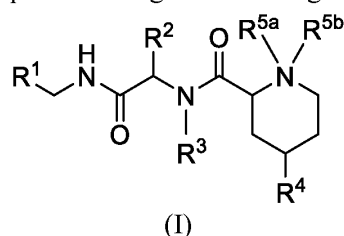


CLAIMS

1. A compound having the following Structure (I):



or a stereoisomer, tautomer, or pharmaceutically acceptable salt thereof, wherein:

R^1 is a substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted cycloalkyl, or a substituted or unsubstituted heterocyclyl;

R^2 is hydrogen, alkyl, alkoxy, haloalkyl, haloalkoxy, or cycloalkyl;

R^3 is hydrogen, alkyl, haloalkyl, or cycloalkyl;

or R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-7 membered heterocyclyl;

R^4 is a substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted cycloalkyl, or a substituted or unsubstituted heterocyclyl;

R^{5a} is hydrogen, alkyl, haloalkyl, cycloalkyl, phosphonalkyl, $(CH_2)_nC(=O)OR^6$, $C(=O)R^6$, $C(=O)OR^6$, or $C(=O)NR^6R^7$;

R^{5b} is an electron pair or alkyl;

R^6 and R^7 are, at each occurrence, independently hydrogen, alkyl, haloalkyl, cycloalkyl, or arylalkyl;

R^8 is alkyl, haloalkyl, aminylalkyl, substituted or unsubstituted arylalkyl;

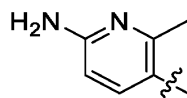
and

n is 1, 2, 3, 4, 5, 6, 7, or 8,

provided that

A) R^{5a} is alkyl, haloalkyl, cycloalkyl, phosphonalkyl, $(CH_2)_nC(=O)OR^6$, $C(=O)R^6$, $C(=O)OR^6$, or $C(=O)NR^6R^7$ or R^1 is substituted with one or more substituents selected from the group consisting of a substituted heteroaryl, $C(=NH)NHC(=O)OR^8$, $C(=NOC(=O)R^8)NH_2$, $C(=NOC(=O)OR^8)NH_2$, and $C(=NOH)NH_2$; and

B) when R^{5a} is alkyl or $(CH_2)_nC(=O)OR^6$, R^1 does not have the following structure:



unless R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-7 membered heterocyclyl.

2. The compound of claim 1, wherein R^1 is a substituted or unsubstituted aryl.

3. The compound of claim 1 or 2, wherein R^1 is a substituted or unsubstituted C_6 - C_{10} aryl.

4. The compound of any one of claims 1-3, wherein R^1 is a substituted or unsubstituted phenyl.

5. The compound of any one of claims 1-4, wherein R^1 is a substituted phenyl.

6. The compound of any one of claims 1-5, wherein R^1 is phenyl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of $C(=NH)NHC(=O)OR^8$, $C(=NOC(=O)R^8)NH_2$, $C(=NOC(=O)OR^8)NH_2$, $C(=NOH)NH_2$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} ,

$N(R^9)C(O)R^{10}$, $N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$,
 $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$,
 $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$,
 oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl,
 substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy,
 substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10}
 cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently
 selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,
 hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl,
 cycloalkyl, heterocyclyl, and heteroaryl.

7. The compound of claim 6, wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is
 optionally substituted with one or more substituents selected from the group consisting
 of halo, CN, OR^{13} , SR^{13} , $C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $C(O)OR^{13}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$,
 $NR^{13}R^{14}$, $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}C(O)OR^{14}$, $C(=NR^{13})NR^{14}R^{15}$,
 $NR^{13}C(=NR^{14})NR^{15}R^{16}$, $S(O)R^{13}$, $S(O)NR^{13}R^{14}$, $S(O)_2R^{13}$, $NR^{13}S(O)_2R^{14}$, $S(O)_2NR^{13}R^{14}$
 and oxo when R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is a substituted C_{6-10} aryl, a substituted C_{6-10}
 arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10
 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered
 heterocyclyl,

wherein R^{13} , R^{14} , R^{15} , and R^{16} are, at each occurrence, independently
 selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,
 hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl,
 cycloalkyl, heterocyclyl, and heteroaryl.

