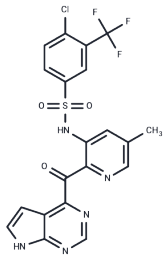
# Data Sheet (Cat.No.T14909)

TargetMol

## CCX140

### Chemical Properties



CAS No. : 1100318-47-5

Formula: C20H13ClF3N5O3S

Molecular Weight: 495.86

Storage: Keep away from direct sunlight
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 | CCX140 (CCX140-B) (CCX140-B) is an antagonist of CCR2. |
| Targets(IC50) | CCR |
| In vitro | CCX140 inhibits the binding of 125I-CCL2 to monocytes with an IC50 value of 17 nM and it also inhibits CCL2-induced Ca2+ mobilization in monocytes with an IC50 value of 3 nM. CCX140 (CCX140-B) potently inhibits CCL2-induced chemotaxis of purified human blood monocytes with IC50 values of 8 nM in buffer and 200 nM in the presence of 100% human serum. CCX140 has a Kd value of 2.3 nM toward hCCR2. CCX140 also inhibits monocyte chemotaxis mediated by the other CCR2 ligands: CCL8/MCP-2, CCL7/MCP-3, and CCL13/MCP-4[1]. |
| In vivo | CCX140 effectively moderates various metabolic and immunological parameters. It decreases urine and glucose excretion, lowers hepatic glycogen and triglyceride contents, and reduces glucose 6-phosphatase levels. In hCCR2 KI mice, CCX140-B dosage exhibits a significant, dose-dependent capability to mitigate peritoneal leukocyte count following thioglycollate induction, displaying robust leukocyte infiltration inhibition at 30 mg/kg, partial inhibition at 10 mg/kg, and no effect at 3 mg/kg. Additionally, in diet-induced obese (DIO) mice, CCX140 acts as a CCR2 antagonist, fully preventing inflammatory macrophage recruitment to visceral adipose tissue. For DIO hCCR2 KI mice treated with 100 mg/kg of CCX140, there's an evident halt in the escalating urine albumin excretion rate (UAER) and albumin to creatinine ratio (ACR), with sustained lower levels throughout an 8-week dosage period. The treated mice also show reduced hyperglycemia and insulin levels, enhanced insulin sensitivity, escalated circulating adiponectin levels, diminished pancreatic islet size, and an increase in islet number, demonstrating CCX140's comprehensive therapeutic potential. |

### Solubility Information

| | |
|---|---|
| Solubility | H2O: 0.25 mg/mL (0.5 mM),Sonication and heating are recommended.<br>DMSO: 100 mg/mL (201.67 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

| | |
|---|---|
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (8.07 mM),Sonication is recommended.<br>*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 | 2.0167 mL | 10.0835 mL | 20.167 mL |
| 5 mM | 0.4033 mL | 2.0167 mL | 4.0334 mL |
| 10 mM | 0.2017 mL | 1.0083 mL | 2.0167 mL |
| 50 mM | 0.0403 mL | 0.2017 mL | 0.4033 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

Sullivan T, et al. CCR2 antagonist CCX140-B provides renal and glycemic benefits in diabetic transgenic human CCR2 knockin mice. Am J Physiol Renal Physiol. 2013 Nov 1;305(9):F1288-97.
Sullivan TJ, et al. Experimental evidence for the use of CCR2 antagonists in the treatment of type 2 diabetes. Metabolism. 2013 Nov;62(11):1623-32.