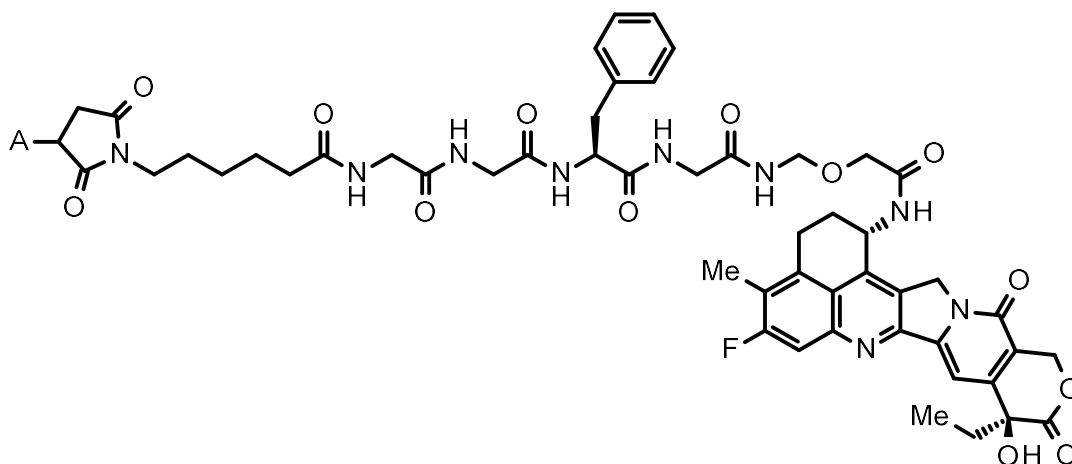


Claims

1. A pharmaceutical composition comprising

- (i) an antibody-drug conjugate,
- (ii) a histidine buffer,
- (iii) sucrose, and
- (iv) polysorbate 80 or polysorbate 20,

wherein the antibody-drug conjugate is an antibody-drug conjugate in which a drug-linker represented by the following formula:



wherein A represents a connecting position to an antibody,

is conjugated to the antibody via a thioether bond, and wherein the amount of polysorbate 80 or polysorbate 20 is, per 20mg of the antibody-drug conjugate, 0.2 to 0.4 mg,

wherein the histidine buffer is, per the concentration of 20mg/ml of the antibody-drug conjugate, 3 to 80 mM,

wherein the amount of sucrose is 24 to 320 mg per 20mg of the antibody-drug conjugate,
wherein the pH of the pharmaceutical composition when the antibody-drug conjugate is dissolved in water at a concentration of 20 mg/mL is 4.0 to 7.0.

2. The pharmaceutical composition according to claim 1, wherein the amount of polysorbate 80 or polysorbate 20 is, per 20 mg of the antibody-drug conjugate, 0.2 to 0.3 mg.

3. The pharmaceutical composition according to claim 2, wherein the amount of polysorbate 80 or polysorbate 20 is, per 20 mg of the antibody-drug conjugate, 0.2 or 0.3 mg.

4. The pharmaceutical composition according to any one of claims 1 to 3, wherein the amount of sucrose is 90 mg per 20 mg of the antibody-drug conjugate.

5. The pharmaceutical composition according to any one of claims 1 to 4, wherein the histidine buffer is a mixture of L-histidine and L-histidine hydrochloride.

6. The pharmaceutical composition according to claim 5, wherein the histidine buffer is a mixture of L-histidine and L-histidine hydrochloride hydrate.

7. The pharmaceutical composition according to any one of claims 1 to 6, wherein the antibody in the antibody-drug conjugate is an anti-HER2 antibody, an anti-HER3 antibody, an anti-TROP2 antibody, an anti-B7-H3 antibody, or an anti-GPR20 antibody.

8. The pharmaceutical composition according to claim 7, wherein the antibody in the antibody-drug conjugate is an anti-HER2 antibody.

