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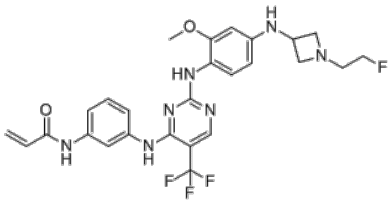
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	CNX2006
Cat. No.	:	PC-38035
CAS No.	:	1375465-09-0
Molecular Formula	:	$C_{26}H_{27}F_4N_7O_2$
Molecular Weight	:	545.53
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

CNX2006 (CNX-2006) is a potent, covalent, mutant-selective **EGFR** inhibitor with IC50 of <20 nM, weak inhibition at wild-type EGFR.
CNX2006 inhibits the phosphorylation of EGFR-T790M alone or the T790M mutation in cis with activating mutations with IC50 of 46 and 61 nM in NSCLC cell lines NCI-H1975 and PC9GR4, respectively.
CNX-2006 affected the WT-EGFR only at concentrations 10-fold higher than the ones necessary to inhibit mutated receptor.
CNX-2006 is also active against rare EGFR mutations, including EGFR-G719S, -ex19ins (I744-K745insKIPVAI), -L861Q, -ex20ins (H773-V774HVdup), and -T854A.
CNX-2006 inhibits mutant-EGFR cell proliferation by inducing apoptosis in vitro. CNX-2006 inhibits EGFR-T790M tumor growth in vivo.

References

Galvani E, et al. ***Oncotarget.*** 2015 Dec 15;6(40):42717-32.

Mizuuchi H, et al. ***Cancer Sci.*** 2016 Apr;107(4):461-8.

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