

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

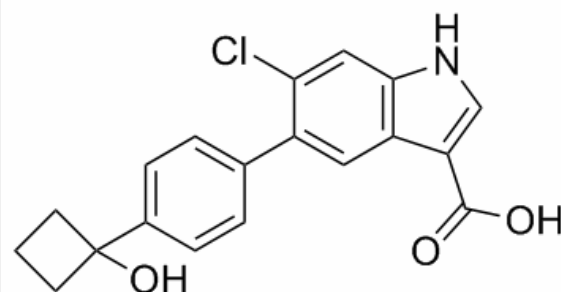
Product Description

PF-06409577 is a potent and selective allosteric activator of **AMPK** $\alpha 1\beta 1\gamma 1$ isoform with an **EC₅₀** of 7 nM.

IC50 & Target: EC50: 7 nM (AMPK $\alpha 1\beta 1\gamma$)^[1]

In Vitro: PF-06409577 possesses similar potency toward the human and rat $\alpha 1\beta 1\gamma 1$ 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 μ M) and is not an inhibitor (IC₅₀>100 μ M) 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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!