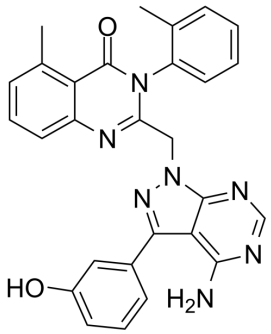
PIK-294

Cat. No.:	HY-10303
CAS No.:	900185-02-6
Molecular Formula:	$C_{28}H_{23}N_7O_2$
Molecular Weight:	489.53
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (204.28 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0428 mL	10.2139 mL	20.4278 mL
	5 mM	0.4086 mL	2.0428 mL	4.0856 mL
	10 mM	0.2043 mL	1.0214 mL	2.0428 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PIK-294 is a potent p110δ-selective inhibitor with an IC_{50} of 10 nM.

IC_{50} & Target

p110δ	p110γ	p110β	p110α
10 nM (IC_{50})	160 nM (IC_{50})	490 nM (IC_{50})	10 μM (IC_{50})

In Vitro

Analysis of the specific Class I PI3 Kinase catalytic isoforms p110α (IC_{50}=10 μM), p110β (IC_{50}=0.49 μM), p110δ (IC_{50}=0.01 μM) and p110γ (IC_{50}=0.16 μM) using the inhibitor PIK-294 indicates differential roles in CXCL8-induced neutrophil migration. PIK-294 inhibits both chemokinetic and chemotactic CXCL8-induced migration[1]. When cells are pre-treated with the PI3Kδ selective inhibitor PIK-294, CXCL8-induced migration in the non-gradient and the gradient assay is significantly inhibited. PIK-294 is used at two concentrations 1 μM and 10 μM. Pre-treatment with 1 μM inhibits migration to a greater extent in the non-gradient assay than in the gradient assay. Pre-treatment with 10 μM inhibits migration to a significantly greater extent than the lower dose in both assays. Prior to stimulation with CXCL8, pre-treatment of the cells with the PI3K inhibitors,

Wortmannin (50 nM), PIK-294 (10 μM) and AS-605240 (10 μM) for 2 minutes, cause a reduction in the phosphorylation of Akt. Pre-treatment of cells prior to stimulation with GM-CSF and the DMSO control with the PI3K inhibitors Wortmannin (50 nM), PIK-294 (10 μM) and AS-605240 (10 μM) for 2 minutes, reduce the phosphorylation of Akt ($p<0.05$ for inhibition of PI3Kδ)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]

Neutrophils at a concentration of 6×10^6 cells/mL are pre-treated with 1 μM and 10 μM of the PIK-294 for 30 mins prior to the addition of CXCL8 (100 ng/mL) or 0.5 ng/mL GM-CSF. Then a non-gradient or gradient gel assay depending on the type of migration is performed. The gels are then constructed and the migration studied[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Molecules. 2020 Apr 23;25(8):1980.

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REFERENCES

[1]. 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.

[2]. Martin KJ, et al. The role of phosphoinositide 3-kinases in neutrophil migration in 3D collagen gels. PLoS One. 2015 Feb 6;10(2):e0116250.

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