

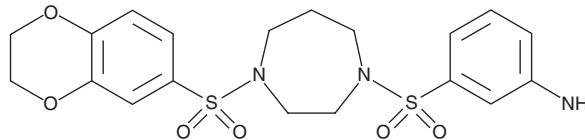
PRODUCT INFORMATION



DASA-58

Item No. 13941

CAS Registry No.: 1203494-49-8
Formal Name: 3-[[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]hexahydro-1H-1,4-diazepin-1-yl]sulfonyl]-benzenamine
Synonyms: ML-203, NCGC00185916
MF: C₁₉H₂₃N₃O₆S₂
FW: 453.5
Purity: ≥98%
UV/Vis.: λ_{max}: 211, 246, 292 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

DASA-58 is supplied as a crystalline solid. A stock solution may be made by dissolving the DASA-58 in the solvent of choice, which should be purged with an inert gas. DASA-58 is soluble in the organic solvent DMSO at a concentration of approximately 30 mg/ml.

Description

DASA-58 is a selective activator of pyruvate kinase M2 (PKM2; $AC_{50} = 38$ nM *in vitro*, $EC_{50} = 19.6$ μM in cells).¹ DASA-58 converts PKM2 to a constitutively active enzyme state that is resistant to inhibition by tyrosine-phosphorylated proteins.¹ Through its effects on PKM2, DASA-58 blocks the induction of HIF-1α by lipopolysaccharide in bone marrow-derived macrophages, suppressing the expression of several HIF-1α-dependent targets, including IL-1β.² It also impairs metastatic dissemination of prostate cancer PCa cells in SCID mice.³

References

1. Anastasiou, D., Yu, Y., Israelsen, W.J., et al. Pyruvate kinase M2 activators promote tetramer formation and suppress tumorigenesis. *Nat. Chem. Biol.* **8(10)**, 839-847 (2012).
2. Palsson-McDermott, E. M., Curtis, A. M., Xavier, R. J., 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.* **21(1)**, 65-80 (2015).
3. Giannoni, E., Taddei, M. L., Morandi, A., et al. Targeting stromal-induced pyruvate kinase M2 nuclear translocation impairs OXPHOS and prostate cancer metastatic spread. *Oncotarget* **6(27)**, 24061-24074 (2015).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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