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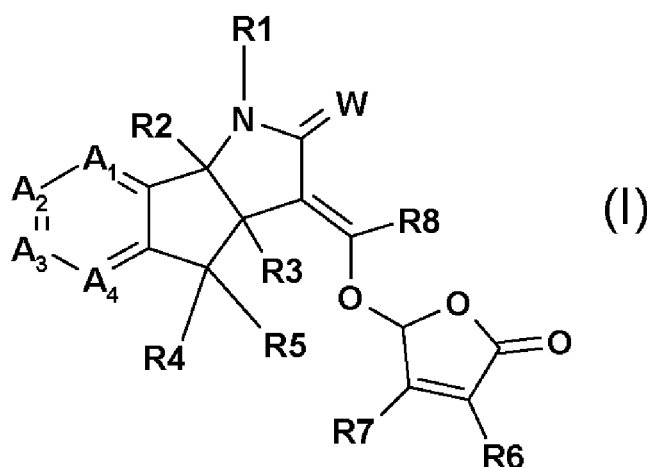
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(54) Title: STRIGOLACTAM DERIVATIVES AS PLANT GROWTH REGULATING COMPOUNDS



(57) Abstract: The present invention relates to novel strigolactam derivatives of formula (I), to processes and intermediates for pre-
paring them, to plant growth regulator compositions comprising them and to methods of using them for controlling the growth of
plants and/or promoting the germination of seeds.

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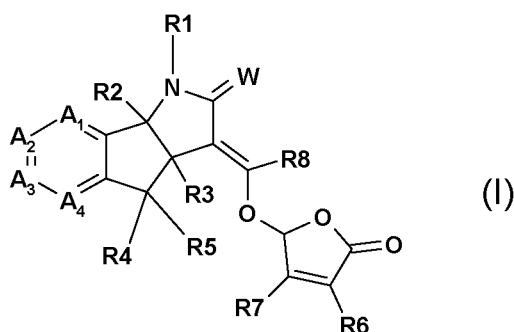
STRIGOLACTAM DERIVATIVES AS PLANT GROWTH REGULATING COMPOUNDS

The present invention relates to novel strigolactam derivatives, to processes and intermediates for preparing them, to plant growth regulator compositions comprising them and to methods of using them for controlling the growth of plants and/or promoting the germination of seeds.

Strigolactone derivatives are phytohormones with plant growth regulation and seed germination properties; they have been described, for example, in WO2009/138655, WO2010/125065, WO05/077177, WO06/098626, and Annual Review of Phytopathology (2010), 48 p.93-117. Strigolactone derivatives, like the synthetic analogue GR24, are known to have effect on the germination of parasitic weeds, such as *Orobanch*e species. It is well established in the art that testing for germination of *Orobanch*e seeds is a useful test to identify strigolactone analogues (for example, see Plant and Cell Physiology (2010), 51(7) p.1095; and Organic & Biomolecular Chemistry (2009), 7(17), p.3413).

It has now surprisingly been found that certain strigolactam derivatives have properties analogous to strigolactone. These were also found to have crop enhancement properties.

According to the present invention, there is provided a compound of Formula (I)



wherein

W is O or S;

R2 and R3 are independently hydrogen, or C₁-C₃ alkyl;

R4 and R5 are independently hydrogen, halogen, nitro, cyano, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, hydroxyl, -OC(O)R₉, amine, N- C₁-C₃ alkyl amine, or N,N-di-C₁-C₃ alkyl amine;

5 R₉ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, or C₁-C₆ haloalkyl;

R6 and R7 are independently hydrogen, C₁-C₃ alkyl, hydroxyl, halogen or C₁-C₃ alkoxy;

10 R₈ is hydrogen, nitro, cyano, C₁-C₆ alkyl, C₁-C₆ haloalkyl, halogen, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, or C₁-C₈ haloalkylsulfonyl;

15 R₁ is hydrogen, C₁-C₆ alkoxy, hydroxyl, amine, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₆ alkyl optionally substituted by one to five R₁₀, C₁-C₈ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, aryl optionally substituted by one to five R₁₀, heteroaryl optionally substituted by one to five R₁₀, heterocyclyl optionally substituted by one to five R₁₀, or benzyl optionally substituted by one to five R₁₀;

20 R₁₀ is hydrogen, cyano, nitro, halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, or C₂-C₆ alkynyl;

A₁, A₂, A₃ and A₄ are each independently C-X, C-Y or nitrogen, wherein each X or Y may be the same or different, and provided that no more than two of A₁, A₂, A₃ and A₄ are nitrogen and that at least one of A₁, A₂, A₃ and A₄ is C-X;

25

Y is hydrogen, halogen, cyano, hydroxyl, -OC(O)R₉, C₁-C₆ alkoxy, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₃ hydroxyalkyl, nitro, amine, N- C₁-C₆ alkyl amine, N,N-di-C₁-C₆ alkyl amine, or NHC(O)R₉;

30 X is C₂-C₈ alkenyl optionally substituted by one to five R₁₁, C₂-C₈ alkynyl optionally substituted by one to five R₁₁, C₃-C₇ cycloalkyl, C₃-C₁₀ cycloalkyl substituted by one to five R₁₂, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl, N- C₁-C₆ alkyl aminocarbonyl, N,N-di- C₁-

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C₆ alkyl aminocarbonyl, aryl optionally substituted by one to five R₁₃, or heteroaryl optionally substituted by one to five R₁₃;

each R₁₁ is independently halogen, cyano, nitro, hydroxy, C₁-C₈ haloalkyl, C₁-C₈alkoxy, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, C₁-C₈ haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl; or aryl optionally substituted by one to five halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy; or heteroaryl optionally substituted by one to five halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy; and

10

each R₁₂ and R₁₃ are independently halogen, cyano, nitro, hydroxy, C₁-C₈ alkyl, C₁-C₈alkoxy, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, C₁-C₈ haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl, or phenyl;

15

or salts or N-oxides thereof.

According to one aspect of the present invention, there is provided use of a compound of Formula (I) as a plant growth regulator or a seed germination promoter.

20

The compounds of Formula (I) may exist in different geometric or optical isomers (diastereoisomers and enantiomers) or tautomeric forms. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds. The invention also covers all salts, N-oxides, and metalloidic complexes of the compounds of Formula (I).

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Each alkyl moiety either alone or as part of a larger group (such as alkoxy, alkoxy-carbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) is a straight or branched chain and is, for example, methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, iso-propyl, n-butyl, sec-butyl, iso-butyl, tert-butyl or neo-pentyl. The alkyl groups are
5 preferably C₁ to C₆ alkyl groups, more preferably C₁-C₄ and most preferably C₁-C₃ alkyl groups.

Each alkenyl moiety either alone or as part of a larger group (such as alkoxy, alkoxy-carbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) is having at least one carbon-carbon double bond and is, for example, vinyl, allyl. The alkenyl groups
10 are preferably C₂ to C₆ alkenyl groups, more preferably C₂-C₄ alkenyl groups.

The term "alkenyl", as used herein, unless otherwise indicated, includes alkyl moieties having at least one carbon-carbon double bond wherein alkyl is as defined above

Each alkynyl moiety either alone or as part of a larger group (such as alkoxy, alkoxy-carbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) is having at least one carbon-carbon triple bond and is, for example, ethynyl, propargyl. The alkynyl groups are preferably C₂ to C₆ alkynyl groups, more preferably C₂-C₄ alkynyl groups.

The term "alkynyl", as used herein, unless otherwise indicated, includes alkyl moieties having at least one carbon-carbon triple bond wherein alkyl is as defined above.

Halogen is fluorine, chlorine, bromine or iodine.

Haloalkyl groups (either alone or as part of a larger group, such as haloalkoxy or haloalkylthio) are alkyl groups which are substituted with one or more of the same or different halogen atoms and are, for example, -CF₃, -CF₂Cl, -CH₂CF₃ or -CH₂CHF₂.

Hydroxyalkyl groups are alkyl groups which are substituted with one or more hydroxyl group and are, for example, -CH₂OH, -CH₂CH₂OH or -CH(OH)CH₃.

In the context of the present specification the term "aryl" refers to a ring system which may be mono-, bi- or tricyclic. Examples of such rings include phenyl, naphthalenyl, anthracenyl, indenyl or phenanthrenyl. A preferred aryl group is phenyl.

Unless otherwise indicated, alkenyl and alkynyl, on their own or as part of another substituent, may be straight or branched chain and may preferably contain 2 to 6 carbon atoms, preferably 2 to 4, more preferably 2 to 3, and where appropriate, may be in either the (*E*)- or (*Z*)-configuration. Examples include vinyl, allyl ethynyl and propargyl.

Unless otherwise indicated, cycloalkyl may be mono- or bi-cyclic, may be optionally substituted by one or more C₁-C₆ alkyl groups, and preferably contain 3 to 7 carbon atoms, more preferably 3 to 6 carbon atoms. Examples of cycloalkyl include cyclopropyl, 1-methylcyclopropyl, 2-methylcyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

The term "heteroaryl" refers to an aromatic ring system containing at least one heteroatom and consisting either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulfur. Examples of such groups include pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, furanyl, thiophenyl, oxazolyl, isoxazolyl,

oxadiazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl and tetrazolyl.

The term “heterocyclyl” is defined to include heteroaryl, saturated analogs, and in addition their unsaturated or partially unsaturated analogues such as 4,5,6,7-tetrahydro-
5 benzothiophenyl, 9H-fluorenyl, 3,4-dihydro-2H-benzo-1,4-dioxepinyl, 2,3-dihydro-benzo-furanyl, piperidinyl, 1,3-dioxolanyl, 1,3-dioxanyl, 4,5-dihydro-isoxazolyl, tetrahydrofuranyl and morpholinyl. In addition, the term “heterocyclyl” is defined to include “heterocycloalkyl” defined to be a non-aromatic monocyclic or polycyclic ring comprising carbon and hydrogen atoms and at least one heteroatom, preferably, 1 to 4 heteroatoms
10 selected from nitrogen, oxygen, and sulfur such as oxirane or thietane.

Preferred values of W, R2, R3, R4, R5, R6, R7, R8, R1, R10, A1, A2, A3, A4 and X are, in any combination, as set out below.

15 W is preferably oxygen.

R2 is preferably hydrogen, methyl, or ethyl; most preferably R2 is hydrogen.

R3 is preferably hydrogen, methyl, or ethyl; most preferably R3 is hydrogen.

20

R4 is preferably hydrogen, hydroxyl, methyl, or ethyl; most preferably R4 is hydrogen or hydroxyl.

R5 is preferably hydrogen, hydroxyl, methyl, or ethyl; most preferably R5 is hydrogen or
25 hydroxyl.

R6 is preferably hydrogen, methyl, or ethyl; most preferably R6 is methyl.

R7 is preferably hydrogen, methyl, methoxy, chloride or ethyl; most preferably R7 is
30 hydrogen.

R8 is preferably hydrogen, methyl, or ethyl; most preferably R8 is hydrogen.

R1 is preferably hydrogen, C₁-C₆ alkoxy, C₁-C₆ alkyl optionally substituted by one to five R10, C₁-C₈ alkylcarbonyl, C₁-C₈ alkoxy carbonyl, aryl optionally substituted by one to five R10, heteroaryl optionally substituted by one to five R10, heterocyclyl optionally substituted by one to five R10, or benzyl optionally substituted by one to five R10; more preferably R1 is hydrogen, C₁-C₆ alkoxy, C₁-C₆ alkyl optionally substituted by one to five R10, C₁-C₈ alkylcarbonyl, C₁-C₈ alkoxy carbonyl, or benzyl optionally substituted by one to five R10; most preferably R1 is hydrogen, methyl, ethyl, phenyl, benzyl, acetate, methoxycarbonyl, or tertbutoxycarbonyl.

R10 is independently hydrogen, cyano, nitro, halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkyl; most preferably R10 is hydrogen, cyano, nitro, chloride, bromine, fluorine, methyl, methoxy or trifluoromethyl.

Preferably A₁ is C-X and A₂, A₃, A₄ are CY. More preferably A₁ is C-X and A₂, A₃, A₄ are C-H.

Preferably A₂ is C-X and A₁, A₃, A₄ are CY. More preferably A₂ is C-X and A₁, A₃, A₄ are C-H.

Preferably A₃ is C-X and A₁, A₂, A₄ are CY. More preferably A₃ is C-X and A₁, A₂, A₄ are C-H.

Preferably A₄ is C-X and A₁, A₂, A₃ are CY. More preferably Preferably A₄ is C-X and A₁, A₂, A₃ are C-H.

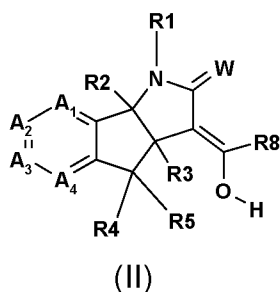
Preferably, Y is hydrogen, hydroxyl, halogen, cyano, methyl, hydroxymethyl, trifluoromethyl or methoxy. More preferably, Y is hydrogen, hydroxyl, methyl, trifluoromethyl or methoxy. Even more preferably, Y is hydrogen, methyl, hydroxyl or methoxy. Most preferably, Y is hydrogen.

Preferably, X is vinyl, 1-propenyl, allyl, propargyl, cyclopropane, cyclobutane, cyclopentane, ethynyl, benzene ethynyl, methyl ethynyl, phenyl optionally substituted by one to five R13,

pyridyl optionally substituted by one to five R13, furanyl optionally substituted by one to five R13, thiophenyl optionally substituted by one to five R13, thiazoyl optionally substituted by one to five R13, methoxycarbonyl, hydroxycarbonyl, methylaminocarbonyl, or dimethylaminocarbonyl. More preferably, X is vinyl, 1-propenyl, allyl, propargyl, cyclopropane, ethynyl, phenyl, pyridyl, furanyl, thiophenyl, thiazoyl, methoxycarbonyl, hydroxycarbonyl, methylaminocarbonyl, or dimethylaminocarbonyl.

Preferably, R12 and R13 are independently halogen, cyano, nitro, hydroxy, methoxy, or methyl.

In a preferred embodiment the compound is of Formula (II).



wherein

W is O or S;

R2 and R3 are independently hydrogen, or C₁-C₃ alkyl;

R4 and R5 are independently hydrogen, halogen, nitro, cyano, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, hydroxyl, -OC(O)R₉, amine, N- C₁-C₃ alkyl amine, or N,N-di-C₁-C₃ alkyl amine;

R₉ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, or C₁-C₆ haloalkyl;

R₈ is hydrogen, nitro, cyano, C₁-C₆ alkyl, C₁-C₆ haloalkyl, halogen, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, or C₁-C₈ haloalkylsulfonyl;

R1 is hydrogen, C₁-C₆ alkoxy, hydroxyl, amine, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₆ alkyl optionally substituted by one to five R10, C₁-C₈ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, aryl optionally substituted by one to five R10, heteroaryl optionally substituted by one to five R10, or benzyl optionally substituted by one to five R10;

R10 is hydrogen, cyano, nitro, halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, or C₂-C₆ alkynyl;

A₁, A₂, A₃ and A₄ are each independently C-X, C-Y or nitrogen, wherein each X or Y may be the same or different, and provided that no more than two of A₁, A₂, A₃ and A₄ are nitrogen and that at least one of A₁, A₂, A₃ and A₄ is C-X;

Y is hydrogen, halogen, cyano, hydroxyl, -OC(O)R₉, C₁-C₆ alkoxy, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₃ hydroxyalkyl, nitro, amine, N- C₁-C₆ alkyl amine, N,N-di-C₁-C₆ alkyl amine, or NHC(O)R₉;

X is C₂-C₈ alkenyl optionally substituted by one to five R11, C₂-C₈ alkynyl optionally substituted by one to five R11, C₃-C₇ cycloalkyl, C₃-C₁₀ cycloalkyl substituted by one to five R12, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl, N- C₁-C₆ alkyl aminocarbonyl, N,N-di- C₁-C₆ alkyl aminocarbonyl, aryl optionally substituted by one to five R13, or heteroaryl optionally substituted by one to five R13;

each R11 is independently halogen, cyano, nitro, hydroxy, C₁-C₈ haloalkyl, C₁-C₈alkoxy-, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, C₁-C₈ haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl; or aryl optionally substituted by one to five halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy; or heteroaryl optionally substituted by one to five halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy; and

each R12 and R13 are independently halogen, cyano, nitro, hydroxy, C₁-C₈ alkyl-, C₁-C₈ alkoxy-, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆

alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, C₁-C₈ haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxy carbonyl, or phenyl;

or salts or N-oxides thereof.

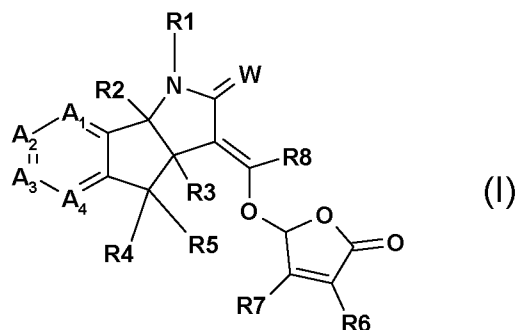
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The preferences for A₁, A₂, A₃, A₄, R₁, R₂, R₃, R₄, R₅, R₈ and W are the same as the preferences set out for the corresponding substituents of the compounds of the Formula (I).

Table 1 below includes examples of compounds of Formula (I) wherein W is O, R₂ is H, R₃ is H, R₆ is methyl, R₇ is H, R₈ is H and A₁, A₂, A₃, A₄, R₁, R₄ and R₅ are as defined.

