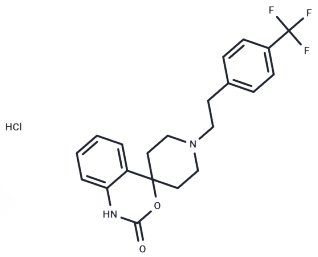
Data Sheet (Cat.No.T12773)

TargetMol

RS102895 hydrochloride

Chemical Properties



CAS No. : 1173022-16-6

Formula: C21H22ClF3N2O2

Molecular Weight: 426.86

Storage: 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 hydrochloride is a potent antagonist of CCR2(IC50 of 360 nM).
Targets(IC50)	5-HT Receptor,CCR
In vitro	RS102895 hydrochloride is a potent antagonist of CCR2(IC50 : 360 nM). RS102895 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 with IC50 of 550 nM and 568 nM, respectively. RS102895 less potently inhibits D284A MCP-1 receptor with IC50 of 1892 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 (10 μM) also abrogates the increased TGF-1 levels in MCs treated with MCP-1[2].
In vivo	Progressive decrease in pain threshold in rats with bone cancer pain (BCP) at day 3-9 after surgery via intrathecal injection caused by RS102895 (3 g/L), but the pain threshold increases after 12 days. RS102895 also potently reverses the pattern of NR2B, nNOS, and SIGIRR expression in spinal cord[3].

Solubility Information

Solubility	DMSO: 28 mg/mL (65.6 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.69 mM),Sonication is recommended. *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	2.3427 mL	11.7134 mL	23.4269 mL
5 mM	0.4685 mL	2.3427 mL	4.6854 mL
10 mM	0.2343 mL	1.1713 mL	2.3427 mL
50 mM	0.0469 mL	0.2343 mL	0.4685 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.