Vandetanib

Small Molecules

Tyrosine kinase inhibitor; Inhibits VEGFR1, KDR, FLT-4, EGFR, FGFR, ABL,

RET, and SRC

Catalog # 73532

73534

10 mg 100 mg



Scientists Helping Scientists™ | www.stemcell.com

TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713 INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM FOR GLOBAL CONTACT DETAILS VISIT OUR WEBSITE

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 IC_{50} 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: CH 331; Zactima; ZD 6474

CAS Number: 443913-73-3 Chemical Formula: $C_{22}H_{24}BrFN_4O_2$ Molecular Weight: 475.4 g/mol Purity: $\geq 98\%$

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: \cdot DMSO \leq 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.

Small Molecules Vandetanib



Published Applications

CANCER RESEARCH

- · 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): 7284–90.

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 AbI 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

For a complete list of small molecules available from STEMCELL Technologies, please visit our website at www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

This product is hazardous. Please refer to the Safety Data Sheet (SDS).

STEMCELL TECHNOLOGIES INC.'S QUALITY MANAGEMENT SYSTEM IS CERTIFIED TO ISO 13485. PRODUCTS ARE FOR RESEARCH USE ONLY AND NOT INTENDED FOR HUMAN OR ANIMAL DIAGNOSTIC OR THERAPEUTIC USES UNLESS OTHERWISE STATED.

Copyright © 2017 by STEMCELL Technologies Inc. All rights reserved including graphics and images. STEMCELL Technologies & Design, STEMCELL Shield Design and Scientists Helping Scientists are trademarks of STEMCELL Technologies Canada Inc. All other trademarks are the property of their respective holders. While STEMCELL has made all reasonable efforts to ensure that the information provided by STEMCELL and its suppliers is correct, it makes no warranties or representations as to the accuracy or completeness of such information.