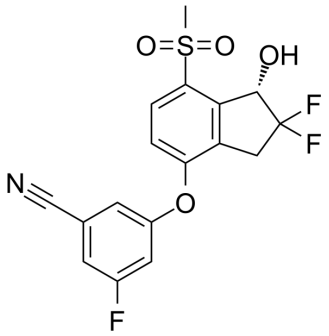
PT-2385

Cat. No.:	HY-12867
CAS No.:	1672665-49-4
Molecular Formula:	$C_{17}H_{12}F_3NO_4S$
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 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (130.43 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / 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: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: 2.87 mg/mL (7.49 mM); Suspended solution; Need ultrasonic
2. 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
3. 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
4. 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].
IC_{50} & 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-2α 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-2α 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 2α during obesity contributes to hepatic steatosis. Nat Med. 2017 Nov;23(11):1298-1308.

[3]. Wallace EM, et al. A Small-Molecule Antagonist of HIF2α Is Efficacious in Preclinical Models of Renal Cell Carcinoma. Cancer Res. 2016 Sep 15;76(18):5491-500.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA