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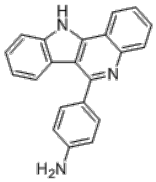
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Z0933M
Cat. No.	:	PC-49083
CAS No.	:	1561172-42-6
Molecular Formula	:	$C_{21}H_{15}N_3$
Molecular Weight	:	309.372
Target	:	E3 Ligase Ligand
Solubility	:	10 mM in DMSO



Biological Activity

Z0933M is a potent S phase kinase-associated protein 1 (**Skp1**) inhibitor with Kd of 54 nM, potently inhibits **Skp1-F-box** protein-protein interactions with Ki value of 231 nM in FP-based in vitro competition assays.
Z0933M binds potently to Skp1WT and ΔSkp11−140, with dissociation constant (KD) values 54.7 ± 6.68 and 40.4 ± 8.2 nM, respectively, in thermal shift assay (TSA).
The direct engagement of Z0933M at the P1 region located alongside the C-terminal extension of Skp1.
Z0933M disrupts Skp1-F-box PPIs in cellulo, impairs SCF E3 ligase functioning, and increases the levels or alter the turnover of several substrate proteins.
Z0933M exhibits anti-proliferative activity against A549 cells with EC50 (48 h)of 0.58 uM, 24 times more potent than 6-OAP, and shows lower EC50 value of 0.099 and 0.066 uM for 72 and 96h treatment.
Z0933M demonstrates substantially weaker or absolutely no anti-proliferative effects against p53-deficient (null and mutant) cell lines, Z0933M elicits apoptotic cell death which is reversed by p53 inhibition and Skp1 overexpression.

References

Hussain M, et al. ***iScience.*** 2022 Jun 14;25(7):104591.

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