**BIOLOGICAL ACTIVITY**

**Description**

Mavorixafor (AMD-070) is a potent, selective and orally available CXCR4 antagonist, with an IC<sub>50</sub> value of 13 nM against CXCR4 <sup>125</sup>I-SDF binding, and also inhibits the replication of T-tropic HIV-1 (NL4.3 strain) in MT-4 cells and PBMCs with an IC<sub>50</sub> of 1 and 9 nM, respectively.

**IC<sub>50</sub> & Target**

<table>
<thead>
<tr>
<th>Target</th>
<th>IC&lt;sub&gt;50&lt;/sub&gt;</th>
</tr>
</thead>
<tbody>
<tr>
<td>CXCR4</td>
<td>13 nM (IC&lt;sub&gt;50&lt;/sub&gt;)</td>
</tr>
<tr>
<td>HIV-1 (NL4.3 strain)</td>
<td>1 nM (IC&lt;sub&gt;50&lt;/sub&gt;) in MT-4 cells</td>
</tr>
<tr>
<td>HIV-1 (NL4.3 strain)</td>
<td>9 nM (IC&lt;sub&gt;50&lt;/sub&gt;) in PBMCs</td>
</tr>
<tr>
<td>HIV-1 (NL4.3 strain)</td>
<td>3 nM (IC&lt;sub&gt;90&lt;/sub&gt;) in MT-4 cells</td>
</tr>
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</table>

**In Vitro**

Mavorixafor (AMD-070) is a potent and orally available CXCR4 antagonist, with an IC<sub>50</sub> value of 13 nM against CXCR4 <sup>125</sup>I-SDF binding, and also inhibits the replication of T-tropic HIV-1 (NL4.3 strain) in MT-4 cells and PBMCs with an IC<sub>50</sub> of 1 and 9 nM, respectively. Mavorixafor (AMD-070) shows no effect on other chemokine receptors (CCR1, CCR2b, CCR4, CCR5, CXCR1, and CXCR2)<sup>[1]</sup>. Mavorixafor (AMD-070) (6.6 µM) significantly suppresses the anchorage-dependent growth, the migration and matrigel invasion of the B88-SDF-1 cells<sup>[2]</sup>.

**In Vivo**

Mavorixafor (AMD-070) (2 mg/kg, p.o.) significantly reduces the number of metastatic lung nodules in mice, and lowers the expression of human Alu DNA in mice, without body weight loss<sup>[2]</sup>.

**PROTOCOL**

**Cell Assay**<sup>[2]</sup>

Cells are seeded on a 96-well plate at 5 × 10<sup>3</sup> cells/well in DMEM containing 10% FCS. Twenty-four hours later, the cells are treated with or without 2 µM AMD3100 or 6.6 µM AMD-070. After 24 or 48 h, the number of cells is quantified by an assay using MTT<sup>[2]</sup>.

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

**Animal Administration**<sup>[2]</sup>

*BALB/c nude mice* are maintained under pathogen-free conditions. The experiments are initiated when the mice are 8 weeks of age. Briefly, the cells are inoculated into the blood vessels of nude mice (1 × 10<sup>6</sup>). These mice are sacrificed at day 49. The presence or absence of distant metastases is confirmed by hematoxylin and eosin (H&E)
staining. For experimental chemotherapy, the mice are treated by the daily oral administration of 0.2 mL of saline for a vehicle or the same volume of Mavorixafor (AMD-070) (2 mg/kg)[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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