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	≥ 94.2mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Poziotinib		

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

Poziotinib 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].

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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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