

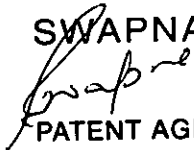
COMPLETE SPECIFICATION

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ABSTRACT

A process for synthesis of amorfrutin and cajaninistilbenes and their analogues from a common building block. A common building block can be adapted for synthesizing the chemical compounds, such as, but not limited to amorfrutin (prenylatedbibenzyl) and cajaninistilbenes acid (prenylatedstilbenoids). The process of synthesis of amorfrutin (prenylatedbibenzyl) involves alkylation of the common building block with various substituted benzyl bromides and desulfonylation & hydrolysis process. Similarly, the process of synthesis of cajaninistilbenes acid (prenylatedstilbenoids) involves Julia olefination of the common building block with various substituted aromatic aldehydes and hydrolysis process. The novel common building block structure and the process can be therefore adapted to effectively synthesize multi-class compounds of prenylatedbibenzyls and prenylatedstilbenoids.

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CLAIMS

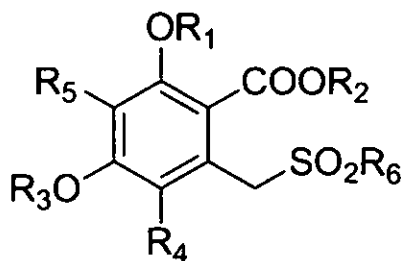
I/We Claim:

1. A process for synthesis of amorfrutin and cajaninistilbenes and their analogues from a common building block, said process comprising:

Alkylating a common building block with various substituted benzyl bromides, desulfonylation & hydrolysis process for synthesizing the chemical compounds amorfrutin (prenylated dibenzyl); and

Juliaolefination of the common building block with various substituted aromatic aldehydes and hydrolysis process in order to synthesize the chemical compounds, of cajaninistilbenes acid (prenylated stilbenoids).

2. The process of claim 1 wherein said common building block comprising of



3. The process of claim 1 wherein the process of synthesizing amorfrutin is adapted for synthesizing a series of bi-benzyl derivatives.

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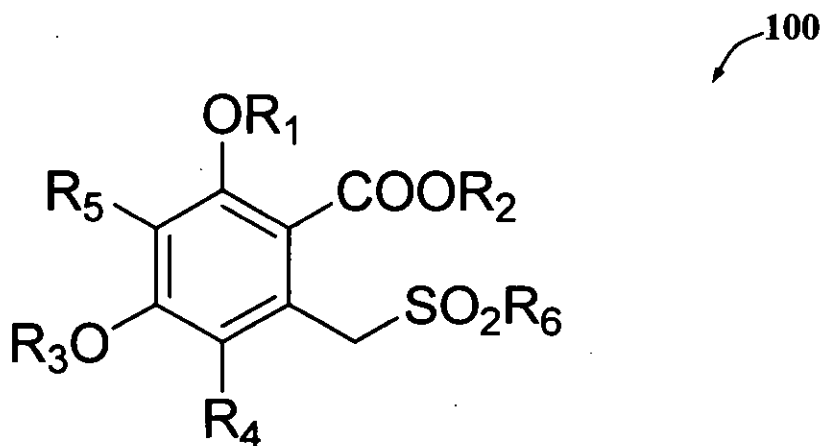
4. The process of claim 1 wherein the process of synthesizing cajaninistilbenes acid is adapted for synthesizing a series of stilbene derivatives.
5. The process of claim 1 wherein the common building block structure can be adapted to effectively synthesize multi-class compounds of prenylatedbibenzyls and prenylatedstilbenoids.
6. The process of claim 1 wherein the common building block structure is capable of synthesizing the analogues of prenylatedbibenzyl and stilbenoids.
7. The process of claim 1 wherein the R5 of building block can be at least one of the following: H, prenyl, geranyl, farnesyl, any other alkyl, branched alkyl and substituted alkyl.
8. The process of claim 1 wherein the R4 of building block can be at least one of the following: H, prenyl, geranyl, farnesyl, any other alkyl, branched alkyl and substituted alkyl.


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$R_4, R_5 = H, \text{prenyl, geranyl, farnesyl, alkyl, branched alkyl, substituted-alkyl}$

FIG.1

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ORIGINAL

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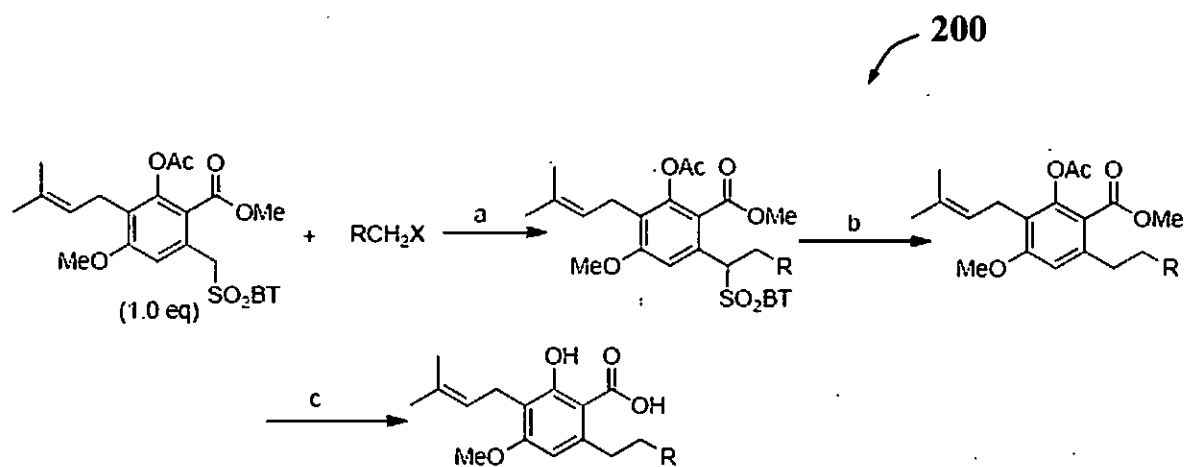


FIG.2

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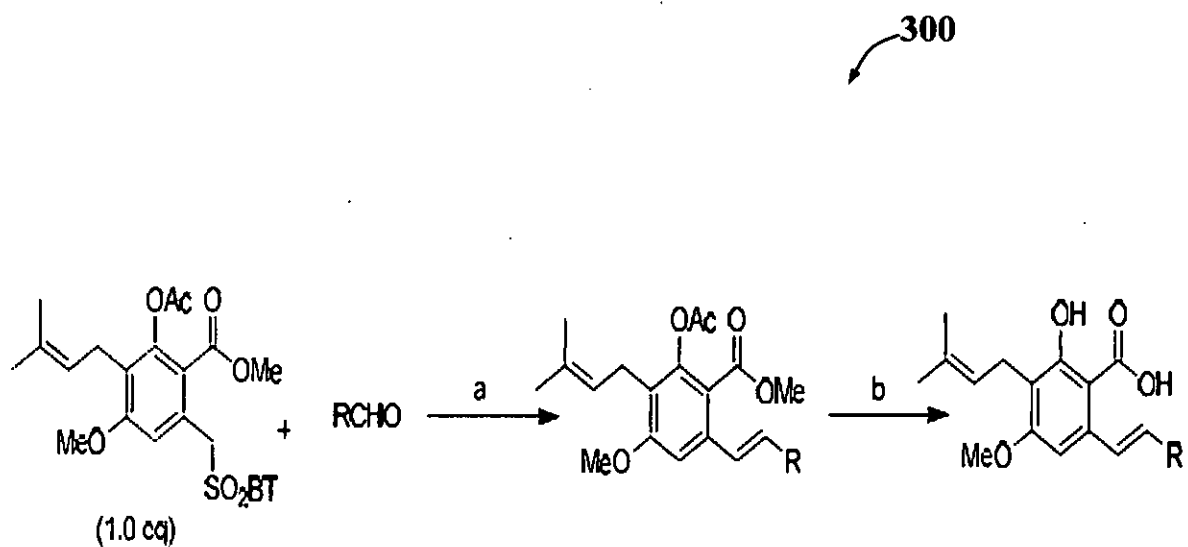


FIG.3

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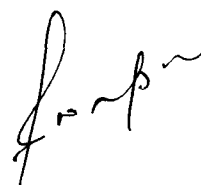
**SYNTHESIS OF AMORFRUTIN AND CAJANINSTILBENES AND THEIR
ANALOGUES FROM A COMMON BUILDING BLOCK**

TECHNICAL FIELD

[0001] Embodiments are generally related to the field of molecular chemistry and allied industries. Embodiments are also related to molecules containing bibenzyl moiety. Embodiments are further related to synthesis of prenylated bibenzyl and stilbenoids. Embodiments are particularly related to a novel process for synthesis of amorfrutin and cajaninistilbenes and their analogues from a common building block.

BACKGROUND OF THE INVENTION

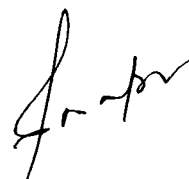
[0002] The term stilbenoid generally refers to stilbenes, bibenzyls and phenyldihydroisocoumarins together with a number of nitrogen free phenathrenols, which are thought to be products of the same metabolic pathway that which leads to stilbenes. Stilbenoids are biological molecules that are widely found in a wide variety of plants and other natural sources such as for example, plants of *Cajanus* spp. (Leguminosae), particularly *C. cajan*. Various biological activities have been reported of the stilbenoids.



