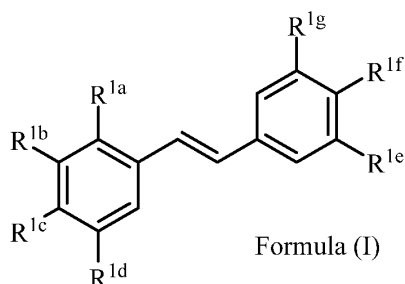


CLAIMS:

1. A process of synthesising a compound of formula (I):



wherein:

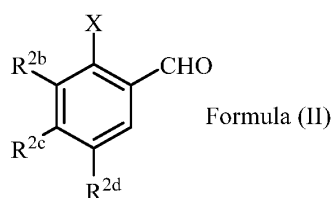
- 5 R^{1a} is independently allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl or 2-alkynyl;
 R^{1b} is independently CF_3 , OH, OR^2 , NO_2 , $NHEt$, NMe_2 , $NMeEt$, NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1c} is independently H, alkyl, alkenyl, alkynyl, alkanedienyl, prenyl, geranyl,
 10 farnesyl, or benzyl;
 R^{1d} is independently CF_3 , OH, OR^2 , NHR^3 , NO_2 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1e} is independently H, C_1 - C_6 alkyl, OH, OR^2 , NO_2 , NMe_2 , NHR^3 or $NMeR^3$, $NHC(O)H$, SR^2 ;
 15 R^{1f} is independently H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, $COOR^2$, $COOH$;
 R^{1g} is independently H, alkyl, CF_3 , OH or OR^2 ;
 and no more than one of R^{1e} , R^{1f} or R^{1g} can be H;
 or R^{1e} and R^{1f} form a five or six-membered heterocyclic ring containing a
 20 carbonyl group or $(CO)CH_2$ group when R^{1e} is independently OH, NH_2 or $NHMe$ and R^{1f} is NH_2 , such that the nitrogen of R^{1f} is bridged with the carbonyl group or $(CO)CH_2$ group to the oxygen or nitrogen of R^{1e} ;
 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl, $COCOOR^4$ or an O-protecting group when R^2 is attached to an
 25 O;

R^3 is independently H, CH_3 , OH, (CO)H, (CO)Me, SO_2Me , CH_2COOH , CH_2COOR^2 , $CO(CH_2)_nNH_2$, $CONH_2$, $CO(CH_2)_nCOOH$ or $COCOOR^4$, where n is 0, 1 or 2;

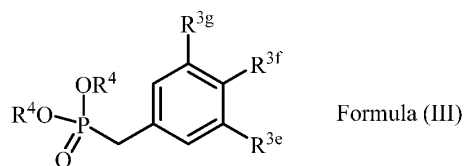
R^4 is C_1 - C_6 alkyl; and

5 the process comprising:

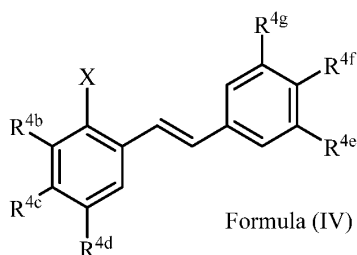
i) a step of coupling a compound of formula (II) (Module A) with a compound of formula (III) (Module B) to afford a compound of formula (IV) (Module C):



Module A



Module B



Module C

wherein,

in Formula II (Module A):

R^{2b} is independently CF_3 , OH, OR^2 , NO_2 , NMe_2 , $NHEt$, $NMeEt$, NHR^3 or $NMeR^3$;

R^{2c} is independently H, alkyl, alkenyl, alkynyl, alkanedieryl, prenyl, geranyl, farnesyl, or benzyl;

R^{2d} is independently CF_3 , OH or OR^2 , NO_2 , NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;

X is a halide or a hydroxyl group, wherein the halide is selected from the group consisting of F, Cl, Br, I, and At;

- 5 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl, or O-protecting group when R^2 is attached to an O; and R^3 is independently H, OH, CH_3 , $(CO)H$, $COMe$, SO_2Me , $COCH_2NH_2$, or CH_2COOR^2 ; and

- 10 in Formula III (Module B):

R^{3e} is independently H, C₁-C₆ alkyl, OH, OR^2 , NO_2 , $NHMe$, NMe_2 , NHR^3 or $NMeR^3$, SR^2 ;

R^{3f} is independently H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, $COOH$ or $COOR^2$;

- 15 R^{3g} is independently H, alkyl, CF_3 , OH or OR^2 ;
and no more than one of R^{3e} , R^{3f} or R^{3g} can be H;
or R^{3e} and R^{3f} form a five or six-membered heterocyclic ring containing a carbonyl group or $(CO)CH_2$ group when R^{3e} is OH, NH_2 or $NHMe$ and R^{3f} is NH_2 , such that the nitrogen of R^{3f} is bridged with the carbonyl group or
20 $(CO)CH_2$ group to the oxygen or nitrogen of R^{3e} ;
 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl or O-protecting group when R^2 is attached to an O,
 R^3 is independently H, CH_3 , OH, $(CO)H$, $COMe$, SO_2Me , $COCH_2NH_2$, CH_2COOH or CH_2COOR^2 ; and

25

in Formula IV (Module C):

R^{4b} is independently CF_3 , OH or OR^2 , NO_2 , NMe_2 , $NHEt$, $NMeEt$, NHR^3 or $NMeR^3$;

- 30 R^{4c} is independently H, alkyl, alkenyl, alkynyl, alkanedienyl, prenyl, geranyl, farnesyl, or benzyl;

- R^{4d} is independently CF_3 , OH, OR^2 , OR^3 , NO_2 or NHR^3 , $NMeR^3$,
 $NHC=NH(NH_2)$ or $COOR^2$;
 R^{4e} is independently H, C₁-C₆ alkyl, OH, OR^2 , NO_2 , NMe_2 , NHR^3 or $NMeR^3$
 $NHC(O)H$ or SR^2 ;
5 R^{4f} is independently H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, COOH or
 $COOR^2$;
 R^{4g} is independently H, alkyl, CF_3 , OH or OR^2 ;
and no more than one of R^{4e} , R^{4f} or R^{4g} can be H;
or R^{4e} and R^{4f} form a five or six-membered heterocyclic ring containing a
10 carbonyl group or (CO)CH₂ group when R^{4e} is OH, NH₂ or NHMe and R^{4f} is
NH₂, such that the nitrogen of R^{4f} is bridged with the carbonyl group or
(CO)CH₂ group to the oxygen or nitrogen of R^{4e} ;
 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl,
trifluoromethyl or O-protecting group when R^2 is attached to an O;
15 R^3 is independently H, CH₃, OH, (CO)H, COMe, SO₂Me, COCH₂NH₂,
CH₂COOH or CH₂COOR²; and
X is a halide hydroxyl group, wherein the halide is selected from the group
consisting of F, Cl, Br, I, and At;
wherein the O-protecting group is selected from the group consisting of COMe, *t*-
20 BuSi(CH₃)₂, 2-(trimethylsilyl)ethoxymethyl (SEM), CH(OEt)CH₃, tetrahydropyranyl,
or C(OEt)(CH₃)₂.
2. The process of claim 1, wherein when X in formula (II) and (IV) is a halide.
 - 25 3. The process of claim 1, wherein X in formula (II) and (IV) is hydroxyl.
 4. The process of claim 2, wherein the compound of formula (IV) undergoes a
coupling reaction with one of the following:
 - a) a R^{1a} -substituted boronic acid compound or ester;
 - 30 b) a R^{1a} -substituted trifluoroborate compound; or
 - c) a R^{1a} -substituted organostannane compound;
to form the compound of formula (I),

wherein R^{1a} is selected from the group consisting of allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl or 2-alkynyl.

5. The process of claim 3 wherein the hydroxyl group is converted to a triflate (trifluoromethylsulfonate) group to form a triflate of formula (IV), and the triflate of formula (IV) undergoes a coupling reaction with one of the following:
- a) a R^{1a}-substituted boronic acid compound or ester;
 - b) a R^{1a}-substituted trifluoroborate compound; or
 - c) a R^{1a}-substituted organostannane compound
- 10 to form the compound of formula (I),
- wherein R^{1a} is selected from the group consisting of allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl or 2-alkynyl.
6. The process of claim 4 or 5, wherein the R^{1a}-substituted boronic acid compound is a R^{1a}-substituted boronic acid pinacol ester.
7. The process of claim 4 or 5 wherein the R^{1a}-substituted organostannane compound is a R^{1a}-substituted tributylstannane.
8. The process of claim 4 or 5 wherein the R^{1a}-substituted trifluoroborate compound is potassium R^{1a}-substituted trifluoroborate.
9. The process of any one of claims 4 - 8 wherein R^{1a} is selected from the group consisting of allyl, crotyl, prenyl, benzyl or 2-alkenyl.
10. The process of any one of claims 4 - 9, wherein R^{1a} is a prenyl group selected from the group consisting of CH₂CH=C(CH₃)₂, CH=CHCH(CH₃)₂ and CH=CHC(CH₃)=CH₂.
11. The process of any one of claims 4 - 6 wherein the R^{1a}-substituted boronic acid compound is selected from the group comprising 3-methylbut-2-enylboronic acid pinacol ester, crotylboronic acid pinacol ester, allylboronic acid pinacol ester and benzylboronic acid pinacol ester.

12. The process of any one of claims 4 to 10, wherein the coupling reaction is catalysed by a palladium compound.
- 5 13. The process of any one of claims 4 to 12, wherein the coupling reaction is catalysed by a palladium compound selected from the group consisting of tetrakis(triphenylphosphine)palladium(0) and 1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II).
- 10 14. The process of any one of claims 4 to 13, wherein the coupling reaction is catalysed by the palladium catalyst in the presence of a base.
- 15 15. The process of claim 14, wherein the base is selected from the group consisting of alkali metal carbonates including potassium carbonate (K_2CO_3); hydrogen carbonates; calcium carbonate; magnesium carbonate; and alkylamines including triethylamine.
- 20 16. The process of any one of claims 4 to 5 and 6, wherein the compound of formula (IV) undergoes a coupling reaction with a R^{1a} -substituted boronic acid pinacol ester catalysed by tetrakis(triphenylphosphine)palladium(0) in the presence of a base, preferably potassium carbonate, wherein R^{1a} is selected from a prenyl, crotyl, allyl or benzyl group.
- 25 17. The process of any one of claims 4 to 5 and 7, wherein the compound of formula (IV) undergoes a coupling reaction with a R^{1a} -substituted tributylstannane catalysed by 1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium(II) wherein R^{1a} is selected from a prenyl, crotyl, allyl or benzyl group.
19. The process of any one of claims 1-17, wherein
- 30 in Formula (I):
- R^{1a} is independently allyl, crotyl, prenyl, benzyl, or 2-alkenyl;
 R^{1b} is independently OH, OR^2 or $NHC(O)Me$;
 R^{1c} is H;
 R^{1d} is independently OH, NHR^3 , $NHC(O)H$ or NO_2 ;

R^{1e} is independently OH, OR^2 , NMe_2 , NHR^3 , $NMeR^3$, $NHC(O)H$ or SR^2 ;

R^{1f} is independently H, OH, NO_2 , OR^2 , NHR^3 , $NHC=NH(NH_2)$, or $COOH$;

R^{1g} is independently H, alkyl, OH or OR^2 ;

and no more than one of R^{1e} , R^{1f} or R^{1g} can be H;

5 or R^{1e} and R^{1f} form a five or six-membered heterocyclic ring containing a carbonyl group when R^{1e} is OH, NH_2 or $NHMe$ and R^{1f} is NH_2 , such that the nitrogen of R^{1f} is bridged with the carbonyl group to the oxygen or nitrogen of R^{1e} ;

10 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl or an O-protecting group when R^2 is attached to an O, wherein the O-protecting group is selected from the group consisting of $COMe$, $t-BuSi(CH_3)_2$, 2-(trimethylsilyl)ethoxy]methyl (SEM), $CH(OEt)CH_3$, tetrahydropyranyl, or $C(OEt)(CH_3)_2$;

