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C07D 263/34 (2006.01) **C07D 417/12** (2006.01)
C07D 271/06 (2006.01) **A61K 31/4164** (2006.01)
C07D 271/10 (2006.01) **A61K 31/421** (2006.01)
C07D 277/30 (2006.01) **A61K 31/4245** (2006.01)
C07D 277/56 (2006.01) **A61K 31/426** (2006.01)
C07D 285/12 (2006.01)

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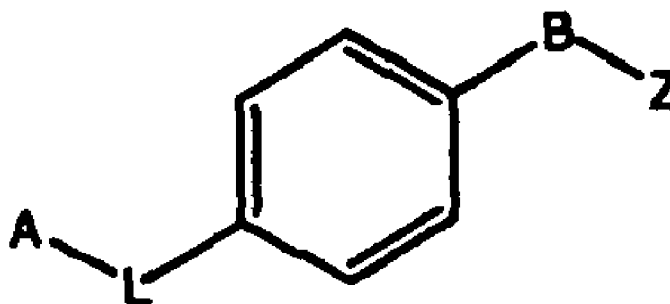
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, 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 the identity of the inventor (Rule 4.17(i))

[Continued on next page]

(54) Title: HETEROCYCLIC COMPOUNDS AS DGAT1 INHIBITORS

**Formula 1**

(57) Abstract: The present invention relates to heterocyclic compounds of Formula (1), in all their stereoisomeric and tautomeric forms; and their pharmaceutically acceptable salts, solvates, polymorphs, prodrugs, carboxylic acid isosteres and N-oxides. The invention also relates to processes for the manufacture of the heterocyclic compounds and to pharmaceutical compositions containing them. The said compounds and their pharmaceutical compositions are useful in the prevention and treatment of diseases or disorders mediated by diacylglycerol acyltransferase (DGAT), particularly DGAT1. The present invention further provides a method of treatment of such diseases or disorders by administering a therapeutically effective amount of said compounds or their pharmaceutical compositions, to a mammal in need thereof.





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- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))* — *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))*
- *of inventorship (Rule 4.17(iv))*

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INTERNATIONAL SEARCH REPORT

International application No
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A. CLASSIFICATION OF SUBJECT MATTER INV. C07D231/12 C07D263/32 C07D263/34 C07D271/06 C07D271/10 C07D277/30 C07D277/56 C07D285/12 C07D417/04 C07D417/08 C07D417/12 A61K31/4164 A61K31/421 A61K31/4245 A61K31/426		
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		
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, BIOSIS, CHEM ABS Data, EMBASE, WPI Data		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	R. D. HAUGWITZ ET AL: "Antiparasitic agents. 6. Synthesis and anthelmintic activities of novel isothiocyanatophenyl-1,2,4-oxadiazoles", JOURNAL OF MEDICINAL CHEMISTRY, vol. 28, no. 9, 1 September 1985 (1985-09-01), pages 1234-1241, XP55017432, ISSN: 0022-2623, DOI: 10.1021/jm00147a019 compound 46 (amine) page 1241 <div style="text-align: center;">----- -/-</div>	1-46, 48-67
<div style="display: flex; justify-content: space-between;"> <input checked="" type="checkbox"/> Further documents are listed in the continuation of Box C. <input checked="" type="checkbox"/> See patent family annex. </div>		
* Special categories of cited documents : <div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier document but published on or after the international filing date</p> <p>"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)</p> <p>"O" document referring to an oral disclosure, use, exhibition or other means</p> <p>"P" document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>"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</p> <p>"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</p> <p>"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.</p> <p>"&" document member of the same patent family</p> </div> </div>		
Date of the actual completion of the international search <div style="text-align: center; font-size: 1.2em;">14 March 2012</div>		Date of mailing of the international search report <div style="text-align: center; font-size: 1.2em;">23/03/2012</div>
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 <div style="text-align: center; font-size: 1.2em;">Lécaillon, Jennifer</div>

INTERNATIONAL SEARCH REPORT

International application No

PCT/IB2011/053810

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	HA J D ET AL: "Efficient synthesis of 2-imidazol-2-ylacetates", TETRAHEDRON LETTERS, ELSEVIER, AMSTERDAM, NL, vol. 47, no. 35, 28 August 2006 (2006-08-28), pages 6201-6204, XP025004730, ISSN: 0040-4039, DOI: 10.1016/J.TETLET.2006.06.155 [retrieved on 2006-08-28]	1,12,23, 38
A	Compound 3c Table 1 page 6202	35, 41-46, 48-67
X	----- WO 2010/023609 A1 (PIRAMAL LIFE SCIENCES LTD [IN]; GANGOPADHYAY ASHOK KUMAR [IN]; KADAM K) 4 March 2010 (2010-03-04)	1,2,5,7, 10,12, 15, 17-46, 48-67
Y	Claims, examples and page 1	3,4,6,8, 9,11,13, 14,16
X	----- WO 2007/137107 A2 (ABBOTT LAB [US]; IYENGAR RAJESH R [US]; ZHAO GANG [US]; FREEMAN JENNIF) 29 November 2007 (2007-11-29)	1-11, 19-37, 41-46, 48-67
Y	Claims, examples and page 1	12-18, 38-40
X	----- WO 2008/134693 A1 (ABBOTT LAB [US]; JUDD ANDREW S [US]; MULHERN MATHEW M [US]; IYENGAR RA) 6 November 2008 (2008-11-06)	1-6, 19-36, 41-46, 48-67
Y	Claims, examples and page 1	7-18, 37-40
X	----- WO 2010/059606 A2 (SCHERING CORP [US]; TING PAULINE C [US]; ASLANIAN ROBERT G [US]; CAPLE) 27 May 2010 (2010-05-27)	1-6, 19-36, 41-46, 48-67
Y	Claims, examples and page 1	7-18, 37-40
A	----- JP 2007 045752 A (TAKEDA CHEMICAL INDUSTRIES LTD) 22 February 2007 (2007-02-22) paragraph [[0106]]	35, 41-46, 48-67
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INTERNATIONAL SEARCH REPORT

International application No

PCT/IB2011/053810

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,P	WO 2011/031628 A1 (SCHERING CORP [US]; TING PAULINE C [US]; LEE JOE F [US]; ASLANIAN ROBE) 17 March 2011 (2011-03-17) Claims, examples and page 1 -----	1,12-16, 19-34,38
Y,P		3,4, 6-11,17, 18,37, 39,40
A,P		2,5,35, 36

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB2011/053810

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.:
1-34, 36-46, 48-67(completely); 35(partially)
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.:

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: 1-34, 36-40

Compounds of Formula (1) and processes for their preparation

2. claims: 41-46, 48-67(completely); 35(partially)

Intermediates of Formula (D) wherein B is a 5 membered heteroaryl different from 1,2,4-oxadiazole and processes for their preparation

3. claims: 47(completely); 35(partially)

Intermediates of Formula (D) wherein B represents 1,2,4-oxadiazole and processes for their preparation

4. claim: 35(partially)

Intermediates of Formula (D) wherein B is a 6 membered heteroaryl

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/IB2011/053810

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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