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[0003] In one embodiment of the prior art, stilbenoids have been reported to show antioxidant activity. In other embodiment of the prior art, stilbenoids have been reported to show antibacterial and antifungal activity. In another embodiment of the prior art stilbenoids have been reported to show antiplatelet aggregation activity. In a further embodiment of the prior art stilbenoids have been reported to show coronary vasodilator activity. In another embodiment of the prior art stilbenoids have been reported to show anti-leukemia activity and protein-tyrosine kinase inhibitory activity. Note that the biological activities discussed herein should not be considered in any limited sense. The biological activities disclosed herein are exemplary; the stilbenoids can perform additional biological activities that are known in the art.

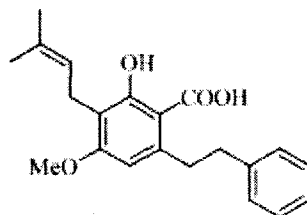
[0004] Amorfrutin is a derivative from a family of isoprenoid-substituted benzoic acid which has implications as anti-diabetics. Amorfrutin is typically isolated from two dietary legumes Glycyrrhiza foetida and Amorpha fruticosa. Amorfrutin also has the ability to inhibit nuclear transcription factor- κ B (NF- κ B) has made this molecule, even more important, due to the involvement of NF- κ B in inflammatory diseases such as arthritis, asthma, bowel inflammation and cancer. The general molecular structure of the



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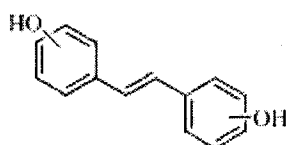
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Amorfrutin is as shown in PRIOT ART-1:



PRIOT ART-1

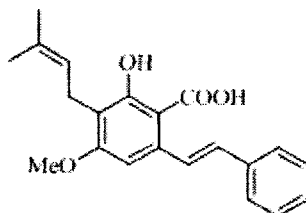
[0005] Similarly, hydroxy substituted stilbenes as natural products display beneficial biological activities encompassing various therapeutics areas such as cancers, cardiovascular disease, viral infections, diabetes, Alzheimer's disease and dementia. In addition to these features, the hydroxy-substituted stilbene, in particular, known as Cajaninstilbene acid has shown strong anti-oxidant activity. The general molecular structure of the hydroxy substituted stilbenes and Cajaninstilbene acid are shown in the PRIOT ART-2 and PRIOR ART-3 subsequently.



PRIOR ART-2

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PRIOR ART-3

[0006] Prior art processes and methods for synthesis of Amorfrutin and Cajaninstilbene acid are well known in the art. For example, in one embodiment of the prior art approach prenyl substituted bibenzyl derivative (PRIOR ART-1) is synthesized by Wittig reaction followed by hydrogenation for C-C bond formation between the two benzyl residues. In another embodiment of the prior art prenyl substituted bibenzyl derivative (PRIOR ART-1) is synthesized by benzylic metallation followed by alkylation. In further embodiment of the prior art prenyl substituted bibenzyl derivative (PRIOR ART-1) is synthesized by songashira coupling for coupling of aryl-triflate with phenyl-acetylene. A distinct biomimetic approach entailing use of 2,2,6-trimethyl-4H-1,3-dioxin-4-one as starting material through decarboxylative prenylation, migration and aromatization sequence, is also known in the art.

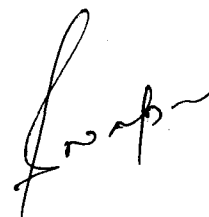
[0007] Similarly, synthesis of stilbenoids represented by general structure PROIR

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ART-2 is performed based on Wittig, Horner-Wadworth-Emmons (HWE), Heck, Ramberg-Backlund, Julia, Wittig-Heck, Perkin, cross metathesis, etc. Also, synthesis of prenylated stilbenoid PRIOR ART-3 is currently achieved using Horner-Wadworth-Emmons approach for the C-C double bond formation. The other approach adapted in the prior art process is by invoking olefination of aromatic aldehydes with thiophthalides. It is however, the prior art technologies and processes are unable to cater the increasing need for Amorfrutin and Cajaninstilbene acid for use for various biological activities. Also, there is a need for a common building block for synthesis of Amorfrutin and Cajaninistilbenes and their analogues.

[0008] Based on the foregoing, it is believed that a need exists for an improved common building block for synthesis of Amorfrutin and Cajaninistilbenes and their analogues. A need also exists for an improved process for synthesis of amorfrutin and cajaninistilbenes and their analogues from a common building block, as described in greater detail herein.



BRIEF SUMMARY OF THE INVENTION

[0009] The following summary is provided to facilitate an understanding of some of the innovative features unique to the disclosed embodiment and is not intended to be a full description. A full appreciation of the various aspects of the embodiments disclosed herein can be gained by taking the entire specification, claims, drawings, and abstract as a whole.

[0010] It is, therefore, one aspect of the disclosed embodiments to provide an improved building block molecule structure containing bibenzyl moiety.

