Proteins



PF-06424439

Molecular Weight:

Cat. No.: HY-108341

CAS No.: 1469284-78-3 Molecular Formula: $C_{22}H_{26}CIN_7O$

Target: Acyltransferase

Pathway: Metabolic Enzyme/Protease

439.94

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description PF-06424439 is an oral, potent and selective imidazopyridine diacylglycerol acyltransferase 2 (DGAT2) inhibitor with an IC $_{50}$

of $14\,\mathrm{nM}^{[1]}$. PF-06424439 is slowly reversible, time-dependent inhibitor, which inhibits DGAT2 in a noncompetitive mode

with respect to the acyl-CoA substrate^[2].

IC₅₀ & Target IC50: 14 nM (DGAT2)[1]

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

> PF-06424439 (i.v.; 1 mg/kg) shows moderate clearance in rats following intravenous administration and moderate steadystate volume of distribution (Vdss) 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:	l.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.

REFERENCES

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[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.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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