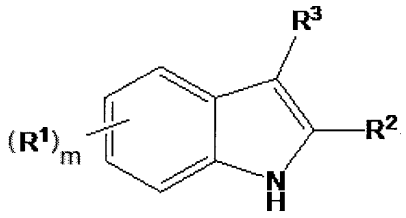
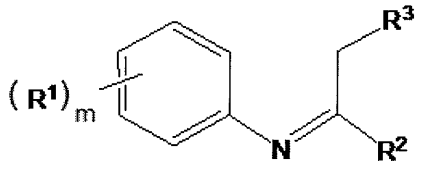
CLAIMS

1. A method for the synthesis of an indole of Formula (I) or a salt thereof,



Formula (I)

the method comprising oxidizing a N-aryl imine of Formula (II)



Formula (II)

in the presence of a palladium-based catalyst, an oxidant selected from the group consisting of copper (II) acetate, benzoquinone, tert-butylhydroperoxide, di-tert-butyl peroxide (tBuOOtBu), tert-butyl benzoyl peroxide (BzOOtBu), molecular oxygen (O_2), and air, or combinations thereof and a solvent comprising of dimethylsulfoxide, wherein the amount of oxidant is about 0.5 equivalence to about 5 equivalence of N-aryl amine;

wherein

R^1 at each occurrence is independently selected from the group consisting of hydrogen, optionally substituted C_1-C_{20} alkyl, optionally substituted C_3-C_{20} mono-, or poly-cycloalkyl, optionally substituted 2-20-membered heteroalkyl, optionally substituted 3-20-membered mono-, or poly-heterocycloalkyl; halogen, and -OR, wherein R is optionally substituted C_1-C_{20} alkyl; wherein R^2 is selected from the group consisting of optionally substituted C_2-C_{20} alkenyl, optionally substituted 2-20-membered heteroalkenyl, optionally substituted monocyclic, condensed polycyclic or bridged polycyclic C_5-C_{20} aryl, and optionally substituted 5-20-membered monocyclic, condensed polycyclic or bridged polycyclic heteroaryl; wherein R^3 is selected from

the group consisting of hydrogen, C_1-C_6 alkyl chain, ~~C_2-C_6 alkenyl;~~ and monocyclic aryl; ~~C_3-C_6 mono-cycloalkyl; and C_3-C_6 mono-cycloalkenyl;~~

m is 0, 1, 2, 3, or 4;

wherein

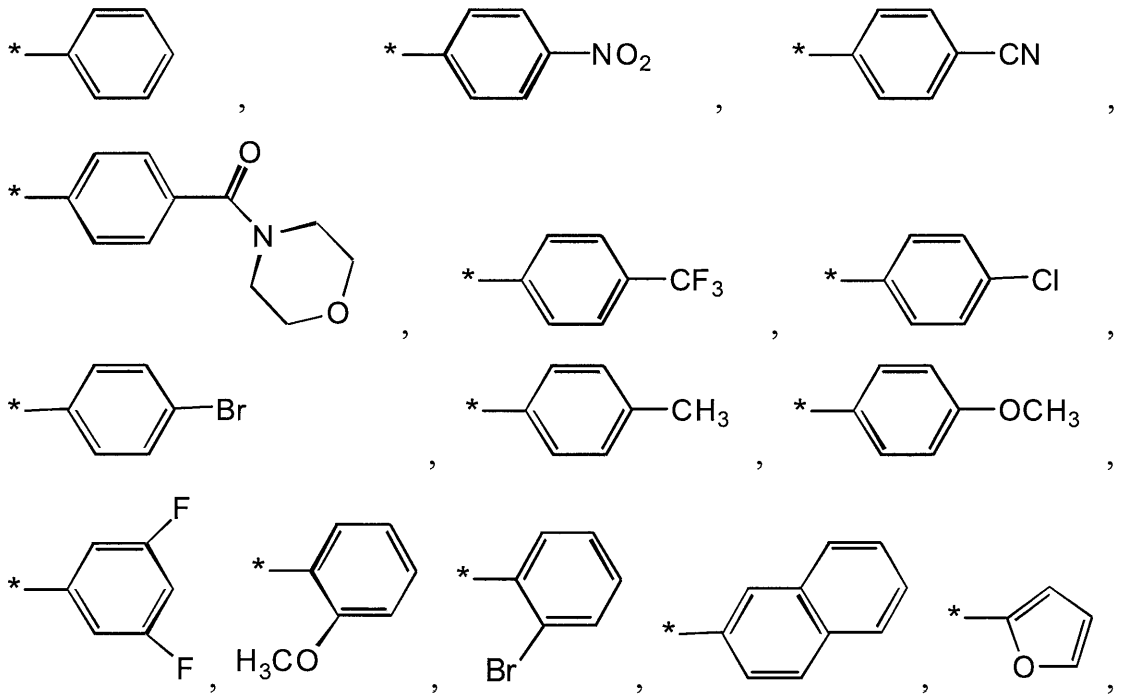
R^1 at each occurrence is positioned on the phenyl ring in 3-, 4-, 5-, or 6-position with respect to the bond linking the phenyl to the –N-H group according to Formula (I) or the –N=C group according to Formula (II).

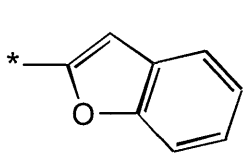
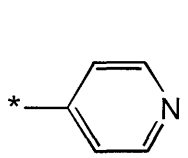
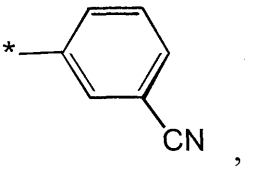
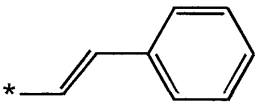
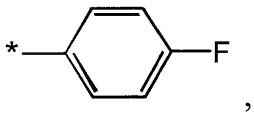
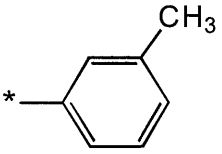
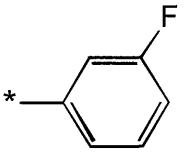
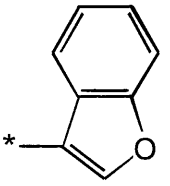
2. The method according to claim 1, wherein R^1 at each occurrence is independently selected from the group consisting of hydrogen, alkyl, -O-alkyl, and halogen.

