Product Data Sheet

Product Name: PF-06649298
Cat. No.: GC66038

Chemical Properties

Cas. No.	1854061-16-7		
Formula	$C_{16}H_{22}O_5$	M.Wt	294.34
Solubility	DMSO : 100 mg/mL (339.74 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	PF-06649298		

Background

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]

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].

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

Cell Viability Assay[1]

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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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.

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

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.

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