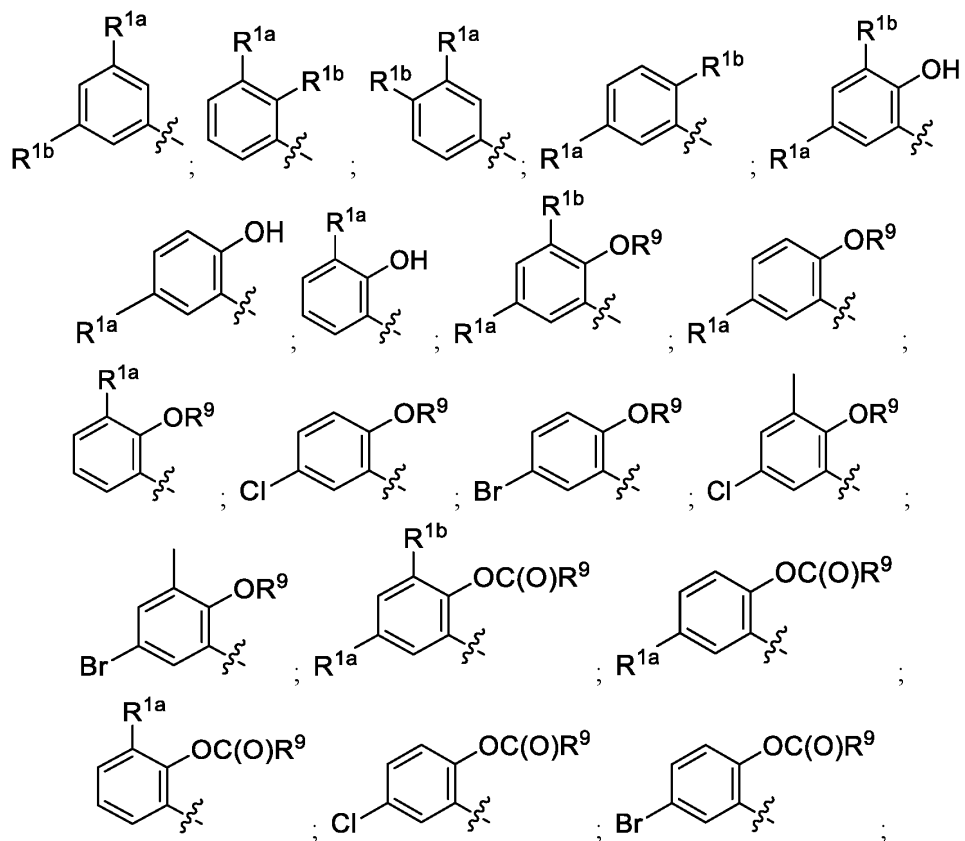
8. The compound of any one of claims 1-7, wherein R^1 is phenyl
 substituted with at least one substituent selected from the group consisting of OR^9 ,
 $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, and
 $C(=NR^9)NR^{10}C(O)OR^{11}$.

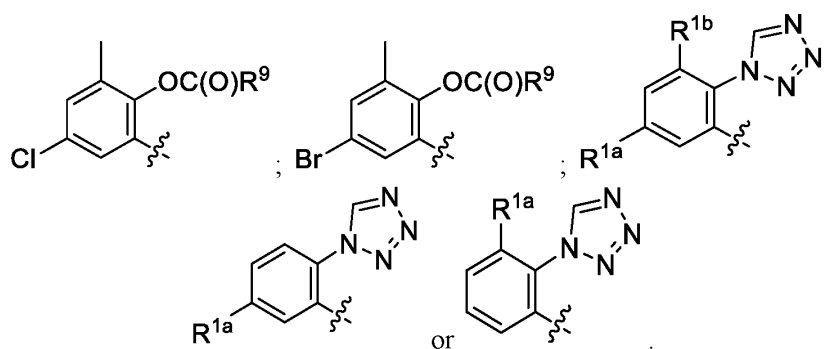
9. The compound of any one of claims 1-8, wherein R^1 is substituted with at least one substituent selected from the group consisting of $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, and $C(=NR^9)NR^{10}C(O)OR^{11}$.

10. The compound of claim 9, wherein R^1 is substituted with at least one $C(=NR^9)NR^{10}R^{11}$.

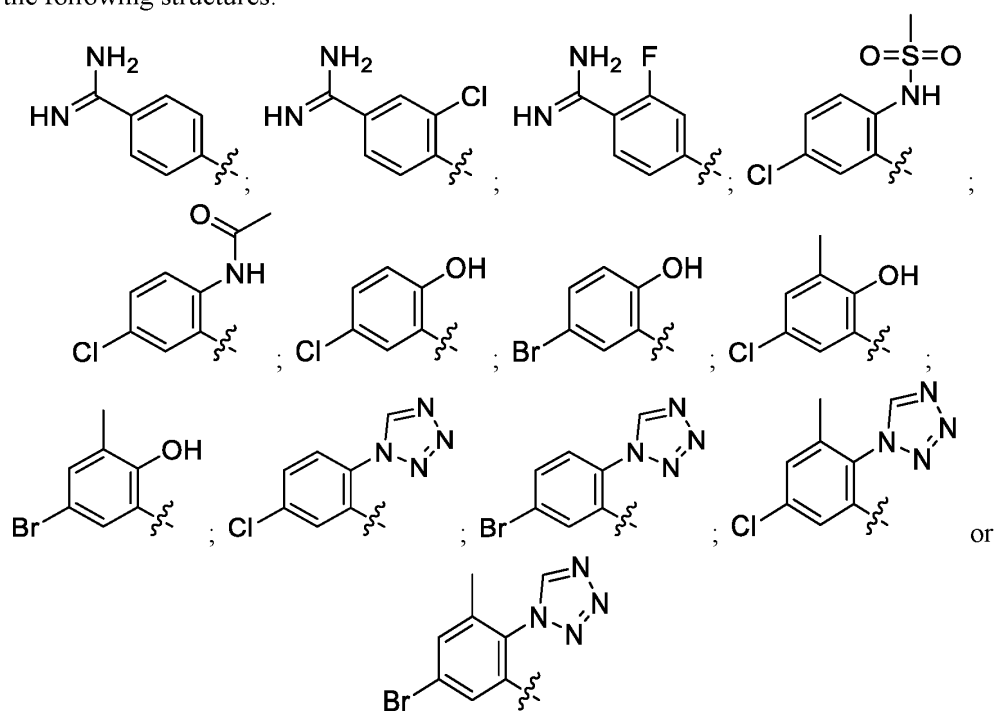
11. The compound of claim 9 or 10, wherein R^1 is substituted with at least one $-C(=NH)NH_2$.

