

Product Data Sheet

Product Name: Nazartinib mesylate

Cat. No.: GC36699

Chemical Properties

Cas. No. 1508250-72-3

SMILES O=C(C1=CC(C)=NC=C1)NC2=NC3=CC=CC(CI)=C3N2[C@H]4CN(C/C=C/CN(C)C)=O)CCCC4.CS(=O)(O)=O

Formula C₂₇H₃₅ClN₆O₅S

M.Wt

591.12

Solubility Soluble in DMSO

Storage

Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath tips for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice Condition upon request.

Structure

Background

Nazartinib is an irreversible inhibitor of mutant EGF receptors (EGFRs).¹ It is selective for EGFR mutant cell lines, including H3255 and HCC827 lung adenocarcinoma cells (IC₅₀s = 6.11 and 1.52 nM, respectively) and resistant H1975 non-small cell lung cancer cells (NSCLC; IC₅₀ = 4.18 nM), over cells expressing wild-type EGFRs (IC₅₀ = 160.6 nM for HaCaT keratinocytes). Nazartinib decreases phosphorylation of EGFR in H3255, HCC827, and H1975 cells (EC₅₀s = 5, 1, and 3 nM, respectively) and inhibits cell proliferation (EC₅₀s = 9, 11, and 25 nM, respectively) but does not affect cell proliferation in cell lines containing wild-type EGFR.² It reduces tumor growth in an HCC827 lung adenocarcinoma mouse xenograft model when administered at doses ranging from 3 to 100 mg/kg per day for 21 days.

1. Lelais, G., Eppele, R., Marsilje, T.H., 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 (T790M) EGFR mutants for the treatment of EGFR mutant non-small-cell lung cancers. *J. Med. Chem.* 59(14)6671-6689(2016) 2. Jia, Y., Juarez, J., Li, J., 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.* 76(6)1591-1602(2016)

Caution: Product has not been fully validated for medical applications. For research use only.

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