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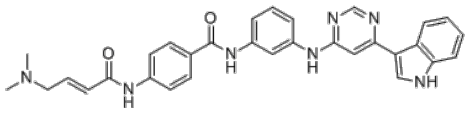
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	THZ-P1-2
Cat. No.	:	PC-38273
CAS No.	:	2058075-45-7
Molecular Formula	:	$C_{31}H_{29}N_7O_2$
Molecular Weight	:	531.62
Target	:	Other Targets
Solubility	:	10 mM in DMSO



Biological Activity

THZ-P1-2 is a potent, selective, covalent pan-**PI5P4K** inhibitor with IC50 of 190/700 nM for PI5P4Kα/β, respectively.
THZ-P1-2 exhibited 75% inhibition of PI-4,5-P2 formation PI5P4K γ at 0.7 uM.
THZ-P1-2 binds covalently to all isoforms of the PI5P4K family on unique cysteine residues located on a disordered loop outside the kinase domain.
THZ-P1-2 demonstrates cellular on-target engagement with limited off-targets across the kinome. PIKfyve is an off-target (IC50=40 nM) when used the commercially available DiscoverX KINOMEScan profiling platform.
THZ-P1-2 causes autophagosome clearance defects and upregulation in TFEB nuclear localization and target genes, disrupting autophagy in a covalent-dependent manner and phenocopying the effects of PI5P4K genetic deletion.
THZ-P1-2 is a useful tool to further interrogate the therapeutic potential of PI5P4K inhibition.

References

Sindhu Carmen Sivakumaren, et al. ***Cell Chem Biol.*** 2020 May 21;27(5):525-537.e6.

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