

(10) International Publication Number
WO 2016/043996 A1(43) International Publication Date
24 March 2016 (24.03.2016)

(51) International Patent Classification:

C07D 513/04 (2006.01) *A61P 25/28* (2006.01)
A61K 31/547 (2006.01)

(21) International Application Number:

PCT/US2015/048788

(22) International Filing Date:

8 September 2015 (08.09.2015)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

14382347.4 15 September 2014 (15.09.2014) EP

(71) Applicant: **ELI LILLY AND COMPANY** [US/US];
Lilly Corporate Center, Indianapolis, Indiana 46285 (US).(72) Inventors: **COATES, David Andrew**; c/o Eli Lilly and
Company, P. O. Box 6288, Indianapolis, Indiana 46206-
6288 (US). **LOSADA, Pablo Garcia**; c/o Eli Lilly and
Company, P. O. Box 6288, Indianapolis, Indiana 46206-
6288 (US).(74) Agents: **LENTZ, Nelsen L.** et al.; Eli Lilly and Company,
P. O. Box 6288, Indianapolis, Indiana 46206-6288 (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, GF, GH, GM, GT,
HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR,
KZ, LA, LC, LK, LR, LS, 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, SA, 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, ST, 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).

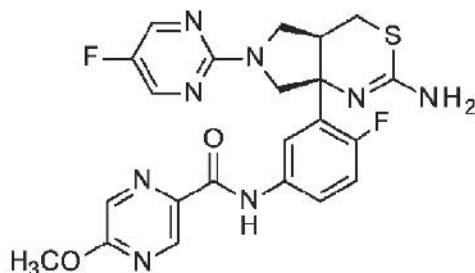
Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

Published:

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

(54) Title: A TETRAHYDROPYRROLO[3,4-D][1,3]THIAZINE-DERIVATIVE AS BACE INHIBITOR



Formula I

(57) Abstract: The present invention provides a compound of Formula I: which is crystalline. The compound of Formula (I) is useful in the treatment of Alzheimer's disease (BACE inhibitor).