HSV-2 while simultaneously preventing unwanted pregnancies. Such compositions should be useful for vaginal administration in effective doses that do not inactivate *Lactobacillus sp.* or cause overt vaginal irritation or other toxicity.

SUMMARY ~~OF INVENTION~~

[0012] The embodiments disclosed below satisfy this need. The following simplified summary is provided in order to establish a basic understanding of some ~~aspects~~ embodiments of the claimed subject matter. This summary is not an extensive overview, and is not intended to identify key/critical elements or to delineate the scope of the claimed subject matter.

[0013] In an exemplary embodiment, the present disclosure is directed to contraceptive microbicide and antiviral compositions and methods of use thereof, such compositions including: (a) an effective amount of a bioadhesive (wherein the bioadhesive includes (i) a matrix-forming compound; (ii) a bioadhesive compound that may be the same or different from the matrix-forming compound; and (iii) lactic acid); (b) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid, or a physiologically functional derivative thereof; and (c) a pharmaceutically acceptable carrier. In various embodiments, such compositions generally have a pH of 5.0 or below, and in further embodiments, such compositions are not in a matrix state until they come in contact with ejaculate. In other embodiments, the lactic acid is L-lactic acid.

[0014] In additional embodiments of the present disclosure, the compositions may also include a humectant and/or a preservative.

[0015] In another embodiment, the present disclosure is directed to contraceptive microbicide and antiviral compositions and methods of use thereof, such compositions including: (a) a matrix-forming compound; (b) a bioadhesive compound that may be the same or different from the matrix-forming compound; (c) lactic acid; (d) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and (e) a pharmaceutically acceptable carrier. In various embodiments, such compositions generally have a pH of 5.0 or below, and in further embodiments, the compositions have buffering capabilities such that the pH is maintained below 5.0 in the presence of a normal amount of ~~ejaculate~~ejaculate.

In an aspect, there is provided a contraceptive microbicide composition comprising: about 1-10% of a matrix forming compound, about 1-10% of a bioadhesive compound, and about 1-10% L-lactic acid, wherein the composition has a nonmatrix state when not in contact with ejaculate, and a matrix state when in contact with ejaculate, wherein the composition is essentially free of D- lactic acid.

In another aspect, there is provided the use of a composition as disclosed herein in the manufacture of a medicament for contraception, wherein the composition in the matrix state entraps and inactivates spermatozoa.

In another aspect, there is provided the use of a composition as disclosed herein in the manufacture of a medicament for reducing risk of spreading sexually transmitted disease, wherein the composition in the matrix state entraps and inactivates sexually transmitted disease-causing microbes.

In another aspect, there is provided the use of a composition as disclosed herein in the manufacture of a medicament for contraception and reducing risk of spreading sexually transmitted disease, wherein the composition in the matrix state entraps and inactivates spermatozoa and sexually transmitted disease-causing microbes.

[0016] Other ~~aspects~~ embodiments of the disclosure are found throughout the specification.

CLAIMS

What is claimed is:

1. A contraceptive microbicide composition comprising: about 1-10% of a matrix forming compound, about 1-10% of a bioadhesive compound, and about 1-10% L-lactic acid, wherein the composition has a nonmatrix state when not in contact with ejaculate, and a matrix state when in contact with ejaculate, wherein the composition is essentially free of D-lactic acid.

2. The composition of claim 1, wherein the composition comprises about 3-5% of the matrix forming compound, about 2.5-6% of the bioadhesive compound, and about 1-7% L- lactic acid.

3. The composition of claim 1, wherein the composition comprises about 3.5-4.5% of the matrix forming compound, about 2.5-3.5% of the bioadhesive, and about 1-4% of L-lactic acid.

4. The composition of any one of claims 1-3, wherein the matrix-forming compound is selected from the group consisting of: alginic acid, chitosan, gellan gum, and poloxamer.

5. The composition of claim 4, wherein the matrix-forming compound is alginic acid.

6. The composition of any one of claims 1-5, wherein the bioadhesive compound is selected from the group consisting of: xanthan gum, alginic acid, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, sodium carboxymethyl cellulose, chitosan, polycarbophil, and carbopol.

7. The composition of claim 6, wherein the bioadhesive compound is xanthan gum.

8. The composition of any one of claims 1-7, wherein the composition further comprises an aqueous-based pharmaceutically acceptable carrier.

9. The composition of any one of claims 1-8, wherein the composition has a pH of less than about 5.

10. The composition of any one of claims 1-8, wherein the composition has a pH of about 3.5 to about 4.5.

11. The composition of claim 9 or 10, wherein the composition further comprises a buffering agent selected from the group consisting of: citric acid, potassium acid tartrate, benzoic acid, alginic acid, sorbic acid, fumaric acid, ascorbic acid, stearic acid, oleic acid, tartaric acid, edetic acid ethylenediaminetetracetic acid, acetic acid, malic acid, and combinations thereof.

