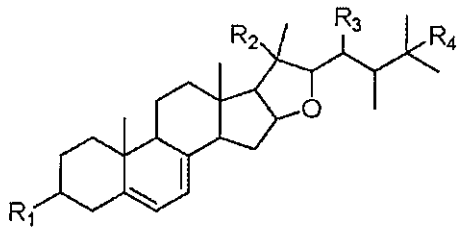
What is claimed is:

1. A compound of Formula I, or a pharmaceutically acceptable salt, ester or ether thereof,



Formula I

wherein,

R_1 is selected from the group consisting of -OH, =O, H, and C_1-C_3 alkyl;

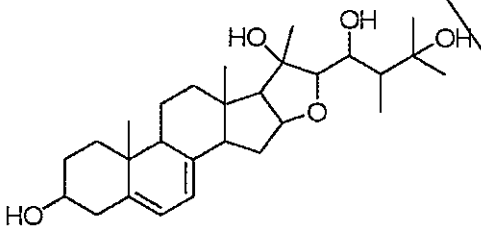
R_2 is selected from the group consisting of -OH, H, and C_1-C_3 alkyl;

R_3 is selected from the group consisting of -OH, =O, H, and C_1-C_3 alkyl;

R_4 is selected from the group consisting of -OH, H, and C_1-C_3 alkyl;

and none, one, two, three or four of R_1, R_2, R_3, and R_4 are -OH.

2. The compound according to claim 1, or a pharmaceutically acceptable salt, ester or ether thereof, which is the compound of Formula II as follows, or a pharmaceutically acceptable salt, ester or ether thereof:



Formula II ;

specifically, said ester is selected from the group consisting of formate, acetate, propionate, p-tolylsulfonate and trifluoromethylsulfonate; said ether is tert-butyl-dimethyl silyl ether; more specifically, said ester or ether is tetraacetate of compound of Formula II, tetra-p-tolylsulfonate of compound of

Formula II, tetra(trifluoromethylsulfonate) of compound of Formula II, or tetra(tert-butyl-dimethyl-silyl ether) of compound of Formula II.

3. A method for preparing the compound of claim 2, comprising the following steps:

1) providing *Monascus*-fermented rice and/or alcohol extract of *Monascus*-fermented rice (e.g., content of Xuezhikang capsules), performing ultrasonic extraction once or more times with one or more organic solvents selected from the group consisting of dichloromethane, ethyl acetate, acetone, methanol, ethanol in 2-6 times by volume, 20-40 minutes each time, combining the extracted solutions, removing solvent to obtain a refined extract;

2) loading the refined extract obtained in step 1) on a silica gel column for chromatograph separation, eluting in gradient manner with petroleum ether and ethyl acetate; the volume ratio of petroleum ether to ethyl acetate is 75:25, 50:50 - 25:75, 0:100 in sequence;

3) taking the elution fraction of petroleum ether-ethyl acetate (50:50 – 25:75) of step 2), subjecting to separation with C18 reversed phase column chromatography, using methanol-water for gradient elution, the volume ratio of methanol-water is 10:90, 50:50 - 75:25, 100:0 in sequence;

4) taking the elution fraction of methanol-water (50:50 – 75:25) of step 3), subjecting to purification with semi-preparative high performance liquid chromatography, using acetonitrile-0.2% acetic acid aqueous solution (45:55) as mobile phase, C18 semi-preparative column as stationary phase, and collecting the fractions of chromatographic peak at 9.2 minute; and

5) freeze-drying the product of step 4) to obtain a compound of Formula II.

4. The preparation method according to claim 3, which meets any one or more of the following (1) to (9):

(1) in step 1), said organic solvent is dichloromethane;

(2) in step 1), said ultrasonic extraction is performed for 3 times;

(3) in step 1), the solvent is removed by concentration under reduced pressure;

(4) in step 2), the volume ratio of petroleum ether-ethyl acetate is 75:25, 50:50, 25:75, 0:100 in sequence;

(5) in step 3), the elution fraction of petroleum ether-ethyl acetate (50:50 or 25:75) of step 2) is taken;

(6) in step 3), the volume ratio of methanol-water is 10:90, 50:50, 75:25, 100:0 in sequence;