12. The compound of any one of claims 7-11, wherein R^1 has one of the following structures:

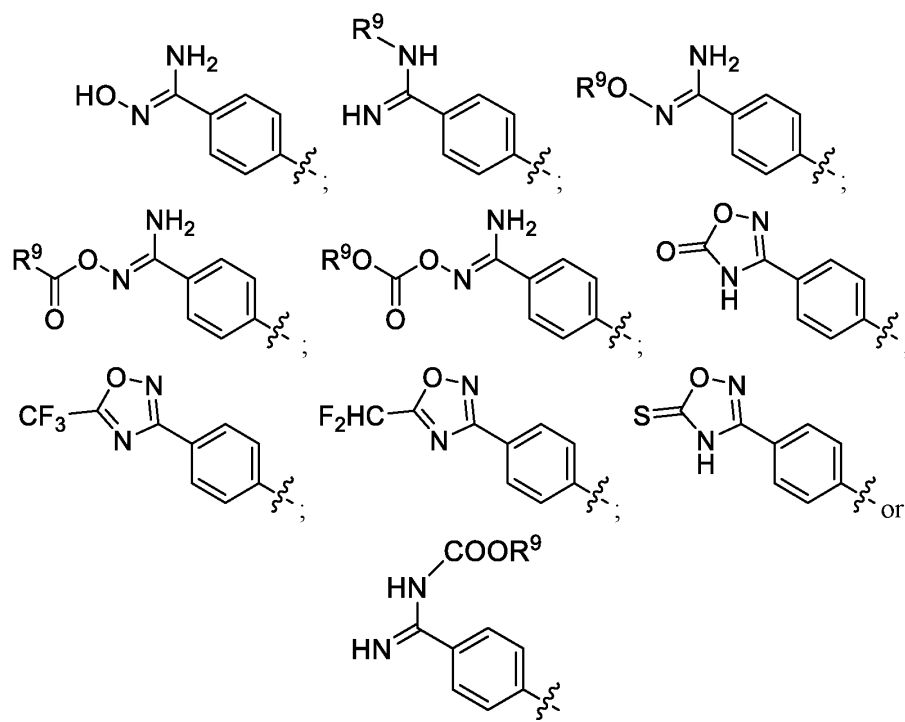




13. The compound of any one of claims 1-12, wherein R¹ has one of the following structures:



14. The compound of claim 7, wherein R¹ has one of the following structures:



15. The compound of claim 14, wherein R⁹ is C₁₋₆ alkyl or C₁₋₆ haloalkyl.
16. The compound of claim 15, wherein R⁹ is methyl.
17. The compound of claim 15, wherein R⁹ is trifluoromethyl.
18. The compound of any one of claims 1-4, wherein R¹ is an unsubstituted phenyl.
19. The compound of claim 1, wherein R¹ is a substituted or unsubstituted heteroaryl.

20. The compound of claim 1 or 19, wherein R^1 is a substituted or unsubstituted 5-10 membered heteroaryl.

21. The compound of claim 1 or 19-20, wherein R^1 is a substituted or unsubstituted pyridinyl, pyrrolopyridinyl, thiophenyl, or benzoimidazolyl.

22. The compound of any one of claims 1 or 19-21, wherein R^1 is a substituted or unsubstituted pyridin-3-yl, 1H-pyrrolo[2,3-b]pyridine-5-yl, thiophen-2-yl, or 1H-benzo[d]imidazol-6-yl.

23. The compound of any one of claims 1 or 19-22, wherein R^1 is pyridin-3-yl, 1H-pyrrolo[2,3-b]pyridine-5-yl, thiophen-2-yl, or 1H-benzo[d]imidazol-6-yl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} , $N(R^9)C(O)R^{10}$, $N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$, $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

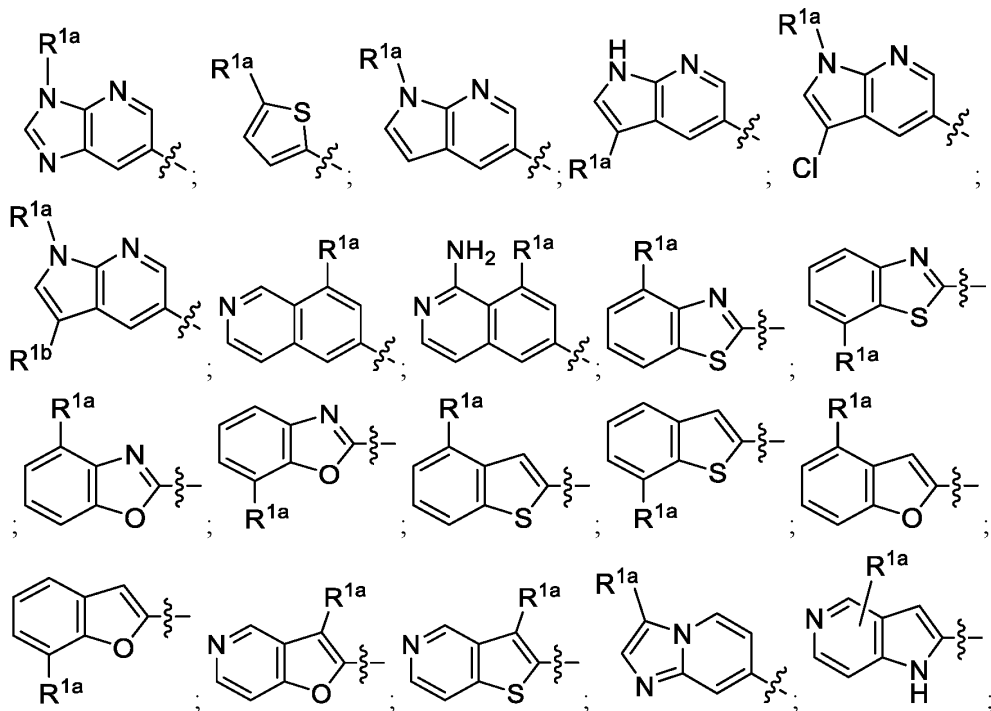
wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

