

# 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 :  $\geq$  34 mg/mL (59.80 mM)

**Alternative Names:**

INCB8761

**Observed Molecular Weight:**

568.59

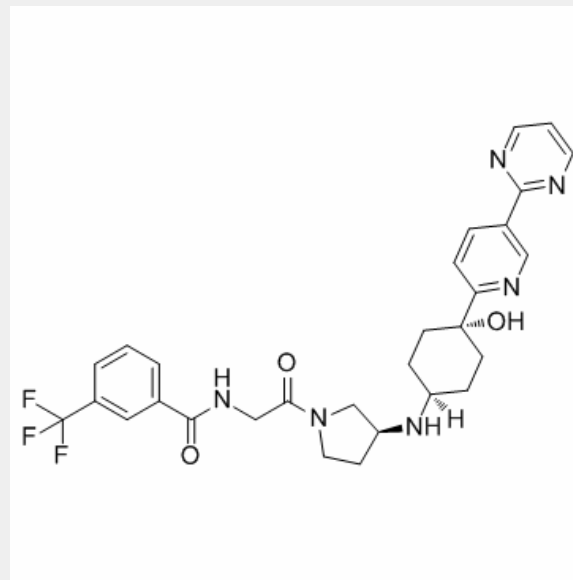
## Product Description

PF-4136309 is a potent, selective, and orally bioavailable **CCR2** antagonist, with **IC<sub>50</sub>** 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)<sup>[1]</sup>

**In Vitro:** PF-4136309 is potent in human chemotaxis activity (IC<sub>50</sub>=3.9 nM) and in the whole blood assay (IC<sub>50</sub>=19 nM), with IC<sub>50</sub> 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<sub>50</sub> values of 3.3 and 0.5 nM, respectively. In hERG patch clamp assay, PF-4136309 inhibits hERG potassium current with an IC<sub>50</sub> of 20 μM. PF-4136309 is not a cytochrome P450 (CYP) inhibitor, with IC<sub>50</sub> 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<sup>[1]</sup>.

**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<sub>max</sub>) 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<sup>[1]</sup>.



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