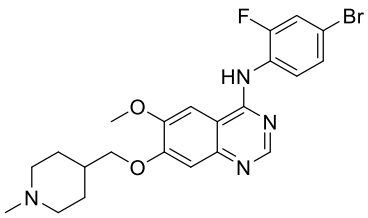
Product data sheet

MedKoo Cat#: 558308 Name: Vandetanib CAS#: 443913-73-3 (free base) Chemical Formula: $C_{22}H_{24}BrFN_4O_2$ Exact Mass: 474.10667 Molecular Weight: 475.35	
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:

Vandetanib is an orally bioavailable 4-anilinoquinazoline. Vandetanib selectively inhibits the tyrosine kinase activity of vascular endothelial growth factor receptor 2 (VEGF2), thereby blocking VEGF-stimulated endothelial cell proliferation and migration and reducing tumor vessel permeability. This agent also blocks the tyrosine kinase activity of epidermal growth factor receptor (EGFR), a receptor tyrosine kinase that mediates tumor cell proliferation and migration and angiogenesis. Vandetanib was the first drug to be approved by FDA (April 2011) for treatment of late-stage (metastatic) medullary thyroid cancer in adult patients who are ineligible for surgery. Vandetanib was approved in 2011.

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	22.5	47.33
DMF	2.0	4.21
DMF:PBS (pH 7.2) (1:1)	0.5	1.05

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.10 mL	10.52 mL	21.04 mL
5 mM	0.42 mL	2.10 mL	4.21 mL
10 mM	0.21 mL	1.05 mL	2.10 mL
50 mM	0.04 mL	0.21 mL	0.42 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. Li L, Yu J, Jiao S, Wang W, Zhang F, Sun S. Vandetanib (ZD6474) induces antiangiogenesis through mTOR-HIF-1 alpha-VEGF signaling axis in breast cancer cells. Onco Targets Ther. 2018 Nov 29;11:8543-8553. doi: 10.2147/OTT.S175578. PMID: 30555244; PMCID: PMC6278704.
2. Zhou Y, Zhang Y, Zou H, Cai N, Chen X, Xu L, Kong X, Liu P. The multi-targeted tyrosine kinase inhibitor vandetanib plays a bifunctional role in non-small cell lung cancer cells. Sci Rep. 2015 Feb 27;5:8629. doi: 10.1038/srep08629. PMID: 25720956; PMCID: PMC4342569.

In vivo study

Product data sheet

1. Osawa M, Ohashi K, Kubo T, Ichihara E, Takata S, Takigawa N, Takata M, Tanimoto M, Kiura K. Effect of Vandetanib on Lung Tumorigenesis in Transgenic Mice Carrying an Activating Egfr Gene Mutation. Acta Med Okayama. 2016 Aug;70(4):243-53. doi: 10.18926/AMO/54499. PMID: 27549668.
2. Hatem R, Labiod D, Château-Joubert S, de Plater L, El Botty R, Vacher S, Bonin F, Servely JL, Dieras V, Bièche I, Marangoni E. Vandetanib as a potential new treatment for estrogen receptor-negative breast cancers. Int J Cancer. 2016 May 15;138(10):2510-21. doi: 10.1002/ijc.29974. Epub 2016 Jan 6. PMID: 26686064.

7. Bioactivity

Biological target:

Vandetanib (D6474) is an inhibitor of VEGFR2/KDR tyrosine kinase activity (IC50=40 nM).

In vitro activity

As shown in Figure 1, vandetanib can significantly reduce cell proliferation compared with control and NC groups. About 12 hours after treatment, cell viability decreased about half by MTT assay. This study hypothesized that apoptosis might be the cause of this effect. Therefore, Annexin V/PI staining was performed for three groups at 24 hours after treatment. Flow cytometry assay showed that vandetanib group produced about four folds more Annexin V/PI double positive cells compared with other two groups. Cell cycle analysis was performed. As to G2/M phage, there was significant reduction compared with control group ($P<0.05$). As to G0/G1 phage, the percentage was improved ($P>0.05$). Thus, vandetanib inhibited the proliferation of breast cancer cells through regulating G2/M phage and subsequently contributed to growth inhibition.

Reference: Onco Targets Ther. 2018; 11: 8543–8553. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6278704/

In vivo activity

To investigate the antitumor activity of vandetanib in tumors harboring the activating Egfr mutation, 7-week-old transgenic mice were administered vandetanib (6mg/kg) or vehicle daily for 7 days, after which they were killed. After administering vandetanib for 2 days, the area occupied by tumor cells decreased compared with the pre-administration value (Fig. 1B, C). Furthermore, tumor cell disappeared in the seeing length after the administration of vandetanib for 7 days (Fig. 1D). The expression of total EGFR and total VEGFR2 in the lungs of the transgenic mice, as assessed by immunohistochemistry, was slightly suppressed in the vandetanib-treated animals compared to the animals treated with vehicle alone, while the pEGFR and pVEGFR levels were markedly decreased in the transgenic mice treated with vandetanib relative to those treated with vehicle (Fig. 2).

Reference: Acta Med Okayama. 2016 Aug;70(4):243-53. https://pubmed.ncbi.nlm.nih.gov/27549668/

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.