PRODUCT INFORMATION



PI3-Kinase α Inhibitor 2

Item No. 10010177

CAS Registry No.: 371943-05-4

Formal Name: 3-[4-(4-morpholinyl)thieno[3,2-d]

pyrimidin-2-yl-phenol

Synonyms: PI3Kα Inhibitor 2, Phosphatidylinositol

3-Kinase α Inhibitor 2

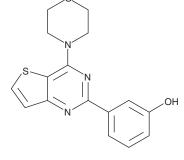
MF: $C_{16}H_{15}N_3O_2S$

FW: 313.4 **Purity:** ≥98%

UV/Vis.: λ_{max} : 255, 299 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

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



Laboratory Procedures

PI3-Kinase α (PI3K α) inhibitor 2 is supplied as a crystalline solid. A stock solution may be made by dissolving the PI3Kα inhibitor 2 in the solvent of choice. PI3Kα inhibitor 2 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PI3Kα inhibitor 2 in these solvents is approximately 1, 25, and 30 mg/ml, respectively.

PI3Kα inhibitor 2 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PI3Kα inhibitor 2 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PI3Kα inhibitor 2 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PI3K α inhibitor 2 is an inhibitor of PI3K p110 α (IC₅₀ = 2 nM in an enzyme assay).¹ It is selective for p110 α over p110 β , p110 γ , and PI3K C2 β (IC₅₀s = 16, 660, and 220 nM, respectively). It also inhibits mammalian target of rapamycin (mTOR; IC_{50} = 49 nM).² PI3K α inhibitor 2 inhibits proliferation in A375 melanoma cells with an IC₅₀ value of 0.58 μM.¹

References

- 1. Hayakawa, M., Kaizawa, H., Moritomo, H., et al. Synthesis and biological evaluation of 4-morpholino-2-phenylquinazolines and related derivatives as novel PI3 kinase p110α inhibitors. Bioorg. Med. Chem. 14(20), 6847-6858 (2006).
- 2. Verheijen, J.C., Yu, K., Toral-Barza, L., et al. Discovery of 2-arylthieno[3,2-d]pyrimidines containing 8-oxa-3-azabi-cyclo[3.2.1]octane in the 4-position as potent inhibitors of mTOR with selectivity over PI3K. Bioorg. Med. Chem. Lett. 20(1), 375-379 (2010).

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

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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