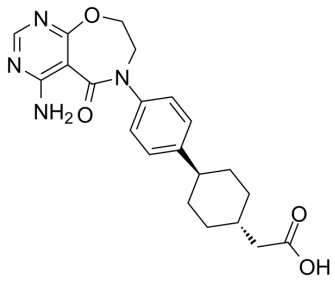
PF-04620110

Cat. No.:	HY-13009
CAS No.:	1109276-89-2
Molecular Formula:	$C_{21}H_{24}N_4O_4$
Molecular Weight:	396.44
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (31.53 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5225 mL	12.6123 mL	25.2245 mL
	5 mM	0.5045 mL	2.5225 mL	5.0449 mL
	10 mM	0.2522 mL	1.2612 mL	2.5225 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.25 mg/mL (3.15 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (3.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-04620110 is a potent, selective and orally bioavailable diglyceride acyltransferase-1 (DGAT-1) inhibitor with an IC_{50} of 19 nM[1].

IC_{50} & Target

IC50: 19 nM (DGAT-1)[1]

In Vitro

PF-04620110 is orally bioavailable, has passive permeability($1x10^{-6}$ cm/s)[1].
PF-04620110 inhibits DGAT-1 with an IC_{50} of 19 nM, and inhibits triglyceride synthesis with an IC_{50} of 8 nM in HT-29 cells[2].

PF-04620110 is a highly selective inhibitor of DGAT-1 with >100-fold selectivity against a panel of lipid processing enzymes (human DGAT-2, several human acyl-CoA: cholesterol acyltransferase-1, wax alcohol acyltransferase-1/-2 and monacylglycerol acyltransferase-2/-3, and mouse MGAT-1)[2].

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

In Vivo

PF-04620110 (0.1-10 mg/kg; p.o.) reduces plasma triglyceride levels at doses of ≥0.1 mg/kg following a lipid challenge in rat [2].

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

Animal Model:	Sprague–Dawley rats[2]
Dosage:	0.1 mg/kg, 1 mg/kg, 10 mg/kg
Administration:	Oral administration
Result:	Produced a statistically significant reduction in plasma triglyceride excursion at 2 hours to near prelipid load levels.

CUSTOMER VALIDATION

- Physiol Rep. 2020 Aug;8(15):e14542.

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REFERENCES

[1]. Dow RL, et al. Design and synthesis of potent, orally-active DGAT-1 inhibitors containing a dioxino[2,3-d]pyrimidine core. Bioorg Med Chem Lett. 2011 Oct 15;21(20):6122-5.

[2]. Dow RL, et al. Discovery of PF-04620110, a Potent, Selective, and Orally Bioavailable Inhibitor of DGAT-1. ACS Med Chem Lett. 2011 Mar 18;2(5):407-12.

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