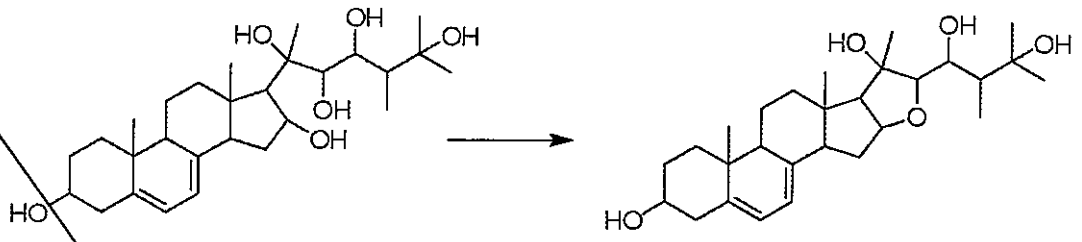
(7) in step 4), the elution fraction of methanol-water (50:50 or 75:25) of step 3) is taken;

(8) in step 4), the fractions of collected chromatographic peak at 9.2 minute are combined; and

(9) in step 5), the conditions for freeze-drying are: cold trap temperature is -40°C to -85°C, vacuum degree is 0 – 100 Pa; preferably, cold trap temperature is -50°C to -82.7°C, vacuum degree is 2 – 13 Pa; more preferably, cold trap temperature is -82.7°C, vacuum degree is 2 Pa, or cold trap temperature is -50°C, vacuum degree is 8.5 Pa.

5. A method for preparing the compound of claim 2, comprising the following steps:

using hexahydroxyergosterol (e.g., 3,16,20,22,23,25-hexahydroxy-ergosterol, briefly called as Compound A) as substrate, dehydrating in the presence of p-toluenesulfonic acid monohydrate or 2,4,6-triisopropylsulfonyl chloride to generate a compound of Formula II:



Compound A

Formula II

6. An extract, which comprises the compound of Formula II of claim 2; specifically, which is an extract of dry powder of content of Xuezhikang capsules or an extract of *Monascus*-fermented rice.

7. The extract according to claim 6, wherein the compound of Formula II is in an amount of 0.0001 - 5%(w/w), 0.001 - 2%(w/w) or 0.001 - 1%(w/w).

8. The extract according to claim 6 or 7, which is any one of following (1) to (3):

(1) the elution fraction of petroleum ether-ethyl acetate (50:50 – 25:75) as prepared in the steps 1) to 2) of claim 3;

(2) the elution fraction of methanol-water (50:50 – 75:25) as prepared in the steps 1) to 3) of claim 3; and

(3) the fractions of chromatographic peak at 9.2 minute as prepared in the steps 1) to 4) of claim 3.

9. A composition, which comprises the compound of claim 1 or 2, and/or the extract of any one of claims 6-8; optionally, further comprises a pharmaceutically acceptable carrier or excipient.

10. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament for reduction or regulation of blood-fat or prophylaxis and/or treatment of

dyslipidemia, hyperlipemia, hypercholesterolemia, or atherosclerotic.

11. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a HMG-CoA reductase inhibitor.

12. A method for inhibiting HMG-CoA reductase in vivo or in vitro, comprising the step of using an effective amount of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9.

13. A method for reduction or regulation of blood-fat or prophylaxis and/or treatment of dyslipidemia, hyperlipemia, hypercholesterolemia, or atherosclerotic, comprising the step of using an effective amount of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9.

14. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament for prophylaxis and/or treatment and/or adjunctive treatment of a cancer; specifically, said cancer is colon cancer, liver cancer, lymph cancer, or melanoma.

15. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament or an agent for inhibiting tumor cells in vivo or in vitro; specifically, said tumor cells are colon cancer cells, liver cancer cells, lymph cancer cells, or melanoma cells.

16. A method for inhibiting tumor cells in vivo or in vitro, comprising the

step of using an effective amount of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9; specifically, said tumor cells are colon cancer cells, liver cancer cells, lymph cancer cells, or melanoma cells.

17. A method for prophylaxis and/or treatment and/or adjunctive treatment of a cancer, comprising the step of using an effective amount of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9; specifically, said cancer is colon cancer, liver cancer, lymph cancer, or melanoma.

18. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament for prophylaxis and/or treatment and/or adjunctive treatment of obesity or a disease associated with obesity or an anti-obesity agent; specifically, said disease associated with obesity is hyperlipidemia, atherosclerosis, coronary heart disease, or diabetes.

19. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament or agent for inhibiting lipase in vivo or in vitro.

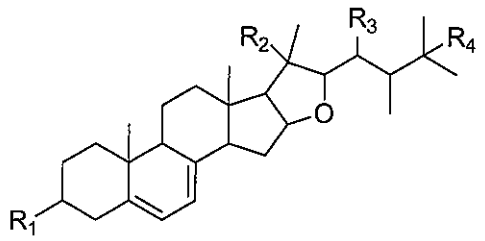
20. A method for inhibiting lipase in vivo or in vitro, comprising the step of using an effective amount of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9.

21. A method for prophylaxis and/or treatment and/or adjunctive treatment of obesity or a disease associated with obesity or a method for losing weight, comprising the step of using an effective amount of the compound of claim 1 or

2 or the extract of any one of claims 6-8 or the composition of claim 9; specifically, said disease associated with obesity is hyperlipidemia, atherosclerosis, coronary heart disease, or diabetes.

What is claimed is:

1. A compound of Formula I, or a pharmaceutically acceptable salt, ester or ether thereof,



Formula I

wherein,

R_1 is selected from the group consisting of -OH, =O, H, and C_1-C_3 alkyl;

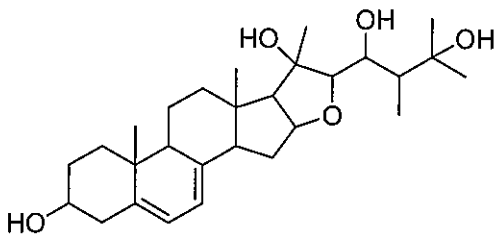
R_2 is selected from the group consisting of -OH, H, and C_1-C_3 alkyl;

R_3 is selected from the group consisting of -OH, =O, H, and C_1-C_3 alkyl;

R_4 is selected from the group consisting of -OH, H, and C_1-C_3 alkyl;

and none, one, two, three or four of R_1, R_2, R_3, and R_4 are -OH.

2. The compound according to claim 1, or a pharmaceutically acceptable salt, ester or ether thereof, which is the compound of Formula II as follows, or a pharmaceutically acceptable salt, ester or ether thereof:



Formula II ;

specifically, said ester is selected from the group consisting of formate,

acetate, propionate, p-tolylsulfonate and trifluoromethylsulfonate; said ether is tert-butyl-dimethyl silyl ether; more specifically, said ester or ether is tetraacetate of compound of Formula II, tetra-p-tolylsulfonate of compound of Formula II, tetra(trifluoromethylsulfonate) of compound of Formula II, or tetra(tert-butyl-dimethyl-silyl ether) of compound of Formula II.

3. A method for preparing the compound of claim 2, comprising the following steps:

1) providing *Monascus*-fermented rice and/or alcohol extract of *Monascus*-fermented rice (e.g., content of Xuezhikang capsules), performing ultrasonic extraction once or more times with one or more organic solvents selected from the group consisting of dichloromethane, ethyl acetate, acetone, methanol, ethanol in 2-6 times by volume, 20-40 minutes each time, combining the extracted solutions, removing solvent to obtain a refined extract;

2) loading the refined extract obtained in step 1) on a silica gel column for chromatograph separation, eluting in gradient manner with petroleum ether and ethyl acetate; the volume ratio of petroleum ether to ethyl acetate is 75:25, 50:50 - 25:75, 0:100 in sequence;

3) taking the elution fraction of petroleum ether-ethyl acetate (50:50 – 25:75) of step 2), subjecting to separation with C18 reversed phase column chromatography, using methanol-water for gradient elution, the volume ratio of methanol-water is 10:90, 50:50 - 75:25, 100:0 in sequence;

4) taking the elution fraction of methanol-water (50:50 – 75:25) of step 3), subjecting to purification with semi-preparative high performance liquid chromatography, using acetonitrile-0.2% acetic acid aqueous solution (45:55) as mobile phase, C18 semi-preparative column as stationary phase, and

collecting the fractions of chromatographic peak at 9.2 minute; and

5) freeze-drying the product of step 4) to obtain a compound of Formula II.

