Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

R1530

Catalog No: tcsc1627

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
882531-87-5

Formula:
$C_{18}H_{14}ClFN_4O$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
VEGFR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 53 mg/mL (148.55 mM)

Observed Molecular Weight:
356.78

Product Description

R1530 is the multikinase inhibitor with potential antiangiogenesis and antineoplastic activities.

IC50 Value:

Target: VEGFR; PDGFR

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R1530 is also a mitosis-angiogenesis inhibitor (MAI) that inhibits multiple receptor tyrosine kinases involved in angiogenesis, such as vascular endothelial growth factor receptor (VEGFR)-1, -2, -3, platelet-derived growth factor receptor (PDGFR),Flt-3, and fibroblast growth factor receptor (FGFR) -1, -2. In addition, this agents exhibits anti-proliferative activity by initiating mitotic arrest and inducing apoptosis.

in vitro: 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 thus supporting the rationale for cancer therapy by 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: Significant tumor growth inhibition was demonstrated in a lung cancer xenograft model with a range of once daily, weekly and twice-weekly doses of R1530 (3.125-50 mg/kg qd, 100 mg/kg qw, 100 mg/kg biw). Daily doses were most effective in the lung cancer model and also had significant growth inhibitory effects in models of colorectal, prostate, and breast tumors. Tumor regression occurred in all models treated with the maximum tolerated daily dose (50 mg/kg). The doses of 25 and 50 mg/kg qd resulted in biologically significant increased survival in all tested models. After oral administration in nude mice, R1530 showed good tissue penetration. Exposure was dose dependent up to 100 mg/kg with oral administration [2].

Toxicity: N/A

Clinical trial: A Multiple Ascending Dose Study of R-1530 in Patients With Advanced Solid Tumors. Phase 1