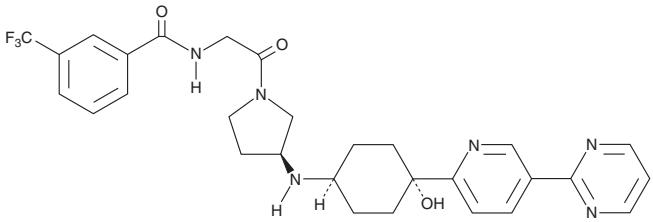
PRODUCT INFORMATION

PF-4136309

Item No. 21965

CAS Registry No.:	1341224-83-6
Formal Name:	N-[2-[(3S)-3-[[*trans*-4-hydroxy-4-[5-(2-pyrimidinyl)-2-pyridinyl]cyclohexyl]amino]-1-pyrrolidinyl]-2-oxoethyl]-3-(trifluoromethyl)-benzamide
Synonym:	INCB 8761
MF:	$C_{29}H_{31}F_3N_6O_3$
FW:	568.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 250 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-4136309 is supplied as a solid. A stock solution may be made by dissolving the PF-4136309 in the solvent of choice, which should be purged with an inert gas. PF-4136309 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PF-4136309 in ethanol and DMF is approximately 20 mg/ml and approximately 5 mg/ml in DMSO.

PF-4136309 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-4136309 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. PF-4136309 has a solubility of approximately 0.17 mg/ml in a 1:5 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PF-4136309 is a chemokine (C-C motif) receptor 2 (CCR2) antagonist (IC_{50}s = 5.2, 13, and 17 nM for the human, rat, and mouse receptors, respectively).[1] It also inhibits the voltage-gated potassium channel subtype K_v11.1 by 35% when used at a concentration of 10 µM. PF-4136309 decreases isolated human monocyte chemotaxis induced by chemokine (C-C motif) ligand 2 (CCL2) with an IC_{50} value of 3.9 nM.

Reference

1. Xue, C.-B., Wang, A., Han, Q., *et al.* Discovery of INCB8761/PF-4136309, a potent, selective, and orally bioavailable CCR2 antagonist. *ACS Med. Chem. Lett.* **2(12)**, 913-918 (2011).

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