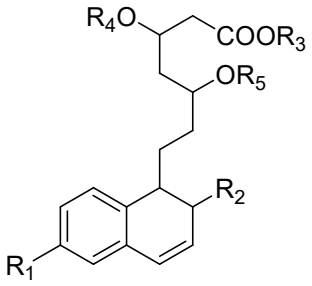
Claims

1. A compound of Formula (I), or a stereoisomer, tautomer, racemate, pharmaceutically acceptable salt or solvate thereof:



Formula (I)

R_1 is selected from C_1-C_6 alkyl,;
R_2 is selected from H, C_1-C_6 alkyl, $(CH_2)_{1-6}OH$;
R_3 is selected from H, C_1-C_6 alkyl;
R_4 and R_5 each are independently selected from: H.

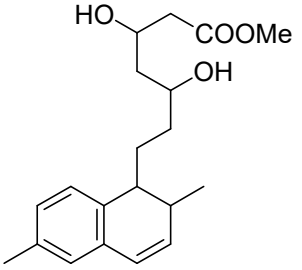
2. The compound according to claim 1, wherein,
R_1 is selected from C_1-C_3 alkyl.

3. The compound according to any one of claims 1-2, wherein
R_2 is selected from H, C_1-C_3 alkyl, $(CH_2)_{1-3}OH$.

4. The compound according to any one of claims 1-3, wherein
R_3 is selected from H and C_1-C_3 alkyl.

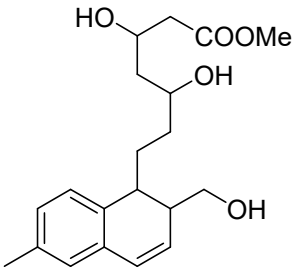
5. The compound according to any one of claims 1-4, wherein
R_3 is C_1-C_3 alkyl.

6. The following compound, selected from:



Compound 5

and diacetate, bis(4-methylbenzenesulfonate), bis(trifluoromethanesulfonate), and bis(tert-butyldimethylsilyl ether) of Compound 5;



Compound 5a

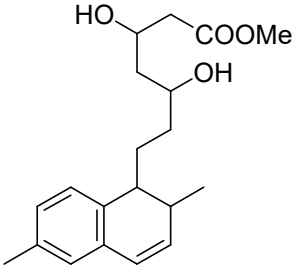
and triacetate, tri(4-methylbenzenesulfonate), tri(trifluoromethanesulfonate), and tri(tert-butyldimethylsilyl ether) of Compound 5a.

7. A pharmaceutical composition, comprising the compound according to any one of claims 1-6 and optionally, a pharmaceutically acceptable excipient.

8. Use of the compound according to any one of claims 1-6, or the pharmaceutical composition according to claim 7 in the manufacture of a medicament for treating dyslipidemia, hyperlipemia, atherosclerosis, hypercholesterolemia, or combined hyperlipidemia in a patient.

9. The compound according to any one of claims 1-6, or the pharmaceutical composition according to claim 7, for use in therapy.

10. A method for extracting Compound 5 from *Monascus*-fermented rice or extract thereof, comprising the following steps of:



Compound 5

1) extracting *Monascus*-fermented rice or extract thereof with ethyl acetate or dichloromethane or methanol or ethanol, or methanol/ethanol aqueous solution as a solvent;

2) separating the ethyl acetate or dichloromethane or methanol or ethanol, or methanol/ethanol aqueous solution extract obtained in Step 1) by silica gel column chromatography, and carrying out gradient elution with petroleum ether, ethyl acetate and methanol or ethanol, to obtain the ethyl acetate eluting fraction;

3) separating the ethyl acetate eluting fraction obtained in Step 2) by silica

gel column chromatography, carrying out elution with dichloromethane-ethyl acetate-methanol, detecting and combining the fractions having the same or similar chromatographic behavior, to obtain 5 fractions in Step 3);

4) separating the second fraction obtained in Step 3) by C18 column chromatography, and carrying out gradient elution with acetonitrile-methanol-water, to obtain 82% acetonitrile-methanol 1: 1 aqueous solution eluting fraction;

5) further separating the 82% acetonitrile-methanol 1: 1 aqueous solution eluting fraction obtained in Step 4) by sephadex LH-20 column chromatography using dichloromethane-methanol as a mobile phase, analyzing and combining the fractions having the same or similar chromatographic behavior, to obtain 6 fractions in Step 5); and

6) purifying the third fraction obtained in Step 5) by chromatographic method, to obtain Compound 5.

