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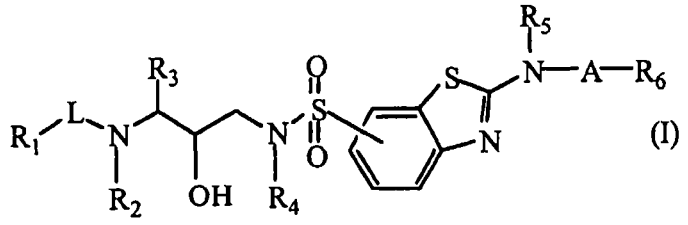
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(54) Title: BROADSPECTRUM 2-(SUBSTITUTED-AMINO)-BENZOTHIAZOLE SULFONAMIDE HIV PROTEASE INHIBITORS



(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 R_1 and R_8 each are H, optionally substituted C_{1-6}alkyl, C_{2-6}alkenyl, C_{3-7}cycloalkyl, aryl, Het^1, Het^2; R_1 may also be a radical of formula $(R_{11a}R_{11b})NC(R_{10a}R_{10b})CR_9$-; t is 0, 1 or 2; R_2 is H or C_{1-6}alkyl; L is -C(=O)-, -O-C(=O)-, -NR_8-C(=O)-, -O-C_{1-6}alkanediyl-C(=O)-, -NR_8-C_1-6?alkanediyl-C(=O)-, -S(=O)2-, -O-S(=O)$_2$-, -NR8-S(=O)$_2$; R3 is C_{1-6}alkyl, aryl, C_{3-7}cycloalkyl, C_{3-7}cycloalkylC_{1-4}alkyl, or arylC_{1-4}alkyl; R_4 is H, C_{1-4}alkylOC(=O), carboxyl, aminoC(=O), mono- or di(C_{1-4}alkyl)aminoC(=O), C_{3-7}cycloalkyl, C_{2-6}alkenyl, C_{2-6}alkynyl or optionally substituted C_{1-6}alkyl; A is C_{1-6}alkanediyl, -C(=O)-, -C(=S)-, -S(=O)$_2$-, C_{1-6}alkanediyl-C(=O)-, C_{1-6}alkanediyl-C(=S)- or C_{1-6}alkanediyl-S(=O)$_2$-; R_5 is H, OH, C_{1-6}alkyl, Het^1C_{1-6}alkyl, Het^2C_{1-6}alkyl, optionally substituted aminoC$_{1-6}$alkyl; R_6 is C_{1-6}alkylO, Het^1, Het^1O, Het^2, Het^2O, aryl, arylO, C_{1-6}alkyloxycarbonylamino or amino; and in case -A- is other than C_{1-6}alkanediyl then R^6 may also be C_{1-6}alkyl, Het^1C_{1-4}alkyl, Het^1OC_{1-4}alkyl, Het^2C_{1-4}alkyl, Het^2OC_{1-4}alkyl, arylC_{1-4}alkyl, arylOC_{1-4}alkyl or aminoC$_{1-4}$alkyl; whereby each of the amino groups in the definition of R^6 may optionally be substituted; R^5 and -A-R^6 taken together with the nitrogen atom to which they are attached may also form Het^1 or Het^2. 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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ACTION

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