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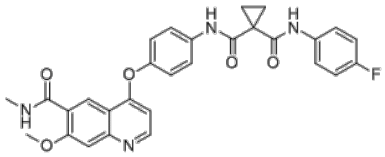
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	XL092
Cat. No.	:	PC-49513
CAS No.	:	2367004-54-2
Molecular Formula	:	$C_{29}H_{25}FN_4O_5$
Molecular Weight	:	528.54
Target	:	c-Met (HGFR)
Solubility	:	10 mM in DMSO



Biological Activity

XL092 (Zanzalintinib, XL-092) is a novel small molecule **multi-receptor tyrosine kinase (RTK)** inhibitor, targets **MET** (IC50=3.0 nM), VEGFR2 (IC50=15.0 nM), and the TAM kinases TYRO3, AXL (IC50=5.8 nM), and MER (IC50=0.6 nM).
XL092 exhibits ≥70% inhibition of additional RTKs and TKs in profiling a panel of 405 protein kinases at a single concentration of 1 uM, shows no activity against serine/threonine kinases.
XL092 inhibited auto-phosphorylation of MET (PC-3 and Hs 746T cells), AXL (A-172 cells), VEGFR2 (HUVEC), MER (transfected
293A cells), and TYRO3 (transfected 293A cells) with IC50 ranging from 1.6 nM (VEGFR2) to 306 nM (TYRO3).
XL092 reduced the proliferation of several human tumor cell lines, including SNU-5 cells (IC50 98.9 nM), which harbor an amplification of the MET gene, and HUVEC cells (IC50 10.4 nM).
XL092 caused a dose-dependent decrease in the phosphorylation of MET in SNU-5 tumors in vivo, plasma concentrations of XL092 in the range of 1.9-7.6 μM resulted in 26%-67% inhibition of MET phosphorylation in the SNU-5 xenografts.
XL092 robustly inhibited the phosphorylation of MET and AXL in Hs 746T tumors.
XL092 promoted M2 to M1 repolarization of macrophages in vitro and inhibited primary human macrophage efferocytosis in a dose-dependent manner.
XL092 inhibits tumor growth in vivo in a dose-dependent manner in four different human xenograft murine models using the NCI-H441, Hs 746T, SNU-5, and MDA-MB-231 cell lines.
XL092 enhanced tumor growth inhibition in combination with immune checkpoint inhibitors (ICIs).

References

Jeff Hsu, et al. ***Mol Cancer Ther.*** 2022 Nov 18;MCT-22-0262.

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