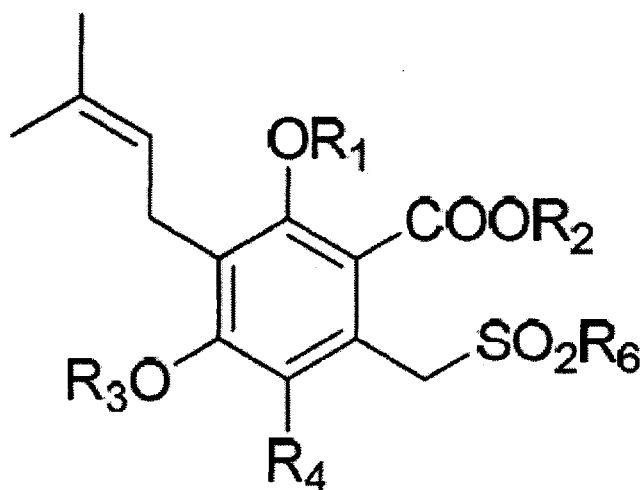
[0011] It is another aspect of the disclosed embodiments to provide an improved process for synthesis of prenylated bibenzyl and stilbenoids.

[0012] It is further aspect of the disclosed embodiments to provide an optimized process for synthesis of amorfrutin and cajaninistilbenes and their analogues from a common building block.



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[0013] The aforementioned aspects and other objectives and advantages can now be achieved as described herein. A process for synthesis of amorfrutin and cajaninistilbenes and their analogues from a common building block, is described herein. A common building block can be adapted for synthesizing the chemical compounds, such as, but not limited to amorfrutin (prenylated bibenzyl) and cajaninistilbenes acid (prenylated stilbenoids). The general molecular structure of the common building block can be as follows:

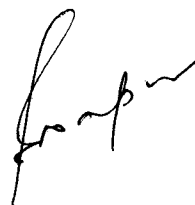


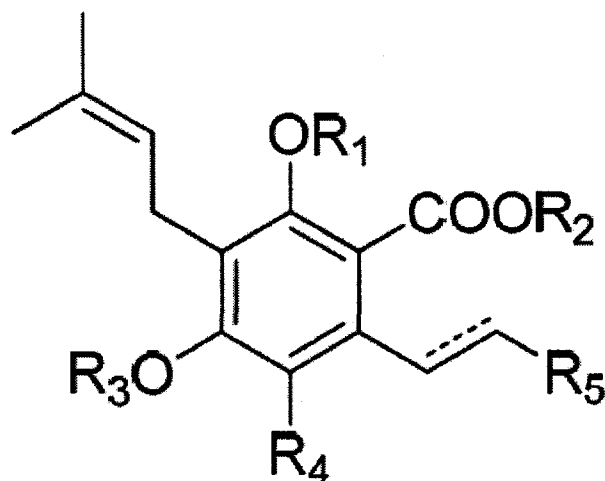
COMMON BUILDING BLOCK

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[0014] The process of synthesis of amorfrutin (prenylated bibenzyl) involves alkylation of the common building block with various substituted benzyl bromides and desulfonylation & hydrolysis process. Note that the process of synthesis of amorfrutin should not be considered in any limited sense. A person skilled in the art can adapt the disclosed process to synthesize a series of bi-benzyl derivatives. Similarly, the process of synthesis of cajaninistilbenes acid (prenylated stilbenoids) involves Julia olefination of the common building block with various substituted aromatic aldehydes and hydrolysis process. Note that the process of synthesis of prenylated stilbenoids should not be considered in any limited sense. A person skilled in the art can adapt the disclosed process to synthesize a series of stilbene derivatives derivatives. The general chemical compound structure of the prenylated bibenzyl's and prenylated stilbenoids can be as follows:

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[0015] The novel common building block structure described herein can be therefore adapted to effectively synthesize multi-class compounds of prenylated bibenzyls and prenylated stilbenoids. The common building block structure is also capable of synthesizing the analogues of prenylated bibenzyl and stilbenoids. It is therefore the common building block and the optimized process for synthesizing both prenylated bibenzyl and stilbenoids can be adapted in a wide range of medicine/biotechnology and pharmaceutical applications for producing molecules containing bibenzyl moiety displaying extensive biological activities, including, but not limited to, anti-oxidant, antimicrobial, antifungal, antitumor and cytotoxic etc.

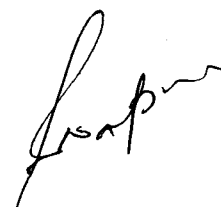
BRIEF DESCRIPTION OF THE DRAWINGS

[0016] The accompanying figures, in which like reference numerals refer to identical or functionally-similar elements throughout the separate views and which are incorporated in and form a part of the specification, further illustrate the present invention and, together with the detailed description of the invention, serve to explain the principles of the present invention.

