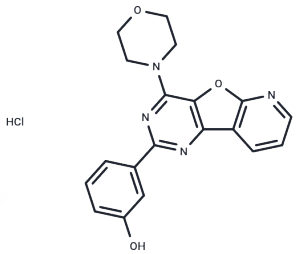
Data Sheet (Cat.No.T6143L)

TargetMol

PI-103 Hydrochloride

Chemical Properties



CAS No. :	371935-79-4
Formula:	C19H17ClN4O3
Molecular Weight:	384.82
Storage:	Store at low temperature Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	PI-103 Hydrochloride is a dual PI3K/Akt and mTOR inhibitor exhibiting nM-level inhibition of p110α, p110β, p110δ, p110γ, DNA-PK, mTORC1, and mTORC2. PI-103 induces autophagy, mitochondrial apoptosis, and cell cycle arrest, making it suitable for leukaemia research.
Targets(IC50)	Apoptosis,Cell Cycle Arrest,Akt,Mitochondrial Metabolism,Autophagy,DNA-PK,mTOR, PI3K
In vitro	PI-103 Hydrochloride exhibits anti-proliferative properties in a panel of Homo sapiens cancer cell lines (including prostate cancer, ovarian cancer, glioblastoma, and oropharyngeal squamous cell carcinoma) as well as in Homo sapiens umbilical vein endothelial cells [1]. PI-103 Hydrochloride demonstrates dose-dependent inhibition of proliferation in 37-31E and 37-31E-F3 cells. For 37-31E cells, proliferation growth can be inhibited by 50% when the PI-103 Hydrochloride concentration exceeds 100 nM, whereas the concentration required to achieve 50% inhibition in 37-31E-F3 cells is 40±2 nM. In the 37-31E-F3 cell line, the inhibitory effects of PI-103 Hydrochloride at concentrations of 50 nM and 100 nM are comparable to those achieved with 500 nM PI-103 Hydrochloride in the 37-31E cell line [4].
In vivo	An orthotopic xenograft model was established by subcutaneously injecting 37-31E-F3 melanoma cells into immunocompetent FVB/N wild-type mice. Subsequent drug administration to the mice showed the following results: PI-103 Hydrochloride (10 mg/kg) significantly promoted in vivo tumor growth, while sorafenib (50 mg/kg) inhibited tumor growth. Compared with sorafenib monotherapy, the combined treatment with the two drugs did not exhibit additional benefits in terms of tumor inhibitory effect; furthermore, the tumor volume in the combined treatment group was even slightly larger than that in the sorafenib monotherapy group [4].

Solubility Information

Solubility	DMSO: 3 mg/mL (7.8 mM),Sonication and heating are recommended. H2O: < 1 mg/mL (insoluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5986 mL	12.9931 mL	25.9862 mL
5 mM	0.5197 mL	2.5986 mL	5.1972 mL
10 mM	0.2599 mL	1.2993 mL	2.5986 mL
50 mM	0.052 mL	0.2599 mL	0.5197 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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):1725-38.
Knight ZA, et al. A pharmacological map of the PI3-K family defines a role for p110alpha in insulin signaling. Cell. 2006 May 19;125(4):733-47.
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.
López-Fauqued M, et al. The dual PI3K/mTOR inhibitor PI-103 promotes immunosuppression, in vivo tumor growth and increases survival of sorafenib-treated melanoma cells. Int J Cancer. 2010 Apr 1;126(7):1549-61.

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