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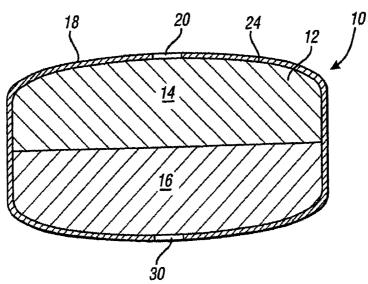
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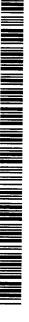
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[Continued on next page]

(54) Title: HYDROGEL-DRIVEN LAYERED DRUG DOSAGE FORM COMPRISING SERTRALINE



(57) Abstract: A controlled release dosage form for sertraline has a core comprising a sertraline-containing composition and a water-swellable composition wherein the water-swellable composition is in a separate region within the core. A coating around the core is water-permeable, water-insoluble, and has at least one delivery port therethrough. In one embodiment, the dosage form releases sertraline to the use environment at an average rate of 6 to 10 wt.% per hour from the second to the twentieth hour after introduction to a use environment and less than about 25 wt.% for the first two hours and at least 70 wt.% by the twelfth hour, where the percentages correspond to the mass of drug released from the tablet divided by the total mass of drug originally present in the tablet. In another embodiment, the dosage form releases less than about 25 wt.% of sertraline to the use environment by the second hour after introduction of the dosage form to the use environment, and delivers at least 25 wt.% from the eighth to the twenty-fourth hour.





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

B. FIELDS SEARCHED

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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, PAJ, CHEM ABS Data

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97 37640 A (AYER ATUL DEVDATT ;ALZA CORP (US); LAM ANDREW (US); MAGRUDER JUDY) 16 October 1997 (1997-10-16)	3-6, 9-11,13, 15,16, 18-24, 30,37-41
	page 6 -page 8; examples 3,4	
X	WO 99 01121 A (CURATOLO WILLIAM JOHN ;FRIESEN DWAYNE THOMAS (US); SHANKER RAVI MY) 14 January 1999 (1999-01-14)	1-7,10, 11, 13-16, 18, 20-25, 30,31, 34-42
	page 113 -page 114; examples 19,20 page 94; tables 5-1 	, <u>.</u>

Y Further documents are listed in the continuation of box C.	χ Patent family members are listed in annex.
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Date of the actual completion of the international search 8 June 2001	Date of mailing of the international search report $22/06/2001$
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 Boulois, D

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INTERNATIONAL SEARCH REPORT

Inte Onal Application No PCT/IB 00/01871

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT								
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.						
Τ	EP 1 027 888 A (PFIZER PROD INC) 16 August 2000 (2000-08-16) page 19; example 8	1-7						

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INTERNATIONAL SEARCH REPORT

information on patent family members

Inte onal Application No
PCT/IB 00/01871

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9737640 A	16-10-1997	AU 710389 B AU 2337897 A BR 9708528 A CA 2249637 A EP 0907358 A JP 2000508313 T NZ 332014 A	16-09-1999 29-10-1997 03-08-1999 16-10-1997 14-04-1999 04-07-2000 29-04-1999
WO 9901121 A	14-01-1999	AU 7544998 A BG 103915 A BR 9810403 A CN 1261793 T EP 0999830 A HR 980379 A JP 2000514101 T NO 996518 A PL 337806 A TR 9903280 T	25-01-1999 31-07-2000 29-08-2000 02-08-2000 17-05-2000 30-04-1999 24-10-2000 29-02-2000 11-09-2000 21-11-2000
EP 1027888 A	16-08-2000	JP 2000229846 A	22-08-2000