# PRODUCT INFORMATION

## Poziotinib

*Item No. 22437*

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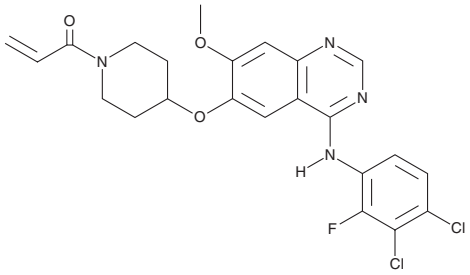
| **CAS Registry No.:** | 1092364-38-9 |
| **Formal Name:** | 1-[4-[[4-[(3,4-dichloro-2-fluorophenyl) amino]-7-methoxy-6-quinazolinyl]oxy]-1-piperidinyl]-2-propen-1-one |
| **Synonym:** | HM781-36B |
| **MF:** | $C_{23}H_{21}Cl_2FN_4O_3$ |
| **FW:** | 491.3 |
| **Purity:** | ≥95% |
| **UV/Vis.:** | $\lambda_{max}$: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



**WARNING**
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

**SAFETY DATA**
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

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