

Vandetanib (trifluoroacetate)

Catalog No: tcsc1591

Available Sizes

Size: 25mg

Size: 100mg

Size: 200mg

Specifications

CAS No:

338992-53-3

Formula: $C_{24}H_{25}BrF_4N_4O_4$

Pathway: Protein Tyrosine Kinase/RTK;Autophagy

Target: VEGFR;Autophagy

Purity / Grade:

Solubility: 10 mM in DMSO

Alternative Names:

ZD6474 trifluoroacetate

Observed Molecular Weight:

589.38

Product Description

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Vandetanib trifluoroacetate is a potent inhibitor of VEGFR2 with IC₅₀ of 40 nM.

IC50 & Target: IC50: 40 nM (VEGFR2)

In Vitro: Vandetanib inhibits VEGFR3 and EGFR with IC₅₀ of 110 nM and 500 nM, respectively. Vandetanib is not sensitive to PDGFRβ, Flt1, Tie-2 and FGFR1 with IC₅₀ of 1.1-3.6 µM, while almost has no activity against MEK, CDK2, c-Kit, erbB2, FAK, PDK1, Akt and IGF-1R with IC₅₀ above 10 µM. Vandetanib inhibits VEGF-, EGF- and bFGF-stimulated HUVEC proliferation with IC₅₀ of 60 nM, 170 nM and 800 nM, with no effect on basal endothelial cell growth. Vandetanib inhibits tumor cell growth with IC₅₀ of 2.7 µM (A549) to 13.5 µM (Calu-6)^[1]. Odanacatib is a weak inhibitor of antigen presentation, measured in a mouse B cell line (IC₅₀=1.5±0.4 µM), compared to the Cat S inhibitor LHVS (IC₅₀=0.001 µM) in the same assay. Odanacatib also shows weak inhibition of the processing of the MHC II invariant chain protein lip10 in mouse splenocytes compared to LHVS (minimum inhibitory concentration 1-10 µM versus 0.01 µM, respectively)^[2]. Vandetanib suppresses phosphorylation of VEGFR-2 in HUVECs and EGFR in hepatoma cells and inhibits cell proliferation^[4].

In Vivo: Vandetanib (15 mg/kg, p.o.) has a superior anti-tumor effect than gefitinib in the H1650 xenograft model, and suppresses tumor growth with IC_{50} of $3.5 \pm 1.2 \mu M^{[3]}$. In tumor-bearing mice, vandetanib (50 or 75 mg/kg) suppresses phosphorylation of VEGFR-2 and EGFR in tumor tissues, significantly reduces tumor vessel density, enhances tumor cell apoptosis, suppresses tumor growth, improves survival, reduces number of intrahepatic metastases, and upregulates VEGF, TGF- α , and EGF in tumor tissues^[4].



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