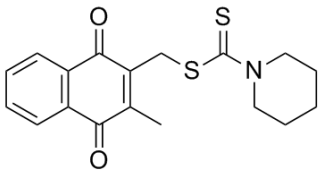
PKM2-IN-1

Cat. No.:	HY-103617		
CAS No.:	94164-88-2		
Molecular Formula:	$C_{18}H_{19}NO_2S_2$		
Molecular Weight:	345.48		
Target:	Pyruvate Kinase		
Pathway:	Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



BIOLOGICAL ACTIVITY

Description	PKM2-IN-1 is a **pyruvate kinase M2** (**PKM2**) inhibitor with an **IC_{50}** of 2.95 μM.
IC_{50} & Target	IC50: 2.95 μM (PKM2)[1]
In Vitro	PKM2-IN-1 is a pyruvate kinase M2 (PKM2) inhibitor with an IC_{50} of 2.95±0.53 μM. Results show that most of the tested compounds exhibit some degree of PKM2 inhibition and some compounds, such as PKM2-IN-1 (compound 3k) and 6d, display more potent activity than the positive control shikonin. The representative compounds PKM2-IN-1, 6d display dose-dependent inhibition of PKM2 with less inhibition of PKM1 and PKL like shikonin. Among all tested compounds, the most potent compounds are 3a, PKM2-IN-1 and 3r, which exhibit IC_{50} values against HCT116 and Hela cells ranging from 0.39 to 0.41 μM, 0.18 to 0.29 μM and 0.18 to 0.38 μM, respectively[1].

PROTOCOL

Cell Assay [1]	Cell lines (HCT116, Hela, H1299, BEAS-2B) are cultured in RPMI 1640 containing 9% fetal bovine serum (FBS) at 37°C in 5% CO_2. Cell viability is detected with the MTS assay according to the manufacturer's instructions. Briefly, 5000 cells in per well are plated in 96-well plates. After incubated for 12 h, the cells are treated with different concentration of tested compound (including PKM2-IN-1) or DMSO (as negative control) for 48 h. Then 20 μL MTS is added in per well and incubated at 37°C for 3 h. Absorbance of each well is determined by a microplate reader at a 490 nm wavelength. The IC_{50} values are calculated using Prism Graphpad software of the triplicate experiment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- **Eur J Pharmacol**. 2019 Apr 17;854:232-239.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Ning X, 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA