PF-06424439 methanesulfonate

Cat. No.: HY-108341A

CAS No.: 1469284-79-4

Molecular Formula: $C_{23}H_{30}ClN_7O_4S$

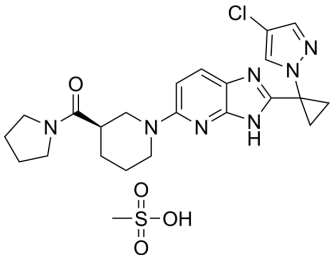
Molecular Weight: 536.05

Target: Acyltransferase

Pathway: Metabolic Enzyme/Protease

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

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (466.37 mM; Need ultrasonic)

H_2O : 50 mg/mL (93.27 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8655 mL	9.3275 mL	18.6550 mL
5 mM	0.3731 mL	1.8655 mL	3.7310 mL
10 mM	0.1865 mL	0.9327 mL	1.8655 mL

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

In Vivo

1. Add each solvent one by one: Saline
   Solubility: 50 mg/mL (93.27 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.88 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06424439 methanesulfonate is an oral, potent and selective imidazopyridine diacylglycerol acyltransferase 2 (DGAT2) inhibitor with an IC_{50} of 14 nM[1]. PF-06424439 methanesulfonate is slowly reversible, time-dependent inhibitor, which inhibits DGAT2 in a noncompetitive mode with respect to the acyl-CoA substrate[2].

IC_{50} & Target

IC50: 14 nM (DGAT2)[1]

In Vivo

PF-06424439 methanesulfonate (p.o.; 60 mg/kg/day; for 3 days) reduces plasma TG and cholesterol levels and decreases nonsignificant in circulating lipids in mice ($Ldlr^{-/-}$)[1].

?PF-06424439 methanesulfonate (i.v.; 1 mg/kg) shows moderate clearance in rats following intravenous administration and moderate steady-state volume of distribution (Vd_{ss}) results in a short half-life[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male low-density lipoprotein receptor (Ldlr) knockout mice ($Ldlr^{-/-}$)[1]
Dosage:	60 mg/kg
Administration:	P.o.; daily; for 3 days
Result:	Reduced plasma TG and cholesterol levels and decreased nonsignificant in circulating lipids.

Animal Model:	Male Wistar-Han rats[1]
Dosage:	1 mg/kg
Administration:	I.v.
Result:	Showed moderate clearance and a short half-life with $t_{1/2}$=1.39 h.

CUSTOMER VALIDATION

- J Virol. 2021 Nov 10;JVI0147321.
- J Dairy Sci. 2022 Feb 15;S0022-0302(22)00089-3.
- Dev Comp Immunol. 2021 Jul 3;104197.
- bioRxiv. 2023 Jul 3.

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REFERENCES

[1]. Futatsugi K, et al. Discovery and Optimization of Imidazopyridine-Based Inhibitors of Diacylglycerol Acyltransferase 2 (DGAT2). J Med Chem. 2015 Sep 24;58(18):7173-85.

[2]. Pabst B, et al. Mechanistic Characterization of Long Residence Time Inhibitors of Diacylglycerol Acyltransferase 2 (DGAT2). Biochemistry. 2018 Dec 26;57(51):6997-7010.

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

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