

Small Molecules

Vandetanib

Tyrosine kinase inhibitor; Inhibits VEGFR, EGFR, FGFR, ABL, RET, SRC

Catalog # 73532
73534

10 mg
100 mg



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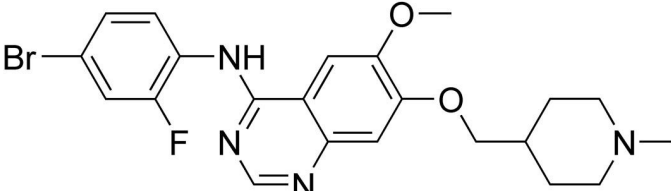
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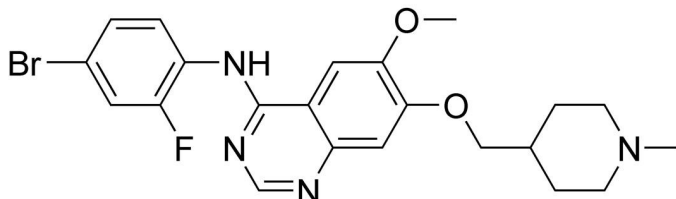
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Product Description

Vandetanib is a multi-kinase inhibitor, targeting primarily receptor tyrosine kinases, such as vascular endothelial growth factor receptors (VEGFR1, VEGFR2, VEGFR3), epidermal growth factor receptor (EGFR), and fibroblast growth factor receptor (FGFR; Morabito et al.). It most potently inhibits VEGFR2 with an IC₅₀ of 40 nM. It also inhibits non-receptor tyrosine kinases such as RET, ABL, and SRC as well as several serine/threonine kinases (Hennequin et al.; Carlomagno et al.; Kiselyov et al.; Levinson & Boxer).

Molecular Name:	Vandetanib
Alternative Names:	Caprelsa™, CH 331, Zactima, ZD 6474
CAS Number:	443913-73-3
Chemical Formula:	C ₂₂ H ₂₄ BrFN ₄ O ₂
Molecular Weight:	475.4 g/mol
Purity:	≥ 98%
Chemical Name:	N-(4-bromo-2-fluorophenyl)-6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinamine
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 4 mM For example, to prepare a 1 mM stock solution in DMSO, resuspend 10 mg in 21.0 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER

- Inhibits tumor growth in mouse xenograft models, including RET/PTC papillary thyroid carcinoma and lung carcinoma (Hennequin et al.; Carlomagno et al.).
- Inhibits angiogenesis, cell growth and metastasis in numerous cancer cell lines (Morabito et al.; Wedge et al.).

References

- Carlomagno F et al. (2002) ZD6474, an Orally Available Inhibitor of KDR Tyrosine Kinase Activity, Efficiently Blocks Oncogenic RET Kinases. *Cancer Res* 62(24): 7284–7290.
- Hennequin LF et al. (2002) Novel 4-anilinoquinazolines with C-7 basic side chains: design and structure activity relationship of a series of potent, orally active, VEGF receptor tyrosine kinase inhibitors. *J Med Chem* 45(6): 1300–12.
- Kiselyov AS et al. (2007) 1H-1,2,4-triazol-3-yl-anilines: novel potent inhibitors of vascular endothelial growth factor receptors 1 and 2. *Chem Biol Drug Des* 69(5): 331–7.
- Levinson NM & Boxer SG. (2012) Structural and spectroscopic analysis of the kinase inhibitor bosutinib and an isomer of bosutinib binding to the Abl tyrosine kinase domain. *PLoS One* 7(4): e29828.
- Morabito A et al. (2009) Vandetanib (ZD6474), a dual inhibitor of vascular endothelial growth factor receptor (VEGFR) and epidermal growth factor receptor (EGFR) tyrosine kinases: current status and future directions. *Oncologist* 14(4): 378–90.
- Wedge SR et al. (2002) ZD6474 inhibits vascular endothelial growth factor signaling, angiogenesis, and tumor growth following oral administration. *Cancer Res* 62(16): 4645–55.

Related Small Molecules

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