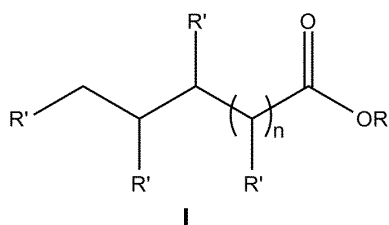


Claims

1. A compound of Formula I,



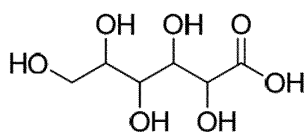
or a lactone thereof, wherein

R is selected from the group consisting of -H, -alkyl, -C(O)alkyl and phenyl;

R' is independently selected from the group consisting of -OR, -H and halogen;
and

n is an integer 1, 2 or 3,

for use in the treatment and/or prevention of a microbial infection, with the proviso that if the microbial infection is a fungal infection, then the compound of Formula I is not a compound of Formula (XIV)



or a lactone thereof.

XIV

2. The compound for use according to claim 1, wherein the microbial infection is an infection selected from the group consisting of a bacterial infection, a mixed fungal and bacterial infection, a fungal infection and a viral infection, or a combination thereof.
3. The compound for use according to any one of the preceding claims, wherein the microbial infection is an infection selected from the group consisting of a bacterial infection, a mixed fungal and bacterial infection and a viral infection, or a combination thereof.

4. The compound for use according to any one of the preceding claims, wherein the microbial infection is a bacterial infection.
5. The compound for use according to any one of the preceding claims, wherein the microbial infection is a urogenital infection.
6. The compound for use according to any one of the preceding claims, wherein the microbial infection is a vaginal infection.
7. The compound for use according to any one of the preceding claims, wherein the bacterial infection is bacterial vaginosis.
8. The compound for use according to any one of the preceding claims, wherein the infection is dermatitis and/or eczema.
9. The compound for use according to claim 8, wherein the dermatitis and/or eczema is Seborrhoeic dermatitis.
10. The compound for use according to any one of the preceding claims, wherein the infection is a secondary infection of dermatitis or eczema.
11. The compound for use according to any one of the preceding claims, wherein the infection is acne and/or acneiform conditions.
12. The compound for use according to claim 11, wherein acne or acneiform conditions is rosacea, perioral dermatitis or periorbital dermatitis.
13. The compound for use according to any one of the preceding claims, wherein the infection is furunculosis, carbunculosis or folliculitis.
14. The compound for use according to any one of the preceding claims, wherein the infection is impetigo or erysipelas.
15. The compound for use according to any one of the preceding claims, wherein the infection is cheilitis.
16. The compound for use according to claim 15, wherein the cheilitis is angular cheilitis.

17. The compound for use according to any one of the preceding claims, wherein the bacterial infection is periodontitis.
- 5 18. The compound for use according to any one of the preceding claims, wherein the infection is secondary to secondary to colonization of group A or B streptococci multiresistant bacteria.
19. The compound for use according to claim 18, wherein the colonization is oral, nasal or anogenital.
- 10 20. The compound for use according to any one of the preceding claims, wherein the infection is perianal streptococcal dermatitis.
21. The compound for use according to any one of the preceding claims, wherein the infection is intertriginous dermatitis or paronychia.
- 15 22. The compound for use according to any one of the preceding claims, wherein the bacterial infection is selected from the group consisting of caused by *Gardnerella vaginalis*-, *Chlamydia trachomatis*-, *Neisseria gonorrhoeae*-, *Treponema pallidum (syphilis)*-, *Atopobium vaginae*-, *Prevotella* spp-, *Mobiluncus* spp-, *Peptostreptococcus* spp-, *Poryphyromonas* spp-, *Mycoplasma hominis*-, *Bacteroides* spp-, *Ureaplasma urealyticum*-, *Streptococcus* spp-, *Enterobacteriaceae*-, *Enterococci*-, *Staphylococcus* spp-, *Propionibacterium*-, *Escherichia coli*-, *Klebsiella*-, *Staphylococcus epidermidis*-, *Staphylococcus aureus*-, *Pseudomonas aeruginosa*-, *Acetivobacter baumannii*-, *Streptococcus pyogenes*-, *Streptococcus agalactiae*-, *Beta-Hemolytic Streptococci Groups C and G*- and/or *Porphyromonas gingivalis* infection.
- 20 23. The compound for use according to any one of the preceding claims, wherein the infection is a *Saccharomyces cerevisiae* infection.
24. The compound for use according to any one of the preceding claims, wherein the fungal infection is a mycosis.
- 25 25. The compound for use according to any one of the preceding claims, wherein the mycosis is selected from the group consisting of Dermatophytosis, Candidiasis, Coccidioidomycosis, Histoplasmosis, Blastomycosis,

