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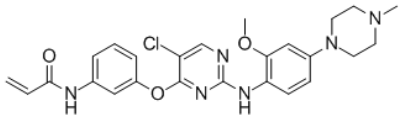
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	WZ4002
Cat. No.	:	PC-42841
CAS No.	:	1213269-23-8
Molecular Formula	:	$C_{25}H_{27}ClN_6O_3$
Molecular Weight	:	494.9733
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

WZ4002 is a potent, mutant-selective, covalent **EGFR** inhibitor with IC50 of 2, 8, 3 and 2 nM for EGFR L858R, EGFR L858R/T790M, EGFR E746-A750 and EGFRE746-A750/T790M, respectively.
WZ4002 increases cellular potency correlated with inhibition of EGFR, AKT and ERK1/2 phosphorylation in NSCLC cell lines and EGFR phosphorylation in NIH-3T3 cells expressing different EGFRT790M mutant alleles.
WZ4002 inhibits EGFR kinase activity of recombinant L858R/T790M protein more potently than of WT EGFR.
WZ4002 suppresses the growth of erlotinib-resistant tumors caused by gatekeeper T790M mutation combined with Met kinase inhibitor E-7050 in vivo.

References

Sakuma Y, et al. ***Lab Invest.*** 2012 Mar;92(3):371-83.

Zhou W, et al. ***Nature***. 2009 Dec 24;462(7276):1070-4.

Nakagawa T, et al. ***Mol Cancer Ther.*** 2012 Oct;11(10):2149-57.

Ercan D, et al. ***Cancer Discov***. 2012 Oct;2(10):934-47.

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