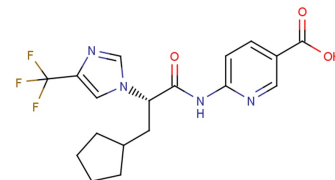


PF-04991532

Chemical Properties

CAS No.: 1215197-37-7
Formula: C₁₈H₁₉F₃N₄O₃
Molecular Weight: 396.36
Appearance: N/A
Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	PF-04991532 is an effective, hepatoselective glucokinase activator. It has EC ₅₀ s of 80 and 100 nM in human and rat, respectively.
Targets(IC ₅₀)	glucokinase in human: (EC ₅₀) 80 nM glucokinase in rat: 100 nM (EC ₅₀)
In vitro	PF-04991532 is a Phase 2 clinical candidate. PF-04991532 reduces the production of glucose from 1-[14C]-lactate in a dose-dependent manner (EC ₅₀ =0.626 μM). PF-04991532 enhances the expression of G6Pase compare to cells treated only with 100 nM glucagon, in isolated rat hepatocytes. The greatest increase in G6Pase mRNA expression is in the presence of 25 mM glucose, 100 nM glucagon, and PF-04991532. Mechanistic experiments conducted in freshly isolated primary rat hepatocytes treated for 1 hour with PF-04991532 display increased 2-[14C]-deoxyglucose uptake (EC ₅₀ =1.261 μM) and increased glucose oxidation (EC ₅₀ =5.769 μM) [1].
In vivo	PF-04991532 (a single dose) enhances the glucose infusion rate in order to maintain hyperglycemia. PF-04991532 (in rats) treatment, there is increased expression of lipogenic gene expression such as acetyl-CoA carboxylase (ACC), ATP citrate lyase (ACLY), and fatty acid synthase (FAS). Despite the elevations in plasma triglycerides, hepatic triglycerides in rats dosed with 19 days of PF-04991532 are identical to vehicle-treated GK rats. Identical hepatic lipid concentrations are observed between vehicle and rats dosed with PF-04991532 (Vehicle: 9.89±0.31; PF-04991532 100 mg/kg: 9.91±0.31), in an additional cohort treated for 28 days [1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
------------	---

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.523 mL	12.615 mL	25.23 mL
5 mM	0.505 mL	2.523 mL	5.046 mL
10 mM	0.252 mL	1.261 mL	2.523 mL
50 mM	0.05 mL	0.252 mL	0.505 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Erion DM, et al. The hepatoselective glucokinase activator PF-04991532 ameliorates hyperglycemia without causing hepatic steatosis in diabetic rats. PLoS One. 2014 May 23;9(5):e97139.

[Inhibitors](#) · [Natural Compounds](#) · [Compound Libraries](#)

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use.

Tel:781-999-4286

E-mail:info@targetmol.com

Address:36 Washington Street,Wellesley Hills,MA 02481