11 NOV 1997
REGISTRY OF TRADE MARKS & PATENTS SINGAPORE

9704843-3
RTMP COPY

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07D 405/14, A61K 31/495, C07D 405/06 — A1

(11) International Publication Number: WO 96/33193

(43) International Publication Date: 24 October 1996 (24.10.96)

(21) International Application Number: PCT/EP96/01585

(22) International Filing Date: 12 April 1996 (12.04.96)

(30) Priority Data:
95.201.010.6 20 April 1995 (20.04.95) EP
(34) Countries for which the regional or international application was filed: DE et al.

(71) Applicant (for all designated States except US): JANSSEN PHARMACEUTICA N.V. [BE/BE]; Turnhoutseweg 30, B-2340 Beerse (BE).

(72) Inventors; and
(75) Inventors/Applicants (for US only): HEERES, Jan [NL/BE]; Leemskuilen 18, B-2350 Vosselaar (BE). BACKX, Leo, Jacobus, Jozef [BE/BE]; Broekstraat 92, B-2370 Arendonk (BE). LUYTS, Paul, August, Clement [BE/BE]; Melgesdreef 58, B-2170 Antwerpen (BE). DE CHAFFOY DE COURCELLES, Didier, Robert, Guy, Gabriël [BE/BE]; Karel Van Nyenlaan 4, B-2340 Beerse (BE).

(74) Agent: DE CORTE, Filip; Janssen Pharmaceutica N.V., Patent Dept., Turnhoutseweg 30, B-2340 Beerse (BE).

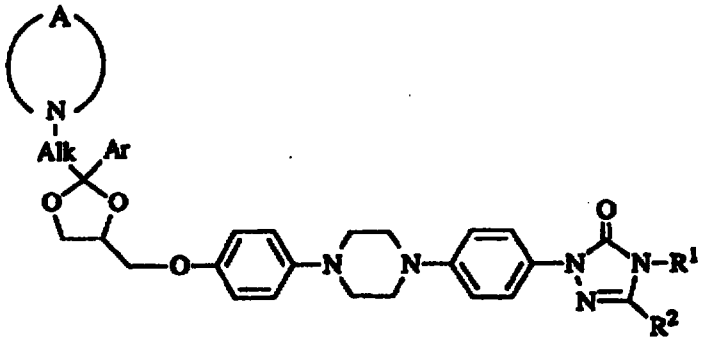
(81) Designated States: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published
With international search report.

(54) Title: NOVEL TRIAZOLONES AS APOLIPOPROTEIN-B SYNTHESIS INHIBITORS

(57) Abstract

The present invention concerns novel compounds of formula (I), wherein R^1 is C_{1-10}alkyl, C_{3-7}cycloalkyl or C_{1-6}alkyl substituted with C_{3-7}cycloalkyl; R^2 is hydrogen or C_{1-6}alkyl; Alk represents C_{1-3}alkanediyl; -A- represents a bivalent radical of the formula: (a) -CH=CH-N=CH-, (b) -N=CH-N=CH-, (c) -CH=N-N=CH-, (d) -CH=CH-CH=N-; in said bivalent radicals a hydrogen atom may be replaced by C_{1-6}alkyl; and Ar is unsubstituted phenyl; phenyl substituted with up to two substituents selected from halo, C_{1-6}alkyl or C_{1-6}alkyloxy; unsubstituted naphthyl; or naphthyl substituted with up to two substituents selected from halo, C_{1-6}alkyl or C_{1-6}alkyloxy; the stereochemically isomeric forms thereof, and the pharmaceutically acceptable acid addition salts thereof. The present invention further comprises the pharmaceutical compositions comprising compounds of formula (I), the preparation thereof as well as the use as a medicine in the treatment of hyperlipidemia.



(I)