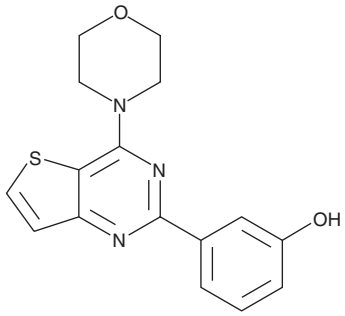
PRODUCT INFORMATION

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
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

PI3-Kinase α (PI3Kα) 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

PI3Kα inhibitor 2 is an inhibitor of PI3K p110α (IC_{50} = 2 nM in an enzyme assay).[1] It is selective for p110α over p110β, p110γ, and PI3K C2β (IC_{50}s = 16, 660, and 220 nM, respectively). It also inhibits mammalian target of rapamycin (mTOR; IC_{50} = 49 nM).[2] PI3Kα inhibitor 2 inhibits proliferation in A375 melanoma cells with an IC_{50} 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM