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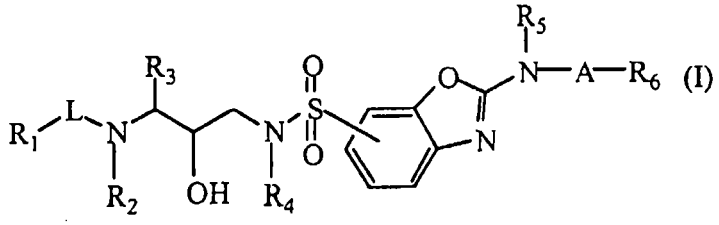
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(54) Title: BROADSPECTRUM 2-(SUBSTITUTED-AMINO)-BENZOXAZOLE SULFONAMIDE HIV PROTEASE INHIBITORS



(I)

(57) Abstract: The present invention concerns the compounds having the formula (I) N-oxides, salts, stereoisomeric forms, racemic mixtures, prodrugs, esters and metabolites thereof, wherein R1 and R8 each are H, optionally substituted C1-6alkyl, C2-6alkenyl, C3-7cycloalkyl, aryl, Het1, Het2; R1 may also be a radical of formula (R11aR11b)NC(R10aR10b)CR9-; t is 0, 1 or 2; R2 is H or C1-6alkyl; L is -C(=O)-, -O-C(=O)-, -NR8-C(=O)-, -O-C1-6alkanediyl-C(=O)-, -NR8-C1-6alkanediyl-C(=O)-, -S(=O)2-, -O-S(=O)2-, -NR8-S(=O)2 ; R3 is C1-6alkyl, aryl, C3-7cycloalkyl, C3-7cycloalkylC1-4alkyl, or arylC1-4alkyl; R4 is H, C1-4alkylOC(=O), carboxyl, aminoC(=O), mono- or di(C1-4alkyl)aminoC(=O), C3-7cycloalkyl, C2-6alkenyl, C2-6alkynyl or optionally substituted C1-6alkyl; A is C1-6alkanediyl, -C(=O)-, -C(=S)-, -S(=O)2-, C1-6alkanediyl-C(=O)-, C1-6alkanediyl-C(=S)- or C1-6alkanediyl-S(=O)2-; R5 is H, OH, C1-6alkyl, Het1C1-6alkyl, Het2C1-6alkyl, optionally substituted aminoC1-6alkyl; R6 is C1-6alkylO, Het1, Het1O, Het2, Het2O, aryl, arylO, C1-6alkyloxycarbonylamino or amino; and in case -A- is other than C1-6alkanediyl then R6 may also be C1-6alkyl, Het1C1-4alkyl, Het1OC1-4alkyl, Het2C1-4alkyl, Het2OC1-4alkyl, arylC1-4alkyl, arylOC1-4alkyl or aminoC1-4alkyl; whereby each of the amino groups in the definition of R6 may optionally be substituted; -A-R6 is hydroxyC1-6alkyl; R5 and -A-R6 taken together with the nitrogen atom to which they are attached may also form Het1 or Het2. It further relates to their use as broadspectrum HIV protease inhibitors, processes for their preparation as well as pharmaceutical compositions and diagnostic kits comprising them. It also concerns combinations thereof with another anti-retroviral agent, and to their use in assays as reference compounds or as reagents.

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