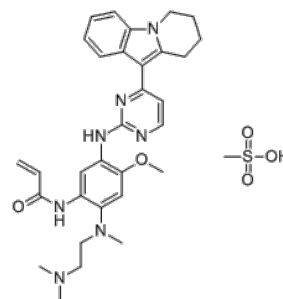


Product Name : Oritinib mesylate
Cat. No. : PC-38345
CAS No. : 2180164-79-6
Molecular Formula : C₃₂H₄₁N₇O₅S
Molecular Weight : 635.784
Target : EGFR
Solubility : 10 mM in DMSO



Biological Activity

Oritinib mesylate (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 EGFR L858R, EGFR L861Q, EGFR L858R/T790M, EGFR d746-750 and EGFR d746-750/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/T790M than wild-type EGFR.

Oritinib (SH-1028) demonstrated a stronger inhibitory effect on EGFR L858R and similar inhibitory effects on EGFR L858R/T790M, EGFR d746-750 and EGFR d746-750/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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com