PRODUCT INFORMATION

PDHK1 (human recombinant)

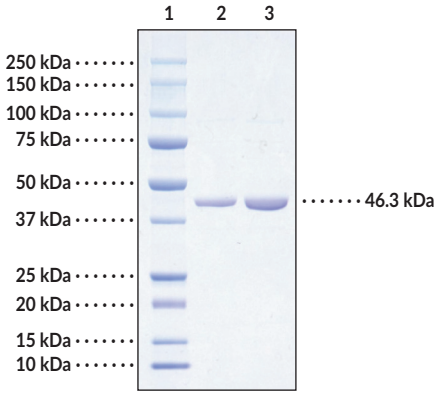
Item No. 42257

Overview and Properties

Synonyms:	PDH Kinase 1, Pyruvate Dehydrogenase (acetyl-transferring) Kinase Isozyme 1, Pyruvate Dehydrogenase Kinase Isoform 1
Source:	Active recombinant human PDHK1 purified from *E. coli*
Amino Acids:	30-436
Uniprot No.:	Q15118
Molecular Weight:	46.3 kDa
Storage:	-80°C (as supplied)
Stability:	≥1 year
Purity:	≥85% estimated by SDS-PAGE
Supplied in:	50 mM potassium phosphate, pH 7.5, with 250 mM potassium chloride, 800 mM lysine, 5% glycerol, and 20 mM DTT
Protein Concentration:	***batch specific*** mg/ml
Activity:	***batch specific*** U/ml
Specific Activity:	***batch specific*** U/mg
Unit Definition:	One unit is defined as the amount of enzyme required to produce 1 nmol of ADP per minute at 30°C in 40 mM Tris, pH 7.5, 50 mM MgCl2, 1mM DTT, in the presence of 4 µM of PDHK1 substrate (peptide), and 1 mM ATP.

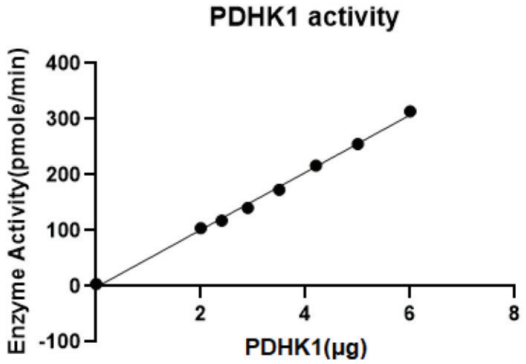
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Images



Lane 1: MW Markers
Lane 2: PDHK1 (2 µg)
Lane 3: PDHK1 (4 µg)

SDS-PAGE Analysis of PDHK1.



PDHK1 Activity Assay. PDHK1 activity was monitored by luminescence. In the presence of ATP, PDHK1 catalyzes the phosphorylation of a PDHK1 specific peptide substrate. The amount of ADP produced through the reaction was monitored using ADP-Glo Kinase Assay Kit (Promega).

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Description

Pyruvate dehydrogenase kinase 1 (PDHK1) is a kinase and regulator of glycolysis and oxidative phosphorylation.[1-3] It is expressed primarily in the heart and to a lesser extent in skeletal muscle, liver, brain, placenta, lung, pancreas, and kidney and is localized to the mitochondria.[1] PDHK1 phosphorylates three serine residues on the E1 subunit of the pyruvate dehydrogenase complex, inhibiting the oxidative decarboxylation of pyruvate to acetyl-CoA and instead promoting its conversion to lactate.[2,3] Pharmacologic inhibition of PDHK1 inhibits both proliferation and survival of T helper 17 (Th17) cells and suppresses Th17-mediated inflammation in rodent models of inflammatory bowel disease (IBD) and experimental autoimmune encephalomyelitis (EAE).[2] Levels of PDHK1 are increased in and associated with malignancy in lung cancers and pancreatic ductal adenocarcinomas, and pharmacologic inhibition of PDHK1 reverses the Warburg phenotype in various tumor models *in vitro* and *in vivo*.[3,4] Cayman's PDHK1 (human, recombinant) protein can be used for activity assay, binding study, and Western blot (WB) applications.

References

1. Gudi, R., Bowker-Kinley, M.M., Kedishvili, N.Y., *et al*. Diversity of the pyruvate dehydrogenase kinase gene family in humans. *J. Biol. Chem.* **270(48)**, 28989-28994 (1995).
2. Gerriets, V.A., Kishton, R.J., Nichols, A.G., *et al*. Metabolic programming and PDHK1 control $CD4^+$ T cell subsets and inflammation. *J. Clin. Invest.* **125(1)**, 194-207 (2015).
3. Xu, H., Ding, D., Han, X., *et al*. Discovery of ATP competitive PDHK1/2 dual inhibitors. *Bioorg. Med. Chem. Lett.* **122**, 130190 (2025).
4. Wu, P., Zhang, Z., Zhou, Y., *et al*. Novel dichloroacetophenone-based PDHK1 inhibitors as potent anticancer agents. *Drug Des. Devel. Ther.* **18**, 4661-4679 (2024).

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