3. The method according to claim 1, wherein R^1 at each occurrence is independently selected from the group consisting of -H, -OCH_3, and -Cl.

4. The method according to claim 1, wherein R^1 is positioned on the phenyl ring in 4-position with respect to the bond linking the phenyl to the –N-H group according to Formula (I) or the –N=C group according to Formula (II).

5. The method according to claim 1, wherein R^2 is



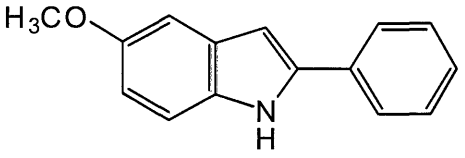
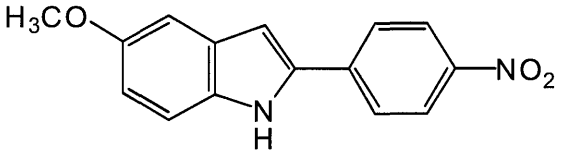
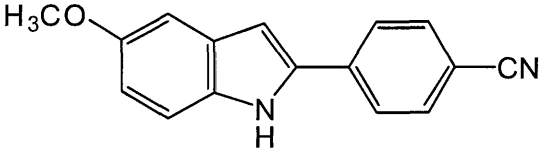
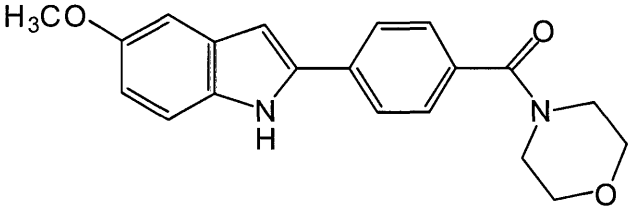
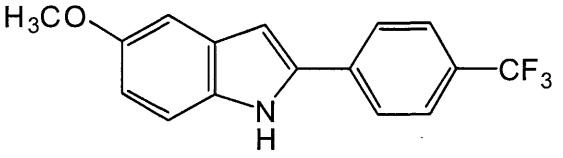









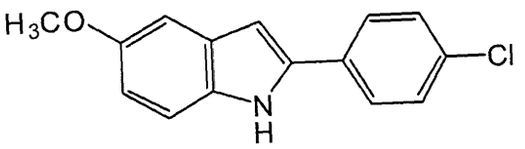
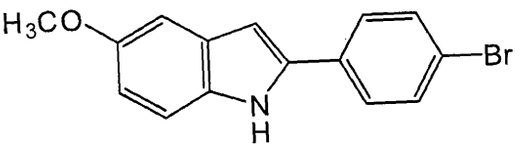
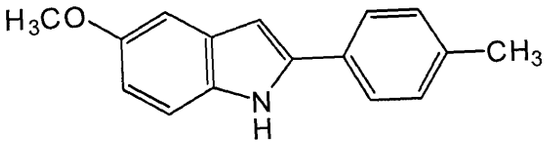
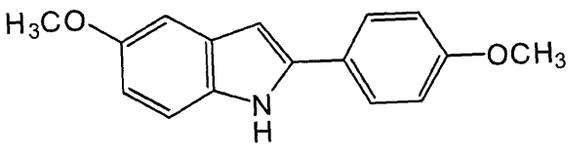
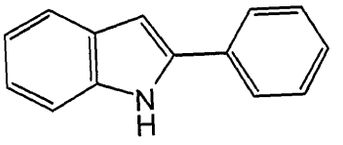
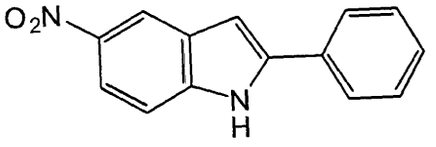
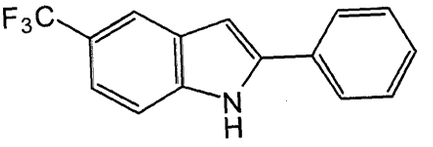
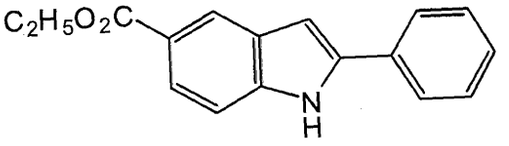
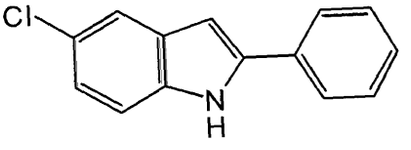
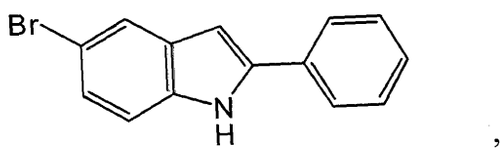
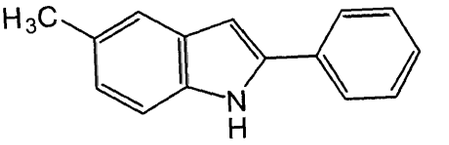
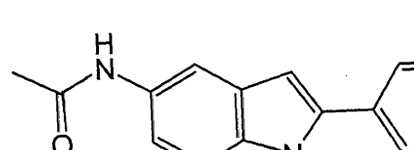
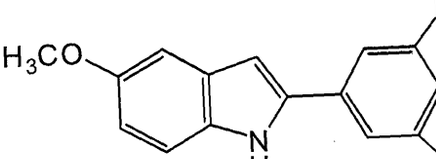
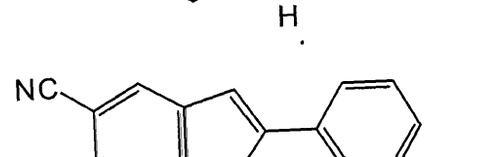
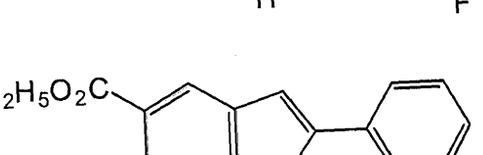
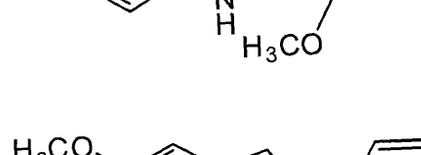
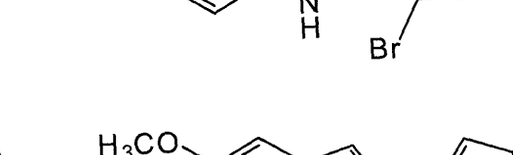
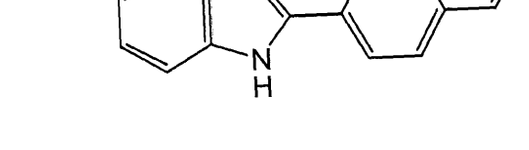
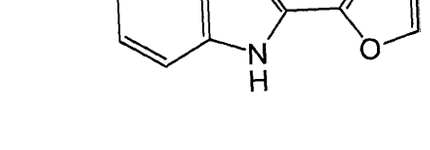
denotes the point in which R^2 is connected to the parent molecular moiety.

