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

PF-4136309

Catalog No: tcsc0610

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1341224-83-6

Formula:
$C_{29}H_{31}F_3N_6O_3$

Pathway:
Immunology/Inflammation;GPCR/G Protein

Target:
CCR;CCR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 34 mg/mL (59.80 mM)

Alternative Names:
INCB8761

Observed Molecular Weight:
568.59

Product Description

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PF-4136309 is a potent, selective, and orally bioavailable **CCR2** antagonist, with **IC_{50}** of 5.2 nM, 17 nM and 13 nM for human, mouse and rat CCR2.

IC50 & Target: IC50: 5.2 nM (Human CCR2), 17 nM (Mouse CCR2), 13 nM (Rat CCR2)[1]

In Vitro: PF-4136309 is potent in human chemotaxis activity (IC_{50}=3.9 nM) and in the whole blood assay (IC_{50}=19 nM), with IC_{50} of 16 and 2.8 nM in mouse and rat chemotaxis assays. PF-4136309 is potent in inhibiting CCR2 mediated signaling events such as intracellular calcium mobilization and ERK (extracellular signal-regulated kinase) phosphorylation with IC_{50} values of 3.3 and 0.5 nM, respectively. In hERG patch clamp assay, PF-4136309 inhibits hERG potassium current with an IC_{50} of 20 μM. PF-4136309 is not a cytochrome P450 (CYP) inhibitor, with IC_{50} values of >30 μM against five major CYP isozymes CYP1A2, CYP2C9, CYP2C19, CYP2D6, and CYP3A4. Moreover, PF-4136309 is not a CYP inducer at concentrations up to 30 μM[1].

In Vivo: PF-4136309 (2 mg/kg) exhibits a moderate half-life in both species after iv administration (2.5 and 2.4 h). When administered orally, PF-4136309 (10 mg/kg) is absorbed rapidly, with peak concentration time (T_{max}) at 1.2 h for rats and 0.25 h for dogs. A similar half-life is observed in both species between iv dosing and po dosing. PF-4136309 is well absorbed, with an oral bioavailability of 78% in both species[1].