PF-07238025

Cat. No.: HY-155156

Molecular Formula: $C_{19}H_{18}N_2O_3S$

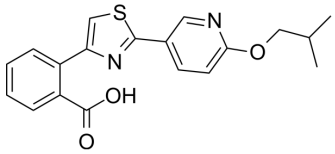
Molecular Weight: 354.42

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 (282.15 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8215 mL	14.1076 mL	28.2151 mL
	5 mM	0.5643 mL	2.8215 mL	5.6430 mL
	10 mM	0.2822 mL	1.4108 mL	2.8215 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 (7.05 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.05 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (7.05 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PF-07238025 is a BCKDC kinase (BDK) inhibitor (EC_{50}=19 nM). PF-07238025 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-07238025 improved cardiometabolic endpoints and improves glucose tolerance in mice[1].

IC_{50} & Target

EC50: 19 nM (BCKDC kinase, BDK)[1]

In Vitro	PF-07238025 (0.2-6 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-07238025 (20 mg/kg, 100 mg/kg; 8 weeks) reduces glucose excursion after 2?days in HFD-fed mice, and leads significant reduction in both BCAAs and BCKAs by day 7[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.

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