Pyruvate Kinase

Pyruvate kinase is an enzyme that catalyzes the conversion of phosphoenolpyruvate and ADP to pyruvate and ATP in glycolysis and plays a role in regulating cell metabolism. There are four mammalian pyruvate kinase isoforms with unique tissue expression patterns and regulatory properties. Pyruvate kinase has four different tissue-specific isozymes in animals, PKL, PKR, PKM1, and PKM2. The L and R isozymes are expressed in the liver (L) and red blood cells (R), whereas PKM2 is expressed in early embryonic cells and other proliferating cells, and PKM1 is expressed in the brain, skeletal muscle, and heart which need high energy.

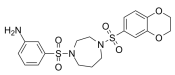
PKM1 and PKM2 are formed by a single mRNA transcript of the PKM gene by alternative splicing. The oligomers of PKM2 exist in high activity tetramer and low activity dimer forms. The dimer PKM2 regulates the rate-limiting step of glycolysis that shifts the glucose metabolism from the normal respiratory chain to lactate production in tumor cells. Besides its role as a metabolic regulator, it also acts as a protein kinase, which contributes to tumorigenesis. PKM2 serves as a promising target for cancer treatment.

Pyruvate Kinase Inhibitors & Activators

DASA-58

Cat. No.: HY-19330

DASA-58 is a potent activator of pyruvate kinase M2 (**PKM2**) with an AC_{90} of 680 nM, and an AC_{50} of 38 nM.



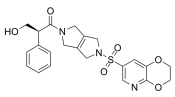
Purity: 99.79%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Etavopivat

(FT-4202)

Cat. No.: HY-139573

Etavopivat is a potent, selective, orally bioavailable red blood cell (RBC) **pyruvate kinase (PKR)** activator. Etavopivat has potent antisickling effects.



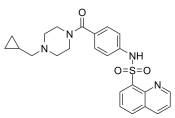
Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Mitapivat

(AG-348)

Cat. No.: HY-12689

Mitapivat (AG-348) is a potent, orally active, and allosteric activator of **pyruvate kinase** with an AC_{50} of 20 nM. Mitapivat (AG-348) increases enzymatic activity, protein stability, and ATP levels over a broad range of PKLR genotypes.

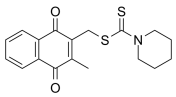


Purity: 99.85%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PKM2-IN-1

Cat. No.: HY-103617

PKM2-IN-1 is a **pyruvate kinase M2** (**PKM2**) inhibitor with an IC_{50} of 2.95 μM.

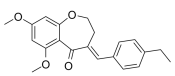


Purity: 99.61%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

PKM2-IN-3

Cat. No.: HY-139667

PKM2-IN-3 is an inhibitor of **PKM2 kinase** with an IC_{50} value of 4.1 μM. PKM2-IN-3 exhibits an anti-neuroinflammatory effect by inhibiting PKM2-mediated glycolysis and NLRP3 activation.

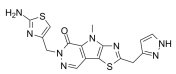


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PKR activator 1

Cat. No.: HY-135883

PKR activator 1 is a potent **pyruvate kinase-R (PKR)** activator extracted from patent WO2019035865A1, compound E7-93.

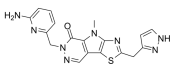


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PKR activator 2

Cat. No.: HY-135884

PKR activator 2 is a potent **pyruvate kinase-R (PKR)** activator extracted from patent WO2019035863A1, compound 385.

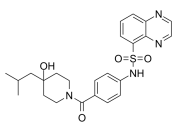


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PKR-IN-2

Cat. No.: HY-19702

PKR-IN-2 is a pyruvate kinase isoform **PKR** activator extracted from patent WO2014139144A1, compound 160. PKR-IN-2 can be used for the research of PKR function related diseases, including cancer, diabetes, obesity, autoimmune disorders, and benign prostatic hyperplasia.



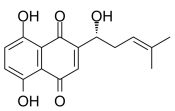
Purity: 99.97%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Shikonin

(C.I. 75535; Isoarnebin 4)

Cat. No.: HY-N0822

Shikonin is a major component of a Chinese herbal medicine named zicao. Shikonin is a potent **TMEM16A chloride channel** inhibitor with an IC_{50} of 6.5 μM. Shikonin is a specific **pyruvate kinase M2 (PKM2)** inhibitor and can also inhibit **TNF-α** and **NF-κB** pathway.



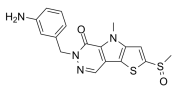
Purity: 99.80%
Clinical Data: No Development Reported
Size: 10 mg, 25 mg, 50 mg, 100 mg

TEPP-46

(ML-265)

Cat. No.: HY-18657

TEPP-46 (ML-265) is a potent and selective **pyruvate kinase M2 (PKM2)** activator with an AC_{50} of 92 nM, showing little or no effect on PKM1, PKL and PKR.



Purity: 99.71%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg