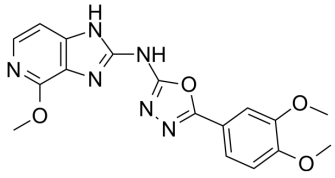
HIF-1/2α-IN-1

Cat. No.:	HY-151341
CAS No.:	2827693-99-0
Molecular Formula:	$C_{17}H_{16}N_6O_4$
Molecular Weight:	368.35
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-1/2α-IN-1 is an orally active HIF-2α inhibitor. HIF-1/2α-IN-1 inhibits HIF-2α activity with an IC_{50} value of 0.92 μM. HIF-1/2α-IN-1 also can decrease HIF-1α levels. HIF-1/2α-IN-1 can be used for the research of clear cell renal cell carcinoma (ccRCC) [1].

IC_{50} & Target

HIF-2α
0.92 μM (IC_{50})

In Vitro

HIF-1/2α-IN-1 (compound #25) (0.01-100 μM) inhibits HIF-2α activity with an IC_{50} value of 0.92 μM[1].
HIF-1/2α-IN-1 (0-10 μM, 24 h) decrease HIF-2α by inhibiting IRE-dependent translation and also inhibit HIF-1α at higher concentrations，which effects mediates by inhibiting the function of iron sulfur cluster assembly 2 (ISCA2) [1].
HIF-1/2α-IN-1 (1 μM, 24 h) targets ISCA2 and trigger the iron starvation response[1].
HIF-1/2α-IN-1 (0-100 μM, 24 h) decreased cell viability in 786-0 cells (IC_{50}=1.7 μM (786-0), 10.6 μM (786-0 VHL)) and RCC4 cells (IC_{50}=4.8 μM (RCC4), 71.0 μM (RCC4 VHL)) [1].

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

Cell Viability Assay[1]

Cell Line:	786-0 cells and RCC4 cells
Concentration:	0.01-100 μM
Incubation Time:	24 h
Result:	Decreased cell viability in 786-0 cells and RCC4 cells.

Western Blot Analysis[1]

Cell Line:	786-0 cells and RCC10 cells
Concentration:	0, 0.5, 1, 2.5, 10 μM
Incubation Time:	24 h
Result:	Decreased HIF-2α activity and protein levels. Decreased HIF-1α levels at higher concentrations or treatment durations, but do not promote proteasomal degradation of HIF-1/2α.

	Inhibited the production of luciferase driven by the HIF-2α IRE (IRE-Luc). Increasesed IRP2, TFRC in dose-dependent and decreased FTH.

RT-PCR[1]

Cell Line:	786-0 cells
Concentration:	0, 0.5, 1, 5 μM
Incubation Time:	24 h
Result:	Resulted in a dose-dependent decrease in the transcription of HIF-2α target genes VEGFA and POU5F1, but not inhibited HIF-2α transcription.

In Vivo

HIF-1/2α-IN-1 (compound #25) (p.o.; 30, 60 mg/kg; daily) significantly reduced ccRCC xenograft growth in vivo[1].

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Animal Model:	NRG or Balb/c mice[1] (6-8 week old, male, 10 per group)
Dosage:	30, 60 mg/kg
Administration:	p.o., daily
Result:	Significantly inhibited tumor growth. Had well tolerated with no significant weight loss.

REFERENCES

[1]. Yangsook Song Green, et al. ISCA2 inhibition decreases HIF and induces ferroptosis in clear cell renal carcinoma. Oncogene. 2022 Sep 12.

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