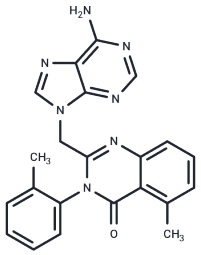
Data Sheet (Cat.No.T2660)

TargetMol

IC-87114

Chemical Properties



CAS No. : 371242-69-2

Formula: C22H19N7O

Molecular Weight: 397.43

Storage: 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	IC-87114 is a specific PI3Kδ inhibitor(IC50=0.5 μM).
Targets(IC50)	PI3K
In vitro	In a mouse model of inflammation, IC87114 inhibits the extracellular secretion of proteases prompted by TNF1α stimulation.
In vivo	In human neutrophils, IC87114 at a concentration of 5 μM effectively inhibits the biosynthesis of phosphatidylinositol 3-phosphate (PIP3) and chemotaxis stimulated by N-formylmethionyl-leucyl-phenylalanine (fMLP). Furthermore, in primary cells from acute myeloid leukemia (AML) patients, such as bone marrow mononuclear cells (BMMCs), IC87114 at 10 μM concentration suppresses constitutive and Flt-3-induced Akt phosphorylation as well as cell proliferation. In addition, IC87114 inhibits proliferation and interferon-gamma (IFN-γ) production in mouse CD62L positive (naive) and CD62L negative (effector/memory) CD4+ T cells stimulated by anti-CD3.
Kinase Assay	PI3K kinase assay: Phosphatidylinositol-(4,5)-bisphosphate (PIP2) containing phospholipid liposomes are prepared. Briefly, bovine PIP2 and phosphatidylserine (1:2 molar ratio) are vacuum-dried and resuspended at 1 mM PIP2 in 20 mM HEPES-KOH, pH 7.4, 50 mM NaCl, and 5 mM EDTA. The lipid suspension is subjected to a brief sonication, followed by 5 freeze-thaw cycles and then 20 extrusion cycles to produce the liposomes. The assay is conducted in 60 μL reaction volumes in 20 mM HEPES, pH 7.4, buffer containing 1 nM PI3K, 1 μM PIP2, 200 μM ATP, 1 μCi [γ-32P]ATP, 5 mM MgCl2, plus 50 μg/mL horse IgG as carrier protein. The reaction is incubated for 10 min at room temperature, quenched in 140 of 1 M K2PO4, 30 mM EDTA, pH 8.0, captured onto a 96-well polyvinylidene difluoride filter plate, and washed five times with 1 M K2PO4. The filter is allowed to dry completely, and the bound radioactivity is quantitated. IC87114 dilutions are assayed in a final concentration of 1% (w/w) DMSO.
Cell Research	For AML cell proliferation assay, BMMCs are isolated and cultured in α-medium with 5% fetal calf serum (FCS) with or without FLT-3 ligand (10 ng/mL) for 48 hours and with or without IC87114. [3H]-thymidine (1 μCi [37 kBq]) is added for a final 6 hours and the amount of radioactivity incorporated is determined by trichloracetic acid precipitation. CD34+ cells from cord blood are cultured in stem cell factor (SCF; 20 ng/mL), FLT-3 ligand (10 ng/mL), and Tpo (20 nM) for 48 hours with or without 10 μM IC87114 and pulsed for 12 hours with [3H]-thymidine. (Only for Reference)

Solubility Information

Solubility	DMSO: 8 mg/mL (20.13 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (2.52 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5162 mL	12.5808 mL	25.1617 mL
5 mM	0.5032 mL	2.5162 mL	5.0323 mL
10 mM	0.2516 mL	1.2581 mL	2.5162 mL
50 mM	0.0503 mL	0.2516 mL	0.5032 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

Sadhu C, et al. J Immunol, 2003, 170(5), 2647-2654.
Sujobert P, et al. Blood, 2005, 106(3), 1063-1066.
Ali K, et al. Nature, 2004, 431(7011), 1007-1011.
Soond DR, et al. Blood, 2010, 115(11), 2203-2213.
Wen PJ, et al. Nat Commun, 2011, doi:10.1038/ncomms1500.
Zheng L, et al. Inactivation of PI3Kδ induces vascular injury and promotes aneurysm development by upregulating the AP-1/MMP-12 pathway in macrophages. Arterioscler Thromb Vasc Biol. 2015 Feb;35(2):368-77.
El-Hashim AZ, et al. Src-dependent EGFR transactivation regulates lung inflammation via downstream signaling involving ERK1/2, PI3Kδ/Akt and NFκB induction in a murine asthma model. Sci Rep. 2017 Aug 30;7(1):9919.