

## PATENT COOPERATION TREATY

## PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

|                                                    |                                                                                                  |                                                                                      |
|----------------------------------------------------|--------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------|
| Applicant's or agent's file reference<br>X20392    | <b>FOR FURTHER ACTION</b><br>see Form PCT/ISA/220<br>as well as, where applicable, item 5 below. |                                                                                      |
| International application No.<br>PCT/US2015/048788 | International filing date ( <i>day/month/year</i> )<br>8 September 2015 (08-09-2015)             | (Earliest) Priority Date ( <i>day/month/year</i> )<br>15 September 2014 (15-09-2014) |
| Applicant<br><br>ELI LILLY AND COMPANY             |                                                                                                  |                                                                                      |

This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This international search report consists of a total of 4 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

## 1. Basis of the report

a. With regard to the **language**, the international search was carried out on the basis of:

- ☒ the international application in the language in which it was filed  
☐ a translation of the international application into \_\_\_\_\_, which is the language of a translation furnished for the purposes of international search (Rules 12.3(a) and 23.1(b))

b. ☐ This international search report has been established taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91 (Rule 43.6**bis**(a)).

c. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, see Box No. I.

2. ☐ **Certain claims were found unsearchable** (See Box No. II)

3. ☐ **Unity of invention is lacking** (see Box No III)

4. With regard to the **title**,

- ☐ the text is approved as submitted by the applicant  
☒ the text has been established by this Authority to read as follows:

A TETRAHYDROPYRROLO[3,4-D][1,3]THIAZINE-DERIVATIVE AS BACE INHIBITOR

5. With regard to the **abstract**,

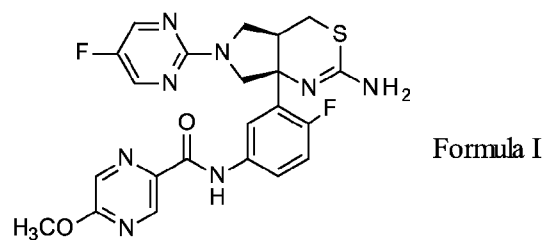
- ☐ the text is approved as submitted by the applicant  
☒ the text has been established, according to Rule 38.2, by this Authority as it appears in Box No. IV. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority

6. With regard to the **drawings**,

- a. the figure of the **drawings** to be published with the abstract is Figure No. \_\_\_\_\_  
☐ as suggested by the applicant  
☐ as selected by this Authority, because the applicant failed to suggest a figure  
☐ as selected by this Authority, because this figure better characterizes the invention
- b. ☐ none of the figures is to be published with the abstract

## Box No. IV Text of the abstract (Continuation of item 5 of the first sheet)

The present invention provides a compound of Formula I:



Formula I

which is crystalline. The compound of Formula (I) is useful in the treatment of Alzheimer's disease (BACE inhibitor).

## INTERNATIONAL SEARCH REPORT

International application No  
PCT/US2015/048788

## A. CLASSIFICATION OF SUBJECT MATTER

INV. C07D513/04 A61K31/547 A61P25/28  
ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category* | Citation of document, with indication, where appropriate, of the relevant passages                                                                                                                | Relevant to claim No. |
|-----------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------------------|
| Y         | WO 2013/151832 A1 (LILLY CO ELI [US])<br>10 October 2013 (2013-10-10)<br>page 112, line 1 - page 117, line 30;<br>claims; example 1. 34<br>-----                                                  | 1-12                  |
| Y         | WO 2012/098461 A1 (EISAI R&D MAN CO LTD<br>[JP]; TAKAIHSI MAMORU [JP]; ISHIDA TASUKU<br>[JP]) 26 July 2012 (2012-07-26)<br>page 92, line 25 - page 94, line 25;<br>claims; examples 2, 3<br>----- | 1-12                  |
| Y         | US 2009/209755 A1 (SUZUKI YUICHI [JP] ET<br>AL) 20 August 2009 (2009-08-20)<br>page 222 - page 225; claims; example 178<br>-----                                                                  | 1-12                  |
| X,P       | WO 2014/143579 A1 (LILLY CO ELI [US])<br>18 September 2014 (2014-09-18)<br>claims; example 4<br>-----                                                                                             | 1-12                  |



Further documents are listed in the continuation of Box C.



See patent family annex.

## \* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

29 October 2015

Date of mailing of the international search report

10/11/2015

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# INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2015/048788

| Patent document<br>cited in search report | Publication<br>date | Patent family<br>member(s)                                                                                                                                                                                                                                                                                                                                               | Publication<br>date                                                                                                                                                                                                                                                                  |
|-------------------------------------------|---------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| WO 2013151832 A1                          | 10-10-2013          | AR 090474 A1<br>CA 2866201 A1<br>CN 104185635 A<br>EP 2836495 A1<br>JP 2015512445 A<br>TW 201400491 A<br>US 2013261111 A1<br>US 2014094454 A1<br>US 2014256933 A1<br>WO 2013151832 A1                                                                                                                                                                                    | 12-11-2014<br>10-10-2013<br>03-12-2014<br>18-02-2015<br>27-04-2015<br>01-01-2014<br>03-10-2013<br>03-04-2014<br>11-09-2014<br>10-10-2013                                                                                                                                             |
| WO 2012098461 A1                          | 26-07-2012          | AU 2012208348 A1<br>CA 2823675 A1<br>CN 103459401 A<br>EP 2665731 A1<br>JP 2014506564 A<br>KR 20140020867 A<br>RU 2013138733 A<br>US 2014179690 A1<br>WO 2012098461 A1                                                                                                                                                                                                   | 01-08-2013<br>26-07-2012<br>18-12-2013<br>27-11-2013<br>17-03-2014<br>19-02-2014<br>27-02-2015<br>26-06-2014<br>26-07-2012                                                                                                                                                           |
| US 2009209755 A1                          | 20-08-2009          | AU 2009205072 A1<br>BR PI0906962 A2<br>CA 2711655 A1<br>CN 101910143 A<br>DK 2233474 T3<br>EP 2233474 A1<br>ES 2548774 T3<br>HK 1147490 A1<br>IL 206952 A<br>JP 4520533 B2<br>KR 20100103702 A<br>NZ 586796 A<br>PE 14382009 A1<br>RU 2010134403 A<br>TW 200936581 A<br>US 2009209755 A1<br>US 2010317850 A1<br>US 2012094984 A1<br>US 2013203741 A1<br>WO 2009091016 A1 | 23-07-2009<br>14-07-2015<br>23-07-2009<br>08-12-2010<br>19-10-2015<br>29-09-2010<br>20-10-2015<br>10-01-2014<br>30-09-2014<br>04-08-2010<br>27-09-2010<br>28-10-2011<br>26-09-2009<br>27-02-2012<br>01-09-2009<br>20-08-2009<br>16-12-2010<br>19-04-2012<br>08-08-2013<br>23-07-2009 |
| WO 2014143579 A1                          | 18-09-2014          | AU 2014228351 A1<br>CA 2898500 A1<br>TW 201520217 A<br>US 2014275044 A1<br>US 2014350245 A1<br>US 2015157641 A1<br>WO 2014143579 A1                                                                                                                                                                                                                                      | 17-09-2015<br>18-09-2014<br>01-06-2015<br>18-09-2014<br>27-11-2014<br>11-06-2015<br>18-09-2014                                                                                                                                                                                       |