

Product Datasheet

PI-103

Catalog No. **BP-02119** · CAS **371935-74-9** · Form **free base** · Physical state **solid** · Color **Off-white to light green** · Version **1.0** · Revision **2026-09-02**

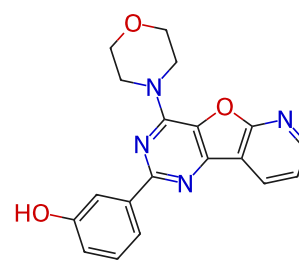
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Target PI3K; mTOR; DNA-PK; Autophagy; Apoptosis	Research area Cancer
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Molecular formula **C₁₉H₁₆N₄O₃**

Molecular weight **348.3553**

STRUCTURE



DESCRIPTION

PI-103 is a potent PI3K and mTOR inhibitor with IC₅₀s 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. PI-103 induces autophagy .

BIOLOGICAL ACTIVITY

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, IC₅₀=20 nM) and rapamycin-insensitive (mTORC2, IC₅₀=83 nM) complexes of the protein kinase mTOR.

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.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Raynaud FI, et al. Biological properties of potent inhibitors of class I phosphatidylinositide 3-kinases: from PI-103 through PI-540, PI-620 to the oral agent GDC-0941. Mol Cancer Ther. 2009 Jul;8(7):1725-39.

[2]. 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.

[3]. 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.

[4]. 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.

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Lot CoA and SDS are separate documents.