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(72) Inventors; and

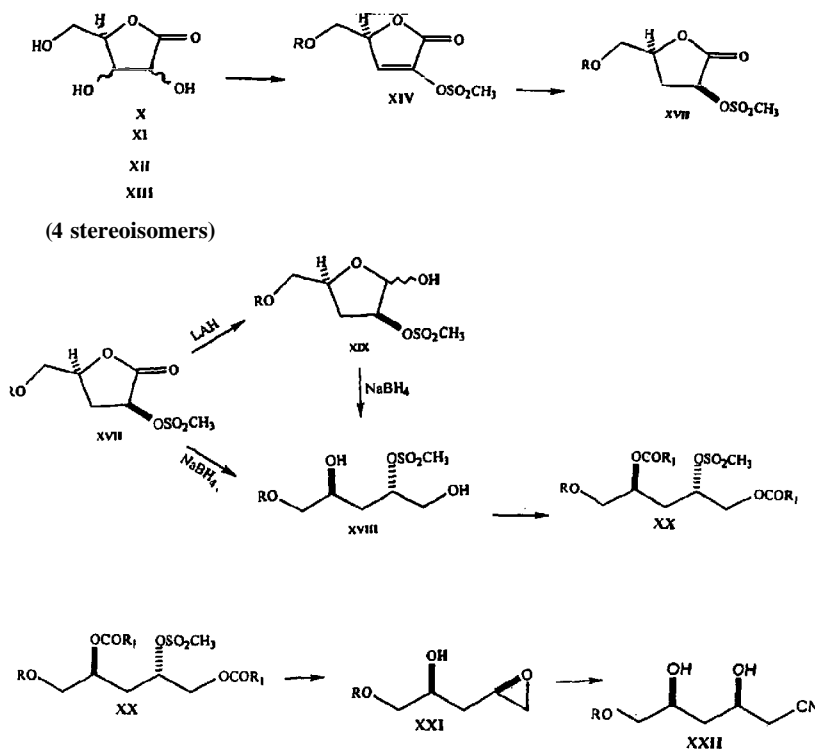
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(54) Title: FURANOSE DERIVATIVES AND THEIR APPLICATIONS IN SYNTHESIS OF STATINS





OM, PE, PG, PH, PL, PT, QA, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

- (84) Designated States** (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Declarations under Rule 4.17:**

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(a))*

- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(Hi))*
- *of inventorship (Rule 4.17(iv))*

**Published:**

- *with international search report (Art. 21(3))*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

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2 August 2012

**INTERNATIONAL SEARCH REPORT**

International application No  
PCT/IN2011/00Q523

<b>A. CLASSIFICATION OF SUBJECT MATTER</b> INV. C07D303/26 C07D307/32 C07D307/60 C07D407/12 C07C255/07 CO7C317/04  ADD. 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) C07D C07C  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) EPO-Internal				
<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	LIPSHUTZ B H ET AL: "More highly mixed, higher order cyanocuprates 'RT(2-thienyl)Cu(CN)Li2'. Efficient reagents which promote selective ligand transfer", JOURNAL OF ORGANOMETALLIC CHEMISTRY, ELSEVIER-SEQUOIA S.A. LAUSANNE, CH, vol. 285, no. 1-3, 16 April 1985 (1985-04-16), pages 437-447, XP026619807, ISSN: 0022-328X, DOI: 10.1016/0022-328X(85)87388-5 [retrieved on 1985-04-16] page 439; compound 10 ----- - / - -	1		
<input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input type="checkbox"/> See patent family annex.				
* Special categories of cited documents : <table style="width:100%; border:none;"> <tr> <td style="width:50%; border:none;">                     "A" document defining the general state of the art which is not considered to be of particular relevance                      "E" earlier application or patent 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                 </td> <td style="width:50%; border:none;">                     "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                      "&amp;" document member of the same patent family                 </td> </tr> </table>			"A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier application or patent 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
"A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier application or patent 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  24 November 2011		Date of mailing of the international search report  21/06/2012		
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016		Authorized officer  Lange, Tim		

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/IN2011/00Q523

## Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
  
2.  Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
  
3.  Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1.  As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of additional fees.
3.  As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
  
4.  No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:  
1-4, 18, 28-32

### Remark on Protest

The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.

The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.

