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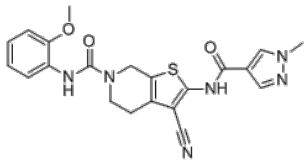
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	WB436B
Cat. No.	:	PC-49462
CAS No.	:	2248552-84-1
Molecular Formula	:	$C_{21}H_{20}N_6O_3S$
Molecular Weight	:	436.490
Target	:	STAT
Solubility	:	10 mM in DMSO



Biological Activity

WB436B is a potent and highly selective small molecule inhibitor of **STAT3**, specifically targets the STAT3-SH2 domain with KD value of 94.3 nM.
WB436B directly binds STAT3 SH2 and STAT3127-722 with KD of 94.3 nM and 129.0 nM, respectively, in Microscale Thermophoresis (MST) assays.
WB436B showed no appreciable binding to other STAT members including STAT1, STAT2, STAT4, STAT5B and STAT6 (KD>10 uM), also exhibits a weak inhibition of a panel of human kinases in vitro.
WB436B shows highly sensitivity to high p-STAT3Tyr705 pancreatic cancer cell lines (IC50<100 nM).
WB436B specifically inhibited IFN-α induced p-STAT3Tyr705, but had no significant inhibition against STAT1 phosphorylation.
WB436B selectively blocked p-STAT3Tyr705 at lower than 100 nM in PANC-1 cells, with minimal impact on JAK1, STAT1, STAT5, AKT and ERK1/2 phosphorylation.
WB436B inhibited STAT3 nuclear translocation, and then deceased the binding between STAT3 with its target genes in pancreatic cancer cells.
WB436B (2.5 and 5 mg/kg/d) inhibited tumor growth and STAT3 activities in the preclinical pancreatic cancer xenografts, suppressed p-STAT3Tyr705 and Ki67 expression, inhibited STAT3 phosphorylation and downstream gene expression in vivo.

References

Huang Chen, et al. ***Clin Cancer Res.*** 2022 Nov 14;CCR-22-0997.

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