

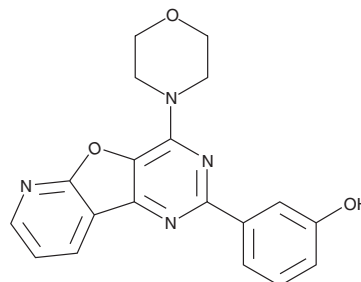
PRODUCT INFORMATION



PI-103

Item No. 10009209

CAS Registry No.: 371935-74-9
Formal Name: 3-[4-(4-morpholinyl)pyrido[3',2':4,5]furo[3,2-d]pyrimidin-2-yl]-phenol
MF: C₁₉H₁₆N₄O₃
FW: 348.4
Purity: ≥98%
UV/Vis.: λ_{max}: 221, 258, 285, 292 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

PI-103 is supplied as a crystalline solid. A stock solution may be made by dissolving the PI-103 in the solvent of choice, which should be purged with an inert gas. PI-103 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PI-103 in these solvents is approximately 15 and 10 mg/ml, respectively.

PI-103 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PI-103 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. PI-103 has a solubility of approximately 0.25 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The phosphatidylinositol 3-kinase (PI3K) family of enzymes is comprised of 15 members that are divided into three classes according to their structure, substrate specificity, and mode of regulation.^{1,2} PI-103 is a potent, cell-permeable, ATP-competitive inhibitor of PI3K family members with selectivity toward DNA-PK, PI3K (p110α), and mTOR. The IC₅₀ values are 2, 8, 20, 26, 48, 83, 88, and 150 nM for DNA-PK, p110α, mTORC1, PI3-KC2β, p110δ, mTORC2, p110β, and p110γ, respectively.¹ PI-103 exhibits antiproliferative activity against a panel of glioma cell lines *in vitro* at a concentration of 0.5 μM. It also inhibits growth of established human glioma tumor xenografts *in vivo* with no observable toxicity.³

References

1. Knight, Z.A., Gonzalez, B., Feldman, M.E., *et al.* A pharmacological map of the PI3-K family defines a role for p110α in insulin signaling. *Cell* **125**, 733-747 (2006).
2. Rückle, T., Schwarz, M.K., and Rommel, C. PI3Ky inhibition: Towards an 'aspirin of the 21st century'? *Nature Reviews Drug Discovery* **5**, 903-918 (2006).
3. Fan, Q.-W., Knight, Z.A., Goldenberg, D.D., *et al.* A dual PI3 kinase/mTOR inhibitor reveals emergent efficacy in glioma. *Cancer Cell* **9**, 341-349 (2006).

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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