BMS CCR2 22

Cat. No.: HY-101908

CAS No.: 445479-97-0

Molecular Formula: $C_{28}H_{34}F_3N_5O_4S$

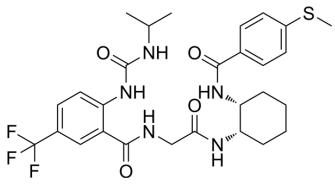
Molecular Weight: 593.66

Target: CCR

Pathway: GPCR/G Protein; Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (421.12 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6845 mL	8.4223 mL	16.8447 mL
5 mM	0.3369 mL	1.6845 mL	3.3689 mL
10 mM	0.1684 mL	0.8422 mL	1.6845 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS CCR2 22 is a potent, specific and high affinity CC-type chemokine receptor 2 (CCR2) antagonist with excellent binding affinity (binding IC_{50} of 5.1 nM) and potent functional antagonism (calcium flux IC_{50} of 18 nM and chemotaxis IC_{50} of 1 nM)[1][2].

IC_{50} & Target

CCR2
5.1 nM (IC_{50})

In Vitro

BMS CCR2 22 (Compound 22) has binding affinity for wild-type and E291A mutants with IC_{50} values of 7.5 nM and 3.7 nM, respectively[1].BMS CCR2 22 prevents both the binding and the internalization of fluorescently labeled hMCP-1_AF647 internalization in human monocytes. BMS CCR2 22 inhibits the internalization of hMCP1_AF647 with an IC_{50} value of approximately 2 nM[2].

The addition of BMS CCR2 22 (0.1-10 μM; 24 h), cenicriviroc (CVC) or a combination of both BMS CCR2 22 and MVC to human

aortic endothelial cells (HAoECs) prior to MCP-1 stimulation do not alter E-selectin, ICAM-1, or CD99 cell surface expression. Incubation of HAoECs with BMS CCR2 22 before MCP-1 significantly increases VCAM-1 and PECAM1 cell surface levels (from 72.8 to 160% and from 97.2 and 127%, respectively)[3].

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

REFERENCES

[1]. Cherney RJ, et al. Discovery of disubstituted cyclohexanes as a new class of CC chemokine receptor 2 antagonists. J Med Chem. 2008 Feb 28;51(4):721-4.

[2]. Kredel S, et al. High-content analysis of CCR2 antagonists on human primary monocytes. J Biomol Screen. 2011 Aug;16(7):683-93.

[3]. D'Antoni ML, et al. Cenicriviroc inhibits trans-endothelial passage of monocytes and is associated with impaired E-selectin expression. J Leukoc Biol. 2018 Dec;104(6):1241-1252.

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