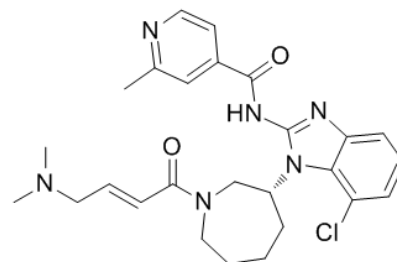


Product Name : EGF816
Cat. No. : PC-43273
CAS No. : 1508250-71-2
Molecular Formula : C₂₆H₃₁ClN₆O₂
Molecular Weight : 495.0163
Target : EGFR
Solubility : DMSO: ≥ 242 mg/mL



Biological Activity

EGF816 (Nazartinib) is a potent, irreversible, mutant-selective **EGFR** inhibitor with K_i and K_{inact} of 31 nM and 0.222 min⁻¹ for EGFR (L858R/T790M), respectively.

EGF816 (Nazartinib) shows potent inhibition of pEGFR levels in H3255, HCC827, and H1975 with EC_{50} of 5, 1, and 3 nM, which harbor the L858R, Ex19del, and L858R/T790M mutations, respectively, inhibits cell proliferation with EC_{50} of 9, 11, and 25 nM, but not the WT EGFR-containing patient-derived cell lines.

EGF816 (Nazartinib) potently inhibits the most common EGFR mutations L858R, Ex19del, and T790M in vitro.

EGF816 (Nazartinib) causes tumor regressions in vivo in several patient-derived xenograft models, also demonstrates antitumor activity in exon 20 insertion mutant model.

References

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Jia Y, et al. *Cancer Res*. 2016 Mar 15;76(6):1591-602.

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

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