



(51) International Patent Classification:

C07D 417/04 (2006.01) A61K 31/5386 (2006.01)
C07D 417/06 (2006.01) A61K 31/5377 (2006.01)
C07D 417/14 (2006.01) A61K 31/439 (2006.01)
C07D 498/08 (2006.01) A61K 31/454 (2006.01)
C07D 277/56 (2006.01) A61K 31/427 (2006.01)
A61P 25/00 (2006.01)

(21) International Application Number:

PCT/IB2013/051455

(22) International Filing Date:

22 February 2013 (22.02.2013)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

235/KOL/2012	6 March 2012 (06.03.2012)	IN
236/KOL/2012	6 March 2012 (06.03.2012)	IN
237/KOL/2012	6 March 2012 (06.03.2012)	IN
1307/KOL/2012	12 November 2012 (12.11.2012)	IN

(71) Applicant: LUPIN LIMITED [IN/IN]; 159 CST Road, Kalina, Santacruz (East), State of Maharashtra, Mumbai 400 098 (IN).

(72) Inventors: SINHA, Neelima; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). KARCHI, Navnath, Popat; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). HAJARE, Anil, Kashiram; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). ADURKAR, Shridhar, Keshav; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). LAIRIKYENGBAM, Bikramjit, Singh; Lupin Limited

(Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). RAJE, Firoj, Aftab; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). TILEKAR, Ajay, Ramchandra; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). THUBE, Baban, Rupaji; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). PALLE, Venkata P.; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN). KAMBOJ, Rajender, Kumar; Lupin Limited (Research Park), 46A / 47A, Nande Village, Mulshi Taluka, Pune 411 042 (IN).

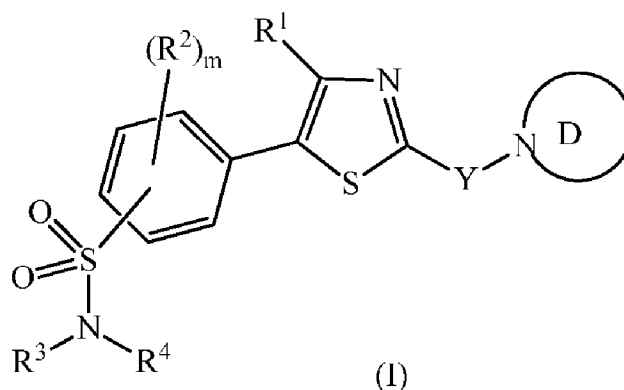
(74) Agents: MAJUMDAR, Subhatosh et al.; S Majumdar & Co., 5, Harish Mukherjee Road, Kolkata 700 025 (IN).

(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, 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,

[Continued on next page]

(54) Title: THIAZOLE DERIVATIVES AS ALPHA 7 NACHR MODULATORS



(57) Abstract: Disclosed is a compound of formula (I) wherein Y, Ring D, m and R¹-R⁴ are as described herein, as a modulator of nicotinic acetylcholine receptors particularly the α7 subtype, in a subject in need thereof, as well as pharmaceutically acceptable salts, polymorphs, solvates, and isomers thereof, for use either alone or in combinations with suitable other medicaments, and pharmaceutical compositions containing such compounds. Also disclosed are a process of preparation of the compounds and the intended uses thereof in therapy, particularly in the prophylaxis and therapy of disorders such as Alzheimer's disease, mild cognitive impairment, senile dementia, and the like.



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).

— *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))*

Published:

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