Paracoccidioidomycosis, Sporotrichosis, Chromomycosis and phaeomycotic abscess, Aspergillosis, Cryptococcosis, Zygomycosis, and Mycetoma.

- 5 26. The compound for use according to any one of the preceding claims, wherein the mycosis is Candidiasis.
- 10 27. The compound for use according to any one of the preceding claims, wherein the Candidiasis is selected from the group consisting of Candidiasis of vulva and vagina; Candidiasis of skin and nail; Candidiasis of urogenital sites; Candidiasis of gastrointestinal sites; Candidal stomatitis; Candida of the breast and nipple; Pulmonary candidiasis; Candidal meningitis; Candidal endocarditis; and Candidal sepsis.
- 15 28. The compound for use according to any one of the preceding claims, wherein the mycosis is Dermatophytosis.
- 20 29. The compound for use according to any one of the preceding claims, wherein the Dermatophytosis is selected from the group consisting of Tinea unguium; toenail onychomycosis; Tinea inguinalis; Tinea amiantacea; Tinea pedis; Tinea manuum; Tinea barbae, Tinea capitis; Tinea corporis; Tinea imbricata; and Tinea cruris.
- 25 30. The compound for use according to any one of the preceding claims, wherein the mycosis is Pityriasis versicolor.
- 30 31. The compound for use according to any one of the preceding claims, wherein the fungal infection is selected from the group consisting of *Candida albicans*-, *Candida krusei*-, *Candida glabrata*-, *Candida tropicalis*-, *Trichophyton verrucosum*-, *Trichophyton rubrum*-, *Trichophyton violaceum*-, *Trichophyton tonsurans*-, *Microsporum canis*-, *Malassezia* -and *Aspergillus* infection.
- 35 32. The compound for use according to any one of the preceding claims, wherein the infection is an infection in a mammal.
33. The compound for use according to any one of the preceding claims, wherein the mammal is a human.

34. The compound for use according to any one of the preceding claims, wherein the human is a woman.
- 5 35. The compound for use according to any one of the preceding claims, wherein the woman is pregnant.
36. The compound for use according to any one of the preceding claims, wherein the infection is an infection of the face, scalp, torso and/or groin.
- 10 37. The compound for use according to any one of the preceding claims, the infection is an infection of infected skin wounds.
38. The compound for use according to any one of the preceding claims, wherein the infection is an infection of the feet.
- 15 39. The compound for use according to any one of the preceding claims, wherein the infection of feet is secondary to ingrown toenails or blisters of the feet.
- 20 40. The compound for use according to claim 39, wherein the infection is associated with diabetic foot wounds.
41. The compound for use according to any one of the preceding claims, wherein the infection is a secondary infection arising after animal bite.
- 25 42. The compound for use according to any one of the preceding claims, wherein the infection is a secondary infection arising after insect bites, mosquito bites, tic bites, erythema migrans or lymphadenosis benigna cutis.
- 30 43. The compound for use according to any one of the preceding claims, wherein the infection is a secondary infection of herpes simplex.
44. The compound for use according to claim 43, wherein the secondary infection of herpes simplex is oral or genital.
- 35 45. The compound for use according to any one of the preceding claims, wherein the infection is a secondary infection of herpes zoster or varicella zoster.
46. The compound for use according to any one of the preceding claims, wherein the infection is a secondary infection of injury to the skin.

