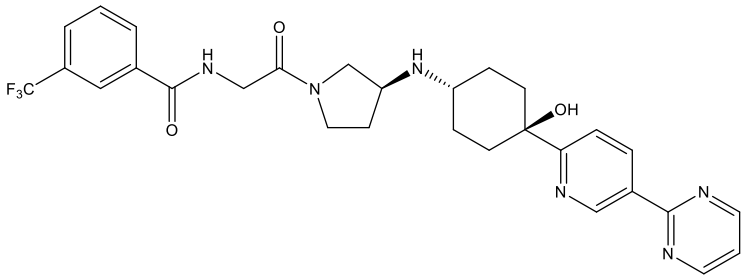
Catalog # 10-4261
PF-4136309

CAS# 1341224-83-6

N-[2-[(3*S*)-3-[[4-Hydroxy-4-(5-pyrimidin-2-ylpyridin-2-yl)cyclohexyl]amino]pyrrolidin-1-yl]-2-oxoethyl]-3-(trifluoromethyl)benzamide; INCB8761

Lot # FBS2005



PF-4136309 is a potent (hIC_{50} = 5.2 nM, mIC_{50} = 13 nM, rIC_{50} = 17 nM) and selective inhibitor of CCR2.[1] PF-4136309 significantly decreased inflammatory monocytes in a mouse model of pancreatic cancer.[2] In combination therapy with the FOLFIRINOX regimen, PF-4136309 reversed immune suppression in the tumor microenvironment causing an influx of tumor infiltrating lymphocytes leading to overall enhanced efficacy in a phase 1b study.[3]

1) Chu-Biao *et al.* (2011*), Discovery of INCB8761/PF-4136309, a Potent, Selective, and Orally Bioavailable CCR2 Antagonist;* ACS Med.Chem.Lett. **2** 913
2) Sanford *et al.* (2013*), Inflammatory monocyte mobilization decreases patient survival in pancreatic cancer: a role for targeting the CCL2/CCR2 axis;* Clin.Cancer Res. **19** 3404
3) Nywenig *et al.* (2016*), Phase 1b study targeting tumor associated macrophages with CCR2 inhibition plus FOLFIRINOX in locally advanced and borderline resectable pancreatic cancer;* Lancet Oncol. **17** 651

PHYSICAL DATA

Molecular Weight:	568.60
Molecular Formula:	$C_{29}H_{31}F_3N_6O_3$
Purity:	>98% by HPLC NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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