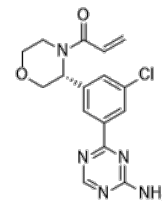


Product Name : VVD-065
Cat. No. : PC-25485
CAS No. : 3034876-85-9
Molecular Formula : C₁₆H₁₆ClN₅O₂
Molecular Weight : 345.79
Target : Keap1-Nrf2
Solubility : 10 mM in DMSO



CAS: 3034876-85-9

Biological Activity

VVD-065 (VVD065) is a first-in-class, allosteric molecular glue of **Keap1-Cul3 E3-ligase complex** and **NRF2** inhibitor, specifically and covalently engages C151 on KEAP1 with engagement potency (TE50) of 9 nM in KYSE70 cells, promotes KEAP1-CUL3 complex formation, leading to enhancement of NRF2 degradation.

VVD-065 covalently binds C151 of KEAP1, may increase KEAP1 activity by stabilizing a KEAP1 conformation that favors CUL3 binding.

VVD-065 induces robust degradation of NRF2 and decreases expression of NRF2 target genes.

VVD-065 (5-25 mg/kg) exhibits cancer cell growth inhibitory effects.

VVD-065 exhibits anti-tumorigenic effects in KYSE70 tumor bearing animals.

VVD-065 exhibits strong antitumor effects in syngeneic with cisplatin and nab-paclitaxel in various solid tumor types such as NSCLC, ESCC, HNSCC, and uterine cancers.

References

Nilotpai Roy, et al. Suppression of NRF2-dependent cancer growth by a covalent allosteric molecular glue. *bioRxiv*, 2024, preprint, 10.1101/2024.10.04.616592.

Nil Roy, et al. *Mol Cancer Ther* (2023) 22 (12_Supplement): PR011.

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

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