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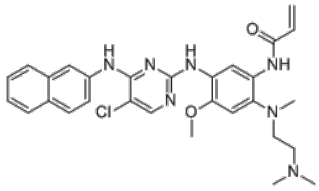
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ASK120067
Cat. No.	:	PC-38347
CAS No.	:	1934259-00-3
Molecular Formula	:	$C_{29}H_{32}ClN_7O_2$
Molecular Weight	:	546.072
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

ASK120067 (Limertinib) is a novel third-generation inhibitor of **EGFR T790M** (L858R/T790M IC50=0.3 nM, T790M IC50=0.5 nM), with selectivity over EGFR WT (IC50=6 nM).
ASK120067 potently inhibited the EGFR L858R/T790M and EGFR T790M resistant mutants, with IC50 of 0.3 nM and 0.5 nM, respectively, as well as the EGFR exon19del sensitizing mutant (IC50= 0.5 nM).
ASK120067 also displayed a favorable selectivity profile against a panel of 258 kinases.
ASK120067 selectively inhibits the growth of EGFR-mutant cell lines and induces apoptosis, with IC50 values of 12 nM, 6 nM and 2 nM against NCI-H1975, PC-9, and HCC827 cells, respectively.
ASK120067 dose-dependently inhibited EGF-induced EGFR L858R/T790M phosphorylation and consequent activation of the downstream molecules AKT and ERK in NCI-H1975 cells, with similar or even more effective potency than osimertinib.
ASK120067 (5, 10 mg/kg once daily) demonstrated profound and selective antitumor efficacy in EGFR-mutant xenograft models in vivo.

References

Zhang T, et al. ***Mol Cancer.*** 2020 May 13;19(1):90.

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