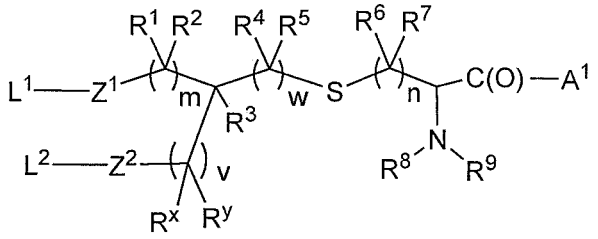
the present invention. It is not to be taken as an admission that any or all of these matters form part of the prior art base or were common general knowledge in the field relevant to the present invention as it existed before the priority date.

SUMMARY

The present disclosure broadly consists in an amino acid- or peptide conjugate compound of the formula (I):



(I)

wherein

m and w are each independently an integer from 0 to 7 and v is an integer from 0 to 5,

provided that:

the sum of m, v, and w is at least 3; and

the sum of m and w is from 0 to 7;

n is 1 or 2;

Z1 and Z2 are each independently selected from the group consisting of –O–, –NR–, –S–, –S(O)–, $–SO_2–$, –C(O)O–, –OC(O)–, –C(O)NR–, –NRC(O)–, –C(O)S–, –SC(O)–, –OC(O)O–, –NRC(O)O–, –OC(O)NR–, and –NRC(O)NR–;

R1, R2, Rx, Ry, R4, R5, R6, and R7 at each instance of m, v, w, and n are each independently hydrogen or C1-6aliphatic;

R, R3, and R8 are each independently hydrogen or C1-6aliphatic;

R9 is hydrogen, C1-6aliphatic, an amino protecting group, L3–C(O)–, or A2;

L1 and L2 are each independently selected from is C5-21aliphatic or C4-20heteroaliphatic;

L3 is C1-21aliphatic or C2-20heteroaliphatic;

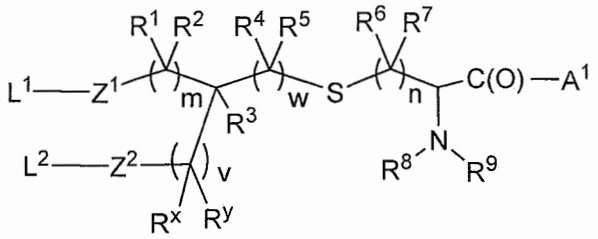
A1 is an amino acid, a peptide, OH, OP1, NH_2, or NHP2, wherein P1 is a carboxyl protecting group, and wherein P2 is a carboxamide protecting group;

A2 is an amino acid or a peptide;

wherein any aliphatic or heteroaliphatic present in any of R, R1, R2, R3, R4, R5, R6, R7, R8, R9, Rx, Ry, L1, L2, and L3 is optionally substituted;

or a pharmaceutically acceptable salt or solvate thereof.

In an aspect, there is provided a compound of the formula (I):



(I)

wherein

m and w are each independently an integer from 0 to 7 and v is an integer from 0 to 5,

provided that:

the sum of m, v, and w is at least 3; and

the sum of m and w is from 0 to 7;

n is 1 or 2;

Z1 and Z2 are each independently selected from the group consisting of –O–, –NR–, –S–, –S(O)–, –SO_2–, –C(O)O–, –OC(O)–, –C(O)NR–, –NRC(O)–, –C(O)S–, –SC(O)–, –OC(O)O–, –NRC(O)O–, –OC(O)NR–, and –NRC(O)NR–;

R1, R2, Rx, Ry, R4, R5, R6, and R7 at each instance of m, v, w, and n are each independently hydrogen or C1-6aliphatic;

R, R3, and R8 are each independently hydrogen or C1-6aliphatic;

R9 is hydrogen, C1-6aliphatic, an amino protecting group, L3–C(O)–, or A2;

L1 and L2 are each independently selected from C5-21aliphatic or C4-20heteroaliphatic;

L3 is C1-21aliphatic or C2-20heteroaliphatic;

A1 is an amino acid, a peptide, OH, OP1, NH_2, or NHP2, wherein P1 is a carboxyl protecting group, and wherein P2 is a carboxamide protecting group;

A2 is an amino acid or a peptide;

wherein any aliphatic or heteroaliphatic present in any of R, R1, R2, R3, R4, R5, R6, R7, R8, R9, Rx, Ry, L1, L2, and L3 is optionally substituted;

or a pharmaceutically acceptable salt or solvate thereof.

In another aspect, there is provided a pharmaceutical composition comprising an effective amount of a peptide conjugate compound as defined herein or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

In another aspect, there is provided a use of one or more peptide conjugate compounds as defined herein or a pharmaceutically acceptable salt or solvate thereof or a

pharmaceutical composition as defined herein in the manufacture of a medicament for vaccinating or eliciting an immune response in a subject.

In another aspect, there is provided one or more peptide conjugate compounds as defined herein or a pharmaceutically acceptable salt or solvate thereof or a pharmaceutical composition as defined herein for use for vaccinating or eliciting an immune response in a subject.

Any of the embodiments or preferences described herein may relate to any of the features herein alone or in combination with any one or more embodiments or preferences described herein, unless stated or the context indicates otherwise.

In various embodiments,

R1, R2, Rx, Ry, R4, R5, R6, and R7 at each instance of m, v, w, and n are each independently hydrogen, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, or C3-6cycloalkyl;

R, R3, and R8 are each independently hydrogen, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, or C3-6cycloalkyl;

R9 is hydrogen, C1-6alkyl, C2-6alkenyl, C2-6alkynyl, C3-6cycloalkyl, an amino protecting group, L3–C(O), or A2;

L1 and L2 are each independently selected from C5-21alkyl, C5-21alkenyl, C5-21alkynyl, or C4-20heteroalkyl;

L3 is C1-21alkyl, C5-21alkenyl, C5-21alkynyl, C3-6cycloalkyl, or C2-20heteroalkyl;

A1 is an amino acid, a peptide, OH, OP1, NH_2, or NHP2, wherein P1 is a carboxyl protecting group, and wherein P2 is a carboxamide protecting group;

A2 is an amino acid or a peptide;

wherein any alkyl, alkenyl, alkynyl, cycloalkyl or heteroalkyl present in any of R, R1, R2, R3, R4, R5, R6, R7, R8, R9, Rx, Ry, L1, L2, and L3 is optionally substituted.

In various embodiments,

R1, R2, Rx, Ry, R4, R5, R6, and R7 at each instance of m, v, w, and n are each independently hydrogen, C1-6alkyl, C2-6alkenyl, or C3-6cycloalkyl;

R, R3, and R8 are each independently hydrogen, C1-6alkyl, C2-6alkenyl, or C3-6cycloalkyl;

R9 is hydrogen, C1-6alkyl, C2-6alkenyl, C3-6cycloalkyl, an amino protecting group, L3–C(O), or A2;

L1 and L2 are each independently selected from C5-21alkyl, C5-21alkenyl, or C4-20heteroalkyl;

L3 is C1-21alkyl, C5-21alkenyl, C3-6cycloalkyl, or C2-20heteroalkyl;

A1 is an amino acid, a peptide, OH, OP1, NH_2, or NHP2, wherein P1 is a carboxyl protecting group, and wherein P2 is a carboxamide protecting group;

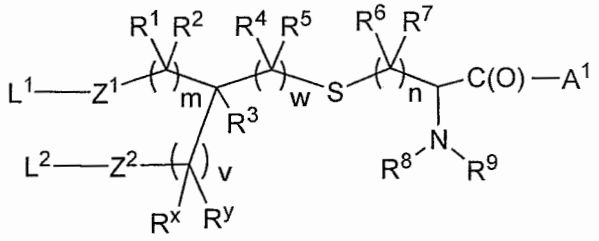
A2 is an amino acid or a peptide;

wherein any alkyl, alkenyl, cycloalkyl or heteroalkyl present in any of R, R1, R2, R3, R4, R5, R6, R7, R8, R9, Rx, Ry, L1, L2, and L3 is optionally substituted.

In various embodiments,

CLAIMS

1. A compound of the formula (I):



(I)

wherein

m and w are each independently an integer from 0 to 7 and v is an integer from 0 to 5,

provided that:

the sum of m, v, and w is at least 3; and

the sum of m and w is from 0 to 7;

n is 1 or 2;

Z1 and Z2 are each independently selected from the group consisting of –O–, –NR–, –S–, –S(O)–, $–SO_2–$, –C(O)O–, –OC(O)–, –C(O)NR–, –NRC(O)–, –C(O)S–, –SC(O)–, –OC(O)O–, –NRC(O)O–, –OC(O)NR–, and –NRC(O)NR–;

R1, R2, Rx, Ry, R4, R5, R6, and R7 at each instance of m, v, w, and n are each independently hydrogen or C1-6aliphatic;

R, R3, and R8 are each independently hydrogen or C1-6aliphatic;

R9 is hydrogen, C1-6aliphatic, an amino protecting group, L3–C(O)–, or A2;

L1 and L2 are each independently selected from C5-21aliphatic or C4-20heteroaliphatic;

L3 is C1-21aliphatic or C2-20heteroaliphatic;

A1 is an amino acid, a peptide, OH, OP1, NH_2, or NHP2, wherein P1 is a carboxyl protecting group, and wherein P2 is a carboxamide protecting group;

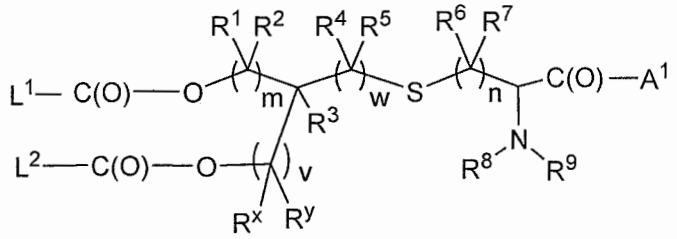
A2 is an amino acid or a peptide;

wherein any aliphatic or heteroaliphatic present in any of R, R1, R2, R3, R4, R5, R6, R7, R8, R9, Rx, Ry, L1, L2, and L3 is optionally substituted;

or a pharmaceutically acceptable salt or solvate thereof.

2. The compound of claim 1, wherein Z1 and Z2 are each independently selected from the group consisting of –C(O)O–, –C(O)NR–, and –C(O)S–.

3. The compound of any one of the preceding claims, wherein the compound is a compound of the formula (IA):



(IA).

4. The compound of any one of the preceding claims, wherein m and w are each independently from 0 to 5; and/or wherein the sum of m and w is from 2 to 7.

5. The compound of any one of the preceding claims, wherein m is from 1 to 3; and/or wherein w is 1 or 2; and/or wherein n is 1; and/or v is from 0 to 3.

6. The compound of any one of the preceding claims, wherein L1 and L2 are each independently C5-21alkyl.

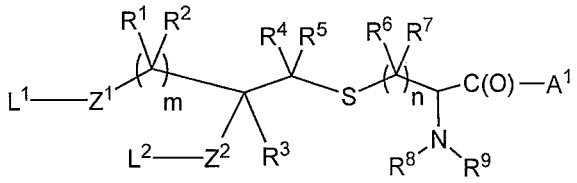
7. The compound of any one of the preceding claims, wherein L1 and L2 are each independently linear C15alkyl.

8. The compound of any one of the preceding claims, wherein L3 is methyl or linear C15alkyl.

9. The compound of any one of the preceding claims, wherein L3 is methyl.

10. The compound of any one of the preceding claims, wherein R1 and R2 at each instance of m are each independently C1-6alkyl or hydrogen, preferably hydrogen; and/or wherein R3 is C1-6alkyl or hydrogen, preferably hydrogen; and/or wherein R4 and R5 at each instance of w are each independently C1-6alkyl or hydrogen, preferably hydrogen; and/or wherein Rx and Ry at each instance of v are each independently C1-6alkyl or hydrogen, preferably hydrogen; and/or wherein R6 and R7 at each instance of n are each independently C1-6alkyl or hydrogen, preferably hydrogen; and/or wherein R8 is independently C1-6alkyl or hydrogen, preferably hydrogen; and/or wherein R9 is C1-6alkyl, hydrogen, an amino protecting group, L3–C(O), or A2, preferably hydrogen, an amino protecting group, L3–C(O), or A2.

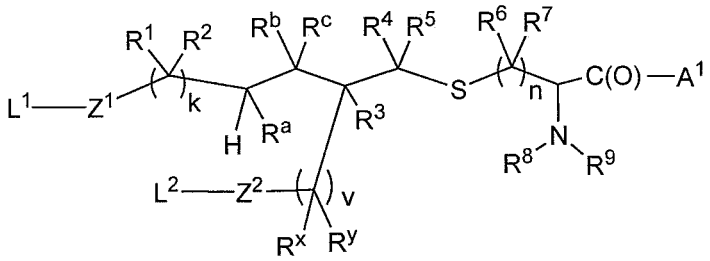
11. The compound of any one of the preceding claims, wherein the compound is a compound of the formula (IF):



(IF)

wherein m is an integer from 2 to 6 and the remaining variables are as defined in any one of the preceding claims; or

a compound of the formula (IB):



(IB)

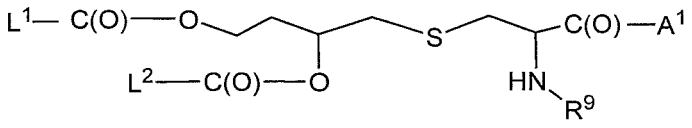
wherein

k is an integer from 0 to 4; and

Ra, Rb, and Rc are each independently hydrogen or C1-6aliphatic.

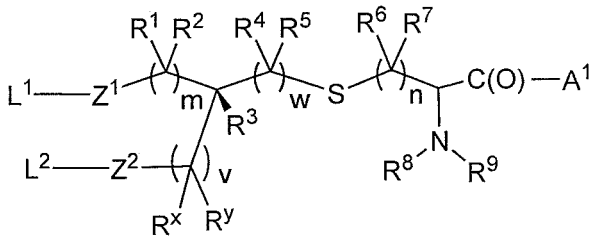
12. The compound of claim 11, wherein k is 0 to 3; and/or wherein Ra, Rb, and Rc are each independently selected from hydrogen or C1-6alkyl, preferably hydrogen.

13. The compound of any one of the preceding claims, wherein the compound is a compound of the formula (ID):



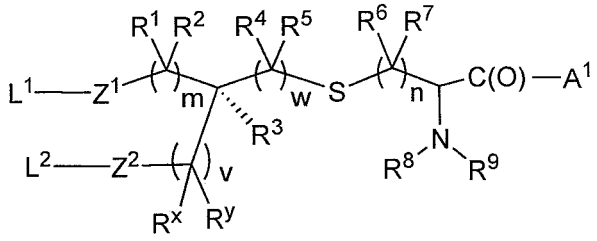
(ID).

14. The compound of any one of the preceding claims, wherein the compound of formula (I) has the formula (IEE-3):



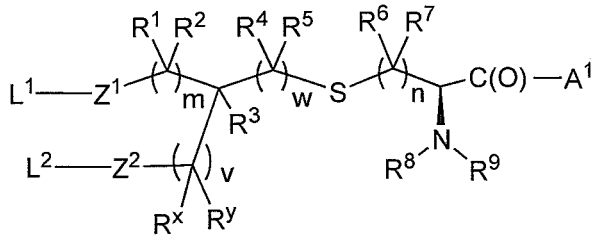
(IEE-3); or

has the formula (IEE-4):



(IEE-4); or

has the formula (IE):



(IE).

15. The compound of any one of the preceding claims, wherein A1 is OH, OP1, NH_2, or NHP2 and R9 is hydrogen, C1-6alkyl, C3-6cycloalkyl, an amino protecting group, or L3–C(O).

16. The compound of any one of claims 1 to 14, wherein A1 and/or A2 is an amino acid or a peptide.

17. The compound of any one of claims 1 to 14 and 16, wherein the peptide comprises an epitope; optionally wherein the epitope is a peptide epitope.

18. The compound of any one of claims 1 to 14 and 17, wherein the amino acid of the peptide conjugate to which the lipid moieties are conjugated is an N-terminal amino acid residue; and/or wherein A1 is serine or a peptide comprising serine as the first N-terminal amino acid residue.

19. The compound of any one of claims 1 to 14 and 16 to 18, wherein A1 and/or A2 is a peptide comprising a solubilising group; optionally wherein the solublising group comprises an amino acid sequence comprising two or more hydrophilic amino acid residues in the peptide chain; and optionally wherein the two or more hydrophilic amino acid residues are adjacent to the serine residue.

20. The compound of any one of claims 1 to 14 and 16 to 19, wherein the peptide comprises, consists essentially of, or consists of an amino acid sequence selected from the group consisting of 8 or more contiguous amino acids from the amino acid sequence of any one of SEQ ID NOs 1 – 121.

21. A pharmaceutical composition comprising an effective amount of a peptide conjugate compound of any one of claims 1 to 20 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

22. Use of one or more peptide conjugate compounds of any one of claims 1 to 20 or a pharmaceutically acceptable salt or solvate thereof or a pharmaceutical composition of claim 21 in the manufacture of a medicament for vaccinating or eliciting an immune response in a subject.

23. One or more peptide conjugate compounds of any one of claims 1 to 20 or a pharmaceutically acceptable salt or solvate thereof or a pharmaceutical composition of claim 21 for use for vaccinating or eliciting an immune response in a subject.