47. The compound for use according to claim 46, wherein injury to the skin is burns or cuts.
- 5 48. The compound for use according to any one of the preceding claims, wherein the infection is blepharitis.
49. The compound for use according to any one of the preceding claims, wherein the infection is conjunctivitis.
- 10 50. The compound for use according to any one of the preceding claims, wherein the infection is a vaginitis or a cervicitis.
- 15 51. The compound for use according to any one of the preceding claims, wherein the infection is trichomoniasis.
52. The compound for use according to any one of the preceding claims, wherein the infection is a *Trichomonas vaginalis* infection.
- 20 53. The compound for use according to any one of the preceding claims, wherein the microbial infection is a viral infection.
54. The compound for use according to any one of the preceding claims, wherein the viral infection is HIV.
- 25 55. The compound for use according to any one of the preceding claims, wherein the compound of Formula I is a lactone thereof.
- 30 56. The compound for use according to any one of the preceding claims, wherein alkyl is a C₁ to C₂₀ aliphatic chain wherein one or more hydrogens is optionally substituted for –OH, =O, or phenyl, and wherein one or more of the CH₂-groups of the aliphatic chain is optionally substituted for O, S, or NH.
- 35 57. The compound for use according to any one of the preceding claims, wherein at least one of R' is –OR.
58. The compound for use according to any one of the preceding claims, wherein at least two, such as at least three, such as at least four, such as at least five, such as at least six of R' is OR.

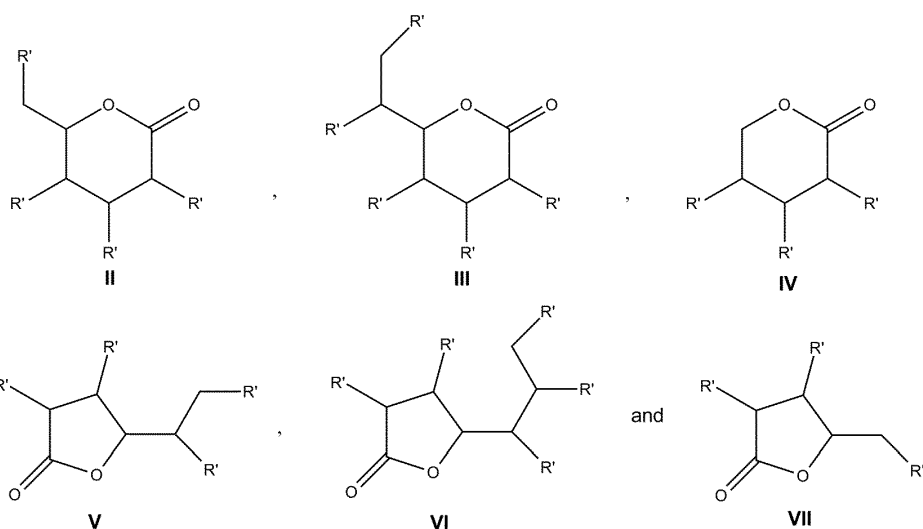
59. The compound for use according to any one of the preceding claims, wherein R' is -OR.

5 60. The compound for use according to any one of the preceding claims, wherein at least one of R' is -OH.

