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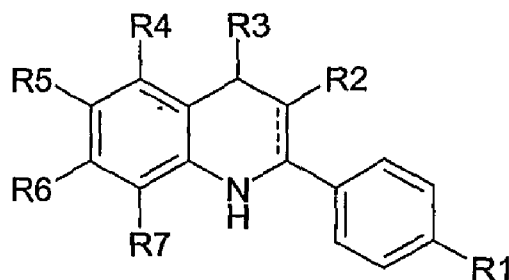
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(54) Title: NOVEL TETRAHYDROQUINOLINES AS AROMATASE INHIBITORS



(I)

(57) **Abstract:** The invention relates to synthesis and biological screening of novel tetrahydroquinolines of formula (I), their derivatives, their stereoisomers, their pharmaceutically acceptable salts and pharmaceutically acceptable compositions containing them for aromatase inhibition: (I). The present invention also relates to a process for the preparation of the novel tetrahydroquinolines, their derivatives, their stereoisomers, their pharmaceutically acceptable salts and pharmaceutically acceptable compositions containing them. These compounds are useful in for aromatase inhibition, particularly in the treatment and/or prevention of cancer, particularly breast cancer, more particularly hormone dependent breast cancer.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IN 2009/000002

A. CLASSIFICATION OF SUBJECT MATTER

IPC⁸: **C07D 215/00** (2006.01); **C07D 215/02** (2006.01); **C07D 215/12** (2006.01);
C07D 215/233 (2006.01)

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)

IPC⁸: C07D

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 practicable, search terms used)

EPODOC, WPI, Registry, CAPLUS

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO1994/002145 A2(GENEL ABS TECHNOLOGIES) 3 February 1994 (03.02.1994) <i>Claims 1-7, 11, 12, 15, Figs 1, 2</i>	1- 3, 5, 9-13
A	<i>The whole document</i>	4, 6-8
	—	
X	WO2002/026730 A2 (UNIVERSITY OF NORTH CAROLINA) 4 April 2002 (04.04.2002) <i>Claims 21-26, 31-34, Figs. 1, 4</i>	1- 3, 5, 7-13
A	<i>The whole document</i>	4, 6
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☒ Further documents are listed in the continuation of Box C.☒ See patent family annex.

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“A” document defining the general state of the art which is not considered to be of particular relevance

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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.
X	STASKUN, B. et al.: Novel production of 3-benzoyl-2,1-benzisoxazoles from 2-phenyl-quinolin-4(1H)-ones; Journal of the Chemical Society, Chemical Communications (1991), (14), 927-928 <i>Scheme 1: compound 1</i>	1, 2, 5
X	SATO, Shingo et al.: Convenient synthesis of 1,6,7,8-substituted 2-(3',4'-substituted-phenyl)-4-quinolones via a 4-ethoxyflavylum salt; Journal of Heterocyclic Chemistry (1999), 36(5), 1189-1193 <i>Page 1189: Compound: 4a-p; page 1189, first paragraph</i>	1-3, 5, 9-11, 13
X	MPHAHLELE, M. J. et al.: Tautomeric 2-arylquinolin-4(1H)-one derivatives- spectroscopic, X-ray and quantum chemical structural studies; Journal of Molecular Structure (2004), 688(1-3), 129-136 <i>Scheme 1.: compounds 4, 5, 7</i>	1, 2, 5
X	KUO, Sheng-Chu, et al.: Synthesis and cytotoxicity of 1,6,7,8-substituted 2-(4'-substituted phenyl)-4-quinolones and related compounds: identification as antimitotic agents interacting with tubulin; Journal of Medicinal Chemistry (1993), 36(9), 1146-56 <i>Scheme : Compounds 22-31, Table 1</i>	1-3, 5, 9-11, 13

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No.

PCT/IN 2009/000002

Patent document cited in search report			Publication date		Patent family member(s)			Publication date	
WO	A	9402145			WO	A2	9402145		1994-02-03
					AU	A	4780793		1994-02-14
WO	A	0226730			WO	A2	0226730		2002-04-04
					JP	T	2004509956T		2004-04-02
					EP	A2	1322615		2003-07-02
					CN	A	1476435		2004-02-18
					CA	A1	2423482		2002-04-04
					US	B1	6569870		2003-05-27