Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

PF-06409577

Catalog No: tcsc8071

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1467057-23-3

Formula:
$C_{19}H_{16}ClNO_3$

Pathway:
Epigenetics;PI3K/Akt/mTOR

Target:
AMPK;AMPK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (292.58 mM)

Observed Molecular Weight:
341.79

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Product Description

PF-06409577 is a potent and selective allosteric activator of **AMPK** α1β1γ1 isoform with an **EC_{50}** of 7 nM.

IC50 & Target: EC50: 7 nM (AMPK α1β1γ)[1]

In Vitro: PF-06409577 possesses similar potency toward the human and rat α1β1γ1 isoforms. In broad panel screening against other receptors, channels, PDEs and kinases, PF-06409577 exhibits minimal off-target pharmacology. PF-06409577 shows no detectable inhibition of hERG in a patch-clamp assay (100 μM) and is not an inhibitor (IC_{50}>100 μM) of the microsomal activities of major human cytochrome P450 isoforms[1].

In Vivo: PF-06409577 demonstrates moderate plasma clearance in rats, dogs, and monkeys, and is well distributed with steady state distribution volume. Following oral administration of crystalline PF-06409577 in 0.5% methylcellulose suspension, PF-06409577 is rapidly absorbed in rats, dogs, and monkeys. The corresponding oral bioavailability values in rats, dogs, and monkeys, are 15%, 100%, and 59%, respectively. Dose responsive increases in pAMPK relative to total AMPK (tAMPK) in whole kidney tissue are observed with a maximal 3.8-fold response at 300 mg/kg PF-06409577 treatment[1]. Oral administration of PF-06409577 (10, 30, and 100 mg/kg QD) results in dose-dependent reductions in proteinuria in the obese ZSF1 animals, with greater than 2-fold reduction in 24-hour urinary albumin loss compared to vehicle control after 60 days of treatment[1].