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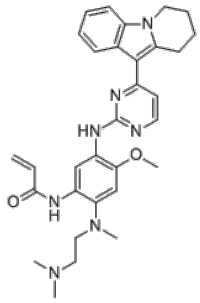
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Oritinib
Cat. No.	:	PC-38344
CAS No.	:	2035089-28-0
Molecular Formula	:	$C_{31}H_{37}N_7O_2$
Molecular Weight	:	539.684
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

Oritinib (SH-1028) is an irreversible third-generation **EGFR** inhibitor, selectively and specifically inhibits EGFR active mutations and T790M resistance mutations, sparing wild-type EGFR.
Oritinib (SH-1028) exhibited potent inhibition against EGFR TKI-sensitive mutation and EGFR T790M mutation in vitro and in vivo.
Oritinib (SH-1028) and its metabolite (Imp3) can result in greater selectivity for wild-type EGFR, which is distinct from AZ5104 (a major metabolite of osimertinib).
Oritinib (SH-1028) potently inhibits EGFRL858R, EGFRL861Q, EGFRL858R/T790M, EGFRd746-750 and EGFRd746–750/T790M kinases, with IC50 values of 2.35, 13, 0.55, 1.6 and 0.84 nM, respectively.
Oritinib (SH-1028) displays >80 times greater potency against L858R/T790 M than wild-type EGFR.
Oritinib (SH-1028) demonstrated a stronger inhibitory effect on EGFRL858R and similar inhibitory effects on EGFRL858R/T790M, EGFRd746-750 and EGFRd746-750/T790M, compared with osimertinib.
Oritinib (SH-1028) selectively inhibited EGFR-mutated NCI-H1975, H3255 and PC-9 cells, with IC50 values of 3.93, 9.39 and 7.63 nM, respectively, which were about 198-, 83- and 102-fold more sensitive than the inhibition of wild-type EGFR in A431 cells.
Oritinib (SH-1028) (5 mg/kg/day) inhibited EGFR-mutant tumor progression but not WT EGFR in both PC-9 (exon 19 del) and NCI-H1975 (L858R/T790M) xenograft models.

References

Han L, et al. ***Front Pharmacol.*** 2021 Apr 27;12:665253.

Nagasaka M, et al. ***J Thorac Oncol.*** 2021 May;16(5):740-763.

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