Product Datasheet

RS102895

Catalog No. **BP-02266** · CAS **300815-41-2** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

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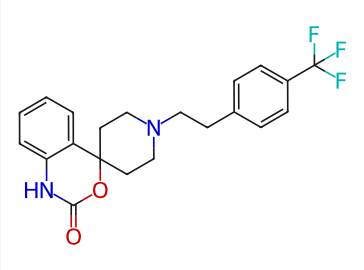
Target	Research area
CCR	**Neurological Disease; Endocrinology**

Molecular formula	$C_{21}H_{21}F_3N_2O_2$
Molecular weight	**390.3988**

STRUCTURE



DESCRIPTION

RS102895 is a potent CCR2 antagonist, with an IC50 of 360 nM, and shows no effect on CCR1.

BIOLOGICAL ACTIVITY

RS102895 is a potent CCR2 antagonist, with IC50 value of 360 nM. RS102895 also inhibits human α1a and α1d receptors, rat brain cortex 5HT1a receptor in cells with IC50s of 130, 320, 470 nM, respectively. RS102895 suppresses wild type and D284N mutant MCP-1 receptor (IC50, 550 nM and 568 nM, respectively), less potently inhibits D284A MCP-1 receptor (IC50, 1892 nM), and has no effects on E291A, E291Q, D284A/E291A or D284N/E291Q (IC50, >100,000 nM). RS102895 ameliorates the increased extracellular matrix (ECM) protein expression by inhibition of CCR2 at 10 μM, and obviously blocks fibronectin and type IV collagen protein expression in high glucose (HG)-stimulated mesangial cells (MCs) at 1 or 10 μM. RS102895 (3 g/L) causes progressive decrease in pain threshold in rats with bone cancer pain (BCP) at day 3-9 after surgery via intrathecal injection, but the pain threshold increases after 12 days.

SOLUBILITY

Soluble in DMSO : 175 mg/mL Ethanol : 50 mg/mL

In vitro	DMSO : 175 mg/mL Ethanol : 50 mg/mL

REFERENCES

[1]. 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.

[2]. Park J, et al. MCP-1/CCR2 system is involved in high glucose-induced fibronectin and type IV collagen expression in cultured mesangial cells. Am J Physiol Renal Physiol. 2008 Sep;295(3):F749-57.

[3]. Ren F, et al. Analgesic Effect of Intrathecal Administration of Chemokine Receptor CCR2 Antagonist is Related to Change in Spinal NR2B, nNOS, and SIGIRR Expression in Rat with Bone Cancer Pain. Cell Biochem Biophys. 2015 Jun;72(2):611-6.

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