Small Molecules

Vandetanib

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

Catalog #	73532	10 mg
	73534	100 mg

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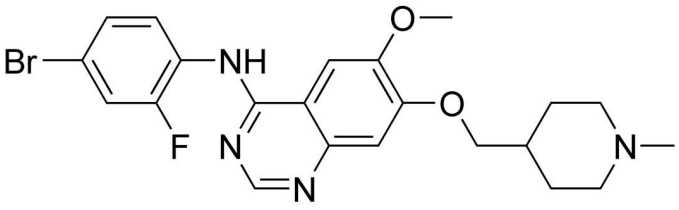
Product Description

Vandetanib is a multi-kinase inhibitor targeting primarily receptor tyrosine kinases, such as vascular endothelial growth factor receptors (VEGFR1, VEGFR2, and 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 (Carlomagno et al.; Hennequin et al.; Kiselyov et al.; Levinson & Boxer).

Molecular Name:	Vandetanib
Alternative Names:	CH 331; ZD 6474; Zactima
CAS Number:	443913-73-3
Chemical Formula:	$C_{22}H_{24}BrFN_4O_2$
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. Stable as supplied for 12 months from date of receipt.
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 RESEARCH
· Inhibits tumor growth in mouse xenograft models, including RET/PTC papillary thyroid carcinoma and lung carcinoma (Carlomagno et al.; Hennequin 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 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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This product is hazardous. Please refer to the Safety Data Sheet (SDS).