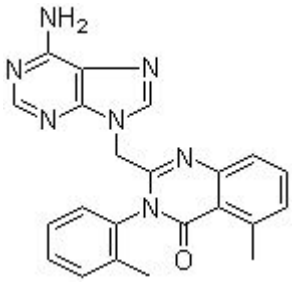
Product Introduction

IC-87114

IC-87114 is a selective **PI3Kδ** inhibitor with **IC50** of 0.5 μM, 58-fold more selective for PI3Kδ than PI3Kγ, and over 100-fold more selective than PI3Kα/β.

Technical Data:

Molecular Weight (MW):	397.43	
Formula:	C22H19N7O	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 0.66 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	371242-69-2	

Biological Activity

IC-87114 selectively inhibits PI3Kδ and not sensitive to PI3Kα, β, and γ. In human neutrophils, IC87114 (5 μM) potently inhibits N-formyl-methionyl-leucyl-phenylalanine (fMLP)-stimulated phosphatidylinositol triphosphate (PIP3) biosynthesis and chemotaxis. IC87114 (5 μM) also inhibits polarized morphology and spreading of neutrophils. [1] In human acute myeloid leukemia (AML) blast cells, such as bone marrow mononuclear cells (BMMCs), IC87114 (10 μM) inhibits both constitutive and Flt-3-stimulated Akt

phosphorylation and cell proliferation. [2] It is also found that IC87114 (5 μM–30 μM) inhibits SCF- or IL-3-stimulated BMMC responses, which are not observed in PI3Kδ mutant ($p110\delta^{D910A}$) cells. [3] In anti-CD3-stimulated mice $CD62L^+$ (naive) and $CD62L^-$ (effector/memory) $CD4^+$ T cells, IC87114 inhibits proliferation and interferon-gamma (IFN-γ) production. The IC50 values of IC87114 are: (1) 1.2 μM and 40 nM, for $CD62L^+$ and $CD62L^-$ cell proliferation, respectively; (2) 120 nM and 1 nM, for IFN-γ production of $CD62L^+$ and $CD62L^-$ cells, respectively. Similar effects by IC87114 are also observed in human T cells. [4] A recent study reveals that in chromaffin cells, IC87114 enhances the transient increase of PtdIns(4,5)P2, which results in a potentiation of exocytosis. [5]

In mice, IC87114 (15 mg/kg–60 mg/kg) inhibits the allergic response in the back skin and ear. [3] In mice induced with anti-CD3 or ConA, IC87114 (30 mg/kg) reduces hypersensitivity responses and decreases plasma levels of cytokines, such as IL-2, IL-4, IL-17, IFN-γ, and tumor necrosis factor-α (TNF-α). [4]

References

[1] Sadhu C, et al. J Immunol, 2003, 170(5), 2647-2654.
[2] Sujobert P, et al. Blood, 2005, 106(3), 1063-1066.
[3] Ali K, et al. Nature, 2004, 431(7011), 1007-1011.
[4] Soond DR, et al. Blood, 2010, 115(11), 2203-2213.
[5] Wen PJ, et al. Nat Commun, 2011, doi:10.1038/ncomms1500.

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