

Store at
-20°C

#12616

Vandetanib

5 mg

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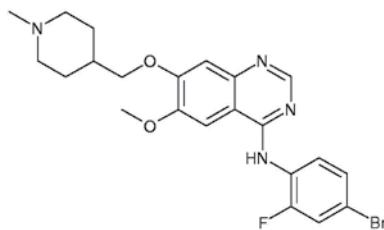
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Background: Vandetanib, also known as ZD6474, is a selective inhibitor of VEGFR and EGFR tyrosine kinases (1-5). Researchers have shown that vandetanib inhibits VEGFR-2, VEGFR-3, and EGFR in recombinant enzyme assays with IC_{50} values of 40 nM, 108 nM, and 500 nM, respectively, and had selectivity over a variety of other tyrosine and serine/threonine kinases (2). Vandetanib inhibits VEGF and EGF stimulated proliferation of HUVE cells with an IC_{50} of 60 nM and 170 nM, respectively (2), and effectively blocks VEGF and EGF induced autophosphorylation (3-5). Inhibition of RET by vandetanib has also been observed (6).

Molecular Formula: $C_{22}H_{24}BrFN_4O_2$

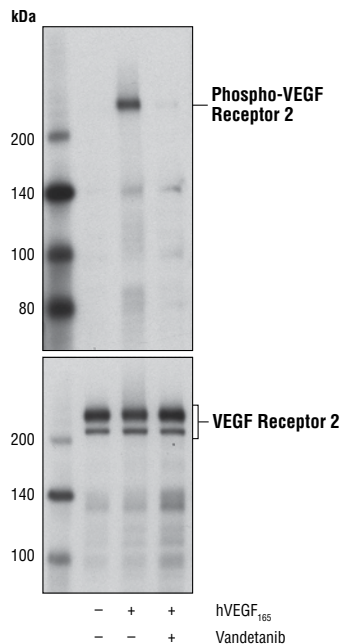


Molecular Weight: 475 g/mol

Solubility: Soluble in DMSO at 30 mg/ml; soluble in ethanol at 10 mg/ml with warming; very poorly soluble in water with maximum ~10-20 μ M.

Purity: >99%

Directions for Use: Vandetanib is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 5 mg in 1.05 ml DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used as a pretreatment at 1-10 μ M for 0.5-2 hr prior to treating with a stimulator. It can also be used alone, with varying treatment times lasting up to 24 hr.



Western blot analysis of extracts from HUVE cells serum-starved overnight, untreated (-) or pre-treated with Vandetanib (5 μ M, 2 hr; +) and treated with Human Vascular Endothelial Growth Factor (hVEGF₁₆₅) #8065 (50 ng/ml, 5 min; +) as indicated, using Phospho-VEGF Receptor 2 (Tyr1175) (19A10) Rabbit mAb #2478 (upper) or VEGF Receptor 2 (55B11) Rabbit mAb #2479 (lower).

Storage: Store lyophilized or in solution at -20°C, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.

Background References:

- (1) Morabito, A. et al. (2009) *Oncologist* 14, 378-90.
- (2) Wedge, S.R. et al. (2002) *Cancer Res* 62, 4645-55.
- (3) Jane, E.P. et al. (2009) *J Pharmacol Exp Ther* 331, 327-37.
- (4) McCarty, M.F. et al. (2004) *Mol Cancer Ther* 3, 1041-8.
- (5) Ciardiello, F. et al. (2003) *Clin Cancer Res* 9, 1546-56.
- (6) Carlomagno, F. et al. (2002) *Cancer Res* 62, 7284-90.

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