Title (en)

PEPTIDYL DERIVATIVES AND THEIR USE AS METALLOPROTEINASE INHIBITORS.

Title (de)

PEPTIDYLDERIVATE ALS INHIBITOREN VON METALLPROTEINASE.

Title (fr)

DERIVES PEPTIDIQUES ET LEUR UTILISATION COMME INHIBITEURS DE METALLOPROTEASES.

Publication

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Application

EP 94913710 A 19940427

Priority

- GB 9400896 W 19940427
- GB 9308695 A 19930427

Abstract (en)

[origin: WO9425435A1] Compounds of formula (1), wherein R represents a -CONHOR<6> [where R<6> is a hydrogen atom or an acyl group], carboxyl (-CO2H), esterified carboxyl, -SR<6> or -P(O)(X<1>R<7>)-X<2>R<8> group, where X<1> and X<2>, which may be the same or different, is each an oxygen or sulphur atom and R<7> and R<8>, which may be the same or different each represents a hydrogen atom or an optionally substituted alkyl, aryl, or aralkyl group; R<1> represents a hydrogen atom or an optionally substituted alkyl, alkenyl, aryl, aralkyl, heteroaralkyl or heteroarylthioalkyl group; R<2> represents an optionally substituted alkyl, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, amino (-NH2), substituted amino, carboxyl (-CO2H), or esterified carboxyl group; R<3> represents a hydrogen atom or an alkyl group; R<4> represents a hydrogen atom or an alkyl group; R<5> represents a group -C(R<9>)(R<10>)Het-R<11>, wherein R<9> and R<10> which may be the same or different is each an optionally substituted alkyl or alkenyl group optionally interrupted by one or more -O- or -S- atoms or -N(R<12>)- groups (where R<12> is a hydrogen atom or an optionally substituted alkyl group), or an optionally substituted cycloalkyl, cycloalkenyl, aryl or heteroaryl group, or R<9> and R<10> together with the carbon atom to which they are attached are linked together to form an optionally substituted cycloalkyl or cycloalkenyl group, Het is -O-, -S(O)p- [where p is zero, or an integer 1 or 2] or -N(R<12>)-, and R<11> is a hydrogen atom or an aliphatic, cycloaliphatic, heterocycloaliphatic, aromatic, or heteroaromatic group; X is an amino (-NH2), substituted amino, hydroxyl or substituted hydroxyl group, or is linked to the atom or group Het in R<5> to form a chain -X-Alk-R<5>- where X is -N(R<12>)-, Alk is an optionally substituted alkylene chain and R<5> is -Het-C(R<9>)(R<10>)-; and the salts, solvates, hydrates and prodrugs thereof. The compounds are orally active metalloproteinase inhibitors, with a good duration of action and may be of use in the prophylaxis or treatment of diseases or disorders in which stromelysin, collagenase and gelatinase have a role, for example in the treatment of cancer to control the development of tumor metastases.

IPC 1-7

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IPC 8 full level

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