6. The method according to claim 1, wherein R^3 is hydrogen or phenyl.

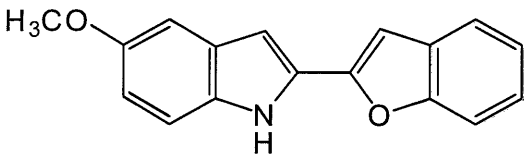
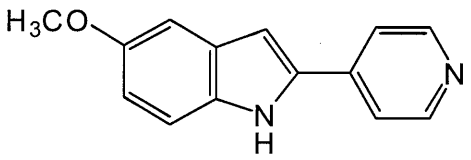
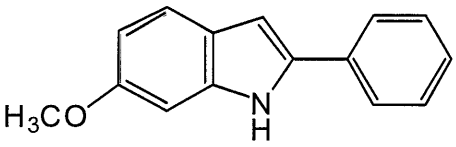
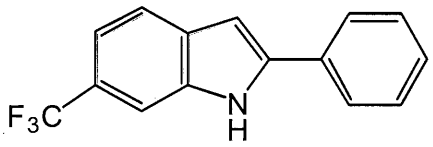
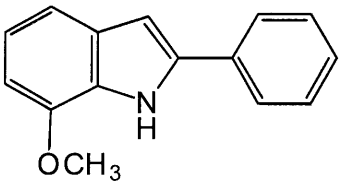
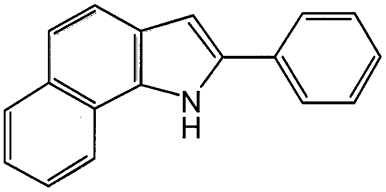
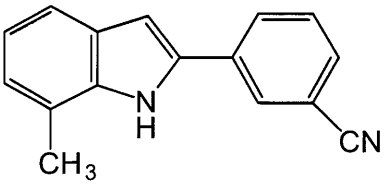
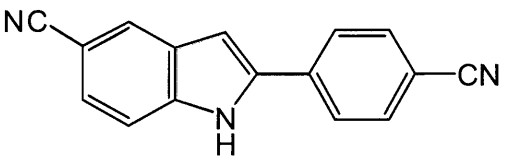
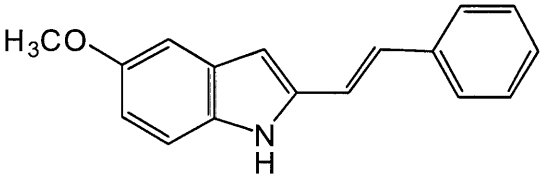
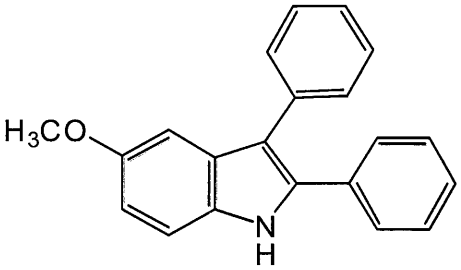
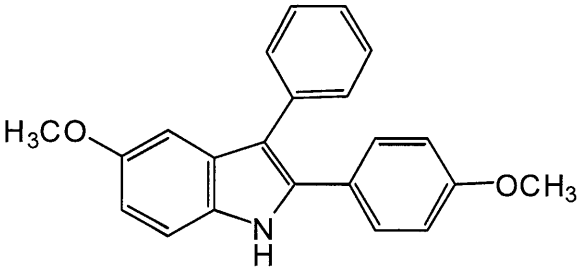
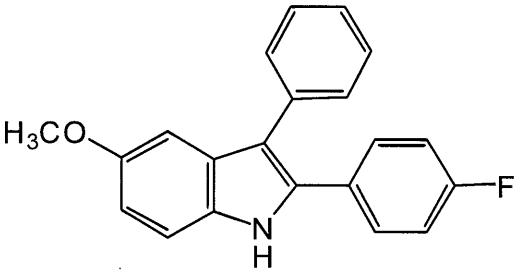
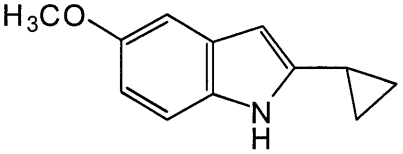
7. The method according to claim 1, wherein the indole is 2-aryl indole, 2-alkenyl indole, 2,3-diaryl indole, 2-aryl-3-alkenyl indole, 2-alkenyl-3-aryl indole, 2,3-dialkenyl indole, 2-aryl-3-alkyl indole, or 2-alkenyl-3-alkyl indole.