15 R^3 is independently H, CH_3 , OH, $(CO)H$, $(CO)Me$, SO_2Me , $CO(CH_2)_nNH_2$, CH_2COOR^2 , $CO(CH_2)_nCOOH$ or $COCOOR^4$, where n is 0, 1 or 2; and R^4 is C_1 - C_6 alkyl;

in Formula II (Module A):

R^{2b} is OH or OR^2 ;

R^{2c} is H;

20 R^{2d} is independently OH or OR^2 , NO_2 , NHR^3 or $COOR^2$;

X is a halide or hydroxyl group, wherein the halide is selected from the group consisting of F, Cl, Br, I, and At;

R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl, or an O-protecting group;

25 R^3 is independently H, OH, CH_3 , $(CO)H$, $COMe$, SO_2Me , $COCH_2NH_2$, or CH_2COOR^2 ; and

in Formula III (Module B):

R^{3e} is independently OH, OR^2 , NO_2 , $NHMe$, NMe_2 , NHR^3 , $NMeR^3$ or SR^2 ;

30 R^{3f} is independently H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, $COOH$ or $COOR^2$;

R^{3g} is independently H, alkyl, OH or OR^2 ;
and no more than one of R^{3e} , R^{3f} or R^{3g} can be H;
or R^{3e} and R^{3f} form a five or six-membered heterocyclic ring containing a
carbonyl group or (CO)CH₂ group when R^{3e} is OH, NH₂ or NHMe and R^{3f} is
5 NH₂, such that the nitrogen of R^{3f} is bridged with the carbonyl group or
(CO)CH₂ group to the oxygen or nitrogen of R^{3e} ;
 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl,
trifluoromethyl or an O-protecting group when R^2 is attached to an O;
 R^3 is independently H, CH₃, OH, (CO)H, COMe, SO₂Me, COCH₂NH₂,
10 CH₂COOH or CH₂COOR²; and

in Formula IV (Module C):

R^{4b} is OH or OR^2 , NHC(O)Me;
 R^{4c} is H;
 R^{4d} is independently OH or OR^2 , NO₂ or NHR³, NMeR³;
15 R^{4e} is independently OH, OR^2 , NO₂, NMe₂, NHR³ or NMeR³, NHC(O)H or
SR²;
 R^{4f} is independently H, OH, OR^2 , NO₂, NHR³, NHC=NH(NH₂), COOH or
COOR²;
 R^{4g} is independently H, alkyl, CF₃, OH or OR^2 ;
20 and no more than one of R^{4e} , R^{4f} or R^{4g} can be H;
or R^{4e} and R^{4f} form a five or six-membered heterocyclic ring containing a
carbonyl group or (CO)CH₂ group when R^{4e} is OH, NH₂ or NHMe and R^{4f} is
NH₂, such that the nitrogen of R^{4f} is bridged with the carbonyl group or
(CO)CH₂ group to the oxygen or nitrogen of R^{4e} ;
25 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl,
trifluoromethyl or an O-protecting group when R^2 is attached to an O;
 R^3 is independently H, CH₃, OH, (CO)H, COMe, SO₂Me, COCH₂NH₂,
CH₂COOH or CH₂COOR²; and
X is a halide or hydroxyl group, wherein the halide is selected from the group
30 consisting of F, Cl, Br, I, and At.

20. The process of any one of claims 1-17 wherein

in Formula (I):

- 5 R^{1a} is independently crotyl, prenyl, benzyl, 2-alkenyl;
 R^{1b} is independently CF_3 , or OR^2 or $NHC(O)Me$;
 R^{1c} is H;
 R^{1d} is independently OH, NHR^3 or NO_2 ;
 R^{1e} is independently OR^2 , NHR^3 or $NMeR^3$ or SR^2 ;
 R^{1f} is independently H, OH, NO_2 , NHR^3 , $NHC=NH(NH_2)$ or $COOH$;
 10 R^{1g} is independently H, alkyl, OH or OR^2 ;
 or R^{1e} and R^{1f} form a five or six-membered heterocyclic ring containing a
 carbonyl group when R^{1e} is OH, NH_2 or $NHMe$ and R^{1f} is NH_2 , such that the
 nitrogen of R^{1f} is bridged with the carbonyl group to the oxygen or nitrogen of
 R^{1e} ;
 15 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl,
 R^3 is independently H, Me, $(CO)H$, $(CO)Me$, SO_2Me , CH_2COOR^2 , $COCH_2NH_2$
 or $CO(CH_2)_nCOOH$ where $n = 0, 1$ or 2 ; and

in Formula II (Module A):

- 20 R^{2b} is independently CF_3 , OH, OR^2 , NO_2 , NMe_2 , $NHEt$, $NMeEt$, NHR^3 or
 $NMeR^3$;
 R^{2c} is independently H, alkyl, alkenyl, alkynyl, alkanedienyl, prenyl, geranyl,
 farnesyl, or benzyl;
 R^{2d} is independently CF_3 , OH or OR^2 , NO_2 , NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$ or
 $COOR^2$;
 25 X is a halide or hydroxyl group, wherein the halide is selected from the group
 consisting of F, Cl, Br, I, and At;
 R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl,
 trifluoromethyl, or an O-protecting group;
 R^3 is independently H, OH, CH_3 , $(CO)H$, $COMe$, SO_2Me , $COCH_2NH_2$, or
 30 CH_2COOR^2 ; and

in Formula III:

R^{3e} is independently OH, OR^2 , NO_2 , NHR^3 or $NMeR^3$, $(CO)H$, $NHC(O)H$ or SR^2 ;

5 R^{3f} is independently H, OH, OR^2 , NO_2 , NHR^3 ;

R^{3g} is independently H, alkyl, OH or OR^2 ;

R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl or O-protecting group when R^2 is protecting an O, wherein the O-protecting group is selected from the group consisting of COMe, *t*-BuSi(CH₃)₂,

10 2-(trimethylsilyl)ethoxymethyl (SEM), CH(OEt)CH₃, tetrahydropyranyl, or C(OEt)(CH₃)₂; and

R^3 is independently H, CH₃, $(CO)H$, COMe, SO₂Me, CH₂COOR², or COCH₂NH₂; and

15 in Formula IV:

R^{4b} is independently CF₃, OH, OR^2 or $NHC(O)Me$;

R^{4c} is H;

R^{4d} is independently OH, NH₂ or $NH(CO)H$;

R^{4e} is independently OH, OR^2 , NO_2 , NHR^3 , $NMeR^3$ or SR^2 ;

20 R^{4f} is independently H, OH, OR^2 , NO_2 or NHR^3 ;

R^{4g} is independently H, alkyl, OH or OR^2 ;

R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl or O-protecting group when R^2 is attached to an O, wherein the O-protecting group is selected from the group consisting of COMe, *t*-BuSi(CH₃)₂, 2-

25 (trimethylsilyl)ethoxymethyl (SEM), CH(OEt)CH₃, tetrahydropyranyl, or C(OEt)(CH₃)₂;

R^3 is independently H, $(CO)H$, COMe, SO₂Me, or CH₂COOR²; and

X is a halide or a hydroxyl group, wherein the halide is selected from the group consisting of F, Cl, Br, I, and At.

30

21. The process of any one of claims 1-17 wherein

in formula (I):

- R^{1a} is independently allyl, crotyl, prenyl, benzyl,;
- R^{1b} is independently OR^2 or $NHC(O)Me$;
- R^{1c} is H;
- 5 R^{1d} is independently OH, NH_2 , $NHC(O)H$;
- R^{1e} is independently OH, OR^2 , NO_2 , NHR^3 or $NMeR^3$, $(CO)H$, SMe ;
- R^{1f} is independently H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, $COOH$;
- R^{1g} is H, alkyl, OH, OR^2 ;
- R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl,
- 10 trifluoromethyl or an O-protecting group when R^2 is attached to an O, wherein the O-protecting group is selected from the group consisting of $COMe$, *t*- $BuSi(CH_3)_2$, 2-(trimethylsilyl)ethoxymethyl (SEM), $CH(OEt)CH_3$, tetrahydropyranyl, or $C(OEt)(CH_3)_2$;
- R^3 is independently H, CH_3 , OH, $(CO)H$, $(CO)Me$, SO_2Me , CH_2COOR^2 ,
- 15 $COCH_2NH_2$, $CO(CH_2)_nCOOH$ where n is 0, 1 or 2; and

in Formula II:

- R^{2b} is independently CF_3 , OH or OR^2 ;
- R^{2c} is H,
- 20 R^{2d} is independently OH or NH_2 or $NHC(O)H$;
- X is a halide or hydroxyl group, wherein the halide is selected from the group consisting of F, Cl, Br, I, and At;
- a halide selected from the group consisting of F, Cl, Br, I, and At;
- R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl,
- 25 trifluoromethyl or O-protecting group when R^2 is attached to an O, wherein the O-protecting group is selected from the group consisting of $(CO)Me$, *t*- $BuSi(CH_3)_2$, 2-(trimethylsilyl)ethoxymethyl (SEM), $CH(OEt)CH_3$, tetrahydropyranyl, or $C(OEt)(CH_3)_2$; and

30 in Formula III:

- R^{3e} is independently OH, OR^2 , NO_2 , NHR^3 , $NMeR^3$ or SR^2 ;

R^{3f} is independently H, OH, OR^2 , NO_2 or NHR^3 ;

R^{3g} is independently H, alkyl, OH or OR^2 ;

R^2 is independently methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl or O-protecting group when R^2 is protecting an O, wherein the O-protecting group is selected from the group consisting of (CO)Me, *t*-BuSi(CH₃)₂, 2-(trimethylsilyl)ethoxymethyl (SEM), CH(OEt)CH₃, tetrahydropyranyl, or C(OEt)(CH₃)₂; and

R^3 is independently H, CH₃, (CO)H, (CO)Me, SO₂Me, CH₂COOR² or COCH₂NH₂; and

in Formula IV:

R^{4b} is independently CF₃, OH or OR^2 ;

R^{4c} is H;

R^{4d} is independently OH, NH₂, or NH(CO)H;

R^{4e} is independently OH, OR^2 , NO_2 , NHR^3 or NMeR³ or SR²;

R^{4f} is independently H, OH, OR^2 , NO_2 or NHR^3 ;

