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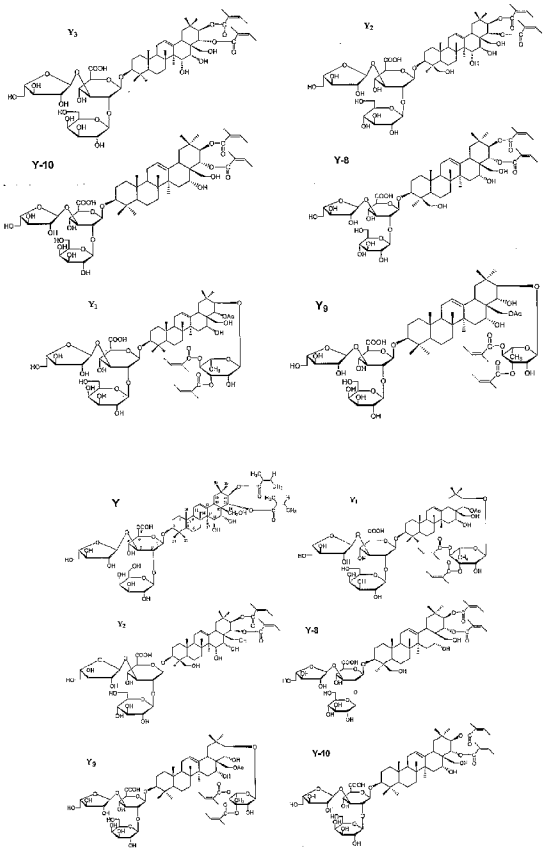
[Continued on next page]

(54) Title: ANTI-TUMOR COMPOUNDS WITH ANGELOYL GROUPS

Structure of six anticancer Saponins with biangeloyl groups (Y3 (Y), Y2, Y8, Y10, Y1 and Y9).



(57) Abstract: Novel compounds such as compounds designated herein as Xanifolia-Y or -Y3, -Y1, -Y2, -Y8, -Y9 and -Y10 are disclosed. These compounds have anticancer activity. The compounds of the present invention are obtainable from plants in the sapindaceae family, such as Xanthoceras sorbifolia, or other natural sources or products. The compounds of the present invention may also be synthesized chemically, Formula (I).

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KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

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Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

— *of inventorship (Rule 4.17(iv))*

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AMENDED CLAIMS
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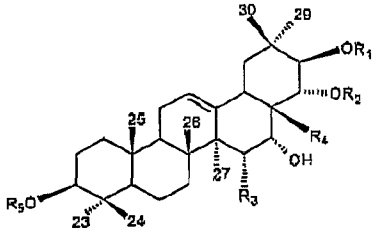
What is claimed is:

1. A compound having the following general formula (5):

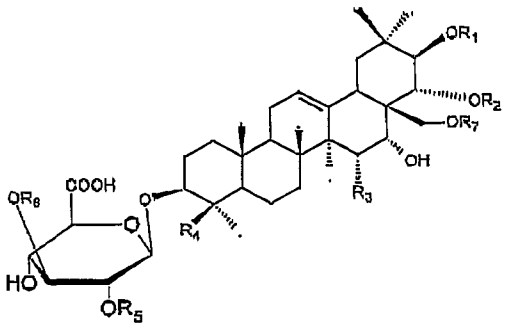


(5)

or a salt, ester, metabolite thereof, wherein R1 comprising H, acetyl group, tigloyl group, senecioly group, or an acid comprising two to five carbons, or a sugar moiety or a rhamnose; wherein the sugar moiety or rhamnose comprises at least two groups select from the group consisting of angeloyl group, acetyl group, tigloyl group, senecioly group, and an acid comprising two to five carbons; wherein R2 comprising H, acetyl group, tigloyl group, senecioly group, or an acid comprising two to five carbons, or a sugar moiety or a rhamnose; wherein the sugar moiety or rhamnose comprises at least two groups select from the group consisting of angeloyl group, acetyl group, tigloyl group, senecioly group, and an acid comprising two to five carbons; wherein R4 comprises CH2OR6 or COOR6 wherein R6 is H or angeloyl group, acetyl group, tigloyl group, senecioly group, or an acid comprising two to five carbons; wherein carbon positions 23, 24, 25, 26, 29, 30 of the compound independently comprise CH3, CH2OH, CHO, COOH, alkyls group, acetyl group; wherein R5 comprises H or sugar moiety; wherein the sugar moiety comprises glucose, or galactose, or rhamnose, or arabinose, or xylose, or alduronic acid, or glucuronic acid , or galacturonic acid, or derivative thereof, or combinations thereof.