12. Use of a composition of any one of claims 1-11 in the manufacture of a medicament for contraception, wherein the composition in the matrix state entraps and inactivates spermatozoa.

13. Use of a composition of any one of claims 1-11 in the manufacture of a medicament for reducing risk of spreading sexually transmitted disease, wherein the composition in the matrix state entraps and inactivates sexually transmitted disease-causing microbes.

14. Use of a composition of any one of claims 1-11 in the manufacture of a medicament for contraception and reducing risk of spreading sexually transmitted disease, wherein the composition in the matrix state entraps and inactivates spermatozoa and sexually transmitted disease-causing microbes.

15. The use of claim 13 or 14, wherein the sexually transmitted microbes are associated with at least one of chlamydia, gonorrhea, trichomoniasis, and bacterial vaginosis.

AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims – Marked Claims:

What is claimed is:

1. (Currently Amended) A contraceptive microbicide and antiviral composition comprising:

(a) a contraceptive microbicide comprising:

(i) a matrix-forming compound;

(ii) a bioadhesive compound that may be the same or different from the *matrix-forming compound; and*

(iii) lactic acid;

(b) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(c) [[a]] an aqueous-based pharmaceutically acceptable carrier;

wherein the composition has a pH of 4 or below; ~~and~~

wherein the composition has buffering capabilities and maintains a pH below neutral when contacted with ejaculate;

wherein the the composition is in a nonmatrix state until it comes in contact with ejaculate; and

wherein matrix formation enhances delivery of the 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof by facilitating prolonged release.

2. (Canceled)

3. (Original) The composition of claim 1, wherein the composition further comprises a humectant.

4. (Original) The composition of claim 1, wherein the composition further comprises a preservative.

5. (Original) The composition of claim 1, wherein the lactic acid is L-lactic acid.

6. (Currently Amended) A composition comprising:

(a) a matrix-forming compound;

(b) a bioadhesive compound that may be the same or different from the matrix-forming compound;

(c) lactic acid;

(d) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(e) [[a]] an aqueous-based pharmaceutically acceptable carrier;

wherein the composition has a pH of 5.0 or below; ~~and~~

wherein the composition has buffering capabilities and maintains a pH below 5.0 in the presence of normal amounts of ejaculate;

wherein the composition is in a nonmatrix state until it comes in contact with ejaculate; and

wherein matrix formation enhances delivery of the 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof by facilitating prolonged release.

7. (Currently Amended) A method for reducing the risk of spreading a sexually transmitted disease and preventing ~~contraception~~ conception comprising administering an effective amount of the composition according to claim 1.

8. (New) The composition of claim 6, wherein the lactic acid is L-lactic acid.

9. (New) The composition of claim 6, wherein the matrix forming compound is selected from the group consisting of: alginic acid, chitosan, gellan gum, and poloxamer.

10. (New) The composition of claim 9, wherein the matrix forming compound is alginic acid.

11. (New) The composition of claim 6, wherein the bioadhesive compound is selected from the group consisting of: xanthan gum, alginic acid, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, sodium carboxymethyl cellulose, chitosan, polycarbophil, and carbopol.

12. (New) The composition of claim 11, wherein the bioadhesive compound is xanthan gum.

13. (New) A composition comprising:

(a) a matrix-forming compound selected from the group consisting of: alginic acid, chitosan, gellan gum, and poloxamer;

(b) a bioadhesive compound selected from the group consisting of: xanthan gum, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, sodium carboxymethyl cellulose, chitosan, polycarbophil, and carbopol;

(c) lactic acid;

(d) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(e) an aqueous based pharmaceutically acceptable carrier;

wherein the composition has a pH of 5.0 or below;

wherein the composition maintains a pH of 5.0 or below in the presence of normal amounts of ejaculate;

wherein the composition is in a nonmatrix state until it comes in contact with ejaculate; and

wherein matrix formation enhances delivery of the 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof by facilitating prolonged release.

14. (New) The composition of claim 13, wherein the matrix-forming compound is alginic acid and the bioadhseive compound is xanthan gum.

15. (New) The composition of claim 13, wherein the lactic acid is L-lactic acid.

16. (New) A composition comprising:

(a) a matrix-forming compound consisting of alginic acid;

(b) a bioadhesive compound consisting of xanthan gum;

(c) L-lactic acid;

(d) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(e) water.

17. (New) The composition of claim 16, further comprising a humectant selected from the group consisting of: glycerol, polyethylene glycol, propylene glycol, sorbitol, and tiracetin.

18. (New) The composition of claim 16, further comprising a preservative selected from the group consisting of: benzoic acid, sodium benzoate, methylparaben, ethylparaben, butylparaben, propylparaben, benzyalkonium chloride, phenylmercuric nitrate, and chlorhexidine.

