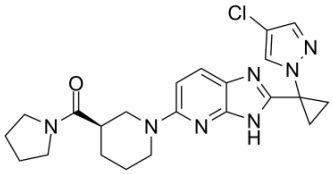
PF-06424439

Cat. No.:	HY-108341
CAS No.:	1469284-78-3
Molecular Formula:	$C_{22}H_{26}ClN_7O$
Molecular Weight:	439.94
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PF-06424439 is an oral, potent and selective imidazopyridine diacylglycerol acyltransferase 2 (DGAT2) inhibitor with an IC_{50} of 14 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].
IC_{50} & 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 steady-state 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:	I.v.
Result:	Showed moderate clearance and a short half-life with $t_{1/2}$=1.39 h.

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.

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