Cilengitide TFA

Cat. No.: HY-16143
CAS No.: 199807-35-7
Molecular Formula: C₂₉H₄₁F₃N₈O₉
Molecular Weight: 702.68
Target: Integrin; Autophagy
Pathway: Cytoskeleton; Autophagy
Storage: Please store the product under the recommended conditions in the COA.

BIOLOGICAL ACTIVITY

<table>
<thead>
<tr>
<th>Description</th>
<th>Cilengitide is a potent and selective integrin inhibitor for αvβ3 and αvβ5 receptor, with IC₅₀ values of 4 nM and 79 nM, respectively.</th>
</tr>
</thead>
<tbody>
<tr>
<td>IC₅₀ &amp; Target</td>
<td>IC₅₀: 4/79 nM (αvβ3/αvβ5)⁴¹.</td>
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<tr>
<td>In Vitro</td>
<td>Cilengitide (EMD 121974) is the αvβ3 and αvβ5 integrin receptor antagonist. In cell adhesion studies assessing the human melanoma M21 or UCLA-P3 human lung carcinoma cell lines, Cilengitide inhibits integrin-mediated binding to vitronectin with IC₅₀ values of 0.4 and 0.4 μM⁴¹. In vitro treatment of Cilengitide, at a concentration greater than 1 μM, shows concentration- and time-dependent cytotoxic effects ².</td>
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<tr>
<td>In Vivo</td>
<td>In nude mice bearing M21-L melanoma tumors, Cilengitide dose i.p. at 10, 50, and 250 μg three times per week demonstrate inhibition of tumor growth with a reduction in both tumor volume (55%, 75%, and 89%, respectively) and tumor weight (23%, 38%, and 61%, respectively), when compared to controls². In the rat model studied, the systemic pharmacokinetics of i.p. Cilengitide are not affected by ILP with Cilengitide alone or ILP with Cilengitide plus Melphalan, TNF or both. Systemic Cilengitide levels reach around 20 μg/mL (approximately 35 μM) within 10 min of i.p. administration and continued to rise to approximately 40 μg/mL (approximately 70 μM) in the first hour. Thereafter Cilengitide levels in serum drop with an elimination half-life of 2.1 hr³.</td>
</tr>
</tbody>
</table>

PROTOCOL

Cell Assay ²

The cytotoxicity of the two drugs, Belotecan and Cilengitide, is measured by the Cell Counting Kit-8 (CCK-8). U87MG and U251MG cells are seeded in 96 well plates at a density of 4×10³ cells per well to allow for adhesion overnight. After this, the cells are treated with Cilengitide at a concentration of 0, 0.1, 0.5, 1, 5 and 25 μM and Belotecan at a concentration of 0, 6.25, 12.5, 25, 50 and 100 nM. All possible combinations of concentrations are used to assess the combined therapeutic effect of Cilengitide and Belotecan. After 3 days, 10 μL of the CCK-8 solution is added to each well of the plate, and the plate is incubated for 3 hr in the incubator (37°C; 5% CO²)². MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration ²³

Mice²³

Male Balb/c-nu mice, at 8 weeks of age, are randomly assigned to four groups: control (n=10), Cilengitide (n=10),...
Belotecan (n=10) and combination (n=10). Cilengitide is administered intraperitoneally at a dose of 20 mg/kg daily and the Belotecan at a dose of 10 mg/kg every 4 days. The drug treatments began 7 days after the implantation of tumor cells for 16 days. Half of the animals are sacrificed 1 month after the implantation of the tumor cells for tumor volume analysis and the rest of the animals are observed for another 2 months to analyze survival. The death of the animals is defined as a weight reduction of over 25% of the initial weight or an unexpected sudden death beforehand. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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