

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
20 October 2005 (20.10.2005)

PCT

(10) International Publication Number
WO 2005/097768 A2

(51) International Patent Classification⁷: **C07D 295/00**

(74) Agent: **BLINKA, Thomas, A.**; Schering-Plough Corporation, 2000 Galloping Hill Road, Patent Department K-6-1 1990, Kenilworth, New Jersey 07033-0530 (US).

(21) International Application Number:
PCT/US2005/011456

(22) International Filing Date: 4 April 2005 (04.04.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/559,529 5 April 2004 (05.04.2004) US

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (for all designated States except US): **SCHERING CORPORATION** [US/US]; 2000 Galloping Hill Road, Kenilworth, New Jersey 07033-0530 (US).

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **ASBEROM, Theodros** [US/US]; 17 Stone Drive, West Orange, New Jersey 07052 (US). **CLADER, John, W.** [US/US]; 428 North Union Avenue, Cranford, New Jersey 07016 (US). **JOSIEN, Hubert, B.** [FR/US]; 317 Monroe Street, Apt. 3, Hoboken, New Jersey 07030 (US). **PISSARNITSKI, Dmitri, A.** [US/US]; 236 Spruce Mill Lane, Scotch Plains, New Jersey 07076 (US). **ZHAO, Zhiqiang** [CN/US]; 413 Henry Street, Scotch Plains, New Jersey 07076 (US). **MCBRIAR, Mark, D.** [US/US]; 14 Crestview Drive, Clinton, New Jersey 08809 (US).

Declaration under Rule 4.17:

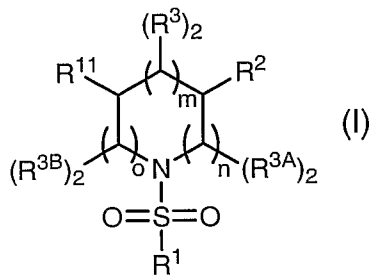
— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations

Published:

— without international search report and to be republished upon receipt of that report

[Continued on next page]

(54) Title: NOVEL GAMMA SECRETASE INHIBITORS



(57) Abstract: Gamma-secretase inhibitors of the formula: Chemical formula should be inserted here as it appears on the abstract in paper form. are useful in treating various neurodegenerative diseases, wherein, for example: R1 includes unsubstituted or substituted aryl or heteroaryl groups; R2 includes -C(O)-Y, alkylene-C(O)-Y, alkylene-cycloalkylene-C(O)-Y, cycloalkylene-alkylene-C(O)-Y, alkylene cycloalkylene-alkylene-C(O)-Y, cycloalkylene-C(O)-Y, -S(O)-Y, alkylene-S(O)-Y, alkylene-cycloalkylene-S(O)-Y, cycloalkylene-alkylene-S(O)-Y, alkylene cycloalkylene-alkylene-S(O)-Y, cycloalkylene-S(O)-Y, -S(O2)-Y, alkylene-S(O2)-Y, alkylene cycloalkylene S(O2)-Y, cycloalkylene alkylene S(O2)-Y, alkylene cycloalkylene-alkylene-S(O2)-Y, and cycloalkylene-S(O2)-Y, wherein Y is as defined herein, and each of said alkylene or

cycloalkylene may be unsubstituted or substituted as provided herein; each R3 is independently includes H, alkyl, O alkyl, OH, N(R9)2, acyl, and aroyl; or the moiety (R3)2, together with the ring carbon atom to which it is shown attached in formula I, defines a carbonyl group, -C(O)-; each R3A and R3B independently includes H, or alkyl; R11 includes aryl, heteroaryl, alkyl, cycloalkyl, arylalkyl, arylcycloalkyl, heteroarylalkyl, heteroarylcycloalkyl, arylheterocycloalkyl, or alkoxyalkyl. One or more of the compounds of formula I, or pharmaceutically acceptable salts, solvates, and/or esters, or compositions comprised thereof, may be used to treat, e.g., Alzheimer's Disease.



For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.