HIGHLY ACTIVE AND SELECTIVE LIGANDS FOR INTEGRIN AVβ6

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HINTERGRUND

The family of human heterodimeric integrin receptors consists of 24 members. Eight integrins of this superfamily (αvβ1, αvβ3, αvβ5, αvβ6, αvβ8, α5β1, α8β1 and αIIbβ3) are able to recognize the RGD tripeptide fragment in natural and artificial ligands with various activity and selectivity. αvβ6 is usually undetectable in normal adults’ cells, but is highly upregulated in different types of cancer (including pancreatic, breast, skin, head and neck, lung (NSCLC) and ovarian cancer) and exclusively expressed on epithelial cells. Therefore, αvβ6 is an emerging target for therapy as well as imaging across several common tumor types.

LÖSUNG

Only few ligands are known so far that are highly active for αvβ6 integrin and at the same time possess no binding affinity towards other RGD-recognizing integrins. Unfortunately, their metabolic instability, their high molecular weight and the complexity of their structures limit their medical application. Having regard to this situation, there is a need for novel functionalized or non-functionalized αvβ6-ligands that can be used as drugs or as tools for molecular imaging and diagnosis (PET/SPECT/UV-Vis tracers), for coating of medicinal-relevant surfaces or for biophysical investigations of the function of this integrin subtype. Surprisingly, peptides with a remarkable affinity for αvβ6 and at the same time high selectivity against other integrins have been found.

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ENTWICKLUNGSSTAND

Funktionsnachweis

CATEGORIES

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Figure 1: Fluorescence bioimaging with Cy5.5-labelled ligand no. 9 of the human oral squamous cell carcinoma (OSCC) cell line HN with high level of αvß6-integrin expression (a), and of human ovarian cancer cell line OVMZ6 with low αvß6- and high αvß3-integrin expression (b). (in cooperation with Dr. Markus Nieberler, Klinikum rechts der Isar)

VORTEILE

The novel integrin ligands are ideally suited for use in/as:

- Pharmaceuticals (cancer treatment, fibrosis treatment, anti-virus agents)
- Diagnostics (PET tomography, fluorescence bioimaging)
- Surface Coating of Biomaterials
- Science