10

Table 1



Compound	R ₁	R ₄	R ₅	A ₁	A ₂	A ₃	A ₄
1.00	H	H	H	C-CCH	C-H	C-H	C-H
1.01	H	OH	H	C-CCH	C-H	C-H	C-H
1.02	CH ₃	H	H	C- CCH	C-H	C-H	C- H
1.03	CH ₃	OH	H	C-CCH	C-H	C-H	C-H
1.04	H	H	H	C-H	C-CCH	C-H	C-H
1.05	H	OH	H	C-H	C-CCH	C-H	C-H
1.06	CH ₃	H	H	C-H	C- CCH	C-H	C- H

1.07	CH ₃	OH	H	C-H	C-CCH	C-H	C-H
1.08	H	H	H	C-H	C-H	C-CCH	C-H
1.09	H	OH	H	C-H	C-H	C-CCH	C-H
1.10	CH ₃	H	H	C-H	C-H	C- CCH	C- H
1.11	CH ₃	OH	H	C-H	C-H	C-CCH	C-H
1.12	H	H	H	C-H	C-H	C-H	C-CCH
1.13	H	OH	H	C-H	C-H	C-H	C-CCH
1.14	CH ₃	H	H	C-H	C-H	C-H	C- CCH
1.15	CH ₃	OH	H	C-H	C-H	C-H	C-CCH
1.16	H	H	H	C-CHCH ₂	C-H	C-H	C-H
1.17	H	OH	H	C-CHCH ₂	C-H	C-H	C-H
1.18	CH ₃	H	H	C-CHCH ₂	C-H	C-H	C- H
1.19	CH ₃	OH	H	C-CHCH ₂	C-H	C-H	C-H
1.20	H	H	H	C-H	C-CHCH ₂	C-H	C-H
1.21	H	OH	H	C-H	C-CHCH ₂	C-H	C-H
1.22	CH ₃	H	H	C-H	C-CHCH ₂	C-H	C- H
1.23	CH ₃	OH	H	C-H	C-CHCH ₂	C-H	C-H
1.24	H	H	H	C-H	C-H	C-CHCH ₂	C-H
1.25	H	OH	H	C-H	C-H	C-CHCH ₂	C-H
1.26	CH ₃	H	H	C-H	C-H	C- CHCH ₂	C- H
1.27	CH ₃	OH	H	C-H	C-H	C-CHCH ₂	C-H
1.28	H	H	H	C-H	C-H	C-H	C-CHCH ₂
1.29	H	OH	H	C-H	C-H	C-H	C-CHCH ₂

1.30	CH ₃	H	H	C-H	C-H	C-H	C-CHCH ₂
1.31	CH ₃	OH	H	C-H	C-H	C-H	C-CHCH ₂
1.32	H	H	H	C-CH ₂ CHCH ₂	C-H	C-H	C-H
1.33	H	OH	H	C-CH ₂ CHCH ₂	C-H	C-H	C-H
1.34	CH ₃	H	H	C-CH ₂ CHCH ₂	C-H	C-H	C-H
1.35	CH ₃	OH	H	C-CH ₂ CHCH ₂	C-H	C-H	C-H
1.36	H	H	H	C-H	C-CH ₂ CHCH ₂	C-H	C-H
1.37	H	OH	H	C-H	C-CH ₂ CHCH ₂	C-H	C-H
1.38	CH ₃	H	H	C-H	C-CH ₂ CHCH ₂	C-H	C-H
1.39	CH ₃	OH	H	C-H	C-CH ₂ CHCH ₂	C-H	C-H
1.40	H	H	H	C-H	C-H	C-CH ₂ CHCH ₂	C-H
1.41	H	OH	H	C-H	C-H	C-CH ₂ CHCH ₂	C-H
1.42	CH ₃	H	H	C-H	C-H	C-CH ₂ CHCH ₂	C-H
1.43	CH ₃	OH	H	C-H	C-H	C-CH ₂ CHCH ₂	C-H
1.44	H	H	H	C-H	C-H	C-H	C-CH ₂ CHCH ₂
1.45	H	OH	H	C-H	C-H	C-H	C-CH ₂ CHCH ₂
1.46	CH ₃	H	H	C-H	C-H	C-H	C-CH ₂ CHCH ₂
1.47	CH ₃	OH	H	C-H	C-H	C-H	C-CH ₂ CHCH ₂
1.48	H	H	H	C-CCCH ₃	C-H	C-H	C-H
1.49	H	OH	H	C-CCCH ₃	C-H	C-H	C-H
1.50	CH ₃	H	H	C-CCCH ₃	C-H	C-H	C-H
1.51	CH ₃	OH	H	C-CCCH ₃	C-H	C-H	C-H
1.52	H	H	H	C-H	C-CCCH ₃	C-H	C-H

1.53	H	OH	H	C-H	C-CCCH ₃	C-H	C-H
1.54	CH ₃	H	H	C-H	C- CCCH ₃	C-H	C- H
1.55	CH ₃	OH	H	C-H	C-CCCH ₃	C-H	C-H
1.56	H	H	H	C-H	C-H	C-CCCH ₃	C-H
1.57	H	OH	H	C-H	C-H	C-CCCH ₃	C-H
1.58	CH ₃	H	H	C-H	C-H	C- CCCH ₃	C- H
1.59	CH ₃	OH	H	C-H	C-H	C-CCCH ₃	C-H
1.60	H	H	H	C-H	C-H	C-H	C-CCCH ₃
1.61	H	OH	H	C-H	C-H	C-H	C-CCCH ₃
1.62	CH ₃	H	H	C-H	C-H	C-H	C- CCCH ₃
1.63	CH ₃	OH	H	C-H	C-H	C-H	C-CCCH ₃
1.64	H	H	H	C-Ph	C-H	C-H	C-H
1.65	H	OH	H	C-Ph	C-H	C-H	C-H
1.66	CH ₃	H	H	C- Ph	C-H	C-H	C- H
1.67	CH ₃	OH	H	C-Ph	C-H	C-H	C-H
1.68	H	H	H	C-H	C-Ph	C-H	C-H
1.69	H	OH	H	C-H	C-Ph	C-H	C-H
1.70	CH ₃	H	H	C-H	C- Ph	C-H	C- H
1.71	CH ₃	OH	H	C-H	C-Ph	C-H	C-H
1.72	H	H	H	C-H	C-H	C-Ph	C-H
1.73	H	OH	H	C-H	C-H	C-Ph	C-H
1.74	CH ₃	H	H	C-H	C-H	C- Ph	C- H
1.75	CH ₃	OH	H	C-H	C-H	C-Ph	C-H

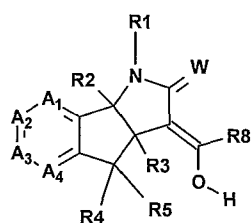
1.76	H	H	H	C-H	C-H	C-H	C-Ph
1.77	H	OH	H	C-H	C-H	C-H	C-Ph
1.78	CH ₃	H	H	C-H	C-H	C-H	C- Ph
1.79	CH ₃	OH	H	C-H	C-H	C-H	C-Ph
1.80	H	H	H	C-CH(CH ₂) ₂	C-H	C-H	C-H
1.81	H	OH	H	C-CH(CH ₂) ₂	C-H	C-H	C-H
1.82	CH ₃	H	H	C- CH(CH ₂) ₂	C-H	C-H	C- H
1.83	CH ₃	OH	H	C-CH(CH ₂) ₂	C-H	C-H	C-H
1.84	H	H	H	C-H	C-CH(CH ₂) ₂	C-H	C-H
1.85	H	OH	H	C-H	C-CH(CH ₂) ₂	C-H	C-H
1.86	CH ₃	H	H	C-H	C- CH(CH ₂) ₂	C-H	C- H
1.87	CH ₃	OH	H	C-H	C-CH(CH ₂) ₂	C-H	C-H
1.88	H	H	H	C-H	C-H	C-CH(CH ₂) ₂	C-H
1.89	H	OH	H	C-H	C-H	C-CH(CH ₂) ₂	C-H
1.90	CH ₃	H	H	C-H	C-H	C- CH(CH ₂) ₂	C- H
1.91	CH ₃	OH	H	C-H	C-H	C-CH(CH ₂) ₂	C-H
1.92	H	H	H	C-H	C-H	C-H	C-CH(CH ₂) ₂
1.93	H	OH	H	C-H	C-H	C-H	C-CH(CH ₂) ₂
1.94	CH ₃	H	H	C-H	C-H	C-H	C- CH(CH ₂) ₂
1.95	CH ₃	OH	H	C-H	C-H	C-H	C-CH(CH ₂) ₂
1.96	H	H	H	3-pyridyl	C-H	C-H	C-H
1.97	H	OH	H	3-pyridyl	C-H	C-H	C-H
1.98	CH ₃	H	H	3-pyridyl	C-H	C-H	C- H

1.99	CH ₃	OH	H	3-pyridyl	C-H	C-H	C-H
1.100	H	H	H	C-H	3-pyridyl	C-H	C-H
1.101	H	OH	H	C-H	3-pyridyl	C-H	C-H
1.102	CH ₃	H	H	C-H	3-pyridyl	C-H	C-H
1.103	CH ₃	OH	H	C-H	3-pyridyl	C-H	C-H
1.104	H	H	H	C-H	C-H	3-pyridyl	C-H
1.105	H	OH	H	C-H	C-H	3-pyridyl	C-H
1.106	CH ₃	H	H	C-H	C-H	3-pyridyl	C-H
1.107	CH ₃	OH	H	C-H	C-H	3-pyridyl	C-H
1.108	H	H	H	C-H	C-H	C-H	3-pyridyl
1.109	H	OH	H	C-H	C-H	C-H	3-pyridyl
1.110	CH ₃	H	H	C-H	C-H	C-H	3-pyridyl
1.111	CH ₃	OH	H	C-H	C-H	C-H	3-pyridyl
1.112	H	H	H	2-pyridyl	C-H	C-H	C-H
1.113	H	OH	H	2-pyridyl	C-H	C-H	C-H
1.114	CH ₃	H	H	2-pyridyl	C-H	C-H	C-H
1.115	CH ₃	OH	H	2-pyridyl	C-H	C-H	C-H
1.116	H	H	H	C-H	2-pyridyl	C-H	C-H
1.117	H	OH	H	C-H	2-pyridyl	C-H	C-H
1.118	CH ₃	H	H	C-H	2-pyridyl	C-H	C-H
1.119	CH ₃	OH	H	C-H	2-pyridyl	C-H	C-H
1.120	H	H	H	C-H	C-H	2-pyridyl	C-H
1.121	H	OH	H	C-H	C-H	2-pyridyl	C-H

1.122	CH ₃	H	H	C-H	C-H	2-pyridyl	C- H
1.123	CH ₃	OH	H	C-H	C-H	2-pyridyl	C-H
1.124	H	H	H	C-H	C-H	C-H	2-pyridyl
1.125	H	OH	H	C-H	C-H	C-H	2-pyridyl
1.126	CH ₃	H	H	C-H	C-H	C-H	2-pyridyl
1.127	CH ₃	OH	H	C-H	C-H	C-H	2-pyridyl
1.128	H	H	H	C-CO ₂ Me	C-H	C-H	C-H
1.129	H	OH	H	C-CO ₂ Me	C-H	C-H	C-H
1.130	CH ₃	H	H	C-CO ₂ Me	C-H	C-H	C- H
1.131	CH ₃	OH	H	C-CO ₂ Me	C-H	C-H	C-H
1.132	H	H	H	C-H	C-CO ₂ Me	C-H	C-H
1.133	H	OH	H	C-H	C-CO ₂ Me	C-H	C-H
1.134	CH ₃	H	H	C-H	C-CO ₂ Me	C-H	C- H
1.135	CH ₃	OH	H	C-H	C-CO ₂ Me	C-H	C-H
1.136	H	H	H	C-H	C-H	C-CO ₂ Me	C-H
1.137	H	OH	H	C-H	C-H	C-CO ₂ Me	C-H
1.138	CH ₃	H	H	C-H	C-H	C-CO ₂ Me	C- H
1.139	CH ₃	OH	H	C-H	C-H	C-CO ₂ Me	C-H
1.140	H	H	H	C-H	C-H	C-H	C-CO ₂ Me
1.141	H	OH	H	C-H	C-H	C-H	C-CO ₂ Me
1.142	CH ₃	H	H	C-H	C-H	C-H	C-CO ₂ Me
1.143	CH ₃	OH	H	C-H	C-H	C-H	C-CO ₂ Me

Table 2 below includes examples of compounds of Formula (II) wherein W is O, R₂ is H, R₃ is H, R₈ is H and A₁, A₂, A₃, A₄, R₁, R₄ and R₅ are as defined.

Table 2



(II)

5

Compound	R ₁	R ₄	R ₅	A ₁	A ₂	A ₃	A ₄
2.00	H	H	H	C-CCH	C-H	C-H	C-H
2.01	H	OH	H	C-CCH	C-H	C-H	C-H
2.02	CH ₃	H	H	C- CCH	C-H	C-H	C- H
2.03	CH ₃	OH	H	C-CCH	C-H	C-H	C-H
2.04	H	H	H	C-H	C-CCH	C-H	C-H
2.05	H	OH	H	C-H	C-CCH	C-H	C-H
2.06	CH ₃	H	H	C-H	C- CCH	C-H	C- H
2.07	CH ₃	OH	H	C-H	C-CCH	C-H	C-H
2.08	H	H	H	C-H	C-H	C-CCH	C-H
2.09	H	OH	H	C-H	C-H	C-CCH	C-H
2.10	CH ₃	H	H	C-H	C-H	C- CCH	C- H
2.11	CH ₃	OH	H	C-H	C-H	C-CCH	C-H
2.12	H	H	H	C-H	C-H	C-H	C-CCH
2.13	H	OH	H	C-H	C-H	C-H	C-CCH
2.14	CH ₃	H	H	C-H	C-H	C-H	C- CCH
2.15	CH ₃	OH	H	C-H	C-H	C-H	C-CCH
2.16	H	H	H	C-CHCH ₂	C-H	C-H	C-H

2.17	H	OH	H	C-CHCH ₂	C-H	C-H	C-H
2.18	CH ₃	H	H	C-CHCH ₂	C-H	C-H	C-H
2.19	CH ₃	OH	H	C-CHCH ₂	C-H	C-H	C-H
2.20	H	H	H	C-H	C-CHCH ₂	C-H	C-H
2.21	H	OH	H	C-H	C-CHCH ₂	C-H	C-H
2.22	CH ₃	H	H	C-H	C-CHCH ₂	C-H	C-H
2.23	CH ₃	OH	H	C-H	C-CHCH ₂	C-H	C-H
2.24	H	H	H	C-H	C-H	C-CHCH ₂	C-H
2.25	H	OH	H	C-H	C-H	C-CHCH ₂	C-H
2.26	CH ₃	H	H	C-H	C-H	C-CHCH ₂	C-H
2.27	CH ₃	OH	H	C-H	C-H	C-CHCH ₂	C-H
2.28	H	H	H	C-H	C-H	C-H	C-CHCH ₂
2.29	H	OH	H	C-H	C-H	C-H	C-CHCH ₂
2.30	CH ₃	H	H	C-H	C-H	C-H	C-CHCH ₂
2.31	CH ₃	OH	H	C-H	C-H	C-H	C-CHCH ₂
2.32	H	H	H	C-CH ₂ CHCH ₂	C-H	C-H	C-H
2.33	H	OH	H	C-CH ₂ CHCH ₂	C-H	C-H	C-H
2.34	CH ₃	H	H	C-CH ₂ CHCH ₂	C-H	C-H	C-H
2.35	CH ₃	OH	H	C-CH ₂ CHCH ₂	C-H	C-H	C-H
2.36	H	H	H	C-H	C-CH ₂ CHCH ₂	C-H	C-H
2.37	H	OH	H	C-H	C-CH ₂ CHCH ₂	C-H	C-H
2.38	CH ₃	H	H	C-H	C-CH ₂ CHCH ₂	C-H	C-H
2.39	CH ₃	OH	H	C-H	C-CH ₂ CHCH ₂	C-H	C-H
2.40	H	H	H	C-H	C-H	C-CH ₂ CHCH ₂	C-H
2.41	H	OH	H	C-H	C-H	C-CH ₂ CHCH ₂	C-H

2.42	CH ₃	H	H	C-H	C-H	C-CH ₂ CHCH ₂	C-H
2.43	CH ₃	OH	H	C-H	C-H	C-CH ₂ CHCH ₂	C-H
2.44	H	H	H	C-H	C-H	C-H	C-CH ₂ CHCH ₂
2.45	H	OH	H	C-H	C-H	C-H	C-CH ₂ CHCH ₂
2.46	CH ₃	H	H	C-H	C-H	C-H	C-CH ₂ CHCH ₂
2.47	CH ₃	OH	H	C-H	C-H	C-H	C-CH ₂ CHCH ₂
2.48	H	H	H	C-CCCH ₃	C-H	C-H	C-H
2.49	H	OH	H	C-CCCH ₃	C-H	C-H	C-H
2.50	CH ₃	H	H	C-CCCH ₃	C-H	C-H	C-H
2.51	CH ₃	OH	H	C-CCCH ₃	C-H	C-H	C-H
2.52	H	H	H	C-H	C-CCCH ₃	C-H	C-H
2.53	H	OH	H	C-H	C-CCCH ₃	C-H	C-H
2.54	CH ₃	H	H	C-H	C-CCCH ₃	C-H	C-H
2.55	CH ₃	OH	H	C-H	C-CCCH ₃	C-H	C-H
2.56	H	H	H	C-H	C-H	C-CCCH ₃	C-H
2.57	H	OH	H	C-H	C-H	C-CCCH ₃	C-H
2.58	CH ₃	H	H	C-H	C-H	C-CCCH ₃	C-H
2.59	CH ₃	OH	H	C-H	C-H	C-CCCH ₃	C-H
2.60	H	H	H	C-H	C-H	C-H	C-CCCH ₃
2.61	H	OH	H	C-H	C-H	C-H	C-CCCH ₃
2.62	CH ₃	H	H	C-H	C-H	C-H	C-CCCH ₃
2.63	CH ₃	OH	H	C-H	C-H	C-H	C-CCCH ₃
2.64	H	H	H	C-Ph	C-H	C-H	C-H
2.65	H	OH	H	C-Ph	C-H	C-H	C-H
2.66	CH ₃	H	H	C-Ph	C-H	C-H	C-H

2.67	CH ₃	OH	H	C-Ph	C-H	C-H	C-H
2.68	H	H	H	C-H	C-Ph	C-H	C-H
2.69	H	OH	H	C-H	C-Ph	C-H	C-H
2.70	CH ₃	H	H	C-H	C- Ph	C-H	C- H
2.71	CH ₃	OH	H	C-H	C-Ph	C-H	C-H
2.72	H	H	H	C-H	C-H	C-Ph	C-H
2.73	H	OH	H	C-H	C-H	C-Ph	C-H
2.74	CH ₃	H	H	C-H	C-H	C- Ph	C- H
2.75	CH ₃	OH	H	C-H	C-H	C-Ph	C-H
2.76	H	H	H	C-H	C-H	C-H	C-Ph
2.77	H	OH	H	C-H	C-H	C-H	C-Ph
2.78	CH ₃	H	H	C-H	C-H	C-H	C- Ph
2.79	CH ₃	OH	H	C-H	C-H	C-H	C-Ph
2.80	H	H	H	C-CH(CH ₂) ₂	C-H	C-H	C-H
2.81	H	OH	H	C-CH(CH ₂) ₂	C-H	C-H	C-H
2.82	CH ₃	H	H	C-CH(CH ₂) ₂	C-H	C-H	C- H
2.83	CH ₃	OH	H	C-CH(CH ₂) ₂	C-H	C-H	C-H
2.84	H	H	H	C-H	C-CH(CH ₂) ₂	C-H	C-H
2.85	H	OH	H	C-H	C-CH(CH ₂) ₂	C-H	C-H
2.86	CH ₃	H	H	C-H	C-CH(CH ₂) ₂	C-H	C- H
2.87	CH ₃	OH	H	C-H	C-CH(CH ₂) ₂	C-H	C-H
2.88	H	H	H	C-H	C-H	C-CH(CH ₂) ₂	C-H
2.89	H	OH	H	C-H	C-H	C-CH(CH ₂) ₂	C-H
2.90	CH ₃	H	H	C-H	C-H	C-CH(CH ₂) ₂	C- H
2.91	CH ₃	OH	H	C-H	C-H	C-CH(CH ₂) ₂	C-H

2.92	H	H	H	C-H	C-H	C-H	C-CH(CH ₂) ₂
2.93	H	OH	H	C-H	C-H	C-H	C-CH(CH ₂) ₂
2.94	CH ₃	H	H	C-H	C-H	C-H	C-CH(CH ₂) ₂
2.95	CH ₃	OH	H	C-H	C-H	C-H	C-CH(CH ₂) ₂
2.96	H	H	H	3-pyridyl	C-H	C-H	C-H
2.97	H	OH	H	3-pyridyl	C-H	C-H	C-H
2.98	CH ₃	H	H	3-pyridyl	C-H	C-H	C-H
2.99	CH ₃	OH	H	3-pyridyl	C-H	C-H	C-H
2.100	H	H	H	C-H	3-pyridyl	C-H	C-H
2.101	H	OH	H	C-H	3-pyridyl	C-H	C-H
2.102	CH ₃	H	H	C-H	3-pyridyl	C-H	C-H
2.103	CH ₃	OH	H	C-H	3-pyridyl	C-H	C-H
2.104	H	H	H	C-H	C-H	3-pyridyl	C-H
2.105	H	OH	H	C-H	C-H	3-pyridyl	C-H
2.106	CH ₃	H	H	C-H	C-H	3-pyridyl	C-H
2.107	CH ₃	OH	H	C-H	C-H	3-pyridyl	C-H
2.108	H	H	H	C-H	C-H	C-H	3-pyridyl
2.109	H	OH	H	C-H	C-H	C-H	3-pyridyl
2.110	CH ₃	H	H	C-H	C-H	C-H	3-pyridyl
2.111	CH ₃	OH	H	C-H	C-H	C-H	3-pyridyl
2.112	H	H	H	2-pyridyl	C-H	C-H	C-H
2.113	H	OH	H	2-pyridyl	C-H	C-H	C-H
2.114	CH ₃	H	H	2-pyridyl	C-H	C-H	C-H
2.115	CH ₃	OH	H	2-pyridyl	C-H	C-H	C-H
2.116	H	H	H	C-H	2-pyridyl	C-H	C-H

