Claims

1. A compound selected from the group consisting of:

3-E-benzylidene-6-chloro-1,3-dihydro-indole-2-one;

3-E-benzylidene-6-bromo-1,3-dihydro-indol-2-one;

3-E-benzylidene-6-fluoro-1,3-dihydro-indol-2-one;

3-E-benzylidene-6-trifluoromethyl-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-methoxyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3,5-dichloro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-bromo-3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3,3-dimethyl-butylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-methyl-butylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(2-cyclohexyl-ethylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-cyclohexylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-cyclopentylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-2-ylmethylene-1,3-dihydro-indol-2-one;

3-E-3-(6-chloro-pyridin-2-ylmethylene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-4-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-chloro-5-fluoro-benzylidene)-1,3-dihydro-indol-2-one; and

3-E-3-(3-bromo-benzylidene)-6-trifluoromethyl-1,3-dihydro-indol-2-one.

2. The compound of claim 1 which is 3-E-3-(3-chloro-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one.

3. The compound of claim 1 which is 3-E-3-(3-chloro-4-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one.

4. A compound selected from the group consisting of:

3-E-6-chloro-3-(3-iodo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-methoxy-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(6-bromo-pyridin-2-ylmethylene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(1-methyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-4-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-3-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-furan-3-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-furan-2-ylmethylene-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-benzylidene)-6-methoxy-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-fluoro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(2,3-difluoro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-2-fluoro-benzylidene)-6-methyl-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-2-fluoro-benzylidene)-6-methoxy-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-chloro-2-fluoro-benzylidene)-5-fluoro-1,3-dihydro-indol-2-one; and

3-E-3-(3-bromo-benzylidene)-6-chloro-5-fluoro-1,3-dihydro-indol-2-one.

Claims

1. A compound selected from the group consisting of:

3-E-benzylidene-6-chloro-1,3-dihydro-indole-2-one;

3-E-6-chloro-3-(3-chloro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-benzylidene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-benzylidene-6-bromo-1,3-dihydro-indol-2-one;

3-E-benzylidene-6-fluoro-1,3-dihydro-indol-2-one;

3-E-benzylidene-6-trifluoromethyl-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-methoxyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-trifluoromethyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3,5-dichloro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-bromo-3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3,3-dimethyl-butylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-methyl-butylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(2-cyclohexyl-ethylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-cyclohexylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-cyclopentylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-thiophen-2-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-2-ylmethylene-1,3-dihydro-indol-2-one;

3-E-3-(6-chloro-pyridin-2-ylmethylene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-4-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-chloro-5-fluoro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one; and

3-E-3-(3-bromo-benzylidene)-6-trifluoromethyl-1,3-dihydro-indol-2-one.

2. A compound selected from the group consisting of:

3-E-6-chloro-3-(3-trifluoromethyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-iodo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-methoxy-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(6-bromo-pyridin-2-ylmethylene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(1-methyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-4-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-3-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-furan-3-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-furan-2-ylmethylene-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-benzylidene)-6-methoxy-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-fluoro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(2,3-difluoro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-2-fluoro-benzylidene)-6-methyl-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-2-fluoro-benzylidene)-6-methoxy-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-chloro-2-fluoro-benzylidene)-5-fluoro-1,3-dihydro-indol-2-one; and

3-E-3-(3-bromo-benzylidene)-6-chloro-5-fluoro-1,3-dihydro-indol-2-one.

3. The compound of claim 1 which is 3-E-3-(3-chloro-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one.

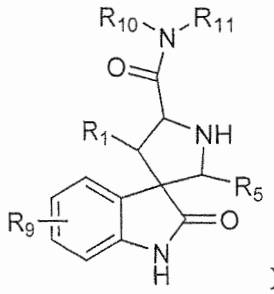
4. The compound of claim 1 which is 3-E-benzylidene-6-chloro-1,3-dihydro-indole-2-one.

5. The compound of claim 1 which is 3-E-6-chloro-3-(3-chloro-benzylidene)-1,3-dihydro-indol-2-one.

6. The compound of claim 1 which is 3-E-6-chloro-3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one.

7. The compound of claim 1 which is 3-E-3-(3-chloro-4-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one.

8. A method of preparing a compound of Formula X:



X

wherein:

R_1 and R_5 are independently optionally substituted alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, heterocyclic, OCOR', CONR'R", NR"COR', NR'SO_2R", SO_2NR'R", (C=NR')NR"R'", or NR'R";

R_7 is H;

R_9 is one to four groups independently selected from H, F, Cl, Br, I, OH, NO2, alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, heterocyclic, OR', CO_2R', OCOR', CONR'R", NR"COR', NR'SO_2R", SO_2NR'R", (C=NR')NR"R'", or NR'R";

