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.: \lambda_{\text{max}} = 231, 251, 331 \text{ 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. Poziotinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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} = 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. Formulations containing poziotinib are under investigation in clinical trials for the treatment of EGFR-mutant lung adenocarcinoma.\(^2\)

**References**