Product Datasheet

EGF816

Catalog No. **BP-01896** · CAS **1508250-71-2** · Form **free base** · Physical state **solid** · Color **off-white to yellow** · Version **1.0** · Revision **2026-09-04**

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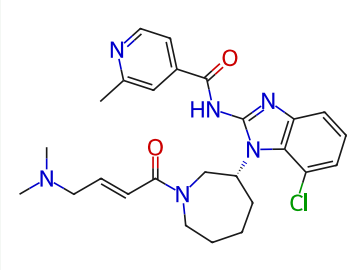
Target	Research area
EGFR	**Cancer**

Molecular formula	$C_{26}H_{31}ClN_6O_2$
Molecular weight	**495.0160**

STRUCTURE



DESCRIPTION

Nazartinib (EGF816) is a covalent mutant-selective EGFR inhibitor, with Ki and Kinact of 31 nM and 0.222 min−1 on EGFR(L858R/790M) mutant, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Nazartinib (EGF816) has inhibitory effect on the mutant cell lines with IC50s of 4, 6, 2 nM in H1975, H3255, and HCC827, respectively, and demonstrates improved ADME and PK properties. Nazartinib (EGF816) shows potent inhibition of pEGFR levels in H3255, HCC827, and H1975 cell lines with EC50 values of 5, 1, and 3 nM, respectively. Nazartinib inhibits cell proliferation, with EC50 values of 9, 11, and 25 nM in H3255, HCC827, and H1975, respectively. Nazartinib has an OC50 (compound concentration at 50% occupancy) value of 2 and 5 nM on HCC827 and H1975, respectively.

In Vivo

In H1975 mouse xenograft model, Nazartinib (EGF816; 50 and 20 mg/kg or 25 mg/kg, p.o.) demonstrates dose-dependent efficacy with near complete tumor cells regression at the highest dose tested (50 mg/kg). In H1975 mouse model, Nazartinib (EGF816; 10 mg/kg, p.o.) induces tumor growth inhibition with a T/C (tumor/control volume) of 29%, and when doses are 30 and 100 mg/kg, tumor regressions are achieved (T/C, -61% and -80%, respectively). In the H3255 xenograft model, Nazartinib (30 mg/kg, p.o.) shows significant antitumor activity. Antiproliferative activity of Nazartinib on 89 lung cancer cell lines indicates that Nazartinib selectively inhibits cell lines containing EGFR with catalytic domain mutations.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Lelais G, et al. Discovery of (R,E)-N-(7-Chloro-1-(1-[4-(dimethylamino)but-2-enoyl]azepan-3-yl)-1H-benzo[d]imidazol-2-yl)-2-methylisonicotinamide (EGF816), a Novel, Potent, and WT Sparing Covalent Inhibitor of Oncogenic (L858R, ex19del) and Resistant (T79

[2]. Jia Y, et al. EGF816 Exerts Anticancer Effects in Non-Small Cell Lung Cancer by Irreversibly and Selectively Targeting Primary and Acquired Activating Mutations in the EGF Receptor. Cancer Res. 2016 Mar 15;76(6):1591-602

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