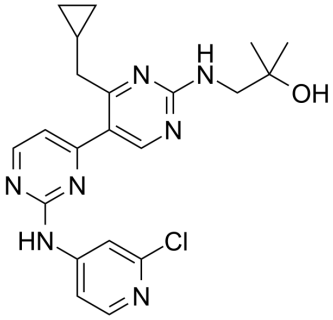
Vps34-IN-1

Cat. No.:	HY-12795
CAS No.:	1383716-33-3
Molecular Formula:	$C_{21}H_{24}ClN_7O$
Molecular Weight:	425.91
Target:	PI3K; Autophagy
Pathway:	PI3K/Akt/mTOR; Autophagy
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (72.79 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.3479 mL	11.7396 mL	23.4791 mL
	5 mM	0.4696 mL	2.3479 mL	4.6958 mL
	10 mM	0.2348 mL	1.1740 mL	2.3479 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 (5.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vps34-IN-1 is a potent and selective inhibitor of class III Vps34 PI3K. Vps34-IN-1 inhibits phosphorylation of PtdIns by recombinant insect cell expressed Vps34-Vps15 complex with an IC_{50} of ~25 nM. Vps34-IN-1 can suppress SGK3 activation by reducing PtdIns(3)P levels via lowering phosphorylation of T-loop and hydrophobic motifs. Vps34-IN-1 modulates autophagy[1][2][3].

IC_{50} & Target

Vps34

	25 nM (IC_{50})
In Vitro	Vps34-IN-1 does not significantly inhibit any of the lipid kinases including class I (p110α, p110β p110γ and p110δ) and all three members of the class II PI3Ks (PI3KC2α, PI3KC2β and PI3KC2γ) enzymes[1]. Vps34-IN-1 (0.01, 0.1, 1 μM; for 1 h) inhibits PtdIns(3)P levels at endosomes in a dose-dependent manner[1]. Vps34-IN-1 (0.01, 0.1, 1 μM; for 1 h) induces a dose-dependent reduction in SGK3 activity that is maximally lowered by ~60% at 1 μM and ~40% at 0.1 μM. The suppression of SGK3 activity is accompanied by a commensurate decrease in T-loop and hydrophobic motif phosphorylation[1]. Vps34-IN-1 (1, 2, 4 μM; 24 h) induces a dose-dependent inhibition of SGK3 phosphorylation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Western Blot Analysis[2]

Cell Line:	MHH97H and Huh7 cells
Concentration:	1, 2, 4 μM
Incubation Time:	24 h
Result:	Induced a dose-dependent inhibition of SGK3 phosphorylation in MHH97H and Huh7 cells. Reduced the expression of CSC-related markers CD133 and Nanog.

CUSTOMER VALIDATION

- J Exp Clin Cancer Res. 2018 Jun 25;37(1):122.
- Plant Cell Rep. 2022 Jan 3.
- Biochem Pharmacol. 2023 Jun 6;115634.
- bioRxiv. 2024 Mar 7.
- Oncotarget. 2016 Aug 16;7(33):53515-53525.

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REFERENCES

[1]. Fengchao Liu, et al. Prolonged inhibition of class I PI3K promotes liver cancer stem cell expansion by augmenting SGK3/GSK-3β/β-catenin signaling. J Exp Clin Cancer Res. 2018 Jun 25;37(1):122.

[2]. Ruzica Bago, et al. Characterization of VPS34-IN1, a selective inhibitor of Vps34, reveals that the phosphatidylinositol 3-phosphate-binding SGK3 protein kinase is a downstream target of class III phosphoinositide 3-kinase. Biochem J. 2014 Nov 1;463(3):413-27.

[3]. Limpert AS, et al. Autophagy in Cancer: Regulation by Small Molecules. Trends Pharmacol Sci. 2018 Dec;39(12):1021-1032

Caution: Product has not been fully validated for medical applications. For research use only.

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