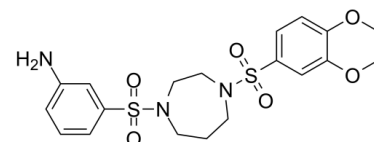


## Data Sheet

<b>Product Name:</b>	DASA-58
<b>Cat. No.:</b>	CS-5257
<b>CAS No.:</b>	1203494-49-8
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>23</sub> N <sub>3</sub> O <sub>6</sub> S <sub>2</sub>
<b>Molecular Weight:</b>	453.53
<b>Target:</b>	Pyruvate Kinase
<b>Pathway:</b>	Metabolic Enzyme/Protease
<b>Solubility:</b>	DMSO : ≥ 35 mg/mL (77.17 mM)



### BIOLOGICAL ACTIVITY:

DASA-58 is a highly specific small molecule PKM2 activator. DASA-58 inhibits LPS-induced Hif-1α and IL-1β, as well as the expression of a range of other Hif-1α-dependent genes. Target: Hif DASA-58 enhances PKM2 activity by inducing the tetramer formation.

### PROTOCOL (Extracted from published papers and Only for reference)

Kinase activity [2] PK activity was measured by a double reactions kinetic assay by lysing cells in 50 mM Tris-HCl pH 7.4, added with protease inhibitors and transferred to a TRAP/4 solution (100 mM triethanolamine, 10 mM EDTA, 16 mM MgSO<sub>4</sub>, pH 7.6) added with ADP 100 mM, NADH 10 mM, PEP 40 mM, LDH. The absorbance at 340 nm was monitored over 20 min ( $\epsilon = 6.22 \text{ mM}^{-1} \text{ cm}^{-1}$ ). Cell assay [1] BMDMs or RAW 264.7 macrophages were treated with 50 mM TEPP-46, 20 mM DASA-58 or DMSO. Crosslinking was performed using 500 mM disuccinimidyl suberate for 30 min. Lysates were analyzed by western blot. BMDMs (0.5 3 106 cell/ml) were treated  $\pm$ DASA-58 or TEPP-46 (1 hr) prior to LPS treatment for 24 hr. ChIP was performed. Lysates were incubated with primary antibodies; Anti-HIF-1-α antibody, negative control anti-IgG, and positive control Pol II Antibody. For Sequential ChIP, the precipitated HIF1α sample was re-probed for binding of PKM2 (2 hr incubation, 30 ml preblocked Protein A/G beads, 30 ml PKM2 D78AXP antibody [4053]). qRT-PCR was carried out using primers for the IL1β promoter consensus HIF1α binding site, or the β-actin promoter as a positive control for Pol II binding. Data are calculated as percent of input and represented by one experiment expressed as fold binding ( $n = 3, \pm \text{SD}$ ).

### References:

- [1]. Palsson-McDermott EM, et al. Pyruvate kinase M2 regulates Hif-1α activity and IL-1β induction and is a critical determinant of the warburg effect in LPS-activated macrophages. Cell Metab. 2015 Jan 6;21(1):65-80.
- [2]. Giannoni E, et al. Targeting stromal-induced pyruvate kinase M2 nuclear translocation impairs oxphos and prostate cancer metastatic spread. Oncotarget. 2015 Sep 15;6(27):24061-24074.

### CAIndexNames:

Benzenamine, 3-[[[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl]sulfonyl]-

### SMILES:

NC1=CC=CC(S(=O)(N2CCN(S(=O)(C3=CC=C(OCCO4)C4=C3)=O)CCC2)=O)=C1

**Caution: Product has not been fully validated for medical applications. For research use only.**

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