SZABO SCANDIC

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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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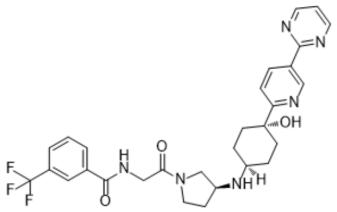
PF-4136309

Cat. No.:	HY-13245
CAS No.:	1341224-83-6
Molecular Formula:	$C_{29}H_{31}F_3N_6O_3$
Molecular Weight:	568.59
Target:	CCR
Pathway:	GPCR/G Protein; Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 133.33 mg/mL (234.49 mM; Need ultrasonic)

DMSO : ≥ 34 mg/mL (59.80 mM)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.7587 mL	8.7937 mL	17.5874 mL
5 mM	0.3517 mL	1.7587 mL	3.5175 mL
10 mM	0.1759 mL	0.8794 mL	1.7587 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 0.5% Methylcellulose/saline water
   Solubility: 10 mg/mL (17.59 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (8.79 mM); Clear solution
3. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (8.79 mM); Clear solution
4. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 5 mg/mL (8.79 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.66 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.66 mM); Clear solution
7. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.66 mM); Clear solution

	8. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (3.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	PF-4136309 is a potent, selective, and orally bioavailable CCR2 antagonist, with IC_{50}s of 5.2 nM, 17 nM and 13 nM for human, mouse and rat CCR2.		
IC_{50} & Target	Human CCR2 5.2 nM (IC_{50})	Mouse CCR2 13 nM (IC_{50})	Rat CCR2 17 nM (IC_{50})
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

CUSTOMER VALIDATION

- Materials Today. 2022.
- Int J Mol Sci. 2023, 24(1), 123.

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REFERENCES

[1]. Wang W, Chen XK, Zhou L, et al. Chemokine CCL2 promotes cardiac regeneration and repair in myocardial infarction mice via activation of the JNK/STAT3 axis. Acta Pharmacol Sin. Published online December 12, 2023.

[2]. Xue CB, et al. Discovery of INCB8761/PF-4136309, a Potent, Selective, and Orally Bioavailable CCR2 Antagonist. ACS Med. Chem. Lett., 2011, 2 (12), pp 913-918.

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