

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization

International Bureau

(43) International Publication Date  
14 October 2021 (14.10.2021)



(10) International Publication Number  
**WO 2021/205372 A3**

(51) International Patent Classification:

C07K 7/06 (2006.01) A61P 31/04 (2006.01)

(21) International Application Number:

PCT/IB2021/052911

(22) International Filing Date:

08 April 2021 (08.04.2021)

(25) Filing Language:

Polish

(26) Publication Language:

English

(30) Priority Data:

PL433503 08 April 2020 (08.04.2020) PL

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(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ,  
CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO,  
DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN,  
HR, HU, ID, IL, IN, IR, IS, IT, JO, JP, KE, KG, KH, KN,  
KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD,  
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO,  
NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW,  
SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN,  
TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, 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, RW, SD, SL, ST, SZ, TZ,  
UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, 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,  
KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— 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))  
— with sequence listing part of description (Rule 5.2(a))

(88) Date of publication of the international search report:

20 January 2022 (20.01.2022)

(54) Title: ANTIBACTERIAL LIPOPEPTIDES, PHARMACEUTICAL COMPOSITION AND COSMETIC COMPOSITION COMPRISING THEM, AND USES THEREOF

(57) Abstract: The present invention relates to synthetic antimicrobial lipopeptides having a linear structure and to antimicrobial lipopeptides having a branched structure, to pharmaceutical compositions comprising such lipopeptides, to cosmetic compositions comprising such lipopeptides and to lipopeptides for use as a medicament, and to lipopeptides for use in the treatment or prophylaxis of a bacterial infection.



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**INTERNATIONAL SEARCH REPORT**

International application No  
**PCT/IB2021/052911**

**A. CLASSIFICATION OF SUBJECT MATTER**  
**INV. C07K7/06 A61P31/04**  
**ADD.**

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)  
**C07K A61P**

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, BIOSIS, CHEM ABS Data, WPI Data**

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
<b>X</b>	<p><b>Glossop Hugh D. ET AL: "Linear Analogues of the Lipopeptide Battacin With Potent In Vitro Activity Against S. aureus"</b>  <b>In: "Computational chemistry methods in structural biology",</b>  <b>2018, Elsevier, NL, XP055835832,</b>  <b>ISSN: 1876-1623</b>  <b>ISBN: 978-0-12-386485-7</b>  <b>vol. 112, pages 385-394, DOI:</b>  <b>10.1016/bs.apcsb.2018.03.004,</b>  <b>Retrieved from the Internet:</b>  <b>URL: <a href="http://dx.doi.org/10.1016/bs.apcsb.2018.03.004">http://dx.doi.org/10.1016/bs.apcsb.2018.03.004</a></b>  <b>the whole document</b>  <b>tables 1-2</b></p> <p align="center">----- -/--</p>	<b>1-34</b>

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

\* Special categories of cited documents :

<p>"A" document defining the general state of the art which is not considered to be of particular relevance</p> <p>"E" earlier application or patent 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>	<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>"&amp;" document member of the same patent family</p>
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Date of the actual completion of the international search <b>3 December 2021</b>	Date of mailing of the international search report <b>21/12/2021</b>
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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  <b>Cervigni, S</b>
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## INTERNATIONAL SEARCH REPORT

