
Product Data Sheet

Product Name: PF-4136309

Cat. No.: GC25728

Chemical Properties

Cas. No. 857679-55-1

Formula $C_{29}H_{31}F_3N_6O_3$

M.Wt 568.59

Solubility DMSO: 100 mg/mL (175.87 mM);Water: Insoluble;Ethanol:
100 mg/mL (175.87 mM)Store
Storage at -
20°CGeneral tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it
in the ultrasonic bath for a while.Stock solution can be stored below -20°C for
several months.Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship
with RT , or blue ice upon request.Structure **Background**

PF-4136309 (INCB8761, PF-04136309) is a potent, selective, and orally bioavailable CCR2 antagonist with an IC₅₀ of 5.2 nM for human CCR2.

PF-4136309 is potent in inhibiting CCR2 mediated signaling events such as intracellular calcium mobilization and ERK (extracellular signal-regulated kinase) phosphorylation. [1]

PF-4136309 exhibits >100-fold selectivity over other homologous chemokine receptors, a free fraction of 24% in human serum and 15% in mouse serum, and an oral bioavailability of 47% in mice, suitable as a tool compound for target validation in rodent models.[2]

[1] Xianming Deng, et, al. Eur J Med Chem. 2013;70:758-67. [2] Xue CB, et al. ACS Med Chem Lett. 2011 Oct 5;2(12):913-8.

Caution: Product has not been fully validated for medical applications. For research use only.

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