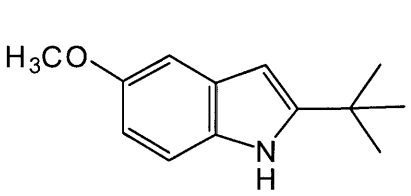
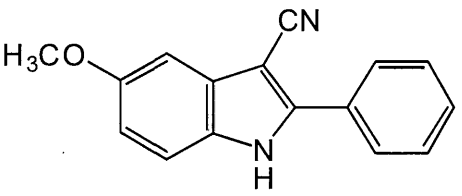
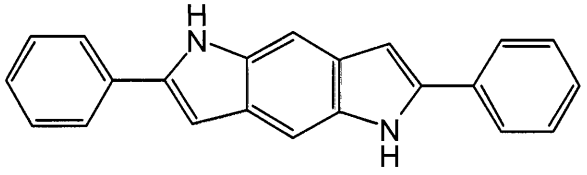
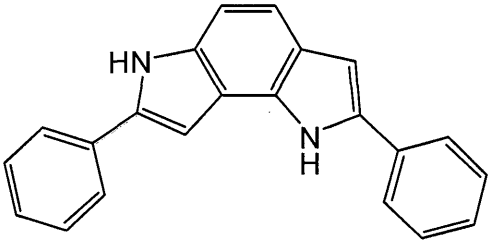
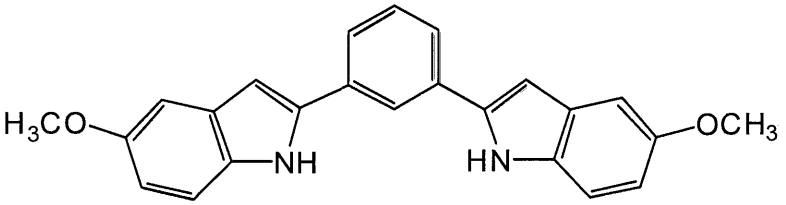
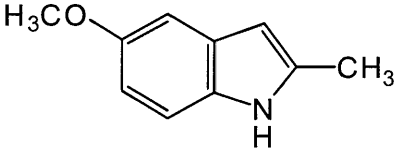
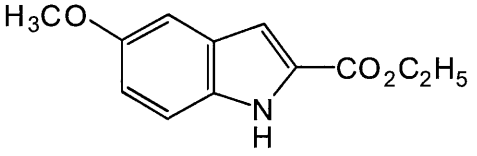
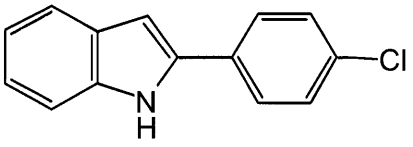
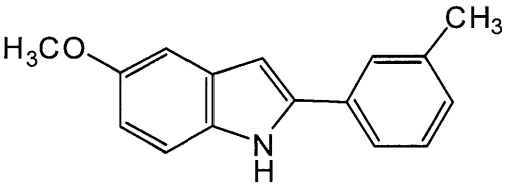
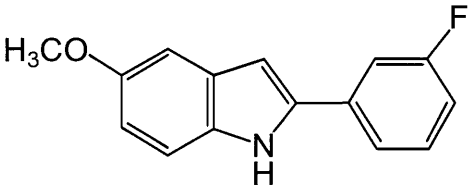
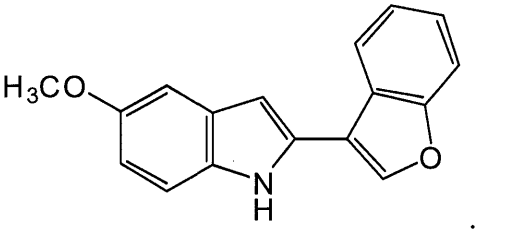
8. The method according to claim 1, wherein the indole is


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, or .

9. The method according to claim 1, wherein the palladium-based catalyst is selected from the group consisting of palladium metal, palladium (II) acetate, sodium palladium (II) chloride, palladium (II) acetylacetonate, palladium(II) trifluoroacetate, palladium hydroxide, palladium(II) bromide, palladium (II) chloride, palladium(II) cyanide, palladium(II) hexafluoroacetylacetonate, palladium(II) iodide, palladium(II)

nitrate dehydrate, palladium(II) nitrate hydrate, palladium(II) oxide, palladium (II) propionate, palladium (II) sulfate, and palladium (II) sulfide, or mixtures thereof.

10. The method according to claim 9, wherein the palladium-based catalyst comprises of palladium (II) acetate.

11. The method according to claim 1, wherein the amount of palladium-based catalyst is in the range of about 0.1 mol% to about 20 mol%, with respect to the amount of N-aryl imine.

12. The method according to claim 1, wherein the oxidant comprises of copper (II) acetate.

13. The method according to claim 1, wherein the oxidant comprises of molecular oxygen (O_2).

14. The method according to claim 1, wherein the amount of oxidant is about 2 equivalence to about 3 equivalence, of the amount of N-aryl imine.

15. The method according to claim 1, further comprising reacting in the presence of an additive, wherein the additive comprises a quaternary ammonium salt of Formula (III)

$(R_4)_nN\text{-}X$ Formula (III)

wherein

R_4 at each occurrence is independently selected from C_1-C_{20} alkyl;

n is 1, 2, 3 or 4; and

X is selected from the group consisting of a halogen and -$OCOCH_3$.

16. The method according to claim 15, wherein the additive is selected from the group consisting of Bu_4NBr, Bu_4NCl, Bu_4NI, and $Bu_4NOCOCH_3$.

17. The method according to claim 15, wherein the amount of additive is about 1 equivalence to about 3 equivalence of the amount of N-aryl imine.

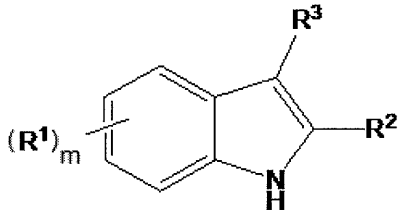
18. The method according to claim 15, wherein the additive comprises a ligand for the palladium-based catalyst, wherein the ligand is selected from the group consisting of pyridine, 2,2'-bipyridine, 1,10-phenanthroline, PPh_3, dppm, dppe, dppp, dcpe, P(c-hex)$_3$, P(tBu)$_3$, $P(C_6F_5)_3$, and P(2, 4, 6-$Me_3C_6H_2)_3$, or mixtures thereof.

