

Product Datasheet

ML-265

Catalog No. **BP-01857** · CAS **1221186-53-3** · Form **free base** · Physical state **solid** · Color **White to light yellow** · Version **1.0** · Revision **2026-09-07**

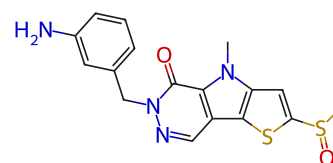
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Target Pyruvate Kinase	Research area Cancer
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Molecular formula **C₁₇H₁₆N₄O₂S₂**

Molecular weight **372.4650**

STRUCTURE



DESCRIPTION

TEPP-46 (ML-265) is a potent and selective pyruvate kinase M2 (PKM2) activator with an AC50 of 92 nM.

BIOLOGICAL ACTIVITY

TEPP-46 and DASA-58 activate PKM2 by a mechanism similar to that of the endogenous activator FBP. Pre-treatment of cells with TEPP-46 or DASA-58 prevents pervanadate-induced inhibition of PKM2 activity. TEPP-46 also induces a decrease in the intracellular levels of acetyl-coA, lactate, ribose phosphate and serine. TEPP-46 inhibits LPS-induced Hif-1 α and IL-1 β , as well as the expression of a range of other Hif-1 α -dependent genes. TEPP-46 treatment significantly downregulates the expression of the M1 markers Il12p40 and Cxcl-10. Activation of PKM2 using TEPP-46 significantly inhibits FSL-1 and CpG-induced Il1b mRNA expression. TEPP-46 inhibits Mtb-induced Il1b mRNA levels, boosts Mtb-induced levels of Il10 mRNA, and has no effect on levels of Tnf.

In Vivo

TEPP-46 exhibits good oral bioavailability with relatively low clearance, long half-life, and good volume of distribution-parameters that predict for drug exposure in tumor tissues. TEPP-46 at 150 mg/kg readily achieves maximal PKM2 activation measured in A549 xenograft tumors.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Anastasiou D, et al. Pyruvate kinase M2 activators promote tetramer formation and suppress tumorigenesis. Nat Chem Biol. 2012 Oct;8(10):839-847.

[2]. Palsson-McDermott EM, et al. Pyruvate kinase M2 regulates Hif-1 α activity and IL-1 β induction and is a critical determinant of the warburg effect in LPS-activated macrophages. *Cell Metab.* 2015 Jan 6;21(1):65-80.

[3]. Goran Hamid Mohammad, et al. Targeting Pyruvate Kinase M2 and Lactate Dehydrogenase A Is an Effective Combination Strategy for the Treatment of Pancreatic Cancer. *Cancers (Basel).* 2019 Sep 16;11(9):1372.

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com

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