2. A compound of claim 1, wherein one of R1, R2 , R6 comprises an angeloyl group or an acid with 5 carbon, and at least one of rest two of R1, R2 , R6 comprises an angeloyl group, acetyl group, tigloyl group, senecioly group, or an acid comprising two to five carbons; or wherein at least one of R1, R2 , R6 comprises a sugar moiety or a rhamnose, wherein the sugar moiety or rhamnose comprises at least two groups select from the group consisting of angeloyl group, acetyl group, tigloyl group, senecioly group, and an acid comprising two to five carbons; or wherein at least two of R1, R2 , R6 comprises an angeloyl group or an acid with 5 carbon.

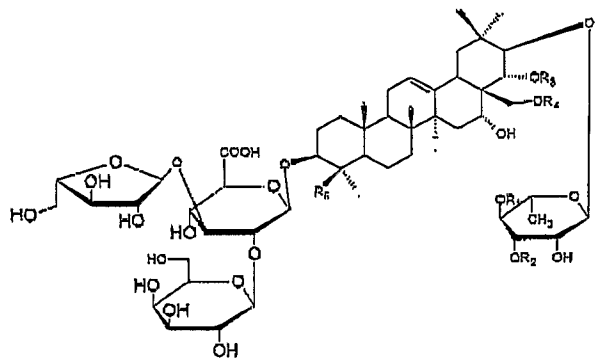
3. A compound having the following formula (1), (2), (3) or (4):



(1),

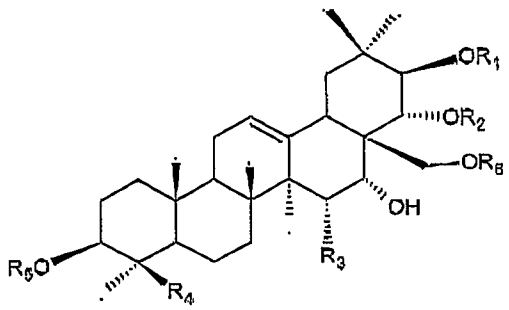
or a salt, ester thereof, wherein R1 comprises angeloyl group; R2 comprises angeloyl group; R3 comprises OH or H; R4 comprises CH3 or CH2OH; R7 comprises H; and R5 comprises glucose or Galactose; and R6 comprises arabinose;

or



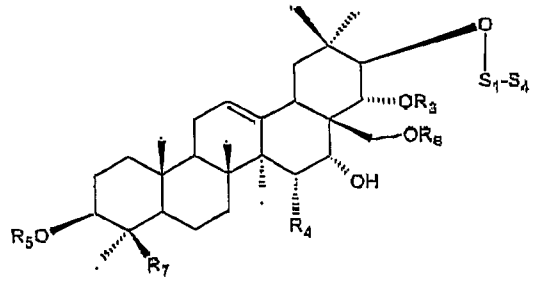
(2),

or a salt, ester thereof, wherein R1 comprises angeloyl group; R2 comprises angeloyl group; R3 comprises Ac or H; R4 comprises Ac or H; and R5 comprises CH_3 or CH_2OH; or:



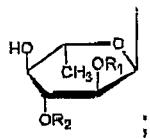
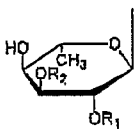
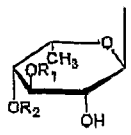
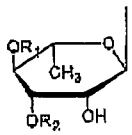
(3),

or a salt, ester thereof, wherein R_1 comprises angeloyl group; R_2 comprises angeloyl group; R_3 comprises OH or H; R_4 comprises CH_3 or CH_2OH; R_6 comprises Ac or H; and R5 comprises sugar moiety; wherein the sugar moiety comprises at least one sugar, or glucose, or galactose, or rhamnose, or arabinose, or xylose, or alduronic acid, or glucuronic acid, or galacturonic acid, or derivative thereof, or combinations thereof; or:



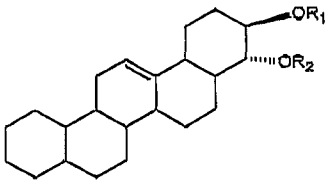
(4)

or a salt, ester thereof, wherein

S1=  ; S2 =  ; S3 =  ; S4 =  ;

S1-S4 represents S1 or S2 or S3 or S4; R1 comprises angeloyl group; R2 comprises angeloyl group; R3 comprises Ac or H; R4 comprises H or OH; R6 comprises Ac or H; R7 comprises CH_3 or CH_2OH; and R5 comprises sugar moiety; wherein the sugar moiety comprises at least one sugar, or D-glucose, or D-galactose, or L-rhamnose, or L-arabinose, or D-xylose, or alduronic acid, or D-glucuronic acid, or D-galacturonic acid, or derivative thereof, or combinations thereof.