19. The method according to claim 18, wherein the amount of ligand is about 1 equivalence to about 2 equivalence of the amount of palladium present in the palladium-based catalyst.

20. The method according to claim 1, wherein the method is carried out at a temperature in the range of about 0 °C to about 150 °C.

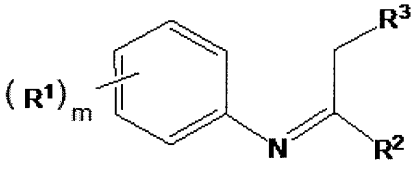
CLAIMS

1. A method for the synthesis of an indole of Formula (I) or a salt thereof,



Formula (I)

the method comprising oxidizing a N-aryl imine of Formula (II)



Formula (II)

in the presence of a palladium-based catalyst, an oxidant selected from the group consisting of copper (II) acetate, benzoquinone, tert-butylhydroperoxide, di-tert-butyl peroxide (tBuOOtBu), tert-butyl benzoyl peroxide (BzOOtBu), molecular oxygen (O_2), and air, or combinations thereof and a solvent comprising of dimethylsulfoxide, wherein the amount of oxidant is about 0.5 equivalence to about 5 equivalence of N-aryl amine;

wherein

R^1 at each occurrence is independently selected from the group consisting of hydrogen, optionally substituted C_1-C_{20} alkyl, optionally substituted C_3-C_{20} mono-, or poly-cycloalkyl, optionally substituted 2-20-membered heteroalkyl, optionally substituted 3-20-membered mono-, or poly-heterocycloalkyl; halogen, and -OR, wherein R is optionally substituted C_1-C_{20} alkyl; wherein R^2 is selected from the group consisting of optionally substituted C_2-C_{20} alkenyl, optionally substituted 2-20-membered heteroalkenyl, optionally substituted monocyclic, condensed polycyclic or bridged polycyclic C_5-C_{20} aryl, and optionally substituted 5-20-membered monocyclic,

condensed polycyclic or bridged polycyclic heteroaryl; wherein R^3 is selected from the group consisting of hydrogen, C_1-C_6 alkyl chain, and monocyclic aryl;

m is 0, 1, 2, 3, or 4;

wherein

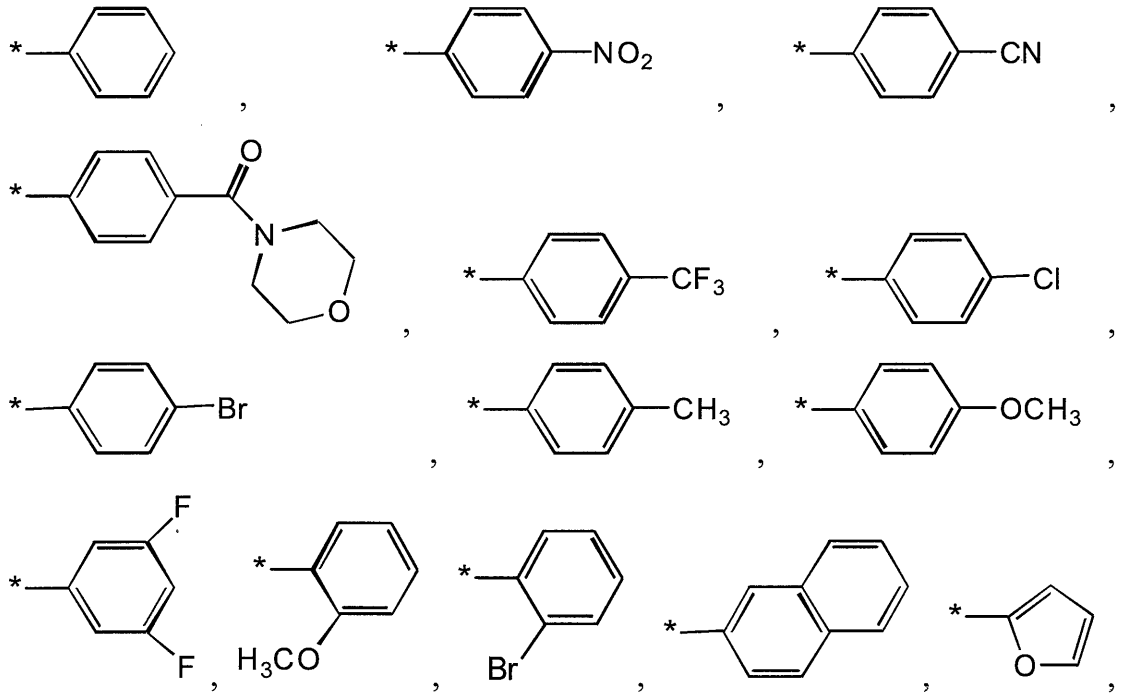
R^1 at each occurrence is positioned on the phenyl ring in 3-, 4-, 5-, or 6-position with respect to the bond linking the phenyl to the –N-H group according to Formula (I) or the –N=C group according to Formula (II).

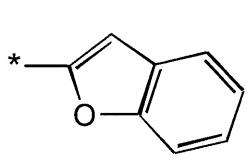
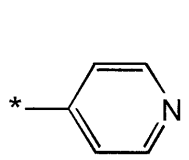
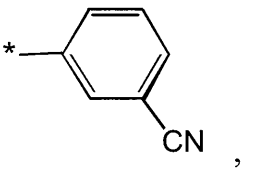
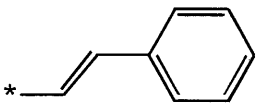
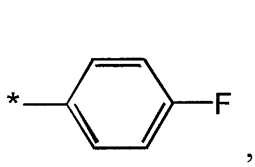
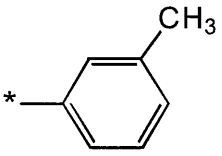
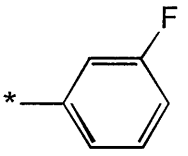
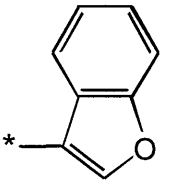
2. The method according to claim 1, wherein R^1 at each occurrence is independently selected from the group consisting of hydrogen, alkyl, -O-alkyl, and halogen.

