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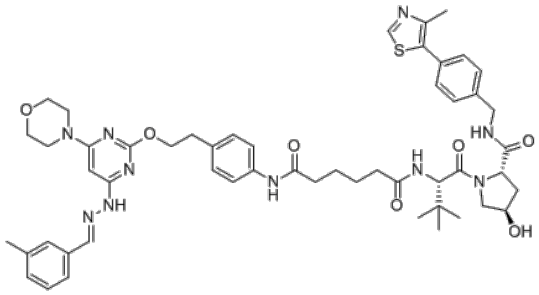
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PIK5-12d
Cat. No.	:	PC-21468
CAS No.	:	
Molecular Formula	:	$C_{52}H_{64}N_{10}O_7S$
Molecular Weight	:	973.21
Target	:	PROTAC
Solubility	:	10 mM in DMSO



Biological Activity

PIK5-12d is a highly potent, selective, first-in-class **PIKfyve PROTAC** degrader, potently degrades PIKfyve protein with DC50 value of 1.48 nM and Dmax value of 97.7% in prostate cancer VCaP cells.
PIK5-12d (100 nM) causes fast degradation of PIKfyve protein with a t1/2 value of 1.5 h in VCaP cells, also effectively reduces PIKfyve in other prostate cancer PC3, LNCaP, and 22RV1 cells.
PIK5-12d is a highly specific PIKfyve degrader with only 3 proteins significantly downregulated including PIKfyve, which accounts for the off-target rate at 2 out of 7573 detectable proteins.
PIK5-12d is selective for PIKfyve over other lipid kinases.
PIK5-12d mediated-PIKfyve degradation is VHL and proteasome-dependent.
PIK5-12d induces massive cytoplasmic vacuolization and blocks autophagy in prostate cancer cells.
PIK5-12d inhibits VCaP cell proliferation with an IC50 of 522.3 nM, exerts prolonged suppression of PIKfyve downstream signaling.
PIK5-12d (10 mg/kg) almost completely depleted PIKfyve protein in LTL-331R human prostate cancer patient-derived xenograft (PDX) model, significantly suppressed tumor proliferation in vivo.

References

Chungen Li, et al. ***J Med Chem***. 2023 Sep 14;66(17):12432-12445.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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