Data Sheet (Cat.No.T36308)

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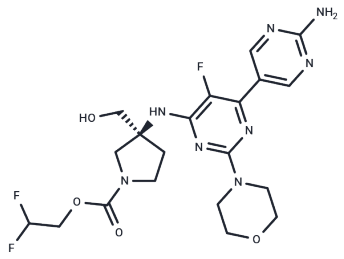
PF-06843195

Chemical Properties



CAS No. : 2067281-51-8

Formula: C20H25F3N8O4

Molecular Weight: 498.467

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	PF-06843195 is a selective PI3Kα inhibitor, demonstrating potent activity with an IC50 of 18 nM in Rat1 fibroblasts and Kis for PI3Kα and PI3Kδ less than 0.018 nM and 0.28 nM, respectively, in biochemical kinase assays. It effectively suppresses the PI3K/mTOR signaling pathway and exhibits durable antitumor efficacy[1].
In vitro	PF-06843195 inhibits the breast cancer cell lines MCF7 and T47D proliferation with IC50s of 62 nM and 32 nM, respectively[1].PF-06843195 inhibits pAKT (T308) in MCF7 and T47D cells with IC50s of 7.8 nM and 8.7 nM, respectively[1].
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].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0061 mL	10.0307 mL	20.0614 mL
5 mM	0.4012 mL	2.0061 mL	4.0123 mL
10 mM	0.2006 mL	1.0031 mL	2.0061 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.

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.

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