VEGFR-2-IN-43

Cat. No.: HY-163403

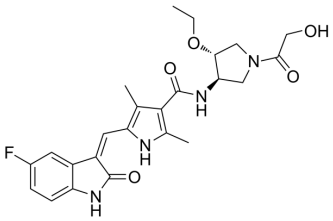
Molecular Formula: $C_{24}H_{27}FN_4O_5$

Molecular Weight: 470.49

Target: VEGFR

Pathway: Protein Tyrosine Kinase/RTK

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



BIOLOGICAL ACTIVITY

Description

VEGFR-2-IN-43 (compound 16) is an orally active inhibitor of VEGFR2, with an IC_{50} of 39.91 μM. VEGFR-2-IN-43 can be used for wet age-related macular degeneration (w-AMD) disease research[1].

IC_{50} & Target

VEGFR2
39.91 μM (IC_{50})

In Vitro

VEGFR-2-IN-43 (compound 16) (0-50 μM, 72 h) demonstrates a weak inhibitory effect on proliferation of LX-2 cells, and significantly inhibits the proliferation of BaF3-Tel-VEGFR2 cells[1].
VEGFR-2-IN-43 (0-10 μM, 48 h) exhibits a concentrationdependent inhibition of VEGFR2 phosphorylation in HUVECs [1].
VEGFR-2-IN-43 (1 μM, 0-120 min) shows remarkable plasma stability and moderate liver microsomal stability[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	BaF3-Tel-VEGFR2 cells, BaF3 cells (BaF3-Tel-VEGFR2 cells were constructed through viral transgene technology using BaF3 cells as a template, and their proliferation was dependent on VEGFR2 kinase.)
Concentration:	0-50 μM
Incubation Time:	72 h
Result:	The GI_{50} value of VEGFR-2-IN-43 (compound 16) for BAF3-tel-VEGFR2 cells was 22.9 nM, and the proliferation of BaF3 cells was almost not inhibited.

In Vivo

VEGFR-2-IN-43 (compound 16) (2/10 mg/kg, i.v. and p.o.) exhibits acceptable oral bioavailability in ICR mice (F = 20.2%)[1].

Pharmacokinetic study of VEGFR-2-IN-43 (compound 16) on mice[1]

Route	Dose (mg/kg)	AUC_{0-t} (ng·h/mL)	$AUC_{0-\infty}$ (ng·h/mL)	MRT_{0-t} (h)	C_{max} (ng/mL)	T_{max} (h)	$T_{1/2}$ (h)	F (%)
i.v.	2	508	512	0.665	853	0.0833	1.32	/

p.o.	10	506	518	0.72	321	0.417	1.58	20.2

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

REFERENCES

[1]. Xiu X, et al. Potential oral VEGFR2 inhibitors: Treatment of wet age-related macular degeneration. Bioorg Chem. 2024 Mar;144:107110.

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