PF429242 dihydrochloride

Cat. No.: HY-13447A

CAS No.: 2248666-66-0

Molecular Formula: $C_{25}H_{37}Cl_2N_3O_2$

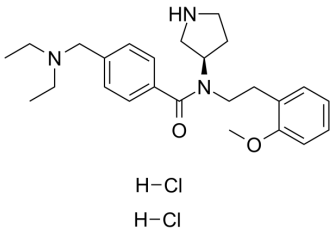
Molecular Weight: 482.49

Target: Virus Protease; Fatty Acid Synthase (FASN)

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 83.3 mg/mL (172.65 mM)

H_2O : 50 mg/mL (103.63 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0726 mL	10.3629 mL	20.7258 mL
	5 mM	0.4145 mL	2.0726 mL	4.1452 mL
	10 mM	0.2073 mL	1.0363 mL	2.0726 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (207.26 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description PF429242 dihydrochloride is a reversible and competitive SREBP site 1 protease (S1P) inhibitor with an IC_{50} of 175 nM[1].

IC_{50} & Target IC50: 175 nM (S1P)[1]

In Vitro 10 μM PF-429242 inhibits endogenous SREBP processing in Chinese hamster ovary cells. PF-429242 also down-regulates the

	signal from an SRE-luciferase reporter gene in human embryonic kidney 293 cells and the expression of endogenous SREBP target genes in cultured HepG2 cells. In HepG2 cells, PF-429242 inhibits cholesterol synthesis, with an IC_{50} of 0.5 μM[1]. The addition of PF-429242 (30 μM) shows statistically significant suppression of infectious viral titers and viral RNA copies in the cell culture fluids. PF-429242 treatment also shows suppressive effects on DENV2 yields in the cultured fluids of human-derived HEK-293, Hep G2, and non-human-primate derived LLC-MK2 cells[2]. PF-429242 efficiently prevents the processing of GPC from the prototypic arenavirus lymphocytic choriomeningitis virus (LCMV) and LASV, which correlates with the compound's potent antiviral activity against LCMV and LASV in cultured cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In mice treated with PF-429242 for 24 h, the expression of hepatic SREBP target genes is suppressed, and the hepatic rates of cholesterol and fatty acid synthesis are reduced[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice: To test the in vivo efficacy of PF-429242 in regulating SREBP target genes, male CD1 mice are dosed i.p. with 10 or 30 mg/kg PF-429242 or saline once every 6 over a 24-h period. Mice are euthanized 6 h after the final dose, and liver tissue is collected, frozen rapidly in liquid nitrogen, and stored at -80°C. For RNA isolation, 50 to 100 mg of frozen liver tissue from each sample is homogenized in 1 ml of TRIzol reagent. Total RNA is extracted following the manufacturer's instructions, and the resulting total RNA from each sample underwent DNA-free treatment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2023 Apr;616(7956):348-356.
- Immunity. 2018 Nov 20;49(5):842-856.e7.
- Autophagy. 2021 Jul;17(7):1592-1613.
- Cell Death Differ. 2021 Jun;28(6):2001-2018.
- Sci China Life Sci. 2021 May 27;1-21.

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REFERENCES

[1]. Hawkins JL, et al. Pharmacologic inhibition of site 1 protease activity inhibits sterol regulatory element-binding protein processing and reduces lipogenic enzyme gene expression and lipid synthesis in cultured cells and experimental animals. J Pharmacol

[2]. Uchida L, et al. Suppressive Effects of the Site 1 Protease (S1P) Inhibitor, PF-429242, on Dengue Virus Propagation. Viruses. 2016 Feb 10;8(2). pii: E46. doi: 10.3390/v8020046.

[3]. Urata S, et al. Antiviral activity of a small-molecule inhibitor of arenavirus glycoprotein processing by the cellular site 1 protease. J Virol. 2011 Jan;85(2):795-803.

Caution: Product has not been fully validated for medical applications. For research use only.

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