iRGD peptide

Cat. No.: HY-P0122
CAS No.: 1392278-76-0
Molecular Formula: C₃₅H₅₇N₁₃O₁₄S₂
Molecular Weight: 948.04
Sequence: Cyclo(Cys-Arg-Gly-Asp-Lys-Gly-Pro-Asp-Cys)
Sequence Shortening: Cyclo(CRGDKGPDC)
Target: Integrin
Pathway: Cytoskeleton
Storage: Protect from light
Powder: -80°C 2 years, -20°C 1 year
In solvent: -80°C 6 months, -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro  | H₂O : ≥ 50 mg/mL (52.74 mM)
          | “≥” means soluble, but saturation unknown.

Preparation of Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.0548 mL</td>
<td>5.2740 mL</td>
<td>10.5481 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.2110 mL</td>
<td>1.0548 mL</td>
<td>2.1096 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1055 mL</td>
<td>0.5274 mL</td>
<td>1.0548 mL</td>
</tr>
</tbody>
</table>

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

BIOLOGICAL ACTIVITY

Description
iRGD peptide is a 9-amino acid cyclic peptide, triggers tissue penetration of drugs by first binding to αv integrins, then proteolytically cleaved in the tumor to produce CRGDK/R to interact with neuropilin-1, and has tumor-targeting and tumor-penetrating properties.

IC₅₀ & Target
Integrin[¹]

In Vitro
iRGD peptide-mediated tumor penetration occurs in three steps: binding to αv-integrins on tumor vasculature or tumor cells, exposure by proteolysis of a C-terminal motif that binds to neuropilin-1 (NRP-1) and cell internalization. iRGD peptide inserted in the ICOVIR15K fiber C terminus enhances binding and internalization only in MCF7 cells,
which express NRP-1 and integrins. iRGD insertion does not impair virus infection and replication\(^1\). iRGD peptide alone has no obvious effect on gastric cancer cells, and when combined with 5-FU, iRGD peptide (0.3 μmol/mL) enhances the chemotherapy efficacy of 5-FU on gastric cancer cells through NRP1\(^2\).

| In Vivo | iRGD inserted in the oncolytic adenovirus ICOVIR15K (ICOVIR15K-iRGD) enhances early adenovirus dissemination through the tumor mass and elevates the antitumor effect in mice\(^1\). iRGD (4 mmol/kg, i.v.) in combination with 5-FU significantly suppresses the tumor growth in nude mice bearing human gastric cancer cells\(^2\). |

**PROTOCOL**

**Animal Administration**\(^2\)

Mice\(^2\)

12 male BALB/c nude mice (4-week-old) are assigned to 4 groups with 3 mice in each group. Among them, two groups are subcutaneously injected into the flanks by \(3 \times 10^6\) HCG27 cells, the other two groups are conducted by NCI-N87 cells. Experimental groups are intravenously injected by 5-FU (25 mg/kg) mixed with iRGD peptide (4 mmol/kg) at every three days for 4 weeks while control groups are treated by 5-FU (25 mg/kg) mixed with PBS. And tumor volume is computed every 1 week with a digital vernier caliper using the following formula: tumor volume = (length \times width^2)/2\(^2\).

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

**REFERENCES**
