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Data Sheet

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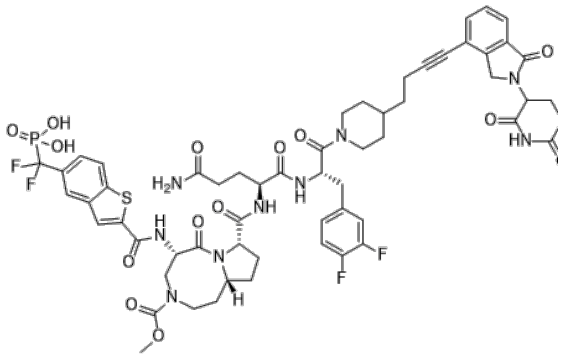
Product Name	:	SD-436
Cat. No.	:	PC-23444
CAS No.	:	2497585-50-7
Molecular Formula	:	$C_{58}H_{62}F_4N_9O_{14}PS$
Molecular Weight	:	1248.21
Target	:	PROTAC
Solubility	:	10 mM in DMSO



CAS: 2497585-50-7

Biological Activity

SD-436 is a highly potent and selective **STAT3 PROTAC degrader** with DC50 of 10 nM and Dmax >95% in SU-DHL-1 lymphoma cell line.
SD-436 at 10 mg/kg depleted STAT3 protein by ~90% in both spleen and liver tissues at both 48 and 72 h time-points in mice.
SD-436 potently inhibited cell growth in the MOLM-16 leukemia cell line, as well as in the SU-DHL-1 and SUP-M2 lymphoma cell lines with highly activated STAT3 (IC50=4-40 nM).
SD-436 effectively reduced the levels of the mutated STAT3 protein in a dose-dependent manner.
SD-436 demonstrated a DC50 value of 2.5 nM and reduced the mutated STAT3 protein by >90% at 64 nM, in Pfeiffer cell line, which carries the STAT3K658R mutation.
SD-436 shows no or minimal effect on the levels STAT1, STAT2, and STAT5 proteins at concentrations up to 40 μM.
SD-436 binds to STAT3 with a higher affinity than SD-36 and displays 14-19 fold selectivity for STAT3 over STAT1 and STAT4 proteins.
A single intravenous administration of SD-436 at 5 mg/kg effectively induces rapid, complete, and durable depletion of STAT3 in mouse native and xenograft tumor tissues.
SD-436 achieves complete and long-lasting tumor regression even with a weekly dosing schedule in leukemia and lymphoma xenograft models in mice.

References

Xu R, et al. ***J Med Chem***. 2024 Nov 7. doi: 10.1021/acs.jmedchem.4c01946.