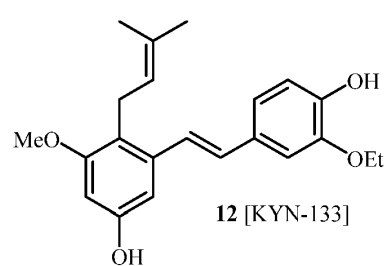
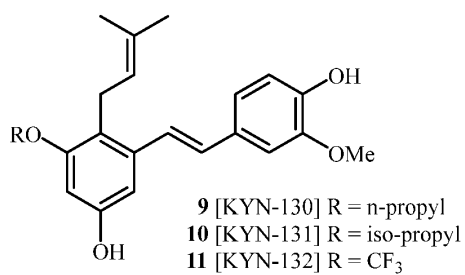
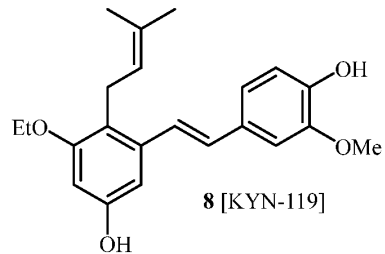
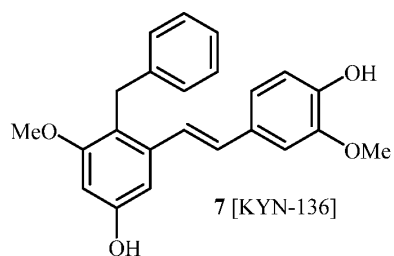
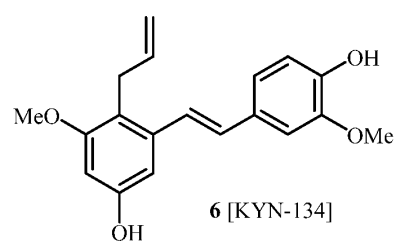
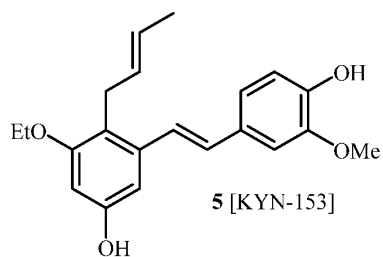
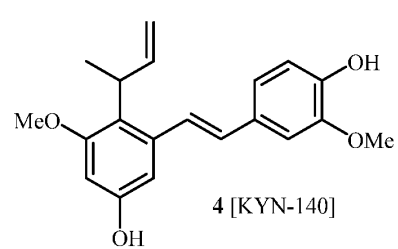
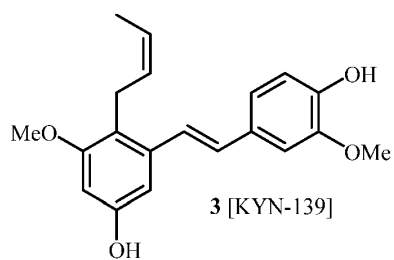
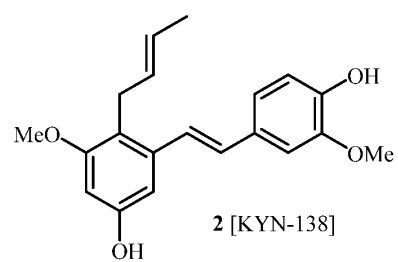
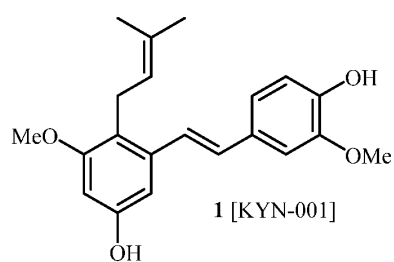
R^{4g} is independently H, alkyl, OH or OR^2 ;

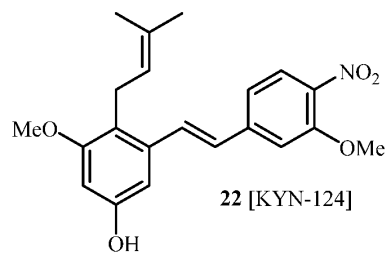
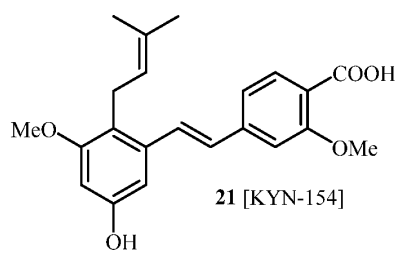
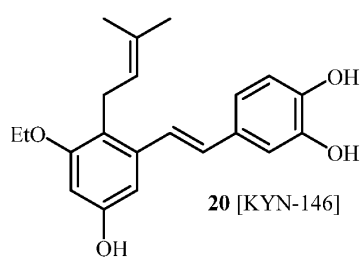
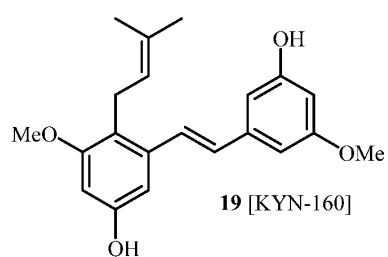
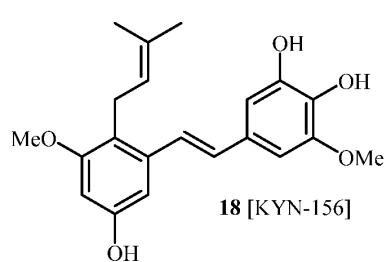
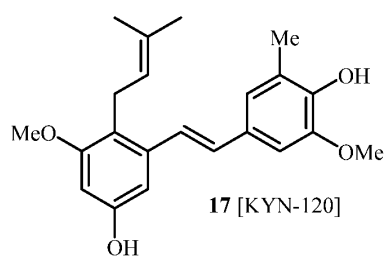
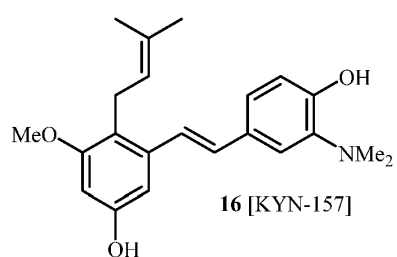
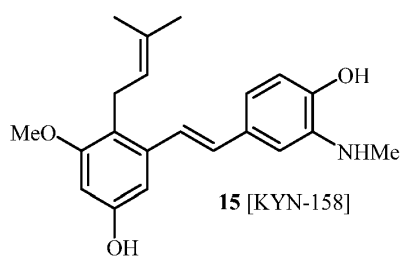
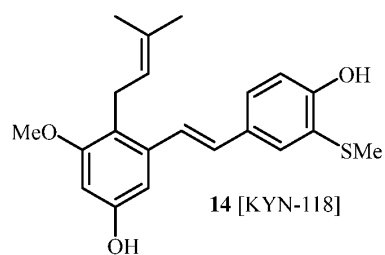
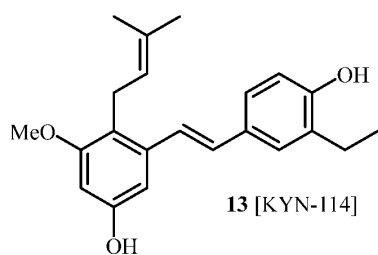
R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl or O-protecting group when R^2 is attached to an O, wherein the O-protecting group is selected from the group consisting of (CO)Me, *t*-BuSi(CH₃)₂, 2-(trimethylsilyl)ethoxymethyl (SEM), CH(OEt)CH₃, tetrahydropyranyl, or C(OEt)(CH₃)₂;

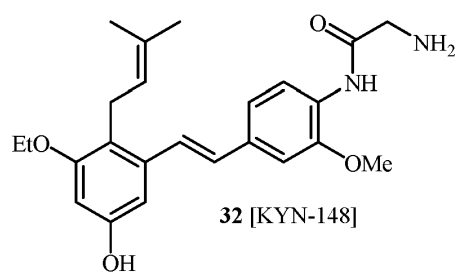
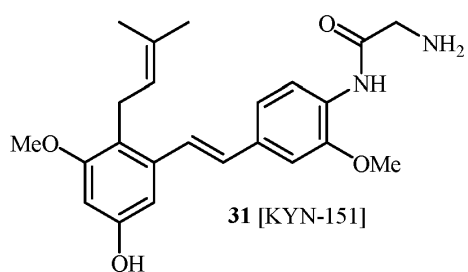
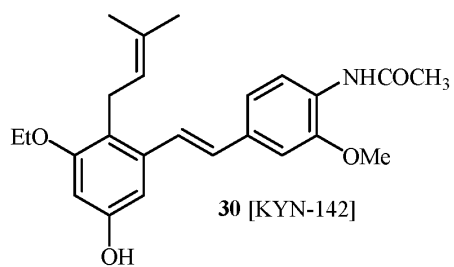
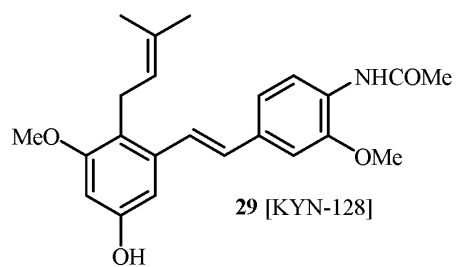
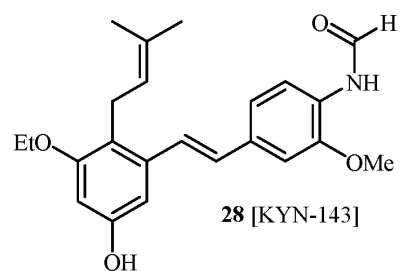
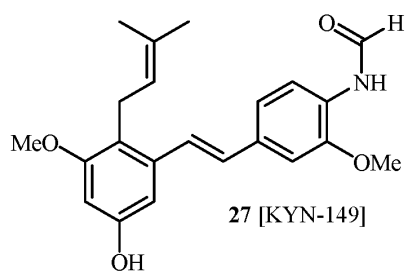
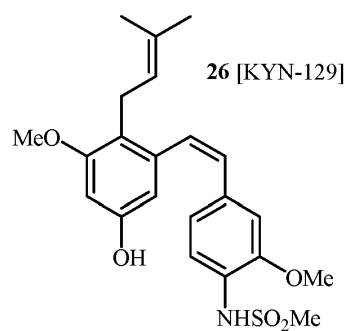
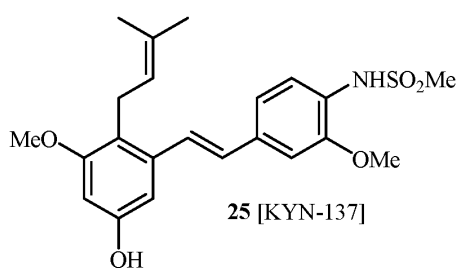
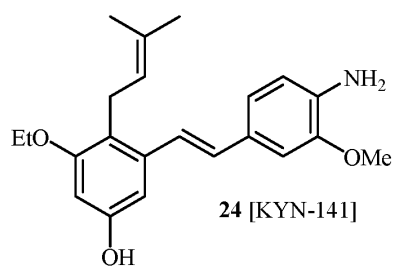
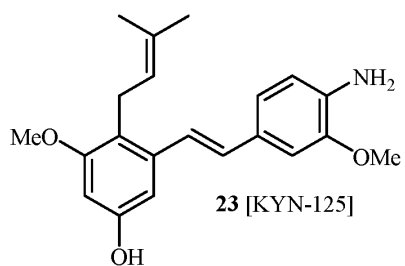
R^3 is independently H, (CO)H, (CO)Me, SO₂Me, or CH₂COOR²; and

