PI4KIIIbeta-IN-10

Cat. No.: HY-100198

CAS No.: 1881233-39-1

Molecular Formula: $C_{22}H_{25}N_3O_5S_2$

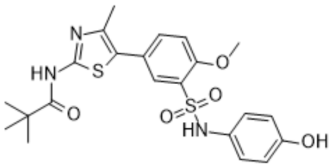
Molecular Weight: 475.58

Target: PI4K; 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 : 125 mg/mL (262.84 mM; Need ultrasonic)

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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

PI4KIIIβ 3.6 nM (IC_{50})	PI4KIIIα 3 μM (IC_{50})	PI3Kδ 720 nM (IC_{50})	PI3KC2γ 1 μM (IC_{50})
PI3Kα 10 μM (IC_{50})	PI3Kγ 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Immunol. 2022 Nov 28.
- J Extracell Vesicles. 2022 Jun;11(6):e12233.
- Nat Chem Biol. 2022 Jul 4.
- Autophagy. 2019 Jul;15(7):1214-1233.
- EMBO J. 2022 Nov 21;e112677.

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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.

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