

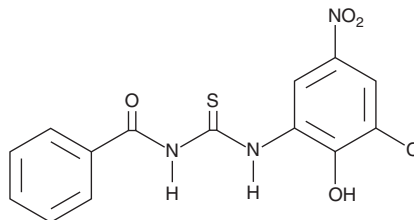
PRODUCT INFORMATION



PIT-1

Item No. 10728

CAS Registry No.: 53501-41-0
Formal Name: N-[[[(3-chloro-2-hydroxy-5-nitrophenyl)amino]thioxomethyl]-benzamide
MF: C₁₄H₁₀ClN₃O₄S
FW: 351.8
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 273, 317 nm



Laboratory Procedures

For long term storage, we suggest that PIT-1 be stored as supplied at -20°C. It should be stable for at least two years.

PIT-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the PIT-1 in the solvent of choice. PIT-1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PIT-1 in ethanol is approximately 0.5 mg/ml and approximately 20 mg/ml in DMSO and DMF.

PIT-1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PIT-1 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PIT-1 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PtdIns-(3,4,5)-P₃ (PIP₃) serves as an anchor for the binding of signal transduction proteins bearing pleckstrin homology (PH) domains such as phosphatidylinositol 3-kinase (PI3K) or PTEN. Protein binding to PIP₃ is important for cytoskeletal rearrangement and membrane trafficking and initiates an intricate signaling cascade that has been implicated in cancer.¹ PIT-1 is a selective nonphosphoinositide inhibitor that specifically disrupts PIP₃/Akt PH domain binding with an IC₅₀ value of 31 μM. PIT-1 suppresses PI3K-PDK1-Akt-dependent phosphorylation, which has been shown to reduce cell viability and induce apoptosis in PTEN-deficient U87MG glioblastoma cells (IC₅₀ = 37 μM).²

References

1. Vivanco, I. and Sawyers, C.L. The phosphatidylinositol 3-kinase-AKT pathway in human cancer. *Nat. Rev. Cancer* **2**, 489-501 (2002).
2. Miao, B., Skidan, I., Yang, J., et al. Small molecule inhibition of phosphatidylinositol-3,4,5-triphosphate (PIP₃) binding to pleckstrin homology domains. *Proc. Natl. Acad. Sci. USA* **107**(46), 20126-20131 (2010).

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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