3. The method according to claim 1, wherein R^1 at each occurrence is independently selected from the group consisting of -H, $-OCH_3$, and -Cl.

4. The method according to claim 1, wherein R^1 is positioned on the phenyl ring in 4-position with respect to the bond linking the phenyl to the –N-H group according to Formula (I) or the –N=C group according to Formula (II).

5. The method according to claim 1, wherein R^2 is



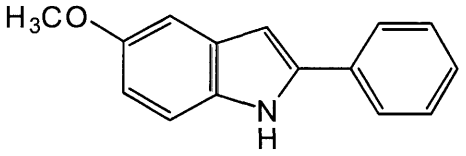
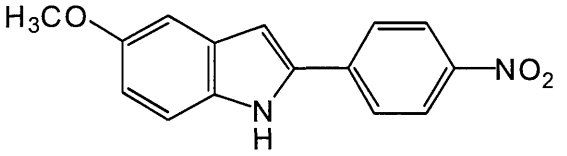
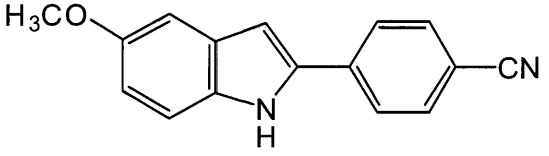
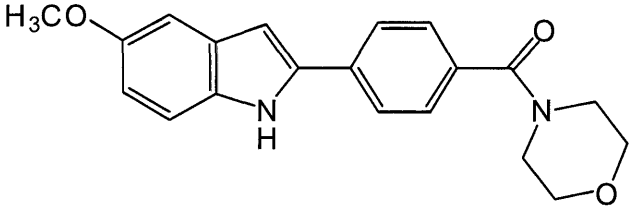
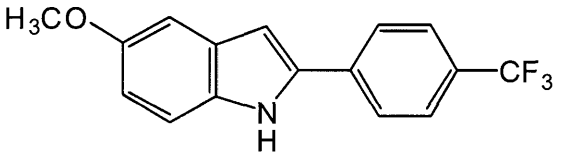









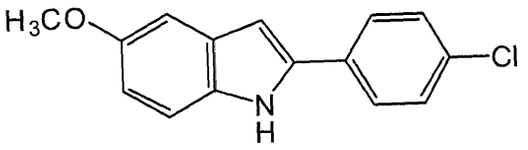
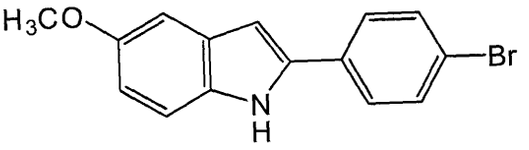
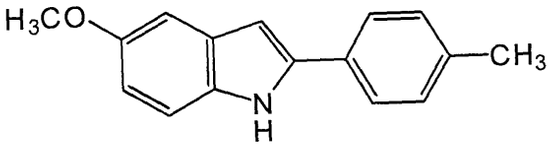
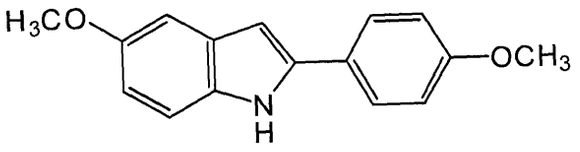
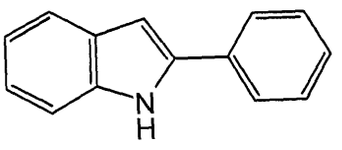
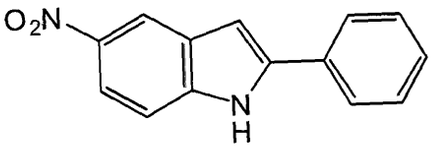
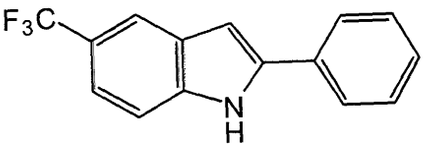
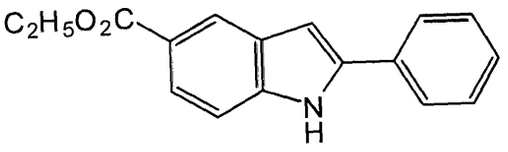
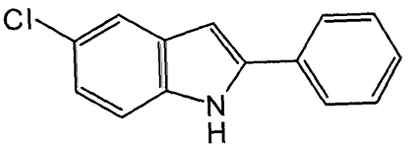
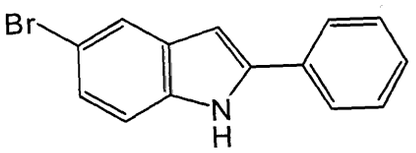
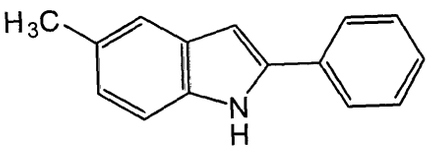
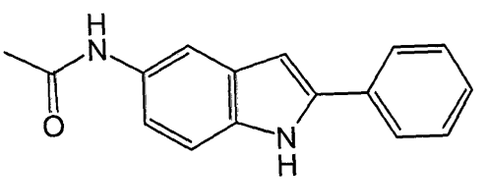
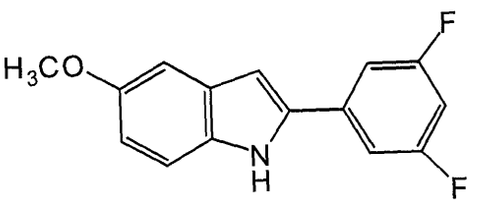
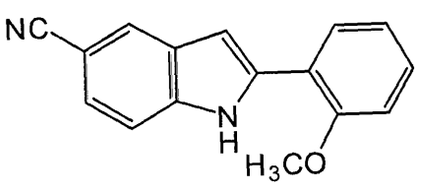
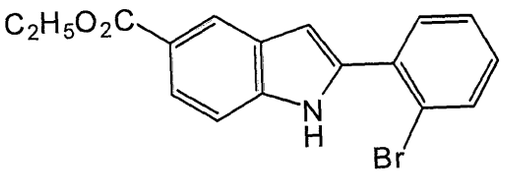
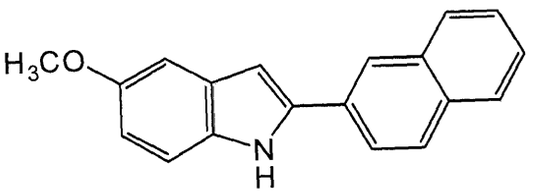
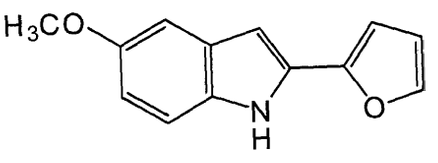
denotes the point in which R^2 is connected to the parent molecular moiety.

