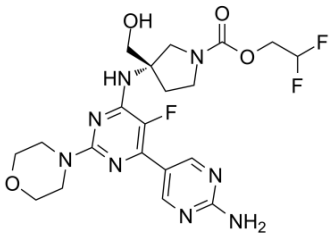
PF-06843195

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



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.

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