# R243

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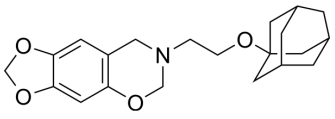
| **Cat. No.:** | HY-122219 |
| **CAS No.:** | 688352-84-3 |
| **Molecular Formula:** | $C_{21}H_{27}NO_4$ |
| **Molecular Weight:** | 357.44 |
| **Target:** | CCR |
| **Pathway:** | GPCR/G Protein; Immunology/Inflammation |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 125 mg/mL (349.71 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.7977 mL | 13.9884 mL | 27.9767 mL |
| | 5 mM | 0.5595 mL | 2.7977 mL | 5.5953 mL |
| | 10 mM | 0.2798 mL | 1.3988 mL | 2.7977 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.82 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

R243 is a potent and selective CCR8 antagonist. R243 inhibits $CCL_1$/CCR8 interaction and inhibits CCR8 signaling and chemotaxis. R243 has antinociceptive and anti-inflammatory effects[1][2].

**$IC_{50}$ & Target**

CCR8

**In Vitro**

R243 has CCR8-antagonistic effects on $CCL_1$-induced Ca2+ flux and $CCL_1$-driven peritoneal macrophages aggregation[1].
R243 attenuates secretion of TNF-α, IL-6, and most strikingly IL-10 from wild-type peritoneal macrophages (WT PMϕ)[1].
R243-treated WT PMϕ shows suppressed c-jun N-terminal kinase activity and NF-κB signaling after lipopolysaccharide (LPS) treatment when compared with WT PMϕ[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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| **In Vivo** | R243 (0.1-1 mg/kg; intraperitoneal injection; once; male Swiss mice) treatment inhibits the analgesic effect evoked by $CCL_1$ in a dose-dependent manner[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| Animal Model: | Male Swiss mice (7-9 weeks old) injected with $CCL_1$[2] |
| Dosage: | 0.1 mg/kg, 0.3mg/kg, 1 mg/kg |
| Administration: | Intraperitoneal injection; once |
| Result: | The analgesic effect evoked by $CCL_1$ (10 μg/kg; 1 h; s.c.) was dose-dependently inhibited. |

## REFERENCES


[1]. Tomoyuki Oshio, et al. Chemokine Receptor CCR8 Is Required for Lipopolysaccharide-Triggered Cytokine Production in Mouse Peritoneal Macrophages. PLoS One. 2014 Apr 8;9(4):e94445.

[2]. Mario García-Domínguez, et al. The Systemic Administration of the Chemokine CCL1 Evokes Thermal Analgesia in Mice Through the Activation of the Endocannabinoid System. Cell Mol Neurobiol. 2019 Nov;39(8):1115-1124.





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