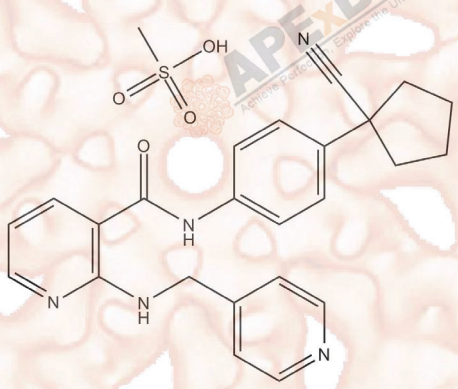
Product Data Sheet

Apatinib

Cat. No.:	B2303
CAS No.:	1218779-75-9
Formula:	C25H27N5O4S
M.Wt:	493.58
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	VEGFR
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾49.4mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	2.0260 mL	10.1301 mL	20.2601 mL
5 mM	0.4052 mL	2.0260 mL	4.0520 mL
10 mM	0.2026 mL	1.0130 mL	2.0260 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	VEGFR2 inhibitor, orally bioavailable, selective
IC_{50} & Target	1 nM (VEGFR2), 13 nM (RET), 429 nM (c-Kit), 530 nM (c-Src), >1 μM (PDGFRα)

In Vitro

Cell Viability Assay

Cell Line:	HUVEC (human umbilical vein endothelial cells)
Preparation method:	Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	37°C
Applications:	In HUVEC, Apatinib decreases VEGF-stimulated phosphorylation of VEGFR-2 KDR in a concentration-dependent manner. It also completely inhibits

		VEGFR-2 activation at a concentration of 0.1 μM. Furthermore, Apatinib abrogates the phosphorylation of c-kit and PDGFRb in Mo7e and NIH-3T3 cells stimulated with the relevant ligand, respectively, in a concentration-dependent manner. In addition, Apatinib inhibits proliferation, migration and tube formation of HUVEC in vitro and blocking of rat aortic ring budding.
In Vivo	**Animal experiment**	
	Animal models:	Nude mice human tumor xenografts
	Dosage form:	Once daily by oral gavage
	Applications:	Apatinib inhibits growth of established NCI-H460 human lung tumors, HCT 116 human colon tumors, or SGC-7901 human gastric tumors in nude mice. Apatinib in combination with docetaxel or oxaliplatin exerts synergistic tumor growth inhibition effects against NCI-H460 and Ls174t xenografts, respectively. Apatinib also significantly suppresses angiogenesis in NCI-H460 xenograft tumor tissues.
	Preparation method:	Diluted in 0.5% (w / v) carboxymethyl cellulose and 5% (w / v) glucose solution.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Zhong W, Jin W, et al. "Pioglitazone Induces Cardiomyocyte Apoptosis and Inhibits Cardiomyocyte Hypertrophy Via VEGFR-2 Signaling Pathway." Arq Bras Cardiol. 2018 Jul 2. pii: S0066-782X2018005008102.PMID:29972411

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References

1. Tian S, Quan H, Xie C et al. YN968D1 is a novel and selective inhibitor of vascular endothelial growth factor receptor-2 tyrosine kinase with potent activity in vitro and in vivo. Cancer Sci. 2011 Jul;102(7):1374-80.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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