

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
10 May 2001 (10.05.2001)

PCT

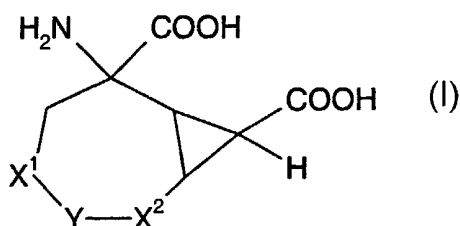
(10) International Publication Number  
**WO 01/32644 A3**

- (51) International Patent Classification<sup>7</sup>: C07D 335/04, 337/06, 311/02, 313/06, A61K 31/382, 31/352, A61P 25/28
- (21) International Application Number: PCT/US00/28865
- (22) International Filing Date: 30 October 2000 (30.10.2000)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
9926057.2 3 November 1999 (03.11.1999) GB
- (71) Applicant (for all designated States except US): **ELI LILLY AND COMPANY** [US/US]; Patent Department 1104, Lilly Corporate Center, Indianapolis, IN 46285 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **BAKER, Stephen, Richard** [GB/GB]; Eli Lilly and Company Limited, European Patent Operation, Kingsclere Road, Basingstoke Hants RG21 2XA (GB). **HANCOX, Timothy, Colin** [GB/GB]; Eli Lilly and Company Limited, European Patent Operations, Kingsclere Road, Basingstoke Hants RG21 2XA (GB).
- (74) Agents: **HAY, Martin, A.** et al.; Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN 46285 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, 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, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).
- Published:**  
— with international search report
- (88) Date of publication of the international search report:  
8 November 2001
- 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.



WO 01/32644 A3

(54) Title: EXCITATORY AMINO ACID DERIVATIVES



(57) Abstract: Compounds for formula (I) in which: Y represents O, NR<sup>a</sup>, S, SO or SO<sub>2</sub>; X<sup>1</sup> represents (CR<sup>1</sup>R<sup>2</sup>)<sub>m</sub>; X<sup>2</sup> represents (CR<sup>3</sup>R<sup>4</sup>)<sub>n</sub>; one of m and n is 1 and the other is 0 or 1; and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each as defined in the specification; and non-toxic metabolically labile esters or amides thereof; and pharmaceutically acceptable salts thereof; are useful as modulators of metabotropic glutamate receptor function.

# INTERNATIONAL SEARCH REPORT

Interr. Application No  
PCT/US 00/28865

<b>A. CLASSIFICATION OF SUBJECT MATTER</b> IPC 7 C07D335/04 C07D337/06 C07D311/02 C07D313/06 A61K31/382 A61K31/352 A61P25/28		
According to International Patent Classification (IPC) or to both national classification and IPC		
<b>B. FIELDS SEARCHED</b> Minimum documentation searched (classification system followed by classification symbols) IPC 7 C07D A61K A61P		
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) PAJ, EPO-Internal, CHEM ABS Data		
<b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>		
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 696 577 A (E.LILLY) 14 February 1996 (1996-02-14) cited in the application the whole document -----	1,9, 11-16
<input type="checkbox"/> Further documents are listed in the continuation of box C.		
<input checked="" type="checkbox"/> 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  <p style="text-align: center; font-weight: bold;">22 May 2001</p>	Date of mailing of the international search report  <p style="text-align: center; font-weight: bold;">31/05/2001</p>	
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  <p style="text-align: center; font-weight: bold;">Francois, J</p>	

# INTERNATIONAL SEARCH REPORT

information on patent family members

International Application No

PCT/US 00/28865

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 696577 A	14-02-1996	US 5750566 A	12-05-1998
		AP 758 A	10-09-1999
		AT 172186 T	15-10-1998
		AU 692276 B	04-06-1998
		AU 2853095 A	22-02-1996
		AU 3325095 A	07-03-1996
		AU 3325195 A	07-03-1996
		AU 3364995 A	07-03-1996
		BG 62728 B	30-06-2000
		BG 101213 A	31-03-1998
		BR 9503638 A	28-05-1996
		CA 2156024 A	13-02-1996
		CA 2195779 A	22-02-1996
		CA 2195782 A	22-02-1996
		CZ 9502074 A	15-05-1996
		DE 69505318 D	19-11-1998
		DK 696577 T	23-06-1999
		EP 0776200 A	04-06-1997
		EP 0776201 A	04-06-1997
		ES 2122456 T	16-12-1998
		FI 953837 A	13-02-1996
		HK 1013983 A	14-07-2000
		HU 75524 A	28-05-1997
		IL 114910 A	29-06-2000
		JP 2883297 B	19-04-1999
		JP 8188561 A	23-07-1996
		JP 10504038 T	14-04-1998
		JP 11507008 T	22-06-1999
		NO 953191 A	13-02-1996
		NZ 272772 A	24-10-1997
		PL 309972 A	19-02-1996
		SI 696577 T	30-04-1999
		SK 13397 A	09-07-1997
		WO 9604900 A	22-02-1996
		WO 9604901 A	22-02-1996
		WO 9605175 A	22-02-1996
		US 5661184 A	26-08-1997
		US 5882671 A	16-03-1999
		US 5925782 A	20-07-1999
		US 5925680 A	20-07-1999
		CN 1123272 A	29-05-1996