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(54) Title: PHARMACEUTICAL IMPLANT CONTAINING IMMEDIATE-RELEASE AND SUSTAINED-RELEASE COMPONENTS AND METHOD OF ADMINISTRATION

(57) Abstract: A pharmaceutical implant for administering a biologically active substance is made up of an immediate-release component, preferably containing a disintegrating agent, and a sustained-release component. The implant of the present invention provides flexibility in adjusting the release of the medicament and a faster onset of release can be provided along with a long-term sustained-release. The release rate of the biologically active substance can be adjusted by controlling the relative quantities of the immediate-release component and the sustained-release component.

# INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 00/30177

**A. CLASSIFICATION OF SUBJECT MATTER**  
 IPC 7 A61K31/565 A61K31/57 A61K9/00

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)

WPI Data, PAJ, 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.
X	WO 92 22346 A (ALZA) 23 December 1992 (1992-12-23) claims 1,4-10,13-16 page 4, line 18 - line 31 page 5, line 27 - line 33 page 8, line 32 - line 37 page 9, line 26 - line 35 ---	1-25
X,P	WO 00 25743 A (SCHERING) 11 May 2000 (2000-05-11)  claims page 7, line 1 - line 7 page 8, line 7 page 8, line 15 - line 17 page 11, line 15 - line 26 page 12, line 24 -page 13, line 12 --- -/--	1-7,10, 13-18, 21-25

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

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- \*&\* document member of the same patent family

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International Application No

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**C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5 427 796 A (D.H.LEWIS) 27 June 1995 (1995-06-27) claims column 3, line 15 - line 24 column 6, line 43 - line 48 ----	1-25
A	GB 2 154 138 A (DRUG SYSTEMS RESEARCH AND DEVELOPMENT LTD.,IE) 4 September 1985 (1985-09-04) claims ----	1-25
A	FR 2 290 906 A (P.R.G. DICK & M.A. ROMBI) 11 June 1976 (1976-06-11) cited in the application claims examples page 3, line 9 page 6, line 19 - line 27 page 8, line 20 - line 30 ----	1-25
A	US 3 737 521 A (J.W.BORN) 5 June 1973 (1973-06-05) cited in the application claims ----	1-25
A	US 3 417 182 A (J.C.BABCOCK ET AL.) 17 December 1968 (1968-12-17) cited in the application claims ----	1-25
A	FR 2 271 832 A (DYNACHIM) 19 December 1975 (1975-12-19) claims examples page 2, line 5 -page 4, line 1 -----	1-25

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/30177

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9222346 A	23-12-1992	US 5227167 A	13-07-1993
		AT 141525 T	15-09-1996
		AU 653425 B	29-09-1994
		AU 2193392 A	12-01-1993
		CA 2109698 A,C	23-12-1992
		DE 69213009 D	26-09-1996
		DE 69213009 T	19-12-1996
		EP 0588947 A	30-03-1994
		ES 2092122 T	16-11-1996
		IE 921885 A	16-12-1992
		JP 6507912 T	08-09-1994
		KR 137261 B	25-04-1998
		MX 9202800 A	01-12-1992
		NZ 243105 A	26-07-1994
		PT 100586 A,B	31-05-1994
ZA 9204272 A	31-03-1993		
-----	-----	-----	-----
WO 0025743 A	11-05-2000	AU 3096700 A	22-05-2000
-----	-----	-----	-----
US 5427796 A	27-06-1995	US 5288496 A	22-02-1994
		US 5733566 A	31-03-1998
		US 5401507 A	28-03-1995
		US 5419910 A	30-05-1995
-----	-----	-----	-----
GB 2154138 A	04-09-1985	IE 56979 B	26-02-1992
-----	-----	-----	-----
FR 2290906 A	11-06-1976	NONE	
-----	-----	-----	-----
US 3737521 A	05-06-1973	CA 936472 A	06-11-1973
-----	-----	-----	-----
US 3417182 A	17-12-1968	NONE	
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FR 2271832 A	19-12-1975	NONE	
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