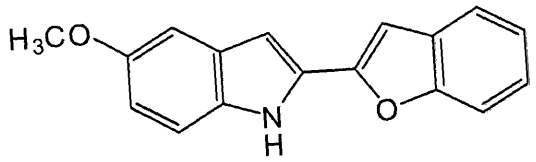
6. The method according to claim 1, wherein R^3 is hydrogen or phenyl.

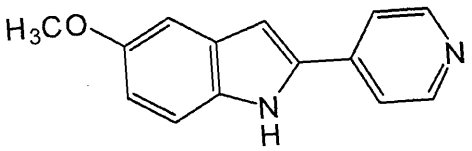
7. The method according to claim 1, wherein the indole is 2-aryl indole, 2-alkenyl indole, 2,3-diaryl indole, 2-aryl-3-alkenyl indole, 2-alkenyl-3-aryl indole, 2,3-dialkenyl indole, 2-aryl-3-alkyl indole, or 2-alkenyl-3-alkyl indole.

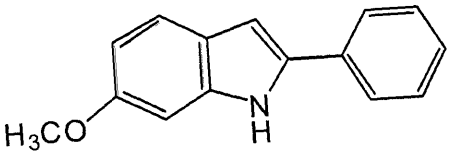
8. The method according to claim 1, wherein the indole is

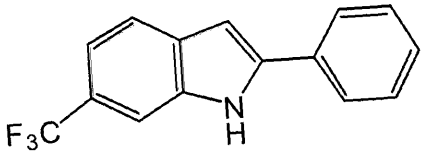






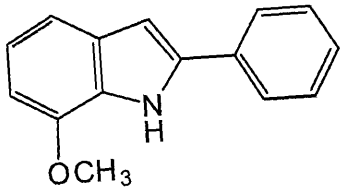

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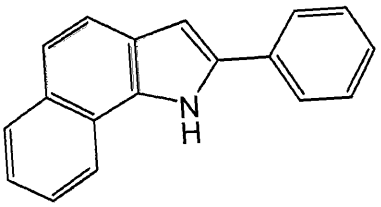

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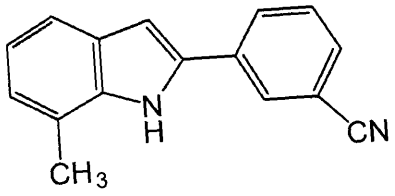

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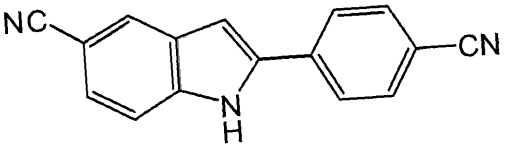

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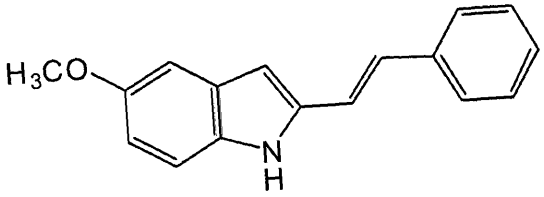

F3C
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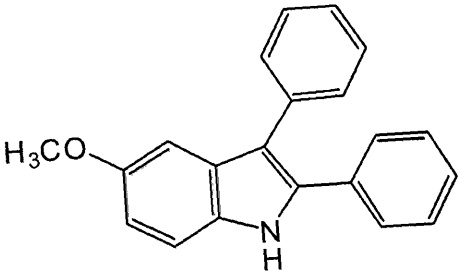

N
H
OCH3
,


N
H
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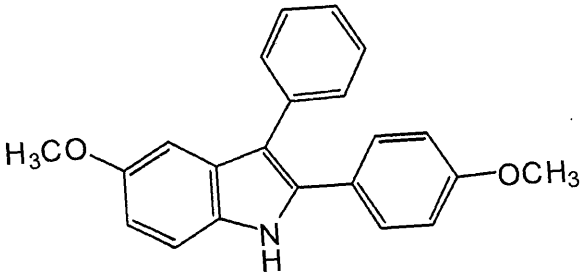

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CN
CH3
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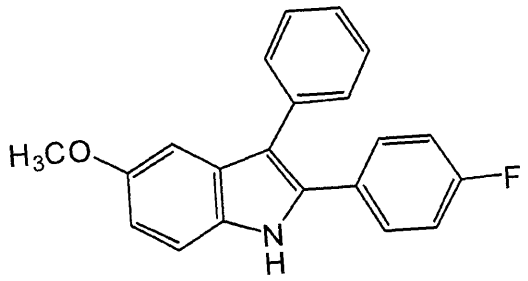

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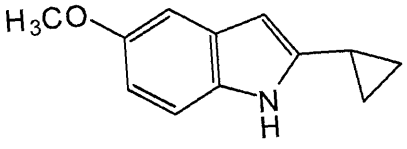

