

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

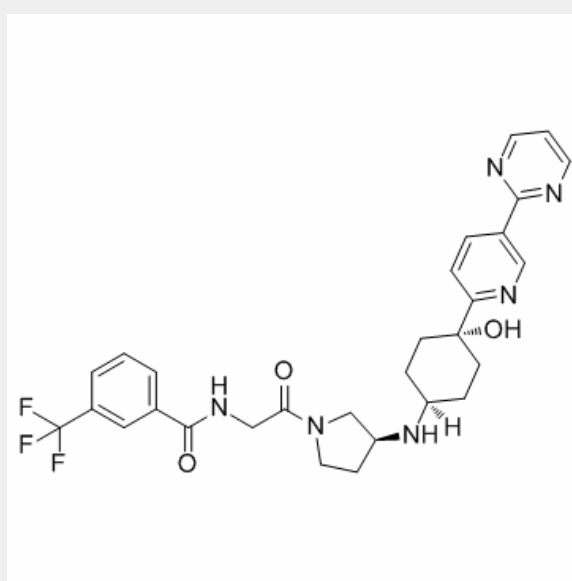
Product Description

PF-4136309 is a potent, selective, and orally bioavailable **CCR2** antagonist, with **IC₅₀** 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 (IC₅₀=3.9 nM) and in the whole blood assay (IC₅₀=19 nM), with IC₅₀ 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 IC₅₀ values of 3.3 and 0.5 nM, respectively. In hERG patch clamp assay, PF-4136309 inhibits hERG potassium current with an IC₅₀ of 20 μM. PF-4136309 is not a cytochrome P450 (CYP) inhibitor, with IC₅₀ 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].



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