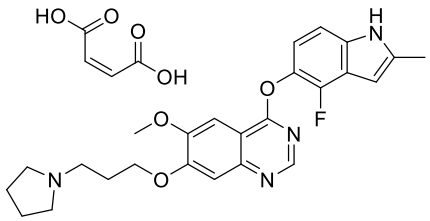
Product data sheet

MedKoo Cat#: 206937 Name: Cediranib maleate CAS#: 857036-77-2 (maleate) Chemical Formula: $C_{29}H_{31}FN_4O_7$ Molecular Weight: 566.5864	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Cediranib, also known as AZD-2171, is a potent and selective VEGF inhibitor with antineoplastic activities. Competing with adenosine triphosphate, cediranib binds to and inhibits all three vascular endothelial growth factor receptor (VEGF-1,-2,-3) tyrosine kinases, thereby blocking VEGF-signaling, angiogenesis, and tumor cell growth.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	72.5	127.96
Water	2.0	3.53

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.76 mL	8.82 mL	17.65 mL
5 mM	0.35 mL	1.76 mL	3.53 mL
10 mM	0.18 mL	0.88 mL	1.76 mL
50 mM	0.04 mL	0.18 mL	0.35 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Guo M, Liu Z, Si J, Zhang J, Zhao J, Guo Z, Xie Y, Zhang H, Gan L. Cediranib Induces Apoptosis, G1 Phase Cell Cycle Arrest, and Autophagy in Non-Small-Cell Lung Cancer Cell A549 In Vitro. Biomed Res Int. 2021 Mar 29;2021:5582648. doi: 10.1155/2021/5582648. PMID: 33860036; PMCID: PMC8024085.
2. Brave SR, Ratcliffe K, Wilson Z, James NH, Ashton S, Wainwright A, Kendrew J, Dudley P, Broadbent N, Sproat G, Taylor S, Barnes C, Silva JC, Farnsworth CL, Hennequin L, Ogilvie DJ, Jürgensmeier JM, Shibuya M, Wedge SR, Barry ST. Assessing the activity of cediranib, a VEGFR-2/3 tyrosine kinase inhibitor, against VEGFR-1 and members of the structurally related PDGFR family. Mol Cancer Ther. 2011 May;10(5):861-73. doi: 10.1158/1535-7163.MCT-10-0976. Epub 2011 Mar 25. PMID: 21441409.

In vivo study

1. Kaplan AR, Gueble SE, Liu Y, Oeck S, Kim H, Yun Z, Glazer PM. Cediranib suppresses homology-directed DNA repair through down-regulation of BRCA1/2 and RAD51. Sci Transl Med. 2019 May 15;11(492):eaav4508. doi: 10.1126/scitranslmed.aav4508. PMID: 31092693; PMCID: PMC6626544.
2. Jiang Y, Allen D, Kersemans V, Devery AM, Bokobza SM, Smart S, Ryan AJ. Acute vascular response to cediranib treatment in human non-small-cell lung cancer xenografts with different tumour stromal architecture. Lung Cancer. 2015 Nov;90(2):191-8. doi: 10.1016/j.lungcan.2015.08.009. Epub 2015 Aug 20. PMID: 26323213; PMCID: PMC4641245.

Product data sheet

7. Bioactivity

Biological target:

Cediranib maleate (AZD-2171 maleate) is a VEGFR inhibitor with IC50s of <1, <3, 5, 5, 36, 2 nM for Flt1, KDR, Flt4, PDGFRα, PDGFRβ, c-Kit, respectively.

In vitro activity

Data demonstrated that the expression of VEGFR2 and VEGFR3 was consistently downregulated after CED (Cediranib) treatment (Figures 6(a) and 6(b)). Phosphorylated Akt (p-Akt), phosphorylated p38 (p-p38), and phosphorylated mTOR (p-mTOR) were also inhibited by CED in all doses while phosphorylated Erk1/2 (p-Erk1/2) was only significantly decreased in the 9 μM group (Figures 6(c) and 6(d)). In addition, p38, Erk1/2, and mTOR had no change in all groups. Meanwhile, this study found that CED can reduce Akt expression in the 6 μM group and the 9 μM group. These data suggested that CED may induce autophagy and G1 phase cell cycle arrest through suppressing MAPK and Akt/mTOR signal pathways.

Reference: Biomed Res Int. 2021; 2021: 5582648. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC8024085/

In vivo activity

In tumor xenografts, cediranib treatment increases hypoxia, and HDR gene expression is reduced in hypoxic cells isolated from tumors. This study therefore proposes two mechanisms by which cediranib impairs HDR in tumors: (i) a direct effect via PDGFR inhibition that suppresses DNA repair gene expression through PP2A activation and E2F4/p130 occupancy of the HDR gene promoters and (ii) an indirect effect via VEGFR2-mediated inhibition of angiogenesis, causing decreased perfusion and increased hypoxia, which secondarily suppresses HDR gene expression (Fig. 7I).

Reference: Sci Transl Med. 2019 May 15; 11(492): eaav4508. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6626544/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.