PF-07247685

Cat. No.: HY-155157

Molecular Formula: $C_{21}H_{20}N_2O_3S$

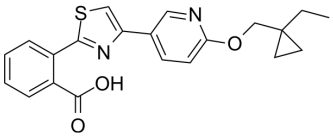
Molecular Weight: 380.46

Target: Endogenous Metabolite

Pathway: Metabolic Enzyme/Protease

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 (262.84 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6284 mL	13.1420 mL	26.2840 mL
5 mM	0.5257 mL	2.6284 mL	5.2568 mL
10 mM	0.2628 mL	1.3142 mL	2.6284 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: 2.5 mg/mL (6.57 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.57 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PF-07247685 is a BCKDC kinase (BDK) inhibitor (EC_{50}=2.2 nM). PF-07247685 stabilizes the interaction between BDK and BCKDH core subunit E2 and prevents phosphorylation of E1. While BDK mediates branched-chain ketoacid dehydrogenase (BCKDH) phosphorylation, and inhibition of BCKDH is involved in controlling the rate-limiting step of branched-chain amino acid (BCAA) degradation. Impaired BCAA catabolism has been associated with several diseases, particularly cardiometabolic diseases, including heart failure (HF), type 2 diabetes mellitus (T2DM), non-alcoholic fatty liver disease (NAFLD), and obesity. PF-07247685 improved cardiometabolic endpoints and improves glucose tolerance in mice[1].

IC_{50} & Target

EC50: 2.2 nM (BCKDC kinase, BDK)[1]

In Vitro

PF-07247685 (0.01-0.3 μM; 48 h) reduces pBCKDH in a dose-dependent manner in Hek293 cells, and increases BDK accumulation by 50%[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-07247685 (10 mg/kg, 100 mg/kg; twice daily for 18 days) improves glucose tolerance and lowers tissue BCAA/BCKA in HFD-fed mice acutely[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Roth Flach RJ, et al. Small molecule branched-chain ketoacid dehydrogenase kinase (BDK) inhibitors with opposing effects on BDK protein levels. Nat Commun. 2023 Aug 9;14(1):4812.

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