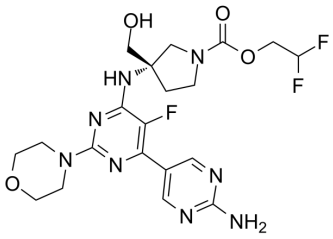
PF-06843195

Cat. No.:	HY-131972
CAS No.:	2067281-51-8
Molecular Formula:	$C_{20}H_{25}F_3N_8O_4$
Molecular Weight:	498.46
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
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 : 62.5 mg/mL (125.39 mM; ultrasonic and warming and heat to 60°C)

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

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: ≥ 2.08 mg/mL (4.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06843195 is a highly selective PI3Kα inhibitor with an IC_{50} of 18 nM in Rat1 fibroblasts. The K_is of PF-06843195 for PI3Kα and PI3Kδ in biochemical kinase assay are less than 0.018 nM and 0.28 nM, respectively. PF-06843195 has great suppression of the PI3K/mTOR signaling pathway and durable antitumor efficacy[1].

IC_{50} & Target

PI3Kα 18 nM (IC_{50}, in Rat1 fibroblasts)	PI3Kβ 360 nM (IC_{50}, in Rat1 fibroblasts)	PI3Kδ 160 nM (IC_{50}, in Rat1 fibroblasts)	PI3Kα 0.018 nM (Ki)
PI3Kδ 0.28 nM (Ki)			

In Vitro	PF-06843195 inhibits the breast cancer cell lines MCF7 and T47D proliferation with IC_{50}s of 62 nM and 32 nM, respectively[1]. PF-06843195 inhibits pAKT (T308) in MCF7 and T47D cells with IC_{50}s of 7.8 nM and 8.7 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In rats, PF-06843195 can rapidly and quantitatively transform from PF-06862309[1]. PF-06843195 exhibits oral bioavailability (rat 25 %) following oral administration (rat 10 mg/kg)[1]. PF-06843195 exhibits a moderate half-life (rat 3.6 h) due to high plasma clearance (30 mL/min/kg) combined with large volumes of distribution (3.0 L/kg) following intravenous administration (rat 2 mg/kg)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar Han Rats[1]
Dosage:	2 mg/kg (intravenous) and 10 mg/kg (oral gavage)(Pharmacokinetic Analysis)
Administration:	Intravenous (IV) or oral gavage (PO)
Result:	$T_{1/2}$ of 3.6 h for rats.

REFERENCES

[1]. 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.

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