



(51) International Patent Classification:

C07D 231/18 (2006.01) A61K 31/415 (2006.01)
 C07D 401/04 (2006.01) A61K 31/4192 (2006.01)
 C07D 405/12 (2006.01) A61K 31/42 (2006.01)
 C07D 249/04 (2006.01) A61K 31/4439 (2006.01)
 C07D 253/08 (2006.01) A61P 9/12 (2006.01)
 C07D 261/18 (2006.01) A61P 13/12 (2006.01)

(21) International Application Number:

PCT/US2013/044546

(22) International Filing Date:

6 June 2013 (06.06.2013)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/657,229 8 June 2012 (08.06.2012) US
 61/773,969 7 March 2013 (07.03.2013) US

(71) Applicant: **THERAVANCE, INC.** [US/US]; 901 Gate-
 way Boulevard, South San Francisco, California 94080
 (US).

(72) Inventors: **HUGHES, Adam**; 1221 Judson Street, Bel-
 mont, California 94002 (US). **FLEURY, Melissa**; 1023
 Divisadero Street, San Francisco, California 94115 (US).

(74) Agents: **HAGENAH, Jeffrey, A.** et al.; Theravance, Inc.,
 901 Gateway Boulevard, South San Francisco, California
 94080 (US).

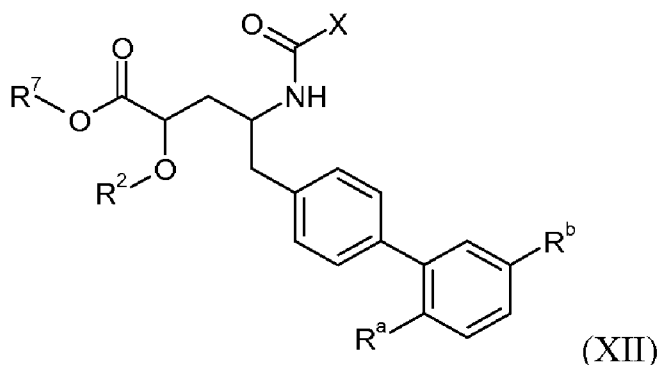
(81) Designated States (unless otherwise indicated, for every
 kind of national protection available): AE, AG, AL, AM,
 AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY,
 BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM,
 DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT,
 HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, 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, PA, 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,
 KM, ML, MR, NE, SN, TD, TG).

Published:

- with international search report (Art. 21(3))
- with amended claims (Art. 19(1))

(54) Title: NEPRILYSIN INHIBITORS



(57) Abstract: In one aspect, the invention relates to compounds having the formula XII: where R^a , R^b , R^2 , R^7 and X are as defined in the specification, or a pharmaceutically acceptable salt thereof. The compounds described herein are prodrugs of compounds having neprilysin inhibition activity. In another aspect, the invention relates to pharmaceutical compositions comprising these compounds; methods of using these compounds; and processes and intermediates for preparing these compounds.