No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

International application No  
PCT/IN2011/00Q523

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	<p>BECUCCI T ET AL: "3-deoxy-D-glycero-pentulose: a convenient starting material for the synthesis of 4-hydroxyprolinol", GAZZETTA CHIMICA ITALIANA, SOCIETA CHIMICA, ITALIANA, ROME; IT, vol. 127, no. 2, 1 January 1997 (1997-01-01), pages 73-78, XP0Q8145363, ISSN: 0016-5603</p>	1
A	<p>3-deoxy-1,4,5-tri-O-acetyl-3-deoxy-2-methansulfonol-D-erythro-pentitol: compound 11 -&amp; DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; 1997, Becucci, Teresa; Capozzi, Giuseppe; Menichetti, Stefano; Nativi, Cristina; Salsini, Liana: "3-Deoxy-D-glycero-pentulose: a convenient starting material for the synthesis of 4-hydroxyprolinol", XP002663807, retrieved from STN Database accession no. 1997:459442 abstract</p>	1
A	<p>JARIZA: "Stereoselective Synthesis of 4,5-Dihydroxy-D-erythro- and 4,5-Dihydroxy-D-threo-L-norvaline from D-Ribonolactone", TETRAHEDRON, vol. 49, no. 6, 5 February 1993 (1993-02-05), pages 1315-1326, XP055012374, ISSN: 0040-4020, DOI: 10.1016/S0040-4020(01)85821-X Scheme 2: compounds 9, 10</p>	1
A	<p>DANIEL SCHLAWA ET AL: "Iron-Containing Nucleoside Analogues with Pronounced Apoptosis-Inducing Activity", ANGEWANDTE CHEMIE INTERNATIONAL EDITION, vol. 43, no. 13, 19 March 2004 (2004-03-19), pages 1731-1734, XP055012317, ISSN: 1433-7851, DOI: 10.1002/anie.200353132 Scheme 3: compounds 14, 15</p>	1

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## INTERNATIONAL SEARCH REPORT

International application No

PCT/IN2011/00Q523

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	STEPHEN V ATTWOOD AND ANTHONY G M BARRETT: "A convenient procedure for the deoxygenation and homologation of D-ribose derivatives" , JOURNAL OF THE CHEMICAL SOCIETY, PERKIN TRANSACTIONS 1, CHEMICAL SOCIETY, LETCHWORTH; GB, 1 January 1984 (1984-01-01) , pages 1315-1322 , XP008145385, ISSN: 0300-922X, DOI: 10. 1039/P19840001315	1-4, 18, 28-32
A	- & DATABASE CAPLUS [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; Attwood, Stephen V.; Barrett, Anthony G. M.: "A convenient procedure for the deoxygenation and homologation of D-ribose derivatives" , XP002663809, retrieved from STN Database accession no. 1984:592304 2,4-di deoxy-6-O- [(1, 1-dimethyl ethyl )di phenyl silyl]-D-threo-hexononitri le; abstract  -----	1-4, 18, 28-32
X	KAZUTOSHI MIYAZAWA ET AL: "A Convenient Synthesis of (2S,4R) -1-Acetoxy-2,4-O-isopropylidene-1,2,5-Pentanetriol by Using Lipase Catalyzed Regio- and Enantioselective Reactions" , CHEMISTRY LETTERS, vol . 22, no. 9, 1 January 1993 (1993-01-01) , pages 1529-1530, XP008145352, CHEMICAL SOCIETY OF JAPAN, JP ISSN: 0366-7022, DOI: 10. 1246/CL. 1993 .1529 Use for synthesizing beta-delta dihydroxyester side chain of HMG-CoA reductase inhibitors (i.e. statins) ; page 437, line 1 - line 2 Scheme 1, particularly: compound 9  -----	1,2,4, 18

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-4, 18, 28-32

Compounds of the Markush formula XXII and processes to convert this compound into formula XXXIII, XXIV and XXIV compounds.

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2. claims: 5-7

D-Pentono-1,4-lactones and processes to convert such compounds into formula XIV compounds.

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3. claims: 8, 9, 19, 20

Compounds of formula XIV and processes to convert this compound into formula XVII compounds.

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4. claims: 10, 11, 21, 22

Compounds of formula XVII and processes to convert this compound into formula XVIII compounds.

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5. claims: 12, 13, 23, 24

Compounds of formula XVIII and processes to convert this compound into formula XX compounds.

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6. claims: 14, 15, 25

Compounds of formula XX and processes to convert this compound into formula XXI compounds.

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7. claims: 15, 17, 25, 27

Compounds of formula XXI and processes to convert such compounds into formula XXII compounds.

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