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RS 504393

Cat. No.: HY-15418

CAS No.: 300816-15-3

Molecular Formula: $C_{25}H_{27}N_3O_3$

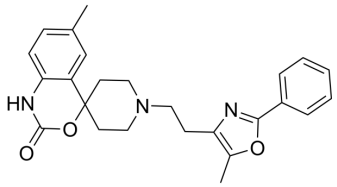
Molecular Weight: 417.5

Target: CCR

Pathway: GPCR/G Protein; Immunology/Inflammation

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (23.95 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3952 mL	11.9760 mL	23.9521 mL
	5 mM	0.4790 mL	2.3952 mL	4.7904 mL
	10 mM	0.2395 mL	1.1976 mL	2.3952 mL

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

In Vivo

1. Add each solvent one by one: 50% DMSO >> 15% EtOH >> 35% PEG300
   Solubility: 31.25 mg/mL (74.85 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (2.99 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RS 504393 is a selective CCR2 chemokine receptor antagonist (IC_{50} values are 89 nM and > 100 μM for inhibition of human recombinant CCR2 and CCR1 receptors respectively).

IC_{50} & Target				
	CCR2	Human α_{1a} receptor	Human α_{1d} receptor	5HT-1a receptor
	89 nM (IC_{50})	72 nM (IC_{50})	460 nM (IC_{50})	1070 nM (IC_{50})

In Vitro	RS 504393 inhibits the MCP-1-induced chemotaxis with an IC_{50} of 330 nM. RS 504393 treatment suppresses allergen induced β-hexosaminidase release significantly. Without allergen priming, MCP-1 induces mast cell degranulation, which is completely suppressed by RS 504393[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RS504393 (0.3-3 μg) with CCL2 progressively blocks thermal hyperalgesia dose-dependently in mice[1]. RS 504393 (5 mg/kg, i.v.) supresses the elevated numbers of leukocytes and increased total protein content in BALF induced by The LPS. RS504393 significantly down regulates the LPS-induced elevation of IL-1β, PAI-1 mRNA and protein expressions. RS504393 significantly suppresses induced lung edema, protein-rich fluid, polymorphonuclear accumulation and bronchial wall thickening induced by LPS[2]. RS-504393 significantly reduces renal pathology, especially the extensive interstitial fibrosis mediated by decrease in type I collagen synthesis in a UUO model[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [4]	Isolated mast cells are sensitized by incubation with anti-DNP IgE in RPMI1640 containing 10 ng/mL of murine recombinant IL-3, 10 ng/mL of recombinant SCF, and 5% murine serum. The cells are then washed with HBSS containing 10 ng/mL of murine recombinant IL-3, 10 ng/mL of recombinant SCF, 0.04% BSA, and 10 mM HEPES. Resuspended cells at a concentration of 2 to 8×10^4 cells/100 μL are transferred into triplicate wells of a 96 well U-bottom plate and allowed to equilibrate at 37°C for 10 minutes before the addition of DNP-albumin or compound 48/80. After 45 minutes, the plate is centrifuged at 290 g for 5 minutes at 4°C. The β-hexosaminidase activity of the culture supernatant is determined using a Published protocol. Fifty-μL aliquots of the supernatant are placed in wells of another 96-well plate together with 100 μL of 2.5 mM p-nitrophenyl-N-acetyl β-d glucosaminide solubilized in 0.04mol/Lcitrate buffer adjusted to pH 4.5 with disodium phosphate. After incubation at 37°C for 90 minutes, the reactions are terminated by addition of 50 μL of 0.4mol/Lglycin adjusted to pH 10.7 with sodium hydroxide. The colored product is measured at 405 nm with a reference filter of 570 nm. The relative release of β-hexosaminidase is defined as the activity in the supernatant of the tested cells divided by the activity in the positive control cell supernatant, multiplied by 100. Compound 48/80 stimulus is used for assay control. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Male C57BL/6J mice (n=30) and male homozygote CCR1, CCR2 and CCR3 knockout mice (n=12, in each phenotype), 6-8 weeks old and weighing 20±2 g. Intranasal administration of PBS or LPS (5 mg/kg) is performed in a volume of 1 mL/kg body weight. C57BL/6J mice are treated with vehicle or RS504393 (5 mg/kg) intraperitoneally 30 min before LPS challenge. Four hours after LPS challenge, mice are terminated by an intraperitoneal injection of an overdose of pentobarbitone. The mice are kept on a 12-h light/dark cycle with access to mice chow and water ad libitum. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Discov. 2023 Sep 12;9(1):94.
- ACS Nano. 2024 Feb 21.
- Nat Commun. 2022 Nov 26;13(1):7281.
- J Exp Med. 2023 Aug 7;220(8):e20220509.
- Sci Adv. 2023 Nov 3;9(44):eadi7337.

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REFERENCES

[1]. Baamonde, Ana., et al. Involvement of glutamate NMDA and AMPA receptors, glial cells and IL-1β in the spinal hyperalgesia evoked by the chemokine CCL2 in mice. Neuroscience Letters (2011), 502(3), 178-181.

[2]. Yang, Dong., et al. Roles of CC chemokine receptors (CCRs) on lipopolysaccharide-induced acute lung injury. Respiratory Physiology & Neurobiology (2010), 170(3), 253-259.

[3]. Kitagawa, Kiyoki., et al. Blockade of CCR2 ameliorates progressive fibrosis in kidney. American Journal of Pathology (2004), 165(1), 237-246.

[4]. Tominaga T, et al. Blocking mast cell-mediated type I hypersensitivity in experimental allergic conjunctivitis by monocyte chemoattractant protein-1/CCR2. Invest Ophthalmol Vis Sci. 2009 Nov;50(11):5181-8.

[5]. Mirzadegan T, et al. Identification of the binding site for a novel class of CCR2b chemokine receptor antagonists: binding to a common chemokine receptor motif within the helical bundle. J Biol Chem. 2000 Aug 18;275(33):25562-71.

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