2.117	H	OH	H	C-H	2-pyridyl	C-H	C-H
2.118	CH ₃	H	H	C-H	2-pyridyl	C-H	C-H
2.119	CH ₃	OH	H	C-H	2-pyridyl	C-H	C-H
2.120	H	H	H	C-H	C-H	2-pyridyl	C-H
2.121	H	OH	H	C-H	C-H	2-pyridyl	C-H
2.122	CH ₃	H	H	C-H	C-H	2-pyridyl	C-H
2.123	CH ₃	OH	H	C-H	C-H	2-pyridyl	C-H
2.124	H	H	H	C-H	C-H	C-H	2-pyridyl
2.125	H	OH	H	C-H	C-H	C-H	2-pyridyl
2.126	CH ₃	H	H	C-H	C-H	C-H	2-pyridyl
2.127	CH ₃	OH	H	C-H	C-H	C-H	2-pyridyl
2.128	H	H	H	C-CO ₂ Me	C-H	C-H	C-H
2.129	H	OH	H	C-CO ₂ Me	C-H	C-H	C-H
2.130	CH ₃	H	H	C-CO ₂ Me	C-H	C-H	C-H
2.131	CH ₃	OH	H	C-CO ₂ Me	C-H	C-H	C-H
2.132	H	H	H	C-H	C-CO ₂ Me	C-H	C-H
2.133	H	OH	H	C-H	C-CO ₂ Me	C-H	C-H
2.134	CH ₃	H	H	C-H	C-CO ₂ Me	C-H	C-H
2.135	CH ₃	OH	H	C-H	C-CO ₂ Me	C-H	C-H
2.136	H	H	H	C-H	C-H	C-CO ₂ Me	C-H
2.137	H	OH	H	C-H	C-H	C-CO ₂ Me	C-H
2.138	CH ₃	H	H	C-H	C-H	C-CO ₂ Me	C-H
2.139	CH ₃	OH	H	C-H	C-H	C-CO ₂ Me	C-H
2.140	H	H	H	C-H	C-H	C-H	C-CO ₂ Me
2.141	H	OH	H	C-H	C-H	C-H	C-CO ₂ Me

2.142	CH ₃	H	H	C-H	C-H	C-H	C-CO ₂ Me
2.143	CH ₃	OH	H	C-H	C-H	C-H	C-CO ₂ Me

The compounds of Formula (I) according to the invention can be used as plant growth regulators or seed germination promoters by themselves, but they are generally formulated into plant growth regulation or seed germination promotion compositions using formulation

5 adjuvants, such as carriers, solvents and surface-active agents (SFAs). Thus, the present invention further provides a plant growth regulator composition comprising a plant growth regulation compound of Formula (I) and an agriculturally acceptable formulation adjuvant. The present invention further provides a plant growth regulator composition consisting essentially of a plant growth regulation compound of Formula (I) and an agriculturally

10 acceptable formulation adjuvant. The present invention further provides a plant growth regulator composition consisting of a plant growth regulation compound of Formula (I) and an agriculturally acceptable formulation adjuvant. The present invention further provides a seed germination promoter composition comprising a seed germination promoter compound of Formula (I) and an agriculturally acceptable formulation adjuvant. The present invention

15 further provides a seed germination promoter composition consisting essentially of a seed germination promoter compound of Formula (I) and an agriculturally acceptable formulation adjuvant. The present invention further provides a seed germination promoter composition consisting of a seed germination promoter compound of Formula (I) and an agriculturally acceptable formulation adjuvant. The composition can be in the form of concentrates which

20 are diluted prior to use, although ready-to-use compositions can also be made. The final dilution is usually made with water, but can be made instead of, or in addition to, water, with, for example, liquid fertilisers, micronutrients, biological organisms, oil or solvents.

The compositions generally comprise from 0.1 to 99 % by weight, especially from 0.1 to 95 % by weight, compounds of Formula (I) and from 1 to 99.9 % by weight of a formula-

25 tion adjuvant which preferably includes from 0 to 25 % by weight of a surface-active substance.

The compositions can be chosen from a number of formulation types, many of which are known from the Manual on Development and Use of FAO Specifications for Plant Protection Products, 5th Edition, 1999. These include dustable powders (DP), soluble

powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of Formula (I).

Dustable powders (DP) may be prepared by mixing a compound of Formula (I) with one or more solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulphur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

Soluble powders (SP) may be prepared by mixing a compound of Formula (I) with one or more water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulphate) or one or more water-soluble organic solids (such as a polysaccharide) and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

Wettable powders (WP) may be prepared by mixing a compound of Formula (I) with one or more solid diluents or carriers, one or more wetting agents and, preferably, one or more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

Granules (GR) may be formed either by granulating a mixture of a compound of Formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of Formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of Formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulphates or phosphates) and drying if necessary. Agents which are

commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrans, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of Formula (I) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallisation in a spray tank).

Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of Formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200; SOLVESSO is a Registered Trade Mark), ketones (such as cyclohexanone or methylcyclohexanone) and alcohols (such as benzyl alcohol, furfuryl alcohol or butanol), N-alkylpyrrolidones (such as N-methylpyrrolidone or N-octylpyrrolidone), dimethyl amides of fatty acids (such as C₈-C₁₀ fatty acid dimethylamide) and chlorinated hydrocarbons. An EC product may spontaneously emulsify on addition to water, to produce an emulsion with sufficient stability to allow spray application through appropriate equipment.

Preparation of an EW involves obtaining a compound of Formula (I) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in solution (by dissolving it in an appropriate solvent) and then emulsifying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other appropriate organic solvents which have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable isotropic liquid formulation. A compound of Formula (I) is present initially in either the

water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in ECs or in EWs. An ME may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An
5 ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely divided insoluble solid particles of a compound of Formula (I). SCs may be prepared by ball or bead milling the solid compound of Formula (I) in a suitable medium, optionally
10 with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of Formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

15 Aerosol formulations comprise a compound of Formula (I) and a suitable propellant (for example *n*-butane). A compound of Formula (I) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurised, hand-actuated spray pumps.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of
20 EW formulations but with an additional polymerisation stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and contains a compound of Formula (I) and, optionally, a carrier or diluent therefor. The polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the
25 compound of Formula (I) and they may be used for seed treatment. A compound of Formula (I) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

The composition may include one or more additives to improve the biological performance of the composition, for example by improving wetting, retention or distribution
30 on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of Formula (I). Such additives include surface active agents (SFAs), spray additives based on

oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of Formula (I)).

Wetting agents, dispersing agents and emulsifying agents may be SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulphuric acid (for example sodium lauryl sulphate), salts of sulphonated aromatic compounds (for example sodium dodecylbenzenesulphonate, calcium dodecylbenzenesulphonate, butylnaphthalene sulphonate and mixtures of sodium di-*isopropyl*- and tri-*isopropyl*-naphthalene sulphonates), ether sulphates, alcohol ether sulphates (for example sodium laureth-3-sulphate), ether carboxylates (for example sodium laureth-3-carboxylate), phosphate esters (products from the reaction between one or more fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and tetraphosphoric acid; additionally these products may be ethoxylated), sulphosuccinamates, paraffin or olefine sulphonates, taurates and lignosulphonates.

Suitable SFAs of the amphoteric type include betaines, propionates and glycines.

Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol anhydrides; condensation products of said partial esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl amine oxide); and lecithins.

Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as bentonite or attapulgite).

The present invention still further provides a method for regulating the growth of plants in a locus, wherein the method comprises application to the locus of a plant growth regulating amount of a composition according to the present invention.

5 The present invention also provides a method for promoting the germination of seeds, comprising applying to the seeds, or to a locus containing seeds, a seed germination promoting amount of a composition according to the present invention.

The application is generally made by spraying the composition, typically by tractor mounted sprayer for large areas, but other methods such as dusting (for powders), drip or drench can also be used. Alternatively the composition may be applied in furrow or directly
10 to a seed before or at the time of planting.

The compound of Formula (I) or composition of the present invention may be applied to a plant, part of the plant, plant organ, plant propagation material or a surrounding area thereof.

In one embodiment, the invention relates to a method of treating a plant
15 propagation material comprising applying to the plant propagation material a composition of the present invention in an amount effective to promote germination and/or regulate plant growth. The invention also relates to a plant propagation material treated with a compound of Formula (I) or a composition of the present invention. Preferably, the plant propagation material is a seed. In an embodiment of the invention, the plant of the seed is
20 selected from the genus *brassica*. The seed is in such an embodiment selected from the genus *brassica*. Common types of *brassica* include cabbage, cauliflower, broccoli, Brussel sprouts.

The term "plant propagation material" denotes all the generative parts of the plant, such as seeds, which can be used for the multiplication of the latter and vegetative plant
25 materials such as cuttings and tubers. In particular, there may be mentioned the seeds, roots, fruits, tubers, bulbs, and rhizomes.

Methods for applying active ingredients to plant propagation material, especially seeds, are known in the art, and include dressing, coating, pelleting and soaking application methods of the propagation material. The treatment can be applied to the seed at any time
30 between harvest of the seed and sowing of the seed or during the sowing process. The seed may also be primed either before or after the treatment. The compound of formula (I) may

optionally be applied in combination with a controlled release coating or technology so that the compound is released over time.

The composition of the present invention may be applied pre-emergence or post-emergence. Suitably, where the composition is being used to regulate the growth of crop plants, it may be applied pre or post-emergence, but preferably post-emergence of the crop. Where the composition is used to promote the germination of seeds, it may be applied pre-emergence.

The rates of application of compounds of Formula (I) may vary within wide limits and depend on the nature of the soil, the method of application (pre- or post-emergence; seed dressing; application to the seed furrow; no tillage application etc.), the crop plant, the prevailing climatic conditions, and other factors governed by the method of application, the time of application and the target crop. For foliar or drench application, the compounds of Formula (I) according to the invention are generally applied at a rate of from 1 to 2000 g/ha, especially from 5 to 1000 g/ha. For seed treatment the rate of application is generally between 0.0005 and 150g per 100kg of seed.

Plants in which the composition according to the invention can be used include crops such as cereals (for example wheat, barley, rye, oats); beet (for example sugar beet or fodder beet); fruits (for example pomes, stone fruits or soft fruits, such as apples, pears, plums, peaches, almonds, cherries, strawberries, raspberries or blackberries); leguminous plants (for example beans, lentils, peas or soybeans); oil plants (for example rape, mustard, poppy, olives, sunflowers, coconut, castor oil plants, cocoa beans or groundnuts); cucumber plants (for example marrows, cucumbers or melons); fibre plants (for example cotton, flax, hemp or jute); citrus fruit (for example oranges, lemons, grapefruit or mandarins); vegetables (for example spinach, lettuce, asparagus, cabbages, carrots, onions, tomatoes, potatoes, cucurbits or paprika); lauraceae (for example avocados, cinnamon or camphor); maize; rice; tobacco; nuts; coffee; sugar cane; tea; vines; hops; durian; bananas; natural rubber plants; turf or ornamentals (for example flowers, shrubs, broad-leaved trees or evergreens such as conifers). This list does not represent any limitation.

The invention may also be used to regulate the growth, or promote the germination of seeds of non-crop plants, for example to facilitate weed control by synchronizing germination.

Crops are to be understood as also including those crops which have been modified by conventional methods of breeding or by genetic engineering. For example, the invention may

be used in conjunction with crops that have been rendered tolerant to herbicides or classes of herbicides (e.g. ALS-, GS-, EPSPS-, PPO-, ACCase- and HPPD-inhibitors). An example of a crop that has been rendered tolerant to imidazolinones, e.g. imazamox, by conventional methods of breeding is Clearfield® summer rape (canola). Examples of crops that have been rendered tolerant to herbicides by genetic engineering methods include e.g. glyphosate- and glufosinate-resistant maize varieties commercially available under the trade names RoundupReady® and LibertyLink®. Methods of rendering crop plants tolerant to HPPD-inhibitors are known, for example from WO0246387; for example the crop plant is transgenic in respect of a polynucleotide comprising a DNA sequence which encodes an HPPD-inhibitor resistant HPPD enzyme derived from a bacterium, more particularly from *Pseudomonas fluorescens* or *Shewanella colwelliana*, or from a plant, more particularly, derived from a monocot plant or, yet more particularly, from a barley, maize, wheat, rice, *Brachiaria*, *Chenchrus*, *Lolium*, *Festuca*, *Setaria*, *Eleusine*, *Sorghum* or *Avena* species.

Crops are also to be understood as being those which have been rendered resistant to harmful insects by genetic engineering methods, for example Bt maize (resistant to European corn borer), Bt cotton (resistant to cotton boll weevil) and also Bt potatoes (resistant to Colorado beetle). Examples of Bt maize are the Bt 176 maize hybrids of NK® (Syngenta Seeds). The Bt toxin is a protein that is formed naturally by *Bacillus thuringiensis* soil bacteria. Examples of toxins, or transgenic plants able to synthesise such toxins, are described in EP-A-451 878, EP-A-374 753, WO 93/07278, WO 95/34656, WO 03/052073 and EP-A-427 529. Examples of transgenic plants comprising one or more genes that code for an insecticidal resistance and express one or more toxins are KnockOut® (maize), Yield Gard® (maize), NuCOTIN33B® (cotton), Bollgard® (cotton), NewLeaf® (potatoes), NatureGard® and Protexcta®. Plant crops or seed material thereof can be both resistant to herbicides and, at the same time, resistant to insect feeding ("stacked" transgenic events). For example, seed can have the ability to express an insecticidal Cry3 protein while at the same time being tolerant to glyphosate.

Crops are also to be understood to include those which are obtained by conventional methods of breeding or genetic engineering and contain so-called output traits (e.g. improved storage stability, higher nutritional value and improved flavour).

Compounds and compositions of the present invention may be applied in combination with other active ingredients or products for use in agriculture, including insecticides,

fungicides, herbicides, plant growth regulators, crop enhancing compounds, nutrients and biologicals. Examples of suitable mixing partners may be found in the Pesticide Manual, 15th edition (published by the British Crop Protection Council). Such mixtures may be applied to a plant, plant propagation material or plant growing locus either simultaneously (for example as a pre-formulated mixture or a tank mix), or sequentially in a suitable timescale. Co-application of pesticides with the present invention has the added benefit of minimising farmer time spent applying products to crops.

In a further aspect of the present invention, the compounds or composition of the present invention may be applied in combination with one or more other compounds having a crop enhancement effect. Such compounds include micronutrients, saccharides, amino acids, flavonoids, quinines, and plant activators / growth stimulators. For example, such compounds include natural or synthetic hormones, auxins, brassinosteroids, gibberellins, abscisic acid, cytokinins, jasmonates, strigolactones, salicylic acid, ethylene, 1-methylcyclopropene, trinexapac-ethyl or derivatives thereof. Such compounds also include pesticides that have a crop enhancement effect, for example strobilurins (including azoxystrobin, pyraclostrobin), and neonicotinoids (including thiamethoxam, and imidacloprid).

It has now been found that these strigolactam derivatives according to the invention also show crop enhancement effects.

Accordingly, the present invention provides a method of enhancing and/or increasing the yield of crop plants by applying to the plants, plant parts, plant propagation material, or a plant growing locus, a compound of formula (I).

The term "increasing the yield" of a plant means that the yield of a product of the plant is increased by a measurable amount over the yield of the same product of the plant produced under the same conditions, but without the application of the combinations according to the present invention. It is preferred that the yield is increased by at least about 0.5%, preferably 1%, more preferably 2%, yet more preferably 4% or more. Even more preferred is an increase in yield of at least about 5%, 10%, 15% or 20% or more.

According to the present invention, 'crop enhancement' means an improvement in plant vigour, an improvement in plant quality, improved tolerance to stress factors, and/or improved input use efficiency.

According to the present invention, an 'improvement in plant vigour' means that certain traits are improved qualitatively or quantitatively when compared with the same trait in a control plant which has been grown under the same conditions in the absence of the method of the invention. Such traits include, but are not limited to, early and/or improved
5 germination, improved emergence, the ability to use less seeds, increased root growth, a more developed root system, increased root nodulation, increased shoot growth, increased tillering, stronger tillers, more productive tillers, increased or improved plant stand, less plant verse (lodging), an increase and/or improvement in plant height, an increase in plant weight (fresh or dry), bigger leaf blades, greener leaf colour, increased pigment content, increased
10 photosynthetic activity, earlier flowering, longer panicles, early grain maturity, increased seed, fruit or pod size, increased pod or ear number, increased seed number per pod or ear, increased seed mass, enhanced seed filling, less dead basal leaves, delay of senescence, improved vitality of the plant, increased levels of amino acids in storage tissues and/or less inputs needed (e.g. less fertiliser, water and/or labour needed). A plant with improved vigour
15 may have an increase in any of the aforementioned traits or any combination or two or more of the aforementioned traits.

According to the present invention, an 'improvement in plant quality' means that certain traits are improved qualitatively or quantitatively when compared with the same trait in a control plant which has been grown under the same conditions in the absence of the
20 method of the invention. Such traits include, but are not limited to, improved visual appearance of the plant, reduced ethylene (reduced production and/or inhibition of reception), improved quality of harvested material, e.g. seeds, fruits, leaves, vegetables (such improved quality may manifest as improved visual appearance of the harvested material), improved carbohydrate content (e.g. increased quantities of sugar and/or starch, improved sugar acid
25 ratio, reduction of reducing sugars, increased rate of development of sugar), improved protein content, improved oil content and composition, improved nutritional value, reduction in anti-nutritional compounds, improved organoleptic properties (e.g. improved taste) and/or improved consumer health benefits (e.g. increased levels of vitamins and anti-oxidants)), improved post-harvest characteristics (e.g. enhanced shelf-life and/or storage stability, easier
30 processability, easier extraction of compounds), more homogenous crop development (e.g. synchronised germination, flowering and/or fruiting of plants), and/or improved seed quality (e.g. for use in following seasons). A plant with improved quality may have an increase in

any of the aforementioned traits or any combination or two or more of the aforementioned traits.

According to the present invention, an 'improved tolerance to stress factors' means that certain traits are improved qualitatively or quantitatively when compared with the same
5 trait in a control plant which has been grown under the same conditions in the absence of the method of the invention. Such traits include, but are not limited to, an increased tolerance and/or resistance to abiotic stress factors which cause sub-optimal growing conditions such as drought (e.g. any stress which leads to a lack of water content in plants, a lack of water uptake
10 potential or a reduction in the water supply to plants), cold exposure, heat exposure, osmotic stress, UV stress, flooding, increased salinity (e.g. in the soil), increased mineral exposure, ozone exposure, high light exposure and/or limited availability of nutrients (e.g. nitrogen and/or phosphorus nutrients). A plant with improved tolerance to stress factors may have an increase in any of the aforementioned traits or any combination or two or more of the
15 aforementioned traits. In the case of drought and nutrient stress, such improved tolerances may be due to, for example, more efficient uptake, use or retention of water and nutrients.

According to the present invention, an 'improved input use efficiency' means that the plants are able to grow more effectively using given levels of inputs compared to the grown of control plants which are grown under the same conditions in the absence of the method of the invention. In particular, the inputs include, but are not limited to fertiliser (such as nitrogen,
20 phosphorous, potassium, micronutrients), light and water. A plant with improved input use efficiency may have an improved use of any of the aforementioned inputs or any combination of two or more of the aforementioned inputs.

