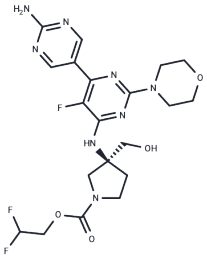
Data Sheet (Cat.No.T36308)

PF-06843195

Chemical Properties



CAS No. : 2067281-51-8

Formula: C20H25F3N8O4

Molecular Weight: 498.46

Storage: Store at low temperature
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	PF-06843195 is a potent and selective PI3Kα inhibitor that binds to the active site of PI3Kα and prevents it from catalyzing the conversion of PIP2 to PIP3, thereby inhibiting the activation of AKT, with anti-tumor activity in vitro and in vivo.
Targets(IC50)	PI3K
In vitro	PF-06843195 is able to inhibit the proliferation of T47D and MCF7 cells with IC50 values of 32 nM and 62 nM, respectively. [1]
In vivo	**Methods**: PF-06843195 (10 mg/kg, oral) and (2 mg/kg, intravenous) were used to treat rats and study its pharmacokinetics. **Results**: It showed excellent bioavailability (25%) after oral administration and high plasma clearance (30 mL/min/kg) and large distribution volume (3.0 L/kg) after intravenous injection. [1]

Solubility Information

Solubility	DMSO: 50 mg/mL (100.31 mM),Sonication and heating are 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 (4.01 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	2.0062 mL	10.0309 mL	20.0618 mL
5 mM	0.4012 mL	2.0062 mL	4.0124 mL
10 mM	0.2006 mL	1.0031 mL	2.0062 mL
50 mM	0.0401 mL	0.2006 mL	0.4012 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

Hengmiao Cheng, et al. Structure-Based Drug Design and Synthesis of PI3Kα-Selective Inhibitor (PF-06843195). J Med Chem. 2021 Jan 14;64(1):644-661.