

Catalog # 10-4261 PF-4136309

CAS# 1341224-83-6

N-[2-[(3S)-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. PF-4136309 significantly decreased inflammatory monocytes in a mouse model of pancreatic cancer. 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.

- 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: C₂₉H₃₁F₃N₆O₃

Purity: >98% by HPLC

NMR: (Conforms)

Solubility: DMSO (>25 mg/ml)
Physical Description: Off-white solid

Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 1 month.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.

Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462 www.focusbiomolecules.com