

PFK-015

Chemical Properties

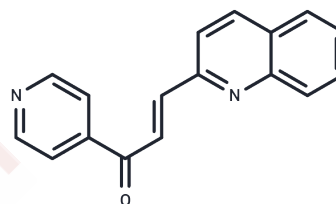
CAS No. : 4382-63-2

Formula: C₁₇H₁₂N₂O

Molecular Weight: 260.29

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	PFK-015 (PFK15) is an effective inhibitor of PFKFB3 (IC ₅₀ : 110 nM) and inhibits PFKFB3 activity in Y cells (IC ₅₀ : 20 nM).
Targets(IC ₅₀)	Glucokinase, Autophagy
In vitro	PFK-015 (25 mg/kg, i.p.) inhibits the growth, metastatic spread, and glucose metabolism of LLC tumors in syngeneic mice. In three distinct athymic mouse models of xenografted human cancers, the anticancer efficacy of PFK-015 is comparable to that of established chemotherapy drugs. PFK-015 exhibits favorable pharmacokinetic properties in vivo.
In vivo	PFK-015 effectively reduces levels of F26BP, glucose uptake, and intracellular adenosine triphosphate (ATP) in Jurkat T-cell leukemia cells and H522 lung adenocarcinoma cells. Additionally, PFK-015 exhibits significant inhibitory effects on the growth of a variety of cancer cells.
Kinase Assay	Recombinant PFKFB3 assay: Kinase reactions are conducted by incubating 13 ng of recombinant human PFKFB3 protein in a reaction mix containing 10 μmol/L ATP, 10 μmol/L F6P, and either dimethyl sulfoxide (DMSO) vehicle control, 3PO, or PFK15 for 1 hour at room temperature. Kinase activity is measured with the Adapta Universal Kinase Assay per manufacturer
Cell Research	Viability is determined using trypan blue exclusion. Cells were incubated in 20% trypan blue for 5 minutes. Cells excluding trypan blue are counted using a standard hemocytometer to determine the total number of viable cells. Experiments are conducted in triplicate. (Only for Reference)

Solubility Information

Solubility	DMSO: 3 mg/mL (11.53 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.8419 mL	19.2093 mL	38.4187 mL
5 mM	0.7684 mL	3.8419 mL	7.6837 mL
10 mM	0.3842 mL	1.9209 mL	3.8419 mL
50 mM	0.0768 mL	0.3842 mL	0.7684 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

Clem BF, et al. Mol Cancer Ther. 2013, 12(8), 1461-1470.

Zhang Y, Wang X, Li X, et al. Synergistic effect of colistin combined with PFK-158 against colistin-resistant Enterobacteriaceae. Antimicrobial Agents and Chemotherapy. 2019, 63(7): e00271-19

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