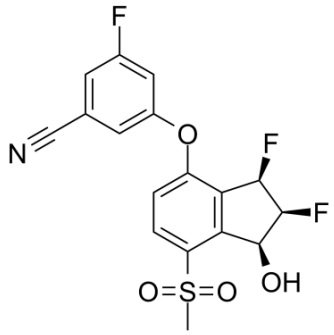
PT2977

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	Solvent / Mass / 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

BIOLOGICAL ACTIVITY

Description

PT2977 (MK-6482) is an orally active and selective **HIF-2α** inhibitor with an **IC_{50}** of 9 nM. PT2977, as a second-generation HIF-2α inhibitor, increases potency and improves pharmacokinetic profile. PT2977 is a potential treatment for clear cell renal cell carcinoma (ccRCC)[1].

IC_{50} & Target

IC50: 9 nM (HIF-2α)[1]

In Vitro

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].

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.

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