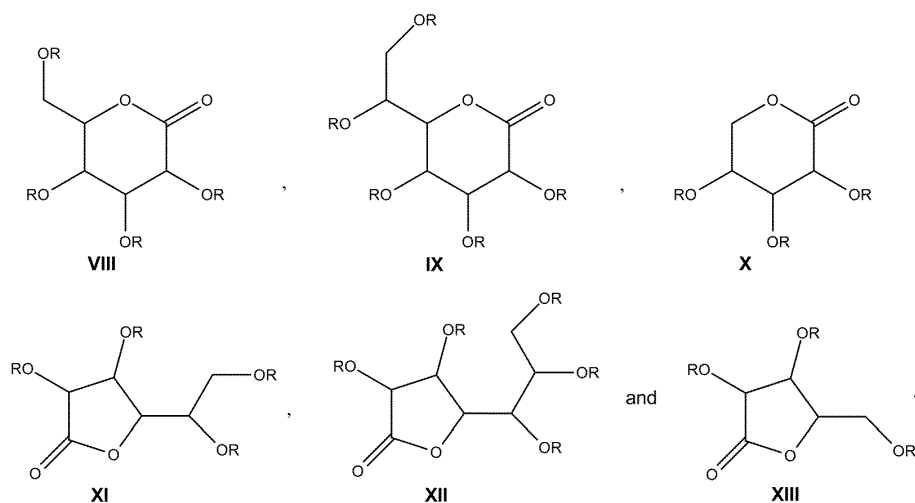
61. The compound for use according to any one of the preceding claims, wherein no more than one of R' is -H, such as no more than two of R' is -H.

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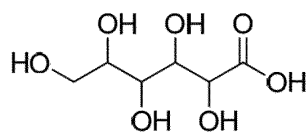
62. The compound for use according to any one of the preceding claims, wherein the compound of Formula I is selected from the group consisting of



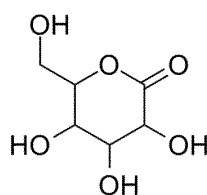
15 63. The compound for use according to any one of the preceding claims, wherein the compound of Formula I is selected from the group consisting of



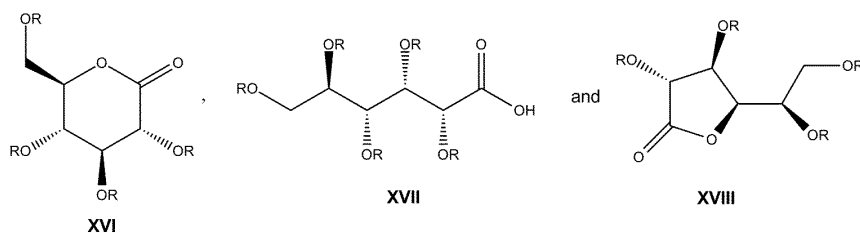
64. The compound for use according to any one of the preceding claims, wherein
 alkyl is a C₁ to C₂₀ aliphatic chain, such as a C₁ to C₁₅ aliphatic chain, C₁ to C₁₀
 5 aliphatic chain, C₁ to C₅ aliphatic chain, such as a C₅ to C₂₀ aliphatic chain, such
 as a C₅ to C₁₅ aliphatic chain, such as a C₅ to C₁₀ aliphatic chain, such as a C₁₀
 to C₂₀ aliphatic chain, such as a C₁₀ to C₁₅ aliphatic chain.
65. The compound for use according to any one of the preceding claims, wherein
 10 alkyl is selected from the group consisting of methyl, ethyl, and propyl.
66. The compound for use according to any one of the preceding claims, wherein -
 OR is acetate or lactate.
67. The compound for use according to any one of the preceding claims, wherein R
 15 is -H.
68. The compound for use according to any one of the preceding claims, wherein n
 is 2.
69. The compound for use according to any one of the preceding claims, wherein
 20 the compound of Formula I is

