

What is claimed is:

1. A compound which has a binding affinity (K_d) of less than 10 micromolar to the GTP binding domain of one or more members of the Ras superfamily.
2. A compound which binds to the GTP binding domain of one or more members of the Ras superfamily and inhibits the one or more members of the Ras superfamily with an IC_{50} value of less than 10 micromolar.
3. A compound which binds to the GTP binding domain of one or more members of the Ras superfamily and inhibits the one or more members of the Ras superfamily with an inhibition of greater than 25% at 20 μ M.
4. A method of testing the ability of one or more compounds to bind to the GTP binding domain and to compete for GTP binding of one or more members of the Ras superfamily comprising:
 - a) expressing a Ras superfamily protein or mutant thereof as a tagged protein;
 - b) contacting the one or more compounds to the tagged protein, followed by incubating the combination;
 - c) adding labeled-GTP or labeled-GDP to each protein-compound combination, followed by incubating the resulting mixture; and
 - d) measuring the amount of bound labeled-GTP or bound labeled-GDP.
5. A compound which inhibits GTP binding to the GTP binding domain of one or more members of the Ras superfamily in the cell-free competition assay of claim 4 with an IC_{50} of less than 10 μ M.
6. A compound which inhibits GTP binding to the GTP binding domain of one or more members of the Ras superfamily in the cell-free competition assay of claim 4 with an inhibition of greater than 25% at 20 μ M.
7. A compound which has a binding affinity (K_d) of less than 10 μ M to the GTP binding domain of one or more members of the Ras superfamily, for use in inhibiting the

function of one or more members of the Ras superfamily, the compound is to be administered to a subject

8. A compound which inhibits the one or more members of the Ras superfamily with an IC_{50} value of less than 10 μM , for use in inhibiting the function of one or more members of the Ras superfamily, the compound is to be administered to a subject.

9. A compound which inhibits the one or more members of the Ras superfamily with an inhibition of greater than 25% at 20 μM or less for use in inhibiting the function of one or more members of the Ras superfamily, wherein the compound is to be administered to a subject.

10. The compound for use of claim 9, wherein the compound inhibits the one or more members of the Ras superfamily with an inhibition of greater than 50%, 75%, 80%, 85%, 90%, 95% or 99% at 20 μM or less.

11. A compound which binds to one or more of Ala11, Gly12, Val14, Gly15, Lys16, Ser17, Ala18, Phe28, Val 29, Asp30, Glu31, Tyr32, Asp33, Pro34, Thr35, Lys36, Gly60, Lys117, Asp119, Leu120, Ser145, Ala146, Lys147 or Mg202 in a Ras GTP binding domain with a binding affinity (K_d) of less than 10 μM , for use in inhibiting the function of Ras, the compound is to be administered to a subject.

12. A compound which binds to one or more of Ala11, Gly12, Val14, Gly15, Lys16, Ser17, Ala18, Phe28, Val 29, Asp30, Glu31, Tyr32, Asp33, Pro34, Thr35, Lys36, Gly60, Gln61, Lys117, Asp119, Leu120, Ser145, Ala146, Lys147 or Mg202 in a Ras GTP binding domain with a binding affinity (K_d) of less than 10 μM , for use in inhibiting the function of Ras, the compound is to be administered to a subject.

13. A compound which binds to one or more of Gly14, Ala15, Cys16, Gly17, Lys18, Thr19, Cys20, Phe30, Pro31, Glu32, Tyr34, Val35, Pro36, Thr37, Asp59, Lys118, Asp120, Lys162 or Mg202 in a Rho GTP binding domain with a binding affinity (K_d) of less than 10 μM , for use in inhibiting the function of Rho, wherein the compound is to be administered to a subject.