4. A compound of claim 3 having the following structure :

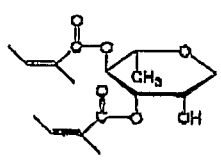


(a),

wherein R1 comprises angeloyl group and R2 comprises angeloyls group;

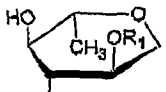
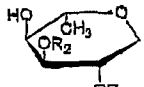
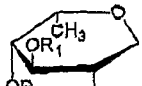
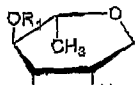
or wherein R1 and R2 comprises angeloyl group, acetyl group, tigloyl group, senecioly group, or an acid comprising two to five carbons; wherein the structure comprises a sugar moiety, wherein the sugar moiety comprises at least one sugar, or glucose, or galactose, or rhamnose, or arabinose, or xylose, alduronic acid, or glucuronic acid, or galacturonic acid, or derivative thereof, or combinations thereof, or wherein the structure comprises a compound capable of performing the biological function of sugar moiety;

or

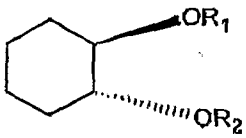


(b)

or

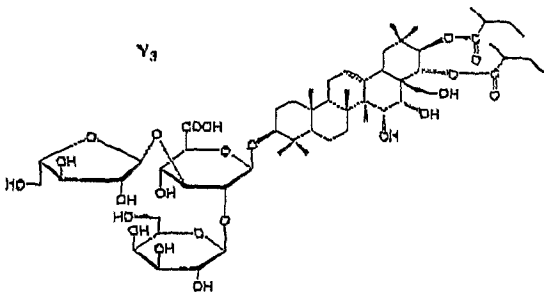
S1=  ; or S2 =  ; or S3 =  ; or S4 =  , wherein R1 comprises angeloyl group and R2 comprises angeloyl group;

or

 (c), wherein R1 comprises angeloyl group and R2 comprises angeloyl group.

5. A compound according to claim 1, 2, 3 or 4, comprising the following structure:

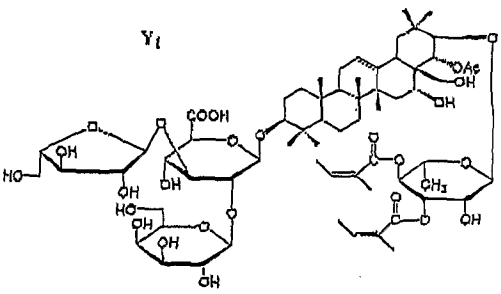
(a) A compound comprising structure (Y3):



(Y3),

or chemical name: 3-O-[β-D-galactopyranosyl(1→2)]-α-L-arabinofuranosyl(1→3)-β-D-glucuronopyranosyl-21,22-O-diangeloyl-3β, 15α, 16α, 21β, 22α, 28-hexahydroxyolean-12-ene, or Xanifolia-Y;

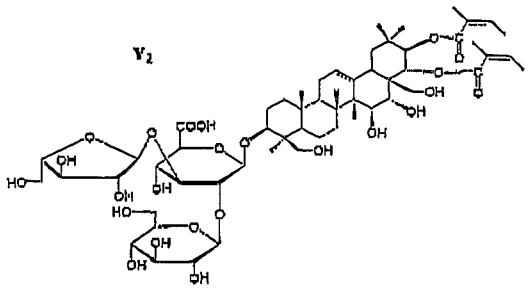
(b) A compound comprising structure (Y1):



(Y1),

or chemical name: 3-O-[β-D-galactopyranosyl(1→2)]-α-L-arabinofuranosyl(1→3)-β-D-glucuronopyranosyl-21-O-(3,4-diangeloyl)-α-L-rhamnophyranosyl-22-O-acetyl-3β,16α, 21β, 22α, 28-pentahydroxyolean-12-ene, Y1, or Xanifolia-Y1;

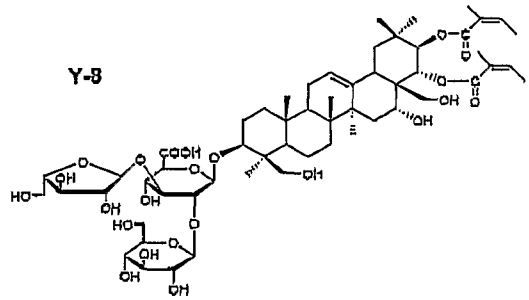
(c) A compound comprising structure (Y2):



