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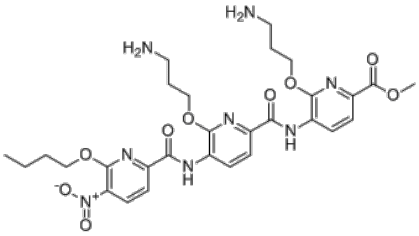
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ADH-6
Cat. No.	:	PC-72589
CAS No.	:	2227429-65-2
Molecular Formula	:	$C_{29}H_{36}N_8O_9$
Molecular Weight	:	640.654
Target	:	MDM2-p53
Solubility	:	10 mM in DMSO



Biological Activity

ADH-6 is a small molceule that abrogates amyloid formation of aggregation-prone region of mutant **p53 DBD** with binding Ki of 366 nM (p53 mutant R248W DBD-derived peptide, pR248W).
ADH-6 (5 uM) dissociates mutant p53 aggregates in in MIA PaCa-2 cells, ADH-6 efficiently enters cells to directly interact with and stabilize mutant p53.
ADH-6 causes selective cytotoxicity in cancer cells bearing mutant p53, reduced MIA PaCa-2 cell viability in a concentration-dependent manner, with EC50 of 2.7 and 2.5 uM at 24 and 48 h incubation times, respectively.
ADH-6 decreased cell viability of human cancer cells harboring other aggregation-prone p53 mutants (R248W: COLO 320DM and NCI-H1770; R248Q: HCC70 and OVCAR-3; R175H: LS123; R273H: HT-29 and ARH-77; Y220C: NCI-H748 and NCI-H2342; and R280K: MDA-MB-231).
ADH-6 causes death of cancer cells bearing mutant, but not WT p53, induces transcriptional reactivation of p53.
ADH-6 ((716.4 uM, i.p.) causes regression of mutant p53-bearing tumors in the MIA PaCa-2 xenografts with no adversely effect on body weight, did not significantly alter the amount of WT p53 in MCF-7 xenografts.

References

L Palanikumar, et al. ***Nat Commun.*** 2021 Jun 25;12(1):3962.

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