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PI-103

Catalog No: tcsc0127

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
371935-74-9

Formula:
$C_{19}H_{16}N_4O_3$

Pathway:
Apoptosis; Autophagy; Cell Cycle/DNA Damage; PI3K/Akt/mTOR

Target:
Apoptosis; Autophagy; DNA-PK; mTOR; PI3K

Form:
Light green to gray (Solid)

Purity / Grade:
98.10%

Solubility:
DMSO : 10 mg/mL (28.71 mM; Need ultrasonic)

Storage Instruction:
Storage temp. 2-8°C

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Alternative Names:
Phenol, 3-[4-(4-morpholinyl)pyrido[3',2':4,5]furo[3,2-d]pyrimidin-2-yl]-

Observed Molecular Weight:
348.36

References

[1]. Raynaud FI, et al. Biological properties of potent inhibitors of class I phosphatidylinositide 3-kinases: from PI-103through PI-540, PI-620 to the oral agent GDC-0941. Mol Cancer Ther. 2009 Jul;8(7):1725-39. [2]. Park S, et al. PI-103, a dual inhibitor of Class IA phosphatidylinositide 3-kinase anLeukemia. 2008 Sep;22(9):1698-706.d mTOR, has antileukemicactivity in AmL. Leukemia. 2008 Sep;22(9):1698-706. [3]. López-Fauqued M, et al. The dual PI3K/mTOR inhibitor PI-103 promotes immunosuppression, in vivo tumor growth and increases survival of melanoma cells. Int J Cancer. 2010 Apr 1;126(7):1549-61. [4]. Knight ZA, et al. A pharmacological map of the PI3-K family defines a role for p110 alpha. Cell. 2006 May 19;125(4):733-47.

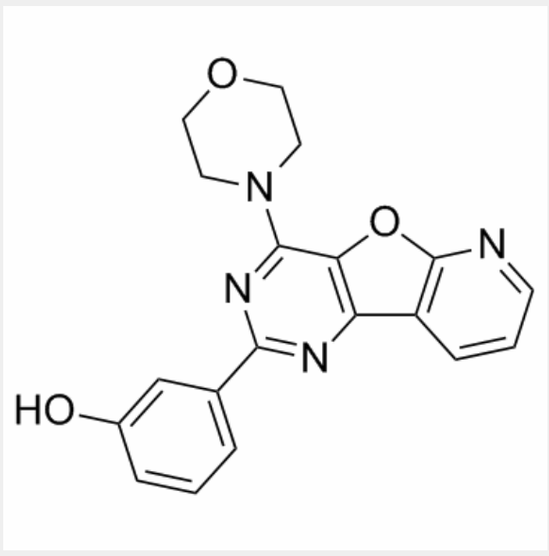
Product Description

PI-103 is a potent **PI3K** and **mTOR** inhibitor with **IC_{50}**s of 8 nM, 88 nM, 48 nM, 150 nM, 20 nM, and 83 nM for **p110α**, **p110β**, **p110δ**, **p110γ**, **mTORC1**, and **mTORC2**. PI-103 also inhibits **DNA-PK** with an **IC50** of 2 nM.

IC50 & Target: IC50: 8 nM (p110α), 88 nM (p110β), 48 nM (p110δ), 150 nM (p110γ), 2 nM (DNA-PK), 20 nM (mTORC1), 83 nM (mTORC2), 26 nM (PI3KC2β), 850 nM (ATR), 920 nM (ATM), ~1 μM (PI3KC2α), 2.3 μM (hsVPS34), ~50 μM (PI4KIIIβ)[4]

In Vitro: PI-103 exhibits antiproliferative properties in a panel of human cancer cell lines[1]. PI-103 is essentially cytostatic for cell lines and induced cell cycle arrest in the G1 phase. In blast cells, PI-103 inhibits leukemic proliferation, the clonogenicity of leukemic progenitors and induces mitochondrial apoptosis, especially in the compartment containing leukemic stem cells [2]. PI-103 potently inhibits both the rapamycin-sensitive (mTORC1, IC_{50}=20 nM) and rapamycin-insensitive (mTORC2, IC_{50}=83 nM) complexes of the protein kinase mTOR[4].

In Vivo: PI-103 shows therapeutic activity against a range of human tumor xenografts, exhibiting inhibition of angiogenesis, invasion, and metastasis, as well as direct antiproliferative effects[1]. PI-103 induces immunosuppression promoting *in vivo* tumor growth and inhibiting apoptosis. Tumors from PI-103-treated mice shows higher levels of cyclin D1 and more proliferating cells[3].



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