

## **Data Sheet**

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 AAA-237

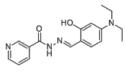
 Cat. No.
 :
 PC-21767

 CAS No.
 :
 1375060-02-8

 Molecular Formula
 :
 C<sub>17</sub>H<sub>20</sub>N<sub>4</sub>O<sub>2</sub>

 Molecular Weight
 :
 312.37

Target : E3 Ubiquitin Ligase
Solubility : 10 mM in DMSO



## **Biological Activity**

AAA-237 (SCFSkp2-IN-2) is a small molecule Skp2 E3 ligase inhibitor, binds to **Skp2** protein (KD=28.77 uM) and inhibits the degradation of Skp2 substrates, inhibits the proliferation of the NSCLC cells.

AAA-237 has no significant effect on the mRNA expression of Skp2 but inhibits the protein expression of Skp2 in NSCLC cells in a time-dependent manner.

AAA-237 increases the expression levels of p21Cip1 and p27Kip1 in A549 and H1299 cells.

AAA-237 inhibits the proliferation of A549 and H1299 cells in a dose- and time-dependent manner, inhibits colony formation of A549 and H1299 cells.

AAA-237 arrests the cell cycle at the G0/G1 checkpoint by regulating the Skp2-Cip/Kip and PI3K/Akt-FOXO1 signaling pathways.

AAA-237 (15 or 45 mg/kg) suppresses growth of xenograft tumors by inducing apoptosis and senescence in lung cancer A549 xenograft mice model.

## References

Liu J, et al. *Pharmacol Res.* 2022 Jul;181:106259.

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

E-mail: tech@probechem.com