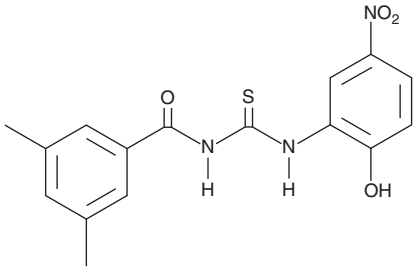
PRODUCT INFORMATION

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:	$C_{16}H_{15}N_3O_4S$
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)-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 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 PIP_3/Akt PH domain binding, that is designed for more favorable solubility *in vivo*. 3,5-dimethyl PIT-1 inhibits PI3K/Akt signaling (IC_{50} = 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 (IC_{50} = 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).

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