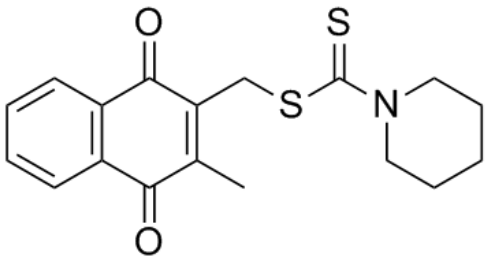
Product Data Sheet

Product Name: PKM2-IN-1
Cat. No.: GC32738

Chemical Properties

Cas. No.	94164-88-2		
SMILES	S=C(N1CCCCC1)SCC(C2=O)=C(C)C(C3=C2C=CC=C3)=O		
Formula	$C_{18}H_{19}NO_2S_2$	M.Wt	345.48
Solubility	DMSO : 5.56 mg/mL (16.09 mM);Water : < 0.1 mg/mL (insoluble)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Protocol

Cell experiment [1]:	
Cell lines	L929 cells (mouse fibroblast cell line)

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Preparation Method	L929 cells were maintained in high-glucose DMEM supplemented with 10% FBS at 37°C, 5% CO_2. Normal L929 cells were treated with PKM2-IN-1 at varying concentrations for 48h, after which cell viability, collagen production (COL-1 and COL-3), and expression of senescence markers (P16, P21, P53, Caspase-3, ATM) were assessed by CCK-8 assay and Western blot.
Reaction Conditions	1-4μM; 48h
Applications	PKM2-IN-1 caused a concentration-dependent reduction in collagen production (COL-1 and COL-3) in normal L929 cells. PKM2-IN-1 activated P16, P21, P53, Caspase-3 and ATM expression. PKM2-IN-1 impaired cell viability in normal L929 cells.
Animal experiment [2]:	
Animal models	Six-week-old male BALB/c nude mice bearing A549 tumor xenografts
Preparation Method	Mice were subcutaneously implanted with A549 tumor pieces into the right flank. When tumor volumes reached 70-100mm^3, mice were randomized into groups and intraperitoneally administered PKM2-IN-1 at 3mg/kg every other day for 15 days. Tumor growth was measured by caliper every other day. At the end of the experimental period, mice were euthanized and tumor, spleen, liver, kidney, lung and heart tissues were collected for H&E and immunohistochemistry staining to assess tumor proliferation (Ki67) and organ toxicity.
Dosage form	3mg/kg; i.p.; every other day for 15 days

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Applications	PKM2-IN-1 administration attenuated A549 tumor xenograft growth in mice. PKM2-IN-1 reduced Ki67 proliferation marker expression in tumor tissues. H&E staining of heart, liver, spleen, lung and kidney showed no apparent distinct organ related toxicities in mice receiving PKM2-IN-1.

References:

[1] Jia S, Shi N, Lu M, et al. M2 macrophages promote PKM2 production in fibroblasts to alleviate UVB-induced photoaging. Cell Cycle. 2025;24(5-8):86-102.

[2] Wang K, Lu H, Wang X, et al. Simultaneous suppression of PKM2 and PHGDH elicits synergistic anti-cancer effect in NSCLC. Front Pharmacol. 2023;14:1200538.

Background

PKM2-IN-1 is a selective pyruvate kinase M2 (PKM2) inhibitor (IC_{50}=2.95μM). PKM2-IN-1 inhibits PKM2 enzyme activity, blocks the conversion of phosphoenolpyruvate to pyruvate, reduces lactate production and interferes with the Warburg effect, decreases ATP production and inhibits Akt/mTOR signaling pathway to reduce tumor cell proliferation. PKM2-IN-1 can be used in research related to cancers (non-small cell lung cancer, ovarian cancer, glioma, etc.) and Parkinson's disease metabolism[1-4].