14. A compound which binds to one or more of Gly12, Ala 13, Gly15, Lys16, Thr17, Cys18, Leu19, Phe28, Ile33, Pro34, Val36, Ala59, Thr115, Lys116, Asp118, Leu119, Cys157, Ala159 or Mg202 in a Rac GTP binding domain with a binding affinity (K_d) of less than 10 μ M, for use in inhibiting the function of Rac, wherein the compound is to be administered to a subject.

15. A compound which binds to one or more of Ala11, Gly12, Val14, Gly15, Lys16, Ser17, Ala18, Phe28, Val 29, Asp30, Glu31, Tyr32, Asp33, Pro34, Thr35, Lys36, Gly60, Lys117, Asp119, Leu120, Ser145, Ala146, Lys147 or Mg202 in a Ras GTP binding domain and inhibits Ras with an IC_{50} of less than 10 μ M, for use in inhibiting the function of Ras, the compound is to be administered to a subject.

16. A compound which binds to one or more of Ala11, Gly12, Val14, Gly15, Lys16, Ser17, Ala18, Phe28, Val 29, Asp30, Glu31, Tyr32, Asp33, Pro34, Thr35, Lys36, Gly60, Gln61, Lys117, Asp119, Leu120, Ser145, Ala146, Lys147 or Mg202 in a Ras GTP binding domain and inhibits Ras with an IC_{50} of less than 10 μ M for use in inhibiting the function of Ras, the compound is to be administered to a subject.

17. A compound which binds to one or more of Gly14, Ala15, Cys16, Gly17, Lys18, Thr19, Cys20, Phe30, Pro31, Glu32, Tyr34, Val35, Pro36, Thr37, Asp59, Lys118, Asp120, Lys162 or Mg202 in a Rho GTP binding domain and inhibits Rho with an IC_{50} of less than 10 μ M, for use in inhibiting the function of Rho, the compound is to be administered to a subject.

18. A compound which binds to one or more of Gly12, Ala 13, Gly15, Lys16, Thr17, Cys18, Leu19, Phe28, Ile33, Pro34, Val36, Ala59, Thr115, Lys116, Asp118, Leu119, Cys157, Ala159 or Mg202 in a Rac GTP binding domain and inhibits Rac with an IC_{50} of less than 10 μ M, for use in inhibiting the function of Rac, the compound is to be administered to a subject.

19. A compound which binds to one or more of Ala11, Gly12, Val14, Gly15, Lys16, Ser17, Ala18, Phe28, Val 29, Asp30, Glu31, Tyr32, Asp33, Pro34, Thr35, Lys36,

Gly60, Lys117, Asp119, Leu120, Ser145, Ala146, Lys147 or Mg202 in a Ras GTP binding domain and inhibits Ras with an inhibition of greater than 50% at 20 μ M, for use in inhibiting the function of Ras, the compound is to be administered to a subject.

20. A compound which binds to one or more of Ala11, Gly12, Val14, Gly15, Lys16, Ser17, Ala18, Phe28, Val 29, Asp30, Glu31, Tyr32, Asp33, Pro34, Thr35, Lys36, Gly60, Gln61, Lys117, Asp119, Leu120, Ser145, Ala146, Lys147 or Mg202 in a Ras GTP binding domain and inhibits Ras with an inhibition of greater than 50% at 20 μ M, for use in inhibiting the function of Ras, the compound is to be administered to a subject.

21. A compound which binds to one or more of Gly14, Ala15, Cys16, Gly17, Lys18, Thr19, Cys20, Phe30, Pro31, Glu32, Tyr34, Val35, Pro36, Thr37, Asp59, Lys118, Asp120, Lys162 or Mg202 in a Rho GTP binding domain and inhibits Rho with an inhibition of greater than 50% at 20 μ M, for use in inhibiting the function of Rho, the compound is to be administered to a subject.

22. A compound which binds to one or more of Gly12, Ala 13, Gly15, Lys16, Thr17, Cys18, Leu19, Phe28, Ile33, Pro34, Val36, Ala59, Thr115, Lys116, Asp118, Leu119, Cys157, Ala159 or Mg202 in a Rac GTP binding domain and inhibits Rac with an inhibition of greater than 50% at 20 μ M, for use in inhibiting the function of Rac, the compound is to be administered to a subject.