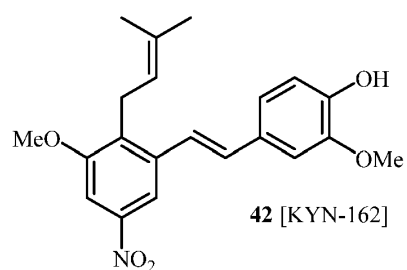
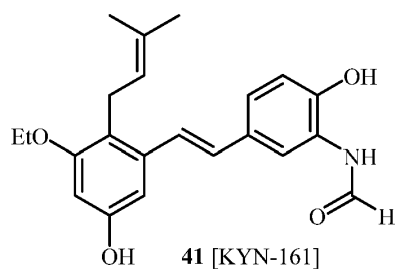
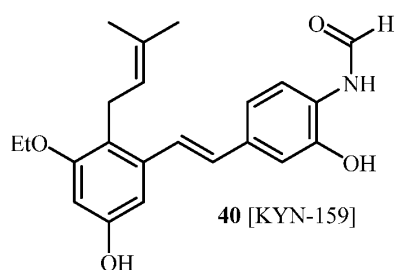
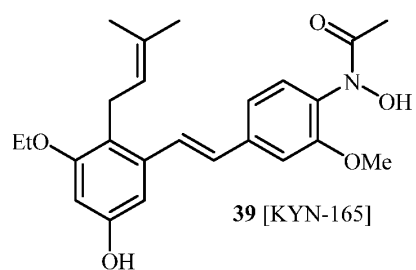
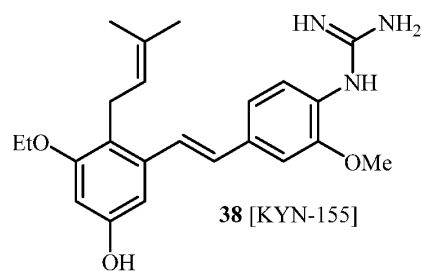
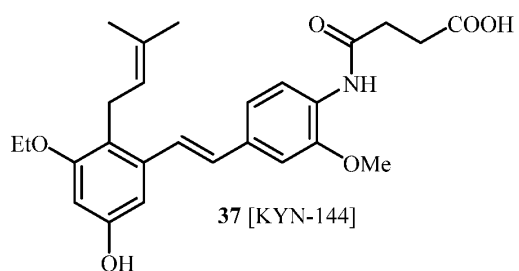
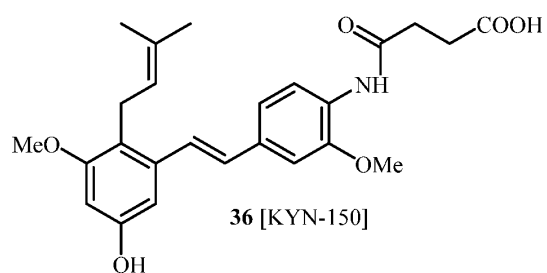
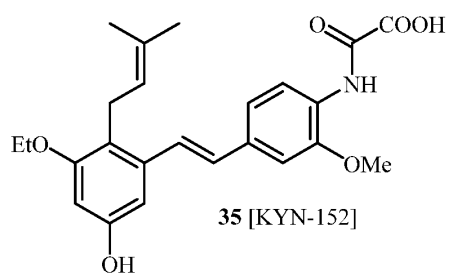
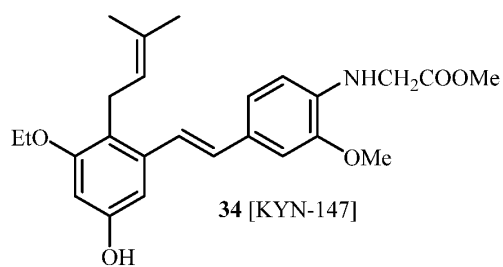
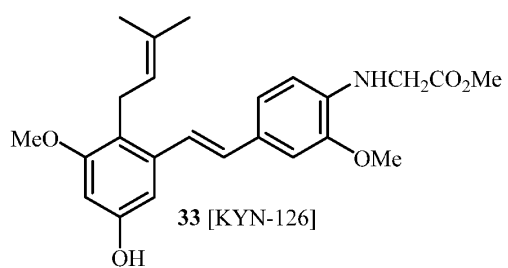
X is a halide(Hal) or hydroxyl group, wherein the halide is selected from the group consisting of F, Cl, Br, I, and At.

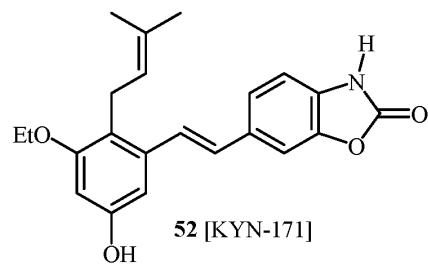
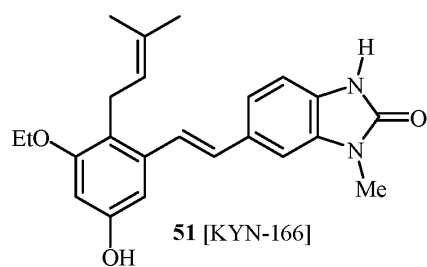
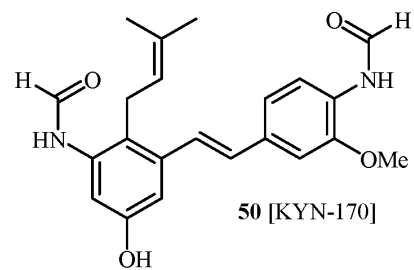
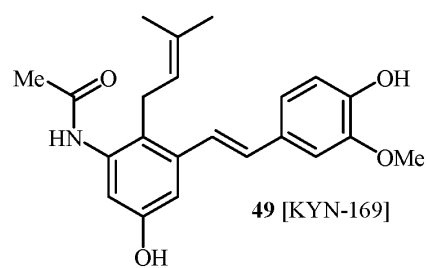
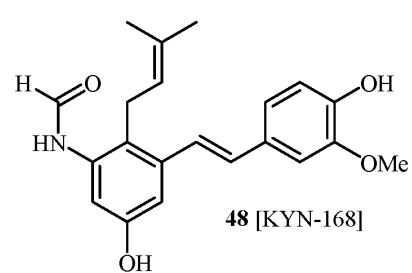
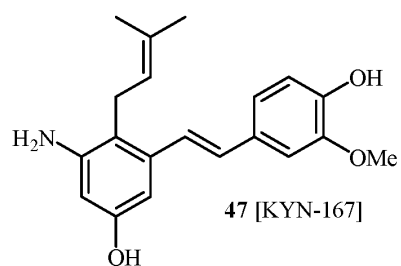
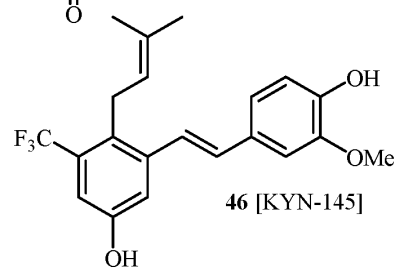
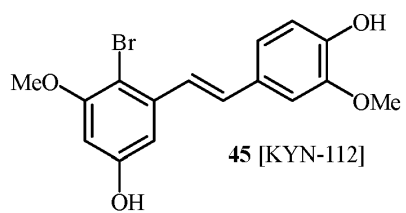
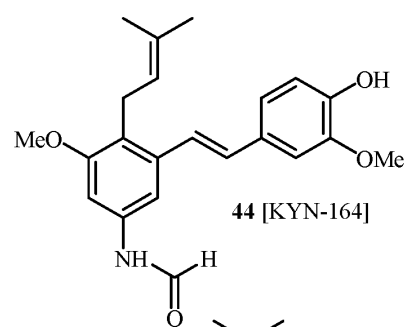
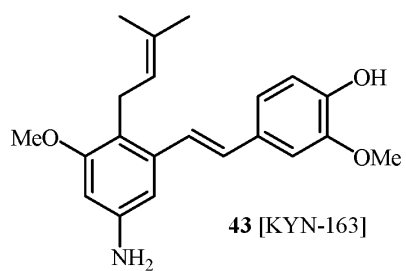
22. The process of any one of claims 1-17 wherein the compound according to formula (I) is selected from the group consisting of:











23. The process of any one of claims 1-17,

wherein in formula (I):

- 5 R^{1a} is allyl, crotyl, prenyl, benzyl, or 2-alkenyl
 R^{1b} is OR^2 or $NHC(O)H$,
 R^{1c} is H;
 R^{1d} is OH ,;
 R^{1e} is OR^2 ;
 10 R^{1f} is NO_2 , NHR^3 or $NHC=NH(NH_2)$,;
 R^{1g} is H;
 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl or t-butyl;
 R^3 is H, $(CO)H$, $(CO)Me$, SO_2Me , CH_2COOR^2 , $COCH_2NH_2$ or
 $CO(CH_2)_nCOOH$ (where $n = 0, 1$ or 2);
 15 in Formula II:
 R^{2b} is CF_3 , OH or OR^2 ;
 R^{2c} is H,
 R^{2d} is OH or NH_2 , $NH(CO)H$;
 Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;
 20 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl or
 O-protecting group when R^2 is attached to an O, wherein the O-protecting group
 is selected from the group consisting of COMe, *t*-BuSi(CH₃)₂, 2-
 (trimethylsilyl)ethoxymethyl (SEM), CH(OEt)CH₃, tetrahydropyranyl, or
 C(OEt)(CH₃)₂; and
 25 in Formula III:
 R^{3e} is OH , OR^2 ,;
 R^{3f} is, NO_2 , NHR^3 , $NHC=NH(NH_2)$,;;
 R^{3g} is H,;
 30 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, and
 R^3 is H, $(CO)H$, COMe, SO_2Me or CH_2COOR^2 , $COCH_2NH_2$; $CO(CH_2)_nCOOH$
 (where $n = 0, 1$ or 2); and

in Formula IV:

R^{4b} is, OR^2 , $NHC(O)Me$;

R^{4c} is H;

R^{4d} is OH ,;

5 R^{4e} is OR^2 ;

R^{4f} is, NO_2 , NHR^3 , $NHC=NH(NH_2)$,;

R^{4g} is H;

R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl,

R^3 is H, $(CO)H$, $COMe$, SO_2Me , or CH_2COOR^2 , $COCH_2NH_2$, $CO(CH_2)_nCOOH$

10 and

Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;

wherein formula IV is coupled with a R^{1a} boronic pinacol ester selected from the group consisting of 3-methylbut-2-enylboronic acid pinacol ester, crotylboronic acid pinacol ester, allylboronic acid pinacol ester and benzylboronic acid pinacol ester, catalysed by a palladium
15 catalyst in the presence of a base, to produce the compound of formula (I).

24. The process of claim 22 wherein

in formula (I):

R^{1a} is crotyl, prenyl,;;

20 R^{1b} is OR^2 ,

R^{1c} is H;

R^{1d} is OH ,;

R^{1e} is OR^2 ;

R^{1f} is NO_2 , NHR^3 , $NHC=NH(NH_2)$,;

25 R^{1g} is H;

R^2 is methyl, ethyl, ,;

R^3 is H, $(CO)H$, $(CO)Me$, SO_2Me , CH_2COOR^2 , $COCH_2NH_2$, $CO(CH_2)_nCOOH$

(where $n = 0, 1$ or 2);

in Formula II:

30 R^{2b} is OH or OR^2 ;

R^{2c} is H,

R^{2d} is OH ;

Hal is Br;

R² is methyl, ethyl,;and

in Formula III:

5 R^{3e} is OH, OR²,;

R^{3f} is, NO₂, NHR³, NHC=NH(NH₂),,;

R^{3g} is H,;

R² is methyl, ethyl, and

R³ is H, (CO)H, (CO)Me, SO₂Me or CH₂COOR², COCH₂NH₂;

10 CO(CH₂)_nCOOH (where n = 0, 1 or 2); and

in Formula IV:

R^{4b} is, OR²,;

R^{4c} is H;

R^{4d} is OH,;

15 R^{4e} is OR²,;

R^{4f} is, NO₂, NHR³, NHC=NH(NH₂),;

R^{4g} is H,;

R² is methyl, ethyl,

R³ is H, (CO)H, (CO)Me, SO₂Me, or CH₂COOR², COCH₂NH₂,

20 CO(CH₂)_nCOOH and

Halide is, Br;

wherein formula IV is coupled with a R^{1a} boronic pinacol ester selected from the group consisting of 3-methylbut-2-enylboronic acid pinacol ester, crotylboronic acid pinacol ester, allylboronic acid pinacol ester and benzylboronic acid pinacol ester, catalysed by a palladium catalyst in the presence of a base, to produce the compound of formula (I).

25, The process of claims 22 or 23, wherein the compound according to formula (I) are selected for the group consisting of:

30

compounds 22, 23, 24, 25, 27, 28, 29, 30, 31, 36, 24, 33, 34, 35, 36, 37, 38, 39, 40, 50

26.. The process of any one of claims 1-17 wherein the the compound of formula (IV) or (I) undergo one or more further reactions, selected form one or more of the following:

- 5 reaction with one or more -NH_2 , NO_2 and/or -OH substituents on formula (IV) or formula (I);

conversion of an -OH substituents to methoxyoxoacetamido (-NHC(O)C(O)OCH_3) substituents by reaction of the compound containing the OH substituent with methyl
10 chlorooxoacetate in the presence of a base, such as triethylamine.

conversion of one or more -NH_2 substituents to methyl oxalate substituents by reaction of the compound containing the NH_2 substituent with methyl chlorooxoacetate in the presence of a base, such as triethylamine.

Hydrolysis of one or more methoxyoxoacetamido substituents to a -NHC(O)C(O)OH
15 substituents by reaction of the compound containing the N methoxyoxoacetamido substituent with a base, for example LiOH.

