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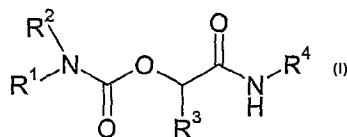
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(54) Title: SUBSTITUTED DIBENZO-AZEPINE AND BENZO-DIAZEPINE DERIVATIVES USEFUL AS GAMMA-SECRETASE INHIBITORS



the two R radicals form together with the carbon atom a cycloalkyl ring; R' is hydrogen or lower alkyl; n is 1, 2 or 3; in is 0 or 1; p is 0, 1, 2, 3, 4, 5 or 6; q is 0, 1, 2 or 3; R² is hydrogen or lower alkyl; R³ is hydrogen, lower alkyl, -CH₂CF₂CF₃, CH₂CF₃, (CH₂)₂CF₃, CF₃, CHF₂, CF₃, CHF₂, CH₂F, or is aryl, optionally mono, di or tri-substituted by halogen, or is (CH₂)_nNR⁵R⁶, wherein R⁵ and R⁶ are independently from each other hydrogen or lower alkyl; R⁴ is one of the following groups wherein R⁷ is hydrogen, lower akl, -(CH₂)_n-CF₃ or -(CH₂)_n-cycloalkyl; R₈ is hydrogen, lower alkyl, -C(O)-phenyl, -C(O)-lower alkyl, -C(O)O-(CH₂)_n-cycloalkyl, -C(O)O-(CH₂)_n-lower alkyl, -C(O)NH-(CH₂)_n-lower alkyl or -C(O)NH(CH₂)_n-CyClOalkYl; R⁹ is hydrogen, lower alkyl, -(CH₂)_n-cycloalkyl or -(CH₂)_n-CF₃; and to pharmaceutically suitable acid addition salts, optically pure enantiomers, racernates or diastereomeric mixtures thereof for the treatment of Alzheimer's disease..

(57) Abstract: The present invention relates to compounds of the general formula (I) Wherein R¹ is -(CHR')_q-aryl or -(CHR')_q-heteroaryl, which are unsubstituted or mono, di- or tri-substituted by lower alkyl, lower alkoxy, CF₃ or halogen, or is lower alkyl, lower alkenyl, -(CH₂)_n-Si(CH₃)₃, -(CH₂)_n-O-lower alkyl, -(CH₂)_n-S-lower alkyl, -(CH₂)_q-cycloalkyl, -(CH₂)_n-[CH(OH)]_m-(CF₂)_p-CH₂F_(3-q), or is -(CH₂)_n-CR₂-CF₃, wherein the two R radicals form together with the carbon atom a cycloalkyl ring; R' is hydrogen or lower alkyl; n is 1, 2 or 3; in is 0 or 1; p is 0, 1, 2, 3, 4, 5 or 6; q is 0, 1, 2 or 3; R² is hydrogen or lower alkyl; R³ is hydrogen, lower alkyl, -CH₂CF₂CF₃, CH₂CF₃, (CH₂)₂CF₃, CF₃, CHF₂, CF₃, CHF₂, CH₂F, or is aryl, optionally mono, di or tri-substituted by halogen, or is (CH₂)_nNR⁵R⁶, wherein R⁵ and R⁶ are independently from each other hydrogen or lower alkyl; R⁴ is one of the following groups wherein R⁷ is hydrogen, lower akl, -(CH₂)_n-CF₃ or -(CH₂)_n-cycloalkyl; R₈ is hydrogen, lower alkyl, -C(O)-phenyl, -C(O)-lower alkyl, -C(O)O-(CH₂)_n-cycloalkyl, -C(O)O-(CH₂)_n-lower alkyl, -C(O)NH-(CH₂)_n-lower alkyl or -C(O)NH(CH₂)_n-CyClOalkYl; R⁹ is hydrogen, lower alkyl, -(CH₂)_n-cycloalkyl or -(CH₂)_n-CF₃; and to pharmaceutically suitable acid addition salts, optically pure enantiomers, racernates or diastereomeric mixtures thereof for the treatment of Alzheimer's disease..

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