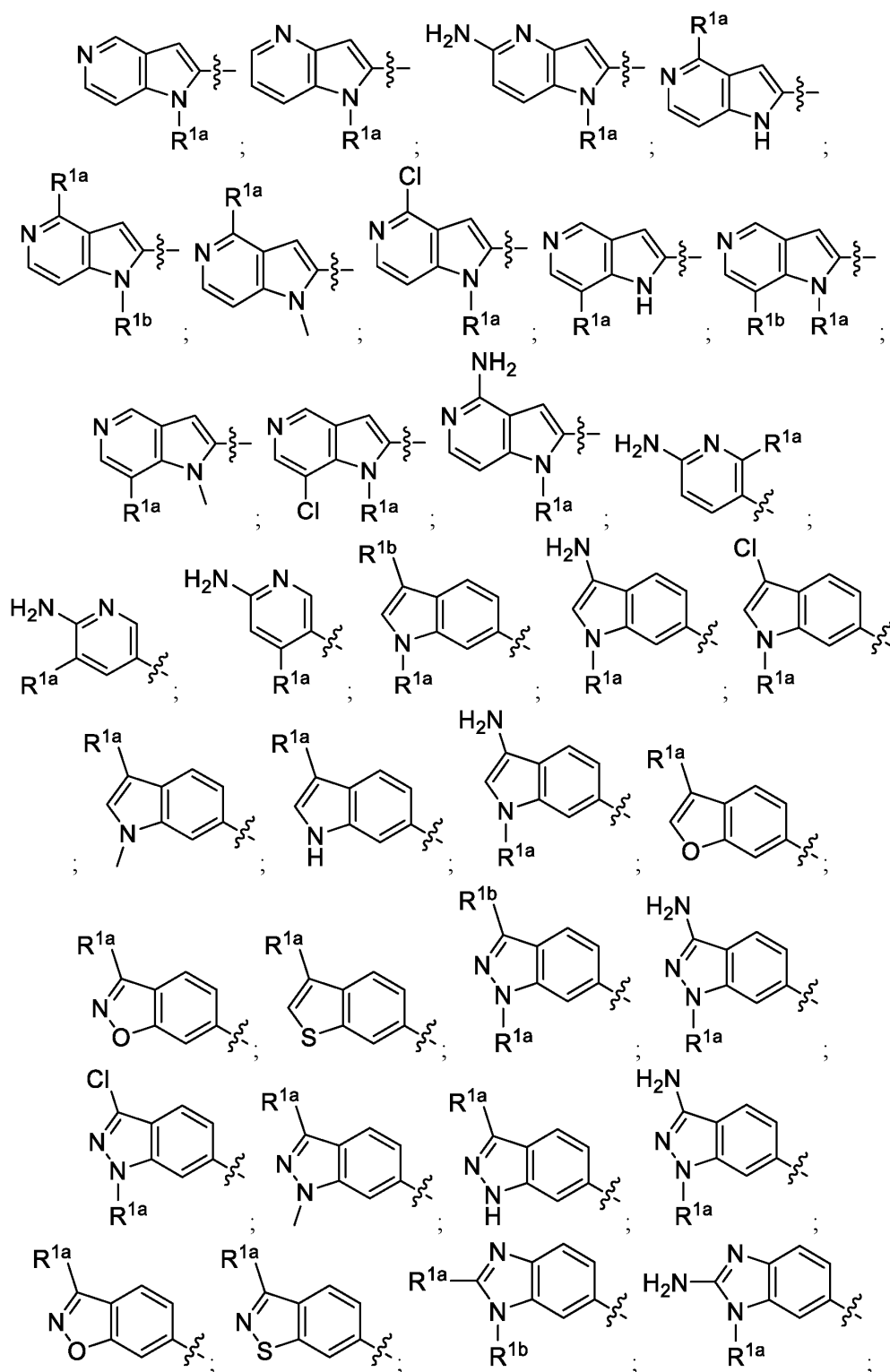
24. The compound of claim 23, wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is optionally substituted with one or more substituents selected from the group consisting

