Belzutifan

Cat. No.: HY-125840

CAS No.: 1672668-24-4

Molecular Formula: $C_{17}H_{12}F_3NO_4S$

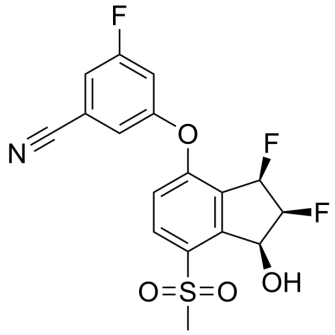
Molecular Weight: 383.34

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage: -20°C, stored under nitrogen

* In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (130.43 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6087 mL	13.0433 mL	26.0865 mL
	5 mM	0.5217 mL	2.6087 mL	5.2173 mL
	10 mM	0.2609 mL	1.3043 mL	2.6087 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 (6.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
4. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.5 mg/mL (1.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Belzutifan (PT2977) is an orally active and selective HIF-2α inhibitor with an IC_{50} of 9 nM. Belzutifan, as a second-generation HIF-2α inhibitor, increases potency and improves pharmacokinetic profile. Belzutifan is a potential treatment for clear cell renal cell carcinoma (ccRCC)[1].

IC_{50} & Target

IC50: 9 nM (HIF-2α)[1]

In Vitro

Belzutifan (PT2977) potently and dose-dependently reduces mRNA levels of human cyclin D1, a target gene regulated by

HIF-2α, and leads to rapid and dose-dependent reduction in EPO expression[1].

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

CUSTOMER VALIDATION

- Cancer Discov. 2021 Jun;11(6):1398-1410.
- Ann Rheum Dis. 2022 Jun 16;annrheumdis-2021-222035.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Xu R, et al. 3-[(1S,2S,3R)-2,3-Difluoro-1-hydroxy-7-methylsulfonylindan-4-yl]oxy-5-fluorobenzonitrile (PT2977), a Hypoxia-Inducible Factor 2α (HIF-2α) Inhibitor for the Treatment of Clear Cell Renal Cell Carcinoma. J Med Chem. 2019 Aug 8;62(15):6876-6893.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA