Product Name: PF-4136309
Cat. No.: HY-13245
CAS No.: 1341224-83-6
Molecular Formula: C_{29}H_{31}F_{3}N_{6}O_{3}
Molecular Weight: 568.59
Target: CCR
Pathway: GPCR/G Protein; Immunology/Inflammation
Solubility: DMSO: ≥ 34 mg/mL

**BIOLOGICAL ACTIVITY:**

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.

IC_{50} & Target: IC_{50}: 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\(^{[1]}\).

**References:**


Caution: Product has not been fully validated for medical applications. For research use only.

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