

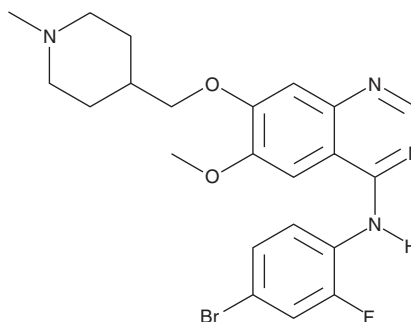
PRODUCT INFORMATION



Vandetanib

Item No. 14706

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: C₂₂H₂₄BrFN₄O₂
FW: 475.4
Purity: ≥98%
UV/Vis.: λ_{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 (IC₅₀s = 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_ds = 4.6-7,900 nM). Vandetanib (1 and 2.5 μM) induces apoptosis and cell cycle arrest at the G₀/G₁ 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 IC₅₀ 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

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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).

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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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM