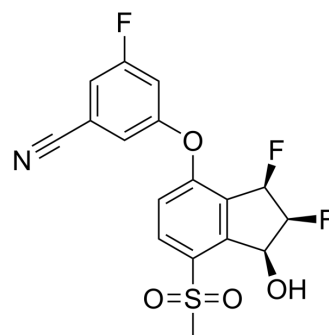


Belzutifan

Cat. No.:	HY-125840
CAS No.:	1672668-24-4
Molecular Formula:	C ₁₇ H ₁₂ F ₃ NO ₄ S
Molecular Weight:	383.34
Target:	HIF/HIF Prolyl-Hydroxylase
Pathway:	Metabolic Enzyme/Protease
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 1 years; -20°C, 6 months (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

- 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
- 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
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
- 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 IC₅₀ 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].

IC₅₀ & 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-2 α , 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 2 α (HIF-2 α) Inhibitor for the Treatment of Clear Cell Renal Cell Carcinoma. J Med Chem. 2019 Aug 8;62(15):6876-6893.

Caution: Product has not been fully validated for medical applications. For research use only.

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