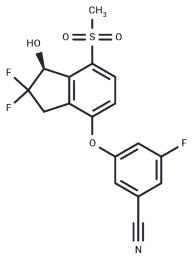
Data Sheet (Cat.No.T7848)

PT-2385

Chemical Properties



CAS No. : 1672665-49-4

Formula: C17H12F3NO4S

Molecular Weight: 383.34

Storage: 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	PT-2385 is a selective inhibitor of HIF-2α with a dissociation constant (Kd) of less than 50 nM (Kd<50 nM).
Targets(IC50)	HIF/HIF Prolyl-Hydroxylase,HIF
In vitro	PT-2385 is a selective antagonist of HIF-2 and inactive for HIF-1α [1].
In vivo	PT-2385 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]. PT-2385 (30 or 100 mg/kg; oral gavage; twice daily) result in a rapid, dose-dependent tumor regression [2].
Animal Research	Animal Model: SCID/beige mice with the 786-O and A498 RCC cell lines. Dosage: 30 or 100 mg/kg. Administration: Oral gavage; twice daily [2]

Solubility Information

Solubility	DMSO: 270 mg/mL (704.34 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.22 mM),Sonication is recommended. *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.*

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

Eli Wallace, Ph.D. PT2385: HIF-2α Antagonist for the Treatment of VHL Mutant ccRCC. 12th International VHL Medical Symposium April 8, 2016.
Li C, Wei Y, Wang P, et al.Screening and Identification of Hypoxia-Inducible Factor Signaling Inhibitor with Antiangiogenic Activity.Pharmaceutical Fronts.2024
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.