## VEGFR2-IN-1

Target:

Cat. No.: HY-145849 CAS No.: 2765224-55-1 Molecular Formula:  $C_{22}H_{18}N_{6}S$ Molecular Weight: 398.48

**VEGFR** Pathway: Protein Tyrosine Kinase/RTK

Please store the product under the recommended conditions in the Certificate of Storage:

Analysis.

## **BIOLOGICAL ACTIVITY**

Description VEGFR2-IN-1 is a potent and selective VEGFR2 inhibitor (IC<sub>50</sub>=19.8 nM). VEGFR2-IN-1 inhibits cell proliferation and migration through apoptosis activation and VEGFR2 inhibition  $^{[1]}$ .

IC<sub>50</sub> & Target VEGFR2 19.8 nM (IC<sub>50</sub>)

In Vitro VEGFR2-IN-1 (compound 17; 0.1, 1, 10, 100 μM; 48 hours) shows an effective and selective agent against MCF-7 (IC<sub>50</sub>=1.18 μ M), MDA-MB-231 (IC $_{50}$ =10.49  $\mu$ M), MCF-10A (IC $_{50}$ =24.76  $\mu$ M) cells<sup>[1]</sup>. VEGFR2-IN-1 (MCF-7 cells; 48 hours) induces cell cycle arrest at the G1 and S-phases [1]. VEGFR2-IN-1 (MCF-7 cells) shows the upregulation of pro-apoptotic genes (p53, Bax,

caspases-3, caspases-9) and downregulation of antiapoptotic gene (Bcl-2) $^{[1]}$ .

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay<sup>[1]</sup>

Cell Line:	MCF-7, MDA-MB-231, MCF-10A cells
Concentration:	0.1, 1, 10, 100 μM
Incubation Time:	48 hours
Result:	Exhibited an effective and selective agent against MCF-7 (IC $_{50}$ =1.18 $\mu$ M), MDA-MB-231 (IC $_{50}$ =10.49 $\mu$ M), MCF-10A (IC $_{50}$ =24.76 $\mu$ M) cells.

In Vivo

VEGFR2-IN-1 (4.2 mg/kg; i.p.; once a day for 7 days) shows anticancer activity with an improvement of hematological, biochemical parameters<sup>[1]</sup>. Animal Model: Male Swiss albino mice, 21-28 g (Xenograft model)<sup>[1]</sup>.

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Animal Model:	Male Swiss albino mice, 21-28 g (Xenograft model) $^{[1]}$
Dosage:	4.2 mg/kg
Administration:	i.p.; once a days; 7 days
Result:	Showed anticancer activity by having a tumor inhibition ratio of 54.2% with an

improvement of hematological, biochemical parameters.

## **REFERENCES**

[1]. Nafie MS, Boraei ATA. Exploration of novel VEGFR2 tyrosine kinase inhibitors via design and synthesis of new alkylated indolyl-triazole Schiff bases for targeting breast cancer. Bioorg Chem. 2022; 122:105708.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

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