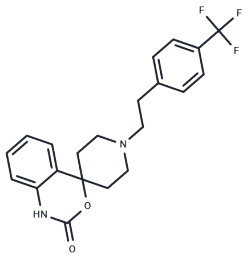
Data Sheet (Cat.No.TQ0283)

RS102895

Chemical Properties



CAS No. : 300815-41-2

Formula: C21H21F3N2O2

Molecular Weight: 390.4

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	RS102895 is a potent CCR2 antagonist (IC50: 360 nM) and shows no effect on CCR1.
Targets(IC50)	CCR
In vitro	RS102895 also inhibits human α1a, 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) [1]. RS102895 ameliorates the increased extracellular matrix protein expression by inhibition of CCR2 at 10 μM and obviously blocks fibronectin and type IV collagen protein expression in high glucose-stimulated mesangial cells (MCs) at 1 or 10 μM. RS102895 (10 μM) 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: 100 mg/mL (256.15 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (25.61 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (25.61 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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): 25562-71.
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.
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.