[0017] FIG. 1 illustrates a general chemical compound structure of the common building block for synthesis of both prenylated bibenzyl and stilbenoids, in accordance with the disclosed embodiments;

[0018] FIG. 2 illustrates a chemical process of synthesis of Amorfrutin A analogues using the common building block, in accordance with the disclosed embodiments; and

[0019] FIG. 3 illustrates a chemical process of synthesis of Cajaninstilbenes acid and its analogues using the common building block, in accordance with the disclosed embodiments.

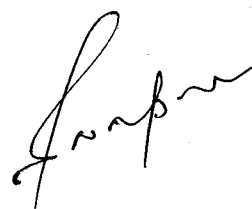


DETAILED DESCRIPTION

[0020] The particular values and configurations discussed in these non-limiting examples can be varied and are cited merely to illustrate at least one embodiment and are not intended to limit the scope thereof.

[0021] The embodiments now will be described more fully hereinafter with reference to the accompanying drawings, in which illustrative embodiments of the invention are shown. The embodiments disclosed herein can be embodied in many different forms and should not be construed as limited to the embodiments set forth herein; rather, these embodiments are provided so that this disclosure will be thorough and complete, and will fully convey the scope of the invention to those skilled in the art. Like numbers refer to like elements throughout. As used herein, the term "and/or" includes any and all combinations of one or more of the associated listed items.

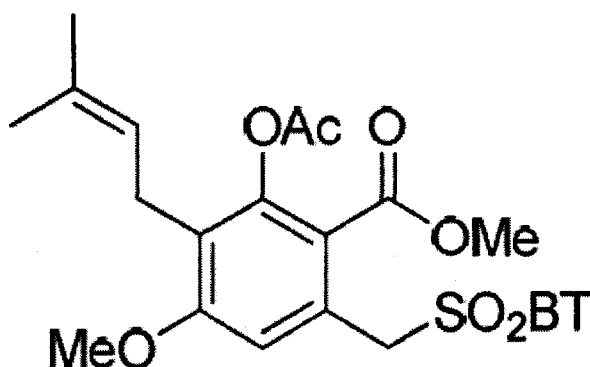
[0022] FIG. 1 illustrates a general chemical compound structure of the common building block 100 for synthesis of both prenylated bibenzyl and stilbenoids, in accordance with the disclosed embodiments. The common building block 100 can be

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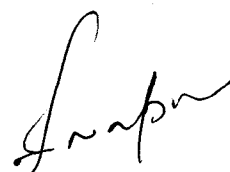
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adapted for synthesizing the chemical compounds, such as, but not limited to amorfrutin (prenylated bibenzyl) and cajaninistilbenes acid (prenylated stilbenoids). The chemical compound structure of the building block 100 to synthesize the amorfrutin (prenylated bibenzyl) and cajaninistilbenes acid (prenylated stilbenoids) is as follows:



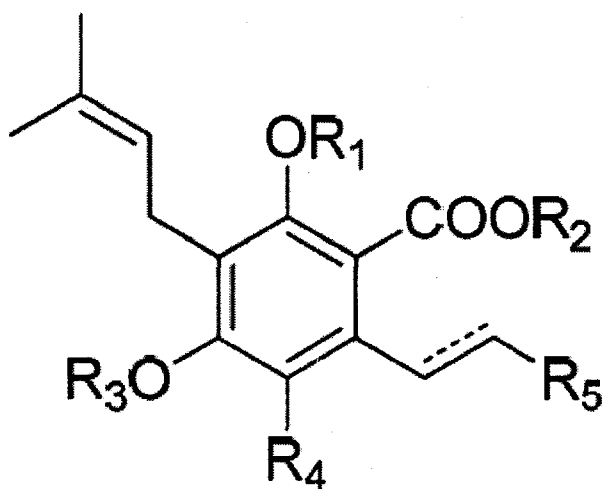
[0023] The process of synthesis of amorfrutin (prenylated bibenzyl) involves alkylation of the common building block 100 with various substituted benzyl bromides and desulfonylation & hydrolysis process. Note that the process of synthesis of amorfrutin should not be considered in any limited sense. A person skilled in the art can adapt the disclosed process to synthesize a series of bi-benzyl derivatives. Similarly, the process of synthesis of cajaninistilbenes acid (prenylated stilbenoids) involves Julia olefination of the common building block 100 with various substituted aromatic



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aldehydes and hydrolysis process. Note that the process of synthesis of amorfrutin should not be considered in any limited sense. A person skilled in the art can adapt the disclosed process to synthesize a series of stilbene derivatives. The general chemical compound structure of the prenylated bibenzyl's and prenylated stilbenoids can be as follows:



[0024] Wherein R1, R2 and R3 independently represent H, substituted or unsubstituted: alkyl, cycloalkyl, heterocyclyl, aryl, arylalkyl, arylalkenyl, arylalkynyl, heteroaryl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl. Wherein R4 represents H, substituted or unsubstituted: alkoxy, aryloxy, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl or arylalkyl, arylalkenyl, arylalkynyl, heteroaryl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl

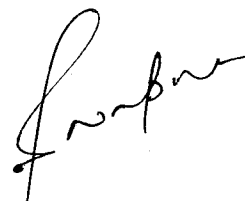
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[0025] Wherein R5 represents H, substituted or unsubstituted: alkyl, cycloalkyl, heterocyclyl, aryl, arylalkyl, arylalkenyl, arylalkynyl, heteroaryl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl wherein ---- represents an optional bond.

