Data Sheet (Cat.No.T61442)

TargetMol

HIF-1/2α-IN-1

Chemical Properties

CAS No. :

Formula: C17H16N6O4

Molecular Weight: 368.35

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	HIF-1/2α-IN-1, an orally active compound, functions as an inhibitor of HIF-2α. Its inhibitory effect on HIF-2α activity is significant, with an IC 50 value of 0.92 μM. Furthermore, HIF-1/2α-IN-1 has the ability to decrease HIF-1α levels. This compound is particularly valuable for research on clear cell renal cell carcinoma (ccRCC) [1].
Targets(IC50)	Others,HIF/HIF Prolyl-Hydroxylase
In vitro	HIF-1/2α-IN-1 (compound #25) effectively inhibits HIF-2α with an IC50 of 0.92 μM across 0.01-100 μM concentrations. At 0-10 μM over 24 hours, it reduces HIF-2α levels by inhibiting IRE-dependent translation and targets HIF-1α at higher concentrations through ISCA2 function suppression. At 1 μM for 24 hours, it specifically targets ISCA2, inducing an iron starvation response. The compound significantly reduces cell viability in 786-0 and RCC4 cell lines, with IC50 values of 1.7 μM and 4.8 μM, respectively, and affects viability at elevated levels in VHL versions of these cells. Experimental findings show decreased cell viability, reduced HIF-2α activity and protein levels, and lower HIF-1α levels without promoting proteasomal degradation, along with dose-dependent upregulation of IRP2 and TFRC and a decrease in FTH. RT-PCR results indicate a dose-dependent reduction in the transcription of HIF-2α target genes VEGFA and POU5F1 without affecting HIF-2α transcription.
In vivo	HIF-1/2α-IN-1 (compound #25), administered orally at 30 and 60 mg/kg daily, significantly inhibited clear cell renal cell carcinoma (ccRCC) xenograft growth in 6-8 week old male NRG or Balb/c mice (10 per group), showing good tolerance without notable weight loss.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7148 mL	13.574 mL	27.1481 mL
5 mM	0.543 mL	2.7148 mL	5.4296 mL
10 mM	0.2715 mL	1.3574 mL	2.7148 mL
50 mM	0.0543 mL	0.2715 mL	0.543 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.