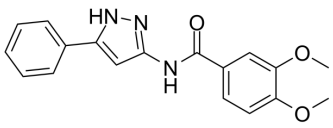
JK-P3

Cat. No.:	HY-108933
CAS No.:	942655-44-9
Molecular Formula:	$C_{18}H_{17}N_3O_3$
Molecular Weight:	323.35
Target:	VEGFR; FGFR
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

JK-P3 is a potent and pan VEGFR2 inhibitor, with IC_{50}s of 7.83 μM, 27 μM and 5.18 μM for VEGFR2, FGFR1 and FGFR3, respectively. JK-P3 can inhibit VEGF-A-stimulated VEGFR2 activation and intracellular signalling, also inhibits endothelial monolayer wound closure and angiogenesis, as well as fibroblast growth factor receptor kinase activity in vitro. JK-P3 has anti-angiogenic activity[1].

IC_{50} & Target

VEGFR2	FGFR1	FGFR3
7.83 μM (IC_{50})	27 μM (IC_{50})	5.18 μM (IC_{50})

In Vitro

JK-P3 (0.01-10 μM; 1 hour) inhibits VEGF-A-mediated VEGFR2 phosphorylation and downstream signalling[1].
JK-P3 (0.01-10 μM; 16 hours) dose not inhibit HUVEC cell proliferation at 0.01~1 μM, and shows slight inhibitory activity at 10 μM[1].
JK-P3 (1 and 10 μM; 1 hour) does not significantly inhibit VEGF-A-stimulated endothelial tube formation at 1 μM, but almost completely inhibits the ability of endothelial cells to form into elongated hollow tubes in the presence of VEGF-A at 10 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis

Cell Line:	Primary endothelial cells (treated for 7.5 min with 25 ng/mL VEGF-A)[1]
Concentration:	0.01, 0.1, 1 and 10 μM
Incubation Time:	1 hour
Result:	Almost completely inhibited VEGFR2 Y1175 phosphorylation, also inhibited VEGF-A-stimulated PLCγ1, Akt and ERK1/2 phosphorylation.

Cell Proliferation Assay

Cell Line:	HUVEC[1]
Concentration:	0.01, 0.1, 1 and 10 μM
Incubation Time:	16 hours
Result:	Failed to inhibit endothelial cell proliferation at 0.01~1 μM but elicited a small but significant increase in cell proliferation at certain lower concentrations.

REFERENCES

[1]. Kankanala J, et al. A combinatorial in silico and cellular approach to identify a new class of compounds that target VEGFR2 receptor tyrosine kinase activity and angiogenesis. Br J Pharmacol. 2012;166(2):737-748.

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