



(51) International Patent Classification:

A61K 31/395 (2006.01) C12N 1/20 (2006.01)
A61K 31/4162 (2006.01) C12R 1/01 (2006.01)
C07D 498/00 (2006.01) A61P 31/04 (2006.01)
C12P 17/18 (2006.01) A61P 31/06 (2006.01)

(21) International Application Number:

PCT/IB2014/059989

(22) International Filing Date:

20 March 2014 (20.03.2014)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

690/DEL/2013 20 March 2013 (20.03.2013) IN

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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, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, 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, 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, RW, SD, SL, 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:

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

[Continued on next page]

(54) Title: ANTIBACTERIAL COMPOUNDS AGAINST DRUG RESISTANT BACTERIA

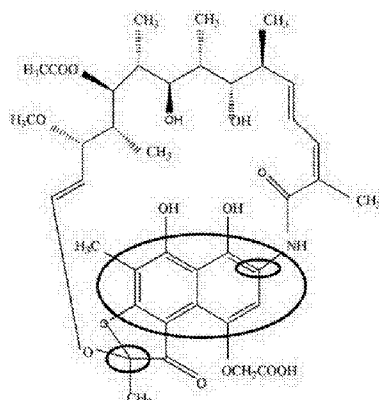


Figure 1: The chemical structure of rifamycin B. The ansa chain joins the naphthoquinone moiety at C-2 and C-12 (circled in black). The naphthoquinone moiety (circled in black) imparts reddish brown colour to the compound.

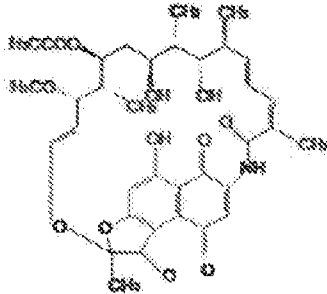
(57) Abstract: The invention relates to the production of rifamycin analogs against drug resistant bacteria. The invention also relates to antibacterial compounds against drug resistant mycobacteria. The invention also provides for pharmaceutical composition comprising antibacterial compound against drug resistant bacteria, particularly mycobacteria. The invention also provides for use of antibacterial compounds for the treatment of disease caused by bacteria and in particular mycobacteria.

- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*
 - Published:**
 - *with international search report (Art. 21(3))*
 - *with amended claims (Art. 19(1))*
- (88) Date of publication of the international search report:** 5 March 2015
Date of publication of the amended claims: 16 April 2015

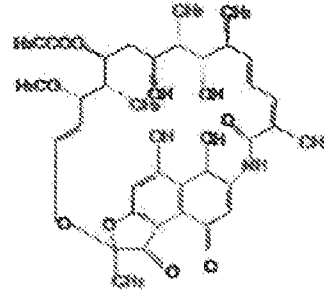
AMENDED CLAIMS
received by the International Bureau on 15.01.2015

We claim:

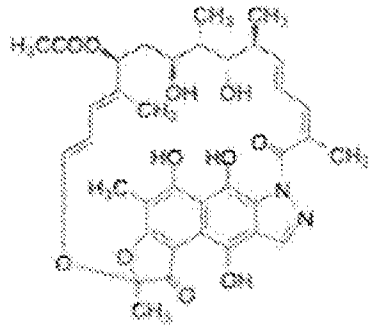
1. Antibacterial compounds and/or salts thereof having following chemical structure:



24-desmethylrifamycin S

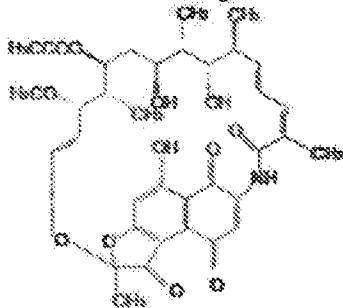


24-desmethylrifamycin SV

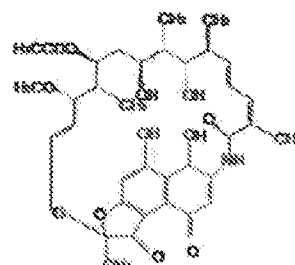


24-desemthylrifampicin

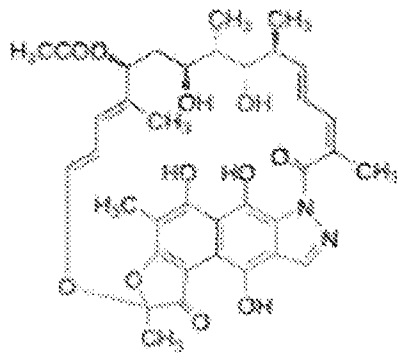
2. The antibacterial compounds as claimed in claim 1, wherein the antibacterial compounds are useful against infection or a disease caused by bacteria.
3. The antibacterial compounds as claimed in claims 1-2, wherein the antibacterial compounds are useful against infection or a disease caused by *Mycobacterium* species.
4. The antibacterial compounds as claimed in claims 1-3, wherein *Mycobacterium* species selected are *Mycobacterium tuberculosis* and MDR strains of *M. tuberculosis*.
5. A pharmaceutical composition comprising antibacterial compounds and/or salts thereof having following chemical structure:



24-desmethylrifamycin S



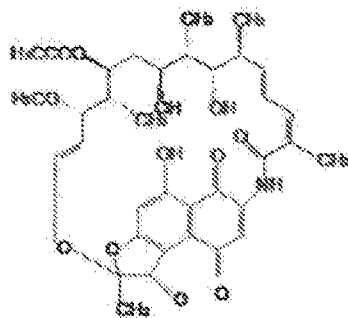
24-desmethylrifamycin SV



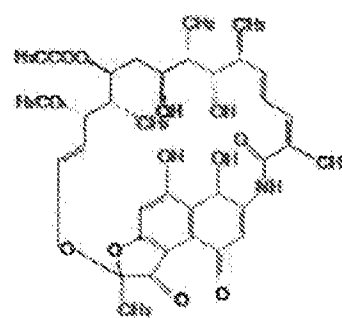
24-desmethylrifampicin

along with their pharmaceutical acceptable carriers.

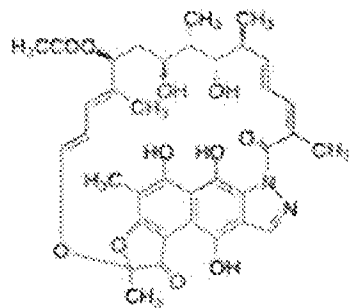
6. The pharmaceutical composition as claimed in claim 5, wherein the antibacterial compounds are useful against infection or a disease caused by bacteria.
7. The pharmaceutical composition as claimed in claims 5-6, wherein the antibacterial compounds are useful against infection or a disease caused by *Mycobacterium* species.
8. The pharmaceutical composition as claimed in claims 5-6, wherein the antibacterial compounds are useful against infection or a disease caused by *Mycobacterium tuberculosis* and MDR strains of *M. tuberculosis*.
9. A method of treatment comprising administering to a patient an antibacterial compounds and/or salts thereof having following structure:



24-desmethylrifamycin S

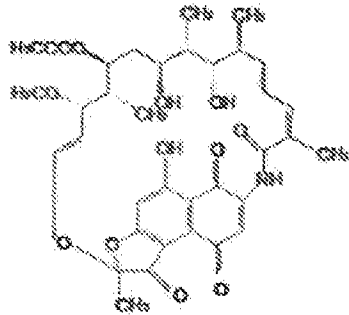


24-desmethylrifamycin SV

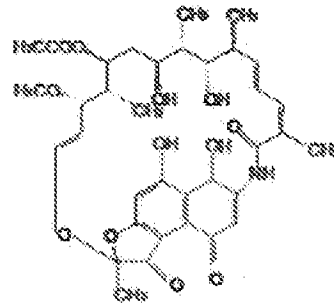


24-desmethylrifampicin

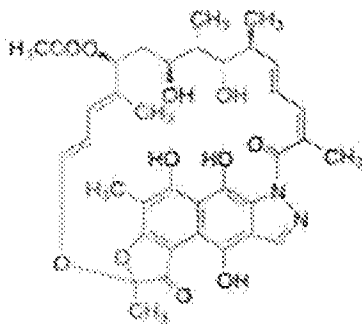
10. Use of antibacterial compounds or salts thereof having following chemical structure and/or salts thereof for treatment of disease or an infection.



24- desmethylrifamycin S

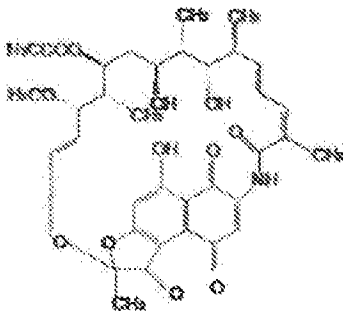


24- desmethylrifamycin SV

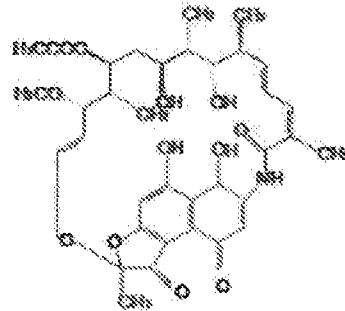


24-desmethylrifampicin

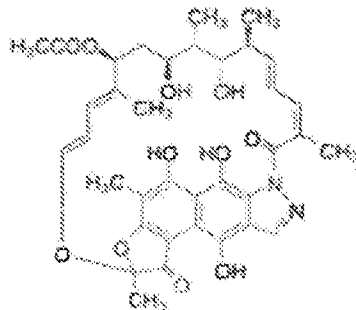
11. Use of antibacterial compounds and/or salts thereof having following chemical structure:



24- desmethylrifamycin S



24- desmethylrifamycin SV



24-desmethylrifampicin
for the preparation of a medicament.

12. Use of medicament as claimed in claim 10, for the treatment of a disease or an infection.
13. Use of medicament as claimed in claim 10, for the treatment of a diseases or an infection caused by bacteria.
14. Use of medicament as claimed in claim 10, for the treatment of a diseases or an infection caused by caused by *Mycobacterium* species.
15. Use of medicament as claimed in claim 10 or 13, for the treatment of a diseases or an infection caused by *Mycobacterium* species, *Mycobacterium tuberculosis* and MDR strains of *M. tuberculosis*.
16. Method of preparing a pharmaceutical composition as claimed in 5, said method comprising antibacterial compounds as claimed in claim 1 along with pharmaceutical acceptable carrier.
17. A method of preparing the 24-desmethyrifamycin S as claimed in claim 1, said method comprising the steps of:
 - (a) reacting 24-desmethyrifamycin B in presence of reagents selected from Copper chloride;
 - (b) carrying the reaction of step (a) overnight at room temperature; and
 - (c) obtaining 24-desmethyrifamycin S.
18. A method of preparing the 24-desmethyrifampicin as claimed in claim 1, said method comprising the steps of:
 - (a) reacting 24-desmethyrifamycin B in presence of Dimethylformamide (DMF) and acetic acid;
 - (b) adding paraformaldehyde and 1,3,5-trimethyl-hexhydro-1,3,5-triazine to the mixture of step (a);
 - (c) obtaining 3-methyl-1,3-oxazino (5,6-c)-24-demethylrifamycin'
 - (d) reacting the compound of step (c) with 1-amino-4-emthyl-piperazine; and
 - (e) obtaining 24-desmethyrifampicin.