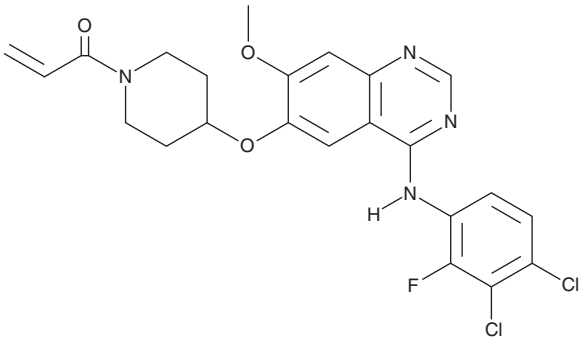
PRODUCT INFORMATION

Poziotinib

Item No. 22437

CAS Registry No.: 1092364-38-9
Formal Name: 1-[4-[[4-[(3,4-dichloro-2-fluorophenyl) amino]-7-methoxy-6-quinazolinyl]oxy]-1-piperidinyl]-2-propen-1-one
Synonym: HM781-36B
MF: $C_{23}H_{21}Cl_2FN_4O_3$
FW: 491.3
Purity: ≥95%
UV/Vis.: λ_{max}: 231, 251, 331 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Poziotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the poziotinib in the solvent of choice, which should be purged with an inert gas. Poziotinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of poziotinib in ethanol is approximately 0.3 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Poziotinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, poziotinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Poziotinib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Poziotinib is a potent inhibitor of EGFR family kinases with IC_{50} values of 3.2, 5.3, 23.5, 4.2, and 2.2 nM for wild-type EGFR, HER2, HER4, $EGFR^{T790M}$, and $EGFR^{L858R/T790M}$, respectively.[1] It has greater than 100- to 1,000-fold selectivity for EGFR kinases over 30 other tested kinases *in vitro*. Poziotinib inhibits growth of wild-type and mutant EGFR kinase-dependent lung, breast, and gastric cancer cell lines (GI_{50}s = 0.6-5.7 nM) and inhibits EGFR phosphorylation and induces apoptosis *in vitro*. *In vivo*, poziotinib reduces tumor size in an HCC827 non-small cell lung cancer mouse xenograft model.

Reference

1. Cha, M.Y., Lee, K.-O., Kim, M., *et al.* Antitumor activity of HM781-36B, a highly effective pan-HER inhibitor in erlotinib-resistant NSCLC and other EGFR-dependent cancer models. *Int. J. Cancer* **130(10)**, 2445-2454 (2012).

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