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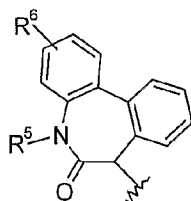
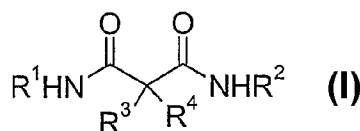
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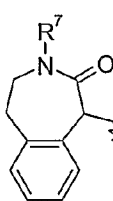
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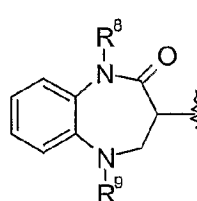
(54) Title: MALONAMIDE DERIVATIVES BLOCKING THE ACTIVITY OF GAMA-SECRETASE



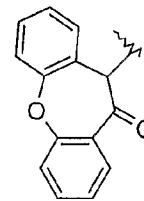
a),



b),



c),



d)

(57) Abstract: The invention relates to malonamide derivatives of formula (I), wherein: R¹ is one of the following groups (Formulae a), b), c), d)); R² is lower alkyl, lower alkynyl, -(CH₂)_n-O-lower alkyl, -(CH₂)_n-S-lower alkyl, -(CH₂)_n-CN, -(CR'R'')_n-CF₃, -(CR'R'')_n-CHF₂, -(CR'R'')_n-CH₂F, -(CH₂)_n-C(O)O-lower alkyl, -(CH₂)_n-halogen, or is -(CH₂)_n-cycloalkyl, optionally substituted by one or more substituents, selected from the group consisting of phenyl, halogen or CF₃; R', R'' are independently from n and from each other hydrogen, lower alkyl, lower alkoxy, halogen or hydroxy; R³, R⁴ are independently from each other hydrogen, lower alkyl, lower alkoxy, phenyl or halogen; R⁵ is hydrogen, lower alkyl, -(CH₂)_n-CF₃ or -(CH₂)_n-cycloalkyl; R⁶ is hydrogen or halogen; R⁷ is hydrogen or lower alkyl; R⁸ is hydrogen, lower alkyl, lower alkynyl, -(CH₂)_n-CF₃, -(CH₂)_n-cycloalkyl or -(CH₂)_n-phenyl, optionally substituted by halogen; R⁹ is hydrogen, lower alkyl, -C(O)H, -C(O)-lower alkyl, -C(O)-CF₃, -C(O)-CH₂F, -C(O)-CHF₂, -C(O)-cycloalkyl, -C(O)-(CH₂)_n-O-lower alkyl, -C(O)O-(CH₂)_n-cycloalkyl, -C(O)-phenyl, optionally substituted by one or more substituents selected from the group consisting of halogen or -C(O)O-lower alkyl, or is -S(O)₂-lower alkyl, -S(O)₂-CF₃, -(CH₂)_n-cycloalkyl or is -(CH₂)_n-phenyl, optionally substituted by halogen; n is 0, 1, 2, 3 or 4; and to pharmaceutically suitable acid addition salts, optically pure enantiomers, racemates or diastereomeric mixture thereof. These compounds may be used for the treatment of Alzheimer's disease.



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SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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