19. (New) A composition comprising:

(a) alginic acid;

(b) xanthan gum;

(c) L-lactic acid;

(d) glycerol;

(e) benzoic acid;

(f) citric acid;

(g) potassium bitartrate;

(h) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(i) water.

20. (New) The composition of claim 1, wherein the the lactic acid is L-lactic acid and wherein the matrix forming compound and the bioadhseive compound is alginic acid.

AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims – Marked Claims:

What is claimed is:

1. (Currently Amended) A contraceptive microbicide and antiviral composition comprising:

(a) a contraceptive microbicide comprising:

(i) a matrix-forming compound;

(ii) a bioadhesive compound that may be the same or different from the matrix-forming compound; and

(iii) lactic acid;

(b) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(c) [[a]] an aqueous-based pharmaceutically acceptable carrier;

wherein the composition has a pH of 4 or below; ~~and~~

wherein the composition has buffering capabilities and maintains a pH below neutral when contacted with ejaculate;

wherein the the composition is in a nonmatrix state until it comes in contact with ejaculate; and

wherein matrix formation enhances delivery of the 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof by facilitating prolonged release.

2. (Canceled)

3. (Original) The composition of claim 1, wherein the composition further comprises a humectant.

4. (Original) The composition of claim 1, wherein the composition further comprises a preservative.

5. (Original) The composition of claim 1, wherein the lactic acid is L-lactic acid.

6. (Currently Amended) A composition comprising:

(a) a matrix-forming compound;

(b) a bioadhesive compound that may be the same or different from the matrix-forming compound;

(c) lactic acid;

(d) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(e) [[a]] an aqueous-based pharmaceutically acceptable carrier;

wherein the composition has a pH of 5.0 or below; ~~and~~

wherein the composition has buffering capabilities and maintains a pH below 5.0 in the presence of normal amounts of ejaculate;

wherein the composition is in a nonmatrix state until it comes in contact with ejaculate; and

wherein matrix formation enhances delivery of the 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof by facilitating prolonged release.

7. (Currently Amended) A method for reducing the risk of spreading a sexually transmitted disease and preventing ~~contraception~~ conception comprising administering an effective amount of the composition according to claim 1.

8. (New) The composition of claim 6, wherein the lactic acid is L-lactic acid.

9. (New) The composition of claim 6, wherein the matrix forming compound is selected from the group consisting of: alginic acid, chitosan, gellan gum, and poloxamer.

10. (New) The composition of claim 9, wherein the matrix forming compound is alginic acid.

11. (New) The composition of claim 6, wherein the bioadhesive compound is selected from the group consisting of: xanthan gum, alginic acid, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, sodium carboxymethyl cellulose, chitosan, polycarbophil, and carbopol.

12. (New) The composition of claim 11, wherein the bioadhesive compound is xanthan gum.

13. (New) A composition comprising:

(a) a matrix-forming compound selected from the group consisting of: alginic acid, chitosan, gellan gum, and poloxamer;

(b) a bioadhesive compound selected from the group consisting of: xanthan gum, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, sodium carboxymethyl cellulose, chitosan, polycarbophil, and carbopol;

(c) lactic acid;

(d) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(e) an aqueous based pharmaceutically acceptable carrier;

wherein the composition has a pH of 5.0 or below;

wherein the composition maintains a pH of 5.0 or below in the presence of normal amounts of ejaculate;

wherein the composition is in a nonmatrix state until it comes in contact with ejaculate; and

wherein matrix formation enhances delivery of the 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof by facilitating prolonged release.

14. (New) The composition of claim 13, wherein the matrix-forming compound is alginic acid and the bioadhseive compound is xanthan gum.

15. (New) The composition of claim 13, wherein the lactic acid is L-lactic acid.

16. (New) A composition comprising:

(a) a matrix-forming compound consisting of alginic acid;

(b) a bioadhesive compound consisting of xanthan gum;

(c) L-lactic acid;

(d) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(e) water.

17. (New) The composition of claim 16, further comprising a humectant selected from the group consisting of: glycerol, polyethylene glycol, propylene glycol, sorbitol, and tiracetin.

18. (New) The composition of claim 16, further comprising a preservative selected from the group consisting of: benzoic acid, sodium benzoate, methylparaben, ethylparaben, butylparaben, propylparaben, benzyalkonium chloride, phenylmercuric nitrate, and chlorhexidine.

19. (New) A composition comprising:

(a) alginic acid;

(b) xanthan gum;

(c) L-lactic acid;

(d) glycerol;

(e) benzoic acid;

(f) citric acid;

(g) potassium bitartrate;

(h) 1-(6-aminopurin-9-yl)propan-2-yloxymethylphosphonic acid or a physiologically functional derivative thereof; and

(i) water.

20. (New) The composition of claim 1, wherein the the lactic acid is L-lactic acid and wherein the matrix forming compound and the bioadhseive compound is alginic acid.