(Y2),

or chemical name: 3-O-[β-D-glucopyranosyl-(1→2)]-α-L-arabinofuranosyl(1→3)-β-D-glucuronopyranosyl-21,22-O-diangeloyl-3β, 15α, 16α, 21β, 22α, 24β, 28-heptahydroxyolean-12-ene, or Xanifolia-Y2;

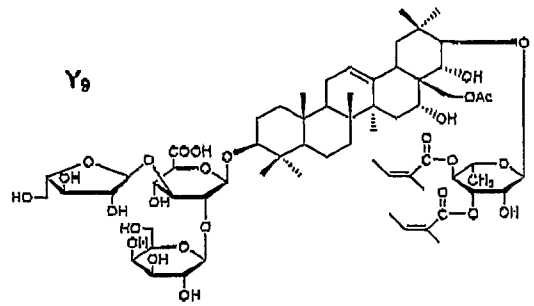
(d) A compound comprising structure (Y8):



(Y8),

or chemical name: 3-*O*-[*β*-glucopyranosyl (1→2)]-*α*-arabinofuranosyl (1→3)-*β*-glucuronopyranosyl-21, 22-*O*-diangeloyl-3*β*, 16*α*, 21*β*, 22*α*, 24*β*, 28-hexahydroxyolean-12-ene, or Xanifolia-Y8;

(e) A compound comprising structure (Y9):

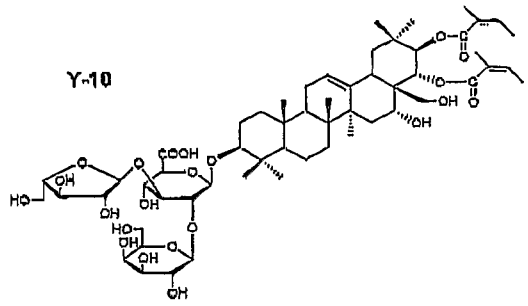


(Y9),

AMENDED SHEET (ARTICLE 19)

or chemical name: 3-*O*-[β-galactopyranosyl (1→2)]-α-arabinofuranosyl (1→3)-β-glucuronopyranosyl-21-*O*-(3,4-diangeloyl)-α-rhamnopyranosyl-28-*O*-acetyl-3β, 16α, 21β, 22α, 28-pentahydroxyolean-12-ene, or Xanifolia-Y9;

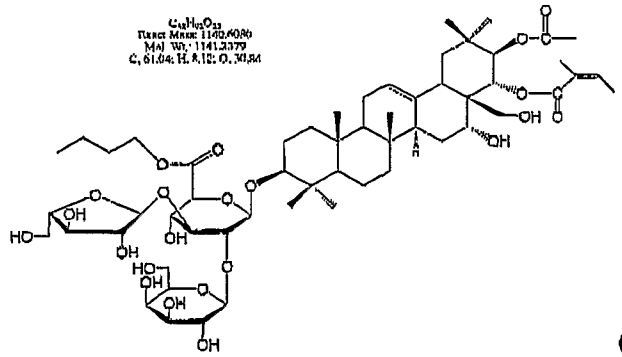
(f) A compound comprising structure (Y10):



(Y10),

or chemical name: 3-*O*-[β-galactopyranosyl (1→2)]-α-arabinofuranosyl (1→3)-β-glucuronopyranosyl-21, 22-*O*-diangeloyl-3β, 16α, 21β, 22α, 28-pentahydroxyolean-12-ene, or Xanifolia-Y10;

(g) A compound comprising chemical structure of compound X:



(X)

or chemical name: 3-*O*-{[β-D-galactopyranosyl (1→2)]-[α-L-arabinofuranosyl (1→3)]-β-D-glucuronopyranoside butyl ester}-21-*O*-acetyl-22-*O*-angeloyl-3β,16α,21β,22α,28-pentahydroxyolean-12-ene.

6. A compound of claim 5, wherein a compound comprising a triterpene backbone, said triterpene backbone is acylated at either 21β or 22α position or at both 21β and 22α position with an angeloyl group or a sugar moiety, wherein at least one sugar in the sugar moiety comprises angeloyl groups attached to the C3 and C4 position of said sugar; wherein the triterpene backbone comprises a sugar moiety; wherein the sugar moiety comprises at least one sugar, or glucose, or galactose, or rhamnose, or

arabinose, or xylose, or alduronic acid, or glucuronic acid, or galacturonic acid, or derivative thereof, or combinations thereof;

7. The compound according to any one of claims 1 to 6 which is in the form of a salt.

8. The compound of any one of claims 1 to 6, the structure of which being synthesized or isolated from natural sources.

9. The compound of any one of claims 1 to 6 as a medicament or health food.

10. A composition comprising an effective amount of the compound of any one of claims 1 to 6 as a medicament for inhibiting tumor or cancer cell growth

11. The composition of claim 10, wherein the cancer is breast cancer, leukocyte cancer, liver cancer, ovarian cancer, bladder cancer, prostate cancer, skin cancer, bone cancer or brain cancer, particularly ovarian cancer.

12. A composition for inhibiting cancers; for inhibiting virus, HIV, fungi or bacteria; for preventing cerebral aging; for improving memory; improving cerebral functions, for curing enuresis, frequent micturition, urinary incontinence,dementia, Alzheimer's disease, autism, brain trauma, Parkinson's disease or other diseases caused by cerebral dysfunctions; for treating arthritis, rheumatism, poor circulation, arteriosclerosis, Raynaud's syndrome, angina pectoris, cardiac disorder, coronary heart disease, headache, dizziness, kidney disorder; cerebrovascular diseasea; for inhibiting NF-Kappa B activation;for treating brain edema, sever acute respiratory syndrome, respiratory viral diseases, chronic venous insufficiency, hypertension, chronic venous disease, anti-oedematous, anti inflammatory, haemonhoids, peripheral oedema formation, varicose vein disease, flu, post traumatic edema and postoperative swelling; for reducing symptoms of pain; for inhibiting ethanol absorption, reducing the formation of blood clots, lower blood sugar; for regulating adreocorticotropin and corticosterone levelregulating the release of ACTH, PGF2, antagonism to 5-HT or histamine; for reducing catabolism of tissue mucopolysaccharides; for treating impotence, premature ejaculation, or diabetes, comprising the compound of any one of claims 1-6,

AMENDED SHEET (ARTICLE 19)

13. According to claim 1 to 6, a composition for inhibiting cancers or inhibiting virus, comprising a compound or sapongenin or triterpene which comprises at least two adjacent angeloyl groups or acid with five carbons or acid with at least 2 carbon or functional groups performing as biological activities of angeloyl group.

14. A compound of claim 13, wherein the two angeloyl groups are in side chains with trans position; or wherein the two angeloyl groups are in side chains with cis position; or wherein the two angeloyl groups are in side chains of alternative position carbon with trans or cis position at the structure.

15. A compound comprising a triterpene or sapongenin backbone, wherein the backbone comprises a sugar moiety, wherein the sugar moiety comprises glucose, or galactose, or rhamnose, or arabinose, or xylose, or alduronic acid, or glucuronic acid, or galacturonic acid or the combination thereof ;wherein the backbone comprises two groups selected from the group consisting of acid with 5 carbon, angeloyl group, tigloyl group, senecioly group, and combinations thereof attach to the backbone, wherein these two side groups produces anticancer or antiviral activity.

16. A compound comprising a biangeloyl group, wherein the biangeloyl group is attached to a structure, and wherein the presence of the biangeloyl group produces anticancer or antiviral activity.

17. A method for isolating compounds from Xanthoceras sorbifolia herb, or plants from the sapindaceae family, comprising the steps of:

(a) extracting Xanthoceras sorbifolia or plant powder with organic solvents to obtain an organic extract; wherein the powder is prepared from the husks, branches, stems, leaves, kernels, roots, barks or seed shells of the herb or plant.

(b) collecting the organic extract;

(c) refluxing the organic extract to obtain a second extract;

(d) removing the organic solvent from the second extract;

(e) drying and sterilizing the second extract to obtain a crude extract powder;

(f) fractionating the crude extract powder into components using HPLC and FPLC chromatography with silica gel, C18 or other equivalent solid phase materials;

(g) monitoring absorption wavelength at 207nm or 254nm;

(h) identifying the bioactive components of the crude extract powder;

(i) purifying the bioactive components of the crude extract powder with FPLC to obtain a fraction of the bioactive components; and

(j) isolating the compound from the fraction of the bioactive component with preparative HPLC.

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18. According to claim 17, a compound comprising the NMR spectral data as shown in Figure 18, 19, 20, 24, 25, 26, 27, 29, 30, 31, 32, 33, 36, 37, 38, 40, 41, 42, 45, 46, 48, 49, 50, 51, 52, 54, 55, 56, 58 or 59; or wherein a compound as shown in Figure 17, 23, 28, 35, 39 or 43.

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