11. The method according to claim 10, wherein,

1) extracting *Monascus*-fermented rice or extract thereof with 2-6-fold volume of ethyl acetate or dichloromethane or methanol or ethanol, or methanol/ethanol aqueous solution as a solvent for three times, 20-40 min for each time, combining the extracting solution, and concentrating it under reduced pressure to recover the solvent, and to obtain the ethyl acetate extract;

2) separating the ethyl acetate or dichloromethane or methanol or ethanol, or methanol/ethanol aqueous solution extract obtained in Step 1) by silica gel column chromatography, and carrying out gradient elution with petroleum ether, ethyl acetate and methanol or ethanol, to obtain the ethyl acetate eluting fraction;

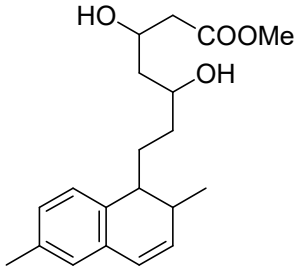
3) separating the ethyl acetate eluting fraction obtained in Step 2) by silica gel column chromatography, carrying out elution with dichloromethane-ethyl acetate-methanol 30: 30: 1, and combining the fractions having the same or similar chromatographic behavior, to obtain 5 fractions;

4) separating the second fraction obtained in Step 3) by C18 column chromatography, and carrying out gradient elution with 82% acetonitrile-methanol 1: 1 aqueous solution ~ 100% acetonitrile-methanol 1: 1, to obtain 82% acetonitrile-methanol 1: 1 aqueous solution eluting fraction;

5) further separating the 82% acetonitrile-methanol 1: 1 aqueous solution eluting fraction obtained in Step 4) by sephadex LH-20 column chromatography using dichloromethane-methanol 2: 1 as a mobile phase, and combining the fractions having the same or similar chromatographic behavior, to obtain 6 fractions in Step 5); and

6) purifying the third fraction obtained in Step 5) by chromatography using acetonitrile-methanol-water as a mobile phase and using C18

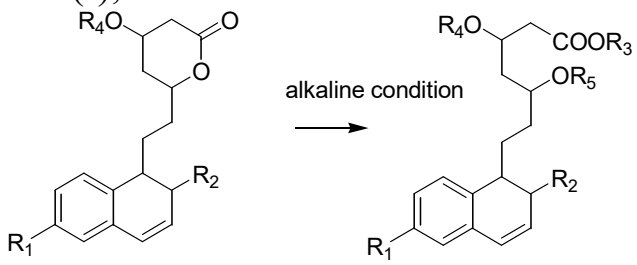
chromatographic column as a stationary phase, to obtain Compound 5



Compound 5 .

12. A method for synthesizing the compound of Formula (I) according to any one of claims 1-5, and Compound 5 and Compound 5a according to claim 6:

reacting a compound of Formula (II) under alkaline condition, or adding an esterification reagent under alkaline condition, to prepare the compound of Formula (I),



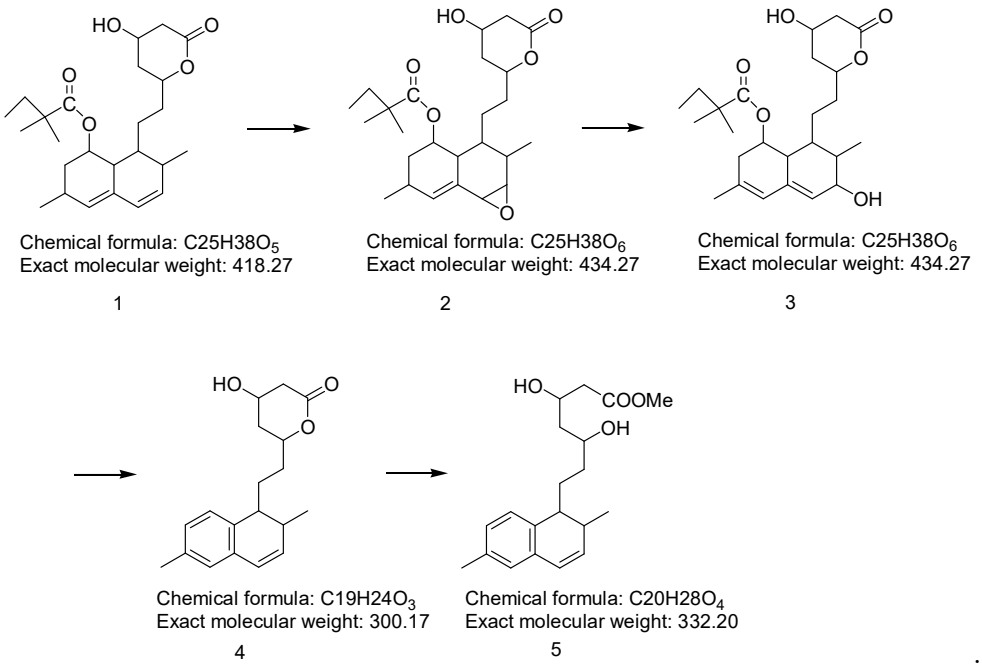
II I

wherein, in Formula (II), R_1, R_2 and R_4 have the same meanings as defined in Formula (I) according to any one of claims 1-5,

the pH range of the alkaline condition is 7.5-14, and optionally, an alkaline reagent or a solvent is added; the esterification reagent is selected from lower alcohol; anhydride, acyl chloride, and chlorosilane.

13. The method according to claim 12, wherein the alkaline reagent is selected from sodium hydroxide, potassium hydroxide, ammonia water and sodium carbonate; the lower alcohol is selected from methanol and ethanol; anhydride is selected from acetic anhydride, sulfonic anhydride, trifluormethanesulfonic anhydride; acyl chloride is toluenesulfonyl chloride; and chlorosilane is tert-butyldimethylchlorosilane.

14. A method for synthesizing compound 5:



Chemical formula: $C_{25}H_{38}O_5$
Exact molecular weight: 418.27

1

Chemical formula: $C_{25}H_{38}O_6$
Exact molecular weight: 434.27

2

Chemical formula: $C_{25}H_{38}O_6$
Exact molecular weight: 434.27

3

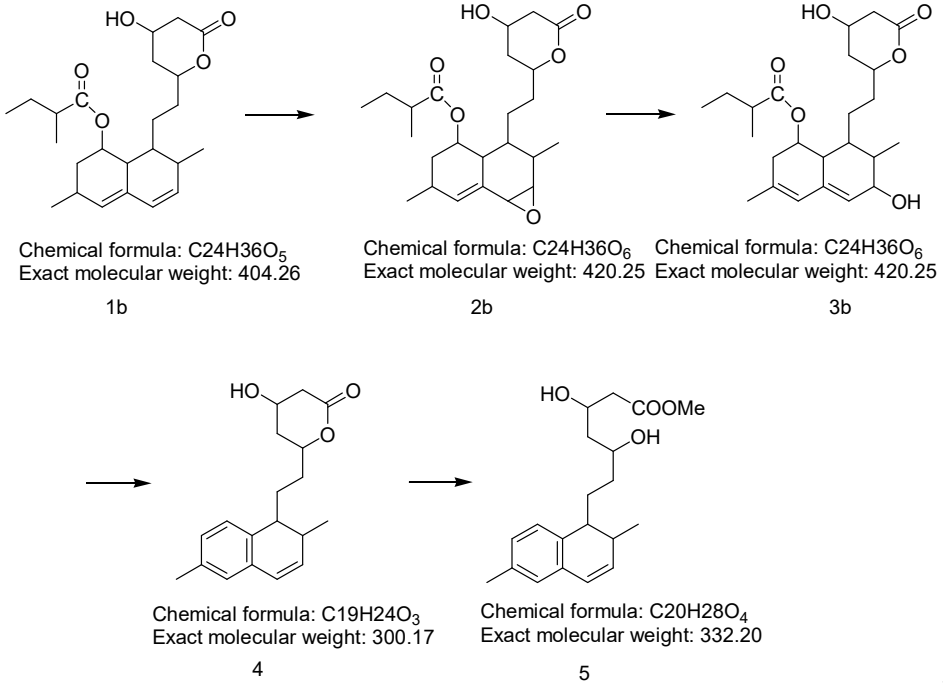
Chemical formula: $C_{19}H_{24}O_3$
Exact molecular weight: 300.17

4

Chemical formula: $C_{20}H_{28}O_4$
Exact molecular weight: 332.20

5

15. A method for synthesizing Compound 5:



Chemical formula: $C_{24}H_{36}O_5$
Exact molecular weight: 404.26

1b

Chemical formula: $C_{24}H_{36}O_6$
Exact molecular weight: 420.25

2b

Chemical formula: $C_{24}H_{36}O_6$
Exact molecular weight: 420.25

3b

Chemical formula: $C_{19}H_{24}O_3$
Exact molecular weight: 300.17

4

Chemical formula: $C_{20}H_{28}O_4$
Exact molecular weight: 332.20

5