9. The pharmaceutical composition according to claim 8, wherein the anti-HER2 antibody is an antibody comprising a heavy chain consisting of an amino acid sequence consisting of amino acid residues 1 to 449 of SEQ ID NO: 1 and a light chain consisting of an amino acid sequence consisting of amino acid residues 1 to 214 of SEQ ID NO: 2, or an antibody comprising a heavy chain consisting of an amino acid sequence represented by SEQ ID NO: 1 and a light chain consisting of an amino acid sequence represented by SEQ ID NO: 2.

10. The pharmaceutical composition according to claim 8 or 9, wherein the average number of units of the drug-linker conjugated per antibody molecule in the antibody-drug conjugate is in the range of from 7 to 8.

11. The pharmaceutical composition according to any one of claims 8 to 10 comprising,

- (i) per 20 mg of the antibody-drug conjugate,
- (ii) 0.89 mg of L-histidine and 4.04 mg of L-histidine hydrochloride hydrate,
- (iii) 90 mg of sucrose, and
- (iv) 0.3 mg of polysorbate 80.

12. The pharmaceutical composition according to any one of claims 8 to 10 comprising

- (i) 100 mg of the antibody-drug conjugate,
- (ii) 4.45 mg of L-histidine and 20.2 mg of L-histidine hydrochloride hydrate,
- (iii) 450 mg of sucrose, and
- (iv) 1.5 mg of polysorbate 80.

13. The pharmaceutical composition according to claim 7, wherein the antibody in the antibody-drug conjugate is an anti-HER3 antibody.

14. The pharmaceutical composition according to claim 13, wherein the anti-HER3 antibody is an antibody comprising a heavy chain consisting of an amino acid sequence represented by SEQ ID NO: 3 and a light chain consisting of an amino acid sequence represented by SEQ ID NO: 4, or a variant of the antibody in which a lysine

residue at the carboxyl terminus of the heavy chain is deleted.

15. The pharmaceutical composition according to claim 13 or 14, wherein the average number of units of the drug-linker conjugated per antibody molecule in the antibody-drug conjugate is in the range of from 7 to 8.

16. The pharmaceutical composition according to any one of claims 13 to 15 comprising,

- (i) per 20 mg of the antibody-drug conjugate,
- (ii) 0.81 mg of L-histidine and 4.14 mg of L-histidine hydrochloride hydrate,
- (iii) 90 mg of sucrose, and
- (iv) 0.3 mg of polysorbate 20.

17. The pharmaceutical composition according to any one of claims 13 to 15 comprising

- (i) 100 mg of the antibody-drug conjugate,
- (ii) 4.06 mg of L-histidine and 20.7 mg of L-histidine hydrochloride hydrate,
- (iii) 450 mg of sucrose, and
- (iv) 1.5 mg of polysorbate 20.

18. The pharmaceutical composition according to claim 7, wherein the antibody in the antibody-drug conjugate is an anti-TROP2 antibody.

19. The pharmaceutical composition according to claim 18, wherein the anti-TROP2 antibody is an antibody comprising a heavy chain consisting of an amino acid sequence consisting of amino acid residues 20 to 470 of SEQ ID NO: 5 and a light chain consisting of an amino acid sequence consisting of amino acid residues 21 to 234 of SEQ ID NO: 6, or a variant of the antibody in which a lysine residue at the carboxyl terminus of the heavy chain is deleted.

20. The pharmaceutical composition according to claim 18 or 19, wherein the average number of units of the drug-linker conjugated per antibody molecule in the antibody-drug conjugate is in the range of from 3 to 5.

21. The pharmaceutical composition according to any one of claims 18 to 20 comprising,

- (i) per 20 mg of the antibody-drug conjugate,
- (ii) 0.78 mg of L-histidine and 1.05 mg of L-histidine hydrochloride hydrate,
- (iii) 90 mg of sucrose, and
- (iv) 0.2 or 0.3 mg of polysorbate 80.

