CCR6 antagonist 1

Cat. No.: HY-151435

CAS No.: 588674-64-0

Molecular Formula: $C_{17}H_{12}F_3NO_2$

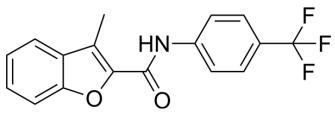
Molecular Weight: 319.28

Target: CCR

Pathway: GPCR/G Protein; Immunology/Inflammation

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (313.20 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1320 mL	15.6602 mL	31.3205 mL
5 mM	0.6264 mL	3.1320 mL	6.2641 mL
10 mM	0.3132 mL	1.5660 mL	3.1320 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

CCR6 antagonist 1 is a CCR6 antagonist that inhibits the CCL20/CCR6 axis. CCR6 antagonist 1 can be used in the research of autoimmune-mediated inflammatory diseases, such as inflammatory bowel diseases (IBDs)[1].

IC_{50} & Target

CCR6

In Vitro

CCR6 antagonist 1 (compound 1b, 50 μM, 3 h) blocks the CCL20-induced $CD4^+$ T cell migration[1].

?CCR6 antagonist 1 (30 nM-300 μM, 20 min) inhibits miniGi recruitment to CCR6 induced by CCL20, and β-arrestin-1 recruitment to CCR6 and CCR5 by CCL20 and CCL5 (5 nM), respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Migration Assay [1]

Cell Line:	CCL20 (500 ng/mL)-induced $CCR6^+CD4^+$ T cell
Concentration:	0.5, 5, 50 μM

Incubation Time:	3 h
Result:	Inhibited CCL20-induced cell migration at 50 μM.

In Vivo

CCR6 antagonist 1 (compound 1b, 1 mg/kg, s.c., twice daily for 3 days) alleviates TNBS-induced inflammatory responses in mice[1].

?CCR6 antagonist 1 (1 mg/kg, s.c., twice, before and after zymosan treatment) shows anti-inflammatory effects in Zymosan-induced peritonitis mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Murine model of colitis (5 mg/mouse TNBS-induced)[1]
Dosage:	1 mg/kg
Administration:	Subcutaneous injection (s.c.), twice daily for 3 days.
Result:	Improved mice general conditions, attenuated macroscopic injury and counteracted neutrophils infiltration, both in the colon and in lungs.

Animal Model:	Zymosan-induced peritonitis mice[1]
Dosage:	1 mg/kg
Administration:	Subcutaneous injection (s.c.), twice, before and after zymosan treatment
Result:	Significantly reduced the total protein content and myeloperoxidase activity in the peritoneal lavage.

CUSTOMER VALIDATION

- Int Immunopharmacol. 2023 Dec 9:127:111332.

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REFERENCES

[1]. Maria Grazia Martina, et al. Discovery of small-molecules targeting the CCL20/CCR6 axis as first-in-class inhibitors for inflammatory bowel diseases. Eur J Med Chem. 2022 Aug 29;243:114703.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA