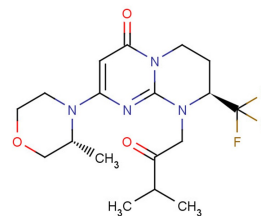


Vps34-IN-2

Chemical Properties

CAS No.:	1523404-29-6
Formula:	C ₁₈ H ₂₅ F ₃ N ₄ O ₃
Molecular Weight:	402.41
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	Vps34-IN-2 is a potent and selective Vps34 inhibitor (IC ₅₀ s: 2 and 82 nM on the Vps34 enzymatic assay and the GFP-FYVE cellular assay, respectively).
Targets(IC ₅₀)	Vps34: 2 nM
In vitro	Vps34-IN-2 displays IC ₅₀ s of 2 and 82 nM on the Vps34 enzymatic assay and the GFP-FYVE cellular assay, respectively. Vps34-IN-2 exhibits selectivity against mTOR with IC ₅₀ more than 10 μM and class I PI3Ks with IC ₅₀ values of 2.7, 4.5, 2.5, and >10 μM on PI3K α, β, δ, γ isoforms, respectively.
In vivo	After oral administration (p.o.), Vps34-IN-2 is rapidly absorbed with maximal plasma concentrations observed at 0.5 h and a bioavailability of 85%. Slight rebounds of concentrations are observed at 4 and 8 h after oral dosing with no obvious explanation. After iv injection of Vps34-IN-2 at 3 mg/kg, plasma clearance is found moderate (i.e., 2.3 L/h/kg), corresponding to 44% of hepatic blood flow in this species, the volume of distribution at steady state is moderate, and terminal elimination half-life is short.

Solubility Information

Solubility	Ethanol: 50 mg/mL (124.25 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.485 mL	12.425 mL	24.85 mL
5 mM	0.497 mL	2.485 mL	4.97 mL
10 mM	0.249 mL	1.243 mL	2.485 mL
50 mM	0.05 mL	0.249 mL	0.497 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Pasquier B, et al. Discovery of (2S)-8-[(3R)-3-methylmorpholin-4-yl]-1-(3-methyl-2-oxobutyl)-2-(trifluoromethyl)-3,4-dihydro-2H-pyrimido[1,2-a]pyrimidin-6-one: a novel potent and selective inhibitor of Vps34 for the treatment of solid tumors. J Med Chem. 2015 Jan 8;58(1):376-400.

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