R_{10} and R_{11} are independently H, OH or optionally substituted alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, heterocyclic, $(CH_2)_n$-R', $(CH_2)_n$-NR'R", $(CH_2)_n$-NR'COR", $(CH_2)_n$-NR'SO_2R", $(CH_2)_n$-NR'(C=NR")NR'", $(CH_2)_n$-COOH, $(CH_2)_n$-COOR', $(CH_2)_n$-CONR'R", $(CH_2)_n$-OR', $(CH_2)_n$-SR', $(CH_2)_n$-COR', $(CH_2)_n$-SO_2H, $(CH_2)_n$-SONR'R", $(CH_2)_n$-SO_2NR'R", $(CH_2CH_2O)_n$-$(CH_2)_m$-OH, $(CH_2CH_2O)_n$-$(CH_2)_m$-OR', $(CH_2CH_2O)_n$-$(CH_2)_m$-COOR', $(CH_2CH_2O)_n$-$(CH_2)_m$-CONR'R", $(CH_2CH_2O)_n$-$(CH_2)_m$-NR'R", $(CH_2CH_2O)_n$-$(CH_2)_m$-NR'COR", $(CH_2CH_2O)_n$-$(CH_2)_m$-NR'(C=NR")NR'", $(CH_2CH_2O)_n$-$(CH_2)_m$-NR'SO_2R", $(CH_2)_p$-$(CH_2CH_2O)_n$-(CH2)$_m$-OH, $(CH_2)_p$-$(CH_2CH_2O)_n$-$(CH_2)_m$-OR', $(CH_2)_p$-$(CH_2CH_2O)_n$-$(CH_2)_m$-COOR', $(CH_2)_p$-$(CH_2CH_2O)_n$-$(CH_2)_m$-CONR'R", $(CH_2)_p$-$(CH_2CH_2O)_n$-$(CH_2)_m$-NR'R", $(CH_2)_p$-

$(CH_2CH_2O)_n$-$(CH_2)_m$-NR'COR", $(CH_2)_p$-$(CH_2CH_2O)_n$-$(CH_2)_m$-NR'(C=NR")NR"',

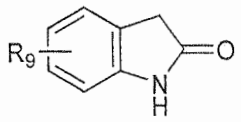
$(CH_2)_p$-$(CH_2CH_2O)_n$-$(CH_2)_m$-NR'SO_2R", -CO-R', -SOR', or -SO_2R';

n, m, and p are each independently 1-6; and

each R', R" and R"' is independently H or optionally substituted alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, or heterocyclic; or

R' and R", or R" and R"', form a ring,

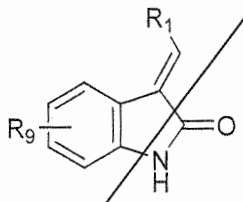
the process comprising condensing a compound of Formula **1**:



1

with R_1CHO.

9. The method of claim 8, wherein the condensation of Formula **1** with R_1CHO gives a compound of Formula **3**:



3.

10. The method of claim 8, wherein:

R_1 and R_5 are independently optionally substituted alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, or heterocyclic;

R_9 is one to four groups independently selected from H, F, Cl, Br, alkyl, or OR';

R_{10} and R_{11} are independently H or optionally substituted alkyl, cycloalkyl, alkenyl, cycloalkenyl, alkynyl, aryl, or heterocyclic; and

R' is alkyl

11. The method of claim 10, wherein:

R_1 is optionally substituted aryl;

R_5 is alkyl; and

R_9 is one to four groups independently selected from H, F, or Cl

12. The method of claim 11, wherein:

R_{10} is hydrogen; and

R_{11} is optionally substituted alkyl, aryl, or heterocyclic.

13. The method of claim 9 further comprising a 1,3-dipolar cycloaddition reaction comprising the compound of Formula **3** as a reactant.

14. The process of any one of claims 8-13, wherein R_5 of Formula X is derived from a compound of formula R_5CHO.

15. The process of claims 9 or 13, wherein the compound of Formula **3** is selected from the group consisting of:

3-E-benzylidene-6-chloro-1,3-dihydro-indole-2-one;

3-E-6-chloro-3-(3-chloro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-benzylidene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-chloro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-benzylidene-6-bromo-1,3-dihydro-indol-2-one;

3-E-benzylidene-6-fluoro-1,3-dihydro-indol-2-one;

3-E-benzylidene-6-trifluoromethyl-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-bromo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-methyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-methoxyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(4-trifluoromethyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3,4-dichloro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3,5-dichloro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-bromo-3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3,3-dimethyl-butylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-methyl-butylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(2-cyclohexyl-ethylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-cyclohexylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-cyclopentylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-thiophen-2-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-2-ylmethylene-1,3-dihydro-indol-2-one;

3-E-3-(6-chloro-pyridin-2-ylmethylene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-4-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-chloro-5-fluoro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-benzylidene)-1,3-dihydro-indol-2-one; and

3-E-3-(3-bromo-benzylidene)-6-trifluoromethyl-1,3-dihydro-indol-2-one.

16. The process of claims 9 or 13, wherein the compound of Formula **3** is selected from the group consisting of:

3-E-6-chloro-3-(3-trifluoromethyl-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-iodo-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-methoxy-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(6-bromo-pyridin-2-ylmethylene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(1-methyl-1H-pyrrol-2-ylmethylene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-4-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-pyridin-3-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-furan-3-ylmethylene-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-furan-2-ylmethylene-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-benzylidene)-6-methoxy-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-fluoro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(2,3-difluoro-benzylidene)-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one;

3-E-3-(3-chloro-2-fluoro-benzylidene)-6-methyl-1,3-dihydro-indol-2-one;

3-E-3-(3-bromo-2-fluoro-benzylidene)-6-methoxy-1,3-dihydro-indol-2-one;

3-E-6-chloro-3-(3-chloro-2-fluoro-benzylidene)-5-fluoro-1,3-dihydro-indol-2-one; and

3-E-3-(3-bromo-benzylidene)-6-chloro-5-fluoro-1,3-dihydro-indol-2-one.

17. The process of claim 16, wherein the compound of Formula **3** is 3-E-3-(3-chloro-2-fluoro-benzylidene)-6-chloro-1,3-dihydro-indol-2-one.