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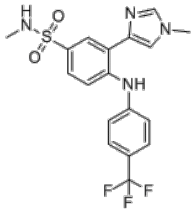
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	VT103
Cat. No.	:	PC-72506
CAS No.	:	2290608-13-6
Molecular Formula	:	$C_{18}H_{17}F_3N_4O_2S$
Molecular Weight	:	410.415
Target	:	YAP-TEAD
Solubility	:	10 mM in DMSO



Biological Activity

VT103 (VT-103) is a specific small molecule inhibitor of **YAP/TAZ-TEAD**-dependent transcription with IC50 of 1.2 nM in YAP reporter assay, selectively blocks TEAD1 auto-palmitoylation.
VT103 appears to be TEAD1-selective, as it does not block palmitoylation of TEAD2, TEAD3, or TEAD4 in HEK293T cells transfected with plasmid expressing MYC-tagged full-length TEAD1, TEAD2, TEAD3, or TEAD4 protein, with no effect on RAS palmitoylation.
VT103 selectively binds directly to TEAD1 in the central lipid pocket, reduces YAP interaction with TEAD1 but not TEAD4 in NF2-mutant NCI-H2373 cells.
VT103 blocked the proliferation of NF2-deficient or NF2-mutated mesothelioma cell lines, 100- to 1,000-fold less activity in NF2 wild-type mesothelioma cells.
VT103 (3 mg/kg once daily, oral) block growth of NF2-deficient mesothelioma xenografts, exhibited strong antitumor efficacy, leading to tumor regression, even at 1 and 0.3 mg/kg once daily.

References

Tracy T Tang, et al. ***Mol Cancer Ther.*** 2021 Jun;20(6):986-998.

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