drug product exceeds 0.8, and the 90% confidence interval of the ratio of the $AUC_{0-\infty}$ geometric mean value with respect to the control drug product can be in a range of 0.8 – 1.25.

Further, in the above study, of the suspension of the present invention, the 90% confidence interval of the ratio of the Cmax geometric mean value with respect to the control drug product is in a range of 0.8 – 2.0, and the 90% confidence interval of the ratio of the $AUC_{0-\infty}$ geometric mean value with respect to the control drug product can be in a range of 0.8 – 1.25.

Further, in the above, of the suspension of the present invention, the 90% confidence interval of the ratio of the Cmax geometric mean value with respect to the control drug product is in a range of 0.8 – 1.5, and the 90% confidence interval of the ratio of the $AUC_{0-\infty}$ geometric mean value with respect to the control drug product can be in a range of 0.8 – 1.25.

Further, in the above, of the suspension of the present invention, both the ratio of the Cmax geometric mean value with respect to the control drug product and the ratio of the $AUC_{0-\infty}$ geometric mean value with respect to the control drug product can be in a range of 0.8 – 1.25.

[0043]

When the suspension of the present invention (for example, 90-120 mg, more specifically 100-110 mg, and even more specifically 105 mg as edaravone) is orally administered to humans, a mean Cmax is in a range of 500 – 2500 ng/mL, and a mean $AUC_{0-\infty}$ is in a range of 1000 – 2500 h*ng/mL. In the above, more specifically, the mean Cmax is in a range of 1000 – 2000 ng/mL, and the mean $AUC_{0-\infty}$ is in a range of 1500 – 2000 h*ng/mL. The mean Cmax and the mean $AUC_{0-\infty}$ may each be an arithmetic mean value or a geometric mean value.

[0044]

Examples of a suspension equivalent to the above edaravone injection include, but are not limited to, a suspension having the following composition.

Edaravone particles: 2.1% (w/v)

Polyvinyl alcohol (dispersant): 0.1% (w/v)

Xanthan gum (thickening agent): 0.3% (w/v)

Sorbitol (sweetener): 40% (w/v)

Sodium bisulfite (stabilizer): 0.1% (w/v)

L-cysteine hydrochloride (stabilizer): 0.05% (w/v)

CLAIMS

1. An edaravone suspension for human oral administration, comprising:
edaravone particles;
a dispersant; and
water.

2. The suspension according to claim 1, wherein the dispersant is a dispersant exhibiting a transmission scattering light intensity of 1% or more.

3. The suspension according to claim 1, wherein he dispersant is a dispersant exhibiting a contact angle of 80 degrees or less.

4. The suspension according to claim 1, wherein the dispersant is one or more selected from polyvinyl alcohol, methylcellulose, hypromellose, sucrose fatty acid ester and polysorbate.

5. The suspension according to claim 4, wherein the dispersant is one or two selected from polyvinyl alcohol and methylcellulose.

6. The suspension according to claim 4 or 5, wherein the dispersant is polyvinyl alcohol.

7. The suspension according to any one of claims 4 – 6, wherein the polyvinyl alcohol has a saponification degree of 86.5 – 89.0, and a 4% aqueous solution thereof has a kinematic viscosity of 3 mm^2/s – 55.7 mm^2/s at 20 °C.

8. The suspension according to any one of claims 1 – 7, wherein a blending amount of the dispersant is 0.001% (w/v) – 1.0% (w/v).

9. The suspension according to any one of claims 1 – 8, further comprising a thickening agent.

10. The suspension according to claim 9, wherein the thickening agent is one or two selected from xanthan gum and tragacanth powder.

11. The suspension according to claim 9 or 10, wherein the thickening agent is xanthan gum.

12. The suspension according to any one of claims 9 – 11, wherein a blending amount of the thickening agent is 0.1% (w/v) – 1.2% (w/v).

13. The suspension according to any one of claims 1 – 12, wherein the edaravone particles in the suspension have a D50 particle size of 10 μm – 100 μm and a D90 particle size of 50 μm – 300 μm.

14. The suspension according to any one of claims 1 – 13, wherein a blending amount of the edaravone particles is 0.06% (w/v) – 36% (w/v).

15. The suspension according to any one of claims 1 – 14, further comprising one or more additives selected from a sweetener, a stabilizer and a pH regulator.

16. The suspension according to any one of claims 1 – 15 having a viscosity of 50 mPa・s – 1750 mPa・s.

17. The suspension according to any one of claims 1 – 16 having a density of 1 g/mL – 1.5 g/mL.

18. The suspension according to any one of claims 1 – 17, wherein when a dissolution test (test solution: First Solution; and paddle rotation speed: 50 rpm) is performed according to Japanese Pharmacopeia, an edaravone dissolution rate 30 minutes after starting the test is 80% or more.

19. A kit for preparing an edaravone suspension for human oral administration, comprising:

(A) a solid composition containing edaravone particles; and

(B) a dispersant solution.

20. An edaravone suspension for human oral administration, wherein when the suspension containing 90 – 120 mg of edaravone is orally administered to a human, edaravone in a plasma exhibits a mean Cmax of 500 – 2500 ng/mL and a mean $AUC_{0-\infty}$ of 1000 – 2500 h*ng/mL.

21. An edaravone suspension for human oral administration, wherein when a crossover study in which the suspension containing 90 – 120 mg of edaravone is orally administered to a human is performed using an edaravone injection as a control drug product, a lower limit of a 90% confidence interval of a ratio of a Cmax geometric mean value with respect to the control drug product and a lower limit of a 90% confidence interval of a ratio of an $AUC_{0-\infty}$ geometric mean value with respect to the control drug product both exceed 0.8.

22. An edaravone suspension for human oral administration, wherein when a crossover study in which the suspension containing 90 – 120 mg of edaravone is orally administered to a human is performed using an edaravone injection as a control drug product, a ratio of a Cmax geometric mean value with respect to the control drug product and a ratio of an $AUC_{0-\infty}$ geometric mean value with respect to the control drug product are both in a range of 0.8 – 1.25.

23. An ALS therapeutic agent, wherein a dose of a drug product per one oral administration is 1 – 20 mL, and the dose contains 50 – 210 mg of edaravone.