

Belzutifan

Chemical Properties

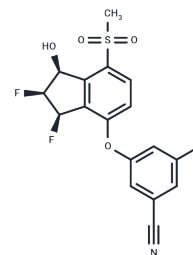
CAS No. : 1672668-24-4

Formula: C17H12F3NO4S

Molecular Weight: 383.34

Storage: Store under nitrogen, Store at low temperature
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	Belzutifan (MK-6482, PT2977) is a small-molecule inhibitor and a HIF-2 α inhibitor (IC ₅₀ = 9 nM) with high selectivity, oral activity, and improved pharmacokinetic characteristics, used for the treatment of clear cell renal cell carcinoma and related VHL diseases.
Targets(IC ₅₀)	HIF/HIF Prolyl-Hydroxylase,HIF
In vitro	<p>Methods: Cell proliferation assays and CRISPR functional experiments were used to detect the in vitro activity of Belzutifan. VHL-deficient clear cell renal cell carcinoma OSRC2, TUHR4TKB, and 786-O cells were selected and incubated with 2 μM drug for 16 days, with HIF2α knockout groups set as controls.</p> <p>Results: Belzutifan significantly inhibited cell proliferation, blocked HIF2α binding to ARNT, and downregulated CCND1 expression; sustained overexpression of Cyclin D1 in cells reversed the proliferation inhibitory effect of Belzutifan. [1]</p> <p>Methods: VHL-deficient clear cell renal cell carcinoma (ccRCC) cells were selected and incubated with gradient concentrations of Belzutifan to detect HIF-2α target gene expression and cell proliferation changes.</p> <p>Results: Belzutifan specifically blocked HIF-2α dimerization with ARNT, significantly downregulated pro-oncogenic gene expression, and effectively inhibited ccRCC cell proliferation in a dose-dependent manner, providing evidence for in vivo antitumor activity. [2]</p>
In vivo	<p>Methods: A clear cell renal cell carcinoma xenograft mouse model was used. Belzutifan was administered by oral gavage at doses set according to preclinical equivalent regimens, once daily for 21-28 consecutive days, with a vehicle of 0.5% methylcellulose, PEG400, and ethanol mixture, and a blank vehicle control group was established.</p> <p>Results: Belzutifan significantly inhibited tumor growth, downregulated HIF-2α target gene expression in tumor tissue, reduced VEGF and Cyclin D1 levels, showed no significant body weight loss or organ toxicity, and demonstrated definite in vivo antitumor activity with good tolerability. [3]</p>

Solubility Information

Solubility	DMSO: 50.5 mg/mL (131.74 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
------------	--

A DRUG SCREENING EXPERT

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.22 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
---------------------	---

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0522 mL	0.2609 mL	0.5217 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.

Reference

Shirole, Nitin H et al. Requirement for Cyclin D1 Underlies Cell-Autonomous HIF2 Dependence in Kidney Cancer. *Cancer discovery* vol. 15,7 (2025): 1484-1504.

Choueiri, Toni K et al. Inhibition of hypoxia-inducible factor-2 α in renal cell carcinoma with belzutifan: a phase 1 trial and biomarker analysis. *Nature medicine* vol. 27,5 (2021): 802-805.

Curry, Lauren, and Maryam Soleimani. Belzutifan: a novel therapeutic for the management of von Hippel-Lindau disease and beyond. *Future oncology (London, England)* vol. 20,18 (2024): 1251-1266.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

Tel: 781-999-4286 E_mail: info@targetmol.com Address: 34 Washington Street, Wellesley Hills, MA 02481