**XIV**

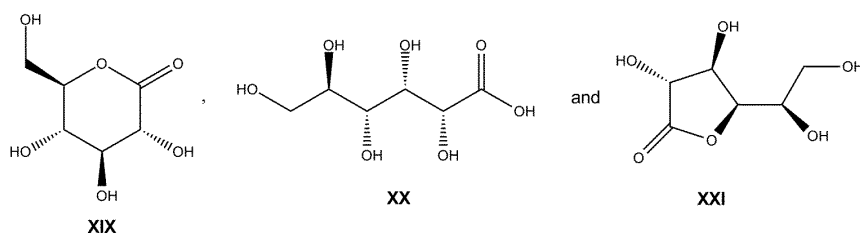
70. The compound for use according to any one of the preceding claims, wherein
5 the compound of Formula I is a compound of Formula XV,

**XV**

71. The compound for use according to any one of the preceding claims, wherein
10 the compound of Formula I is selected from the group consisting of

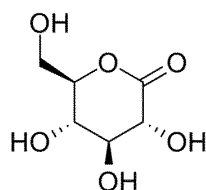


72. The compound for use according to any one of the preceding claims, wherein
the compound of Formula I is selected from the group consisting of



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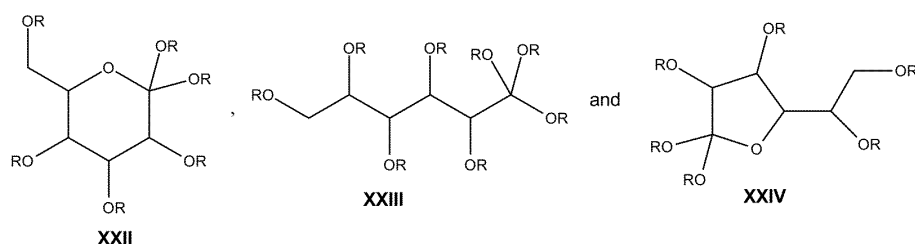
73. The compound for use according to any one of the preceding claims, wherein
the compound of Formula I is glucono- δ -lactone (Formula XIX),



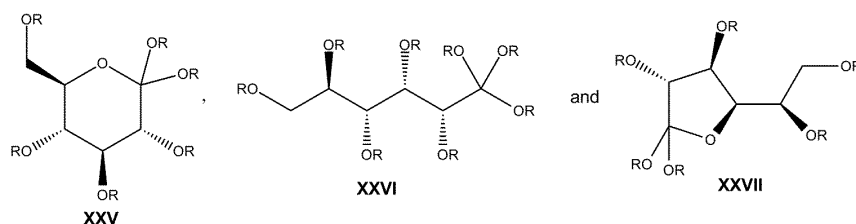
XIX

74. The compound for use according to any one of the preceding claims, wherein the compound of Formula I is selected from the group consisting of

5



75. The compound for use according to any one of the preceding claims, wherein the compound of Formula I is selected from the group consisting of



76. The compound for use according to any one of the preceding claims, wherein the compound of Formula I is oligomerized to form an oligomer.

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77. The compound for use according to any one of the preceding claims, wherein the compound of Formula I is polymerized to form a polymer.

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78. The compound for use according to any one of the preceding claims, wherein the oligomer or polymer comprises one compound of Formula I.

79. The compound for use according to any one of the preceding claims, wherein the oligomer or polymer is a mixed oligomer/polymer.

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80. The compound for use according to any one of the preceding claims, wherein the oligomer or polymer further comprises lactic acid.

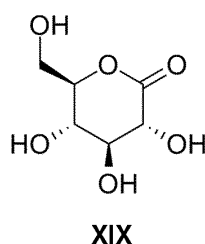
81. The compound for use according to any one of the preceding claims, wherein the oligomer comprises at least two different compounds of Formula I.

5 82. The compound for use according to any one of the preceding claims, wherein two compounds of Formula I are linked to form a dimer.

83. The compound for use according to any one of the preceding claims, wherein the dimer comprises two compounds of Formula XIV.

10 84. The compound for use according to any one of the preceding claims, wherein the dimer comprises two different compounds of Formula I.

