CLAIMS

We claim:

1. A peptide particle comprising an amphiphilic peptide, the amphiphilic peptide comprising a hydrophobic peptidyl segment and a hydrophilic peptidyl segment,

wherein the hydrophobic peptidyl segment comprises an amino acid sequence of $(Trp\text{-}Leu)_m\text{-}(Trp)_n$ or $(Leu\text{-}Trp)_p\text{-}(Leu)_q$, wherein each Trp is D-Trp or L-Trp and each Leu is D-Leu or L-Leu, m and p are independently an integer from 1 to 5, and n and q are independently 0 or 1, provided that when Trp is D-Trp then Leu is L-Leu, and when Trp is L-Trp then Leu is D-Leu, or vice versa; and

wherein the hydrophilic peptidyl segment comprises an amino acid sequence of $(Lys)_r$, wherein r is an integer from 1 to 15, and

wherein the peptide particle further comprises on its outer surface a ligand.

2. The peptide particle of claim 1, wherein at least one Lys residue of the hydrophilic peptidyl segment or the N-terminus amino group of the amphiphilic peptide is acetylated.

3. The peptide particle of any of claims 1-2, wherein the amphiphilic peptide comprises the amino acid sequence of (L-Lys)-(L-Lys)-(L-Lys)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-X, wherein X is absent or NH_2.

4. The peptide particle of claim 3, wherein at least one of the L-Lys residues is acetylated, and/or the N-terminus amino group of the amphiphilic peptide is acetylated.

5. The peptide particle of any of claims 1-4, wherein the ligand includes a cell surface receptor ligand or an antibody.

6. The peptide particle of any of claims 1-5, wherein the peptide particle comprises a mixture of a fully-acetylated amphiphilic peptide of any of claims 1-5, and a partially-acetylated amphiphilic peptide of any of claims 1-5, and optionally a non-acetylated amphiphilic peptide of any of claim 1-5.

7. The peptide particle of any of claims 1-6, further comprising an active agent.

8. Use of the peptide particle of claim 7 for targeted delivery of an active agent.

9. Use of a composition comprising a positively-charged amphiphilic peptide as a cell-penetrating agent or transfection agent, wherein the positive-charged amphiphilic peptide comprises a hydrophobic peptidyl segment and a hydrophilic peptidyl segment,

wherein the hydrophobic peptidyl segment comprises an amino acid sequence of $(Trp\text{-}Leu)_m\text{-}(Trp)_n$ or $(Leu\text{-}Trp)_p\text{-}(Leu)_q$, wherein each Trp is D-Trp or L-Trp and each Leu is D-Leu or L-Leu, m and p are independently an integer from 1 to 5, and n and q are independently 0 or 1, provided that when Trp is D-Trp then Leu is L-Leu, and when Trp is L-Trp then Leu is D-Leu, or vice versa;

wherein the hydrophilic peptidyl segment comprises an amino acid sequence of $(Lys)_r$, wherein r is an integer from 1 to 15; and

wherein at least one of the Lys residues or the N-terminus amino group of the amphiphilic peptide is not acetylated.

10. The use of claim 9, wherein all of the Lys residues and the N-terminus amino group of the amphiphilic peptide are not acetylated.

11. The use of any of claims 9-10, wherein the amphiphilic peptide comprises the amino acid sequence of (L-Lys)-(L-Lys)-(L-Lys)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-X, wherein X is absent or NH_2.

12. The use of any of claims 9-11, wherein the composition further comprises a nucleic acid molecule to be delivered into a cell.

13. A peptide particle comprising a first amphiphilic peptide and a second amphiphilic peptide, the first and the second amphiphilic peptide each independently comprising a hydrophobic peptidyl segment and a hydrophilic peptidyl segment,

wherein the hydrophobic peptidyl segment comprises an amino acid sequence of $(Trp\text{-}Leu)_m\text{-}(Trp)_n$ or $(Leu\text{-}Trp)_p\text{-}(Leu)_q$, wherein each Trp is D-Trp or L-Trp and each Leu is D-Leu or L-Leu, m and p are independently an integer from 1 to 5, and n and q are independently 0 or 1, provided that when Trp is D-Trp then Leu is L-Leu, and when Trp is L-Trp then Leu is D-Leu, or vice versa; and

wherein the hydrophilic peptidyl segment comprises an amino acid sequence of $(Lys)_r$, wherein r is an integer from 1 to 15, and

wherein the N-terminus amino group and all of the Lys residues of the first amphiphilic peptide are acetylated; and

wherein at least the N-terminus amino group or one of the Lys residues of the second amphiphilic peptide is not acetylated.

14. The peptide particle of claim 13, wherein none of the N-terminus amino group and the Lys residues of the second amphiphilic peptide is acetylated.

15. The peptide particle of claim 13 or 14, further comprising an active agent.

16. The peptide particle of claim 15, wherein the active agent includes a nucleic acid molecule.

17. The peptide particle of any of claims 13-16, further comprising on its outer surface a ligand.

18. The peptide particle of any of claims 13-17, wherein the first and second amphiphilic peptide each independently comprises the amino acid sequence of (L-Lys)-(L-Lys)-(L-Lys)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-X, wherein X is absent or NH_2.

19. Use of the peptide particle of any of claims 13-18 for delivery of a nucleic acid molecule to a cell.

20. The use of claim 19, wherein the nucleic acid molecule includes siRNA, miRNA, shRNA, DNA, or any combinations thereof.

CLAIMS

1. A peptide particle comprising an amphiphilic peptide, the amphiphilic peptide comprising a hydrophobic peptidyl segment and a hydrophilic peptidyl segment,

in which the hydrophobic peptidyl segment consists essentially of an amino acid sequence of $(Trp\text{-}Leu)_m\text{-}(Trp)_n$ or $(Leu\text{-}Trp)_p\text{-}(Leu)_q$, in which each Trp is D-Trp or L-Trp and each Leu is D-Leu or L-Leu, m and p are independently an integer from 1 to 5, and n and q are independently 0 or 1, provided that when Trp is D-Trp then Leu is L-Leu, and when Trp is L-Trp then Leu is D-Leu, or vice versa; and

in which the hydrophilic peptidyl segment consists essentially of an amino acid sequence of $(Lys)_r$, in which r is an integer from 1 to 15, and

in which the peptide particle further comprises a ligand for binding to a target cell adsorbed on its outer surface.

2. A peptide particle according to Claim 1, in which at least one Lys residue of the hydrophilic peptidyl segment or the N-terminus amino group of the amphiphilic peptide is acetylated.

3. A peptide particle according to Claim 1 or 2, in which the amphiphilic peptide comprises the amino acid sequence of (L-Lys)-(L-Lys)-(L-Lys)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-X, in which X is absent or NH_2.

4. A peptide particle according to Claim 3, in which at least one of the L-Lys residues is acetylated, and/or the N-terminus amino group of the amphiphilic peptide is acetylated.

5. A peptide particle according to any preceding claim, in which the ligand includes a cell surface receptor ligand or an antibody.

6. A peptide particle according to any preceding claim, in which the peptide particle comprises a mixture of a fully-acetylated amphiphilic peptide according to any preceding claim, and a partially-acetylated amphiphilic peptide according to any preceding claim, and optionally a non-acetylated amphiphilic peptide according to any preceding claim.

7. A peptide particle according to any preceding claim, further comprising an active agent.

8. A peptide particle according to Claim 7 for use in the targeted delivery of an active agent.

9. A composition comprising a positively-charged amphiphilic peptide for use as a cell-penetrating agent or transfection agent, in which the positive-charged amphiphilic peptide comprises a hydrophobic peptidyl segment and a hydrophilic peptidyl segment,

in which the hydrophobic peptidyl segment consists essentially of an amino acid sequence of $(Trp\text{-}Leu)_m\text{-}(Trp)_n$ or $(Leu\text{-}Trp)_p\text{-}(Leu)_q$, in which each Trp is D-Trp or L-Trp and each Leu is D-Leu or L-Leu, m and p are independently an integer from 1 to 5, and n and q are independently 0 or 1, provided that when Trp is D-Trp then Leu is L-Leu, and when Trp is L-Trp then Leu is D-Leu, or vice versa;

in which the hydrophilic peptidyl segment consists essentially of an amino acid sequence of $(Lys)_r$, in which r is an integer from 1 to 15; and

in which at least one of the Lys residues or the N-terminus amino group of the amphiphilic peptide is not acetylated;

in which the composition further comprises a nucleic acid molecule.

10. A composition according to Claim 9 for a use as specified therein, in which all of the Lys residues and the N-terminus amino group of the amphiphilic peptide are not acetylated.

11. A composition according to Claim 9 or 10 for a use as specified therein, in which the amphiphilic peptide consists essentially of the amino acid sequence of (L-Lys)-(L-Lys)-(L-Lys)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-X, in which X is absent or NH_2.

12. Use of a positively-charged amphiphilic peptide according to any of Claims 9 to 11 in the preparation of a cell-penetrating agent or transfection agent in a medicament for treatment of a disease.

13. A peptide particle comprising a first amphiphilic peptide and a second amphiphilic peptide, the first and the second amphiphilic peptide each independently comprising a hydrophobic peptidyl segment and a hydrophilic peptidyl segment,

in which the hydrophobic peptidyl segment consists essentially of an amino acid sequence of $(Trp\text{-}Leu)_m\text{-}(Trp)_n$ or $(Leu\text{-}Trp)_p\text{-}(Leu)_q$, in which each Trp is D-Trp or L-

Trp and each Leu is D-Leu or L-Leu, m and p are independently an integer from 1 to 5, and n and q are independently 0 or 1, provided that when Trp is D-Trp then Leu is L-Leu, and when Trp is L-Trp then Leu is D-Leu, or vice versa; and

in which the hydrophilic peptidyl segment consists essentially of an amino acid sequence of $(Lys)_r$, in which r is an integer from 1 to 15, and

in which the N-terminus amino group and all of the Lys residues of the first amphiphilic peptide are acetylated; and

in which at least the N-terminus amino group or one of the Lys residues of the second amphiphilic peptide is not acetylated.

14. A peptide particle according to Claim 13, in which none of the N-terminus amino group and the Lys residues of the second amphiphilic peptide is acetylated.

15. A peptide particle according to Claim 13 or 14, further comprising an active agent.

16. A peptide particle according to Claim 15, in which the active agent includes a nucleic acid molecule.

17. A peptide particle according to any of Claims 13 to 16, further comprising on its outer surface a ligand.

18. A peptide particle according to any of Claims 13 to 17, in which the first and second amphiphilic peptide each independently comprises the amino acid sequence of (L-Lys)-(L-Lys)-(L-Lys)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-(D-Leu)-(L-Trp)-X, in which X is absent or NH_2.

19. A peptide particle according to any of Claims 13 to 18 for use in delivery of a nucleic acid molecule to a cell.

20. A peptide particle according to Claim 19 for a use as specified therein, in which the nucleic acid molecule includes siRNA, miRNA, shRNA, DNA, or any combinations thereof.

21. Use of a peptide particle according to any of Claims 13 to 18 in the preparation of a medicament for delivery of a nucleic acid molecule to a cell.

22. Use according to Claim 21, in which the nucleic acid molecule includes siRNA, miRNA, shRNA, DNA, or any combinations thereof.