Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

PFK-015

Catalog No: tcsc3021

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
4382-63-2

Formula:
$C_{17}H_{12}N_2O$

Pathway:
Others

Target:
Others

Purity / Grade:
>98%

Solubility:
DMSO : 25.2 mg/mL (96.82 mM; Need ultrasonic and warming)

Observed Molecular Weight:
260.29

Product Description

PFK-015 is an effective inhibitor of PFKFB3 with IC50 of 110 nM (recombinant PFKFB3) and inhibits PFKFB3 activity in cancer cells

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

with IC50 of 20 nM.

IC50 value: 110 nM (recombinant PFKFB3)[1]

Target: PFKFB3

PFK-015 possesses compelling in vitro properties, has satisfactory PK properties in rodents, and suppresses tumor glucose metabolism and growth in an aggressive mouse model of non-small cell lung cancer. PFK-015 is not a Pgp substrate as determined by transport and cell permeability assays in Caco-2 and MDCK-MDR1 (Papp A-B / B-A results 1.8 / 4 and 5 / 5 10–6 cm/s). PFK-015 inhibits cancer cell proliferation in a panel of 17 cancer cell lines. PFK-015 suppresses glucose uptake in cancer cells. Rodent PK studies following IV dosing at 5 mg/kg resulted in a profile with a satisfactory half-life (5.1 hours), exposure (AUCinf 1804 ng.h/ml), tissue distribution (Vd 20.5 L/kg) and reasonable clearance (46.2 mL/min/kg). Also, pre-clinical efficacy studies of C57Bl/6 mice bearing Lewis Lung Carcinoma (LLC) xenografts demonstrated 80% tumor growth inhibition relative to vehicle control. Finally, micro-PET studies performed on mice bearing LLC tumors showed a significant inhibition of tumor 2-[18F]-fluoro-2-deoxy-glucose uptake. These results support further development of PFK-015 as a novel anti-cancer agent.