Definitions

[0026] The term "aryl" refers to aromatic radicals having 6 to 14 carbon atoms such as phenyl, naphthyl, indanyl and biphenyl. The term "aryloxy" refers to an aryl group directly bonded to an oxygen atom e.g.: phenoxy, naphthoxy and the like. The term "arylalkyl" refers to an aryl group directly bonded to an alkyl group, e.g.: -CH₂C₆H₅ and the like. The "heterocyclyl" refers to a heterocyclic ring radical. The heterocyclyl ring radical may be attached to the main structure at any heteroatom or carbon atom that results in the creation of a stable structure. Furthermore the term "heterocyclyl" refers to a stable 3 to 15 membered ring radical, which consists of carbon atoms and from one to five heteroatoms selected from nitrogen, phosphorus, oxygen and sulfur. For purposes of this invention the heterocyclic ring radical may be monocyclic, bicyclic or tricyclic ring systems, and the nitrogen, phosphorus, carbon, oxygen or sulfur atoms in the heterocyclic ring radical may be optionally oxidized to various oxidation states. In addition, the nitrogen atom may be optionally quaternized; and the ring radical may be partially or fully saturated. Examples of such heterocyclic ring radicals include but are

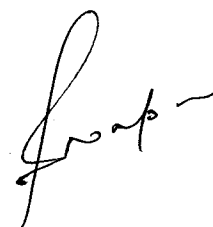


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not limited to, azetidiny, acridiny, benzodioxoly, benzodioxany, benzofurny, carbazoly, cinnoliny, dioxolany, indoliziny, naphthyridiny, perhydroazepiny, phenaziny, phenothiaziny, phenoxaziny, phthalaziny, pyridy, pteridiny, puriny, quinazoliny, quinoxaliny, quinoliny, isoquinoliny, tetrazoy, imidazol, tetrahydroisoquinioly, piperidiny, piperaziny, homopiperaziny, 2-oxoazepiny, azepiny, pyrroly, 4-piperidony, pyrrolidiny, pyraziny, pyrimidiny, pyridaziny, oxazol, oxazoliny, triazol, indany, isoxazol, isoxazolidiny, thiazol, thiazoliny, thiazolidiny, isothiazol, quiniclidiny, isothiazolidiny, indoly, isoindoly, indoliny, isoindoliny, octahydroindoly, octahydroisoindoly, quinoly, isoquinioly, decahydroisoquinoly, benzimidazol, thiadiazol, bezopyrany, benzothiazol, benzooxaol, thieny, morpholiny, thiomorpholiny, thiamorpholiny sulfoxide, fury, tetrahydropury, tetrahydropyrany, chromany and isochromany.

[0027] The term "heteroaryl" refers to an aromatic heterocyclic ring radical. The heteroaryl ring radical may be attached to the main structure at any heteroatom or carbon atom. Examples of such heteroaryl groups include but are not limited to, pyridiny, pyridaziny, pyrimidy, pyrazyl, triaziny, pyrroly, pyrazoly, imidazol, pyraziny, pyrimidiny, tetrazoly, fury, thieny, isoxazol, thiazol, phenyl, isoxazol,



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oxazolyl, 2-pyronyl, 4-pyronyl; and 4-alkoxy-2-pyronyl. The term "heteroarylalkyl" refers to a heteroaryl ring radical directly bonded to an alkyl group. The heteroarylalkyl radical may be attached to the main structure at any carbon atom from an alkyl group. The term "arylalkenyl" refers to an aromatic ring radical directly bonded to an alkenyl group. The aryl radical may be attached to the main structure at any carbon from the alkenyl group. The term "heteroarylalkenyl" refers to a heteroaryl ring radical directly bonded to an alkenyl group. The heteroaryl radical may be attached to the main structure at any carbon from the alkenyl group. The term "arylalkynyl" refers to an aromatic ring radical directly bonded to an alkynyl group. The aryl radical may be attached to the main structure at any carbon from the alkynyl group.

[0028] The term "heteroarylalkynyl" refers to a heteroaryl radical directly bonded to an alkynyl group. The heteroaryl radical may be attached to the main structure at any carbon from the alkynyl group. The term "cycloalkenyl" refers to a non-aromatic cyclic ring containing radical containing about 3 to 8 carbon atoms with at least one carbon-carbon double bond such as cyclopropenyl, and cyclopentenyl. The term cycloalkyl refers to non-aromatic mono or multicyclic ring system of about 3 to 12 carbon atoms. E.g: cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclooctanyl, and examples of



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non-aromatic mono multicyclic rings include perhydronaphthyl, adamantly, and norbornyl groups, bridged cyclic groups or spirobicyclic groups e.g spiro (4,4)-non-2-yl.