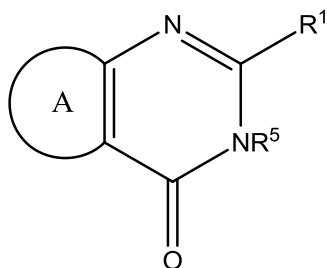
23. The compound for use of any of claims 7, 8 or 10, wherein the inhibiting the function of one or more members of the Ras superfamily is a treatment, prevention or amelioration of one or more symptoms of cancer.

24. The compound for use of any of claims 7, 8 or 10, wherein the inhibiting the function of one or more members of the Ras superfamily is a treatment, prevention or amelioration of one or more symptoms of an inflammatory disease.

25. The compound for use of any of claims 7, 8 or 10, wherein the inhibiting the function of one or more members of the Ras superfamily is a treatment, prevention or amelioration of one or more symptoms of a rasopathy.

26. The compound for use of any of claims 7, 8 or 10, wherein the inhibiting the function of one or more members of the Ras superfamily is a treatment, prevention or amelioration of one or more symptoms of a fibrotic disease.

27. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula VI:



Formula VI

or pharmaceutically acceptable derivatives thereof,

wherein R¹ is independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR³, C(O)R⁴, S(O)_pR⁴, NR⁵C(O)R⁴, and NR⁶R⁷;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

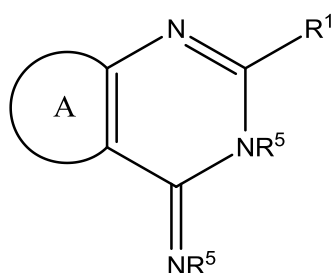
R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

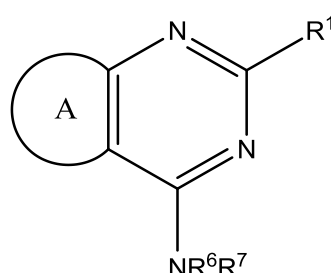
p is 0-2; and

A is a substituted or unsubstituted 5 or 6 membered aryl, heteroaryl, carbocyclic or heterocyclic ring.

28. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula VIIIa or Formula VIIIb:



Formula VIIIa



Formula VIIIb

or pharmaceutically acceptable derivatives thereof,

wherein R¹ is independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR³, C(O)R⁴, S(O)_pR⁴, NR⁵C(O)R⁴, and NR⁶R⁷;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocycliloxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

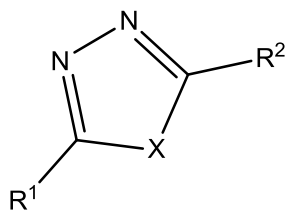
each R⁵ is selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

A is a substituted or unsubstituted 5 or 6 membered aryl, heteroaryl, carbocyclic or heterocyclic ring.

29. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula I:



Formula I

or pharmaceutically acceptable derivatives thereof,

wherein R^1 and R^2 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

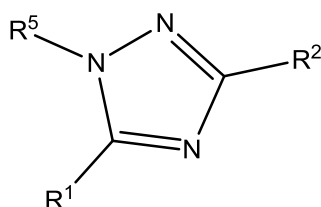
R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

X is O, S or NR^5 .

30. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula II:



Formula II

or pharmaceutically acceptable derivatives thereof,

wherein R^1 and R^2 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

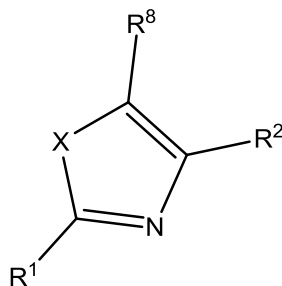
R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocycliloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

31. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula III:



Formula III

or pharmaceutically acceptable derivatives thereof,

wherein R^1 , R^2 and R^8 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

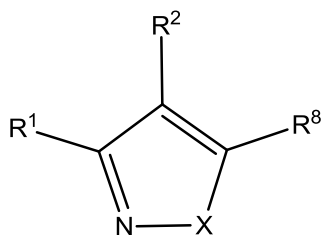
R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

X is O or S; or X is NR, wherein R forms a non-aromatic ring with one of the carbon atoms adjacent to the nitrogen on the five-membered ring to which it is attached.

32. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula IV:



Formula IV

or pharmaceutically acceptable derivatives thereof,

wherein R¹, R² and R⁸ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR³, C(O)R⁴, S(O)_pR⁴, NR⁵C(O)R⁴, and NR⁶R⁷;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

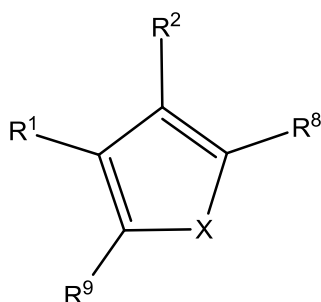
R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

X is O or NR^5 .

33. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula V:



Formula V

or pharmaceutically acceptable derivatives thereof,

wherein R^1 , R^2 , R^8 and R^9 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ; wherein R^2 and R^8 are combined to form a cyclic structure including the carbon atoms to which they are attached in the five-membered ring;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocycliloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

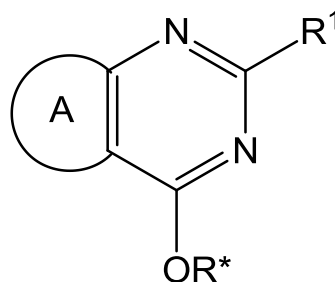
R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl,

or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

X is O, S or NR^5 .

34. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula VI:



Formula VI

or pharmaceutically acceptable derivatives thereof,

wherein R^1 is independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocycliloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

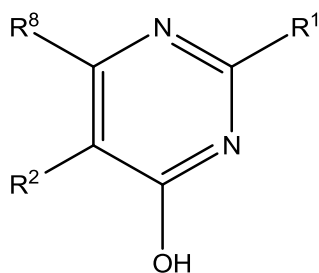
R^* is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

A is a substituted or unsubstituted 5 or 6 membered aryl, heteroaryl, carbocyclic or heterocyclic ring.

35. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula VII:



Formula VII

or pharmaceutically acceptable derivatives thereof,

wherein R¹, R² and R⁸ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR³, C(O)R⁴, S(O)_pR⁴, NR⁵C(O)R⁴, and NR⁶R⁷;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

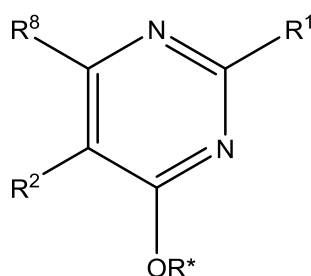
R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2.

36. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula VII:



Formula VIIIt

or pharmaceutically acceptable derivatives thereof,

wherein R^1 , R^2 and R^8 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocycliloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

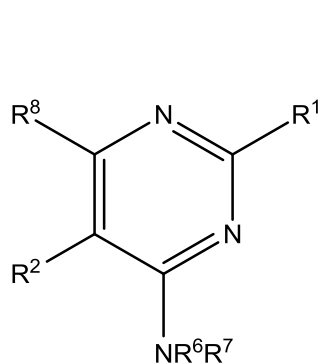
R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

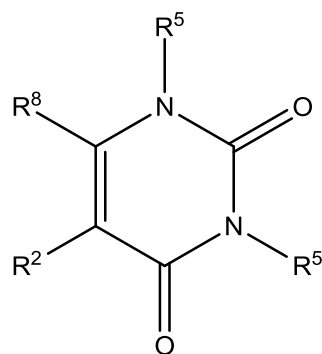
R^* is alkyl, aryl or heteroaryl.

p is 0-2.

37. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula IXa or Formula IXb:



Formula IXa



Formula IXb

or pharmaceutically acceptable derivatives thereof,

wherein R^1 , R^2 and R^8 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

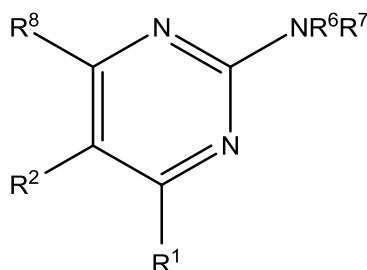
R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

38. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula X:



Formula X

or pharmaceutically acceptable derivatives thereof,

wherein R¹, R² and R⁸ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR³, C(O)R⁴, S(O)_pR⁴, NR⁵C(O)R⁴, and NR⁶R⁷;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

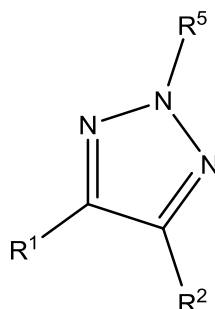
R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

39. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound of Formula XI:



Formula XI

or pharmaceutically acceptable derivatives thereof, wherein:

R^1 and R^2 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

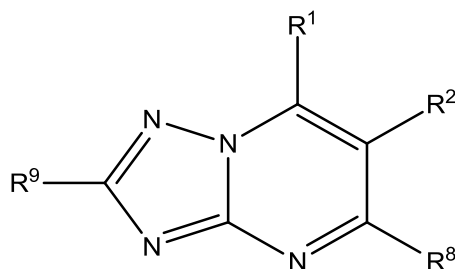
R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

40. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XII:



Formula XII

or pharmaceutically acceptable derivatives thereof, wherein:

R¹, R², R⁸ and R⁹ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR³, C(O)R⁴, S(O)_pR⁴, NR⁵C(O)R⁴, and NR⁶R⁷;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

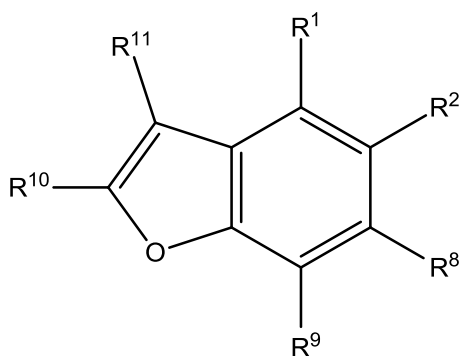
R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

41. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XIII:



Formula XIII

or pharmaceutically acceptable derivatives thereof, wherein:

R^1 , R^2 , R^8 , R^9 , R^{10} and R^{11} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

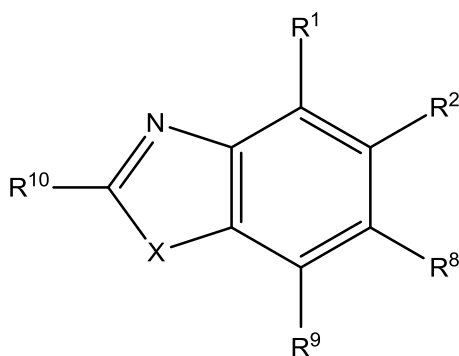
R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

42. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XIV:



Formula XIV

or pharmaceutically acceptable derivatives thereof, wherein:

R^1 , R^2 , R^8 , R^9 and R^{10} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

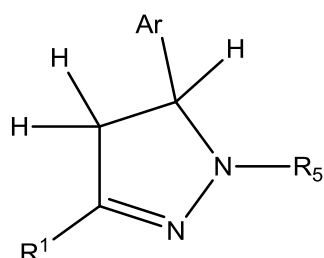
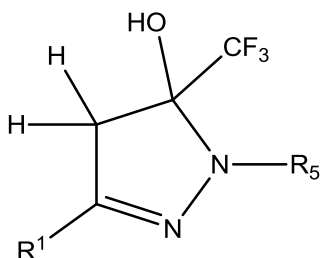
R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

X is S or NR^5 .

43. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XVa or Formula XVb:



Formula XVa

Formula XVb

or pharmaceutically acceptable derivatives thereof, wherein:

R^1 is independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

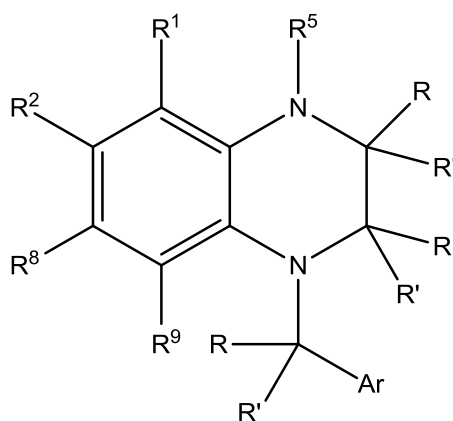
R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl or heteroarylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

Ar is aryl or heteroaryl.

44. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XVI:



Formula XVI

or pharmaceutically acceptable derivatives thereof, wherein:

R^1 , R^2 , R^8 and R^9 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyoxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

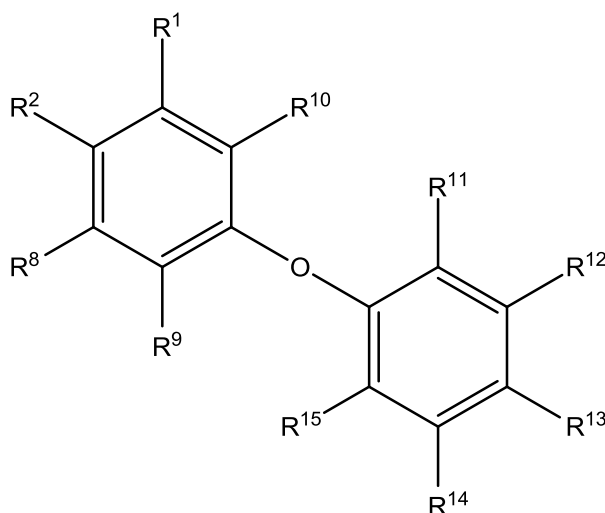
R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2;

each R and R' are independently selected from H, alkyl, or cycloalkyl; and

Ar is aryl or heteroaryl.

45. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XVII:



Formula XVII

or pharmaceutically acceptable derivatives thereof, wherein:

$R^1, R^2, R^8, R^9, R^{10}, R^{11}, R^{12}, R^{13}, R^{14}$ and R^{15} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

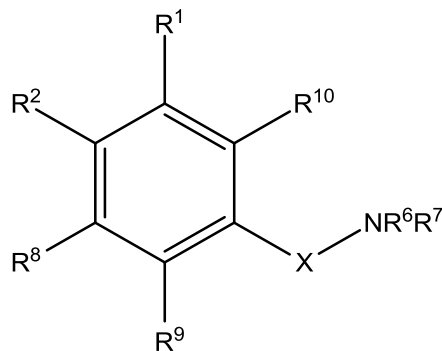
R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

46. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XVIII:



Formula XVIII

or pharmaceutically acceptable derivatives thereof, wherein:

R^1, R^2, R^8, R^9 and R^{10} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

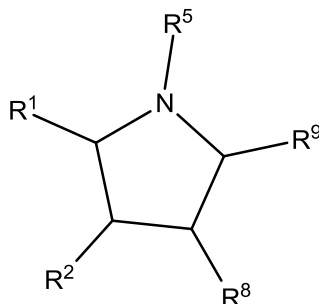
R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

X is $S(O)_p$ or CR_2 , wherein each R is independently selected from hydrogen and lower alkyl.

47. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26 wherein the compound is a compound of Formula XIX:



Formula XIX

or pharmaceutically acceptable derivatives thereof, wherein:

R^1 , R^2 , R^8 and R^9 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, oxo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

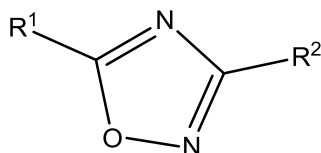
R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyloxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

R⁵ is hydrogen, alkyl, alkenyl, alkynyl, arylalkyl, heteroarylalkyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclcarbonyl, heteroarylcarbonyl or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

48. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XX:



Formula XX

or pharmaceutically acceptable derivatives thereof, wherein:

R¹ and R² are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR³, C(O)R⁴, S(O)_pR⁴, NR⁵C(O)R⁴, and NR⁶R⁷;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

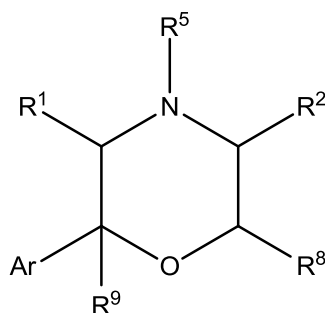
R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyoxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached; and

p is 0-2.

49. The compound of any one of claims 1-3 or 5-6 or the compound for use of any one of claims 7-26, wherein the compound is a compound of Formula XXI:



Formula XXI

or pharmaceutically acceptable derivatives thereof, wherein:

R^1 , R^2 and R^8 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR^3 , $C(O)R^4$, $S(O)_pR^4$, $NR^5C(O)R^4$, and NR^6R^7 ;

R^9 is H or alkyl;

R^3 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R^4 is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyoxy, cycloalkyloxy, aralkoxy, or $-NR^6R^7$;

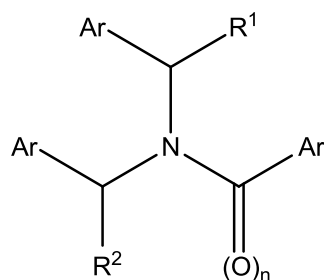
R^5 is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R^6 and R^7 are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R^6 and R^7 are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

p is 0-2; and

Ar is aryl or heteroaryl.

50. The compound of any one of claims 1-3 or 5-6 or the method of any one of claims 7-26, wherein the compound is a compound of Formula XXII:



Formula XXII

or pharmaceutically acceptable derivatives thereof, wherein:

R¹ and R² are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, halo, pseudohalo, OR³, C(O)R⁴, S(O)_pR⁴, NR⁵C(O)R⁴, and NR⁶R⁷;

R³ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl or arylcarbonyl;

R⁴ is hydrogen, hydroxy, alkyl, haloalkyl, alkenyl, alkynyl, aryl, alkylaryl, heterocyclyl, cycloalkyl, aralkyl, alkoxy, alkenyloxy, alkynyloxy, aryloxy, alkylaryloxy, heterocyclyoxy, cycloalkyloxy, aralkoxy, or -NR⁶R⁷;

R⁵ is hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, or arylcarbonyl;

R⁶ and R⁷ are independently selected from hydrogen, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, alkylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, cycloalkylsulfonyl or alkylsulfonyl, or R⁶ and R⁷ are combined to form a cyclic structure including the nitrogen atom to which they are both attached;

n is 0 or 1;

p is 0-2; and

each Ar is independently selected from aryl or heteroaryl.

51. A pharmaceutical composition, comprising a compound of any one of claims 1-3, 5-6, or 27-50 and a pharmaceutically acceptable carrier.

52. A pharmaceutical composition comprising a therapeutic amount of a compound of any one of claims 1-3, 5-6, or 27-50 .