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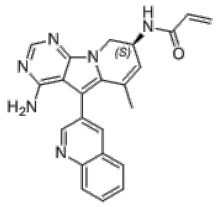
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TAS6417
Cat. No.	:	PC-63499
CAS No.	:	1661854-97-2
Molecular Formula	:	$C_{23}H_{20}N_6O$
Molecular Weight	:	396.454
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

Zipalertinib (TAS6417, CLN-081) is a novel potent, selective inhibitor of **EGFR exon 20 insertion mutations** with IC50 of 1.1-8.0 nM, >100-fold selectivity over WT EGFR.
Zipalertinib (TAS6417) fits into the ATP-binding site of the EGFR hinge region.
Zipalertinib (TAS6417) inhibits EGFR phosphorylation and downstream molecules in NSCLC cell lines expressing EGFR exon 20 insertions (IC50=20-100 nM), resulting in caspase activation.
Zipalertinib (TAS6417) inhibits the proliferation of Ba/F3 cells driven by various EGFR exon 20 insertion mutations with IC50 of 5.05-150 nM.
Zipalertinib (TAS6417) causes persistent tumor regression in vivo in EGFR exon 20 insertion-driven tumor models.

References

Hasako S, et al. ***Mol Cancer Ther.*** 2018 May 10. pii: molcanther.1206.2017.

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