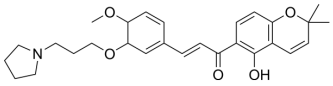
HIF-1 inhibitor-5

Cat. No.:	HY-149260
Molecular Formula:	$C_{28}H_{35}NO_5$
Molecular Weight:	465.58
Target:	HIF/HIF Prolyl-Hydroxylase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	HIF-1 inhibitor-5 (Compound 16e) is a potent HIF-1 inhibitor with an IC_{50} of 2.38 μM. HIF-1 inhibitor-5 possesses anti-angiogenic potential[1].
IC_{50} & Target	IC50: 2.38 μM (HIF-1)[1]

In Vitro

HIF-1 inhibitor-5 (Compound 16e; 0-4 μM; 24 h) suppresses A549 cell migration and invasion[1].
HIF-1 inhibitor-5 (0-8 μM; 12 h) blocks VEGF-induced tube formation[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	A549 and HUVEC cells
Concentration:	0-128 μM
Incubation Time:	48 h
Result:	Showed cytotoxic effects with IC_{50}s of 8.883 μM and 19.599 μM for A549 and HUVEC cells, respectively.

Cell Migration Assay [1]

Cell Line:	A549 cell
Concentration:	0, 2 and 4 μM
Incubation Time:	24 h
Result:	The number of migrated A549 cells decreased significantly.

Cell Invasion Assay[1]

Cell Line:	A549 cell
Concentration:	0, 2 and 4 μM
Incubation Time:	24 h

Result:	Inhibited cell invasion at a concentration-dependent manner.

In Vivo

HIF-1 inhibitor-5 (Compound 16e; 1.25-20 μM; s.c.; once) inhibits VEGF-induced angiogenesis in mice[1].

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Animal Model:	C57/bl6 female mice, VEGF-induced angiogenesis model[1]
Dosage:	1.25, 5 and 20 μM
Administration:	0.5 mL of matrix glue subcutaneously injected near the midline of abdomen
Result:	Decreased the number of blood vessels.

Animal Model:	SD rats
Dosage:	20 mg/kg
Administration:	Intravenous administration (Pharmacokinetic Study)
Result:	Pharmacokinetic parameters of HIF-1 inhibitor-5 (Compound 16e) in rats after intravenous administration[a][1]

Parameter	iv (20 mg/kg) (n = 2)
T_{max} (h)	0.033
C_{max} (μmol/L)	7.06
$T_{1/2}$ (h)	1.64
CL (mL/h)	2368.50
V_Z (L)	5.59
AUC_{0-t} (μmol•h/L)	5.27
$AUC_{0-\infty}$ (μmol•h/L)	8.88

[a]After administration, blood samples were collected at different time (0, 0.083, 0.17, 0.5, 1, 2, 4, 6 h). The combination of compounds in a ratio of 8% ethanol absolute, 4% Tween-80 and 88% normal saline was chosen for the intravenous injection formulation.

REFERENCES

[1]. Xu H, et al. Design, synthesis and evaluation of the novel chalcone derivatives with 2,2-dimethylbenzopyran as HIF-1 inhibitors that possess anti-angiogenic potential. Eur J Med Chem. 2023 Mar 15;250:115171.

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

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