Title (en)

KININOGENASE INHIBITORS.

Title (de)

KININOGENASE-INHIBITOREN.

Title (fr)

INHIBITEURS DE LA KININOGENASE.

Publication

EP 0652893 A1 19950517 (EN)

Application

EP 91915557 A 19910902

Priority

- GB 9101479 W 19910902
- GB 9019558 A 19900907

Abstract (en)

[origin: WO9204371A1] Kininogenase inhibitors, optimally not exceeding the size of a hexapeptide, represented by (II), wherein A and B = amino acyl (including amino acyl analogue) the same or different forming a dipeptide group the amino acid of A carrying a terminal group and being any amino or imino-acid residue (but preferably of D-configuration) and of B being a lipophilic amino-acid residue of D- or L-configuration but not proline or a proline analogue, or a conformational analogue of said dipeptide group wherein the peptide link is replaced by -CH2-NH- ('reduced'), -CH(OH)-CH2- ('hydroxy'), -CO-CH2 ('keto'), -CH2-CH2- ('hydrocarbon') or other conformational mimic of the peptide bond and in (III) the side chain R<1> is that of a basic amino acid or amino acid analogue (preferably of L-configuration), and R is H or lower alkyl(C1-C4) or C< alpha > or the peptide link comprising -N(R)- is replaced leading to a conformational mimic as above; Y = a binding enhancing or carbonyl activating group preferably selected from H (when A or B must be cyclohexylalanine, preferably D if at A or L if at B) or alkyl (C1-C20) or fluoroalkyl (C2-C12); substituted oxymethylene; thiomethylene; sulphoxymethylene; sulphonylmethylene; aminomethylene; hydrazino-methylene; -CH2-Het (where Het = a substituted or unsubstituted heterocycle); substituted amino (but when the resulting compound is a secondary alkylamide B must not be phenylalanine); an amino-acid group or its ester or amide; a carboxylic secondary amide or primary amide, when B must be cyclohexylalanine or adamantylalanine or other bulky lipophilic, non-aromatic amino-acid (not Ala Leu Ile Val Nva Met Nle Phe Tyr Trp Nal (1)); tertiary-carboxamide; carboxy-alkyl group or its ester or amide or amino acyl derivative.

IPC 1-7

C07K 5/08; C07K 5/02; A61K 38/55

IPC 8 full level

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CPC (source: EP)

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