

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
22 September 2005 (22.09.2005)

PCT

(10) International Publication Number
WO 2005/087714 A3

(51) International Patent Classification⁷: **A61K 31/47**,
A61P 25/28

155 Haight Street, Apartment #107, San Francisco, CA 94102 (US). **TUNG, Jay** [US/US]; 2224 Semeria Avenue, Belmont, CA 94002-1522 (US).

(21) International Application Number:
PCT/US2005/007774

(74) Agent: **GARRETT, Arthur, S.**; Finnegan, Henderson, Farabow, Garrett & Dunner LLP, 901 New York Avenue, NW, Washington, DC 20001 (US).

(22) International Filing Date: 9 March 2005 (09.03.2005)

(25) Filing Language: English

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

(26) Publication Language: English

(30) Priority Data:
60/551,051 9 March 2004 (09.03.2004) US
60/551,050 9 March 2004 (09.03.2004) US
60/576,008 2 June 2004 (02.06.2004) US
60/575,828 2 June 2004 (02.06.2004) US
60/591,926 29 July 2004 (29.07.2004) US
60/591,966 29 July 2004 (29.07.2004) US
60/614,059 30 September 2004 (30.09.2004) US
60/614,034 30 September 2004 (30.09.2004) US

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for all designated States except US*): **ELAN PHARMACEUTICALS, INC.** [US/US]; 800 Gateway Boulevard, South San Francisco, CA 94080-7085 (US).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **JOHN, Varghese** [US/US]; 1722 18th Avenue, San Francisco, CA 94122 (US). **MAILLARD, Michel** [US/US]; 219 Shorebird Circle, Redwood City, CA 94065 (US). **FANG, Lawrence** [US/US]; 1193 Beach Park Boulevard, Foster City, CA 94404 (US). **TUCKER, John** [US/US]; 37 Creekridge Court, San Mateo, CA 94402 (US). **BROGLEY, Louis** [US/US]; 1116 Seabright Avenue, Apartment 19, Santa Cruz, CA 95062-3753 (US). **AQUINO, Jose** [US/US]; 258 Canterbury Avenue, Dale City, CA 94015 (US). **BOWERS, Simeon** [GB/US]; 501 Wesley Avenue, #3, Oakland, CA 94606 (US). **PROBST, Gary** [US/US];

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report:
15 December 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: METHODS OF TREATMENT OF AMYLOIDOSIS USING BI-CYCLIC ASPARTYL PROTEASE INHIBITORS

(57) Abstract: The invention relates to novel compounds and methods of treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A-beta protein.



WO 2005/087714 A3

INTERNATIONAL SEARCH REPORT

International Application No
PCT/US2005/007774

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 A61K31/47 A61P25/28

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)
IPC 7 A61K

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 practical, search terms used)
EPO-Internal, WPI Data, BEILSTEIN Data, CHEM ABS Data, EMBASE, BIOSIS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 02/02512 A (ELAN PHARMACEUTICALS, INC; PHARMACIA & UPJOHN COMPANY) 10 January 2002 (2002-01-10) claim 23; examples 151,158,164,314,320	1-60
X	WO 03/072535 A (ELAN PHARMACEUTICALS, INC; PHARMACIA & UPJOHN; VARGHESE, JOHN; JAGODZI) 4 September 2003 (2003-09-04) examples 151,158,164,307,314,320	1-60
X	WO 03/040096 A (ELAN PHARMACEUTICALS, INC; PHARMACIA & UPJOHN COMPANY; JOHN, VARGHESE;) 15 May 2003 (2003-05-15) examples 314,320,3024,3025,3313,3567,3568	1-60
	----- -/--	

Further documents are listed in the continuation of box C.

Patent family members are listed in 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 document 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

Date of mailing of the international search report

4 October 2005

11/10/2005

Name and mailing address of the ISA
European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer
Steendijk, M

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US2005/007774

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 2004/024081 A (ELAN PHARMACEUTICALS, INC; PFIZER, INC; MAILLARD, MICHEL; BALDWIN, ERI) 25 March 2004 (2004-03-25) claim 12 -----	1-60
P,X	WO 2004/050609 A (ELAN PHARMACEUTICAL, INC; PHARMACIA & UPJOHN COMPANY; PULLEY, SHON, R;) 17 June 2004 (2004-06-17) pages 158-169; examples -----	1-60
P,X	WO 2004/050619 A (GLAXO GROUP LIMITED; DEMONT, EMMANUEL, H; FALLER, ANDREW; MACPHERSON,) 17 June 2004 (2004-06-17) pages 108,126,166; examples -----	1-60
P,X	WO 2004/094413 A (ELAN PHARMACEUTICALS, INC; PHARMACIA & UPJOHN COMPANY; AQUINO, JOSE; J) 4 November 2004 (2004-11-04) pages 73-77; examples -----	1-60
P,X	WO 2004/094384 A (ELAN PHARMACEUTICALS, INC; PHARMACIA & UPJOHN COMPANY; AQUINO, JOSE; J) 4 November 2004 (2004-11-04) pages 70-74; examples -----	1-60
P,X	WO 2005/014517 A (NOVARTIS AG; NOVARTIS PHARMA GMBH; AUBERSON, YVES; BETSCHART, CLAUDIA;) 17 February 2005 (2005-02-17) pages 37-38; examples -----	1-60

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US2005/007774

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0202512	A	10-01-2002	AU 7313701	14-01-2002
			BR 0112000	03-06-2003
			CA 2410651	10-01-2002
			CN 1447789	08-10-2003
			CZ 20024194	14-01-2004
			EE 200200716	16-08-2004
			EP 1353898	22-10-2003
			HU 0303037	01-03-2004
			JP 2004502669	29-01-2004
			MX PA02012608	14-05-2003
			NO 20026199	21-02-2003
			NZ 522899	24-06-2005
			PL 359864	06-09-2004
			SK 18442002	07-07-2004
WO 03072535	A	04-09-2003	AU 2003225730	09-09-2003
			BR 0307998	28-06-2005
			CA 2477607	04-09-2003
			EP 1503980	09-02-2005
			JP 2005519082	30-06-2005
WO 03040096	A	15-05-2003	BR 0214035	26-04-2005
			CA 2466284	15-05-2003
			EP 1453789	08-09-2004
			JP 2005520791	14-07-2005
WO 2004024081	A	25-03-2004	AU 2003267132	30-04-2004
			BR 0314188	09-08-2005
			CA 2498248	25-03-2004
			EP 1565443	24-08-2005
WO 2004050609	A	17-06-2004	AU 2003293155	23-06-2004
			CA 2507484	17-06-2004
			EP 1565428	24-08-2005
WO 2004050619	A	17-06-2004	AU 2003292198	23-06-2004
			CA 2508325	17-06-2004
			EP 1567488	31-08-2005
WO 2004094413	A	04-11-2004	NONE	
WO 2004094384	A	04-11-2004	NONE	
WO 2005014517	A	17-02-2005	NONE	