Other crop enhancements of the present invention include a decrease in plant height, or reduction in tillering, which are beneficial features in crops or conditions where it is
25 desirable to have less biomass and fewer tillers.

Crop enhancement also includes safening of crop plants against phytotoxic effects of pesticides or other compounds that are applied to the crop.

Any or all of the above crop enhancements may lead to an improved yield by improving e.g. plant physiology, plant growth and development and/or plant architecture. In
30 the context of the present invention 'yield' includes, but is not limited to, (i) an increase in biomass production, grain yield, starch content, oil content and/or protein content, which may result from (a) an increase in the amount produced by the plant per se or (b) an improved

ability to harvest plant matter, (ii) an improvement in the composition of the harvested material (e.g. improved sugar acid ratios, improved oil composition, increased nutritional value, reduction of anti-nutritional compounds, increased consumer health benefits) and/or (iii) an increased/facilitated ability to harvest the crop, improved processability of the crop and/or better storage stability/shelf life. Increased yield of an agricultural plant means that, where it is possible to take a quantitative measurement, the yield of a product of the respective plant is increased by a measurable amount over the yield of the same product of the plant produced under the same conditions, but without application of the present invention. According to the present invention, it is preferred that the yield be increased by at least 0.5%, more preferred at least 1%, even more preferred at least 2%, still more preferred at least 4% , preferably 5% or even more.

Any or all of the above crop enhancements may also lead to an improved utilisation of land, i.e. land which was previously unavailable or sub-optimal for cultivation may become available. For example, plants which show an increased ability to survive in drought conditions, may be able to be cultivated in areas of sub-optimal rainfall, e.g. perhaps on the fringe of a desert or even the desert itself.

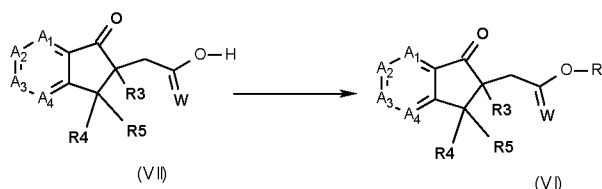
In one aspect of the present invention, crop enhancements are made in the substantial absence of pressure from pests and/or diseases and/or abiotic stress. In a further aspect of the present invention, improvements in plant vigour, stress tolerance, quality and/or yield are made in the substantial absence of pressure from pests and/or diseases. For example pests and/or diseases may be controlled by a pesticidal treatment that is applied prior to, or at the same time as, the method of the present invention. In a still further aspect of the present invention, improvements in plant vigour, stress tolerance, quality and/or yield are made in the absence of pest and/or disease pressure. In a further embodiment, improvements in plant vigour, quality and/or yield are made in the absence, or substantial absence, of abiotic stress.

According to the present invention, there is provided the use of a compound of formula (I) or a composition comprising a compound of formula (I) for improving plant yield, plant vigour, plant quality, plant tolerance to stress factors and/or plant input use efficiency.

Crop enhancement may be achieved in a range of crops. Suitable target crops are, in particular, cereals, such as wheat, barley, rye, oats, rice, maize or sorghum. However, preferably the crop plants are selected from the group consisting of corn, wheat, rice, soybean.

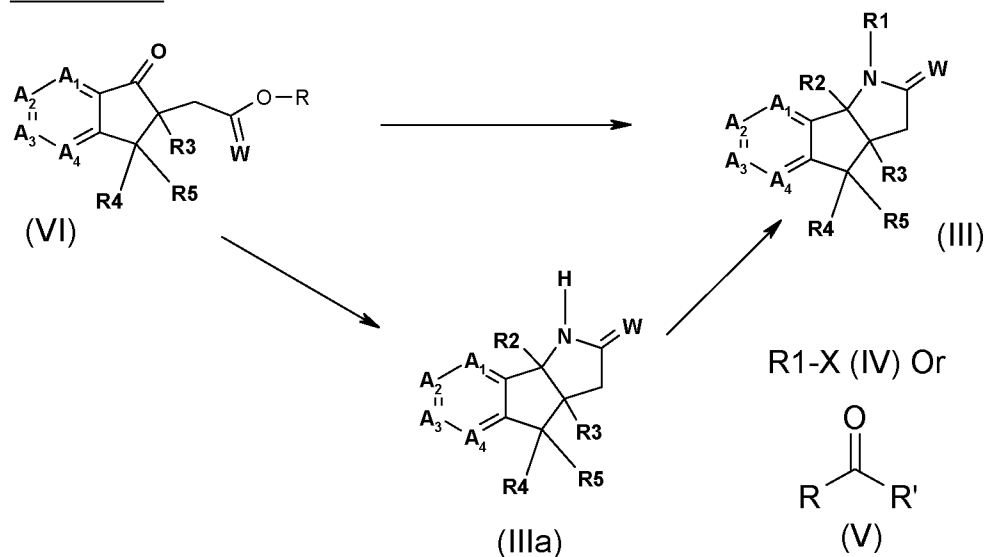
The compounds of the invention may be made by the following methods.

SCHEME 1



Compounds of Formula (VI) within R is C₁-C₆ alkyl and W is oxygen may be prepared from compounds of Formula (VII) by esterification by treatment with an alcohol in presence of an acid, such sulphuric acid in methanol or ethanol. Alternatively, compounds of Formula (VI) may be prepared from commercial or not starting material such as indanone derivatives as described in literature (see for example: Bioorganic & Medicinal Chemistry (2008), 16(8), p.4438; Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (18), p.2617; WO2005097093; Monatshefte fuer Chemie (1986), 117(5), p.621). Indanone derivatives can be prepared by known method to the person skilled in the art.

SCHEME 2



i) Compounds of Formula (III) may be prepared from a compound of Formula (VI) wherein R is not a hydrogen such as for example R is a methyl or ethyl via reductive amination by reaction of an substituted amine such as methyl amine and a reducing agent such as sodium cyanoborohydride followed by in situ intramolecular cyclisation.

5

ii) Alternatively, compounds of Formula (IIIa) may be prepared from a compound of Formula (VI) wherein R is H via reductive amination by reaction of an amine such as ammonium acetate and a reducing agent such as sodium cyanoborohydride followed by *in situ* intramolecular cyclisation.

10

iii) Alternatively, compounds of Formula (IIIa) can be prepared from a compound of Formula (VI) via formation of the oxime using a hydroxylamine salt and a base such as sodium acetate or pyridine, followed by reduction of the intermediate oxime using hydrogenation with H₂ and a catalyst such as Pd/C or Raney Nickel, or other known methods such as zinc in acetic acid.

15

Compounds of formula (III), wherein R₁ is an aromatic or heteroaromatic group, may be prepared from a compound of formula (IIIa) (wherein R₁ is H) by reaction of the amide with an aromatic or heteroaromatic compound of formula ArX, X being an halogen, in the presence of a base such as potassium phosphate and a suitable catalyst, often a copper (I) salt and a ligand such as dimethylethane-1,2-diamine.

20

Compounds of Formula (III), wherein R₁ is not hydrogen, may be prepared from a compound of formula (IIIa) (wherein R₁ is H) *via* alkylation by reaction of the amide with an alkylating agent such as an alkyl halide, in the presence of a base such as sodium hydride.

25

Compounds of Formula (III), wherein R₁ is a carbonyl derivative, may be prepared by acylation of a compound of Formula (IIIa) with a compound of formula (V), wherein R is OH, in the presence of a coupling reagent, such as DCC (N,N'-dicyclohexylcarbodiimide), EDC (1-ethyl-3-[3-dimethylamino-propyl]carbodiimide hydrochloride) or BOP-Cl (bis(2-oxo-3-oxazolidinyl)phosphonic chloride), in the presence of a base, such as pyridine, triethylamine, 4-(dimethylamino)pyridine or diisopropylethylamine, and optionally in the

30

presence of a nucleophilic catalyst, such as hydroxybenzotriazole. Optionally, when R is Cl or OC(O)C₁-C₆alkoxy, the acylation reaction may be carried out under basic conditions (for example in the presence of pyridine, triethylamine, 4-(dimethylamino)pyridine or diisopropylethylamine), optionally in the presence of a nucleophilic catalyst. Alternatively, the reaction may be conducted in a biphasic system comprising an organic solvent, preferably ethyl acetate, and an aqueous solvent, preferably a solution of sodium bicarbonate.

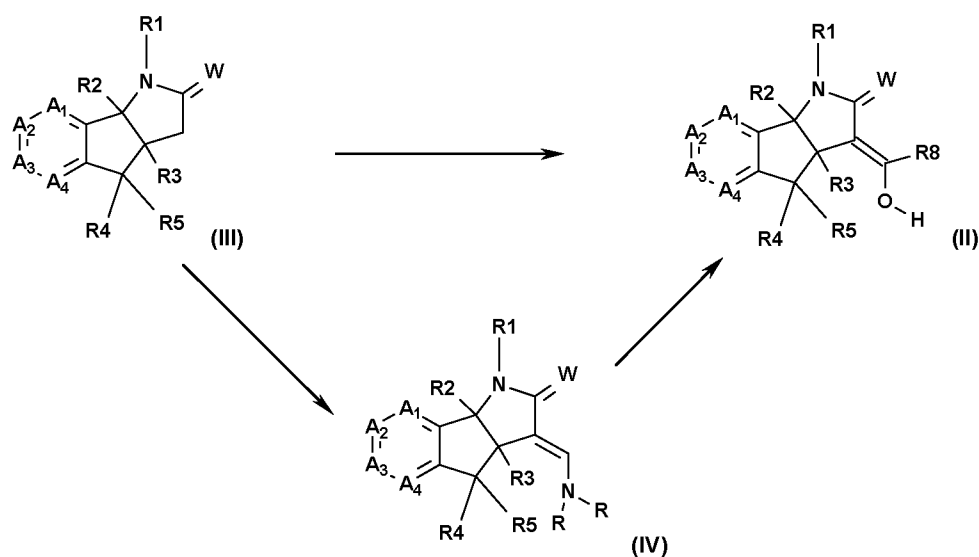
Optionally, when R is C₁-C₆ alkoxy, the amide may be prepared by heating the derivative (V) and amide (IIIa) together. R' may be alkyl or alkoxy group. In addition, Compounds of Formula (III) may be prepared, under racemic form as described in Journal of Pharmaceutical Sciences (1973), 62(8), p.1363; Journal of Organic Chemistry (1994), 59(2), p.284; Russian Journal of Organic Chemistry, (2005) 41(3), p.361; or WO84/00962.

Compounds of Formula (III) or (IIIa) wherein A₁, A₂, A₃ and A₄ are as described for the compound of Formula (I) can be prepared by the reaction of compounds of Formula (III) or (IIIa) wherein A₁, A₂, A₃ or A₄ are independently C-LG, wherein LG is a suitable leaving group, such as, for example halogen or triflate with a derivative of formula Z-X, wherein Z is a boron or a tin derivatives and X is as described for the compound of Formula (I) in the presence of a suitable catalyst/ligand system, often a palladium (0) complex. These reactions can be carried out or not under microwave irradiation. These reactions being known to the person skilled in the art under the name of Stille, Suzuki coupling, see for example: Strategic Applications of Named Reactions in Organic Synthesis Kurti, Laszlo; Czako, Barbara; Editors. USA. (2005), Publisher: Elsevier Academic Press, Burlington, Mass. p.448 (Suzuki coupling) and p.438 (Stille coupling) and cited references.

Compounds of Formula (III) or (IIIa) wherein A₁, A₂, A₃ and A₄ are is CCR where R is an C₁-C₆ alkyl, aryl, heteroaryl can also be prepared by the reaction of compounds of Formula (III) or (IIIa) wherein A₁, A₂, A₃ or A₄ are independently C-LG, wherein LG is a suitable leaving group, such as for example halogen or triflate with a derivative of formula HCCR in the presence of a suitable catalyst/ligand system, often a palladium (0) complex with or without a source of copper such as copper iodide and an organic base such as diisopropylethyl amine. This reaction being known to the person skilled in the art under the name of Sonogashira coupling, see for example: Strategic Applications of Named Reactions in

Organic Synthesis Kurti, Laszlo; Czako, Barbara; Editors. USA. (2005), Publisher: Elsevier Academic Press, Burlington, Mass. p.424 (Sonogashira coupling) and cited references.

SCHEME 3

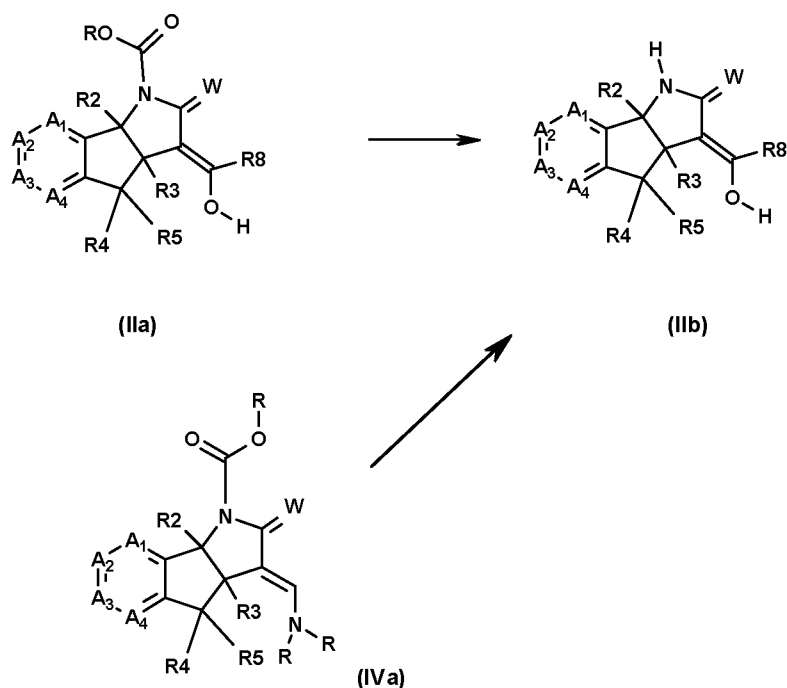


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Compounds of Formula (II) may be prepared from a compound of Formula (III) via reaction with a formic ester derivative such as the methyl formate in presence of a base such as lithium diisopropylamide or potassium tert-butyrate. Alternatively, compounds of Formula (II) may be prepared from a compound of Formula (IV) via hydrolysis with an acid such as hydrogen chloride. Compounds of Formula (IV) may be prepared from a compounds of Formula (III) via reaction with a Bredereck's reagent (t-Butoxybis(dimethylamino)methane) wherein R is methyl or analogue.

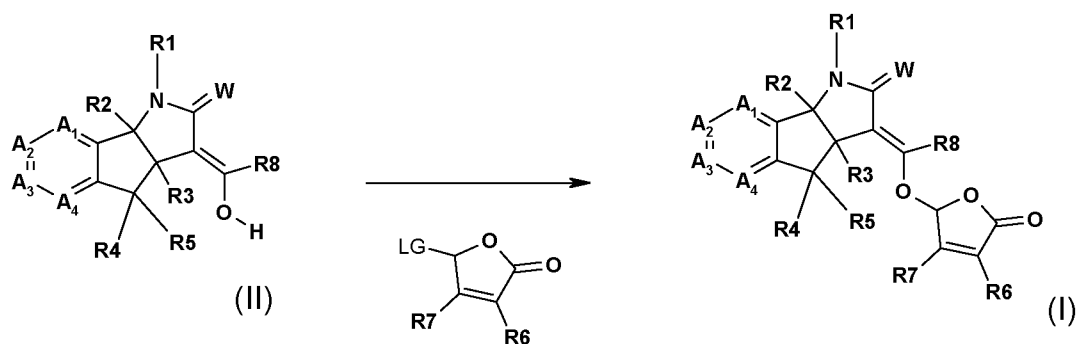
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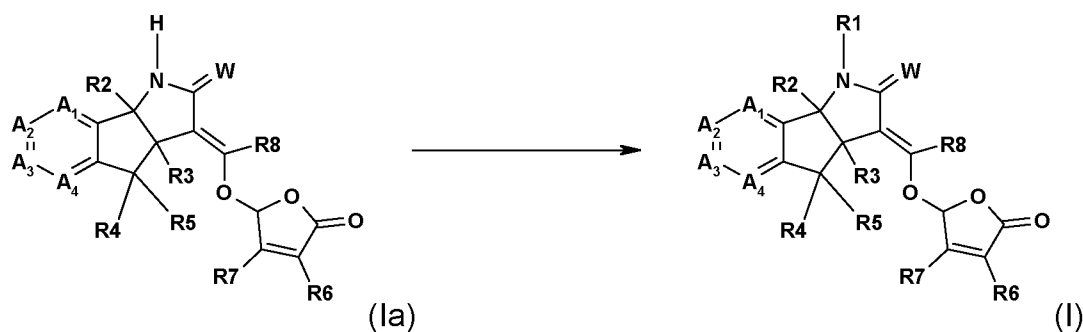
Compounds of Formula (IIb) can be prepared from a compound of Formula (IIa) wherein R is an alkyl group such as tert butyl via treatment with an acid such as trifluoroacetic acid or hydrogen chloride. Alternatively, compounds of Formula (IIb) can be prepared from a compound of Formula (IVa) wherein R is an alkyl group such as tert butyl via treatment with an acid such as hydrogen chloride.

10 SCHEME 4



Compounds of Formula (I) may be prepared from a compounds of Formula (II) via nucleophilic substitution of a 5H-furanone derivative having a leaving group (LG) and LG is

a leaving group, such as bromine in position 5 in presence of a base such as for example potassium tert-butyrate.



Alternatively, compounds of Formula (I), wherein R1 is an alkyl derivative or a benzyl derivative, may be prepared from a compound of Formula (Ia) wherein R1 is H *via* alkylation by reaction of the amine with an alkylating agent such as an alkyl halide, benzyl halide optionally in the presence of a base such as sodium hydride.

Alternatively, compounds of Formula (I), wherein a carbonyl derivative, may be prepared from a compound of Formula (Ia) wherein R1 is H *via* acylation with a compound of Formula (V), wherein R is OH, in the presence of a coupling reagent, such as DCC (N,N'-dicyclohexylcarbodiimide), EDC (1-ethyl-3-[3-dimethylamino-propyl]carbodiimide

hydrochloride) or BOP-Cl (bis(2-oxo-3-oxazolidinyl)phosphonic chloride), in the presence of a base, such as pyridine, triethylamine, 4-(dimethylamino)pyridine or diisopropylethylamine, and optionally in the presence of a nucleophilic catalyst, such as hydroxybenzotriazole.

Optionally, when R is Cl or OC(O)C₁-C₆alkoxy, the acylation reaction may be carried out under basic conditions (for example in the presence of pyridine, triethylamine, 4-

(dimethylamino)pyridine or diisopropylethylamine), optionally in the presence of a nucleophilic catalyst. Alternatively, the reaction may be conducted in a biphasic system comprising an organic solvent, preferably ethyl acetate, and an aqueous solvent, preferably a solution of sodium bicarbonate. Optionally, when R is C₁-C₆alkoxy, the amide may be prepared by heating the ester (V) and amide (Ia) together. R' may be alkyl or alkoxy group.

Compounds of Formula (I), wherein W is sulfur, may be prepared from a compound of Formula (I), wherein W is oxygen, by treatment with a thio-transfer reagent, such as Lawesson's reagent or phosphorus pentasulfide.

