XL647

Kinase Inhibitor

E1KS1083

Kinase Inhibitor Name:XL647

Catalog Number: E1KS1083

Quantity:5 mg

M.W.: 491.39

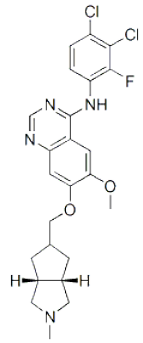
Formula: $C_{24}H_{25}Cl_2FN_4O_2$

Solubility:

Purity: >99%

Storage: at -20℃ 2 years

CAS No.: 651031-01-5



Biological Activity

The activity of EXEL-7647 (XL647), a novel spectrum-selective kinase inhibitor with potent activity against the EGF and vascular endothelial growth factor receptor tyrosine kinase families, against both wild-type (WT) and mutant EGFR in vitro and in vivo[1,2] .

EXEL-7647 potently inhibits the EGFR and ErbB2 with IC50 0.3 and 16 nM respectively[2].

EXEL-7647 inhibits cellular proliferation and EGFR pathway activation in the erlotinib-resistant H1975 cell line that harbors a double mutation (L858R and T790M) in the EGFR gene. EXEL-7647 substantially inhibited the growth of H1975 xenograft tumors and reduced both tumor EGFR signaling and tumor vessel density. Additionally, EXEL-7647 substantially inhibited the growth and vascularization of MDAMB-231 xenografts, a model which is more reliant on signaling through vascular endothelial growth factor receptors[2] .

References

EXEL-7647 inhibits mutant forms of ErbB2 associated with lapatinib resistance and neoplastic transformation. Trowe T et al. Clin Cancer Res. 2008 Apr 15;14(8):2465-75.

Inhibition of the T790M gatekeeper mutant of the epidermal growth factor receptor by EXEL-7647. Gendreau SB, et al. Clin Cancer Res. 2007 Jun 15;13(12):3713-23

The pharmacological and toxicological properties of this product have not been fully investigated. Exercise caution in use and handling. This product must not be used in humans.