Hydrolysis of one or more methyl oxalate substituents to -OH substituents by reaction of the compound containing the methyl oxalate substituent with a base, for example LiOH.

20 Conversion of one or more -NH_2 substituents to guanidine (-NHC(NH)NH_2) substituents by reaction of the compound containing the NH_2 substituent with cyanamide in the presence of an acid, such as p-toluenesulfonic acid.

Conversion of one or more -NH_2 substituents to formamide (-NHC(O)H) substituents by reaction of the compound containing the NH_2 substituent with ethyl formate.

25 Conversion of one or more -NH_2 substituents to an acetamido (-NHC(O)CH_3) substituents by reaction of the compound containing the NH_2 substituent with acetic anhydride in the presence of a base such as DIPEA (diisopropylethylamine).

Conversion of one or more -NH_2 substituents to an amide derivative ($\text{-NHC(O)CH}_2\text{CH}_2\text{C(O)OH}$) substituents by reaction of the compound containing the NH_2 substituent with succinic anhydride in the presence of a base such as DIPEA (diisopropylethylamine).

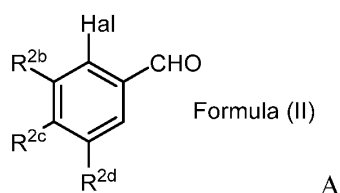
- 5 Conversion of one or more -NH_2 substituents to methyl amine substituents (-NHMe) by reaction of the compound containing the NH_2 substituent with formaldehyde in the presence of triacetoxyborohydride

- Conversion of one or more -OH substituents to acetate (-OC(O)CH_3) substituents by reaction of the compound containing the -OH substituent with acetic anhydride in the presence of a base such as DIPEA (diisopropylethylamine).
- 10

Hydrolysis of one or more acetate substituents may to form -OH substituents by reaction of the compound containing the acetate substituent with a base, for example, LiOH .

- Reduction of one or more -NO_2 substituents to amine substituents by, for example,
- 15 reaction of the compound containing the NO_2 substituent with Zn in the presence of ammonium chloride.

27.. The process according to any one of claims 1-26 wherein the compound according to formula (II) is



- 20 wherein

$\text{R}^{2b} = \text{OMe}$

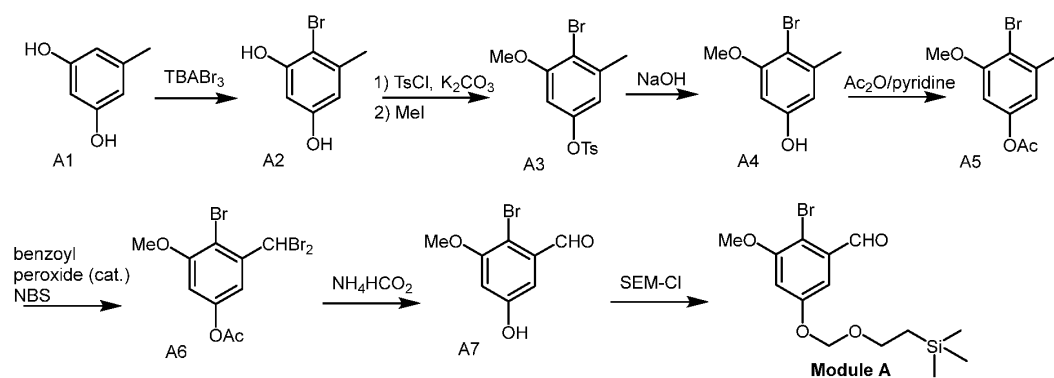
$\text{R}^{2d} = \text{OH}$ or OSEM

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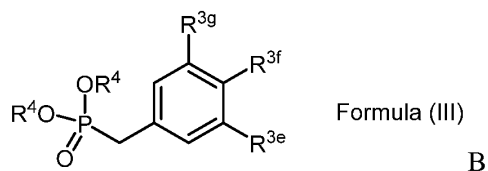
 $R^{2c}=H$

Hal is Br

28. The process according to claim 27 wherein the compound according to
 5 formula (II) is Module A and is prepared according to the following process:



29. The process according to any one of claims 1-26 wherein the compound
 10 according to formula (III) is



wherein

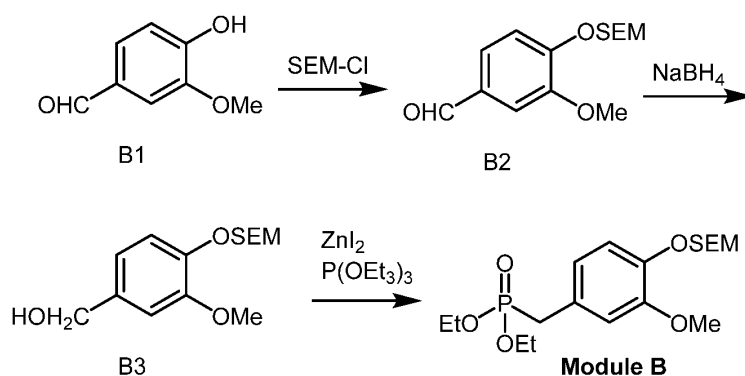
 $R^{3g}=H$

- 15 $R^{3f}=OSEM$

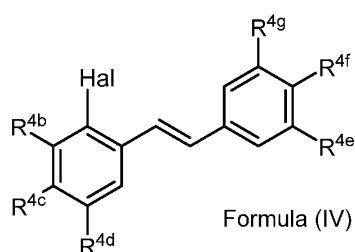
 $R^{3e}=OMe$ $R^4=Et$

30. The process according to claim 29 wherein the compound according to
 20 formula (III) is Module B and is prepared according to the following process:

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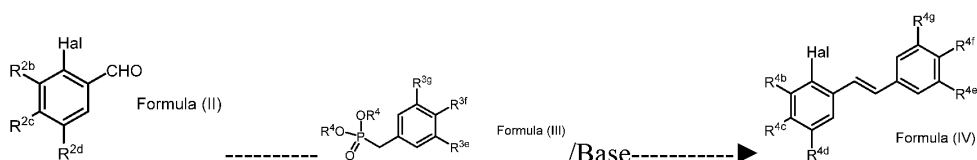
31. The process according to any one of claims 1-26 wherein the compound according to formula (IV) is



- 5 Wherein
- $R^{4b} = \text{OMe}$
- $R^{4c} = \text{H}$
- $R^{4d} = \text{OSEM, OH}$
- $R^{4g} = \text{H}$
- 10 $R^{4e} = \text{OMe}$
- $R^{4f} = \text{OSEM}$
- $\text{Hal} = \text{Br}$

32. The process according to any one of claims 1-26 wherein the compound

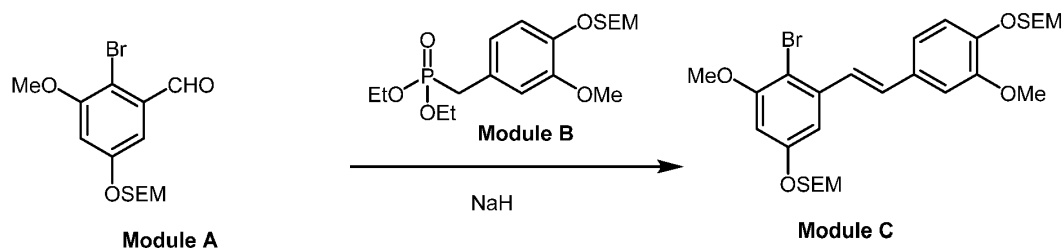
15 according to formula (IV) is prepared according to the following process:



wherein the base is selected from the group consisting of NaH.

33. The process of claim 31 wherein formula(II) is Module A, formula (III) is Module B and formula (IV) is Module C according to the following:

5



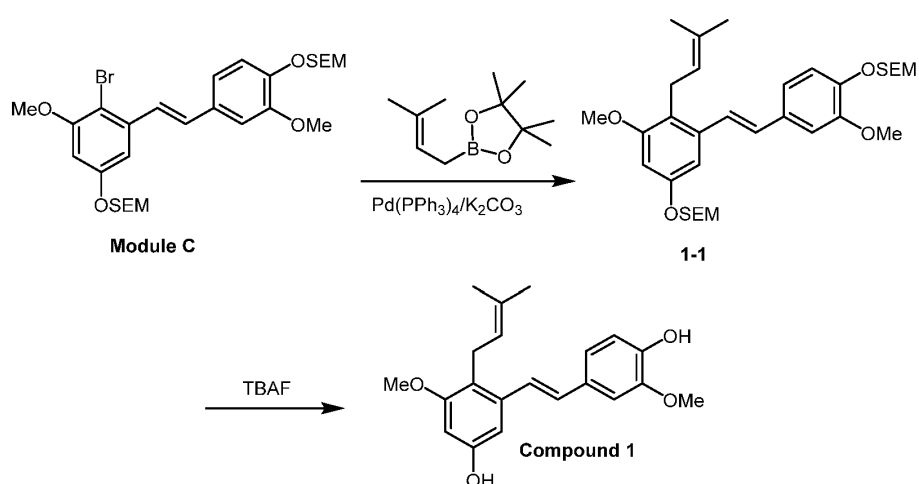
34. The process of claim 33 wherein:

i) Module C is coupled with 3-methylbut-2-enylboronic acid pinacol ester in the presence of tetrakis(triphenylphosphine)palladium(0) and potassium carbonate to afford compound 1.1;

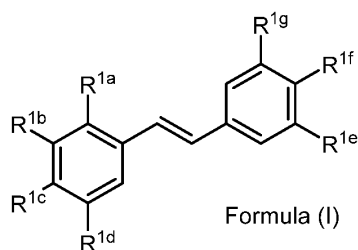
10

ii) compound 1.1 is treated with tetrabutylammonium fluoride to afford compound 1,

according to the following:



35. A compound according to formula (I)



prepared by a process according to any one of claims 1-34,

5 wherein:

R^{1a} is Hal, allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl, 2-alkynyl;
 R^{1b} is CF_3 , OH or OR^2 , NO_2 , $NHEt$, NMe_2 , $NMeEt$, NHR^3 , $NMeR^3$,
 $NHC=NH(NH_2)$ or $COOR^2$;

10 R^{1c} is H, alkyl, alkenyl, alkynyl, alkanedienyl, prenyl, geranyl, farnesyl, or
 benzyl;

R^{1d} is CF_3 , OH or OR^2 , NHR^3 , NO_2 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;

R^{1e} is H, C_1 - C_6 alkyl, OH, OR^2 , NO_2 , NMe_2 , NHR^3 or $NMeR^3$, SR^2 ;

R^{1f} is H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, $COOR^2$, $COOH$;

15 R^{1g} is H, alkyl, CF_3 , OH or OR^2 ;

R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl,
 $COCOOR^4$ or O-protecting group, wherein the O-protecting group is selected
 from the group consisting of COMe, *t*-BuSi(CH_3)₂, 2-

20 (trimethylsilyl)ethoxy]methyl (SEM) acetal, $CH(OEt)CH_3$, tetrahydropyranyl, or
 $C(OEt)(CH_3)_2$;

R^3 is H, CH_3 , OH, (CO)H, (CO)Me, SO_2Me , CH_2COOH , CH_2COOR^2 ,
 $CO(CH_2)_nNH_2$, $CONH_2$, $CO(CH_2)_nCOOH$ or $COCOOR^4$ (where $n = 0, 1$ or 2);

R^4 is C_1 - C_6 alkyl; and

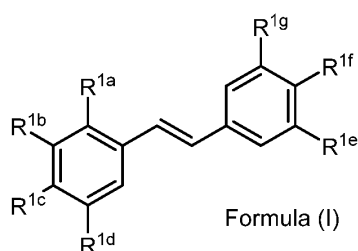
Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;

25 only one of R^{1e} , R^{1f} or R^{1g} can be H; and

when R^{1e} is OH, NH_2 or $NHMe$ and R^{1f} is NH_2 , the nitrogen of R^{1f} is bridged
 with a carbonyl group or (CO)CH₂ group to the oxygen or nitrogen of R^{1e} to
 form a five or six-membered heterocyclic ring.

