GN44028

Cat. No.: HY-110266

CAS No.: 1421448-26-1

Molecular Formula: $C_{18}H_{15}N_3O_2$

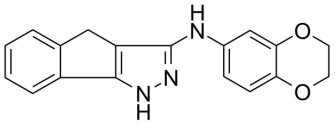
Molecular Weight: 305.33

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (163.76 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2751 mL	16.3757 mL	32.7515 mL
5 mM	0.6550 mL	3.2751 mL	6.5503 mL
10 mM	0.3275 mL	1.6376 mL	3.2751 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GN44028 is a potent and orally active hypoxia inducible factor (HIF)-1α inhibitor, with an IC_{50} of 14 nM. GN44028 inhibits hypoxia-induced HIF-1α transcriptional activity without suppressing HIF-1α mRNA expression, HIF-1α protein accumulation, or HIF-1α/HIF-1β heterodimerization. GN44028 can be used in the research of cancers[1][3].

IC_{50} & Target

IC50: 14 nM (HIF-1α)[1].

In Vitro

GN44028 (compound 2I, 0-30 μM approximately) has anti-proliferative activities against HCT116, HepG2 and HeLa cells[1].

GN44028 (0.001-1 μM, 4 h) inhibits the hypoxia-induced VEGF mRNA expression in HeLa cells[1].
GN44028 (20 nM, 10 days) abrogates the TGF□β□induced colony formation in HCT116 cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

Cell Line:	HeLa cells
Concentration:	0.001, 0.01, 0.1, 1μM
Incubation Time:	4 h
Result:	Inhibited the hypoxia-induced HIF-1 transcriptional activity without affecting HIF-1α/HIF-1 β heterodimerization.

In Vivo

GN44028 (10 mg/kg, oral gavage) extends the survival rate of animals in mice bearing a mixed orthotopic tumor with PN12 and ME23 cells[3].
GN44028 (5 mg/kg, tail vein injection, twice a week) suppresses tumor growth in a subcutaneous colorectal cancer model[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Mice bearing a mixed orthotopic tumor with PN12 and ME23 cells[3]
Dosage:	10 mg/kg
Administration:	Oral gavage
Result:	Reduced both proneural and mesenchymal markers.

Animal Model:	Subcutaneous colorectal cancer model (HCT116)[2]
Dosage:	5 mg/kg
Administration:	Tail vein injection, twice a week
Result:	Reduced pulmonary nodules in tumor-bearing mice, and enhanced the outcome of chemotherapy.

CUSTOMER VALIDATION

- ACS Nano. 2023 Nov 15.
- Oncogenesis. 2021 Oct 27;10(10):72.
- Environ Toxicol. 2021 May 10.
- J Pers Med. 2023, 13(1), 146.

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REFERENCES

[1]. Changfu Liu, et al. Tumor-associated macrophage-derived transforming growth factor-β promotes colorectal cancer progression through HIF1-TRIB3 signaling. Cancer Sci. 2021 Oct;112(10):4198-4207.

[2]. Xiaoqing Fan, et al. Heterogeneity of subsets in glioblastoma mediated by Smad3 palmitoylation. Oncogenesis. 2021 Oct 27;10(10):72.

[3]. Minegishi H, et al. Discovery of Indenopyrazoles as a New Class of Hypoxia Inducible Factor (HIF)-1 Inhibitors. ACS Med Chem Lett. 2013 Jan 27;4(2):297-301.

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