibroblasts activation after FA injury[2]. PFI-2 (i.p., 200 μM, twice a week) impeded Th2 cytokine signaling activation and M2 macrophage polarization[2]. PFI-2 (i.p., 200 μM, twice a week) suppressed M2 macrophages-myofibroblasts transition and myeloid myofibroblasts accumulation in the FA-treated kidneys[2]. PFI-2 (i.p., 200 μM, twice a week) attenuated macrophages M2 polarization and M2 macrophages-to-myofibroblasts transition in obstructed kidneys[2]. PFI-2 (i.p., 200 μM, twice a week) suppressed myeloid myofibroblast accumulation and renal fibrosis after UUO injury[2]. 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.

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+/伪-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). Suppressed the accumulation of NF-κB p65+ cells in folic acid nephropathy (P<0.01).

参考文献	[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.