15 85. The compound for use according to any one of the preceding claims, wherein the compound is not glucono- δ -lactone (Formula XIX),

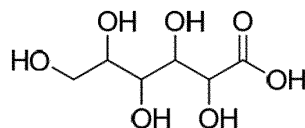


20 86. The compound for use according to any one of the preceding claims, with the proviso that if the compound of Formula I is the compound of Formula XIX, then the microbial infection is not a fungal infection.

25 87. The compound for use according to any one of the preceding claims, with the proviso that if the compound of Formula I is the compound of Formula XIX, then the microbial infection is not a urogenital fungal infection.

30 88. The compound for use according to any one of the preceding claims, with the proviso that if the compound of Formula I is the compound of Formula XIX, then the microbial infection is not vulvovaginal candidosis.

89. The compound for use according to any one of the preceding claims, with the proviso that if the microbial infection is a urogenital fungal infection, then the compound of Formula I is not a compound of Formula XIV,



XIV

5

90. The compound for use according to any one of the preceding claims, wherein the compound is in a pharmaceutical composition.

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91. The compound for use according to claim 90, wherein the pharmaceutical composition is formulated as a tablet, orally disintegrating tablet, lozenge, gum, chewing gum, cream, lotion, gel, emulsion, solution, foam, ointment, spray, suspension mouthwash, nail polish, dermal patch or shampoo.

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92. The compound for use according to any one of claims 90 to 91, wherein the pharmaceutical composition comprises at least 5 wt %, such as at least 10 wt %, such as at least 15 wt %, such as at least 20 wt %, such as at least 25 wt %, such as at least 30 wt %, such as at least 40 wt %, such as at least 50 wt %, such as at least 60 wt % of the compound of Formula (I).

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93. The compound for use according to any one of claims 90 to 92, wherein the pharmaceutical composition comprises no more than 99 wt %, such as no more than 95 wt %, such as no more than 90 wt %, such as no more than 85 wt %, such as no more than 80 wt %, such as no more than 75 wt % of the compound of Formula (I).

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94. The compound for use according to any one of claims 90 to 93, wherein the pharmaceutical composition comprises 5 to 99 wt %, such as in 10 to 95 wt %, such as in 15 to 95 wt %, such as in 20 to 90 wt %, such as in 40 to 95 wt %, such as in 40 to 95 wt %, such as in 50 to 95 wt % of the compound of Formula (I).

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95. The compound for use according to any one of claims 90 to 94, wherein the pharmaceutical composition comprises no more than 10 wt % water, such as no more than 5 wt % water.
- 5 96. The compound according to any one of claims 90 to 95, wherein the pharmaceutical composition further comprises one or more antifungal agents.
97. The compound for use according to any one of 90 to 96, wherein the antifungal agent is selected from the group consisting of miconazole, terconazole, isoconazole, fenticonazole, fluconazole, nystatin, ketoconazole, clotrimazole, butoconazole, econazole, tioconazole, itraconazole, 5-fluoracil, and metronidazole.
- 10 98. The compound for use according to any one of claims 90 to 97, wherein the pharmaceutical composition further comprises one or more antibacterial agents.
- 15 99. The compound for use according to any one of claims 90 to 98, wherein the antibacterial agent is selected from the group consisting of clindamycin, tetracycline, amoxicillin, ampicillin, erythromycin, doxycycline, lumefloxacin, norfloxacin, afloxam, ciproflaxin, azitromycin, and ceftioxine.
- 20 100. The compound for use according to any one of claims 90 to 99, wherein the pharmaceutical composition further comprises a steroid.
- 25 101. The compound for use according to any one of claims 90 to 100, wherein the pharmaceutical composition further comprises cortisone.
102. The compound for use according to any one of claims 90 to 101, wherein the pharmaceutical composition is formulated as a tampon, vagitorium, vaginal aerosol, vaginal cup, vaginal gel, vaginal insert, vaginal patch, vaginal ring, vaginal sponge, vaginal suppository, vaginal cream, vaginal emulsion, vaginal foam, vaginal lotion, vaginal ointment, vaginal powder, vaginal shampoo, vaginal solution, vaginal spray, vaginal suspension, vaginal tablet, vaginal rod, vaginal disc, vaginal device, and any combination thereof, or wherein the composition is present on a sanitary article, such as a tampon, a sanitary napkin, an incontinence pad or diaper, or a panty liner.
- 30 35

