Proteins

Product Data Sheet

iRGD peptide

Cat. No.: HY-P0122

CAS No.: 1392278-76-0 Molecular Formula: $C_{35}H_{57}N_{13}O_{14}S_{2}$

Molecular Weight: 948.04

Sequence: Cys-Arg-Gly-Asp-Lys-Gly-Pro-Asp-Cys (Disulfide bridge:Cys1-Cys9)

Sequence Shortening: CRGDKGPDC (Disulfide bridge:Cys1-Cys9)

Target: Integrin

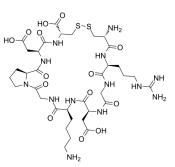
Pathway: Cytoskeleton

Storage: 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 $H_2O : \ge 50 \text{ mg/mL} (52.74 \text{ mM})$

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	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 av integrins, then proteolytically cleaved in the tumor to produce CRGDK/R to interact with neuropilin-1, and has tumor-targeting and tumor-

penetrating properties.

Integrin^[1] IC₅₀ & Target

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 $(0.3 \, \mu mol/mL)$ enhances the chemotherapy efficacy of 5-FU on gastric cancer cells through NRP1 $^{[2]}$.

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^[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].

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

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 3×10^6 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 width²)/2^[2].

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

CUSTOMER VALIDATION

- NPJ Parkinsons Dis. 2023 Jan 31;9(1):13.
- Clin Transl Med. 2021 Oct;11(10):e548.
- · 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.

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