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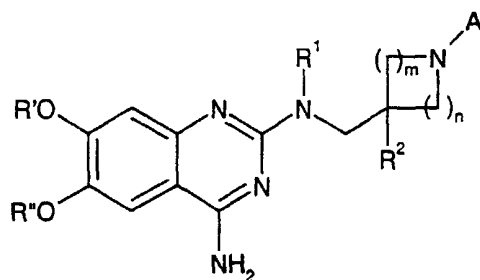
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(54) Title: QUINAZOLINE DERIVATIVES AS ALPHA-1 ADRENERGIC ANTAGONISTS



(I)

(57) Abstract: This invention relates to com-  
pounds which are generally alpha-1B adrenergic  
receptor antagonists and which are represented  
by general formula (I) wherein R<sup>1</sup>, R<sup>2</sup>, m, n, and  
A are as defined in the specification, or prodrugs,  
individual isomers, racemic or non-racemic  
mixtures of isomers, or pharmaceutically  
acceptable salts or solvates thereof. The invention  
further relates to pharmaceutical compositions  
containing such compounds, the use of such  
compounds in the control and prevention of  
diseases, such as disorders of the urinary tract,

sexual dysfunction, pain, or disorders of the central nervous system, and methods of preparation thereof.



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**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

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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)

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**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 97 23462 A (PFIZER) 3 July 1997 (1997-07-03) cited in the application claims  -----	1, 25

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

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# INTERNATIONAL SEARCH REPORT

Information on patent family members

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9723462	A	03-07-1997	
		AP 715 A	30-12-1998
		AT 194598 T	15-07-2000
		AU 708979 B2	19-08-1999
		AU 1371997 A	17-07-1997
		BG 102559 A	31-03-1999
		BR 9612263 A	13-07-1999
		CZ 9801976 A3	17-03-1999
		DE 69609353 D1	17-08-2000
		DE 69609353 T2	07-12-2000
		DK 877734 T3	20-11-2000
		WO 9723462 A1	03-07-1997
		EP 0877734 A1	18-11-1998
		ES 2151192 T3	16-12-2000
		GR 3034225 T3	29-12-2000
		HR 960616 A1	30-06-1998
		HU 9903560 A2	28-05-2000
		JP 3070958 B2	31-07-2000
		JP 11501668 T	09-02-1999
		NO 982913 A	30-07-1998
		NZ 325248 A	29-09-1999
		PL 327610 A1	21-12-1998
		PT 877734 T	29-12-2000
		SK 81698 A3	10-04-2000
		TR 9801195 T2	21-10-1998
		US 6103738 A	15-08-2000
		ZA 9610784 A	22-06-1998

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