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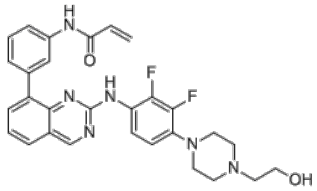
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	CK-101
Cat. No.	:	PC-61597
CAS No.	:	1660963-42-7
Molecular Formula	:	$C_{29}H_{28}F_2N_6O_2$
Molecular Weight	:	530.58
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

CK-101 (Olafertinib, RX-518) is a novel potent, mutant-selective, irreversible, orally available **EGFR** inhibitor, overcomes T790M-mediated resistance in NSCLC.
CK-101 (Olafertinib, RX-518) specifically targets the mutant forms of EGFR, including T790M, while exhibiting minimal activity toward WT EGFR.
CK-101 (Olafertinib, RX-518) selectively inhibits cell proliferation of cell lines expressing both the activating (HCC827, IC50 <15 nM) and resistance mutations (NCI-H1975, IC50 <5 nM).
CK-101 (Olafertinib, RX-518) significantly inhibits tumor growth in EGFR-mutated NSCLC tumor xenograft models, with no activity in WT EGFR tumor xenograft model.

References

Xiangping Qian, et al. Abstract 2078: CK-101 (RX518), a mutant-selective inhibitor of EGFR that overcomes T790M-mediated resistance in NSCLC. DOI: 10.1158/1538-7445.AM2017-2078

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