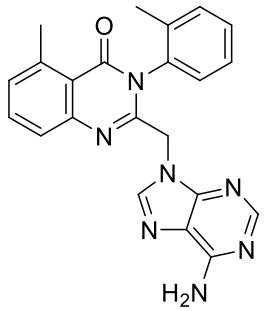
Product data sheet

MedKoo Cat#: 406155 Name: IC-87114 CAS: 371242-69-2 Chemical Formula: $C_{22}H_{19}N_7O$ Exact Mass: 397.1651 Molecular Weight: 397.442	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
IC-87114 is a potent and ATP-competitive PI3K p110δ isoform-selective inhibitor (IC50 = 60 nM). It Inhibits p110α, p110β and p110γ only at much higher concentrations. It does not inhibit other PIK-related kinases such as ATM, ATR, DNA-PK, and mTOR even at concentrations up to 100 ÂμM.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	30.0	75.48
DMSO	13.55	34.10
DMSO:PBS (pH 7.2) (1:1)	0.5	1.26

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.52 mL	12.58 mL	25.16 mL
5 mM	0.50 mL	2.52 mL	5.03 mL
10 mM	0.25 mL	1.26 mL	2.52 mL
50 mM	0.05 mL	0.25 mL	0.50 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Zheng L, Xing L, Zeng C, Wu T, Gui Y, Li W, Lan T, Yang Y, Gu Q, Qi C, Zhang Q, Tang F, He X, Wang L. 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. doi: 10.1161/ATVBAHA.114.304365. Epub 2014 Dec 11. PMID: 25503990.
2. Sadhu C, Masinovsky B, Dick K, Sowell CG, Staunton DE. Essential role of phosphoinositide 3-kinase delta in neutrophil directional movement. J Immunol. 2003 Mar 1;170(5):2647-54. doi: 10.4049/jimmunol.170.5.2647. PMID: 12594293.

In vivo study

1. Ferguson MS, Chard Dunmall LS, Gangeswaran R, Marelli G, Tysome JR, Burns E, Whitehead MA, Aksoy E, Alusi G, Hiley C, Ahmed J, Vanhaesebroeck B, Lemoine NR, Wang Y. Transient Inhibition of PI3Kδ Enhances the Therapeutic Effect of Intravenous Delivery of Oncolytic Vaccinia Virus. Mol Ther. 2020 May 6;28(5):1263-1275. doi: 10.1016/j.ymthe.2020.02.017. Epub 2020 Feb 28. PMID: 32145202; PMCID: PMC7210704.

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2. Lee HY, Lee GH, Kim HR, Lee YC, Chae HJ. Phosphatidylinositol 3-kinase-δ controls endoplasmic reticulum membrane fluidity and permeability in fungus-induced allergic inflammation in mice. Br J Pharmacol. 2020 Apr;177(7):1556-1567. doi: 10.1111/bph.14917. Epub 2020 Jan 27. PMID: 31713846; PMCID: PMC7060358.

7. Bioactivity

Biological target:

IC-87114 is a potent and selective PI3Kδ inhibitor with IC_{50} of 0.5 μM.

In vitro activity

A specific phosphatidylinositol 3-kinases δ inhibitor (IC87114) or genetic p110δ inactivation upregulated MMP-12 expression and c-Jun phosphorylation (n=6; P<0.05 versus wild-type macrophages). IC87114 also increased activator protein-1 DNA-binding activity (n=6; P<0.001 versus control) and enhanced the effect of tumor necrosis factor-α on activator protein-1-binding activity (n=5; P<0.01 versus tumor necrosis factor-α treatment groups). Knockdown of c-Jun suppressed the effect of the IC87114 and tumor necrosis factor-α on MMP-12 mRNA expression (n=5 in each group; P<0.01 versus scrRNA treatment groups).

Reference: Arterioscler Thromb Vasc Biol. 2015 Feb;35(2):368-77. https://pubmed.ncbi.nlm.nih.gov/25503990/

In vivo activity

VV protein expression within the tumor was significantly enhanced by IC87114 at both 3 days (Figures 4C and 4E) and 7 days (Figures 4D and 4E) post-infection. Analysis of the spleen of mice treated with one dose of virus 3 h post-infection demonstrated an enhanced accumulation of VV protein in mice treated with vehicle buffer compared to IC87114 (Figures 4F–4H), consistent with the notion that IC87114 leads to a reduced early clearance of VVL15 by splenic macrophages and indicative of a dampening of the innate immune response to viral infection by inhibition of PI3Kδ.

Reference: Mol Ther. 2020 May 6;28(5):1263-1275. https://pubmed.ncbi.nlm.nih.gov/32145202/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.