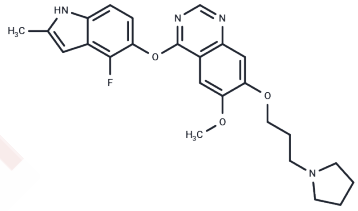
Data Sheet (Cat.No.T2500)

TargetMol

Cediranib

Chemical Properties



CAS No. : 288383-20-0

Formula: C25H27FN4O3

Molecular Weight: 450.51

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	Cediranib (AZD2171) (AZD2171) is a highly potent (IC50 < 1 nmol/L) ATP-competitive inhibitor of recombinant KDR tyrosine kinase in vitro, also inhibits Flt1/4 (IC50: 5 nM/≤3 nM), similar activity against PDGFRβ and c-Kit, selective more for VEGFR than PDGFR-α (36-fold), CSF-1R (110-fold), and Flt3 (1000-fold) in HUVEC cells.
Targets(IC50)	FLT,Autophagy,c-Kit,PDGFR,VEGFR
In vitro	Cediranib exhibits highly effective and dose-dependent activity in human xenograft models. Additionally, Cediranib induces vascular regression in human lung cancer xenografts. It causes excessive skeletal growth, obstructs the production of corpora lutea in the ovaries, and inhibits physiological processes that are dependent on angiogenesis.
In vivo	In vitro, Cediranib directly inhibits the proliferation of tumor cells and blocks microtubule formation, while also suppressing angiogenesis induced by VEGF in vivo. Cediranib exhibits inhibition against bFGF (IC50: 0.5 μM) and EGF (IC50: 0.11 μM), and in the MG63 cell line, it inhibits PDGF-AA (IC50: 0.04 μM). Furthermore, Cediranib suppresses Flt-1 associated kinases (IC50: 5 nM) and exhibits inhibitory effects on the VEGF-C and VEGF-D receptors, Flt-4 (IC50 < 3 nM), in addition to inhibiting the tyrosine kinases c-Kit (IC50: 2 nM) and PDGFR-β (IC50: 5 nM).
Kinase Assay	Kinase inhibition: Cediranib is dissolved in DMSO at a concentration of 10 mM. All enzyme assays are run at, or just below, the respective Km for ATP (0.2 - 30 μM). The inhibitory activity of Cediranib is determined against a range of recombinant tyrosine kinases [KDR, Flt-1, Flt-4, c-Kit, PDGFRα, PDGFRβ, CSF-1R, Flt-3, FGFR1, Src, Abl, epidermal growth factor receptor (EGFR), ErbB2, Aurora A, and Aurora B] using ELISA. Selectivity versus CDK2 and CDK4 serine/threonine kinases is examined using scintillation proximity assays with a retinoblastoma substrate and [γ-sup>33P]ATP. Activity of Cediranib is compared to MAPK kinase (MEK), which shows dual specificity. It is determined using a MAPK substrate, [γ-33P]ATP, and paper capture/scintillation counting.
Cell Research	The proliferation of the HUVEC cell line is evaluated in the presence and absence of growth factors by measuring 3H-thymidine incorporation following a 4-day incubation period. Proliferation of MG63 osteosarcoma cells is induced by PDGF-AA, which selectively activates signaling of the PDGFRα homodimer. HUVEC and MG63 osteosarcoma cells are cultured in DMEM without phenol red containing 1% charcoal stripped FCS, 2 mM glutamine, and 1% nonessential amino acids for 24 hours. Cediranib

Cell Research	or vehicle is added with PDGF-AA ligand (50 ng/mL) and plates incubated for another 72 hours. Cellular proliferation is determined using bromodeoxyuridine ELISA. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 118 mg/mL (261.93 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.33 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2197 mL	11.0985 mL	22.1971 mL
5 mM	0.4439 mL	2.2197 mL	4.4394 mL
10 mM	0.222 mL	1.1099 mL	2.2197 mL
50 mM	0.0444 mL	0.222 mL	0.4439 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.

Reference

Wedge SR, et al. Cancer Res, 2005, 65(10), 4389-4400.
Zhang J, Guo H, Wang L, et al.Cediranib enhances the transcription of MHC-I by upregulating IRF-1.Biochemical Pharmacology.2024: 116036.
Morton CL, et al. Pediatr Blood Cancer, 2012, 58(4), 566-571.