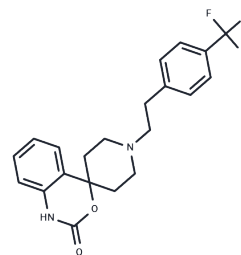


RS102895

## Chemical Properties

CAS No. : 300815-41-2  
Formula: C<sub>21</sub>H<sub>21</sub>F<sub>3</sub>N<sub>2</sub>O<sub>2</sub>  
Molecular Weight: 390.4  
Appearance: no data available  
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



## Biological Description

|                            |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
|----------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description                | RS102895 is a potent CCR2 antagonist (IC <sub>50</sub> : 360 nM) and shows no effect on CCR1.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |
| Targets(IC <sub>50</sub> ) | CCR                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |
| In vitro                   | RS102895 also inhibits human $\alpha$ 1a, $\alpha$ 1d receptors, rat brain cortex 5HT1a receptor in cells with IC <sub>50</sub> s of 130, 320, 470 nM, respectively. RS102895 suppresses wild type and D284N mutant MCP-1 receptor (IC <sub>50</sub> , 550 nM and 568 nM, respectively), less potently inhibits D284A MCP-1 receptor (IC <sub>50</sub> , 1892 nM), and has no effects on E291A, E291Q, D284A/E291A or D284N/E291Q (IC <sub>50</sub> , >100,000 nM) [1]. RS102895 ameliorates the increased extracellular matrix protein expression by inhibition of CCR2 at 10 $\mu$ M and obviously blocks fibronectin and type IV collagen protein expression in high glucose-stimulated mesangial cells (MCs) at 1 or 10 $\mu$ M. RS102895 (10 $\mu$ M) also abrogate the increased TGF-1 levels in MCs treated with MCP-1 [2]. |
| In vivo                    | RS102895 at a concentration of 3 g/L progressively lowers the pain threshold in rats experiencing bone cancer pain (BCP) from days 3 to 9 post-surgery through intrathecal administration, with the threshold rising again after day 12. Additionally, RS102895 effectively alters the expression levels of NR2B, nNOS, and SIGIRR in the spinal cord.                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |

## Solubility Information

|            |                                                                                               |
|------------|-----------------------------------------------------------------------------------------------|
| Solubility | DMSO: 15 mg/mL (38.42 mM),<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|-----------------------------------------------------------------------------------------------|

### Preparing Stock Solutions

|       | 1mg       | 5mg        | 10mg       |
|-------|-----------|------------|------------|
| 1 mM  | 2.5615 mL | 12.8074 mL | 25.6148 mL |
| 5 mM  | 0.5123 mL | 2.5615 mL  | 5.123 mL   |
| 10 mM | 0.2561 mL | 1.2807 mL  | 2.5615 mL  |
| 50 mM | 0.0512 mL | 0.2561 mL  | 0.5123 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

### Reference

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):

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