

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: C₂₉H₃₁F₃N₆O₃

FW: 568.6

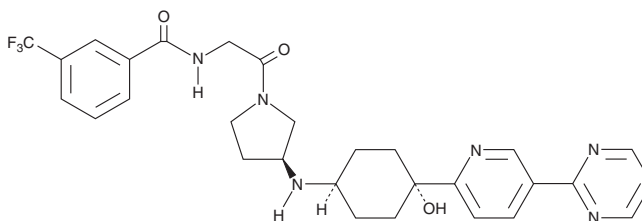
Purity: ≥98%

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 (IC₅₀s = 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 IC₅₀ 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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