The term alkyl refers to a straight or branched aliphatic hydrocarbon groups having the specified number of carbon atoms, which is attached to the rest of the molecule by a single atom, may also further contain un-saturation (double or triple bond) e.g: methyl, ethyl, n-propyl, isopropyl, butyl, isobutyl, t-butyl, pentyl, hexyl, heptyl, octyl, 3,3-disubstituted allyl group and so on. The term "alkoxy" refers to an alkyl group attached via an oxygen linkage to the rest of the molecule. Representative examples of those groups include, but not limited to $-\text{OCH}_3$ and $-\text{OC}_2\text{H}_5$.

[0029] The term "alkenyl" refers to an aliphatic hydrocarbon group containing a carbon-carbon double bond and which may be straight or branched chain having about 2 to 10 carbon atoms, e.g: ethenyl, 1-propenyl, 2-propenyl, iso-propenyl, 2-methyl-1-propenyl, 1-butenyl and 2-butenyl. The term "alkynyl" refers to a straight or branched hydrocarbyl radicals having at least one carbon-carbon triple bond and having in the range of 2-12 carbon atoms, e.g.: ethynyl, propynyl and butynyl. When the groups R1, R2, R3, R4 and R5 are substituted, the substituents which may be one or more selected from halogens such as fluorine, chlorine, bromine, iodine; hydroxy; nitro;



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cyano; azido; nitroso; hydrazine; formyl; alkyl; haloalkyl; haloalkoxy; cycloalkyl; aryl; alkoxy; aryloxy; acyl; acyloxy; acyloxyacyl; heterocyclyl; heteroaryl; amino; monoalkylamino; dialkylamino; acylamino; alkoxycarbonyl; aryloxy carbonyl; alkylsulfonyl; arylsulfonyl; alkylsulfinyl; arylsulfinyl; alkylthio; arylthio; sulfamoyl; alkoxyalkyl and carboxylic acids or its derivatives such as amide, ester, acyl, haloacyl and the like; When the group R1, R2, R3, R4 or R5 is an aryl ring then two of the adjacent carbons may be linked together with a alkylene dioxy bridge. The substituents may be further substituted.

[0030] FIG. 2 illustrates a chemical process 200 of synthesis of Amorfrutin A analogues using the common building block 100, in accordance with the disclosed embodiments. Initially, sodium hydride (0.012g, 0.3 mmol) can be added to a solution of building block (0.1g, 0.2 mmol) 100 in dry DMF at 0° under nitrogen atmosphere in order thereby allow the solution to turn to reddish orange colour indicating the formation of carbanion. A solution of primary halide RCH₂X (0.258 mmol, 1.2 eq) in DMF (1 mL) was added to the solution after stirring for a time period say 10 minutes. Note that in the compound RCH₂X, 'R' represents aryl, alkyl, and heteroalkyl, substituted and functionalized alkyl/alkyl/heteroalkyl, protected carbohydrate residue, and 'X' represents Cl, Br or I.

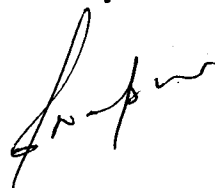


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[0031] The temperature of the reaction mixture can be gradually raised from 0° to room temperature. The mixture can be further stirred for 3-4 hours and the progress can be monitored by TLC. After complete consumption of starting material the reaction can be quenched with ice cold water (15 mL) and extracted with ethyl acetate (2x15 mL). The combined organic extracts can be washed with water (20 mL), brine (20 mL), dried over anhydrous sodium sulphate and filtered. The filtrate can be concentrated under vacuum and the crude compound can be purified using silica-gel column chromatography with ethyl acetate as mobile phase in order to obtain high yields of alkylated compounds.

[0032] The alkylated compounds can be added to t-butanol (20 eq), HMPA (10 eq) then de-gassed for a time period of 10 minutes. Freshly opened SmI_2 (0.1M in THF, 20 eq) can be added to the compound in two portions at a time interval of 30 minutes and stirred for 2 hours at room temperature.

[0033] The progress of the reaction can be monitored by TLC, after complete consumption of the starting material the reaction mixture was quenched with water (10 mL), and 2N HCl (10 mL). The aqueous layer can be extracted with ethyl acetate (2x15



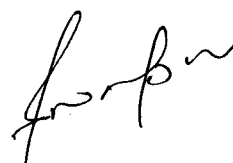
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mL), in order to thereby wash the combined organic extracts with water (10 mL), brine (10 mL). The extract is further dried over anhydrous sodium sulphate and filtered subsequently. The filtrate can be concentrated under vacuum and the crude compounds are subjected to silica-gel column chromatography.

