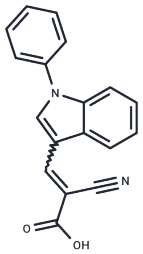
Data Sheet (Cat.No.T4441)

TargetMol

UK-5099

Chemical Properties



CAS No. :	56396-35-1
Formula:	C18H12N2O2
Molecular Weight:	288.3
Appearance:	no data available
Storage:	store at low temperature,keep away from direct sunlight Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	UK-5099 (PF-1005023) is a specific and potent inhibitor of MPC carrier activity, effectively inhibiting pyruvate-dependent oxygen consumption in rat heart mitochondria with an IC50 of 50 nM [1].
Targets(IC50)	Mitochondrial Metabolism
In vitro	The trypanosomal pyruvate carrier is found to be rather insensitive to inhibition by alpha-cyano-4-hydroxycinnamate (Ki: 17 mM) but can be completely blocked by UK-5099 (Ki: 49 μM)[2]. UK-5099 also inhibits the monocarboxylate transporter (MCT) [3]. UK5099 dose-dependently significantly inhibits the glucose-stimulated rise in oxygen consumption and at 150 μM reduced oxygen consumption below basal levels. UK5099 reduces ATP levels and increases ADP and AMP levels in 832/13 cells[4]. The UK5099 treated cells show a significantly higher proportion of side population fraction and express higher levels of stemness markers Oct3/4 and Nanog. The UK5099 application may be an ideal model for Warburg effect studies[5].
In vivo	UK5099 increases the glucose excursion seen during an intraperitoneal glucose tolerance test in C57BLK mice[4].
Cell Research	The 832/13 cell line derived from INS-1 rat insulinoma cells is used for experiments. Cell viability is measured using CellTiter Blue. The assay is based on the cellular reduction of resazurin to resorufin. The appearance of resorufin is monitored by fluorescence emission at 585 nm using a Spectramax M5 microplate reader with excitation at 555 nm. For UK5099-treated cells, cells are allowed to recover for 1 h before measuring cell viability. Data are expressed as -fold relative to no treatment or siCtrl[4].
Animal Research	C57BLK mice are fasted for 16 h prior to glucose challenge. UK5099 (32 μmol/kg of body weight) or DMSO in PBS is injected into the intraperitoneal cavity 30 min before injecting glucose (1.5 mg of glucose/g of body weight). Blood glucose levels are measured at 0, 10, 20, 30, 60, and 120 min after glucose injection[4].

Solubility Information

Solubility	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1.67 mg/mL (5.79 mM),Solution. DMSO: 16.67 mg/mL (57.81 mM),Sonication is recommended.

(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.4686 mL	17.343 mL	34.6861 mL
5 mM	0.6937 mL	3.4686 mL	6.9372 mL
10 mM	0.3469 mL	1.7343 mL	3.4686 mL
50 mM	0.0694 mL	0.3469 mL	0.6937 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Patterson JN, et al. Mitochondrial metabolism of pyruvate is essential for regulating glucose-stimulated insulin secretion. J Biol Chem. 2014 May 9;289(19):13335-46.
Ning X, Chen X, Li R, et al.Identification of a Novel Cuproptosis Inducer That Induces ER Stress and Oxidative Stress to Trigger Immunogenic Cell Death in Tumors.Free Radical Biology and Medicine.2025
Zhong Y, et al. Application of mitochondrial pyruvate carrier blocker UK5099 creates metabolic reprogram and greater stem-like properties in LnCap prostate cancer cells in vitro. Oncotarget. 2015 Nov 10;6(35):37758-69.
Hinoi E, Takarada T, Tsuchihashi Y, et al. A molecular mechanism of pyruvate protection against cytotoxicity of reactive oxygen species in osteoblasts[J]. Molecular pharmacology, 2006, 70(3): 925-935.
Patterson J N, Cousteils K, Lou J W, et al. Mitochondrial metabolism of pyruvate is essential for regulating glucose-stimulated insulin secretion[J]. Journal of Biological Chemistry, 2014, 289(19): 13335-13346.
Zhong Y, Li X, Yu D, et al. Application of mitochondrial pyruvate carrier blocker UK5099 creates metabolic reprogram and greater stem-like properties in LnCap prostate cancer cells in vitro[J]. Oncotarget, 2015, 6(35): 37758.