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(54) Title: CYCLIC PEPTIDE DERIVATIVES AS INHIBITORS OF INTEGRIN $\alpha_v\beta_6$

(54) Bezeichnung: CYCLISCHE PEPTIDDERIVATE ALS INHIBITOREN DES INTEGRINS $\alpha_v\beta_6$

(57) Abstract: The invention relates to novel peptide derivatives of formula (I): Cyclo-(Arg-X^1-Asp-X^2-X^3-X^4-X^5-X^6-R^1); which are biologically active as ligands of integrin $\alpha_v\beta_6$, X^1 representing Ser, Gly or Thr; X^2 representing Leu, Ile, Nle, Val or Phe; X^3 representing Asp, Glu, Lys or Phe; X^4 representing Gly, Ala or Ser; X^5 representing Leu, Ile, Nle, Val or Phe; X^6 representing Arg, Har or Lys; and R^1 being left out or representing one or more ω-aminocarboxylic acid radicals, said ω-aminocarboxylic acid radical(s) being 500 to 2500 pm in length. Said amino acids can also be derivatised and the D and L forms of the optically active amino acid radicals are enclosed. The invention also relates to the physiologically suitable salts and solvates of the inventive derivatives.

(57) Zusammenfassung: Die Erfindung beschreibt neuartige Peptidderivate der Formel (I), welche als Liganden des Integrins $\alpha_v\beta_6$ biologisch wirksam sind: Cyclo-(Arg-X^1-Asp-X^2-X^3-X^4-X^5-X^6-R^1), worin X^1 Ser, Gly oder Thr, X^2 Leu, Ile, Nle, Val oder Phe, X^3 Asp, Glu, Lys oder Phe, X^4 Gly, Ala oder Ser, X^5 Leu, Ile, Nle, Val oder Phe, X^6 Arg, Har oder Lys, R^1 fehlt oder einen oder mehrere ω-Aminocarbonsäurerest(e), wobei der oder die ω-Aminocarbonsäurerest(e) eine Länge von 500 bis 2500 pm aufweisen, bedeuten, wobei die genannten Aminosäuren auch derivatisiert sein können, die D- als auch die L-Formen der optisch aktiven Aminosäurereste eingeschlossen sind, sowie deren physiologisch unbedenklichen Salze und Solvate.

WO 01/05810 A2