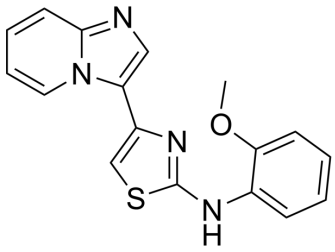
PKM2-IN-6

Cat. No.:	HY-157913
CAS No.:	771467-00-6
Molecular Formula:	$C_{17}H_{14}N_4OS$
Molecular Weight:	322.38
Target:	Pyruvate Kinase; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PKM2-IN-6 (compound 7d) is a potent and orally active PKM2 inhibitor with an IC_{50} value of 23 nM. PKM2-IN-6 induces apoptosis and cell cycle arrest at G2 phase. PKM2-IN-6 reduces the level of PKM1 and PKM2 at the mRNA level. PKM2-IN-6 shows anticancer activity and has the potential for the research of triple-negative breast cancer[1].

IC_{50} & Target

IC_{50}: 23 nM (PKM2)[1]

In Vitro

PKM2-IN-6 (compound 7d) (0, 20, 40, 60, 80, 100 μM; 48 h) shows cytotoxicity with IC_{50}s of 18.33, 47.00, 19.80 μM for COLO-205, A-549, MCF-7 cells, respectively[1].
PKM2-IN-6 (14.38 μM; 48 h) induces apoptosis and cell cycle arrest at G2 phase[1].
PKM2-IN-6 (14.38 μM; 24 h) reduces the PKM1 and PKM2 at the mRNA level[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	COLO-205, A-549, MCF-7 cells
Concentration:	0, 20, 40, 60, 80, 100 μM
Incubation Time:	48 h
Result:	Showed cell cytotoxicity with IC_{50}s of 18.33, 47.00, 19.80 μM for COLO-205, A-549, MCF-7 cells, respectively.

RT-PCR[1]

Cell Line:	4T1 cells
Concentration:	14.38 μM
Incubation Time:	24 h
Result:	Significantly reduced the level of PKM1 and PKM2 at the mRNA level.

Apoptosis Analysis[1]

Cell Line:	4T1 cells

Concentration:	14.38 μM
Incubation Time:	48 h
Result:	Induced apoptosis the percentage of live cells depreciated from 82.64% in control to 5.44% and the percentage of late apoptotic cells was 50.32% and necrotic cells were 44.08% in 2D culture; the difference is diminished as 89.05% of live cells in control dropped down to 52.45% and the percentage of late apoptotic cells was lesser (only 9.84%) and necrotic cells were 36.62% in 3D cell culture.

In Vivo

PKM2-IN-6 (25, 50 mg/kg; p.o.; daily for 3 weeks) decreases the tumor volume and tumor weight in mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-8 weeks, Female CD-1 nude mice (4T1-Red-FLuc cells)[1]
Dosage:	25, 50 mg/kg
Administration:	P.o.; daily for 3 weeks
Result:	Showed a significant regression in tumor volume and rendered significant reduction in tumor weight.

REFERENCES

[1]. Das R, et al. Mechanistic Investigation of Thiazole-Based Pyruvate Kinase M2 Inhibitor Causing Tumor Regression in Triple-Negative Breast Cancer. J Med Chem. 2024 Feb 26.

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