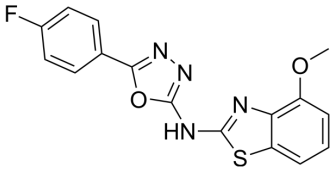
HIF-1/2α-IN-2

Cat. No.:	HY-151344
CAS No.:	862974-22-9
Molecular Formula:	$C_{16}H_{11}FN_4O_2S$
Molecular Weight:	342
Target:	HIF/HIF Prolyl-Hydroxylase
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



BIOLOGICAL ACTIVITY

Description	HIF-1/2α-IN-2 is an inhibitor of HIF-1/2α. HIF-1/2α-IN-2 decrease HIF-1/2α levels and induces iron starvation response by targeting Iron Sulfur Cluster Assembly 2 (ISCA2)[1].
IC_{50} & Target	Iron Sulfur Cluster Assembly 2 (ISCA2), HIF-1/2α[1]
In Vitro	HIF-1/2α-IN-2 (compound #1) (0-25 μM; 24 h) decreases HIF-2α translation instead of transcription, with a downward trend in VEGFA and POU5F1 (HIF-2α target genes) transcription and insignificant effect on EPAS1 (HIF-2α)[1]. HIF-1/2α-IN-2 (0-100 μM, 24 h) inhibits the production of luciferase driven by the HIF-2α Iron-Responsive Element (IRE) luciferase reporter with an IC_{50} value of 3.9 μM, to block IRE-dependent translation of HIF-2α[1]. HIF-1/2α-IN-2 (0, 10, 50 μM) protects ISCA2 from Pronase-mediated (4 μg/mL) degradation, and (1.5 μM; 24 h) targets ISCA2 to induce iron and metals accumulation in normoxia 786-0 cells[1]. HIF-1/2α-IN-2 (0-100 μM; 24 h) results ISCA2 inhibition and promotes cell death via ferroptosis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Normoxia 786-0 cells (20%O_2) and hypoxic ACHN cells (or 1%O_2 for final 24 h to induce HIF expression)
Concentration:	0, 1, 5, 10, 25 μM
Incubation Time:	24 hours
Result:	Decreased the protein level of HIF-2α, FTH1, ISCA2, and increased IRP2 protein level at high concentrations over 10 μM in normoxia 786-0 cells. Dcreased the HIF-2α and FTH1 levels at 1 μM in hypoxic ACHN cells.

Cell Viability Assay[1]

Cell Line:	Normoxia 786-0 cells
Concentration:	0-100 μM
Incubation Time:	24 hours

Result:	Inhibited 786-0 cells viability by inducing ferroptosis, with an IC_{50} value of 22.0 μM.

REFERENCES

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

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