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产品名称：PI4KIIIbeta-IN-10
产品别名：PI4KIIIbeta-IN-10

生物活性：

Description

PI4KIIIbeta-IN-10 is a potent PI4KIIIβ inhibitor with an IC_{50} of 3.6 nM.

IC_{50} & Target

PI4KIIIβ	PI4KIIIα	PI3Kδ	PI3KC2γ	PI3Kα	PI3Kγ
3.6 nM (IC_{50})	3 μM (IC_{50})	720 nM (IC_{50})	1 μM (IC_{50})	10 μM (IC_{50})	20 μM (IC_{50})

In Vitro

PI4KIIIbeta-IN-10 (Compound 10) is a potent PI4KIIIβ inhibitor with very minor off-target inhibition of PI4KIIIβ related lipid kinases. PI4KIIIbeta-IN-10 shows weak inhibition of PI3KC2γ (IC_{50} ~1 μM), PI3Kα (~10 μM), and PI4KIIIα (~3 μM), and <20% inhibition at concentrations up to 20 μM for PI4K2α, PI4K2β, and PI3Kβ[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 30 mg/mL (63.08 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1027 mL	10.5135 mL	21.0270 mL
	5 mM	0.4205 mL	2.1027 mL	4.2054 mL
	10 mM	0.2103 mL	1.0513 mL	2.1027 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References

[1]. Rutaganira FU, et al. Design and Structural Characterization of Potent and Selective Inhibitors of Phosphatidylinositol 4 Kinase IIIβ. J Med Chem. 2016 Mar 10;59(5):1830-9.

实验参考：

Kinase Assay

Lipid kinase assays are preformed using recombinant enzyme, phosphoinositides and γ^{32}P-ATP in a membrane capture assay. Each inhibitor (e.g., PI4KIIIbeta-IN-10) is diluted into 10% DMSO and kinase assay buffer. Upon completion of the reaction, 4 μL is spotted onto 0.2 μm nitrocellulose. The membrane is dried for 5 minutes under a heat lamp followed by 1×30 second wash and 6×5 min washes in 1M NaCl /1% Phosphoric Acid. The membrane is dried for 20 minutes under a heat lamp followed by overnight exposure to a phosphor screen and phosphorimaging followed on a Typhoon 9500. Intensities are quantified using SPOT. Specifications for each enzyme follow. L-α-Phosphatidylinositol and DOPS:DOPC lipids are sonicated in water to generate 1mg/mL PI:DOPS:DOPC. Reaction is set-up as follows 1) kinase assay buffer, PI:DOPS:DOPC, BSA and PI4KIIIβ, are combined in a total volume of 10 μL (2.5x solution); 2) 5 μL of inhibitor solution is added (5x solution) and incubated with enzyme mixture for 15 minutes; 3) 10 μL cold ATP and γ^{32}P-ATP are added (2.5x solution) to initiate the reaction which ran for 30 minutes. Final conditions are as follows: 20 mM Bis-Tris Propane pH 7.5, 10 mM $MgCl_2$, 0.075 mM Triton X-100, 0.5 mM EGTA, 1 mM DTT, 100 μM PI, 500 ng/μL BSA, 2.5 nM PI4KIIIβ, 2% DMSO, 10 μM ATP and 1 uCi

	γ^{32}P-ATP[1].
References	[1]. Rutaganira FU, et al. Design and Structural Characterization of Potent and Selective Inhibitors of Phosphatidylinositol 4 Kinase IIIβ. J Med Chem. 2016 Mar 10;59(5):1830-9.