**CCR4 antagonist 3**

<table>
<thead>
<tr>
<th>Cat. No.:</th>
<th>HY-131349</th>
</tr>
</thead>
<tbody>
<tr>
<td>CAS No.:</td>
<td>2174938-70-4</td>
</tr>
<tr>
<td>Molecular Formula:</td>
<td>C₂₄H₂₇Cl₂N₇O</td>
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<tr>
<td>Molecular Weight:</td>
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</tr>
<tr>
<td>Target:</td>
<td>CCR</td>
</tr>
<tr>
<td>Pathway:</td>
<td>GPCR/G Protein; Immunology/Inflammation</td>
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</tbody>
</table>

**Storage:** Please store the product under the recommended conditions in the Certificate of Analysis.

## BIOLOGICAL ACTIVITY

**Description**
CCR4 antagonist 3 is an orally active, potent and selective CCR4 antagonist. CCR4 antagonist 3, featuring a novel piperidinylazetidine motif, has IC₅₀ values of 22 nM and 50 nM in the calcium flux and CTX assay. CCR4 antagonist 3 has antitumor activity[1].

### IC₅₀ & Target
<table>
<thead>
<tr>
<th>Target</th>
<th>CCR4</th>
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### In Vitro
CCR4 antagonist 3 (compound 38) shows no activity in a CYP450 induction assay[1].

CCR4 antagonist 3 inhibits the migration of mouse iT_{reg} cells with an IC₅₀ of 39 nM, while the IC₅₀ in human iT_{reg} cells is 33 nM[1].

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

### In Vivo
CCR4 antagonist 3 (compound 38; 50 mg/kg; PO; daily; for 40 days) significantly reduces the tumor growth[1].

CCR4 antagonist 3 (0.5 mg/kg; IV) has low clearance (CL=10.2 mL/min/kg), medium volume of distribution (Vₚₛ=5.2 L/kg), a T₁/₂ of 6.9 h, and good bioavailability (%F = 29) of oral dosing in mouse[1].

CCR4 antagonist 3 has low clearance (CL=7.3 mL/min/kg), a half-life of 12.7 hr, and is 44% bioavailable in dog. CCR4 antagonist 3 has low clearance (CL=3.7 mL/min/kg), a long terminal half-life (10.7 hr), and good bioavailability (%F = 41) in cynomolgus monkey[1].

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

**Animal Model:** Six-to eight-week-old, female C57BL/6 mice with Pan02-OVA tumor[1]

**Dosage:** 50 mg/kg

**Administration:** PO; daily; for 40 days

**Result:** Significantly reduced the tumor growth.

**Animal Model:** Rat and mouse[1]

**Dosage:** 0.5 mg/kg of IV; 2 mg/kg of PO

**Administration:** IV or PO

**Result:** Possessed medium clearance (CL=47.6 mL/min/kg) and was 49% bioavailable upon oral
dosing in rat. Had low clearance (CL=10.2 mL/min/kg), medium volume of distribution (V_{ss}=5.2 L/kg), a T_{1/2} of 6.9 h, and good bioavailability (%F = 29) of oral dosing in mouse.

REFERENCES