30 36 A compound according to formula (I)

266



wherein:

- 5 R^{1a} is Hal, allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl, 2-alkynyl;
 R^{1b} is CF_3 , OH or OR^2 , NO_2 , $NHEt$, NMe_2 , $NMeEt$, NHR^3 , $NMeR^3$,
 $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1c} is H, alkyl, alkenyl, alkynyl, alkanedienyl, prenyl, geranyl, farnesyl, or
benzyl;
10 R^{1d} is CF_3 , OH or OR^2 , NO_2 , NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1e} is H, C_1 - C_6 alkyl, OH, OR^2 , NO_2 , NMe_2 , NHR^3 or $NMeR^3$, SR^2 ;
 R^{1f} is H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, $COOR^2$, $COOH$;
 R^{1g} is H, alkyl, CF_3 , OH or OR^2 ;
 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl,
15 $COCOOR^4$ or O-protecting group, wherein the O-protecting group is selected
from the group consisting of COMe, *t*-BuSi(CH₃)₂, 2-
(trimethylsilyl)ethoxy]methyl (SEM) acetal, CH(OEt)CH₃, tetrahydropyranyl, or
C(OEt)(CH₃)₂;
 R^3 is H, CH₃, OH, (CO)H, (CO)Me, SO₂Me, CH₂COOH, CH₂COOR²,
20 $CO(CH_2)_nNH_2$, $CONH_2$, $CO(CH_2)_nCOOH$ or $COCOOR^4$ (where n = 0, 1 or 2);
 R^4 is C_1 - C_6 alkyl; and
Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;
only one of R^{1e} , R^{1f} or R^{1g} can be H,
when R^{1e} is OH, NH₂ or NHMe and R^{1f} is NH₂, the nitrogen of R^{1f} is bridged
25 with a carbonyl group or (CO)CH₂ group to the oxygen or nitrogen of R^{1e} to
form a five or six-membered heterocyclic ring;

provided that

when R^{1a} or R^{1c} is prenyl, R^{1d} is OH, R^{1f} is OH, R^{1g} is H and R^{1e} is OH, OMe or
 5 OEt, then R^{1b} cannot be OR where R =methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *t*-butyl, or benzyl.

37. A compound of formula (I) according to claim 36 wherein:

10

R^{1a} is allyl, prenyl, benzyl, 2-alkenyl;

R^{1b} is CF₃, OH or OR²;

R^{1c} is H;

R^{1d} is OH, NH₂, N(CO)H;

15 R^{1e} is OH, OR², NO₂, NHR³ or NMeR³, (CO)H, SMe;

R^{1f} is H, OH, OR², NO₂, NHR³, NHC=NH(NH₂), COOH;

R^{1g} is H, alkyl, OH;

R² is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *t*-butyl;

R³ is H, CH₃, OH, (CO)H, (CO)Me, SO₂Me, CH₂COOR², COCH₂NH₂,

20 CO(CH₂)_nCOOH (where n = 0, 1 or 2).

provided that

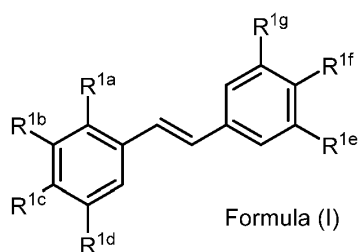
when R^{1a} or R^{1c} is prenyl, R^{1d} is OH, R^{1f} is OH, R^{1g} is H and R^{1e} is OH, OMe or
 25 OEt, then R^{1b} cannot be OR where R =methyl, ethyl, isopropyl,propyl, butyl, isobutyl, *t*-butyl, or benzyl.

38. A compound according to claim 36 or 37 wherein formula (I) is a compound
 selected from the group consisting of:

30

Compounds KYN 154, 132, 145, 138, 139, 140, 153, 134, 136, 124, 125, 126, 128, 129, 137, 149, 150, 151, 141, 147, 143, 142, 144, 148, 152, 155, 114, 118, 158, 157, 120, 156, 160, 159, 161, S16, S17.

5 39. A compound according to formula (I)



wherein:

- 10 R^{1a} is Hal, allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl, 2-alkynyl;
 R^{1b} is CF_3 , OH or OR^2 , NO_2 , $NHEt$, NMe_2 , $NMeEt$, NHR^3 , $NMeR^3$,
 $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1c} is H, alkyl, alkenyl, alkynyl, alkanedienyl, prenyl, geranyl, farnesyl, or
benzyl;
15 R^{1d} is CF_3 , OH or OR^2 , NO_2 , NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1e} is H, C_1 - C_6 alkyl, OH, OR^2 , NO_2 , NMe_2 , NHR^3 or $NMeR^3$, SR^2 ;
 R^{1f} is H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, $COOR^2$, $COOH$;
 R^{1g} is H, alkyl, CF_3 , OH or OR^2 ;
wherein at least one of R^{1b} or R^{1d} is CF_3 , NO_2 , NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$
20 or $COOR^2$;
 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl,
 $COCOR^4$ or O-protecting group, wherein the O-protecting group is selected
from the group consisting of COMe, *t*-BuSi(CH₃)₂, 2-
(trimethylsilyl)ethoxy]methyl (SEM) acetal, CH(OEt)CH₃, tetrahydropyranyl, or
25 C(OEt)(CH₃)₂;

R^3 is H, CH_3 , OH, $(CO)H$, $(CO)Me$, SO_2Me , CH_2COOH , CH_2COOR^2 ,
 $CO(CH_2)_nNH_2$, $CONH_2$, $CO(CH_2)_nCOOH$ or $COCOR^4$ (where $n = 0, 1$ or 2);

R^4 is C_1 - C_6 alkyl; and

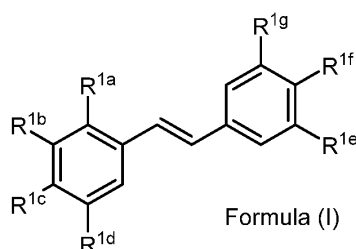
Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;

5 only one of R^{1e} , R^{1f} or R^{1g} can be H,

when R^{1e} is OH, NH_2 or $NHMe$ and R^{1f} is NH_2 , the nitrogen of R^{1f} is bridged with a
 carbonyl group or $(CO)CH_2$ group to the oxygen or nitrogen of R^{1e} to form a five or
 six-membered heterocyclic ring.

40. A compound according to claim 39 wherein formula (I) is a compound selected
 10 from the group consisting of:
 KYN-132, 145, S16, S17

41. A compound of formula (I)



15 wherein:

R^{1a} is Hal, allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl, 2-alkynyl;

R^{1b} is CF_3 , OH or OR^2 , NO_2 , $NHMe$, $NHEt$, NMe_2 , $NMeEt$, NHR^3 , $NMeR^3$,
 $NHC=NH(NH_2)$ or $COOR^2$, NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;

20 R^{1c} is H, alkyl, alkenyl, alkynyl, alkanedieryl, prenyl, geranyl, farnesyl, or
 benzyl;

R^{1d} is CF_3 , OH or OR^2 , NHR^3 , NO_2 , NH_2 , $NHMe$, $NHC=NH(NH_2)$ or $COOR^2$;

R^{1e} is OH, OR^2 ;

R^{1f} is NO_2 , NHR^3 , $\text{NHC}=\text{NH}(\text{NH}_2)$, COOR^2 , COOH , NHSO_2Me ,
 $\text{NHC(O)(CH}_2\text{)COOH}$, NHC(O)COOH , $\text{NHC(O)(CH}_2\text{)NNH}_2$, $\text{NHC(O)CH}_2\text{NH}_2$,
 $\text{NHCH}_2\text{COOMe}$, NHC(O)H , NHC(O)CH_3 , $\text{NHC(O)(CH}_2\text{)}_2\text{COOH}$,
 NHC(NH)NH_2 ;

5 R^{1g} is H;

R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl or
O-protecting group, wherein the O-protecting group is selected from the group
consisting of COMe, *t*-BuSi(CH₃)₂, 2-(trimethylsilyl)ethoxy]methyl (SEM)
acetal, CH(OEt)CH₃, tetrahydropyranyl, or C(OEt)(CH₃)₂;

10 R^3 is H, CH₃, OH, (CO)H, (CO)Me, SO₂Me, CH₂COOH, CH₂COOR²,
COCH₂NH₂, CONH₂, CO(CH₂)_nCOOH, CO(CH₂)_nCOOR⁴ (where n = 0, 1 or
2);

R^4 is C1-C6 alkyl;

Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;

15 only one of R^{1e} , R^{1f} or R^{1g} can be H, and

when R^{1e} is OH, NH₂ or NHMe and R^{1f} is NH₂, the nitrogen of R^{1f} is bridged
with a carbonyl group or (CO)CH₂ group to the oxygen or nitrogen of R^{1e} to form a
five or six-membered heterocyclic ring.

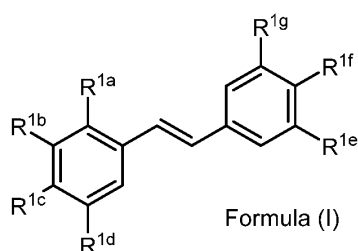
20 42. A compound according to claim 41 wherein formula (I) is a compound selected
from the group consisting of:

Compounds KYN-154, 124, 125, 126, 128, 129, 137, 149, 150, 151, 141, 147, 143, 142, 144,
148, 152, 155, 159.

25

43. A compound according to formula (I)

271



wherein:

- 5 R^{1a} is Hal, allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl, 2-alkynyl;
 R^{1b} is CF_3 , OH or OR^2 , NO_2 , NHMe, NHEt, NMe₂, NMeEt, NHR^3 , $NMeR^3$,
 $NHC=NH(NH_2)$ or $COOR^2$, NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1c} is H, alkyl, alkenyl, alkynyl, alkanedienyl, prenyl, geranyl, farnesyl, or
 benzyl;
 10 R^{1d} is CF_3 , OH or OR^2 , NHR^3 , NO_2 , NH_2 , NHMe, $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1e} is C₁-C₆ alkyl, NO_2 , NH_2 , NHMe, NMe₂, NHR^3 or $NMeR^3$, SR^2 ;
 R^{1f} is OH, OR^2 ;
 R^{1g} is H;
 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl or
 15 O-protecting group, wherein the O-protecting group is selected from the group
 consisting of COMe, *t*-BuSi(CH₃)₂, 2-(trimethylsilyl)ethoxy]methyl (SEM)
 acetal, CH(OEt)CH₃, tetrahydropyranyl, or C(OEt)(CH₃)₂;
 R^3 is H, CH₃, OH, (CO)H, (CO)Me, SO₂Me, CH₂COOH, CH₂COOR²,
 COCH₂NH₂, CONH₂, CO(CH₂)_nCOOH (where n = 0, 1 or 2); and
 20 Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;
 only one of R^{1e} , R^{1f} or R^{1g} can be H,
 when R^{1e} is OH, NH₂ or NHMe and R^{1f} is NH₂, the nitrogen of R^{1f} is bridged
 with a carbonyl group or (CO)CH₂ group to the oxygen or nitrogen of R^{1e} to form a
 five or six-membered heterocyclic ring.

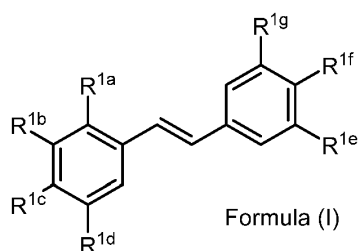
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44. A compound according to claim 43 wherein formula (I) is a compound selected from the group consisting of:

Compounds KYN-114, 118, 158, 157, 161

5

45. A compound according to formula (I)



wherein:

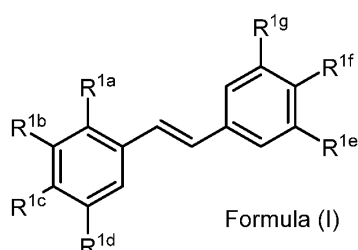
- 10 R^{1a} is Hal, allyl, crotyl, geranyl, farnesyl, benzyl, 2-alkenyl, 2-alkynyl;
 R^{1b} is CF_3 , OH or OR^2 , NO_2 , $NHMe$, $NHEt$, NMe_2 , $NMeEt$, NHR^3 , $NMeR^3$, $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1c} is H, alkyl, alkenyl, alkynyl, alkanedienyl, geranyl, farnesyl, or benzyl;
 R^{1d} is CF_3 , OH or OR^2 , NHR^3 , NO_2 , NH_2 , $NHMe$, $NHC=NH(NH_2)$ or $COOR^2$;
 15 R^{1e} is H, OH, OR^2 , NO_2 , NH_2 , $NHMe$, NMe_2 , NHR^3 or $NMeR^3$, SR^2 ;
 R^{1f} is H, OH, OR^2 , NO_2 , NH_2 , $NHMe$, NHR^3 , $NHC=NH(NH_2)$, $COOR^2$, $COOH$;
 R^{1g} is H, alkyl, CF_3 , OH or OR^2 ;
 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl,
 20 $C(O)C(O)OR^4$ or O-protecting group, wherein the O-protecting group is selected from the group consisting of COMe, *t*-BuSi(CH₃)₂, 2-(trimethylsilyl)ethoxy]methyl (SEM) acetal, CH(OEt)CH₃, tetrahydropyranyl, or C(OEt)(CH₃)₂;
 R^3 is H, CH₃, OH, (CO)H, (CO)Me, SO₂Me, CH₂COOH, CH₂COOR²,
 25 COCH₂NH₂, CONH₂, CO(CH₂)_nCOOH (where n = 0, 1 or 2);
 R^4 is C1-C6 alkyl; and

Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;

only one of R^{1e} , R^{1f} or R^{1g} can be H, and

when R^{1e} is OH, NH_2 or $NHMe$ and R^{1f} is NH_2 , the nitrogen of R^{1f} is bridged with a carbonyl group or $(CO)CH_2$ group to the oxygen or nitrogen of R^{1e} to form a five or six-membered heterocyclic ring.

46. A compound of formula (I) according to claim 40,



10 wherein:

R^{1a} is allyl, crotyl, geranyl, farnesyl, benzyl, 2-alkenyl, 2-alkynyl;

R^{1b} is CF_3 , OH, OR^2 ;

R^{1c} is H;

R^{1d} is OH or OR^2 , NH_2 , $NH(CO)H$;

15 R^{1e} is OR^2 , R^4 , NO_2 , NH_2 , $NHMe$, NMe_2 , SR^2 ;

R^{1f} is OH, OR^2 , NO_2 , NH_2 , $NHMe$, NHR^3 , $NHC=NH(NH_2)$, $COOR^2$, $COOH$;

R^{1g} is H;

R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl,

$C(O)C(O)OR^4$ or O-protecting group, wherein the protecting group is selected

20 from the group consisting of COMe, *t*-BuSi(CH₃)₂, 2-

(trimethylsilyl)ethoxy]methyl (SEM) acetal, $CH(OEt)CH_3$, tetrahydropyranyl, or $C(OEt)(CH_3)_2$;

R^3 is H, CH₃, OH, $(CO)H$, $(CO)Me$, SO_2Me , CH_2COOH , CH_2COOR^2 ,

$COCH_2NH_2$, $CONH_2$, $CO(CH_2)_nCOOH$ (where $n = 0, 1$ or 2);

25 R^4 is C1-C6 alkyl,

and

Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;

only one of R^{1e} , R^{1f} or R^{1g} can be H,

when R^{1e} is OH, NH_2 or $NHMe$ and R^{1f} is NH_2 , the nitrogen of R^{1f} is bridged

- 5 with a carbonyl group or $(CO)CH_2$ group to the oxygen or nitrogen of R^{1e} to form a five or six-membered heterocyclic ring.

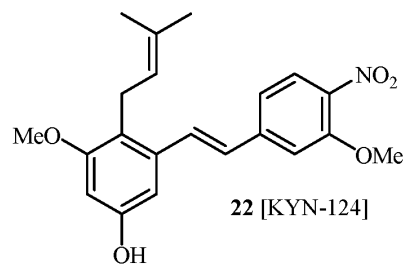
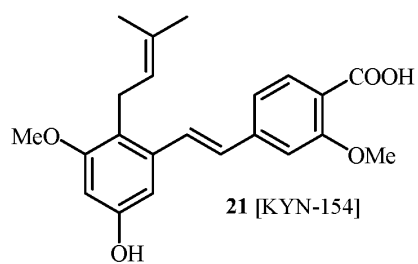
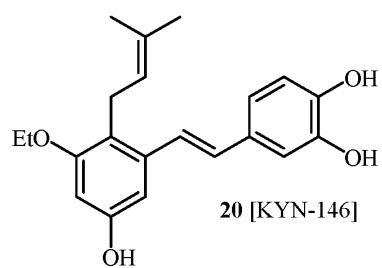
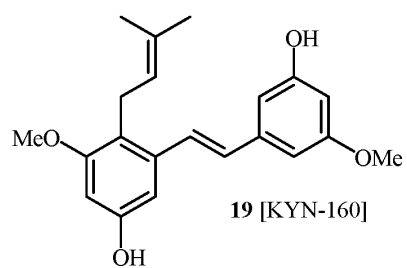
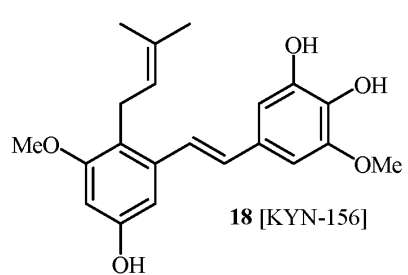
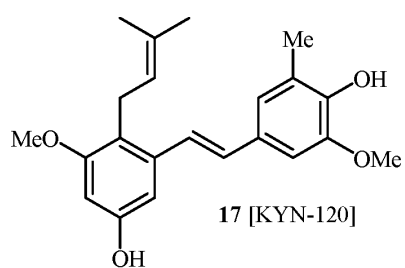
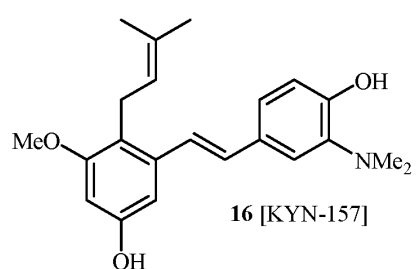
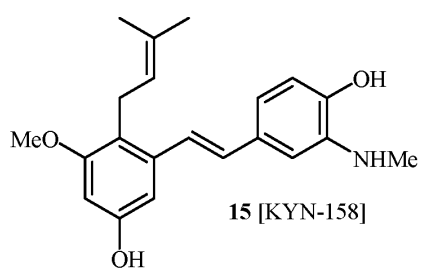
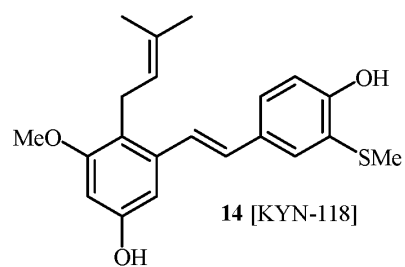
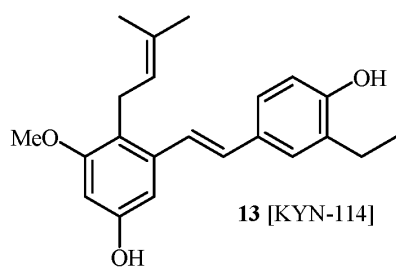
47. A compound according to claim 45 or 46 wherein formula (I) is a compound selected from the group consisting of:

