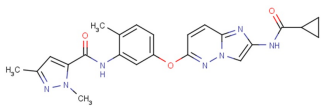
Data Sheet (Cat.No.T16975)

TargetMol
A DRUG SCREENING EXPERT

TAK-593

Chemical Properties



CAS No.:	1005780-62-0
Formula:	C23H23N7O3
Molecular Weight:	445.47
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	TAK-593 is an effective VEGFR and PDGFR family inhibitor (IC50s: 3.2, 0.95, 1.1, 4.3, and 13 nM for VEGFR1, VEGFR2, VEGFR3, PDFGRα, and PDFGRβ, respectively).
Targets(IC_{50})	VEGFR1: 3.2 nM VEGFR2: 0.95 nM VEGFR3: 1.1 nM PDGFRα: 4.3 nM PDGFRβ: 13 nM PDGFRαV561D: 1 nM
In vitro	TAK-593 inhibits the growth of HUVEC (IC50: 0.30 nM). TAK-593 also potently inhibits VEGF-induced tube formation of endothelial cells co-cultured with fibroblasts. TAK-593 potently inhibits VEGF- and PDGF-stimulated cellular phosphorylation and proliferation of human umbilical vein endothelial cells and human coronary artery smooth muscle cells. It displays effective inhibitory activity against VEGFR (VEGFR1-3: IC50=3.2, 0.95, 1.1 nM) and PDGFR (PDGFRα, β: IC50=4.3, 13 nM) families. Against other kinases, the IC50 values of TAK-593 are above 100 nM, except for Fms (IC50=10 nM) and Ret (IC50=18 nM) kinases [1][2].

Solubility Information

Solubility	DMSO: 48.5 mg/mL (108.87 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.245 mL	11.224 mL	22.448 mL
5 mM	0.449 mL	2.245 mL	4.49 mL
10 mM	0.224 mL	1.122 mL	2.245 mL
50 mM	0.045 mL	0.224 mL	0.449 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Miyamoto N, et al. Discovery of N-[5-({2-[(cyclopropylcarbonyl)amino]imidazo[1,2-b]pyridazin-6-yl}oxy)-2-methylphenyl]-1,3-dimethyl-1H-pyrazole-5-carboxamide (TAK-593), a highly potent VEGFR2 kinase inhibitor. Bioorg Med Chem. 2013 Apr 15;21(8):2333-2345.

2. Awazu Y, et al. Anti-angiogenic and anti-tumor effects of TAK-593, a potent and selective inhibitor of vascular endothelial growth factor and platelet-derived growth factor receptor tyrosine kinase. Cancer Sci. 2013 Apr;104(4):486-94.

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