5

EXAMPLES

The following HPLC-MS methods were used for the analysis of the compounds:

- 10 Method A: Spectra were recorded on a ZQ (Waters Corp. Milford, MA, USA) mass spectrometer equipped with an electrospray source (ESI; source temperature 100 °C; desolvation temperature 250 °C; cone voltage 30 V; cone gas flow 50 L/Hr, desolvation gas flow 400 L/Hr, mass range: 100 to 900 Da) and an Agilent 1100 LC (column: Gemini C18, 3 um particle size, 110 Angström, 30 x 3 mm (Phenomenex, Torrance, CA, USA); column temperature: 60 °C; flow rate 1.7 mL/min; eluent A: H₂O/HCO₂H 100:0.05; eluent B: MeCN/MeOH/HCO₂H 80:20:0.04; gradient: 0 min 5% B; 2-2.8 min 100% B; 2.9-3 min 5% B; UV-detection: 200-500 nm, resolution 2 nm. The flow was split postcolumn prior to MS analysis.
- 15
- 20 Method B : Spectra were recorded on a SQD Mass Spectrometer (Waters Corp. Milford, MA, USA) mass spectrometer equipped with an electrospray source (ESI; source temperature 150 °C; desolvation temperature 250 °C; cone voltage 45 V; desolvation gas flow 650 L/Hr, mass range: 100 to 900 Da) and an Agilent UP LC (column: Gemini C18, 3 um, 30 x 2 mm (Phenomenex, Torrance, CA, USA); LC (column: Gemini C18, 3 um particle size, 110
- 25 Angström, 30 x 3 mm (Phenomenex, Torrance, CA, USA); column temperature: 60 °C; flow rate 0.85 mL/min; eluent A: H₂O/MeOH/HCO₂H 100:5:0.05; eluent B: MeCN/ HCOOH 100:0.05; gradient: 0 min 0% B; 0-1.2 min 100% B; 1.2-1.50 min 100% B; UV-detection: 210-500 nm, resolution 2 nm. The flow was split postcolumn prior to MS analysis.
- 30 Method C : Spectra were recorded on a SQD Mass Spectrometer from Waters (Single quadrupole mass spectrometer) mass spectrometer equipped with an electrospray source (Polarity: positive and negative ions, Capillary: 3.00 kV, Cone: 30.00 V, Extractor: 2.00 V,

Source Temperature: 150°C, , Desolvation Temperature: 250°C, Cone Gas Flow: 0 L/Hr, Desolvation Gas Flow: 650 L/Hr, Mass range: 100 to 900 Da) and an Acquity UPLC from Waters (Binary pump, heated column compartment and diode-array detector, Solvent degasser, binary pump, heated column compartment and diode-array detector, Column:

- 5 Phenomenex Gemini C18, 3 μ m, 30 x 2 mm, Temp: 60 °C, flow rate 0.85 mL/min; DAD Wavelength range (nm): 210 to 500) Solvent Gradient: A = H₂O + 5% MeOH + 0.05 % HCOOH, B= Acetonitril + 0.05 % HCOOH) gradient: 0 min 0% B; 0-1.2 min 100% B; 1.2-1.50 min 100% B.

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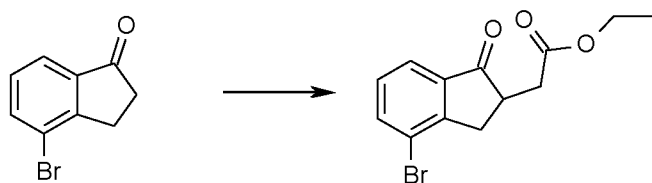
The following abbreviations are used throughout this section: s = singlet; bs = broad singlet; d = doublet; dd = double doublet; dt = double triplet; t = triplet, tt = triple triplet, q = quartet, m = multiplet; Me = methyl; Et = ethyl; Pr = propyl; Bu = butyl; M.p. = melting point; RT = retention time, MH⁺ = molecular cation (i.e. measured molecular weight).

15

Example 1: Synthesis of the diastereoisomer of (3aR,8bS, 5'R)-5-allyl-3-[1-(4-Methyl-5-oxo-2,5-dihydro-furan-2-yloxy)-meth-(E)-ylidene]-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (A1) and the diastereoisomer of (3aR*,8bS*, 5'S*)-5-allyl-3-[1-(4-Methyl-5-oxo-2,5-dihydro-furan-2-yloxy)-meth-(E)-ylidene]-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (B1).

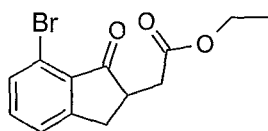
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Step 1: (1-Oxo-4-bromo-indan-2-yl)-acetic acid ethyl ester



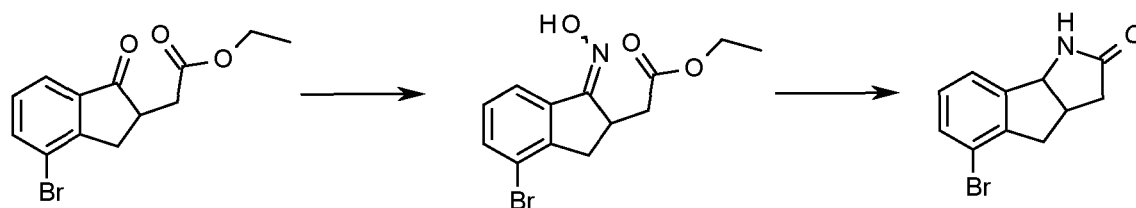
- 25 To a solution of 4-bromoindanone (15.8 g, 75 mmol) at -78 °C was added LiHMDS (1 M in THF, 90 mL). The slight brown solution was allowed to warm up to 0 °C, and was cooled again to -75 °C and ethyl 2-bromoacetate (9.1 mL, 82 mmol) was added dropwise. The mixture was allowed to warm up over night (-75 °C to -20 °C over 12 h). The mixture was quenched with sat. ammonium chloride and was extracted with ethyl acetate. Flash

chromatography give 19.5 g of the title compound in a mixture with the starting indanone ethyl 2-[4-bromo-2-(2-ethoxy-2-oxo-ethyl)-1-oxo-indan-2-yl]acetate and which was used without further purification for the next step (purity, 60 % of the desired product). LC-MS (Method A) RT 1.11 min., 297/299 ($M+H^+$).



This method was used to prepare the (7-Bromo-1-oxo-indan-2-yl)-acetic acid ethyl ester. LC-MS (Method B) RT 0.90 min., 297/299 ($M+H^+$).

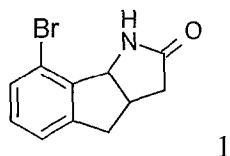
Step 2: 5-Bromo-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one



To a solution of (1-Oxo-4-bromo-indan-2-yl)-acetic acid ethyl ester (3.47 g, 11.7

mmol) in methanol (90 mL) was added pyridine (1.88 mL, 23.4 mmol) and hydroxylamine hydrochloride (1.22 g, 17.5 mmol). The solution was stirred overnight at room temperature, diluted with water, extracted with ethyl acetate, washed twice with a saturated solution of sodium hydrogenocarbonate, dried over magnesium sulphate, filtered and concentrated to give the corresponding oxime (2.90 g, 80%). The compound was used without extra purification for the next step.

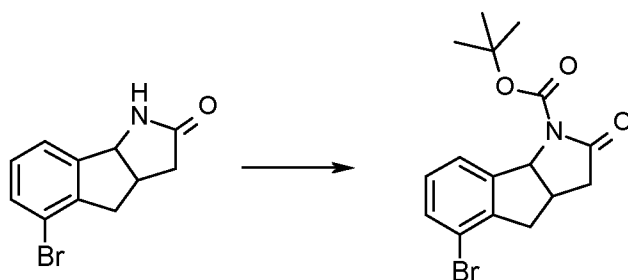
The oxime obtained in the preview step (4.30 g, 14.4 mmol) was taken up in acetic acid (50 mL) and heated to 60 °C. Then, zinc dust (9.43 g, 144.2 mmol) was added portionwise, keeping the temperature under 80 °C. The solution was stirred for 30 min at 60 °C and was then filtered. Water was added to the filtrate and the solution was neutralized with solid potassium carbonate until pH reaches 7. The solution was extracted with dichloromethane, washed with aqueous HCl (1 N), dried and concentrated to give the lactame (2.9 g, 80%) as a white solid. LC-MS (Method A) RT 1.43 min., 252/254 ($M+H^+$).



This method was used to prepare the 8-bromo-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrole-2-one. LC-MS (Method B) RT 0.69, 252/254 ($M+H^+$).

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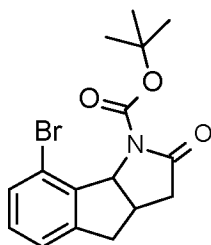
Step 3: Tert-butyl 5-bromo-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate



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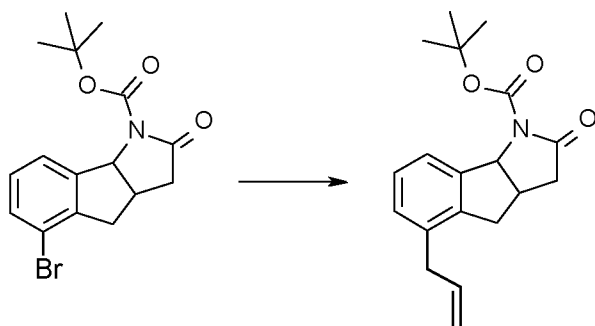
To a suspension of 5-bromo-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrole-2-one (0.85 g, 3.4 mmol) in anhydrous acetonitrile (50 mL) was added dimethylaminopyridine (0.04 g, 0.3mmol), triethylamine (0.944 mL, 6.7 mmol) and di-t-butyl dicarbonate (1.47 g, 6.7 mmol). The solution was stirred at room temperature overnight. The solution was diluted with ethyl acetate and washed with hydrogen chloride (1 M) and brine. The combined organic layers were dried and concentrated. The residue was purified by flash chromatography eluting with ethyl acetate and cyclohexane (2/8) to give the desired product (480 mg). LC-MS (Method B) RT 1.02 min, 725/727/729 ($2M+Na^+$).

15



This method was used to prepare the Tert-butyl 8-bromo-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate. LC-MS (Method B) RT 0.97 min, 725/727/729 (2M+Na⁺).

5 **Step 4: Tert-butyl 5-allyl-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate (E1)**



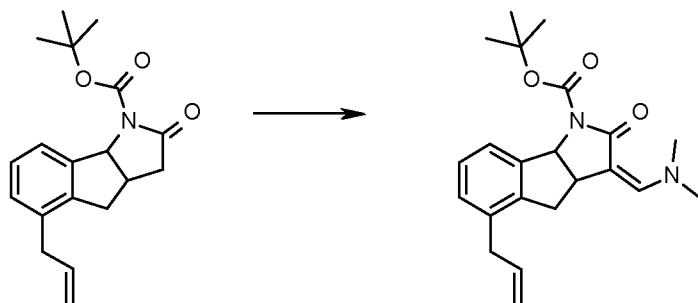
A solution tert-butyl 5-bromo-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate (Step 3, 500 mg), Pd(PPh₃)₄ (80 mg, 0.1 equiv.), allyltributylstannate (0.56 g, 1.20 equiv.) in
 10 toluene (17 mL) was degassed and stirred at reflux overnight. The solvent was removed under vacuum. The residue was taken up in acetonitrile (40 mL) and washed twice with *n*-hexane. The acetonitrile was removed in vacuo and the residue was purified by flash chromatography eluting with ethyl acetate and cyclohexane (1 to 25%) to give 210 mg of the desired product **E1**; LCMS (Method B), RT : 1.05 min; ES+ 649 (2M+Na⁺).

15

Analogous procedures were used to prepare the following compounds **E4** to **E7** (table F) starting from the corresponding tributylstannane (all commercially available).

20 **Step 5: tert-butyl (3Z)-5-allyl-3-(dimethylaminomethylene)-2-oxo-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate (D1)**

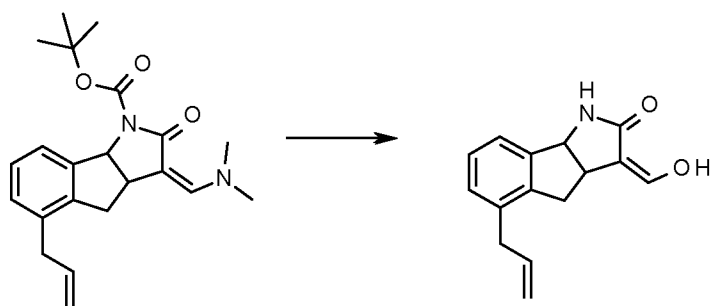
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A solution of the tert-butyl 5-allyl-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate (Step 4, 0.21 g, 0.7 mmol) in tert-butoxybis(dimethylamino)methane (0.415 mL, 2.0 mmol) in toluene (3 ml) was heated at 110 °C overnight. The solution was diluted with ethyl acetate and washed twice with water, brine, dried over magnesium sulphate and concentrated to give tert-butyl (3Z)-5-allyl-3-(dimethylaminomethylene)-2-oxo-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate **D1** (colourless solid, 0.24g, 97%). This compound was used without extra purification. LC-MS (Method C) RT 1.05 min, 369 (M+H⁺).

This method was used to prepare compound **D2** to **D13** (table D).

Step 6: (3Z)-5-allyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one C1

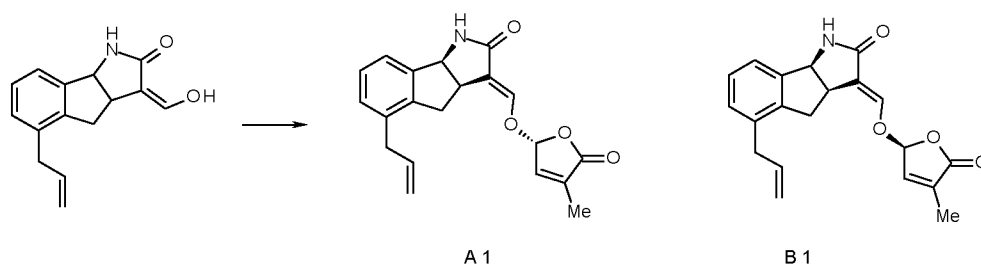


To a solution of tert-butyl (3Z)-5-allyl-3-(dimethylaminomethylene)-2-oxo-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate **D1** (Step 5, 0.24 g, 0.65 mmol) in dioxane (10 mL) was added HCl (37%, 0.68 mL). The solution was stirred overnight at room temperature and was then diluted with water, extracted with ethyl acetate, washed with brine, dried and concentrated to give 0.200 g of a mixture of (3Z)-5-allyl-3-(hydroxymethylene)-1,3a,4,8b-

tetrahydro indeno[1,2-b] pyrrol-2-one and tert-butyl (3Z)-5-allyl-3-(hydroxymethylene)-2-oxo-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate.

A solution of 0.100 g of a mixture of (3Z)-5-allyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydro indeno[1,2-b] pyrrol-2-one and tert-butyl (3Z)-5-allyl-3-(hydroxymethylene)-2-oxo-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate in dichloromethane (18 mL) was added trifluoroacetic acid (2 mL) at 0 °C. The solution was stirred for 2.5 h at 0 °C. A saturated solution of sodium hydrogenocarbonate was added and the aqueous layer was extracted with dichloromethane. The combined organic layers were washed with a saturated solution of sodium hydrogenocarbonate, dried and concentrated *in vacuo* to give (3Z)-5-allyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydro indeno[1,2-b] pyrrol-2-one **C1** (70 mg, quant.). LC-MS (Method C) RT 0.75 min; ES- 240 (M-H⁺).

Step 7: Example A1 and B1: Synthesis of the diastereoisomer (3aR*,8bS*, 5'R*)-5-allyl-3-[1-(4-Methyl-5-oxo-2,5-dihydro-furan-2-yloxy)-meth-(E)-ylidene]-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (A1) and the diastereoisomer (3aR*,8bS*, 5'S*)-5-allyl-3-[1-(4-Methyl-5-oxo-2,5-dihydro-furan-2-yloxy)-meth-(E)-ylidene]-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (B1).



To a solution of (3Z)-5-allyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydro indeno[1,2-b] pyrrol-2-one (Step 6, 0.070 g, 0.3 mmol) in dimethylformamide (5 mL) cooled at 0 °C was added potassium tert butoxide (0.036g, 0.3 mmol). The solution was stirred for 10 min. and a solution of bromo butenolide (0.062 g, 0.3 mmol, prepared according to Johnson & *all*, J.C.S. Perkin I, 1981, 1734-1743) in tetrahydrofuran (1 mL) was added. The solution was stirred at 0 °C for 3 h. The solution was partitioned between ethyl acetate and water and the aqueous layer was extracted with ethyl acetate. The combined organic layer was washed with brine, dried over magnesium sulfate and concentrated under vacuum. The residue was purified by

flash chromatography eluting with a gradient of cyclohexane and ethyl acetate (50 to 80%) followed by an isocratic periode of 80% of ethyl acetate and cyclohexane. Two diastereoisomers were obtained:

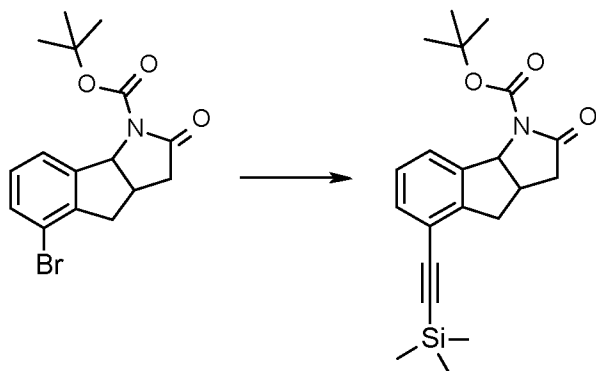
- 5- -diastereoisomer of **(3aR*,8bS*, 5'R*)-5-allyl-3-[1-(4-Methyl-5-oxo-2,5-dihydro-furan-2-yloxy)-meth-(E)-ylidene]-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (A1)** (less polar, 5.6 mg); LCMS (method C) RT 0.88 min; 338 (M+H⁺).
- diastereoisomer of **(3aR*,8bS*, 5'S*)-5-allyl-3-[1-(4-Methyl-5-oxo-2,5-dihydro-furan-2-yloxy)-meth-(E)-ylidene]-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (B1)** (more polar, 5.30 mg); LCMS (method C) RT 0.86 min; 338 (M+H⁺).

A similar method was used to prepare compounds **A2-A13** and **B2-B13**.

- 15 **Example 2: Synthesis of the diastereoisomer (3aR*,8bS*, 5'R*)-5-ethynyl-3-[1-(4-Methyl-5-oxo-2,5-dihydro-furan-2-yloxy)-meth-(E)-ylidene]-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (A2) and the diastereoisomer (3aR*,8bS*,5'S*)-5-ethynyl-3-[1-(4-Methyl-5-oxo-2,5-dihydro-furan-2-yloxy)-meth-(E)-ylidene]-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (B2).**

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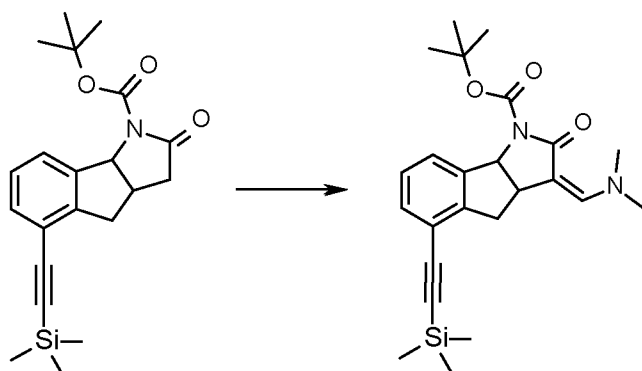
Step 1: Tert-butyl 5-trimethylethynyl-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate E2.



