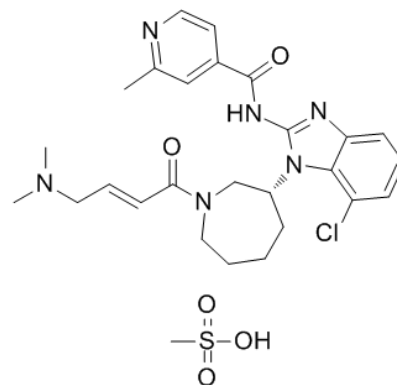


**Product Name** : EGF816 mesylate  
**Cat. No.** : PC-43274  
**CAS No.** : 1508250-72-3  
**Molecular Formula** : C<sub>27</sub>H<sub>35</sub>ClN<sub>6</sub>O<sub>5</sub>S  
**Molecular Weight** : 591.122  
**Target** : EGFR  
**Solubility** : 10 mM in DMSO



## 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<sup>-1</sup>, respectively; 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; potently inhibits the most common EGFR mutations L858R, Ex19del, and T790M in vitro; causes tumor regressions in vivo in several patient-derived xenograft models, also demonstrates antitumor activity in exon 20 insertion mutant model.

Lung Cancer  
Phase 3 Clinical

## References

- Lelais G, et al. J Med Chem. 2016 Jul 28;59(14):6671-89.  
Jia Y, et al. Cancer Res. 2016 Mar 15;76(6):1591-602.  
Liao BC, et al. Lung Cancer. 2017 Aug;110:7-13.

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

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