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A Novel RGD-Containing Cyclic Peptide for use in Cancer Imaging and as a Targeted-Therapy Ligand

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ABSTRACT

Integrin plays a key role in the angiogenesis and metastasis of human tumors. $\alpha v \beta 3$ integrin binding ligands have value in cancer diagnostic imaging and targeted therapy. The RGD motif binds to several integrins, including $\alpha v \beta 3$, $\alpha I I b \beta 3$, $\alpha v \beta 5$, and $\alpha 5 \beta 1$. It is known that amino acids lateral to RGD affect RGD binding specificity to different integrins. Researchers at the University of California Davis have discovered a novel RGD-containing peptide useful in cancer imaging and as a targeted-therapy ligand.

FULL DESCRIPTION

The compound has the ability to bind to target $\alpha v \beta 3$ integrin on tumor cells and neovasculatures and can be used in tumor diagnostic imaging and therapy. This compound outperforms existing commonly used RGD ligands in both targeting efficacy and lower non-specific binding to normal organ tissues. The compound can be easily functionalized to conjugate imaging payload without decreasing binding strength.

- ▶ The compound bound $\alpha v \beta 3$ integrin as evidenced by stained glioblastoma U-87 MG cells and melanoma A375M cells. The binding of the compound to said cells was blocked by anti- $\alpha v \beta 3$ antibody.
- ▶ The compound specifically targeted tumor xenografts on nude mouse models. Six hours after injecting a biotinylated form of the compound coupled to Streptavidin-Cy5.5 into tail vein of nude mice implanted with U-87 MG xenograft, imaging displayed preferential uptake of biotinylated compound in the tumor. Experimental design was replicated for nude mice implanted with A375M xenograft, with similar results.
- ▶ Tumor uptake was higher and liver uptake was lower in mouse studies, compared to three commonly used RGD ligands.

APPLICATIONS

- ▶ Targeting reagent against $\alpha v \beta 3$ integrin.
- ▶ Payload carrier (such as radioisotope or drug) for tumor radioimaging, radiotherapy, and targeting chemotherapy.

FEATURES/BENEFITS

- ▶ The compound shows remarkable positive binding with $\alpha v \beta 3$; very weak cross-reaction with $\alpha I I b \beta 3$; and no binding with $\alpha 1$, $\alpha 2$, $\alpha 3$, $\alpha 4$, $\alpha 5$, $\alpha 6$ and $\alpha 9$.
- ▶ In contrast to other RGD-containing peptides, the incorporation of payloads (labeling groups, etc.) at the C-terminus of this novel compound does not affect the binding affinity of the ligand to the integrin.
- ▶ Tumor uptake is higher and liver uptake is lower, compared to other existing RGD ligands.

RELATED MATERIALS

- ▶ Lam, et al. 2010. The Use of One-Bead One-Compound Combinatorial Library Technology to Discover High-Affinity $\alpha v \beta 3$ Integrin and Cancer Targeting Arginine-Glycine-Aspartic Acid Ligands with a Built-in Handle. Mol Cancer Ther. October 2010 9:2714-2723; Published Online First September 21, 2010; doi:10.1158/1535-7163.MCT-10-0308 - 09/21/2010

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	9,073,974	07/07/2015	2010-162

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OTHER INFORMATION

KEYWORDS

integrin, peptide, cancer, imaging, ligand, RGD, alpha v beta 3 integrin, cancer imaging, $\alpha v \beta 3$

CATEGORIZED AS

- ▶ **Biotechnology**
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 - ▶ New Chemical Entities, Drug Leads

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