# Cenicriviroc

**Cat. No.:** HY-14882

**CAS No.:** 497223-25-3

**Molecular Formula:** $C_{41}H_{52}N_4O_4S$

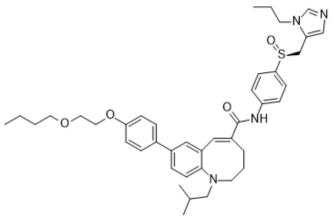
**Molecular Weight:** 696.94

**Target:** CCR; HIV

**Pathway:** GPCR/G Protein; Immunology/Inflammation; Anti-infection

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 50 mg/mL (71.74 mM; Need ultrasonic)

Ethanol : 2 mg/mL (2.87 mM; ultrasonic and warming and heat to 60°C)

$H_2O$ : ≥ 0.1 mg/mL (0.14 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.4348 mL | 7.1742 mL | 14.3484 mL |
| 5 mM | 0.2870 mL | 1.4348 mL | 2.8697 mL |
| 10 mM | 0.1435 mL | 0.7174 mL | 1.4348 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.98 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Cenicriviroc (TAK-652) is an orally active, dual CCR2/CCR5 antagonist, also inhibits both HIV-1 and HIV-2, and displays potent anti-inflammatory and antiinfective activity[1].

| $IC_{50}$ & Target | | | | |
|---|---|---|---|---|
| | CCR5<br>0.29 nM ($IC_{50}$) | CCR2<br>5.9 nM ($IC_{50}$) | R5 HIV-1<br>0.024-0.08 nM ($IC_{50}$, in PBMCs) | R5 HIV-2<br>0.03-0.98 nM ($IC_{50}$, in PBMCs) |

| | |
|---|---|
| **In Vitro** | Cenicriviroc prevents human immunodeficiency virus type 1 (HIV-1) from cellular entry[2]. Regarding the 4 R5 HIV-2 clinical isolates tested, effective concentration 50% $EC_{50}$ for cenicriviroc are 0.03, 0.33, 0.45 and 0.98 nM. The dual-tropic and the X4-tropic HIV-2 strains are resistant to cenicriviroc with $EC_{50}$ at >1000 nM, and MPI at 33% and 4%, respectively[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Cenicriviroc (≥20 mg/kg/day) significantly reduces monocyte/macrophage recruitment in vivo. At these doses, cenicriviroc shows antifibrotic effects, with significant reductions in collagen deposition, and collagen type 1 protein and mRNA expression across the three animal models of fibrosis. In the NASH model, cenicriviroc significantly reduces the non-alcoholic fatty liver disease activity score. Cenicriviroc treatment has no notable effect on body or liver/kidney weight[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Animal Administration** [1] | Male C57BL/6 mice (n=44; 8-10 weeks of age) are allocated to receive treatments via oral gavage (PO) on Days 1-5 in the following groups: non-disease control, vehicle control twice daily (BID), Cenicriviroc 5 mg/kg/day (Cenicriviroc5) BID, Cenicriviroc 20 mg/kg/day (Cenicriviroc20) BID, Cenicriviroc 100 mg/kg/day (Cenicriviroc100) BID, Cenicriviroc20 QD, and positive control (corticosteroid known to reduce inflammation in a variety of animal models) 1 mg/kg QD. On Day 4, peritonitis is induced via IP injection of TG 3.85% (1 mL/animal) 2 hours post-dose in all groups except non-disease controls.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Biomaterials. 2021 Jan;265:120392.
- Antiviral Res. 2020 Oct;182:104902.
- Cells. 2020 Apr 14;9(4):964.
- Am J Physiol Cell Physiol. 2023 Dec 25.

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## REFERENCES


[1]. Lefebvre E, et al. Antifibrotic Effects of the Dual CCR2/CCR5 Antagonist Cenicriviroc in Animal Models of Liver and Kidney Fibrosis. PLoS One. 2016 Jun 27;11(6):e0158156

[2]. Kuwata T, et al. Incompatible Natures of the HIV-1 Envelope in Resistance to the CCR5 Antagonist Cenicriviroc and to Neutralizing Antibodies. Antimicrob Agents Chemother. 2015 Nov 2;60(1):437-5

[3]. Visseaux B, et al. Cenicriviroc, a Novel CCR5 (R5) and CCR2 Antagonist, Shows In Vitro Activity against R5 Tropic HIV-2 Clinical Isolates. PLoS One. 2015 Aug 6;10(8):e0134904

[4]. Lalezari J, et al. Safety, efficacy, and pharmacokinetics of TBR-652, a CCR5/CCR2 antagonist, in HIV-1-infected, treatment-experienced, CCR5 antagonist-naive subjects. J Acquir Immune Defic Syndr. 2011 Jun 1;57(2):118-25.

[5]. Baba M, et al. TAK-652 inhibits CCR5-mediated human immunodeficiency virus type 1 infection in vitro and has favorable pharmacokinetics in humans. Antimicrob Agents Chemother. 2005 Nov;49(11):4584-91.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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