

FORMULATION FOR MULTI-PURPOSE APPLICATION

5 TECHNICAL FIELD

The present invention is in the field of formulations for biomolecules. It provides a formulation for antibody and antigen-binding fragments thereof, as well as uses thereof and methods involving the formulation.

10 **BRIEF SUMMARY OF THE INVENTION**

The present ~~invention-disclosure~~ relates in general to the formulation of antibodies and antigen-binding fragments thereof.

15 A formulation is provided comprising or consisting of an antibody or antigen-binding fragment thereof in an aqueous solution at a concentration of 1- 200 mg/mL, 5-50 mM acetate or histidine, 120-260 mM glycine, 15-120 mM trehalose, 0.1-1.0 g/L polysorbate 20, and a pH of 4.5-6.5, as well as uses thereof and methods for treatment of a patient with the formulation.

20 In one aspect, the present disclosure relates to a formulation comprising or consisting of an antibody or antigen-binding fragment thereof in an aqueous solution at a concentration of 1-200 mg/mL, 5-50 mM acetate or histidine, 120-260 mM glycine, 15-120 mM trehalose, 0.1-1.0 g/L polysorbate 20, and a pH of 4.5-6.5.

25 In another aspect, the present disclosure relates to a formulation comprising or consisting of an antibody or antigen-binding fragment thereof in an aqueous solution at a concentration of 10-260 mg/mL, 10-25 mM acetate or histidine, 172.7-259.1 mM glycine, 17.3-25.9 mM trehalose, 0.2-0.6 g/L polysorbate 20 (polyoxyethylene (20)-sorbitan-monolaurate), and a pH of 5.2-5.8.

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In another aspect, the present disclosure relates to a formulation as described herein for use in therapy.

In another aspect, the present disclosure relates to a formulation as described herein for use in administering dosages of 10 to 50 mg/kg body weight, preferably 30 to 50 mg/kg body weight, of antibody or antigen-binding fragment thereof to a patient.

In another aspect, the present disclosure relates to a formulation as described herein for use in the treatment of a patient, wherein the formulation is to be administered at a dosage of the antibody or antigen-binding fragment thereof of 1 to 50 mg/kg body weight of the patient, preferably 10 to 50 mg/kg, to a patient.

BRIEF DESCRIPTION OF THE DRAWINGS

Figure 1: Stability data of mAb1 at 5°C storage condition in Formulations F5 to F8, wherein the percentage of high molecular weight species (HMW (%)) is shown in A and the percentage of monomer (monomer (%)) is shown in B.

Figure 2: Stability data of mAb1 at 25°C storage condition in Formulations F5 to F8, wherein the percentage of high molecular weight species (HMW (%)) is shown in A and the percentage of monomer (monomer (%)) is shown in B.

Figure 3: Stability data of mAb1 at 5°C storage condition in Formulation F5 in different vial sizes, wherein the percentage of high molecular weight species (HMW (%)) is shown in A and the percentage of monomer (monomer (%)) is shown in B.

WHAT WE CLAIM

1. Formulation comprising or consisting of an antibody or antigen-binding fragment thereof in an aqueous solution at a concentration of 1-200 mg/mL, 5-50 mM acetate or histidine, 120-260 mM glycine, 15-120 mM trehalose, 0.1-1.0 g/L polysorbate 20, and a pH of 4.5-6.5.
2. Formulation ~~according to claim 1~~ comprising or consisting of an antibody or antigen-binding fragment thereof in an aqueous solution at a concentration of 10-260 mg/mL, 10-25 mM acetate or histidine, 172.7-259.1 mM glycine, 17.3-25.9 mM trehalose, 0.2-0.6 g/L polysorbate 20 (polyoxyethylene (20)-sorbitan-monolaurate), and a pH of 5.2-5.8.
3. Formulation according to any one of claims 1 or 2, comprising or consisting of an antibody or antigen-binding fragment thereof in an aqueous solution at a concentration of 10 to 150 mg/mL, 20 mM acetate or histidine, 220 mM glycine, 20 mM trehalose, 0.4 g/L polysorbate 20 at a pH of 5.5.
4. Formulation according to any one of claims 1 to 3 comprising or consisting of an antibody or antigen-binding fragment thereof in an aqueous solution at a concentration of 50 mg/mL in 20 mM acetate, 220 mM glycine, 20 mM trehalose, 0.4 g/L polysorbate 20 at a pH of 5.5.
5. Formulation according to any one of claims 1 to 4 wherein two or more antibodies or antigen-binding fragment thereof, preferably two, are comprised in the formulation.

