PF-06649298

Cat. No.: HY-120103

CAS No.: 1854061-16-7

Molecular Formula: $C_{16}H_{22}O_5$

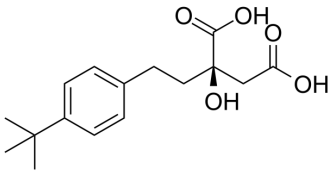
Molecular Weight: 294.34

Target: Sodium Channel

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (339.74 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3974 mL	16.9872 mL	33.9743 mL
	5 mM	0.6795 mL	3.3974 mL	6.7949 mL
	10 mM	0.3397 mL	1.6987 mL	3.3974 mL

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

BIOLOGICAL ACTIVITY

Description

PF-06649298 is a sodium-coupled citrate transporter (NaCT or SLC13A5) inhibitor. PF-06649298 specifically interacts with NaCT with an IC_{50} value of 16.2 μM to inhibits the transport of citrate in human hepatocytes. PF-06649298 can be used for the research of regulating glucose metabolism and lipid metabolism[1][2].

IC_{50} & Target

IC50: 408 nM (citrate uptake in HEK_{NaCT}), 16.2 μM (citrate uptake in Human Heps), 4.5 μM (citrate uptake in Mouse Heps), ⊠ 100 μM (citrate uptake in HEK_{NaCD1}), ⊠100 μM (citrate uptake in HEK_{NaCD3})[1][2]

In Vitro

PF-06649298 (0-100 μM; 30 min) inhibits citrate uptaken in cells[1].

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

Cell Viability Assay[1]

Cell Line:	HEK-293 cells expressing NaCT, NaDC1 or NaDC3, human hepatocytes and mouse epatocytes
Concentration:	0-100 μM

Incubation Time:	30 min
Result:	Showed a selectivity for NaCT over the dicarboxylate transporters NaDC1 and NaDC3. Inhibited citrate uptake in HEK-293 cells expressing NaCT, NaDC1 or NaDC3, human hepatocytes and mouse epatocytes with IC_{50}s of 408 nM, ⊠100 μM, ⊠100 μM, 16.2 μM and 4.5 μM, respectively.

In Vivo

PF-06649298 (250 mg/kg; p.o. twice a day; for 21 days) reverses glucose intolerance of high fat diet (HFD) mice[2].

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

Animal Model:	Mice with high fat diet (HFD) administration[2]
Dosage:	250 mg/kg
Administration:	Oral gavage; 250mg/kg twice a day; for 21 days
Result:	Decreased plasma glucose, hepatic triglycerides, diacylglycerides, and acyl-carnitines concentration of livers in HFD mice. Totally reversed glucose intolerance of HFD mice.

REFERENCES

[1]. Huard K, et al. Optimization of a Dicarboxylic Series for in Vivo Inhibition of Citrate Transport by the Solute Carrier 13 (SLC13) Family. J Med Chem. 2016 Feb 11;59(3):1165-75.

[2]. Huard K, et al. Discovery and characterization of novel inhibitors of the sodium-coupled citrate transporter (NaCT or SLC13A5). Sci Rep. 2015 Dec 1;5:17391.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA