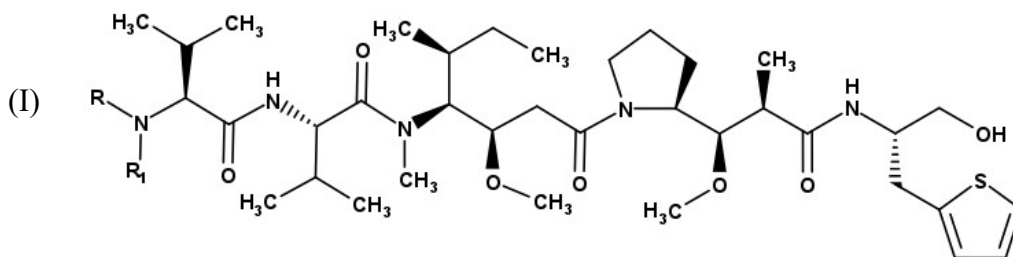


What Is Claimed Is:

1. A compound of formula (I):

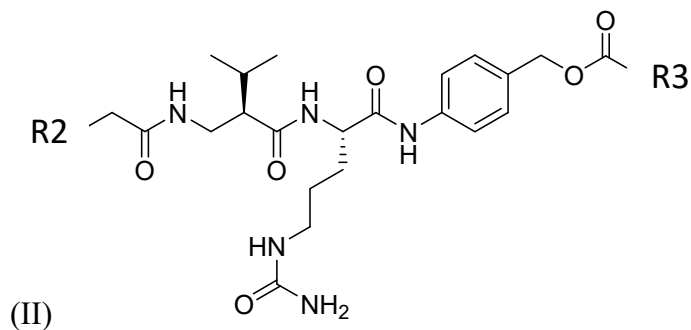


wherein R₁ is a hydrogen or a C₁₋₆ alkyl group;

wherein R is selected from the group consisting of: a hydrogen, a C₁₋₆ alkyl, a linker, or a group X₁-Y₁-* wherein * is the point of attachment to the nitrogen; and

wherein Y₁ is an oxycarbonyl group and X₁ is a C₁₋₆ alkyl group, a 9-fluorenylmethyl group, a benzyl group, or a tert-butyl group.

2. The compound of claim 1, wherein R₁ is a methyl group and R is a hydrogen.
3. The compound of claim 1, wherein X₁-Y₁ is a 9-fluorenylmethoxycarbonyl (Fmoc) group.
4. The compound of claim 1, wherein R is a linker of formula (II):



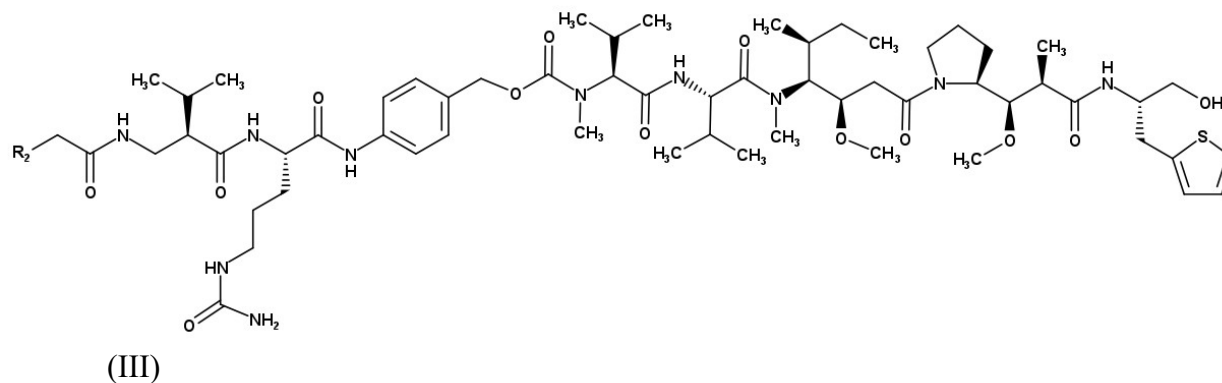
wherein R₃ is the compound of formula (I); and

wherein R₂ is a moiety attached to formula (II) wherein the point of attachment is selected from the group consisting of: a chlorine group, an iodine group, a bromine group, and a thiol group.

5. The compound of claim 4, wherein R₂ is a target-binding moiety, wherein the point of attachment at R₂ is a thiol group, optionally

wherein the thiol group is a side chain thiol group of a cysteine residue.

6. A compound of formula (III):



wherein R₂ is a moiety attached to formula (III) wherein the point of attachment is selected from the group consisting of: a chlorine group, an iodine group, a bromine group, and a thiol group.

7. The compound of claim 6, wherein R₂ is a target-binding moiety, wherein the point of attachment at R₂ is a thiol group.

8. The compound of claim 7, wherein the thiol group is a cysteine side chain thiol group.

9. The compound of any one of claims 4 to 8, wherein R₂ is a target-binding moiety, the target-binding moiety optionally comprising an isolated antibody or an antigen binding fragment thereof (AB) that specifically binds to a target, optionally wherein the AB comprises a cysteine residue at Kabat position 328.

10. The compound of claim 9, wherein the target-binding moiety is an activatable antibody that, in an activated state, specifically binds to the target, the activatable antibody comprising:

an antibody or an antigen binding fragment thereof (AB) that specifically binds to the target;

a masking moiety (MM) coupled to the AB, wherein the MM inhibits the binding of the AB to the target when the activatable antibody is in an uncleaved state; and

a cleavable moiety (CM) coupled to the AB, wherein the CM is a polypeptide that functions as a substrate for a protease, optionally wherein the AB comprises a cysteine residue at Kabat position 328.

11. The compound of claim 10, wherein the MM:

(a) has a dissociation constant for binding to the AB that is greater than the dissociation constant of the AB to its target;

(b) does not interfere or compete with the AB for binding to its target when the activatable antibody is in a cleaved state;

(c) is a polypeptide of no more than 40 amino acids in length;

(d) is a polypeptide having an amino sequence different from that of the target sequence; and/or

(e) is a polypeptide having an amino acid sequence no more than 50% identical to any natural binding partner of the AB.

12. The compound of any one of claims 1 to 3, wherein R is a linker, optionally wherein the linker is a cleavable linker.

13. The compound of claim 12, wherein the linker is linked to a target-binding moiety, the target-binding moiety optionally comprising an isolated antibody or an antigen binding fragment thereof (AB) that specifically binds to a target, optionally wherein the AB comprises a cysteine residue at Kabat position 328.

14. A pharmaceutical composition comprising: the compound of any one of claims 1 to 13; and a suitable carrier.

15. A method of conjugating a compound of any one of claims 1 to 13 to a polypeptide, the method comprising: conjugating the compound to a polypeptide, wherein at least one equivalent of the compound of formula (I) or a derivative thereof is conjugated to the polypeptide.

16. A method of conjugating a compound of any one of claims 4 to 11 to a polypeptide, the method comprising: conjugating the compound to a polypeptide,

wherein R₂ is a moiety attached to the compound, wherein the point of attachment is selected from the group consisting of: a halogen group, a chlorine group, an iodine group, a bromine group, and a thiol group.

17. The method of claim 15 or claim 16, wherein at least one compound of formula (I) is conjugated to the polypeptide via a thiol group on the polypeptide, optionally wherein the thiol group is a side chain thiol group of a cysteine residue of the polypeptide.

18. The method of any one of claims 15 to 17, wherein the polypeptide comprises a target-binding moiety, the target-binding moiety optionally comprising an antibody or an antigen binding fragment thereof (AB) that specifically binds to a target, optionally wherein the AB comprises a cysteine residue at Kabat position 328.

19. The method of any one of claims 15 to 18, wherein the method comprises the steps of:

(i) reducing the polypeptide with a reducing agent, wherein at least one disulfide group is reduced to a free thiol group;

(ii) re-oxidizing the polypeptide with an oxidizing agent without oxidizing the free thiol group; and

(iii) conjugating the compound to the free thiol group, optionally wherein the reducing agent is TCEP.

20. The compound of any one of claims 1 to 13 or the pharmaceutical composition of claim 14 for use in treating a cancer in a subject in need thereof.

21. The compound of any one of claims 1 to 13 or the pharmaceutical composition of claim 14 for use as a medicament.

22. Use of the compound of any one of claims 1 to 13 or the pharmaceutical composition of claim 14 in the manufacture of a medicament for treating a cancer.