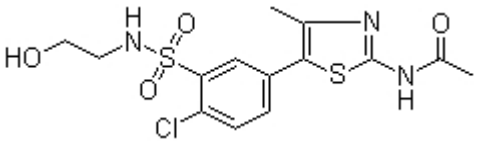
Product Introduction

PIK-93

PIK-93 is the first potent, synthetic PI4K (PI4KIIIβ) inhibitor with IC50 of 19 nM; shown to inhibit PI3Kα with IC50 of 39 nM.

Technical Data:

Molecular Weight (MW):	389.88	
Formula:	$C_{14}H_{16}ClN_3O_4S_2$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 78 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃ Powder	
	6 months-80℃ in DMSO	
CAS No.:	593960-11-3	

Biological Activity

PIK-93 inhibits PI3Kγ and PI4KIIIβ, with IC50 values of 16 nM and 19 nM, respectively. PIK-93 also inhibits other members of PI3Ks, including PI3Kα, β, and δ, with IC50 values of 39 nM, 0.59 μM, and 0.12 μM, respectively. PIK-93 shows no obvious inhibitory effect against a panel of other kinases, even at a concentration of 10 μM. [1] In differentiated HL60 (dHL60) cells, PIK-93 (0.5 μM–1 μM) impairs consolidation and stability of the leading edge formed after treatment with uniform f-Met-Leu-Phe (fMLP). PIK-93 alters the localization, but not the amount, of the fMLP-dependent accumulation of total F-actin. In fMLP gradients, PIK-93 reduces the chemotactic index and triples the cells' turning frequency. [2] In COS-7 cells, PIK-93 (250 nM) effectively abrogates the accumulation of CERT-PH domain and FL-Cer in Golgi.

PIK-93 of the same concentration also significantly inhibits the conversion of [^{3}H]serine-labeled endogenous ceramide to sphingomyelin. These facts indicate a key role of PI4KIIIβ in ceramide transport between the ER and Golgi, as well as in the regulation of spingomyelin synthesis.[3] In T6.11 cells, PIK-93 (300 nM) reduces carbachol-induced translocation of TRPC6 to the plasma membrane and net Ca^{2+} entry. [4] A recent report shows that PIK-93 has anti-enterovirus effects, as revealed by its inhibition of both poliovirus (PV) and hepatitis C virus (HCV) replication, with EC50 values of 0.14 μM and 1.9 μM, respectively. [5]

A novel and potent inhibitor of both PI3Kγ and PI4KIIIβ.

References

[1] Knight ZA, Cell, 2006, 125(4), 733-747.

[2] VanKeymeulen A, et al. Cell Biol. 2006, 174(3), 437-445.

[3] Tóth B, et al. J BiolChem, 2006, 281(47), 36369-3637

[4] Monet M, et al. J BiolChem, 2012, Epub ahead of print.

[5] Arita M, et al. J Virol, 2011, 85(5), 2364-2372.

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