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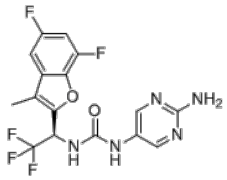
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	STX-478
Cat. No.	:	PC-21139
CAS No.	:	2883540-92-7
Molecular Formula	:	$C_{16}H_{12}F_5N_5O_2$
Molecular Weight	:	401.30
Target	:	PI3K
Solubility	:	10 mM in DMSO



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Biological Activity

STX-478 (STX478) is a potent, mutant-selective, allosteric **PI3Kα** inhibitor with IC50 of 9.4 nM (**PI3Kα H1047R**), 14-fold selectivity over WT PI3Kα (IC50=131 nM).
STX-478 is less potent against E542K (IC50=113 nM) and E545K (IC50=71 nM) helical domain mutants, but not alpelisib (Cat# PC-20590).
STX-478 also demonstrates exquisite kinome-wide selectivity against a panel 373 kinases representing approximately 70% of the human kinome at 10 uM, including PI3Kβ, PI3Kδ, and PI3Kγ isoforms, with only one exception of AurB kinase (IC50=1.6 μM).
STX-478 selectively inhibits the proliferation of cell lines with kinase-domain and helical-domain mutations compared with cells expressing WT PI3Kα.
STX-478 selectively targets PI3Kα activity and cell viability in PI3Kα mutant cells.
STX-478 (100 mg/kg QD) exhibits robust anti-tumor efficacy in PI3Kα-mutant tumors without metabolic dysregulation in mice.
STX-478 is efficacious across a panel of PI3Kα-mutant CDX and PDX 17 tumors, without evidence of insulin resistance.

References

Buckbinder L, et al. ***Cancer Discov.*** 2023 Aug 25:CD-23-0396.

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