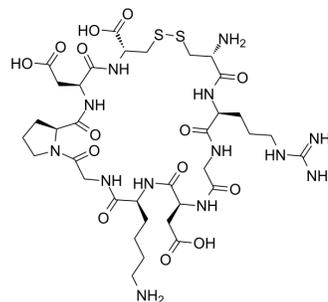


## iRGD peptide

<b>Cat. No.:</b>	HY-P0122
<b>CAS No.:</b>	1392278-76-0
<b>Molecular Formula:</b>	C <sub>35</sub> H <sub>57</sub> N <sub>13</sub> O <sub>14</sub> S <sub>2</sub>
<b>Molecular Weight:</b>	948.04
<b>Sequence:</b>	Cys-Arg-Gly-Asp-Lys-Gly-Pro-Asp-Cys (Disulfide bridge:Cys1-Cys9)
<b>Sequence Shortening:</b>	CRGDKGPDC (Disulfide bridge:Cys1-Cys9)
<b>Target:</b>	Integrin
<b>Pathway:</b>	Cytoskeleton
<b>Storage:</b>	Sealed storage, away from moisture and light



Powder -80°C 2 years  
-20°C 1 year

\* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)

### SOLVENT & SOLUBILITY

#### In Vitro

H<sub>2</sub>O : ≥ 50 mg/mL (52.74 mM)  
\* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.0548 mL	5.2740 mL	10.5481 mL
	5 mM	0.2110 mL	1.0548 mL	2.1096 mL
	10 mM	0.1055 mL	0.5274 mL	1.0548 mL

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

#### In Vivo

1. Add each solvent one by one: PBS  
Solubility: 100 mg/mL (105.48 mM); Clear solution; Need ultrasonic

### BIOLOGICAL ACTIVITY

#### Description

iRGD peptide is a 9-amino acid cyclic peptide, triggers tissue penetration of agents 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.

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

Integrin<sup>[1]</sup>

#### 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<sup>[1]</sup>. 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<sup>[2]</sup>.

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

#### 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<sup>[1]</sup>. iRGD (4 mmol/kg, i.v.) in combination with 5-FU significantly suppresses the tumor growth in nude mice bearing human gastric cancer cells<sup>[2]</sup>.

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

## PROTOCOL

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

Mice<sup>[2]</sup>

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 =  $(\text{length} \times \text{width}^2)/2$ <sup>[2]</sup>.

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

## CUSTOMER VALIDATION

- Clin Transl Med. 2021 Oct;11(10):e548.
- NPJ Parkinsons Dis. 2023 Jan 31;9(1):13.
- J Chem Inf Model. 2023 Oct 3.
- Advanced Therapeutics. 2021 Apr 14.

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## REFERENCES

[1]. Puig-Saus C, et al. iRGD tumor-penetrating peptide-modified oncolytic adenovirus shows enhanced tumor transduction, intratumoral dissemination and antitumor efficacy. Gene Ther. 2014 Aug;21(8):767-74.

[2]. Zhang L, et al. Combination of NRP1-mediated iRGD with 5-fluorouracil suppresses proliferation, migration and invasion of gastric cancer cells. Biomed Pharmacother. 2017 Sep;93:1136-1143.

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA