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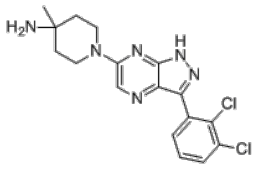
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	IACS-13909
Cat. No.	:	PC-38070
CAS No.	:	2160546-07-4
Molecular Formula	:	$C_{17}H_{18}Cl_2N_6$
Molecular Weight	:	377.273
Target	:	Protein Phosphatase/PTP
Solubility	:	10 mM in DMSO



Biological Activity

IACS-13909 (IACS13909) is a potent, and selective allosteric inhibitor of **SHP2** with IC50 of 15.7 nM (hSHP2 full length), Kd of 32 nM (ITC).
IACS-13909 potently suppresses the phosphatase activity of purified full-length, recombinant human SHP2 protein with an IC50 of 15.7 nM.
IACS-13909 does not suppress SHP2 phosphatase activity at 10 uM, acts outside the phosphatase domain.
IACS-13909 displays no inhibitory activity against a panel of 22 phosphatases, and no inhibition of full-length SHP1.
IACS-13909 inhibits the proliferation and MAPK pathway signaling of tumor cell lines driven by a broad spectrum of RTKs in vitro (GI50<100 nM, DK-MG (EGFR vIII+), BV-173 (BCR-ABL), KG-1 (OP2-FGFR1), KU812 (BCR-ABL), SW-13 (ERBB4-IKZF2) and MV-4-11 (FLT3-ITD)).
IACS-13909 inhibits the proliferation and MAPK pathway signaling in RTK-activated cancer cells in vitro due to on-target SHP2 inhibition.
IACS-13909 demonstrates antitumor activity in tumors harboring EGFR-dependent resistance mutation in vitro and in vivo.

References

Yuting Sun, et al. ***Cancer Res.*** 2020 Nov 1;80(21):4840-4853.

E-mail: tech@probechem.com