- To a degassed solution of tert-butyl 5-bromo-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate (Example 1, Step 3, 500 mg) was successively added Pd(PPh₃)₂Cl₂ (0.1 g), copper iodine (0.04 g), trimethylsilyl acetylene (0.28 g, 0.4 mL) and diisopropyl

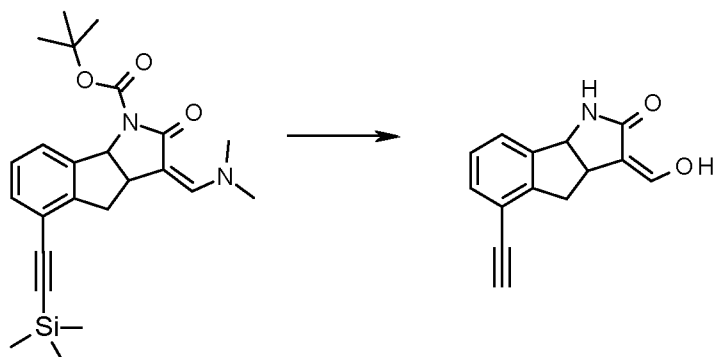
amine (0.40mL). The reaction was stirred at 80 °C for 20 h. The reaction was diluted with water and ethyl acetate and the aqueous phase was extracted twice with ethyl acetate and combined organic phase were washed with HCl 1N and brine, dried over magnesium sulfate and concentrated under vacuum. Flash chromatography with a gradient of ethyl acetate in cyclohexane gave 130 mg (25%) of the desired product and 310 mg of pure starting material (63%): LCMS (method C), RT : 1.22 min, [761, 2M+Na⁺]. ¹H NMR (400 MHz, CDCl₃) δ 7.52 (1 H, d), 7.39 (1 H, d), 7.19 (1H, t), 5.61 (1 H, d), 3.10 – 3.23 (2 H, m), 2.93 (1 H, m), 2.78 (1 H, dd), 2.30 (1 H, dd), 1.61 (9 H, s), 0.25 (9 H, s) ppm.

Step 2: tert-butyl (3Z)-3-(dimethylaminomethylene)-2-oxo-5-(2-trimethylsilylethynyl)-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate D2



The product was prepared in a similar manner to product D1 (Example 1, step 5) starting from tert-butyl 5-trimethylethynyl-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate **E2** (Example 2, Step 1, 0.13 g, 0.4 mmol) give tert-butyl (3Z)-3-(dimethylaminomethylene)-2-oxo-5-(2-trimethylsilylethynyl)-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate **D2** (0.14 g, 94%). This compound was used without extra purification. LC-MS (Method C) RT 1.21, 425 (M+H⁺).

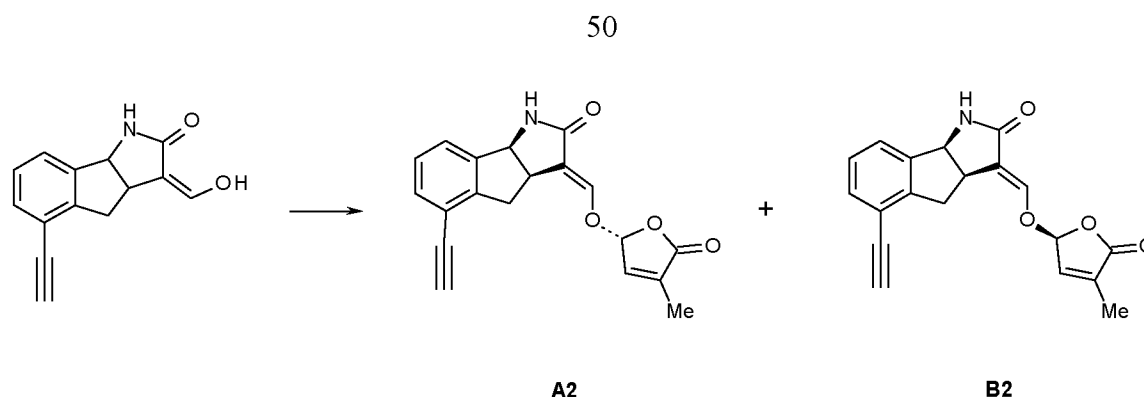
Step 3: ((3Z)-5-ethynyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (C2) .



To a solution of tert-butyl (3Z)-3-(dimethylaminomethylene)-2-oxo-5-(2-trimethylsilylethynyl)-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate **D2** (Example 2, Step 2, 0.13 g, 0.3 mmol) in dioxane (5 mL) was added HCl (37%, 0.321 mL). The solution was stirred 2 h at room temperature and was then diluted with water, extracted with ethyl acetate, washed with brine, dried and concentrated to give 0.130 g of a mixture of tert-butyl (3Z)-3-(hydroxymethylene)-2-oxo-5-(2-trimethylsilylethynyl)-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate and (3Z)-3-(hydroxymethylene)-5-(2-trimethylsilylethynyl)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one.

A solution of 0.13 g of a mixture of tert-butyl (3Z)-3-(hydroxymethylene)-2-oxo-5-(2-trimethylsilylethynyl)-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate and (3Z)-3-(hydroxymethylene)-5-(2-trimethylsilylethynyl)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one in dichloromethane (18 mL) was added trifluoroacetic acid (2 mL) at 0 °C. The solution was stirred for 1 h at 0 °C. A saturated solution of sodium hydrogenocarbonate was added and the aqueous layer was extracted with dichloromethane. The combined organic layers were washed with a saturated solution of sodium hydrogenocarbonate, dried and concentrated *in vacuo* to give ((3Z)-5-ethynyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one **C2** (70 mg, 72%). LC-MS (Method C) RT 0.67 min, ES- 224 (M-H⁺), ES+ 226 (M+H⁺).

Step 4: Diastereoisomer (3E,3aR,8bS)-5-ethynyl-3-[(4-methyl-5-oxo-2H-furan-2-yl)oxymethylene]-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (A2) and diastereoisomer (3E,3aR,8bS)-5-ethynyl-3-[(2S)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (B2)



The product was prepared in a similar manner to product **A1** and **B1** (Example 1, step 7) starting from ((3Z)-5-ethynyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one **C2** (Example 2, Step 3, 0.070 g, 0.3 mmol). Two diastereoisomers were obtained: (**A2**)

(less polar, 15 mg) and (**B2**) (more polar, 6 mg, imp).

-diastereoisomer of (3E,3aR,8bS)-5-ethynyl-3-[(4-methyl-5-oxo-2H-furan-2-yl)oxymethylene]-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (**A2**) (less polar, 14.9 mg); LCMS (method C) RT 0.80min; 322 (M+H⁺).

- diastereoisomer of (3E,3aR,8bS)-5-ethynyl-3-[(2S)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (**B2**) (more polar, 6.0 mg); LCMS (method C) RT 0.78 min; 322 (M+H⁺).

Example 3: Synthesis of the diastereoisomer of methyl (3E,3aR,8bR)-3-[(2R)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-2-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-7-carboxylate (A3**) and diastereoisomer methyl (3E,3aR,8bR)-3-[(2S)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-2-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-7-carboxylate (**B3**)**

This example was synthesized by a known method described in Journal of Agricultural and Food Chemistry (1997), 45(6), p.2278-2283 and Journal of Agricultural and Food Chemistry (1992), 40(7), p.1230-5.

Step 1 : 3-Oxo-indan-2, 5-dicarboxylic acid 2-ethyl ester-5-methyl ester

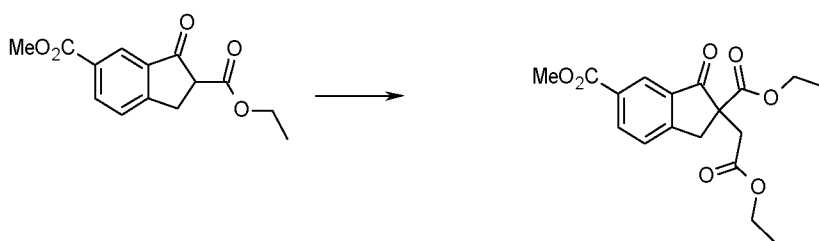
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To a stirred suspension of 3-oxo-indan-5-carboxylic acid methyl ester (commercially available, 300 mg, 1.5 mmol) in dry THF (7.3 ml) was cooled to -70 °C and a 1.0 M solution of lithium bis (trimethylsilyl) amide in THF (3.4 ml, 3.4 mmol) was added drop wise during 20 mins. The reaction mixture was allowed to warm to -33 °C during 1 h and giving a reddish brown solution. The reaction mixture was recooled to -65 °C and ethyl cyano formate (239 mg, 0.24 ml, 2.4 mmol) was added during one min. The reaction mixture was allowed to warm upto 15 °C during 3 h. The reaction mixture was partitioned between ethyl acetate and 1 N HCl. Organic phases were successively washed with water, saturated NaHCO₃, brine and dried (Na₂SO₄). Solvent was evaporated to dryness; solid obtained was washed with hexane, dried to yield a desired compound (295 mg, 71 %).

¹H NMR (400 MHz, CDCl₃) δ 10.30 (0.25 H, br, OH), 8.41 (0.75 H, s), 8.30 (1.5 H, m), 8.11 (0.25 H, m), 7.55 (1 H, m), 4.30 (2 H, m), 3.77 (3 H, s), 3.75 (0.75 H, m), 3.63 (1.25 H, m), 3.40 (0.75, m), 1.28 (3 H, m) ppm (mixture of ketone and enol).

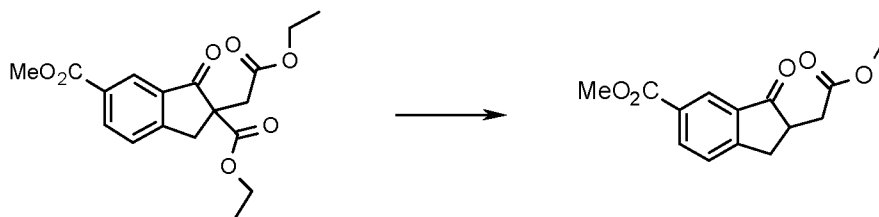
Step 2: 2-Ethoxycarbonylmethyl-3-oxo-indan-2,5-dicarboxylic acid-2-ethyl ester-5-methyl ester



To a stirred solution of 3-oxo-indan-2, 5-dicarboxylic acid 2-ethyl ester-5-methyl ester (Step1, 500 mg, 1.9 mmol) in dry DMF (0.7 ml) was added sodium hydride (84 mg, 2.0 mmol, 60 % in mineral oil) and then heated at 60 °C for 1 h. Then ethyl bromo acetate (350 mg, 2.0 mmol) was dissolved in dry DMF (1.4 ml) and added to the reaction mixture at room temperature and then again heated at 60 °C for 3 h. After completion of the reaction mixture was concentrated and H₂O (5 ml) was added. The suspension was extracted with ethyl acetate and combined organic layer was washed with brine, dried and concentrated. The crude was

purified by column chromatography using 20 % ethyl acetate-hexane to give the desired compound (530 mg). ^1H NMR (400 MHz, CDCl_3) δ 8.42 (1 H, s), 8.30 (1 H, d), 7.57 (1 H, d), 4.37 (4 H, m), 3.92 (3 H, s), 3.90 (1 H, d), 3.28 (2 H, m), 2.90 (1 H, d), 1.15 (3 H, m) ppm.

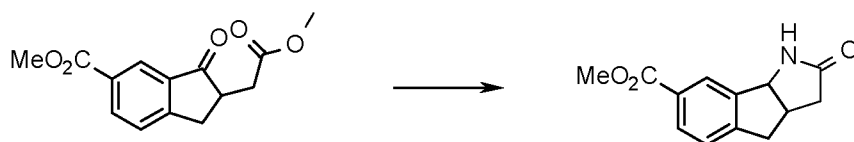
5 Step 3: methyl 2-(2-methoxy-2-oxo-ethyl)-3-oxo-indane-5-carboxylate



2-Ethoxycarbonylmethyl-3-oxo-indan-2,5-dicarboxylic acid-2-ethyl ester-5-methyl ester (Step 2, 530 mg, 1.5 mmol) in 1.3 ml mixture of 6 N HCl: acetic acid (1:1) was heated to reflux for 3 h. The reaction mixture was evaporated to dryness, 10 ml water was added and extracted with ethyl acetate. Organic layer was washed with brine, dried over sodium sulphate and then concentrated. The crude product was washed with hexane and precede next step without further purification (530 mg).

To a stirred solution of 2-carboxymethyl-3-oxo-indan-5-carboxylic acid (3.5 g, 14.9 mmol) in methanol (53 ml) was added concentrated sulphuric acid (5.6 ml) at 0 °C. After addition temperature of the reaction mixture was slowly raised to room temperature and then heated to reflux for 5 h. The reaction mixture was evaporated. Water was added and extracted with ethyl acetate. Ethyl acetate layer was washed with saturated aqueous sodium bicarbonate, brine, dried and concentrated under reduced pressure. Crude was purified by column chromatography using acetone / hexane (25 %) to yield a desired product (2.7 g). ^1H NMR (400 MHz, CDCl_3) δ 8.44 (1 H, s), 8.30 (1 H, d), 7.57 (1 H, d), 3.95 (3 H, s), 3.78 (3 H, s), 3.53 (1 H, dd), 3.09-2.93 (3 H, m), 2.71 (1 H, dd) ppm.

Step 4: Methyl 2-oxo-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrole-7-carboxylate

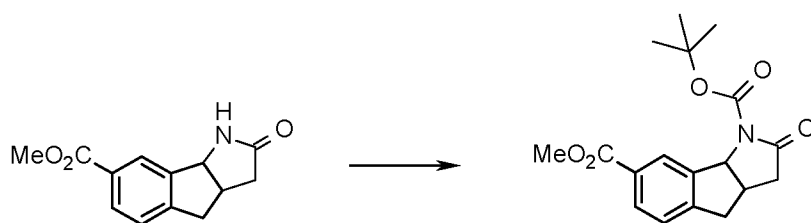


A round bottomed flask was charged with methyl 2-(2-methoxy-2-oxo-ethyl)-3-oxo-indane-5-carboxylate (3.0 g, 11 mmol), methanol (60 mL), hydroxyammonium chloride (34 mmol, 2.4

g) and pyridine (46 mmol, 3.7 mL). The resulting yellow solution was refluxed over night. Water (200 mL) was added and extracted with ethyl acetate (100 ml x 3). The organic layer was washed with brine, dried (sodium sulphate) and concentrated under reduced pressure to give the corresponding oxime (3.28g, quant.) and kept crude.

- 5 To a solution of the crude oxime (3.28 g, 12 mmol) in acetic acid (35 mL) at 50-60°C was added zinc (120 mmol, 7.7 g) portion wise, keeping temperature below 70 °C. After 15 min, zinc was filtered and washed with water. The filtrate was poured into water and pH was adjusted to 8-9 with K₂CO₃. The white suspension was extracted twice with ethyl acetate. The organic phase was washed with HCl 1N giving methyl 2-oxo-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrole-7-carboxylate (1.75 g, 7.57 mmol, 1.75 g) crude. LC/MS (method B),
 10 RT: 0.65 min, ES+ 232, M+H⁺.

Step 5: Tert-butyl 7-methyl 2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1,7-dicarboxylate E3

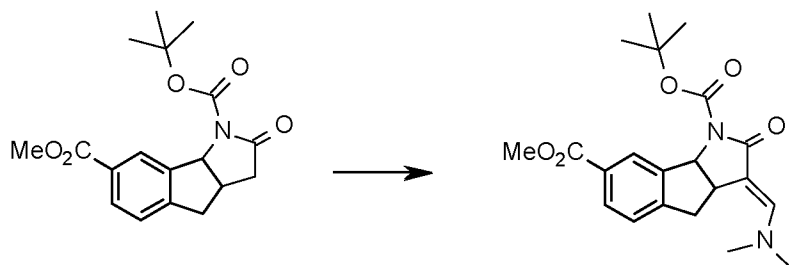


- To a suspension of methyl 2-oxo-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrole-7-carboxylate (Step 4, 0.75 g, 3.2 mmol) in anhydrous acetonitrile (30 mL) was added dimethylaminopyridine (0.40 g, 0.32 mmol), triethylamine (2.7 mL, 19 mmol) and di-t-butyl dicarbonate (2.8 g, 13 mmol). The solution was stirred at room temperature overnight. The solution was diluted with ethyl acetate and washed with hydrogen chloride (1M) and brine. The combined organic layers were dried and concentrated. The residue was purified by flash chromatography eluting with ethyl acetate and cyclohexane (1/1) to give the title product **E3** (990 mg, 92%). LCMS (method B): RT: 0.90 min, ES+ 685, 2M+Na⁺.

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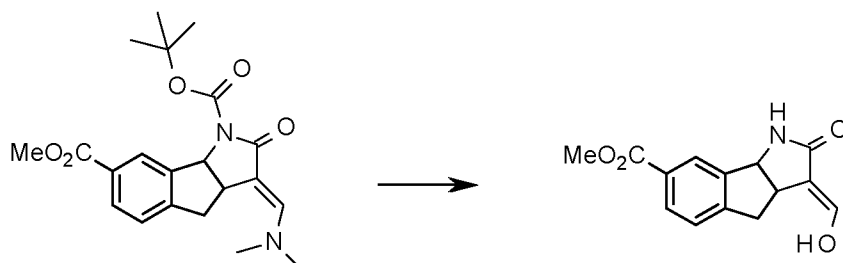
Step 6: Tert-butyl 7-methyl 3-(dimethylaminomethylene)-2-oxo-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1,7-dicarboxylate D3

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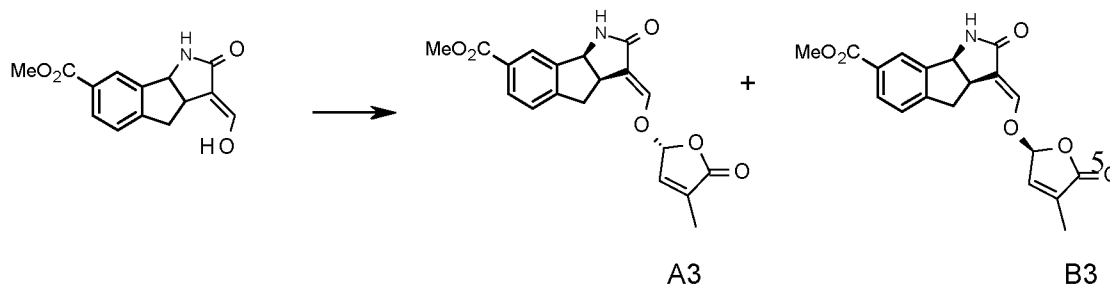
The product was prepared in a similar manner to product **D1** (Example 1, step 5) starting from tert-butyl 7-methyl 2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1,7-dicarboxylate **E3** (Example 3, Step 5, 0.500 g, 2.0 mmol) give the title compound **D3** (0.610 g, quant.). This compound was used without extra purification. LCMS (method B): RT: 0.93 min, ES+ 387, $M+H^+$.

Step 7: Methyl 3-(hydroxymethylene)-2-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-7-carboxylate **C3**



To a solution of tert-butyl 7-methyl 3-(dimethylaminomethylene)-2-oxo-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1,7-dicarboxylate (610 mg, 1.6 mmol) in dioxane (20 mL) was added HCl (36%, 2.9 mL, 32 mmol). The solution was stirred overnight at room temperature. The solution was diluted with ethyl acetate and washed twice with water, brine, dried over magnesium sulphate and concentrated to give title compound (0.300 g, 73%). This compound was used without extra purification. LC/MS (method B) RT: 0.65 min; ES-: 258, $M-H^+$.

