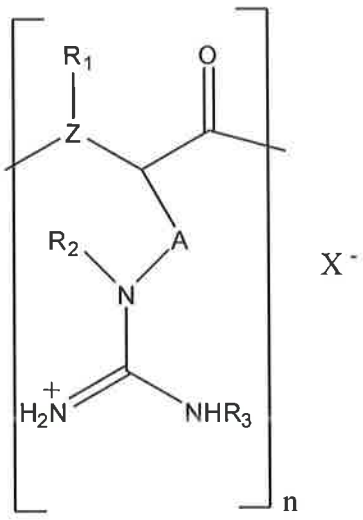
CLAIMS

WHAT IS CLAIMED IS:

1. A method of targeting an active ingredient to oral epithelial tissues comprising delivering into an oral cavity a therapeutically effective amount of an oral composition, the oral composition comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group

consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

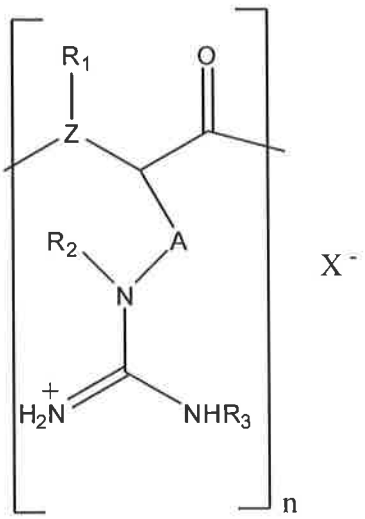
n denotes an integer between 2 and 5,000; and

b. an orally acceptable carrier.

2. A method of depositing a bacteriostatic or bacteriocidal material on oral surfaces, that persists long after brushing or rinsing, comprising delivering into an oral cavity a therapeutically effective amount of the oral composition of an oral composition, the oral composition comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

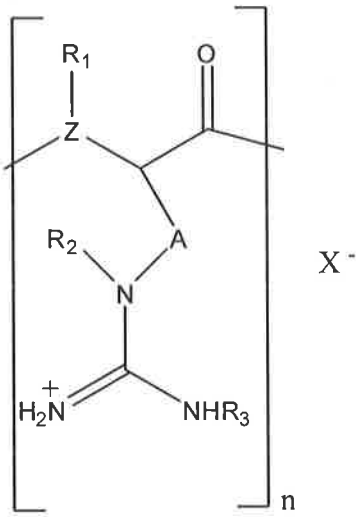
n denotes an integer between 2 and 5,000; and

b. an orally acceptable carrier.

3. Use of an oral composition, comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

n denotes an integer between 2 and 5,000; and

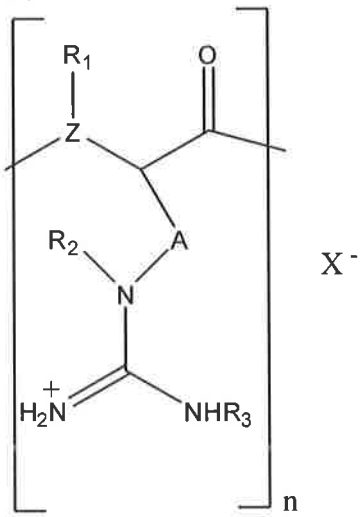
b. an orally acceptable carrier,

in the manufacture of a medicament for retarding or preventing dental caries.

4. Use of an oral composition, comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group

consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

n denotes an integer between 2 and 5,000; and

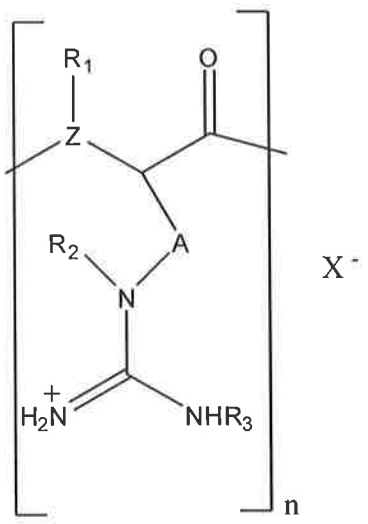
b. an orally acceptable carrier,

in the manufacture of a medicament for treating or preventing dentinal sensitivity.

5. A method of plugging dentinal tubules comprising delivering into an oral cavity a therapeutically effective amount of an oral composition, the oral composition comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

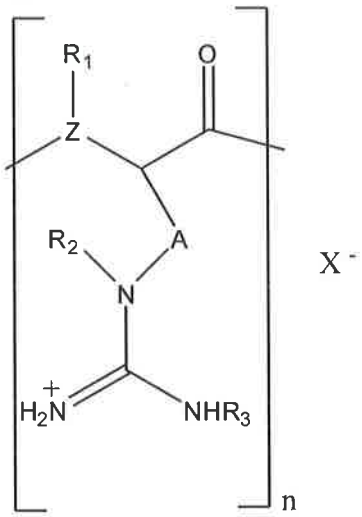
n denotes an integer between 2 and 5,000; and

b. an orally acceptable carrier.

6. Use of an oral composition, comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

n denotes an integer between 2 and 5,000; and

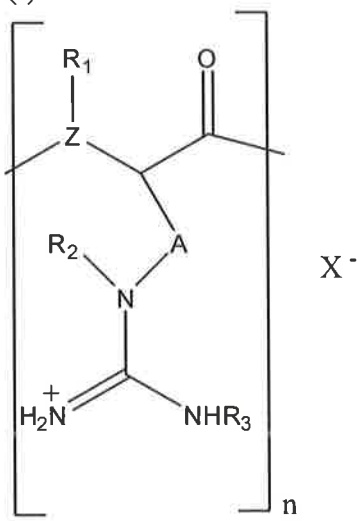
b. an orally acceptable carrier,

in the manufacture of a medicament for treating a carious lesion.

7. Use of an oral composition, comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group

consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

n denotes an integer between 2 and 5,000; and

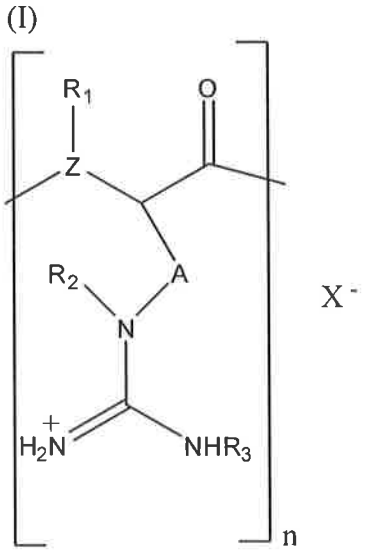
b. an orally acceptable carrier,

in the manufacture of a medicament for calcifying an exposed tooth pulp.

8. Use of an oral composition, comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

n denotes an integer between 2 and 5,000; and

b. an orally acceptable carrier,

in the manufacture of a medicament for calcifying the base or all of a pit or fissure in a tooth.

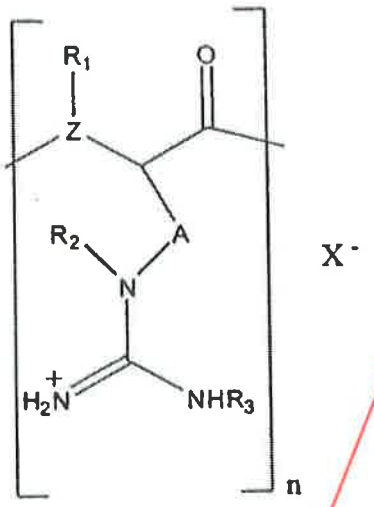
CLAIMS

WHAT IS CLAIMED IS:

1. An oral composition, comprising:

a. a polyguanidine compound of Formula (I):

(I)



wherein:

X denotes an orally acceptable counterion;

Z is CH or N;

R_1, R_2, and R_3 are each independently a hydrogen or a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical;

A denotes a linear or branched, saturated or unsaturated, optionally hydroxylated C_1 to C_{16} alkyl radical; or A denotes a linear or branched, saturated or unsaturated, C_1 to C_{16} alkylene radical optionally substituted with at least one radical selected from the group consisting of: a hydroxyl, carboxyl, or carboxylate radical or a halogen; the alkylene radical optionally containing at least one function group selected from the group consisting of: an amine, ether, thioether, ester, amide, carbamate, urea, C_6 aryl

or C_3 to C_8 cyclanyl ring optionally substituted with a C_1-C_8 alkyl, hydroxyl or halogen; or A denotes one or more C_6 or C_7 aryl or C_5 to C_7 cyclanyl rings unsubstituted or substituted with a C_1-C_8 alkyl, a hydroxyl group or a halogen; or A denotes a C_{10} to C_{14} polyaryl or C_6 to C_{10} polycyclanyl chain, which may be interrupted with at least one function group selected from the group consisting of: a C_1 to C_8 alkylene radical, amine, amide, ether, thioether, hydrogen atom, carbamate or urea;

n denotes an integer between 2 and 5,000; and

b. an orally acceptable carrier.

2. The composition of claim **1**, wherein said counterion is bicarbonate (HCO_3^-).

3. The composition of claim **1**, further comprising a calcium salt.

4. The composition of claim **3**, wherein said calcium salt is calcium carbonate, monocalcium phosphate, dicalcium phosphate, tricalcium phosphate, calcium fluoride, clacium monofluorophosphate, sodium calcium pyrophosphate, calcium laurate, calcium palmitate, and combinations thereof.

5. The composition of claim **4**, wherein said calcium salt is calcium carbonate.

6. The composition of claim **1**, further comprising a phosphorous source.

7. The composition of claim **6**, wherein said phosphorous source is a phytate or phytic acid selected from the group consisting of: inositol triphosphate (IP3), inositol tetraphosphate (IP4), inositol pentaphosphate (IP5), inositol hexakisphosphate (IP6), and combinations thereof.

8. The composition of claim **1**, wherein the oral composition is a dental care product, a food product, and chewing gum.

9. A method for preparing the oral composition of claim **1**, comprising:
   a. selecting at least one primary amine containing polymer;

b. converting the primary amine moieties on said polymer to guanidinium groups; and

c. titrating with a counterion solution in an amount and under conditions to form a polyguanidine counterion complex.

10. The method of claim **9**, wherein said primary amine containing polymer is chitosan, polyallylamine, polyvinylamine, polyaminoalkyl acrylamides, polyvinylimidazole, polyaminoalkyl(meth)acrylates, polyethyleneimine, polylysine, polyornithine compounds, and combinations thereof.

11. The method of claim **9**, wherein said counterion solution is a bicarbonate (HCO_3^-) solution.

12. The method of claim **9**, wherein said polyguanidine counterion complex is a polyguanidine bicarbonate complex.

13. The method of claim **9**, further comprising the step of:

d. titrating said polyguanidine counterion complex with a calcium source in an amount and under conditions to form a calcium polyguanidine counterion complex.

14. The method of claim **13**, wherein said complex is a calcium polyguanidine bicarbonate complex.

15. The method of claim **13**, wherein said calcium source calcium carbonate, monocalcium phosphate, dicalcium phosphate, tricalcium phosphate, calcium fluoride, clacium monofluorophosphate, sodium calcium pyrophosphate, calcium laurate, calcium palmitate, and combinations thereof.

16. The method of claim **15**, wherein said calcium source is calcium carbonate.

17. A method of targeting an active ingredient to oral epithelial tissues comprising delivering a therapeutically effective amount of the oral composition of claim **1** into an oral cavity.

18. A method of depositing a bacteriostatic or bacteriocidal material on oral surfaces, that persists long after brushing or rinsing, comprising delivering a therapeutically effective amount of the oral composition of claim 1 into an oral cavity.

19. A method of retarding or preventing dental caries comprising delivering a therapeutically effective amount of the oral composition of claim 1 into an oral cavity.

20. A method of treating or preventing dentinal sensitivity comprising delivering a therapeutically effective amount of the oral composition of claim 1 into an oral cavity.

21. A method of plugging dentinal tubules comprising delivering a therapeutically effective amount of the oral composition of claim 1 into an oral cavity.

22. A method of treating a carious lesion comprising delivering a therapeutically effective amount of the oral composition of claim 1 into an oral cavity.

23. A method of calcifying an exposed tooth pulp comprising delivering a therapeutically effective amount of the oral composition of claim 1 into an oral cavity.

24. A method of calcifying the base or all of a pit or fissure in a tooth comprising delivering a therapeutically effective amount of the oral composition of claim 1 into an oral cavity.