THZ-P1-2

Cat. No.: HY-136351

CAS No.: 2058075-45-7

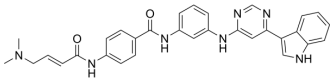
Molecular Formula: $C_{31}H_{29}N_7O_2$

Molecular Weight: 531.61

Target: Autophagy

Pathway: Autophagy

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (188.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8811 mL	9.4054 mL	18.8108 mL
	5 mM	0.3762 mL	1.8811 mL	3.7622 mL
	10 mM	0.1881 mL	0.9405 mL	1.8811 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 25 mg/mL (47.03 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

THZ-P1-2 is a first-in-class and selective PI5P4K inhibitor, with an IC_{50} of 190 nM for PI5P4Kα. THZ-P1-2 covalently targets cysteines on a disordered loop in PI5P4Kα/β/γ. THZ-P1-2 causes autophagy disruption and upregulates TFEB signaling. THZ-P1-2 displays anticancer activity in leukemia cell lines[1].

In Vitro

THZ-P1-2 (0.2-11.4 μM) exhibits approximately 75% inhibition of PI-4,5-P2 formation by PI5P4Kα and PI5P4Kγ and 50% inhibition by PI5P4Kβ at a concentration of 0.7 μM[1].
THZ-P1-2 (10 nM-100 μM; 72 h) shows modest anti-proliferative activity in all six AML/ALL cell lines with IC_{50} values in the low micromolar range[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]	
Cell Line:	THP1, SEMK2, OCI/AML-2, HL60, SKM1, NOMO1 cells
Concentration:	10-100000 nM
Incubation Time:	72 hours
Result:	Showed anti-proliferative activity in all six AML/ALL cell lines with IC_{50} values ranging from 0.87 to 3.95 μM.

CUSTOMER VALIDATION

- Nat Commun. 2023 Mar 14;14(1):1432.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Sivakumaren SC, et al. Targeting the PI5P4K Lipid Kinase Family in Cancer Using Covalent Inhibitors. Cell Chem Biol. 2020;27(5):525-537.e6.

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