

Product Data Sheet

Product Name: Poziotinib

Cat. No.: GC17916

Chemical Properties

Cas. No. 1092364-38-9

Chemical Name 1-(4-((4-((3,4-dichloro-2-fluorophenyl)amino)-7-methoxyquinazolin-6-yl)oxy)piperidin-1-yl)prop-2-en-1-one

SMILES C=CC(N1CCC(OC2=C(OC)C=C3C(C(NC4=C(F)C(Cl)=C(Cl)C=C4)=NC=N3)=C2)CC1)=O

Formula $C_{23}H_{21}Cl_2FN_4O_3$

M.Wt 491.34

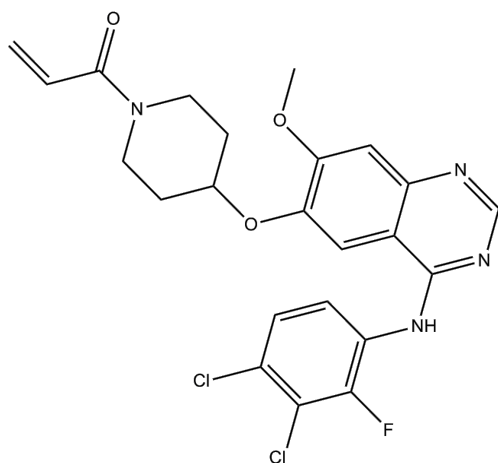
Solubility $\geq 94.2\text{mg/mL}$ in DMSO

Storage Store at -20°C

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

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

Structure



Background

Poziotinib (HM781-36B) is an irreversible pan-HER inhibitor with IC₅₀ values of 3.2, 5.3 and 23.5 nM for HER1, HER2 and HER4, respectively [1].

Epidermal growth factor receptors (EGFR/HER1, HER2/ErbB2, HER3/ErbB3, HER4/ErbB4) are

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receptor tyrosine kinases and play important roles in cell proliferation and differentiation. The EGFR family is a major target of anticancer drugs [1].

Pozotinib is an irreversible pan-HER inhibitor. In HER2 amplified SNU216 and N87 gastric cancer cells, HM781-36B inhibited cell growth with IC₅₀ values of 4 and 1 nM, respectively. Also, HM781-36B potently inhibited the phosphorylation of HER family and downstream proteins such as STAT3, AKT and ERK, and induced G1 arrest and apoptosis. HM781-36B dose-dependently increased the amount of cleaved form of effector caspases (caspase-3 and caspase-7) and PARP, reduced antiapoptotic proteins BCL-2 and MCL-1, and induced proapoptotic protein BIM [1]. In HER2-amplified breast cancer cells, HM781-36B inhibited cell growth with IC₅₀ values of 1, 1.2 and 9.5 nM for SK-BR-3, BT474, and MDA-MB-453 cells, respectively [2].

In nude mouse bearing N87 human gastric cancer xenograft model, HM781-36B significantly inhibited tumor growth and exhibited a synergistic effect when administered with chemotherapeutic agents [1].

References:

- [1]. Nam HJ, Kim HP, Yoon YK, et al. Antitumor activity of HM781-36B, an irreversible Pan-HER inhibitor, alone or in combination with cytotoxic chemotherapeutic agents in gastric cancer. *Cancer Lett*, 2011, 302(2): 155-165.
- [2]. Kim HJ, Kim HP, Yoon YK, et al. Antitumor activity of HM781-36B, a pan-HER tyrosine kinase inhibitor, in HER2-amplified breast cancer cells. *Anticancer Drugs*, 2012, 23(3): 288-297.

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