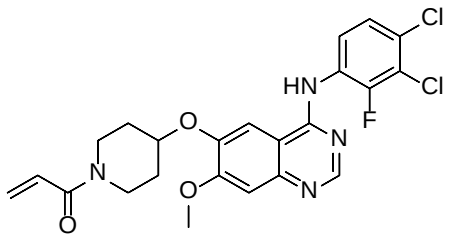
Product data sheet

MedKoo Cat#: 205846 Name: Poziotinib CAS#: 1092364-38-9 (free base) Chemical Formula: $C_{23}H_{21}Cl_2FN_4O_3$ Exact Mass: 490.0975 Molecular Weight: 491.34	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Poziotinib , also known as HM781-36B and NOV120101, is an orally bioavailable, quinazoline-based pan epidermal growth factor receptor (EGFR or HER) inhibitor with potential antineoplastic activity. HM781-36B irreversibly inhibits EGFR (HER1 or ErbB1), including EGFR mutants, HER2, and HER4, thereby inhibiting the proliferation of tumor cells that overexpress these receptors. EGFRs, cell surface receptor tyrosine kinases, are often upregulated in a variety of cancer cell types and play key roles in cellular proliferation and survival.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	20.0	40.7

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.04 mL	10.18 mL	20.35 mL
5 mM	0.41 mL	2.04 mL	4.07 mL
10 mM	0.20 mL	1.02 mL	2.04 mL
50 mM	0.04 mL	0.20 mL	0.41 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Cha MY, Lee KO, Kim M, Song JY, Lee KH, Park J, Chae YJ, Kim YH, Suh KH, Lee GS, Park SB, Kim MS. Antitumor activity of HM781-36B, a highly effective pan-HER inhibitor in erlotinib-resistant NSCLC and other EGFR-dependent cancer models. Int J Cancer. 2012 May 15;130(10):2445-54. doi: 10.1002/ijc.26276. Epub 2011 Aug 24. PMID: 21732342.
2. Lee H, Kim JW, Choi DK, Yu JH, Kim JH, Lee DS, Min SH. Poziotinib suppresses ovarian cancer stem cell growth via inhibition of HER4-mediated STAT5 pathway. Biochem Biophys Res Commun. 2020 May 21;526(1):158-164. doi: 10.1016/j.bbrc.2020.03.046. Epub 2020 Mar 20. PMID: 32201081.

In vivo study

1. Cha MY, Lee KO, Kim M, Song JY, Lee KH, Park J, Chae YJ, Kim YH, Suh KH, Lee GS, Park SB, Kim MS. Antitumor activity of HM781-36B, a highly effective pan-HER inhibitor in erlotinib-resistant NSCLC and other EGFR-dependent cancer models. Int J Cancer. 2012 May 15;130(10):2445-54. doi: 10.1002/ijc.26276. Epub 2011 Aug 24. PMID: 21732342.

7. Bioactivity

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Biological target: Poziotinib (HM781-36B) is a pan-HER inhibitor, which effectively inhibits EGFRwt, HER-2 and HER-4 with IC50s of 3.2, 5.3 and 23.5 nM, respectively.

In vitro activity

Poziotinib, a pan-human epidermal growth factor receptor (HER) inhibitor, decreased sphere formation, viability, and proliferation, and induced G1 cell cycle arrest and apoptosis in ovarian CSCs (cancer stem cells). In addition, poziotinib suppressed stemness and disrupted downstream signaling of Wnt/β-catenin, Notch, and Hedgehog pathways, which contribute to many characteristics of CSCs. Interestingly, HER4 was overexpressed in ovarian CSCs and Poziotinib reduced the phosphorylation of STAT5, AKT, and ERK, which are regulated by HER4.

Reference: Biochem Biophys Res Commun. 2020 May 21;526(1):158-164.
https://www.sciencedirect.com/science/article/abs/pii/S0006291X20305271?via%3Dihub

In vivo activity

The in vivo activity of HM781-36B was assessed in xenograft mice models with various EGFR-dependent cancer cell lines. Daily oral treatments of HM781-36B at 0.3 mg/kg/day or 1 mg/kg/day for 10 days resulted in a dramatic reduction of tumor size with an 83% maximum inhibition rate (mIR, IR = [1 − (relative tumor growth in treated group/relative tumor growth in control group)] × 100) at 0.3 mg/kg/day without body-weight loss. The effect of HM781-36B on HCC827 tumor endothelium was evaluated by immunohistochemistry and a significant reduction in the expression level of pEGFR, pAKT and pERK was observed upon treatment with HM781-36B (0.3 mg/kg/day) for 10 days (Fig. 3b).

Reference: Int J Cancer. 2012 May 15;130(10):2445-54. https://onlinelibrary.wiley.com/doi/full/10.1002/ijc.26276

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.