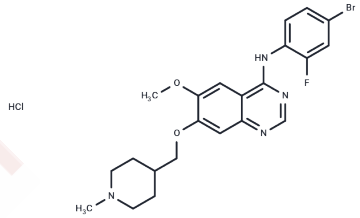
Data Sheet (Cat.No.T21641)

TargetMol

Vandetanib hydrochloride

Chemical Properties



CAS No. : 524722-52-9

Formula: C22H25BrClFN4O2

Molecular Weight: 511.81

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Vandetanib hydrochloride (D6474 hydrochloride) is a potent, orally active inhibitor of VEGFR2/KDR tyrosine kinase activity with an IC50 of 40 nM. It also exhibits activity against VEGFR3/FLT4 tyrosine kinase activity (IC50 = 110 nM) and EGFR/HER1 (IC50 = 500 nM) [1].
Targets(IC50)	Apoptosis,Others,Autophagy,VEGFR
In vitro	Vandetanib effectively inhibits VEGFR3 and EGFR, showing IC50 values of 110 nM and 500 nM, respectively. It exhibits markedly less sensitivity towards PDGFRβ, Flt1, Tie-2, and FGFR1, with IC50 values ranging from 1.1 to 3.6 μM and demonstrates negligible activity against MEK, CDK2, c-Kit, erbB2, FAK, PDK1, Akt, and IGF-1R, all with IC50 values exceeding 10 μM. Notably, Vandetanib reduces the proliferation of HUVECs stimulated by VEGF, EGF, and bFGF, registering IC50 values of 60 nM, 170 nM, and 800 nM, respectively, while sparing unstimulated basal endothelial cell growth. Additionally, it varies in inhibiting tumor cell growth, with IC50 values ranging from 2.7 μM in A549 cells to 13.5 μM in Calu-6 cells. In contrast, Odanacatib demonstrates only weak inhibition of antigen presentation in a mouse B cell line (IC50 = 1.5±0.4 μM) and minimal effect on the processing of the MHC II invariant chain protein IiP10 in mouse splenocytes, when compared to the more potent Cat S inhibitor LHVS. Vandetanib also suppresses the phosphorylation of VEGFR-2 in HUVECs and EGFR in hepatoma cells, further inhibiting cell proliferation.
In vivo	Vandetanib (15 mg/kg, orally) demonstrates a markedly stronger anti-tumor effect compared to gefitinib in the H1650 xenograft model, with an IC50 value of 3.5±1.2 μM for tumor growth inhibition [3]. In mice with tumors, vandetanib administration at doses of 50 or 75 mg/kg leads to the suppression of VEGFR-2 and EGFR phosphorylation in tumor tissues. This results in a significant reduction of tumor vessel density, an increase in tumor cell apoptosis, inhibition of tumor growth, enhanced survival, decreased intrahepatic metastases, and elevated levels of VEGF, TGF-α, and EGF within the tumor tissues [4].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9539 mL	9.7693 mL	19.5385 mL
5 mM	0.3908 mL	1.9539 mL	3.9077 mL
10 mM	0.1954 mL	0.9769 mL	1.9539 mL
50 mM	0.0391 mL	0.1954 mL	0.3908 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.