4. The preparation method according to claim 3, which meets any one or more of the following (1) to (9):

(1) in step 1), said organic solvent is dichloromethane;

(2) in step 1), said ultrasonic extraction is performed for 3 times;

(3) in step 1), the solvent is removed by concentration under reduced pressure;

(4) in step 2), the volume ratio of petroleum ether-ethyl acetate is 75:25, 50:50, 25:75, 0:100 in sequence;

(5) in step 3), the elution fraction of petroleum ether-ethyl acetate (50:50 or 25:75) of step 2) is taken;

(6) in step 3), the volume ratio of methanol-water is 10:90, 50:50, 75:25, 100:0 in sequence;

(7) in step 4), the elution fraction of methanol-water (50:50 or 75:25) of step 3) is taken;

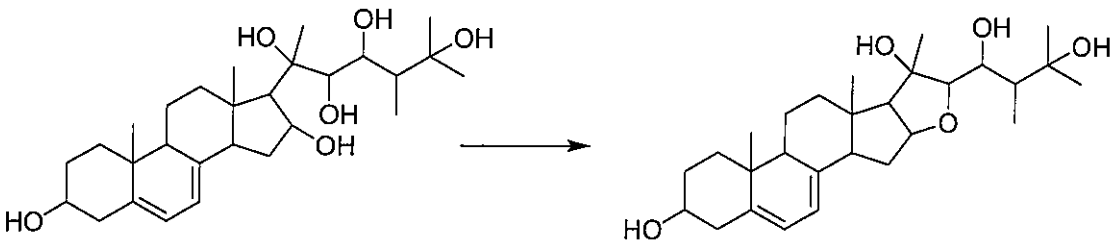
(8) in step 4), the fractions of collected chromatographic peak at 9.2 minute are combined; and

(9) in step 5), the conditions for freeze-drying are: cold trap temperature is -40°C to -85°C, vacuum degree is 0 – 100 Pa; preferably, cold trap temperature is -50°C to -82.7°C, vacuum degree is 2 – 13 Pa; more preferably, cold trap temperature is -82.7°C, vacuum degree is 2 Pa, or cold trap temperature is -50°C, vacuum degree is 8.5 Pa.

5. A method for preparing the compound of claim 2, comprising the

following steps:

using hexahydroxyergosterol (e.g., 3,16,20,22,23,25-hexahydroxy-ergosterol, briefly called as Compound A) as substrate, dehydrating in the presence of p-toluenesulfonic acid monohydrate or 2,4,6-triisopropylsulfonyl chloride to generate a compound of Formula II:



Compound A Formula II

6. An extract, which comprises the compound of Formula II of claim 2; specifically, which is an extract of dry powder of content of Xuezhikang capsules or an extract of *Monascus*-fermented rice.

7. The extract according to claim 6, wherein the compound of Formula II is in an amount of 0.0001 - 5%(w/w), 0.001 - 2%(w/w) or 0.001 - 1%(w/w).

8. The extract according to claim 6 or 7, which is any one of following (1) to (3):

(1) the elution fraction of petroleum ether-ethyl acetate (50:50 – 25:75) as prepared in the steps 1) to 2) of claim 3;

(2) the elution fraction of methanol-water (50:50 – 75:25) as prepared in the steps 1) to 3) of claim 3; and

(3) the fractions of chromatographic peak at 9.2 minute as prepared in the

steps 1) to 4) of claim 3.

9. A composition, which comprises the compound of claim 1 or 2, and/or the extract of any one of claims 6-8; optionally, further comprises a pharmaceutically acceptable carrier or excipient.

10. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament for reduction or regulation of blood-fat or prophylaxis and/or treatment of dyslipidemia, hyperlipemia, hypercholesterolemia, or atherosclerotic.

11. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a HMG-CoA reductase inhibitor.

12. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament for prophylaxis and/or treatment and/or adjunctive treatment of a cancer; specifically, said cancer is colon cancer, liver cancer, lymph cancer, or melanoma.

13. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament or an agent for inhibiting tumor cells in vivo or in vitro; specifically, said tumor cells are colon cancer cells, liver cancer cells, lymph cancer cells, or melanoma cells.

14. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament for prophylaxis and/or treatment and/or adjunctive treatment of obesity or a disease associated with obesity or an anti-obesity agent; specifically, said disease associated with obesity is hyperlipidemia, atherosclerosis, coronary heart disease, or diabetes.

15. Use of the compound of claim 1 or 2 or the extract of any one of claims 6-8 or the composition of claim 9 in the manufacture of a medicament or agent for inhibiting lipase in vivo or in vitro.