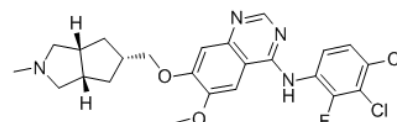


Product Name : XL-647
Cat. No. : PC-43486
CAS No. : 781613-23-8
Molecular Formula : C₂₄H₂₅Cl₂FN₄O₂
Molecular Weight : 491.39
Target : EGFR
Solubility : DMSO: ≥ 30 mg/mL



Biological Activity

XL-647 (Tesevatinib, EXEL-7647, KD-019) is a novel spectrum-selective kinase inhibitor that potently inhibits the **EGFR**, ErbB2, KDR and EphB4 with IC₅₀ of 0.3, 16, 1.5 and 1.4 nM, respectively.

XL-647 shows no inhibition on IGF1R and InsR, also is inactive against a panel of 55 serine-threonine kinases, including CDKs, stress-activated protein kinases, and PKC isoform.

XL-647 inhibits WT and mutant EGFR phosphorylation in cells with IC₅₀ of 5 and 74 nM, inhibits mutant EGFR resistant to gefitinib and erlotinib, inhibits cellular proliferation and EGFR pathway activation in the erlotinib-resistant H1975 cell line that harbors double mutation L858R/T790M.

XL-647 inhibits H1975 xenograft tumors and reduces both tumor EGFR signaling and tumor vessel density in vivo.

References

Pietanza MC, et al. *J Thorac Oncol*. 2012 Jan;7(1):219-26.

Gendreau SB, et al. *Clin Cancer Res*. 2007 Jun 15;13(12):3713-23.

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

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