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- (71) **Applicant (for all designated States except US):** PPG INDUSTRIES OHIO, INC. [US/US]; 3800 West 143rd Street, Cleveland, OH 44111 (US).
- (72) **Inventors:** MINCH, Britt, A.; 1419 Sandstone Drive, Tarentum, PA 15084 (US). HICKENBOTH, Charles, R.; 512 Luke Court, Cranberry Township, PA 16066 (US). KARABIN, Richard, F.; 107 Karabin Lane, Ruffs Dale, PA 15679 (US). ZAWACKY, Steven, R.; 124 Old English Road, Pittsburg, PA 15237 (US). MCCOLLUM, Gregory, J.; 5130 Bronwyn Court, Gibsonsia, PA 15044 (US).
- (74) **Agents:** MEYERS, Diane, R. et al.; PPG Industries, Inc., One PPG Place - 39th Floor, Pittsburgh, PA 15272 (US).
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Declarations under Rule 4.17:

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

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))

(88) **Date of publication of the international search report:**
22 July 2010



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(54) **Title:** METHOD FOR PRODUCING BICYCLIC GUANIDINES BY USE OF A CYCLIC UREA

(57) **Abstract:** The present invention is directed to a method for producing bicyclic guanidines comprising heating a cyclic urea to a temperature > 200 °C to form the bicyclic guanidines.

INTERNATIONAL SEARCH REPORT

International application No PCT/US2009/043215

A. CLASSIFICATION OF SUBJECT MATTER
 INV. C07D487/04 A61K31/519

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)
 C07D 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)
 EPO-Internal, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	EP 0 198 680 A (BP CHEM INT LTD [GB]) 22 October 1986 (1986-10-22) page 2, line 9 - page 3, line 9 <div style="text-align: center;">----- -/--</div>	1-5, 7-10, 12-21, 23-27

Further documents are listed in the continuation of Box C. See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier document 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
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Date of the actual completion of the international search 15 October 2009	Date of mailing of the international search report 09/06/2010
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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 Sarakinos, Georgios
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INTERNATIONAL SEARCH REPORT

International application No

PCT/US2009/043215

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	<p>EUSEBIO JUARISTI ET AL: "Synthesis of New Chiral Derivatives of N,N'-Dimethylpropyleneurea (DMPU) and examination of Their Influence on the Regio- and Enantioselectivity of Addition of 2-(1,3-Dithianyl)lithium to Cyclohex-2-en-1-one"</p> <p>HELVETICA CHIMICA ACTA, vol. 85, no. 7, 2002, pages 1999-2007, XP002550632 Scheme 4 Page 2006, synthesis of (S,S)-7, first half</p>	<p>1-5, 7-10, 12-21, 23-27</p>
A	<p>ANTONIO ECHAVARREN ET AL: "Anion-Receptor Molecules: Synthesis of a Chiral and Functionalized Binding Subunit, a Bicyclic Guanidinium Group derived from L- or D-Asparagine"</p> <p>HELVETICA CHIMICA ACTA, vol. 71, no. 4, 1988, pages 685-693, XP002550633 Scheme 3, compound 17 Scheme 4, conversion of compound 13 to compound 20 page 689, lines 3-6 page 692, syntheses of compounds 17 and 20</p>	
A	<p>REDDOUANE ACHOUR ET AL: "Syntheses des Benzimidazolo (1,2-a) Benzimidazoles A Partir des Benzodiazepine-1, 50nes-2"</p> <p>BULLETIN DES SOCIETES CHIMIQUES BELGES, XX, XX, vol. 96, no. 10, 1 January 1987 (1987-01-01), pages 787-792, XP009123274 ISSN: 0037-9646 figure 2</p>	<p>1</p>
A	<p>SCHMIDTCHEN F P: "Synthese symmetrisch substituierter bicyclischer Guanidine"</p> <p>CHEMISCHE BERICHTE, DEVERLAG CHEMIE GMBH. WEINHEIM, vol. 113, no. 6, 1 January 1980 (1980-01-01), pages 2175-2182, XP002542381 page 2177, "Für die Umwandlung...zu 14c kondensieren". page 2182, synthesis of 14c.HBr</p>	

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INTERNATIONAL SEARCH REPORT

International application No

PCT/US2009/043215

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	CHONG HAN ET AL: "Synthesis of Carbamates and Ureas Using Zr(IV)-Catalyzed Exhnage Processes" ORGANIC LETTERS, vol. 9, no. 8, 2007, pages 1517-1520, XP002550634 Table 4, entry 10 -----	
A	ZHENGQING YOU ET AL: "New AZT Conjugates as Potent Anti-HIV Agents" NUCLEOSIDES, NUCLEOTIDES AND NUCLEIC ACIDS, vol. 25, no. 1, 2006, pages 37-54, XP002550635 Scheme 1, 1st reaction page 46, synthesis of compound (15) -----	
A	PRELOG ET AL: "Sur les Bis-Halogenoethylamines.II" COLLECTION OF CZECHOSLOVAK CHEMICAL COMMUNICATIONS, INSTITUTE OF ORGANIC CHEMISTRY & BIOCHEMISTRY, PRAGUE, vol. 4, 1 January 1932 (1932-01-01), pages 32-38, XP009123413 ISSN: 0010-0765 page 38, synthesis of N-beta-hydroxyéethyl-imidazolidone-2 -----	

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US2009/043215

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.:

1-27

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.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

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

1. claims: 1-27

Methods for producing a bicyclic guanidine

2. claim: 28

An electrodepositable coating composition comprising bicyclic guanidine

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2009/043215

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0198680	A	22-10-1986	
		AU 582227 B2	16-03-1989
		AU 5601186 A	16-10-1986
		DE 3675680 D1	03-01-1991
		JP 61280495 A	11-12-1986
		US 4797487 A	10-01-1989
