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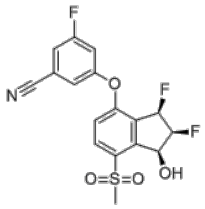
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Belzutifan
Cat. No.	:	PC-72737
CAS No.	:	1672668-24-4
Molecular Formula	:	$C_{17}H_{12}F_3NO_4S$
Molecular Weight	:	383.341
Target	:	HIF/HIF Prolyl-hydroxylase
Solubility	:	100 mM in DMSO (38.2 mg/mL)



Biological Activity

Belzutifan (PT2977, MK-6482) is a potent and selective small-molecule inhibitor of **HIF2α** with SPA IC50 of 9 nM, EC50 of 11 nM (HIF-2α luciferase assay).
PT2977 demonstrated high potency with EC50 of 17 nM in the VEGFA secretion assay in 786-O cells.
PT2977 (0.3, 1, and 3 mg/kg, oral) potently and dose-dependently reduced mRNA levels of human cyclin D1, a target gene regulated by HIF-2α, exhibited excellent antitumor activity in the 786-O mouse xenograft model
In phase 1 clinical, PT2977 decreased in the HIF-2α target erythropoietin (EPO) following once daily oral administration of PT2977 at the dose levels of 20, 40, 80, 120, 160, and 240 mg in patients with solid tumors, also showed encouraging outcomes in patients with advanced renal cell carcinoma in an expansion cohort of 55 patients with ccRCC treated at 120 mg q.d.

References

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