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)

CRGDKGPDC (Disulfide bridge:Cys_1-Cys_9)

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 (protect from light)

SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 50 mg/mL (52.74 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / 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.

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & 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].

	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 × width^2)/2[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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