

TAK-593

## Chemical Properties

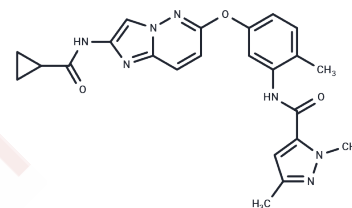
CAS No. : 1005780-62-0

Formula: C<sub>23</sub>H<sub>23</sub>N<sub>7</sub>O<sub>3</sub>

Molecular Weight: 445.47

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	TAK-593 is an effective VEGFR and PDGFR family inhibitor (IC <sub>50</sub> s: 3.2, 0.95, 1.1, 4.3, and 13 nM for VEGFR1, VEGFR2, VEGFR3, PDFGR $\alpha$ , and PDFGR $\beta$ , respectively).
Targets(IC <sub>50</sub> )	PDGFR,VEGFR
In vitro	TAK-593 inhibits the growth of HUVEC (IC <sub>50</sub> : 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: IC <sub>50</sub> =3.2, 0.95, 1.1 nM) and PDGFR (PDGFR $\alpha$ , $\beta$ : IC <sub>50</sub> =4.3, 13 nM) families. Against other kinases, the IC <sub>50</sub> values of TAK-593 are above 100 nM, except for Fms (IC <sub>50</sub> =10 nM) and Ret (IC <sub>50</sub> =18 nM) kinases [1][2].

## Solubility Information

Solubility	DMSO: 125 mg/mL (280.6 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (22.45 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (22.45 mM),Solution. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2448 mL	11.2241 mL	22.4482 mL
5 mM	0.449 mL	2.2448 mL	4.4896 mL
10 mM	0.2245 mL	1.1224 mL	2.2448 mL
50 mM	0.0449 mL	0.2245 mL	0.449 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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.

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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