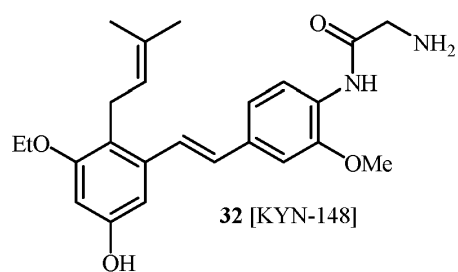
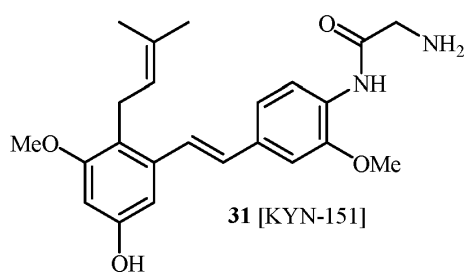
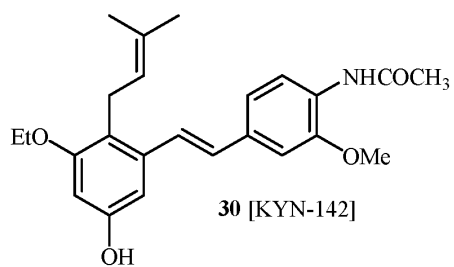
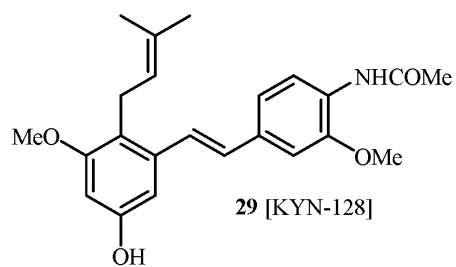
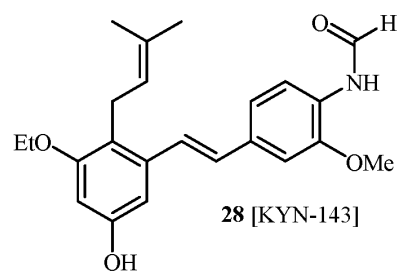
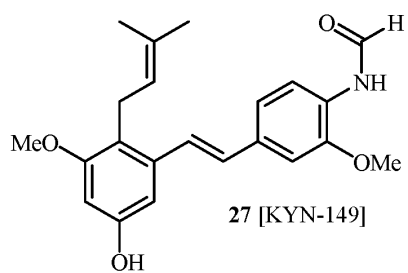
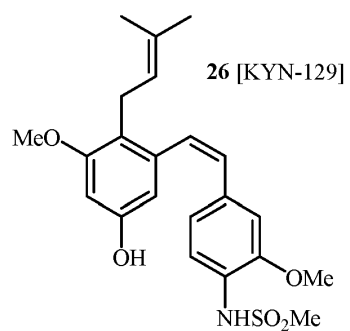
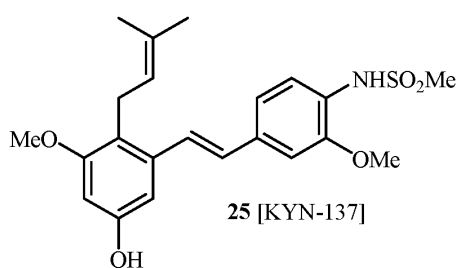
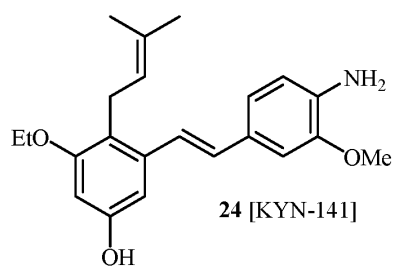
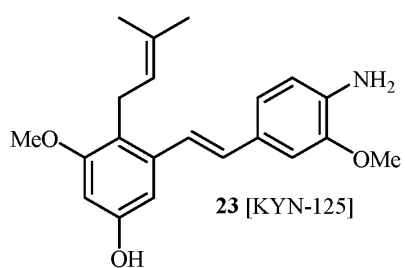
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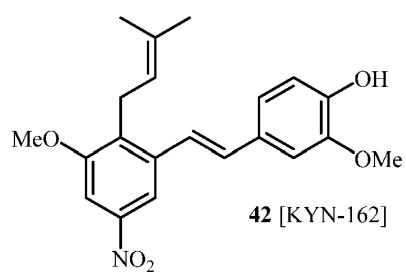
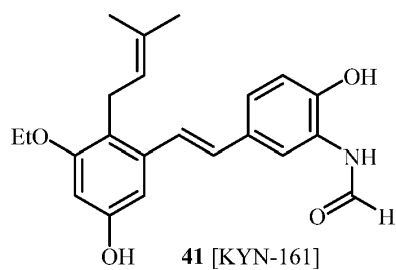
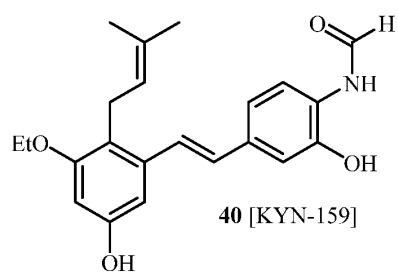
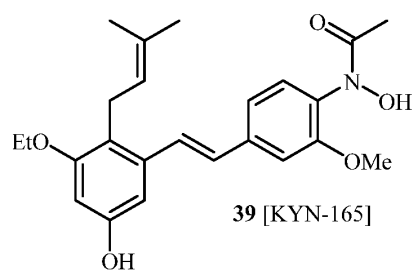
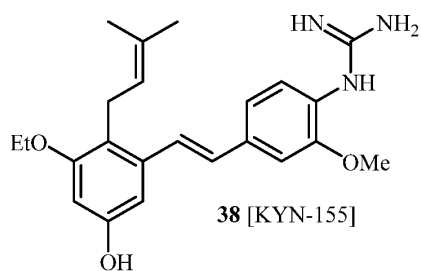
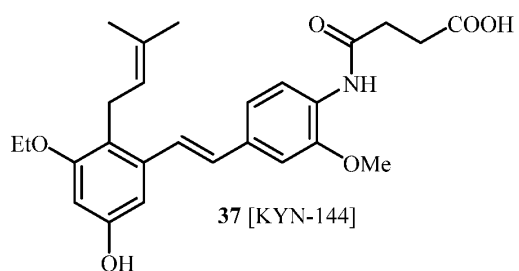
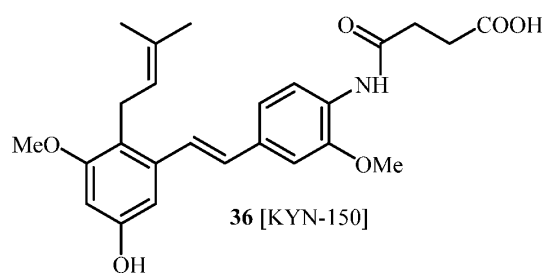
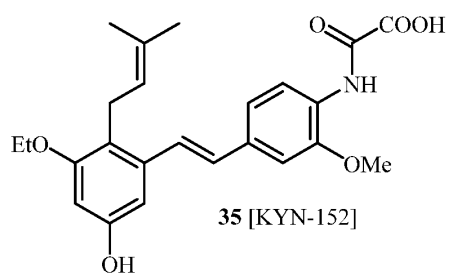
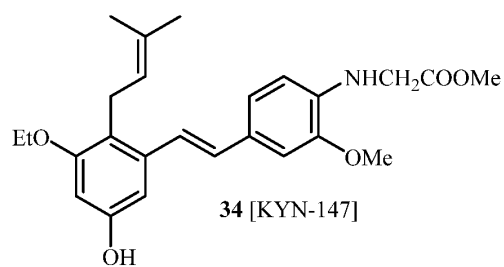
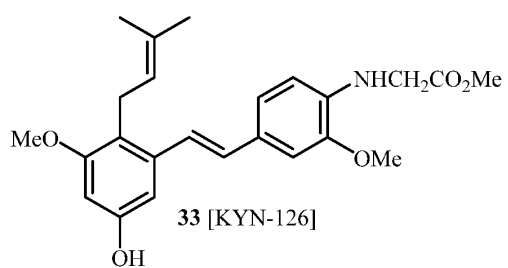
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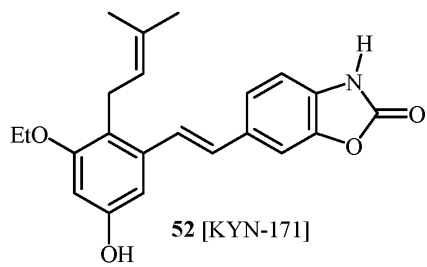
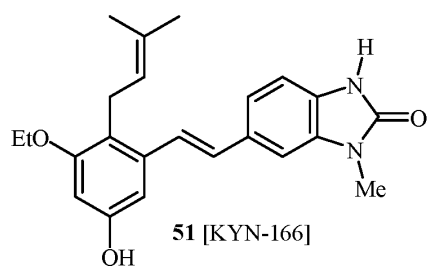
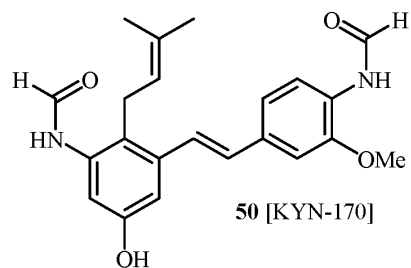
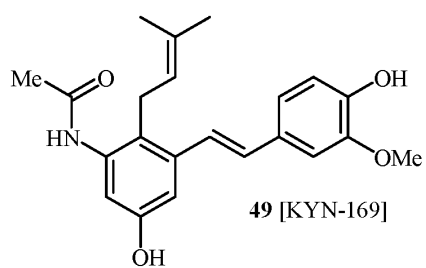
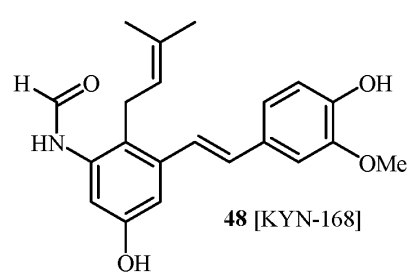
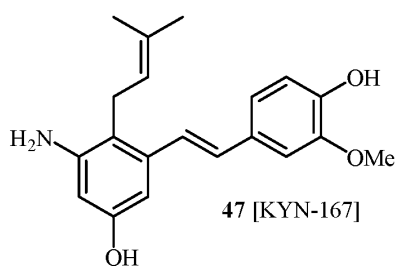
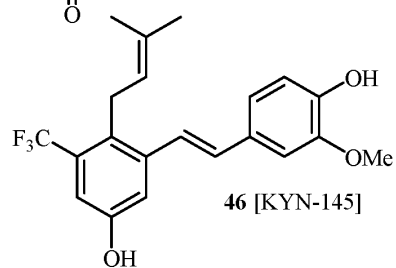
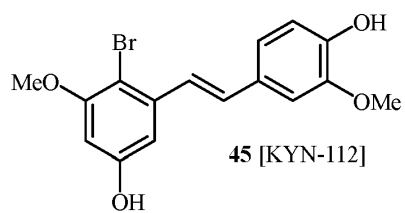
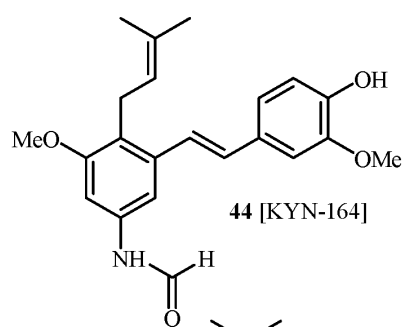
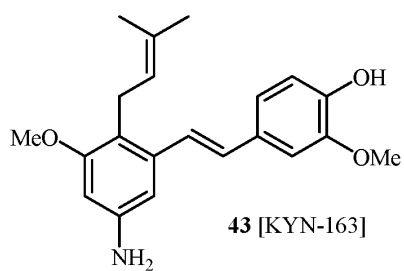
48. A compound of formula (I) according to claim 35 wherein the compound is selected from the group consisting of:

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49.. A compound of formula (I) according to any one of claims 35, 36, 37, 39 or 41 wherein:

- 5 R^{1a} is prenyl;
 R^{1b} is OR^2 ;
 R^{1c} is H;
 R^{1d} is OH;
 R^{1e} is OR^2 ;
 R^{1f} is NHR^3 , $NHC=NH(NH_2)$;
 R^{1g} is H;
10 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl; and
 R^3 is H, CH_3 , OH, $(CO)H$, $(CO)Me$, SO_2Me , CH_2COOR^2 , $COCH_2NH_2$,
 $CO(CH_2)_nCOOH$ (where $n = 0, 1$ or 2).

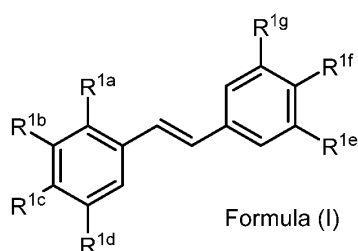
50. A compound of formula (I) according to any one of claims 35, 36, 37 or 39 wherein:

- 15 R^{1a} is prenyl;
 R^{1b} is OR^2 ;
 R^{1c} is H;
 R^{1d} is OH;
20 R^{1e} is OR^2 ;
 R^{1f} is OH,;
 R^{1g} is alkyl, OH;
 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl;
 alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl.

25

51. A compound according to formula (I)

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wherein:

- 5 R^{1a} is Hal, allyl, crotyl, prenyl, geranyl, farnesyl, benzyl, 2-alkenyl, 2-alkynyl;
 R^{1b} is CF_3 , OH or OR^2 , NO_2 , NHEt, NMe₂, NMeEt, NHR^3 , NMeR³,
 $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1c} is H, alkyl, alkenyl, alkynyl, alkanedienyl, prenyl, geranyl, farnesyl, or
benzyl;
10 R^{1d} is CF_3 , OH or OR^2 , NO_2 , NHR^3 , NMeR³, $NHC=NH(NH_2)$ or $COOR^2$;
 R^{1e} is H, C₁-C₆ alkyl, OH, OR^2 , NO_2 , NMe₂, NHR^3 or NMeR³, SR^2 ;
 R^{1f} is H, OH, OR^2 , NO_2 , NHR^3 , $NHC=NH(NH_2)$, $COOR^2$, COOH;
 R^{1g} is H, alkyl, CF_3 , OH or OR^2 ;
 R^2 is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, t-butyl, trifluoromethyl,
15 $COCOOR^4$ or O-protecting group, wherein the O-protecting group is selected
from the group consisting of COMe, *t*-BuSi(CH₃)₂, 2-
(trimethylsilyl)ethoxy]methyl (SEM) acetal, CH(OEt)CH₃, tetrahydropyranyl, or
C(OEt)(CH₃)₂;
 R^3 is H, CH₃, OH, (CO)H, (CO)Me, SO₂Me, CH₂COOH, CH₂COOR²,
20 CO(CH₂)_nNH₂, CONH₂, CO(CH₂)_nCOOH or $COCOOR^4$ (where n = 0, 1 or 2);
 R^4 is C₁-C₆ alkyl; and
Hal is a halide selected from the group consisting of F, Cl, Br, I, and At;
only one of R^{1e} , R^{1f} or R^{1g} can be H;
- 25 wherein at least one of R^{1e} or R^{1f} is -NHC(O)H.

52. The compound of claim 51 wherein at least one of R^{1b} or R^{1d} is an EtO.
53. A method for treating cancer comprising administering a therapeutically effective amount of a compound according to any one of claims 36-50 or a
5 pharmaceutically acceptable salt, solvate or pharmaceutical composition including said compounds to a patient in need.
54. A method for treating skin diseases and disorders comprising administering a therapeutically effective amount of a compound according to any one of claims 36-50
10 or a pharmaceutically acceptable salt, solvate or pharmaceutical composition including said compounds to a patient in need.
55. The method of claim 54 wherein the skin diseases and disorders is atopic dermatitis and psoriasis.