(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
13 January 2005 (13.01.2005)

PCT

(10) International Publication Number
WO 2005/003128 A1

(51) International Patent Classification[7]: C07D 417/04, 417/14, 471/04, 487/04, 495/04, 491/10, A61K 31/454, A61P 3/04

(21) International Application Number: PCT/EP2004/005931

(22) International Filing Date: 2 June 2004 (02.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
03/07670 25 June 2003 (25.06.2003) FR

(71) Applicant *(for all designated States except US)*: **MERCK PATENT GMBH** [DE/DE]; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **GUEDAT, Philippe** [FR/FR]; 3, rue des Prairies, F-25260 Montenois (FR). **COLLONGES, François** [FR/FR]; 135, lotissement Le Grand Champ, F-01700 Beynost (FR). **DUMAS, Hervé** [FR/FR]; 27, chemin de l'Etang, F-38090 Vaulx Milieu (FR). **ORTHOLAND, Jean-Yves** [FR/FR]; Le Mas d'Avril, 90, rue du Port Neuf, F-01800 Saint Jean de Niost (FR). **DECERPRIT, Jacques** [FR/FR]; 83, chemin des Sermenaz, F-01700 Neyron (FR). **BARBANTON, Jacques** [FR/FR]; 12, domaine de la Côte, F-69530 Brignais (FR). **FOSTER, Richard, J.** [GB/GB]; Puffins Cottage, Poundstock, Bude, Cornwall EX23 0AX (GB). **KANE, Peter** [GB/GB]; 3 Old Orchard Close, Marhamchurch, Bude, Cornwall EX23 0EJ (GB). **WENDT, Bernd** [DE/DE]; Schulstrasse 30, 86947 Weil (DE).

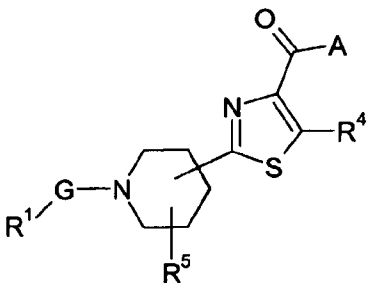
(74) Common Representative: **MERCK PATENT GMBH**; Frankfurter Strasse 250, 64293 Darmstadt (DE).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM,

[Continued on next page]

(54) Title: THIAZOLYLPIPERIDINE DERIVATIVES AS MTP INHIBITORS

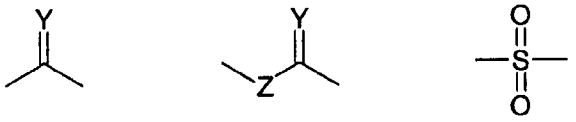
(57) Abstract: The present invention relates to thiazolylpiperidine derivatives of the general formula (I): in which: A represents a radical chosen from the radicals a1 and a2 below: G represents a bond or a divalent radical chosen from the groups g1, g2 and g3 below: and R^1, R^2, $R^{2'}$, R^3, R^4, R^5, Y and Z are as defined in the description. Application of the compounds of the formula (I) to the treatment of hypertriglyceridaemia, hypercholesterolaemia and dyslipidaemia.



(I)



a1 ; a2 ;



g1 ; g2 ; g3 ;

WO 2005/003128 A1

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, 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, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(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, IT, 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).

Published:
— *with international search report*

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.