[0034] The Amorfrutin A and its analogues can be finally obtained when the "R" residue in the starting reactants (aryl moiety) were subjected to basic hydrolysis using sodium hydroxide (4 eq) in THF, water mixture (2:1) at 50 °C, for 32 h. After complete consumptions of reactants, the reaction mixture can be diluted with water (10 mL), neutralized with 2N HCl and extracted with CH₂Cl₂ (3x15 mL). The organic extracts were dried over anhydrous sodium sulphate, filtered and the filtrate was concentrated under reduced pressures. The crude compounds can be finally purified by silica gel column chromatography using methanol/dichloromethane mixtures as eluent.

[0035] FIG. 3 illustrates a chemical process 300 of synthesis of Cajaninstilbenes acid and its analogues using the common building block 100, in accordance with the disclosed embodiments. Initially, sodium hydride (0.012g, 0.3 mmol) is added to a solution of building block (0.1g, 0.2 mmol) 100 in dry DMF at 0° C, under nitrogen



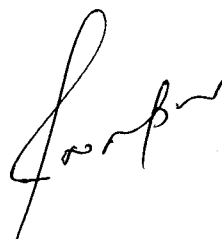
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atmosphere which turns the solution into reddish orange indicating the formation of carbanion. The solution can be stirred for a time period e.g., 10 minutes in order thereby add a solution of aldehydes (0.24 mmol, 1.2 eq) in DMF (1 mL). Note that the aldehydes can be for example, but not limited to, aromatic, functionalized aromatic, hetero aromatic, aliphatic, sugar derived aldehydes.

[0036] Further, the temperature of the reaction can be gradually raised from 0 ° to room temperature and the solution is further stirred at the room temperature for a time period (3-4hours). The progress of the reaction can be monitored by TLC and after complete consumption of starting material; the reaction can be quenched with ice cold water (15 mL) and extracted with ethyl acetate (2x15 mL). The combined organic extracts can be washed with water (20 mL), brine (20 mL) and dried over anhydrous sodium sulphate. The solution can be further filtered and concentrated under vacuum. The crude compound can be subjected to column chromatography using silica gel as stationary phase, and combination of hexane/ethyl acetate as mobile phase. The *Cajaninstilbenes* acid and its analogues can be obtained as single E-isomer.

[0037] The *Cajaninstilbenes* acid and its analogues can be subjected to basic hydrolysis using sodium hydroxide (4 eq) in THF+ water mixture (2:1) at 50°, for 32 h.



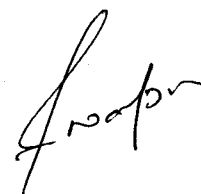
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After complete consumptions of the starting material, the reaction mixture can be diluted with water (10 mL), and neutralized with 2N HCl followed by extraction with CH₂Cl₂ (3x15 mL). The organic extracts were dried over anhydrous sodium sulphate, filtered and the filtrate was concentrated under reduced pressure. The crude compound was purified by silica gel column chromatography using methanol/dichloromethane mixture as eluent during chromatography.

[0038] The novel common building block structure described herein can be therefore adapted to effectively synthesize multi-class compounds of prenylated bibenzyls and prenylated stilbenoids. The common building block structure is also capable of synthesizing the analogues of prenylated bibenzyl and stilbenoids. It is therefore the common building block and the optimized process for synthesizing both prenylated bibenzyl and stilbenoids can be adapted in a wide range of medicine/biotechnology and pharmaceutical applications for producing molecules containing bibenzyl moiety displaying extensive biological activities, including, but not limited to, anti-oxidant, antimicrobial, antifungal, antitumor and cytotoxic etc.

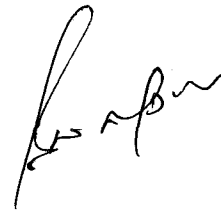
[0039] It will be appreciated that variations of the above-disclosed and other features and functions, or alternatives thereof, may be desirably combined into many



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other different systems or applications. Also that various presently unforeseen or unanticipated alternatives, modifications, variations or improvements therein may be subsequently made by those skilled in the art which are also intended to be encompassed by the following claims.

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ABSTRACT

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A process for synthesis of amorfrutin and cajaninistilbenes and their analogues from a common building block. A common building block can be adapted for synthesizing the chemical compounds, such as, but not limited to amorfrutin (prenylated bibenzyl) and cajaninistilbenes acid (prenylated stilbenoids). The process of synthesis of amorfrutin (prenylated bibenzyl) involves alkylation of the common building block with various substituted benzyl bromides and desulfonylation & hydrolysis process. Similarly, the process of synthesis of cajaninistilbenes acid (prenylated stilbenoids) involves Julia olefination of the common building block with various substituted aromatic aldehydes and hydrolysis process. The novel common building block structure and the process can be therefore adapted to effectively synthesize multi-class compounds of prenylated bibenzyls and prenylated stilbenoids.

