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(54) Title: INHIBITORS OF THE INTEGRIN $\alpha_v\beta_6$

(54) Bezeichnung: INHIBITOREN DES INTEGRINS $\alpha_v\$(g)b_6$

(57) Abstract: The invention relates to novel peptides of formula (I) Ac-Arg-X^1-Asp-X^2-X^3-X^4-X^5-X^6-NH_2 which are biologically active as ligands of the integrin $\alpha_v\beta_6$, wherein: Ac represents Acetyl, X^1 represents Ser, Gly, Thr, Asp, Arg, Val, Tyr, His or Ala; X^2 represents Leu, Ile, Nle, Val or Phe; X^3 represents Asp, Glu, Lys, Phe, Aib, Nal, Gly, Ala, Bgl or Phg; X^4 represents Gly, Ala, Ser, β-Ala or ω-Abu; X^5 represents Leu, Ile, Nle, Val or Phe, and; X^6 represents Arg, Har, Lys, Leu, Orn, Phe, Ala, Tyr, Gly, Ser or Asp, whereby the aforementioned amino acids can be derivatized. The amino acid radicals are linked to one another in a peptide-like manner via the α-amino groups and the α-carboxy groups. The dextrorotatory forms and the levorotatory forms of the optically active amino acid radicals are contained as well as the salts of said peptides. Ac-Arg-Thr-Asp-Leu-Asp-Ser-Leu-Arg-NH_2 is excluded. The inventive peptides can also be used as effective inhibitors of the $\alpha_v\beta_6$ integrin receptor and thus for treating different diseases and pathological findings.

(57) Zusammenfassung: Die Erfindung beschreibt neuartige Peptide der Formel (I), welche als Liganden des Integrins $\alpha_v\beta_6$ biologisch wirksam sind Ac-Arg-X^1-Asp-X^2-X^3-X^4-X^5-X^6-NH_2: worin Ac Acetyl, X^1 Ser, Gly, Thr, Asp, Arg, Val, Tyr, His oder Ala, X^2 Leu, Ile, Nle, Val oder Phe, X^3 Asp, Glu, Lys, Phe, Aib, Nal, Gly, Ala, Bgl oder Phg, X^4 Gly, Ala, Ser, β-Ala oder ω-Abu, X^5 Leu, Ile, Nle, Val oder Phe, X^6 Arg, Har, Lys, Leu, Orn, Phe, Ala; Tyr, Gly, Ser oder Asp bedeuten, wobei die genannten Aminosäuren auch derivatisiert sein können, die Aminosäurereste über die α-Amino- und α-Carboxygruppen peptidartig miteinander verknüpft sind, die D- als auch die L-Formen der optisch aktiven Aminosäurereste eingeschlossen sind, sowie deren Salze, und wobei Ac-Arg-Thr-Asp-Leu-Asp-Ser-Leu-Arg-NH_2 ausgenommen ist. Die erfindungsgemäßen Peptide können als wirksame Inhibitoren des $\alpha_v\beta_6$ Integrin-Rezeptors und somit zur Behandlung verschiedener Krankheiten und pathologischer Befunde eingesetzt werden.

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