International application No  
PCT/IB2021/052911

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>KIHARA SHINJI ET AL: "Solution structure of linear battacin lipopeptides - the effect of lengthening fatty acid chain", SOFT MATTER, vol. 15, no. 37, 25 September 2019 (2019-09-25), pages 7501-7508, XP055835804, ISSN: 1744-683X, DOI: 10.1039/C9SM00932A the whole document page 7506; figure 1; table 4</p> <p style="text-align: center;">-----</p>	1-34
X	<p>GAYAN HERUKA DE ZOYSA ET AL: "Antimicrobial Peptides with Potential for Biofilm Eradication: Synthesis and Structure Activity Relationship Studies of Battacin Peptides", JOURNAL OF MEDICINAL CHEMISTRY, vol. 58, no. 2, 22 January 2015 (2015-01-22), pages 625-639, XP055758649, ISSN: 0022-2623, DOI: 10.1021/jm501084q the whole document page 635; tables 1-2</p> <p style="text-align: center;">-----</p>	1-34
X	<p>GAYAN HERUKA DE ZOYSA ET AL: "Unexplored antifungal activity of linear battacin lipopeptides against planktonic and mature biofilms of C. albicans", EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY, vol. 146, February 2018 (2018-02), pages 344-353, XP055758662, AMSTERDAM, NL ISSN: 0223-5234, DOI: 10.1016/j.ejmech.2018.01.023 the whole document table 1</p> <p style="text-align: center;">-----</p>	1-34
X	<p>VARNAVA KYRIAKOS GABRIEL ET AL: "Design, Synthesis, Antibacterial Potential, and Structural Characterization of N-Acylated Derivatives of the Human Autophagy 16 Polypeptide", BIOCONJUGATE CHEMISTRY, vol. 30, no. 7, 17 July 2019 (2019-07-17), pages 1998-2010, XP055867862, US ISSN: 1043-1802, DOI: 10.1021/acs.bioconjchem.9b00290 the whole document table 1</p> <p style="text-align: center;">-----</p> <p style="text-align: center;">-/--</p>	1-34

## INTERNATIONAL SEARCH REPORT

International application No

PCT/IB2021/052911

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>MOON SUN HEE ET AL: "Novel Linear Lipopeptide Paenipeptins with Potential for Eradicating Biofilms and Sensitizing Gram-Negative Bacteria to Rifampicin and Clarithromycin",            JOURNAL OF MEDICINAL CHEMISTRY,            vol. 60, no. 23,            14 December 2017 (2017-12-14), pages            9630-9640, XP055797610,            US            ISSN: 0022-2623, DOI:            10.1021/acs.jmedchem.7b01064            Retrieved from the Internet:            URL:https://pubs.acs.org/doi/pdf/10.1021/a            cs.jmedchem.7b01064&gt;            the whole document            table 1</p> <p style="text-align: center;">-----</p>	1-34
X	<p>DEY RAJIB ET AL: "Small antibacterial molecules highly active against drug-resistant Staphylococcus aureus",            MEDCHEMCOMM,            vol. 10, no. 11,            13 November 2019 (2019-11-13), pages            1907-1915, XP055867866,            United Kingdom            ISSN: 2040-2503, DOI: 10.1039/C9MD00329K            the whole document            table 1</p> <p style="text-align: center;">-----</p>	1-34

# INTERNATIONAL SEARCH REPORT

International application No.

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## Box No. I Nucleotide and/or amino acid sequence(s) (Continuation of item 1.c of the first sheet)

1. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of a sequence listing:
  - a.  forming part of the international application as filed:
    - in the form of an Annex C/ST.25 text file.
    - on paper or in the form of an image file.
  - b.  furnished together with the international application under PCT Rule 13ter.1(a) for the purposes of international search only in the form of an Annex C/ST.25 text file.
  - c.  furnished subsequent to the international filing date for the purposes of international search only:
    - in the form of an Annex C/ST.25 text file (Rule 13ter.1(a)).
    - on paper or in the form of an image file (Rule 13ter.1(b) and Administrative Instructions, Section 713).
2.  In addition, in the case that more than one version or copy of a sequence listing has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that forming part of the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
3. Additional comments:

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/IB2021/052911

## 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.:

### 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: 1-3, 6-8, 11-19, 22-34(all partially)

A lipopeptide as characterised in claim 1, where X1 is n-dodecanoyl-DDab-Dab. Compositions comprising them and their use as antibacterial agents;

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2. claims: 1-3, 6-8, 11-19, 22-34(all partially)

A lipopeptide as characterised in claim 1, where X1 is n-decanoyl-DDab-Dab. Compositions comprising them and their use as antibacterial agents;

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3. claims: 1-3, 6-8, 11-19, 22-34(all partially)

A lipopeptide as characterised in claim 1, where X1 is 4-methylnonanoyl-DDab-Dab. Compositions comprising them and their use as antibacterial agents;

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4. claims: 4, 5, 9, 10, 20, 21(completely); 1, 6, 11-17, 22-34(partially)

A lipopeptide as characterised in claim 1, where X1 is H-Dab(FA-DDab). Compositions comprising them and their use as antibacterial agents.

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