H3CO
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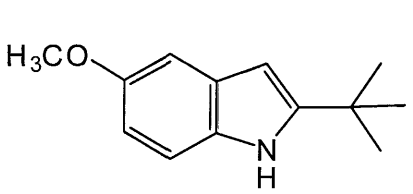
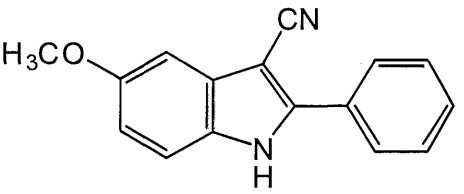
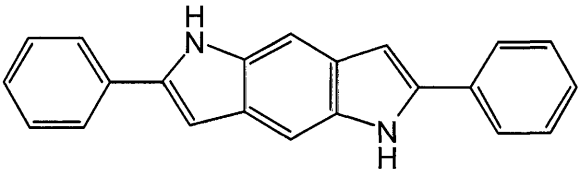
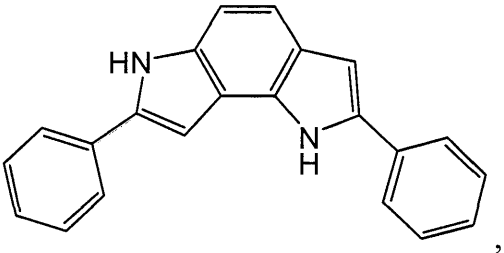
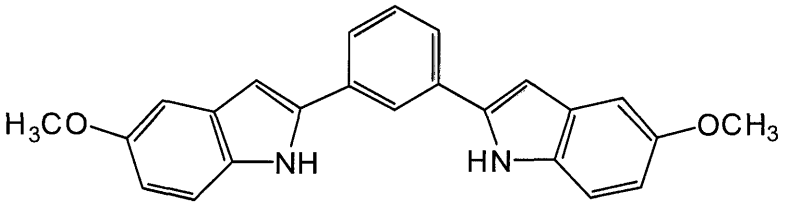
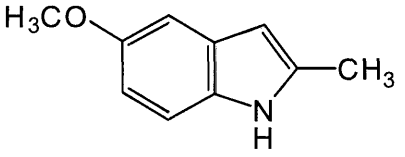
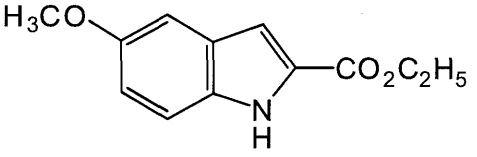
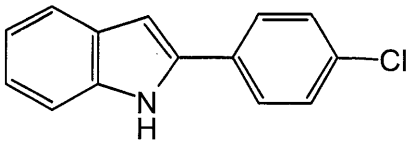
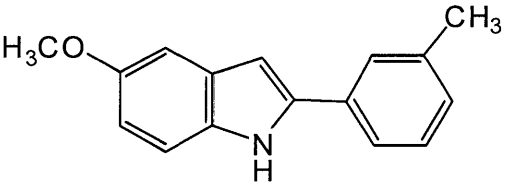
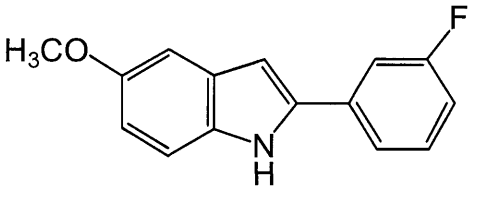
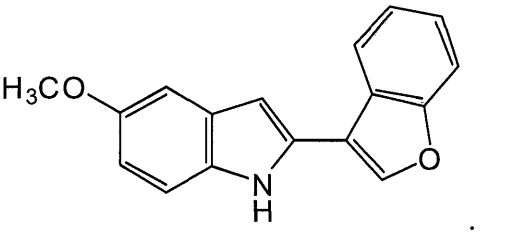

H3CO
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H3CO
OCH3
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H3CO
F
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H3CO
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, or .

9. The method according to claim 1, wherein the palladium-based catalyst is selected from the group consisting of palladium metal, palladium (II) acetate, sodium palladium (II) chloride, palladium (II) acetylacetonate, palladium(II) trifluoroacetate, palladium hydroxide, palladium(II) bromide, palladium (II) chloride, palladium(II) cyanide, palladium(II) hexafluoroacetylacetonate, palladium(II) iodide, palladium(II)

nitrate dehydrate, palladium(II) nitrate hydrate, palladium(II) oxide, palladium (II) propionate, palladium (II) sulfate, and palladium (II) sulfide, or mixtures thereof.

10. The method according to claim 9, wherein the palladium-based catalyst comprises of palladium (II) acetate.

11. The method according to claim 1, wherein the amount of palladium-based catalyst is in the range of about 0.1 mol% to about 20 mol%, with respect to the amount of N-aryl imine.

12. The method according to claim 1, wherein the oxidant comprises of copper (II) acetate.

13. The method according to claim 1, wherein the oxidant comprises of molecular oxygen (O_2).

14. The method according to claim 1, wherein the amount of oxidant is about 2 equivalence to about 3 equivalence, of the amount of N-aryl imine.

15. The method according to claim 1, further comprising reacting in the presence of an additive, wherein the additive comprises a quaternary ammonium salt of Formula (III)

$(R_4)_nN\text{-}X$ Formula (III)

wherein

R_4 at each occurrence is independently selected from C_1-C_{20} alkyl;

n is 1, 2, 3 or 4; and

X is selected from the group consisting of a halogen and -$OCOCH_3$.

16. The method according to claim 15, wherein the additive is selected from the group consisting of Bu_4NBr, Bu_4NCl, Bu_4NI, and $Bu_4NOCOCH_3$.

17. The method according to claim 15, wherein the amount of additive is about 1 equivalence to about 3 equivalence of the amount of N-aryl imine.

18. The method according to claim 15, wherein the additive comprises a ligand for the palladium-based catalyst, wherein the ligand is selected from the group consisting of pyridine, 2,2'-bipyridine, 1,10-phenanthroline, PPh_3, dppm, dppe, dppp, dcpe, P(c-hex)$_3$, P(tBu)$_3$, $P(C_6F_5)_3$, and P(2, 4, 6-$Me_3C_6H_2)_3$, or mixtures thereof.

19. The method according to claim 18, wherein the amount of ligand is about 1 equivalence to about 2 equivalence of the amount of palladium present in the palladium-based catalyst.

20. The method according to claim 1, wherein the method is carried out at a temperature in the range of about 0 °C to about 150 °C.