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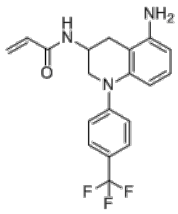
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	SWTX-143
Cat. No.	:	PC-21317
CAS No.	:	2766575-48-6
Molecular Formula	:	$C_{19}H_{18}F_3N_3O$
Molecular Weight	:	361.37
Target	:	YAP-TEAD
Solubility	:	10 mM in DMSO



CAS: 2766575-48-6

Biological Activity

SWTX-143 is a potent, irreversible and covalent **YAP/TAZ-TEAD** inhibitor with IC50 of 12 nM in luciferase reporter assays, binds to the palmitoylation pocket of all four TEAD isoforms, inhibits Hippo pathway-mutant cancer cells.
SWTX-143 potently represses luciferase expression from the TEAD reporter (IC50=12 nmol/L) while not affecting the viability of HEK293 cells.
SWTX-143 is sufficient to evoke a long-lasting transcriptional impact.
SWTX-143 inhibits the proliferation of Hippo pathway-mutant cancer cell lines with IC50 of 5-207 nM against three distinct desothelioma cancer cell lines that are characterized by and depend on loss-of-function alterations in NF2 (Mero-14, NCI-H226, and CI-H2052) and one that is deficient in ATS1 and LATS2 (MSTO-211H).
SWTX-143 only modestly impacts the proliferation of the NCI-H28 and NCI-H2452 mesothelioma, HeLa, SiHa, and CaSki cancer cell lines which lack genetic alterations in known Hippo pathway components (Hippo-WT).
SWTX-143 (10, 25, and 50 mg/kg) causes regression of NF2-deficient human mesothelioma xenografts.
SWTX-143 also selectively impairs the growth of NF2-mutant kidney cancer cell lines, blocks Hippo pathway transcriptional output and causes tumor regression in preclinical mesothelioma models.

References

Hanne Hillen, et al. ***Mol Cancer Ther.*** 2023 Sep 23. doi: 10.1158/1535-7163.MCT-22-0681.

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

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