In vitro, treatment with PKM2-IN-1 (1-4μM) for 48h in normal L929 cells caused a concentration-dependent reduction in collagen production, enhanced activation of P16, P21, P53, Caspase-3 and ATM, and impaired cell viability[5]. Treatment with PKM2-IN-1 (5μM) for 72h in HEK-293T cells co-transfected with FTO and HK1 abolished FTO/HK1-mediated upregulation of RANK protein[6]. Treatment with PKM2-IN-1 (10μM) for 24h in BRG1-overexpressing non-small cell lung cancer cells caused BRG1 to lose its inhibitory effect on glycolysis and its ability to attenuate cell proliferation[7].

In vivo, BALB/c mice subcutaneously inoculated with A549 cells received intraperitoneal injections of PKM2-IN-1 at 3mg/kg every other day for 15 days, PKM2-IN-1 attenuated A549 tumor xenograft growth and reduced Ki67 proliferation marker expression in tumor tissues[8]. C57BL/6 mice and

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A30P mouse Parkinson's disease models received oral gavage of PKM2-IN-1 at 50mg/kg for 5 consecutive days, PKM2-IN-1 improved behavioral deficits and prevented dopaminergic neuron loss in the substantia nigra pars compacta[9]. C57BL/6 mice subjected to unilateral ischemia-reperfusion injury received oral gavage of PKM2-IN-1 at 5mg/kg every other day starting from postoperative day 1 or day 7 until day 14, PKM2-IN-1 inhibited kidney atrophy and reduced the long-axis cross-sectional area of the kidney[10].

References:

[1] Park JH, Kundu A, et al. Specific Pyruvate Kinase M2 Inhibitor, Compound 3K, Induces Autophagic Cell Death through Disruption of the Glycolysis Pathway in Ovarian Cancer Cells. Int J Biol Sci. 2021 May 5;17(8):1895-1908.

[2] Leng L, Yuan Z, Pan R, et al. Microglial hexokinase 2 deficiency increases ATP generation through lipid metabolism leading to β-amyloid clearance. Nat Metab. 2022 Oct;4(10):1287-1305.

[3] Ning X, Qi H, Li R, et al. Discovery of novel naphthoquinone derivatives as inhibitors of the tumor cell specific M2 isoform of pyruvate kinase. Eur J Med Chem. 2017 Sep 29;138:343-352.

[4] Su G, Liu J, Duan C, et al. Enteric coronavirus PDCoV evokes a non-Warburg effect by hijacking pyruvic acid as a metabolic hub. Redox Biol. 2024;71:103112.

[5] Jia S, Shi N, Lu M, et al. M2 macrophages promote PKM2 production in fibroblasts to alleviate UVB-induced photoaging. Cell Cycle. 2025;24(5-8):86-102.

[6] Qian Y, Wu J, Yang W, et al. FTO-associated osteoclastogenesis promotes alveolar bone resorption in apical periodontitis male rat via the HK1/USP14/RANK pathway. Nat Commun. 2025 Feb 11;16:1519.

[7] Zhan W, Zhang H, She X, et al. BRG1 inhibits glycolysis by promoting SHP1-mediated dephosphorylation of PKM2 in non-small cell lung cancer. Oncogenesis. 2025;14:32.

[8] Wang K, Lu H, Wang X, et al. Simultaneous suppression of PKM2 and PHGDH elicits synergistic anti-cancer effect in NSCLC. Front Pharmacol. 2023;14:1200538.

[9] Lian B, Zhang J, Yin X, et al. SIRT1 improves lactate homeostasis in the brain to alleviate parkinsonism via deacetylation and inhibition of PKM2. Cell Rep Med. 2024 Aug 20;5(8):101684.

Caution: Product has not been fully validated for medical applications. For research use only.
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[10] Kosakai W, Inoue T, Sato T, et al. PKM2 inhibitor suppresses kidney fibrogenesis by disrupting YAP-TEAD-CCN2 transcriptional signaling following ischemia-reperfusion injury. J Biol Chem. 2026;302(1):111029.