Step 8: Diastereoisomer methyl (3E,3aR,8bR)-3-[[[(2R)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-2-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-7-carboxylate (**A3**) and diastereoisomer methyl (3E,3aR,8bR)-3-[[[(2S)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-2-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-7-carboxylate (**B3**)



The product was prepared in a similar manner to product **A1** and **B1** (Example 1, step 7)

starting from tert-butyl methyl 3-(hydroxymethylene)-2-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-7-carboxylate **c3** (Example 3, Step 7, 0.30 g, 1.2 mmol) to give the title compound **D3** as a mixture of diastereoisomers:

-diastereoisomer of methyl (3E,3aR,8bR)-3-[(2R)-4-methyl-5-oxo-2H-furan-2-

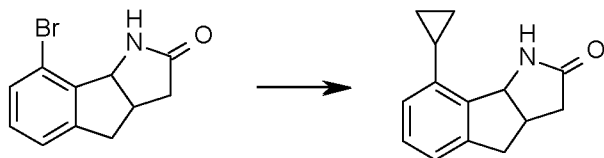
yl]oxymethylene-2-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-7-carboxylate (**A3**) (less polar, 73 mg); LCMS (method B) RT 0.78 min; 356 ($M+H^+$).

- diastereoisomer of methyl (3E,3aR,8bR)-3-[(2S)-4-methyl-5-oxo-2H-furan-2-

yl]oxymethylene-2-oxo-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-7-carboxylate (**B3**) (more polar, 54 mg); LCMS (method B) RT 0.78 min; 356 ($M+H^+$).

Example 4: 8-cyclopropyl-3-[4-methyl-5-oxo-2H-furan-2-yl]oxymethylene-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one A8 and B8

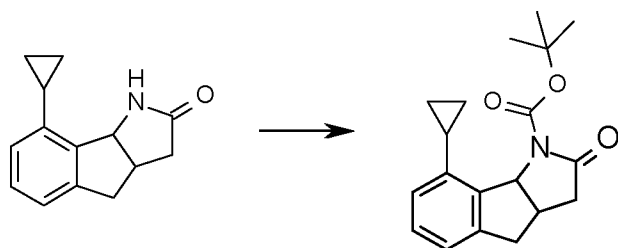
Step 1: 8-cyclopropyl-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one



A 2-necked flask, flushed with argon was charged with (0.35 g, 1.4 mmol), 1,2-dimethoxyethane (35 mL, 333 mmol), cyclopropyl boronic acid (0.14 g, 1.7 mmol), tetrakis

(triphenylphosphine) palladium (0.16 g, 0.14 mmol), water (7 mL) and finally caesium carbonate (1.0 g, 3.1 mmol). The resulting mixture was heated to reflux over night. Water was added and the solution was extracted with ethyl acetate, washed with brine and concentrated. The crude material was purified by flash chromatography eluting with ethyl acetate /
 5 cyclohexane (99:1) giving 8-cyclopropyl-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (0.17 g, 0.7970 mmol, 57%) in mixture with the starting material (77:23). LCMS (method B) RT 0.75 min; 214 ($M+H^+$).

Step 2: tert-butyl 8-cyclopropyl-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-
 10 **carboxylate E8**

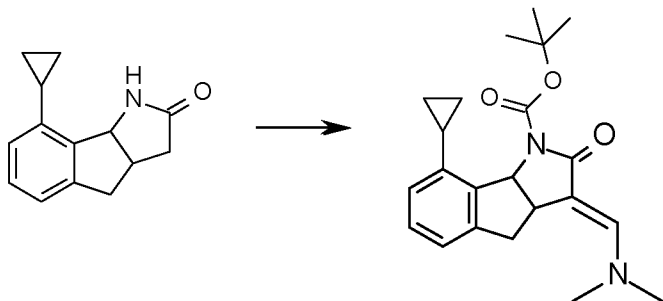


To a solution of 8-cyclopropyl-3,3a,4,8b-tetrahydro-1H-indeno[1,2-b]pyrrol-2-one (Step 1, 0.170 g, 0.79 mmol) in acetonitrile (10 mL, 191 mmol), was added di-*t*-butyl dicarbonate
 15 (0.521 g, 2.39 mmol), dimethylaminopyridine (0.097 g, 0.79 mmol) and finally triethylamine (0.673 mL, 4.78 mmol). The mixture was refluxed for an hour. The solution was partitioned between ethyl acetate and 1N HCl, extracted, dried and concentrated. The crude material was purified by flash chromatography eluting with ethyl acetate/ cyclohexane (3:17) to give tert-butyl 8-cyclopropyl-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate **E8**

20 (0.13 g, 0.41 mmol, 52%) as a yellow oil. LCMS (method B) RT 1.04 min; 369, $M+H^+$ -Boc

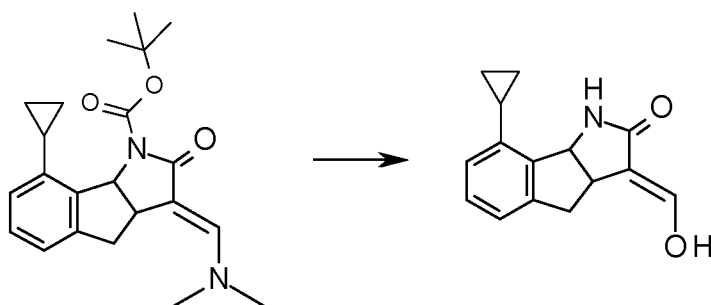
Step 3: Tert-butyl (3E)-8-cyclopropyl-3-(dimethylaminomethylene)-2-oxo-4,8b-dihydro-
3aH-indeno[1,2-b]pyrrole-1-carboxylate D8

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The product was prepared in a similar manner to product **D1** (Example 1, step 5) starting from tert-butyl 8-cyclopropyl-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate **E8** (Example 4, Step 2, 0.13 g, 0.41 mmol) to give the title compound **D8** (0.16 g, quant.) which was used without further purification in the next step. LCMS (method B) RT 1.04 min; ES+ 759 (2M+Na⁺).

Step 4: (3E)-8-cyclopropyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one C8

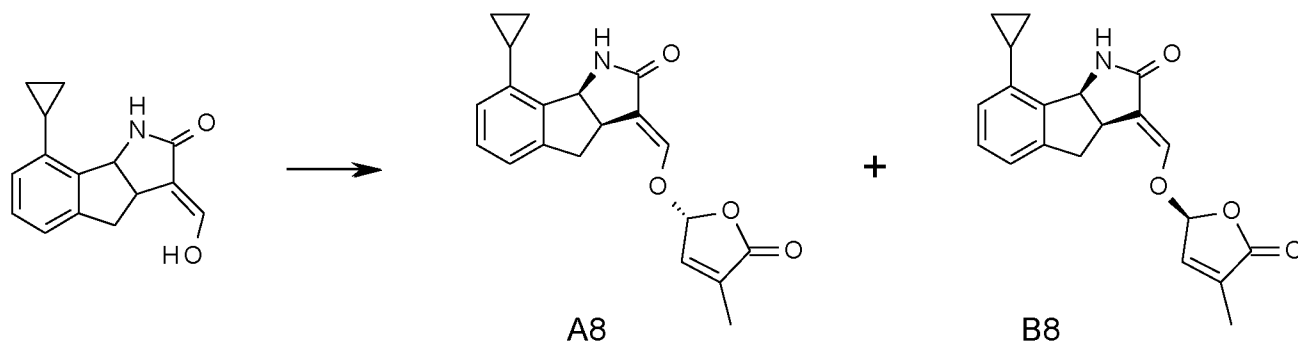


The product was prepared in a similar manner to product **C3** (Example 3, step 7) starting from tert-butyl (3E)-8-cyclopropyl-3-(dimethylaminomethylene)-2-oxo-4,8b-dihydro-3aH-indeno[1,2-b]pyrrole-1-carboxylate **D8** (Step 3, 0.16 g, 0.43 mmol,) to give (3E)-8-cyclopropyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one **C8** (0.095 g, 91%) which was used without further purification in the next step. LCMS (method B) RT: 0.75 min; ES+ 242 (M+H⁺).

Step 5: Diastereoisomer (3E,3aR,8bS)-8-cyclopropyl-3-[(2R)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (A8) and

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Diastereoisomer (3E,3aR,8bS)-8-cyclopropyl-3-[[[(2S)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (B8).



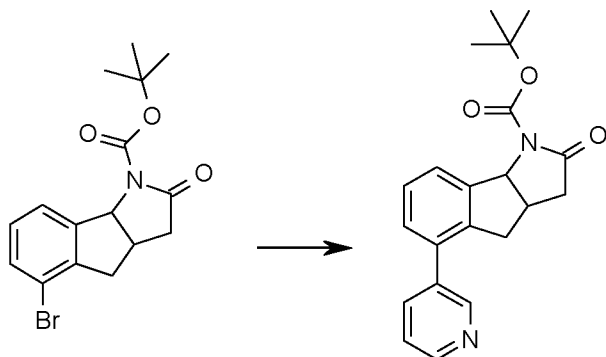
- 5 The product was prepared in a similar manner to product **A1** and **B1** (Example 1, step 7) starting from (3E)-8-cyclopropyl-3-(hydroxymethylene)-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one **C8** (0.095 g, 0.3937 mmol). Two diastereoisomers were obtained: (**A8**) (less polar, 25 mg) and (**B8**) (more polar, 18 mg).
- diastereoisomer of (3E,3aR,8bS)-8-cyclopropyl-3-[[[(2R)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (**A8**) (less polar, 25 mg);
- 10 LCMS (method B) RT 0.88 min; ES+ 338 (M+H⁺).
- diastereoisomer (3E,3aR,8bS)-8-cyclopropyl-3-[[[(2S)-4-methyl-5-oxo-2H-furan-2-yl]oxymethylene]-1,3a,4,8b-tetrahydroindeno[1,2-b]pyrrol-2-one (**B8**) (more polar, 18 mg);
- LCMS (method B) RT 0.87 min; ES+ 338 (M+H⁺).

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Example 5:

Step 1: tert-butyl 2-oxo-5-(3-pyridyl)-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate E11

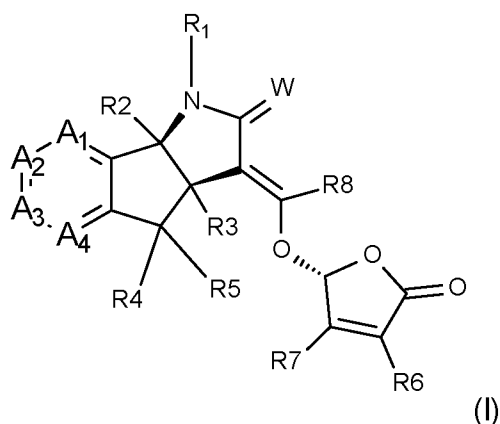
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Tert-butyl 5-bromo-2-oxo-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate (Example 1. Step 3, 0.500 g, 1.42 mmol), tributyl(3-pyridyl)stannane (0.784 g, 2.12 mmol) and tetrakis (triphenylphosphine) palladium (0.164 g, 0.142 mmol) were dissolved in toluene. The mixture was irradiated in the microwave at 160 °C and normal absorption level for 5 minutes. The toluene was removed and the mixture was taken up in acetonitrile and n-hexan. The hexane layer was extracted again with acetonitrile and the combined acetonitrile layers were dried over sodium sulphate and evaporated. The crude was purified by flash chromatography to give tert-butyl 2-oxo-5-(3-pyridyl)-3,3a,4,8b-tetrahydroindeno[1,2-b]pyrrole-1-carboxylate **E11** (0.409 g, 82%); LCMS (method A) RT 1.45 min; ES+ 351 (M+H⁺).

The compounds **E9-E13** were prepared according to this procedure.

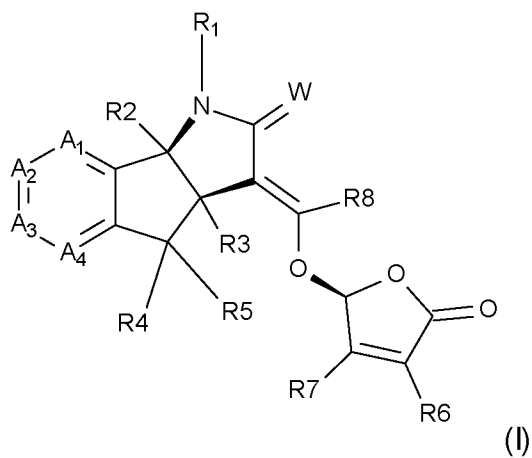
Table A: Compounds of Formula (I), less polar diastereoisomer (R₂=R₃=R₄=R₅=R₇=R₈=H, R₆=Me, W=O)



Ex.	R1	A ₁	A ₂	A ₃	A ₄	LCMS method	RT (min)	Mass
A1	H	C-H	C-H	C-H	C-allyl	C	0.88	338, M+H ⁺
A2	H	C-H	C-H	C-H	C-ethynyl	C	0.80	322, M+H ⁺
A3	H	C-H	C-CO ₂ Me	C-H	C-H	B	0.78	356, M+H ⁺
A4	H	C-H	C-H	C-H	C-CCMe	B	0.85	336, M+H ⁺
A5	H	C-H	C-H	C-H	C-CCCH ₂ OMe	B	0.81	366, M+H ⁺
A6	H	C-H	C-H	C-H	C-CCPh	B	0.99	398, M+H ⁺
A7	H	C-H	C-H	C-H	C-CHCH ₂	B	0.83	324, M+H ⁺
A8	H	C-CH(CH ₂) ₂	C-H	C-H	C-H	B	0.88	338, M+H ⁺
A9*	H	C-H	C-H	C-H	C-phenyl	C	0.90	374, M+H ⁺
A10*	H	C-H	C-H	C-H	C-4-pyridyl	A	1.11	375, M+H ⁺
A11*	H	C-H	C-H	C-H	C-3-pyridyl	A	1.26	375, M+H ⁺
A12*	H	C-H	C-H	C-H	C-2-thiazolyl	C	0.80	381, M+H ⁺
A13*	H	C-H	C-H	C-H	C-2-furyl	C	0.86	364, M+H ⁺

*in diastereoisomeric mixture with the corresponding compound B.

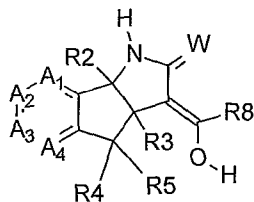
Table B: Compounds of Formula (I), more polar diastereoisomer
(R₂=R₃=R₄=R₅=R₇=R₈=H, R₆=Me, W=O)



Ex.	R1	A ₁	A ₂	A ₃	A ₄	LCMS method	RT (min)	Mass
B1	H	C-H	C-H	C-H	C-allyl	C	0.86	338, MH ⁺
B2	H	C-H	C-H	C-H	C-ethynyl	C	0.78	322, MH ⁺
B3	H	C-H	C-CO ₂ Me	C-H	C-H	B	0.78	356, MH ⁺
B4	H	C-H	C-H	C-H	C-CCMe	B	0.83	336, MH ⁺
B5	H	C-H	C-H	C-H	C-CCCH ₂ OMe	B	0.79	366, MH ⁺
B6	H	C-H	C-H	C-H	C-CCPh	B	0.98	398, M+H ⁺
B7	H	C-H	C-H	C-H	C-CHCH ₂	B	0.82	324, M+H ⁺
B8	H	C-CH(CH ₂) ₂	C-H	C-H	C-H	B	0.87	338, M+H ⁺
B9*	H	C-H	C-H	C-H	C-phenyl	C	0.90	374, M+H ⁺
B10*	H	C-H	C-H	C-H	C-2-pyridyl	A	1.11	375, M+H ⁺
B11*	H	C-H	C-H	C-H	C-3-pyridyl	A	1.26	375, M+H ⁺
B12*	H	C-H	C-H	C-H	C-2-thiazolyl	C	0.80	381, M+H ⁺
B13*	H	C-H	C-H	C-H	C-2-furyl	C	0.86	364, M+H ⁺

*in diastereoisomeric mixture with the corresponding compound A.

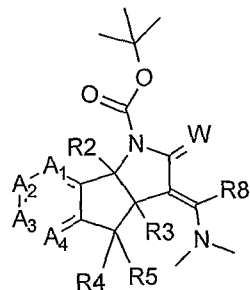
Table C: Compounds of Formula (IIb) (R₂=R₃=R₄=R₅=R₈=H, W=O)



(IIb)

Ex.	A ₁	A ₂	A ₃	A ₄	LCMS method	RT (min.)	Mass
C1	C-H	C-H	C-H	C-allyl	C	0.75	240, M-H ⁺
C2	C-H	C-H	C-H	C-CCSiMe ₃	C	0.67	226 M+H ⁺
C3	C-H	C-CO ₂ Me	C-H	C-H	B	0.65	258, M-H ⁺
C4	C-H	C-H	C-H	C-CCMe	B	0.72	240, M+H ⁺
C5	C-H	C-H	C-H	C-CCCH ₂ OMe	B	0.69	270, M+H ⁺
C6	C-H	C-H	C-H	C-CCPh	B	0.81	325, M-H ⁺
C7	C-H	C-H	C-H	C-CHCH ₂	B	0.70	228, M+H ⁺
C8	C-CH(CH ₂) ₂	C-H	C-H	C-H	B	0.75	242, M+H ⁺
C9	C-H	C-H	C-H	C-phenyl	A	1.54	276, M-H ⁺
C10	C-H	C-H	C-H	C-4-pyridyl	C	0.38	277, M-H ⁺
C11	C-H	C-H	C-H	C-3-pyridyl	C	0.35	277, M-H ⁺
C12	C-H	C-H	C-H	C-2-thiazolyl	C	0.66	283, M-H ⁺
C13	C-H	C-H	C-H	C-2-furyl	C	0.73	266, M-H ⁺

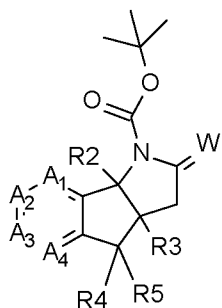
5 Table D: Compounds of Formula (IIb) (R₂=R₃=R₄=R₅=R₈=H, W=O)



(IIb)

Ex.	A ₁	A ₂	A ₃	A ₄	LCMS method	RT (min.)	Mass
D1	C-H	C-H	C-H	C-allyl	C	1.05	369, M+H ⁺
D2	C-H	C-H	C-H	C-CCSiMe ₃	C	1.21	425, M+H ⁺
D3	C-H	C-CO ₂ Me	C-H	C-H	B	0.93	387, M+H ⁺
D4	C-H	C-H	C-H	C-CCMe	B	1.04	367, M+H ⁺
D5	C-H	C-H	C-H	C-CCCH ₂ OMe	B	0.99	397, M+H ⁺
D6	C-H	C-H	C-H	C-CCPh	B	1.17	425, M+H ⁺
D7	C-H	C-H	C-H	C-CHCH ₂	B	1.01	731, 2M+Na ⁺
D8	C-CH(CH ₂) ₂	C-H	C-H	C-H	B	1.04	759, 2M+Na ⁺
D9	C-H	C-H	C-H	C-phenyl	C	1.06	376, M-H ⁺ *
D10	C-H	C-H	C-H	C-2-pyridyl	A	1.34	377, M-H ⁺ *
D11	C-H	C-H	C-H	C-3-pyridyl	C	0.80	406, M+H ⁺
D12	C-H	C-H	C-H	C-2-thiazolyl	C	0.98	412, M+H ⁺
D13	C-H	C-H	C-H	C-2-furyl	C	1.03	395, M+H ⁺

*Product hydrolysis during the analysis. The mass of the corresponding enol was observed.

