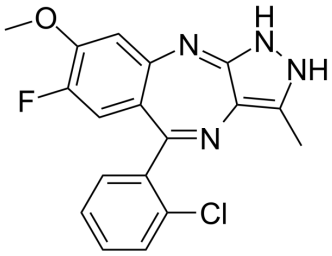
R1530

Cat. No.:	HY-13737
CAS No.:	882531-87-5
Molecular Formula:	$C_{18}H_{14}ClFN_4O$
Molecular Weight:	356.78
Target:	VEGFR; Apoptosis; FGFR
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (140.14 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8028 mL	14.0142 mL	28.0285 mL
	5 mM	0.5606 mL	2.8028 mL	5.6057 mL
	10 mM	0.2803 mL	1.4014 mL	2.8028 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.01 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description

R1530 is a highly potent, orally active, dual-acting mitosis/angiogenesis inhibitor, with anti-tumor and anti-angiogenic activities. R1530 is a multikinase inhibitor which binds to 31 kinases with K_d values of <500 nM. R1530 inhibits VGFR2 and FGFR1 with IC_{50} of 10 nM and 28 nM, respectively. R1530 triggers apoptosis (mitotic catastrophe) or senescence[1][2].

IC_{50} & Target

KDR	FGFR1
10 nM (IC_{50})	28 nM (IC_{50})

In Vitro

R1530 exhibits potent in vitro antiproliferative activity in all of the tumor cell lines (IC_{50} = 0.2−3.4 μM)[1].
R1530 inhibits the kinase activities of vascular endothelial growth factor receptor 2 (VGFr2), FGFr1 and PDGFr-β. R1530 has inhibition of VEGF and bFGF induces HUVEC proliferation (IC_{50} = 49 and 118 nM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

R1530 (1.56, 25, and 50 mg/kg; p.o.; daily, for 28 days.) has notable antitumor activity across a broad range of human xenograft models, with minimal toxicity[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Human tumor xenograft models[1]
Dosage:	1.56, 25 and 50 mg/kg
Administration:	Oral administration; daily, for 28 days.
Result:	Inhibited tumor growth in all models, with regression observed in all models tested at a 50 mg/kg dose.

CUSTOMER VALIDATION

- Comput Struct Biotechnol J. 2019 Feb 8;17:352-361.

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REFERENCES

[1]. Jin-Jun Liu, et al. Discovery of a Highly Potent, Orally Active Mitosis/Angiogenesis Inhibitor R1530 for the Treatment of Solid Tumors. ACS Med Chem Lett. 2013 Feb 14; 4(2): 259–263.

[2]. Christian Tovar, et al. Small-molecule inducer of cancer cell polyploidy promotes apoptosis or senescence: Implications for therapy. Cell Cycle. 2010 Aug 15;9(16):3364-75.

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