103. The compound for use according to any one of claims 90 to 102, wherein, the pharmaceutical composition is adapted for administration for at least once daily during at least a week.
- 5 104. The compound for use according to any one of claims 90 to 103, wherein the pharmaceutical composition is formulated to release the compound according to Formula I over an extended period of time, such as over at least 4 hours, such as over at least 6 hours, such as over at least 24 hours after administration.
- 10 105. The compound for use according to any one of claims 90 to 104, wherein the pharmaceutical composition is adapted for administration at least once daily, such as at least twice daily, such as at least three times daily.
- 15 106. The compound for use according to any one of claims 90 to 105, wherein the pharmaceutical composition is adapted for administration no more than every second day, such as no more than every third day, such as no more than once a week.
- 20 107. The compound for use according to any one of claims 90 to 106, wherein the pharmaceutical composition is adapted for administration for no more than six days.
- 25 108. The compound for use according to any one of claims 90 to 107, wherein the pharmaceutical composition is adapted for administration during at least one week, such as during at least two weeks, such as during at least three weeks, such as during at least four weeks.
- 30 109. A method for prevention and/or reduction of biofilm formation, wherein the method comprises administration of a compound of Formula I or a composition comprising a compound of Formula I.
110. The method according to claim 109, wherein the biofilm is a biofilm in or on a mammal.
- 35 111. The method according to claim 109, wherein the biofilm is a biofilm of an implant or prosthesis.

112. The method according to claim 111, wherein the implant or prosthesis is selected from the group consisting of catheter, peripheral venous catheter, central venous catheters, heart valves, ventricular assist devices, coronary stents, neurosurgical ventricular shunts, implantable neurological stimulators, arthro-protheses, fracture-fixation devices, inflatable penile implants, breast implants, cochlear implants, intra-ocular lenses, dental implants, implant for laryngectomy, implant for tracheostomy, voice prosthesis, implant for jaw mobility, implant for tympanostomy, and teeth implants.
113. A compound of Formula I for use in preventing preterm birth.
114. The compound for use according to claim 113, wherein the compound is administered vaginally.
115. The compound for use according to any one of claims 113 to 114, wherein the compound is formulated as a tampon, vagitorium, vaginal aerosol, vaginal cup, vaginal gel, vaginal insert, vaginal patch, vaginal ring, vaginal sponge, vaginal suppository, vaginal cream, vaginal emulsion, vaginal foam, vaginal lotion, vaginal ointment, vaginal powder, vaginal shampoo, vaginal solution, vaginal spray, vaginal suspension, vaginal tablet, vaginal rod, vaginal disc, vaginal device, and any combination thereof, or wherein the compound is present on a sanitary article, such as a tampon, a sanitary napkin, an incontinence pad or diaper, or a panty liner.
116. A method of treatment of one or more microbial infections comprising co-administration of a compound of Formula I and an antimicrobial agent to an individual in need thereof.
117. The method according to claim 116, wherein the antimicrobial agent is an antifungal agent or an antibacterial agent.
118. A method of treatment of one or more microbial infections comprising co-administration of a compound of Formula I as defined in any one of the preceding claims to an individual in need thereof.

119. Use of a compound of Formula I as defined in any one of the preceding claims for the manufacture of a medicament for the treatment and/or prevention of a microbial infection.

5 120. Use of a compound of Formula I as defined in any one of the preceding claims for the manufacture of a medicament for the prevention and/or reduction of biofilm formation.