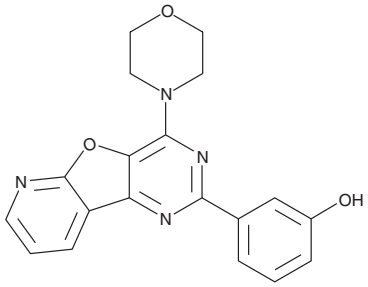
PRODUCT INFORMATION

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:	$C_{19}H_{16}N_4O_3$
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 IC_{50} 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. PI3Kγ 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).

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