# PRODUCT INFORMATION

## Vandetanib

*Item No. 14706*

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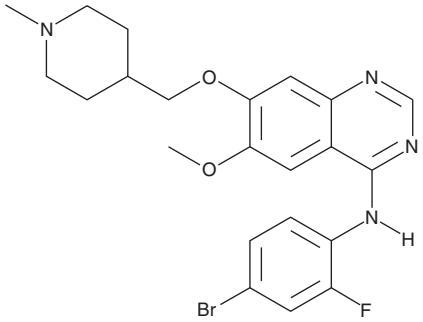
| **CAS Registry No.:** | 443913-73-3 |
| **Formal Name:** | N-(4-bromo-2-fluorophenyl)-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinamine |
| **Synonyms:** | CH 331, Zactima, ZD 6474 |
| **MF:** | $C_{22}H_{24}BrFN_4O_2$ |
| **FW:** | 475.4 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 217, 250, 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

Vandetanib is supplied as a crystalline solid. A stock solution may be made by dissolving the vandetanib in the solvent of choice, which should be purged with an inert gas. Vandetanib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of vandetanib in these solvents is approximately 2 mg/ml.

Vandetanib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, vandetanib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Vandetanib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Vandetanib is a multi-kinase inhibitor that inhibits VEGFR2, VEGFR3, VEGFR1, EGFR, PDGFRβ, Tie-2, and FGFR1 in cell-free assays ($IC_{50}$s = 40, 110, 1,600, 500, 1,100, 2,500, and 3,600 nM, respectively).[1,2] It also binds to 142 additional kinases in a panel of 442 kinases ($K_d$s = 4.6-7,900 nM). Vandetanib (1 and 2.5 µM) induces apoptosis and cell cycle arrest at the $G_0/G_1$ phase in GEO colon and OVCAR-3 ovarian cancer cells.[3] It inhibits proliferation of HAK1-B, KYN-2, and Huh7 hepatocarcinoma cells, as well as human umbilical vein endothelial cells (HUVECs), with $IC_{50}$ values of 10, 8.1, 9.4, and 7.1 µM, respectively.[4] Vandetanib (200 mg/kg) increases survival and decreases tumor angiogenesis and VEGFR2 levels in a D54MG glioblastoma mouse xenograft model.[5] It reduces tumor growth in a variety of mouse xenograft models, including lung, colon, and breast cancer models, when administered at doses of 25, 50, and 100 mg/kg per day.[1] Formulations containing vandetanib have been used in the treatment of medullary thyroid cancer.

### References


1. Wedge, S.R., Ogilvie, D.J., Dukes, M., *et al. Cancer Res.* **62(16)**, 4645-4655 (2002).
2. Davis, M.I., Hunt, J.P., Herrgard, S., *et al. Nat.Biotechnol.* **29(11)**, 1046-1051 (2011).
3. Ciardiello, F., Caputo, R., Damiano, V., *et al. Clin. Cancer Res.* **9(4)**, 1546-1556 (2003).
4. Inoue, K., Torimura, T., Nakamura, T., *et al. Clin. Cancer Res.* **18(14)**, 3924-3933 (2012).
5. Rich, J.N., Sathornsumetee, S., Keir, S.T., *et al. Clin. Cancer Res.* **11(22)**, 8145-8157 (2005).





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