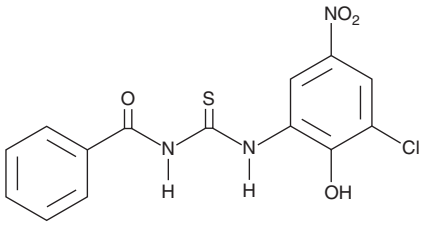
PRODUCT INFORMATION

PIT-1

Item No. 10728

CAS Registry No.: 53501-41-0
Formal Name: N-[[(3-chloro-2-hydroxy-5-nitrophenyl)amino]thioxomethyl]-benzamide
MF: $C_{14}H_{10}ClN_3O_4S$
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)-P_3 (PIP_3) 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 PIP_3 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 PIP_3/Akt PH domain binding with an IC_{50} 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 (IC_{50} = 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 (PIP_3) binding to pleckstrin homology domains. *Proc. Natl. Acad. Sci. USA* **107(46)**, 20126-20131 (2010).

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