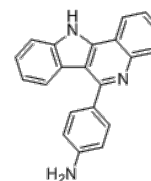


Product Name : Z0933M
Cat. No. : PC-49083
CAS No. : 1561172-42-6
Molecular Formula : C₂₁H₁₅N₃
Molecular Weight : 309.372
Target : E3 Ligase Ligand
Solubility : 10 mM in DMSO



Biological Activity

Z0933M is a potent S phase kinase-associated protein 1 (**Skp1**) inhibitor with K_d of 54 nM, potently inhibits **Skp1-F-box** protein-protein interactions with K_i value of 231 nM in FP-based in vitro competition assays.

Z0933M binds potently to Skp1WT and ΔSkp11–140, with dissociation constant (K_D) 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 μM, 24 times more potent than 6-OAP, and shows lower EC₅₀ value of 0.099 and 0.066 μM 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.

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

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