6. A formulation according to any one of claims 1 to 5 for use in therapy.

~~6-7.~~Use of a formulation according to any one of claims 1 to 5 for use in administering dosages of 10 to 50 mg/kg body weight, preferably 30 to 50 mg/kg body weight, of antibody or antigen-binding fragment thereof to a patient.

~~7-8.~~Use~~The formulation for use~~ according to claim ~~6-7~~or use of a formulation according to any one of claims 1 to 5 for administering an antibody or antigen-binding fragment

~~thereof to a patient~~ wherein the formulation is to be administered by one or more of the following routes: injection, including intravenous, intradermal and subcutaneous, inhalative, including oral inhalation, nasal inhalation, and mask inhalation, topical, including transdermal, transmucosal, and rectal, preferably the formulation is to be administered intravenous, inhalative, including oral inhalation, nasal inhalation, and mask inhalation, and/or subcutaneous.

~~8.9.~~ Use The formulation for use according to claim ~~78~~, wherein the ~~administration~~ ~~is~~ formulation is to be administered by at least two different routes, the two different routes being selected from intravenous, inhalative, including oral inhalation, nasal inhalation, and mask inhalation, and subcutaneous.

~~9.10.~~ Use The formulation for use according to any one of claims ~~6 to 87~~ to 9, wherein the formulation is to be administered to a patient via at least two different routes and the concentration of the antibody or antigen-binding fragment thereof within the formulation that is to be administered by a first of the at least two different routes contains at least twice the concentration of the antibody or antigen-binding fragment thereof compared to the concentration of the antibody or antigen-binding fragment thereof within the formulation that is to be administered by the second of the at least two different routes.

~~10.11.~~ Use The formulation for use according to any one of the claims ~~6 to 97~~ to 10 ~~or use of a formulation according to any one of claims 1 to 5~~ wherein the formulation is to be administered to a patient by inhalation and the concentration of the antibody or antigen-binding fragment thereof in the formulation is from 1 to 150 mg/mL, preferably 10 to 80 mg/mL, more preferably 10 to 60 mg/mL, most preferably 10 to 50 mg/mL.

~~11.12.~~ Method for treatment of a patient, wherein a formulation according to any one of claims 1 to ~~65~~ for use in the treatment of a patient, wherein the formulation is to be administered at a dosage of the antibody or antigen-binding fragment thereof of 1 to 50 mg/kg body weight of the patient, preferably 10 to 50 mg/kg, to a patient.

~~12.13.~~ ~~Method for treatment of a patient~~ The formulation for use according to claim ~~11-12~~ ~~or method for treatment of a patient with a formulation according to any one of claims 1 to 5~~ wherein an antibody or antigen-binding fragment thereof within the formulation is to be administered to a patient by one or more of the following routes: injection, including intravenous, intradermal, and subcutaneous inhalative, including oral inhalation, nasal inhalation, and mask inhalation, topical, including transdermal, transmucosal, and rectal, preferably the formulation is to be administered intravenous, inhalative, including oral inhalation, nasal inhalation, and mask inhalation, and/or subcutaneous.

~~13.14.~~ ~~Method for treatment of a patient~~ The formulation for use according to claim ~~1213~~, wherein the ~~administration is~~ formulation is to be administered by at least two different routes, the two different routes being selected from intravenous, inhalative, including oral inhalation, nasal inhalation, and mask inhalation, and subcutaneous.

~~14.15.~~ ~~Method for treatment of a patient~~ The formulation for use according to any one of claims ~~11 to 13~~ 12 to 14 wherein an antibody or antigen-binding fragment thereof within the formulation is to be administered to the patient by at least two different routes and the concentration of the antibody or antigen-binding fragment thereof within the formulation that is to be administered by a first of the at least two different routes contains at least twice the concentration of the antibody or antigen-binding fragment thereof compared to the concentration of the antibody or antigen-binding fragment thereof within the formulation that is to be administered by the second of the at least two different routes.

~~1516.~~ ~~Method for treatment of a patient~~ The formulation for use according to any one of claims ~~11 to 14~~ 12 to 15 ~~or method for treatment of a patient with a formulation according to any one of claims 1 to 5~~ wherein the formulation is to be administered to the patient by inhalation and the concentration of the antibody or antigen-binding fragment thereof in the formulation is from 10 to 150 mg/mL, preferably 10 to 80 mg/mL, more preferably 10 to 60 mg/mL, most preferably 10 to 50 mg/mL.