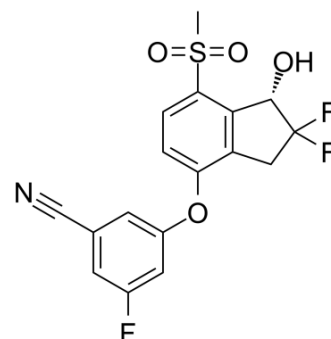


PT-2385

Cat. No.:	HY-12867		
CAS No.:	1672665-49-4		
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:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (130.43 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	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

BIOLOGICAL ACTIVITY

Description

PT-2385 is a selective HIF-2α inhibitor with a K_i of less than 50 nM^{[1][2]}.

IC₅₀ & Target

Kd: <50 nM (HIF-2α)^[1]

In Vitro

PT-2385 (PT2385) is a selective antagonist of HIF-2 over HIF-1. PT-2385 is inactive for HIF-1α^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PT-2385 (30 or 100 mg/kg; oral gavage; twice daily) result in a rapid, dose-dependent tumor regression^[3]. PT-2385 (PT2385) inhibits expression of HIF-2 α regulated genes in a dose dependent manner in vivo. Tumor is regressed with PT-2385 (3 and 10 mg/kg, p.o., b.i.d. dose) in 786-O xenograft. PT-2385 (1,3 and 10 mg/kg) also inhibits tumor-derived VEGFA protein levels. PT-2385 (10 mg/kg) treatment reduces proliferation (Ki67) and angiogenesis (CD-31)^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID/beige mice with the 786-O and A498 RCC cell lines ^[3]
Dosage:	30 or 100 mg/kg
Administration:	Oral gavage; twice daily
Result:	Resulted in a rapid, dose-dependent tumor regression.

CUSTOMER VALIDATION

- Nat Med. 2017 Nov;23(11):1298-1308.
- Cell Metab. 2020 Jan 7;31(1):115-130.e6.
- Nat Commun. 2020 Oct 6;11(1):5005.
- J Clin Invest. 2020 May 1;130(5):2237-2251.
- J Clin Invest. 2019 Jan 2;129(1):336-348.

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REFERENCES

- [1]. Eli Wallace, Ph.D. PT2385: HIF-2 α Antagonist for the Treatment of VHL Mutant ccRCC. 12th International VHL Medical Symposium April 8, 2016.
- [2]. Xie C, et al. Activation of intestinal hypoxia-inducible factor 2 α during obesity contributes to hepatic steatosis. Nat Med. 2017 Nov;23(11):1298-1308.
- [3]. Wallace EM, et al. A Small-Molecule Antagonist of HIF2 α Is Efficacious in Preclinical Models of Renal Cell Carcinoma. Cancer Res. 2016 Sep 15;76(18):5491-500.

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

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