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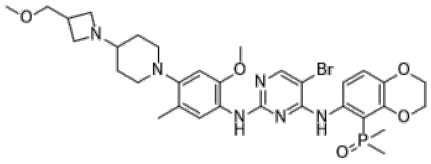
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	HS-10375
Cat. No.	:	PC-24741
CAS No.	:	2567456-25-9
Molecular Formula	:	$C_{32}H_{42}BrN_6O_5P$
Molecular Weight	:	701.60
Target	:	EGFR
Solubility	:	10 mM in DMSO



CAS: 2567456-25-9

Biological Activity

HS-10375 is a potent, selective **EGFR C797S** tyrosine kinase inhibitor, shows potent inhibitory activity against EGFR C797S mutations (Del19/T790M/C797S, L858R/T790M/C797S, Del19/C797S, and L858R/C797S), and common and/or T790M mutations (Del19, T790M, L858R/T790M, and Del19/T790M) with IC50 < 1.5 nM.
HS-10375 shows weaker activity against wild type EGFR with IC50 of 9.1 nM.
HS-10375 binds deeply in the ATP-binding pocket and interacts with Met790 and Lys745 of EGFR T790M/C797S.
HS-10375 shows strong cell growth inhibition against Ba/F3 EGFR Del19/T790M/C797S cells with IC50 of 24.87 nM, but the IC50 of HS-10375 against EGFR-wildtype A431 cells is 148.93 nM.
HS-10375 potently inhibits EGFR Del19/T790M/C797S phosphorylation with an IC50 of 24 nM, at least 139 times more potently than gefitinib, afatinib, or osimertinib.
HS-10375 robustly inhibits EGFR signaling and phosphorylated EGFR (p-EGFR) at 100-1000 nM against Ba/F3 EGFR-L858R, L858R/C797S, L858R/T790M/C797S, Del19/C797S, and Del19/T790M/C797S, induces remarkable apoptosis against EGFR that harbored C797S.
HS-10375 (20 mg/kg, oral) showed dose-dependent inhibition of tumor growth in mice bearing PC9 EGFR-Del19/T790M/C797S, Ba/F3 EGFR-Del19/T790M/C797S, and Ba/F3 EGFR L858R/T790M/C797S xenografts or allografts.

References

Zhan J, et al. ***J Transl Med***. 2025 Jun 4;23(1):628.

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