

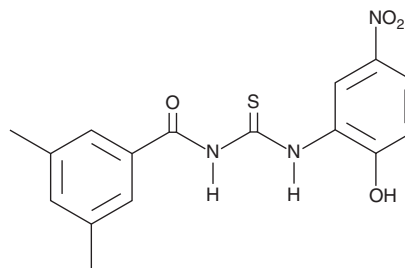
PRODUCT INFORMATION



3,5-dimethyl PIT-1

Item No. 10727

CAS Registry No.: 701947-53-7
Formal Name: N-[[[2-hydroxy-5-nitrophenyl)amino]thioxomethyl]-3,5-dimethyl-benzamide
MF: C₁₆H₁₅N₃O₄S
FW: 345.4
Purity: ≥98%
UV/Vis.: λ_{max}: 202, 274 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

3,5-dimethyl PIT-1 is supplied as a crystalline solid. A stock solution may be made by dissolving the 3,5-dimethyl PIT-1 in the solvent of choice, which should be purged with an inert gas. 3,5-dimethyl PIT-1 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of 3,5-dimethyl PIT-1 in these solvents is approximately 0.2, 20, and 30 mg/ml, respectively.

3,5-dimethyl PIT-1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 3,5-dimethyl PIT-1 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 3,5-dimethyl PIT-1 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF: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 3,5-dimethyl PIT-1 is a dimethyl analog of PIT-1, the selective inhibitor of PIP₃/Akt PH domain binding, that is designed for more favorable solubility *in vivo*. 3,5-dimethyl PIT-1 inhibits PI3K/Akt signaling (IC₅₀ = 27 μM), suppressing PI3K-PDK1-Akt-dependent phosphorylation, which has been shown to reduce cell viability and induce apoptosis in PTEN-deficient U87MG glioblastoma cells (IC₅₀ = 36 μM).² 4T1 breast cancer growth is significantly attenuated in BALB/c mice with a dose of 1 mg/kg of 3,5-dimethyl PIT-1 per day.

References

1. Vivanco, I. and Sawyers, C.L. The phosphatidylinositol 3-kinase-AKT pathway in human cancer. *Nat. Rev. Cancer* **2**(7), 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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