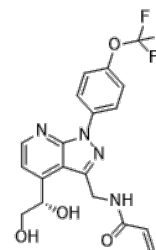


Product Name : GNE-8025
Cat. No. : PC-27128
CAS No. : 2933893-27-5
Molecular Formula : C₁₉H₁₇F₃N₄O₄
Molecular Weight : 422.36
Target : YAP-TEAD
Solubility : 10 mM in DMSO



CAS: 2933893-27-5

Biological Activity

GNE-8025 is a potent, selective, covalent pan-**TEAD** inhibitor, disrupts **YAP-TEAD** interaction with biochemical IC₅₀s of 5/8/326/37 nM for TEAD1/2/3/4 in TR-FRET assays.

GNE-8025 bind potently and covalently to the lipid pocket of TEAD proteins, form a covalent linkage with the lipid pocket cysteine (C380) of TEAD2 through the acrylamide warhead.

GNE-8025 exhibits strong activity against all four isoforms of TEAD1/2/4, with the exception of TEAD3.

GNE-8025 potently inhibits cell proliferation of Hippo-driven cell lines NCI-H226 and MSTO-211H with EC₅₀ of 7 nM and 22 nM, but not Hippo-independent VMRC-LCD cells with >2500-fold higher EC₅₀ values.

GNE-8025 shows superior efficacy in both NCI-H226 and MSTO-211H cells versus GNE-6915 and GNE-7883, downregulates YAP/TAZ-TEAD-dependent gene programs to exert anti-proliferative effects.

GNE-8025 potently inhibits the proliferation of Hippo deregulated cells and reinforces mesothelioma as a malignancy where TEAD inhibitors are effective at impeding cancer cell proliferation.

GNE-8025 enhances the efficacy of targeted cancer therapies, particularly when combined with inhibitors of RTKs and the RAS/MAPK pathway.

GNE-8025 (10 mg/kg BID) significantly impacted tumor growth inhibition in NCI-H226 xenograft model.

References

Hagenbeek TJ, et al. *Nat Commun*. 2026 Jun 27. doi: 10.1038/s41467-026-74722-5.

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

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