Table E: Compounds of Formula (IIb) (R₂=R₃=R₄=R₅=R₈=H, W=O)

(IIb)

Ex.	A ₁	A ₂	A ₃	A ₄	LCMS method	RT (min.)	Mass
E1	C-H	C-H	C-H	C-allyl	B	1.05	649, 2M+H ⁺
E2	C-H	C-H	C-H	C-CCSiMe ₃	C	1.22	761, 2M+H ⁺
E3	C-H	C-CO ₂ Me	C-H	C-H	B	0.90	685, 2M+H ⁺
E4	C-H	C-H	C-H	C-CCMe	C	1.04	645, 2M+H ⁺
E5	C-H	C-H	C-H	C-CCCH ₂ OMe	C	0.99	705, 2M+H ⁺
E6	C-H	C-H	C-H	C-CCPh	B	1.18	437, M+MeCN+H ⁺
E7	C-H	C-H	C-H	C-CHCH ₂	B	1.00	621, 2M+Na ⁺
E8	C-CH(CH ₂) ₂	C-H	C-H	C-H	C	1.04	649, 2M+H ⁺
E9	C-H	C-H	C-H	C-phenyl	A	1.96	372, M+Na ⁺
E10	C-H	C-H	C-H	C-4-pyridyl	A	1.32	351, M+H ⁺
E11	C-H	C-H	C-H	C-3-pyridyl	A	1.45	351, M+H ⁺
E12	C-H	C-H	C-H	C-2-thiazolyl	A	1.80	357, M+H ⁺
E13	C-H	C-H	C-H	C-2-furyl	A	1.88	362, M+Na ⁺

Biological examples

The effect of compounds of Formula (I) on germination of *Orobanche cumana* Wallr. seeds was evaluated on glass fiber filter paper (GFFP) in petri dishes. Seeds were preconditioned at moisture and suitable temperature to become responsive to the specific chemical germination stimulants.

Test compounds were dissolved in DMSO (10 000mg l⁻¹) and stored at room temperature in a desiccators with desiccants. The stock solutions were dissolved with deionised water to the appropriate final test concentration.

Seeds of *O. cumana* race 'F' were collected from sunflower fields in Manzanilla (Seville, Spain) in 2006 (seed lot IN146) and 2008 (seed lot IN153) and stored at room temperature. To separate seeds from heavy organic debris, a modified sucrose floatation technique as described by Hartman & Tanimonure (Plant Disease (1991), 75, p.494) was applied. Seeds were filled into a separation funnel and stirred in water. When seeds floated to the surface, the water fraction containing heavy debris was discarded. Seeds were re-suspended in 2.5M sucrose solution (specific gravity of 1.20) and heavy debris was allowed to settle down for 60min. After removing debris, seeds were disinfected in 1% sodium hypochlorite solution and 0.025% (v/v) Tween 20 for 2min. The seeds were decanted onto two layers of cheesecloth, rinsed with sterile deionised water and re-suspended in sterile deionised water. Two ml of the seed suspension containing approximately 150-400 seeds were spread evenly on two layers of sterile glass fiber filter paper disc (Ø 9 mm) in Petri dishes (Ø 9 cm). After wetting the discs with 3ml sterile deionised water, petri dishes were sealed with parafilm. Seeds were incubated for 10 days at 20°C in the dark for seed conditioning. The upper disc with conditioned seeds was briefly dried, transferred to a petri dish lined with a dry GFFP disc, and wetted with 6ml of the appropriate test solution. The compounds of Formula (I) were tested at concentrations of 0.001, 0.01, and 0.1mg l⁻¹. The strigolactone analogue GR24 (commercially available as a mixture of isomers) was included as positive control and 0.001% DMSO as negative control. All treatments were tested in five replicates. Seeds were re-incubated at 20°C in the dark and examined for germination 10 days later. The radicles of germinated seeds were stained for 5min with blue ink (MIGROS, Switzerland) in 5% acetic acid according to Long et al. (Seed Science Research (2008), 18, p.125). After staining, seeds were scanned using a flatbed

scanner with an optical resolution of 1200 dpi (PULSTEK, OpticPro ST28) or photographed using a camera stand mounted with a digital SLR camera (Canon EOS 5D). Germination of 100 seeds per replicate was evaluated on digital images. Seeds were considered germinated when the radicle protruded from the seed coat. The results of the *Orobanch*e seed germination tests are shown in Tables 3-6.

The results show that all compounds tested induced seed germination compared to the aqueous control.

Table 3: Germination (%) of preconditioned *Orobanch*e *cumana* seeds of lot IN146, raceF treated with compounds of Formula (I) at different concentrations

Compound	Germination %* at concentration of		
	0.1 mg l ⁻¹	0.01 mg l ⁻¹	0.001 mg l ⁻¹
A1	82.6	82.0	85.8
A2	86.2	82.0	85.8

* mean; n = 5 x 100 seeds; aqueous control (0.001%DMSO) = 0% germination

Table 4: Germination (%) of preconditioned *Orobanch*e *cumana* seeds of lot IN146, raceF treated with compounds of Formula (I) at different concentrations

compound	Germination %* at concentration of		
	0.1 mg l ⁻¹	0.01 mg l ⁻¹	0.001 mg l ⁻¹
A3	82.6	82.0	85.8

* mean; n = 5 x 100 seeds; aqueous control (0.001%DMSO) = 0% germination

Table 5: Germination (%) of preconditioned *Orobanch*e *cumana* seeds of lot IN153, raceF treated with compounds of Formula (I) at different concentrations

compound	Germination %* at concentration of		
	0.1 mg l ⁻¹	0.01 mg l ⁻¹	0.001 mg l ⁻¹
A4	98.2	94.4	97.6
A5	99.2	91.4	79.0
GR24	93.8	96.0	88.6

* mean; n = 5 x 100 seeds; aqueous control (0.001%DMSO) = 0.2% germination

Table 6: Germination (%) of preconditioned *Orobancha cumana* seeds of lot IN153, raceF treated with compounds of Formula (I) at different concentrations

compound	Germination %* at concentration of		
	0.1 mg l ⁻¹	0.01 mg l ⁻¹	0.001 mg l ⁻¹
A7	95.4	96.8	96.4
GR24	96.8	93.2	79.8

* mean; n = 5 x 100 seeds; aqueous control (0.001%DMSO) = 0.8% germination

5

Table 7: Germination (%) of preconditioned *Orobancha cumana* seeds of lot IN153, raceF treated with compounds of Formula (I) at different concentrations

compound	Germination %* at concentration of		
	0.1 mg l ⁻¹	0.01 mg l ⁻¹	0.001 mg l ⁻¹
A6	83.6	40.2	2.6
A8	86.8	88.5	81.2
A9	76.8	84.4	53.4
A10	24.0	18.0	11.2
A11	90.8	65.6	30.0
A12	26.8	7.0	13.0
A13	90.0	66.4	13.4
GR24	90.6	83.2	60.8

* mean; n = 5 x 100 seeds; aqueous control (0.001%DMSO) = 0.2% germination

10

15

Biological examples

The effect of compounds of Formula (I) on the germination of *Brassica oleracea* cv *Botrytis* or common cauliflower was tested on tropical types. This type was chosen because it displays different sensitivities to light conditions and temperature during germination. Germination of a sensitive tropical type at 20° is stimulated by the presence of light. Hence, 20°C in the dark are considered suboptimal or stress conditions for germination of this type.

20

The tropical seed batch tested was part of seed batches produced as basic seed (for maintenance of the parental line) and were processed accordingly.

Germination was assessed using the standard paper germination test for Brassica: Fifty seeds
5 were placed on blue germination paper, which was moistened with the appropriate solutions, in closed oblong germination boxes. Each condition was tested *in duplo*. Germination boxes were placed in controlled germination cabinets with the appropriate temperature and light conditions. Germination of seeds was counted at regular intervals. Seeds were considered to be germinated when the radical had protruded the testa and endosperm (radical size
10 approximately 1 mm). Germination kinetics were analyzed using the Germinator analysis tool in order to obtain the parameters: G_{\max} (maximum germination) and t_{50} (time needed to reach 50% of the G_{\max}). The Germinator analysis tool is an add-in in for excel developed by the University of Wageningen: Joosen, R. V. L., J. Kodde, et al. (2010). ("Germinator: A Software Package for High-Throughput Scoring and Curve Fitting of Arabidopsis Seed
15 Germination." The Plant Journal 62(1): 148-159.)

Test compounds were dissolved in DMSO at a concentration of 50 mM and stored at -20°C. The strigolactone analogue GR24 (commercially available as a racemic mixture of 2 diastereoisomers, referred to as "synthetic strigolactone GR-24" and first prepared by Johnson
20 A. W. & all, Journal of the Chemical Society, Perkin Transactions 1, 1981, page 1734-1743) was included as positive control. Germination solutions were prepared by diluting the stock solutions with demineralized water till 25 μ M. As control solutions demineralized water and a 0.05% v/v DMSO solution were used.

25 The effect of the strigolactone derivatives on germination is shown in table 8. These results show that strigolactones stimulate germination at suboptimal conditions.

Table 8: Germination of seeds of the tropical cauliflower 3C150 (seed batch 11B295; produced in Chili 2011) in the presence of 25 μ M of the different strigolactone derivatives at 20°C and in the dark.

compound	G_{\max}^a (%)	stimulation ^b (%)
DMSO	31.3	0.0
GR24	73.0	140.0
A3	43.0	36.7

5 ^a: total germination.

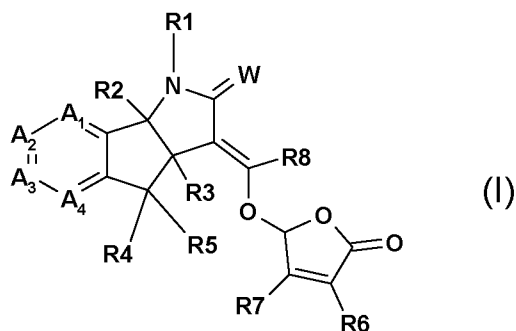
^b: extra germination compared to the DMSO treatment, expressed as percentage of the DMSO treatment.

Throughout this specification and the claims which follow, unless the context requires otherwise, the word "comprise", and variations such as "comprises" and
 10 "comprising", will be understood to imply the inclusion of a stated integer or step or group of integers or steps but not the exclusion of any other integer or step or group of integers or steps.

The reference in this specification to any prior publication (or information derived from it), or to any matter which is known, is not, and should not be taken as an
 15 acknowledgment or admission or any form of suggestion that that prior publication (or information derived from it) or known matter forms part of the common general knowledge in the field of endeavour to which this specification relates.

CLAIMS

1. A compound of Formula (I)



wherein

W is O or S;

R2 and R3 are independently hydrogen, or C₁-C₃ alkyl;

R4 and R5 are independently hydrogen, halogen, nitro, cyano, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, hydroxyl, -OC(O)R₉, amine, N- C₁-C₃ alkyl amine, or N,N-di-C₁-C₃ alkyl amine;

R₉ is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, or C₁-C₆ haloalkyl;

R6 and R7 are independently hydrogen, C₁-C₃ alkyl, hydroxyl, halogen or C₁-C₃ alkoxy;

R8 is hydrogen, nitro, cyano, C₁-C₆ alkyl, C₁-C₆ haloalkyl, halogen, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, or C₁-C₈ haloalkylsulfonyl;

R1 is hydrogen, C₁-C₆ alkoxy, hydroxyl, amine, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₆ alkyl optionally substituted by one to five R₁₀, C₁-C₈ alkylcarbonyl, C₁-C₈ alkoxy carbonyl, aryl optionally substituted by one to five R₁₀,

heteroaryl optionally substituted by one to five R10, heterocyclyl optionally substituted by one to five R10, or benzyl optionally substituted by one to five R10;

R10 is hydrogen, cyano, nitro, halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, or C₂-C₆ alkynyl;

A₁, A₂, A₃ and A₄ are each independently C-X, C-Y or nitrogen, wherein each X or Y may be the same or different, and provided that no more than two of A₁, A₂, A₃ and A₄ are nitrogen and that at least one of A₁, A₂, A₃ and A₄ is C-X;

Y is hydrogen, halogen, cyano, hydroxyl, -OC(O)R₉, C₁-C₆ alkoxy, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₃ hydroxyalkyl, nitro, amine, N- C₁-C₆ alkyl amine, N,N-di-C₁-C₆ alkyl amine, or NHC(O)R₉;

X is C₂-C₈ alkenyl optionally substituted by one to five R11, C₂-C₈ alkynyl optionally substituted by one to five R11, C₃-C₇ cycloalkyl, C₃-C₁₀ cycloalkyl substituted by one to five R12, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl, N- C₁-C₆ alkyl aminocarbonyl, N,N-di- C₁-C₆ alkyl aminocarbonyl, aryl optionally substituted by one to five R13, or heteroaryl optionally substituted by one to five R13;

each R11 is independently halogen, cyano, nitro, hydroxy, C₁-C₈ haloalkyl, C₁-C₈alkoxy, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, C₁-C₈ haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl; or aryl optionally substituted by one to five halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy; or heteroaryl optionally substituted by one to five halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy;

each R12 and R13 are independently halogen, cyano, nitro, hydroxy, C₁-C₈ alkyl, C₁-C₈alkoxy, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, C₁-C₈ haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl, or phenyl;

or salts or N-oxides thereof.

2. A compound according to claim 1, wherein W is O.

3. A compound according to claim 1 or 2, wherein:

R2 and R3 are independently hydrogen, methyl, or ethyl;

R4 and R5 are independently hydrogen, hydroxyl, methyl or ethyl;

R6, R7 and R8 are independently hydrogen, methyl or ethyl;

R1 is hydrogen, C₁-C₆ alkoxy, C₁-C₆ alkyl optionally substituted by one to five R10,

C₁-C₈ alkylcarbonyl, C₁-C₈ alkoxycarbonyl, aryl optionally substituted by one to five

R10, heteroaryl optionally substituted by one to five R10, heterocyclyl optionally

substituted by one to five R10, or benzyl optionally substituted by one to five R10;

R10 is independently hydrogen, cyano, nitro, halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, or C₁-C₆ haloalkyl;

A₁, A₂, A₃ and A₄ are each independently C-X or C-Y and provided that at least one of A₁, A₂, A₃ and A₄ is C-X;

Y is hydrogen, hydroxyl, halogen, cyano, methyl, hydroxymethyl, trifluoromethyl or methoxy;

X is vinyl, 1-propenyl, allyl, propargyl, cyclopropane, cyclobutane, cyclopentane,

ethynyl, benzene ethynyl, methyl ethynyl, phenyl optionally substituted by one to five

R13, pyridyl optionally substituted by one to five R13, furanyl optionally substituted

by one to five R13, thiophenyl optionally substituted by one to five R13, thiazoyl

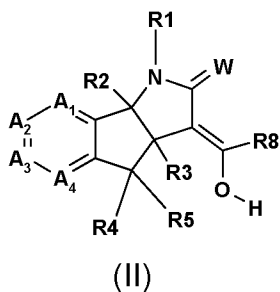
optionally substituted by one to five R13, methoxycarbonyl, hydroxycarbonyl,

methylaminocarbonyl, or dimethylaminocarbonyl; and

R13 is halogen, cyano, nitro, hydroxy, methoxy, or methyl.

4. A compound according to any one of claims 1 to 3, wherein X is vinyl, 1-propenyl, allyl, propargyl, cyclopropane, ethynyl, phenyl, pyridyl, furanyl, thiophenyl, thiazoyl, methoxycarbonyl, hydroxycarbonyl, methylaminocarbonyl, or dimethylaminocarbonyl.

5. A plant growth regulator or seed germination promoting composition, comprising a compound according to any one of the preceding claims, and an agriculturally acceptable formulation adjuvant.
- 5 6. A method for regulating the growth of plants at a locus, wherein the method comprises applying to the locus a plant growth regulating amount of the compound according to any one of claims 1 to 4 or of the composition according to claim 5.
7. A method for promoting the germination of seeds comprising applying to the seeds, or
10 a locus containing seeds, a seed germination promoting amount of the compound according to any one of claims 1 to 4 or of the composition according to claim 5.
8. The method according to claim 7 wherein the plant of the seed is a plant selected from the genus *brassica*.
- 15 9. A method for controlling weeds comprising applying to a locus containing weed seeds a seed germination promoting amount of the compound according to any one of claims 1 to 4 or of the composition according to claim 5, allowing the seeds to germinate, and then applying to the locus a post-emergence herbicide.
- 20 10. Use of a compound of Formula (I) as a plant growth regulator or a seed germination promoter.
11. A compound of Formula (II).



wherein

W is O or S;

R2 and R3 are independently hydrogen, or C₁-C₃ alkyl;

R4 and R5 are independently hydrogen, halogen, nitro, cyano, C₁-C₃ alkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, hydroxyl, -OC(O)R₉, amine, N- C₁-C₃ alkyl amine, or N,N-di-C₁-C₃ alkyl amine;

R9 is hydrogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, or C₁-C₆ haloalkyl;

R8 is hydrogen, nitro, cyano, C₁-C₆ alkyl, C₁-C₆ haloalkyl, halogen, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, or C₁-C₈ haloalkylsulfonyl;

R1 is hydrogen, C₁-C₆ alkoxy, hydroxyl, amine, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₆ alkyl optionally substituted by one to five R₁₀, C₁-C₈ alkylcarbonyl, C₁-C₈ alkoxy carbonyl, aryl optionally substituted by one to five R₁₀, heteroaryl optionally substituted by one to five R₁₀, or benzyl optionally substituted by one to five R₁₀;

R₁₀ is hydrogen, cyano, nitro, halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkyl, C₂-C₆ alkenyl, or C₂-C₆ alkynyl;

A₁, A₂, A₃ and A₄ are each independently C-X, C-Y or nitrogen, wherein each X or Y may be the same or different, and provided that no more than two of A₁, A₂, A₃ and A₄ are nitrogen and that at least one of A₁, A₂, A₃ and A₄ is C-X;

Y is hydrogen, halogen, cyano, hydroxyl, -OC(O)R₉, C₁-C₆ alkoxy, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₃ hydroxyalkyl, nitro, amine, N- C₁-C₆ alkyl amine, N,N-di-C₁-C₆ alkyl amine, or NHC(O)R₉;

X is C₂-C₈ alkenyl optionally substituted by one to five R₁₁, C₂-C₈ alkynyl optionally substituted by one to five R₁₁, C₃-C₇ cycloalkyl, C₃-C₁₀ cycloalkyl substituted by one to five R₁₂, C₁-C₈ alkylcarbonyl, C₁-C₈ alkoxy carbonyl, N- C₁-C₆ alkyl

aminocarbonyl, N,N-di- C₁-C₆ alkyl aminocarbonyl, aryl optionally substituted by one to five R₁₃, or heteroaryl optionally substituted by one to five R₁₃;

each R₁₁ is independently halogen, cyano, nitro, hydroxy, C₁-C₈ haloalkyl, C₁-C₈alkoxy-, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, C₁-C₈ haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl; or aryl optionally substituted by one to five halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy; or heteroaryl optionally substituted by one to five halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy; and

each R₁₂ and R₁₃ are independently halogen, cyano, nitro, hydroxy, C₁-C₈ alkyl-, C₁-C₈alkoxy-, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ haloalkylthio, C₁-C₈ alkylsulfinyl, N- C₁-C₆ alkyl amine, N,N-di- C₁-C₆ alkyl amine, C₁-C₈ haloalkylsulfinyl, C₁-C₈ alkylsulfonyl, C₁-C₈ haloalkylsulfonyl, C₁-C₈alkylcarbonyl, C₁-C₈ alkoxycarbonyl, or phenyl;

or salts or N-oxides thereof.

12. A method of enhancing crop plants by applying to the plants, plant parts, plant propagation material, or a plant growing locus, a compound according to any one of claims 1 to 4 or a composition according to claim 5.

13. A method according to claim 12 for improving plant yield, comprising applying to a plant, plant part, plant propagation material, or a plant growing locus, a compound according to any one of claims 1 to 4 or a composition according to claim 5.

14. A method according to claim 12 for improving plant input use efficiency, comprising applying to a plant, plant part, plant propagation material, or a plant growing locus, a compound according to any one of claims 1 to 4 or a composition according to claim 5.

15. A method according to claim 12 for improving plant vigour and/or plant quality,
and/or plant tolerance to stress factors, comprising applying to a plant, plant part, plant
propagation material, or a plant growing locus, a compound according to any one of
claims 1 to 4 or a composition according to claim 5.

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