



(51) International Patent Classification:

C07D 249/10 (2006.01) **A61K 31/4196** (2006.01)
C07D 263/34 (2006.01) **A61K 31/421** (2006.01)
C07D 271/06 (2006.01) **A61K 31/4245** (2006.01)
C07D 271/10 (2006.01) **A61K 31/433** (2006.01)
C07D 277/32 (2006.01) **A61P 9/00** (2006.01)
C07D 285/08 (2006.01) **A61P 11/00** (2006.01)
C07D 307/68 (2006.01) **A61P 37/00** (2006.01)
A61K 31/341 (2006.01) **A61P 25/28** (2006.01)

(21) International Application Number:

PCT/EP2012/064628

(22) International Filing Date:

25 July 2012 (25.07.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

11305971.1 26 July 2011 (26.07.2011) EP

(71) Applicant (for all designated States except US): **SANOFI**
[FR/FR]; 54 rue La Boétie, F-75008 Paris (FR).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **RUF, Sven** [DE/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **PERNERSTORFER, Josef** [AT/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **SADOWSKI, Thorsten** [DE/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **HORSTICK, Georg** [DE/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **SCHREUDER, Herman** [NL/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **BUNING, Christian** [DE/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE). **WIRTH, Klaus** [DE/DE]; Sanofi-Aventis Deutschland GmbH, 65926 Frankfurt am Main (DE).

(74) Agent: **THEN, Johann**; K 801, Sanofi-Aventis Deutschland GmbH, Patents Germany, Industriepark Höchst, Geb. K 801, 65926 Frankfurt am Main (DE).

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

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— of inventorship (Rule 4.17(iv))

Published:

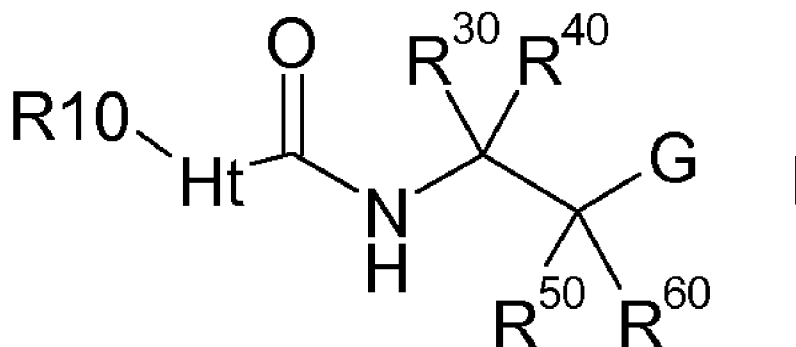
— with international search report (Art. 21(3))

— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))

(88) Date of publication of the international search report:

4 April 2013

(54) Title: 3-HETEROAROYLAMINO-PROPIONIC ACID DERIVATIVES AND THEIR USE AS PHARMACEUTICALS



(57) Abstract: The present invention relates to compounds of the formula I, wherein Ht, G, R¹⁰, R³⁰, R⁴⁰, R⁵⁰ and R⁶⁰ have the meanings indicated in the claims, which are valuable pharmaceutical active compounds. They are inhibitors of the protease cathepsin A, and are useful for the treatment of diseases such as atherosclerosis, heart failure, renal diseases, liver diseases or inflammatory diseases, for example. The invention furthermore relates to processes for the preparation of the compounds of the formula I, their use and pharmaceutical compositions comprising them.