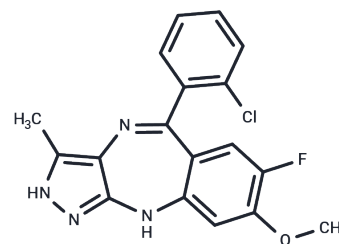


R1530

Chemical Properties

CAS No. : 882531-87-5
Formula: C₁₈H₁₄ClFN₄O
Molecular Weight: 356.78
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	R1530 is a multikinase inhibitor with antineoplastic and antiangiogenesis activities.
Targets(IC50)	VEGFR,FGFR,FLT,PDGFR
In vitro	R1530 inhibits multiple receptor tyrosine kinases involved in angiogenesis, such as VEGFR-1/2/3, PDGFR, Flt-3, and FGFR-1/2. In the presence of R1530, polyploid cancer cells underwent apoptosis or became senescent which translated into potent in vitro and in vivo efficacy. Normal proliferating cells were resistant to R1530-induced polyploidy. Mitotic checkpoint kinase BubR1 was found downregulated during R1530-induced exit from mitosis, a likely consequence of PLK4 inhibition [1]. R1530 strongly inhibited human tumor cell proliferation. Growth factor-driven proliferation of endothelial and fibroblast cells was also inhibited [2].
In vivo	Showing significant tumor growth inhibition in a lung cancer xenograft model, R1530 was administered at doses ranging from once daily, weekly and twice weekly (3.125-50 mg/kg qd, 100 mg/kg qw, 100 mg/kg biw). Tumor regression occurred in all models treated with the maximum tolerated daily dose (50 mg/kg). Doses of 25 and 50 mg/kg qd resulted in biologically significant increases in survival in all models tested. After oral administration to nude mice, R1530 showed good tissue permeability. Exposure was dose-dependent, up to 100 mg/kg when administered orally[2].

Solubility Information

Solubility	DMSO: 5 mg/mL (14.01 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0561 mL	0.2803 mL	0.5606 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.

Reference

Tovar C, 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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