22. The pharmaceutical composition according to any one of claims 18 to 20 comprising

- (i) 100 mg of the antibody-drug conjugate,

(ii) 3.88 mg of L-histidine and 5.26 mg of L-histidine hydrochloride hydrate,

(iii) 450 mg of sucrose, and

(iv) 1.0 or 1.5 mg of polysorbate 80.

23. The pharmaceutical composition according to claim 7, wherein the antibody in the antibody-drug conjugate is an anti-B7-H3 antibody.

24. The pharmaceutical composition according to claim 23, wherein the anti-B7-H3 antibody is an antibody comprising a heavy chain consisting of an amino acid sequence consisting of amino acid residues 20 to 471 of SEQ ID NO: 7 and a light chain consisting of an amino acid sequence consisting of amino acid residues 21 to 233 of SEQ ID NO: 8, or a variant of the antibody in which a lysine residue at the carboxyl terminus of the heavy chain is deleted.

25. The pharmaceutical composition according to claim 23 or 24, wherein the average number of units of the drug-linker conjugated per antibody molecule in the antibody-drug conjugate is in the range of from 3 to 5.

26. The pharmaceutical composition according to any one of claims 23 to 25 comprising,

(i) per 20 mg of the antibody-drug conjugate,

- (ii) 0.65 mg of L-histidine and 1.22 mg of L-histidine hydrochloride hydrate,
- (iii) 90 mg of sucrose, and
- (iv) 0.2 or 0.3 mg of polysorbate 20.

27. The pharmaceutical composition according to any one of claims 23 to 25 comprising

- (i) 100 mg of the antibody-drug conjugate,
- (ii) 3.23 mg of L-histidine and 6.12 mg of L-histidine hydrochloride hydrate,
- (iii) 450 mg of sucrose, and
- (iv) 1.0 or 1.5 mg of polysorbate 20.

28. The pharmaceutical composition according to claim 7, wherein the antibody in the antibody-drug conjugate is an anti-GPR20 antibody.

29. The pharmaceutical composition according to claim 28, wherein the anti-GPR20 antibody is an antibody comprising a heavy chain consisting of an amino acid sequence consisting of amino acid residues 20 to 472 of SEQ ID NO: 9 and a light chain consisting of an amino acid sequence consisting of amino acid residues 21 to 234 of SEQ ID NO: 10, or a variant of the antibody in which a lysine residue at the carboxyl terminus of the heavy chain is deleted.

30. The pharmaceutical composition according to claim 28 or 29, wherein the average number of units of the drug-linker conjugated per antibody molecule in the antibody-drug conjugate is in the range of from 7 to 8.

31. The pharmaceutical composition according to any one of claims 28 to 30 comprising,

- (i) per 20 mg of the antibody-drug conjugate,
- (ii) 0.32 mg of L-histidine and 1.66 mg of L-histidine hydrochloride hydrate,
- (iii) 90 mg of sucrose, and
- (iv) 0.3 mg of polysorbate 80.

32. The pharmaceutical composition according to any one of claims 28 to 30 comprising

- (i) 100 mg of the antibody-drug conjugate,
- (ii) 1.62 mg of L-histidine and 8.29 mg of L-histidine hydrochloride hydrate,
- (iii) 450 mg of sucrose, and
- (iv) 1.5 mg of polysorbate 80.

33. The pharmaceutical composition according to any one of claims 1 to 32, wherein the composition is in the form of an injection.

34. The pharmaceutical composition according to claim 33, wherein the composition is in the form of an aqueous injection.

35. The pharmaceutical composition according to claim 34, wherein the concentration of the antibody-drug conjugate is 20 mg/mL.

36. The pharmaceutical composition according to claim 34 or 35, wherein the composition is frozen.

37. The pharmaceutical composition according to claim 33, wherein the composition is in the form of a lyophilized injection.

38. The pharmaceutical composition according to claim 37, wherein the composition is stored in a brown vial.

39. The pharmaceutical composition according to any one of claims 1 to 38, for use in therapy.

40 Use of the pharmaceutical composition according to any one of claims 1 to 38, for the preparation of a medicament for treating cancer.