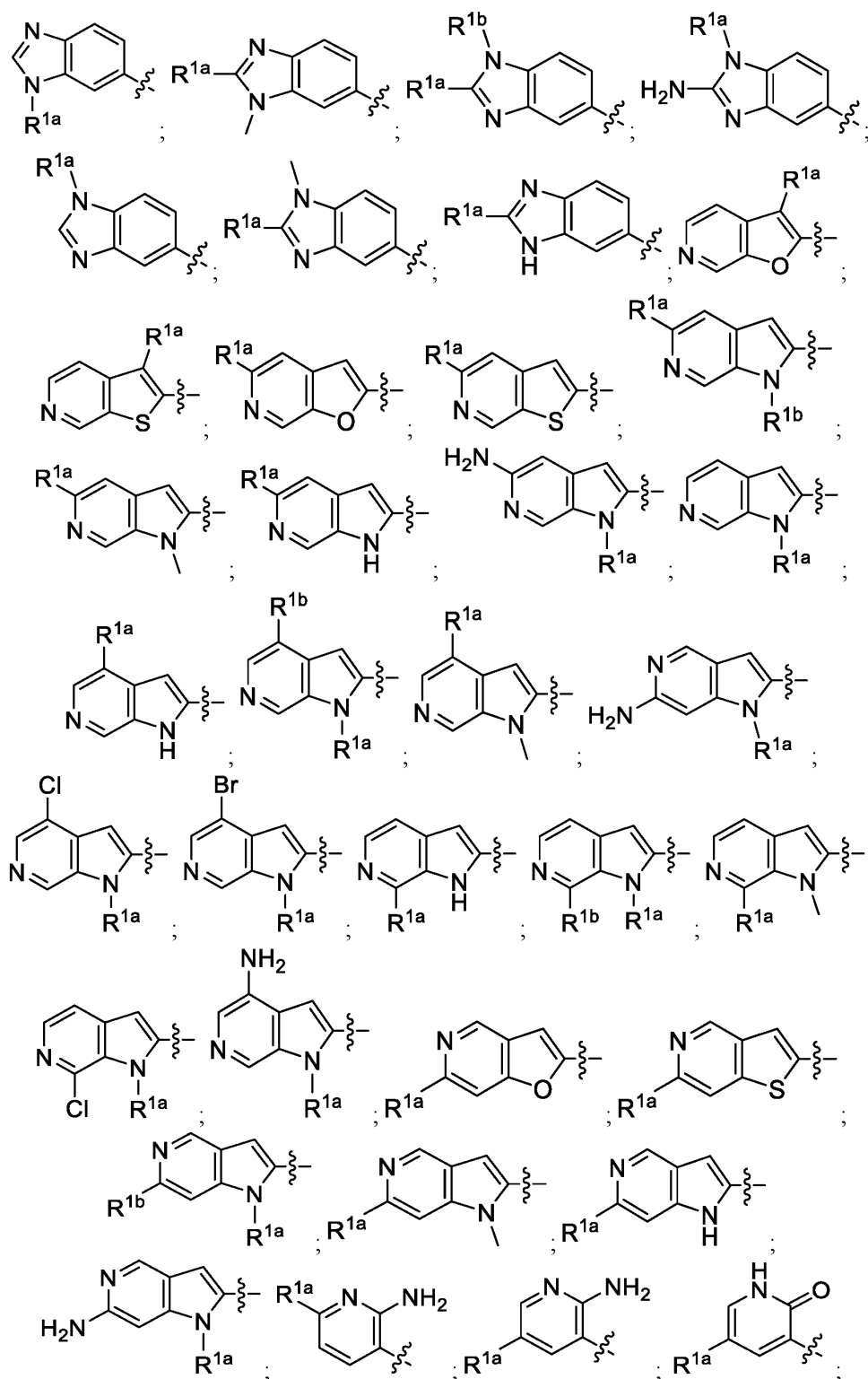
of halo, CN, OR¹³, SR¹³, C(O)R¹³, C(O)NR¹³R¹⁴, C(O)OR¹³, OC(O)R¹³, OC(O)NR¹³R¹⁴, NR¹³R¹⁴, NR¹³C(O)R¹⁴, NR¹³C(O)NR¹⁴R¹⁵, NR¹³C(O)OR¹⁴, C(=NR¹³)NR¹⁴R¹⁵, NR¹³C(=NR¹⁴)NR¹⁵R¹⁶, S(O)R¹³, S(O)NR¹³R¹⁴, S(O)₂R¹³, NR¹³S(O)₂R¹⁴, S(O)₂NR¹³R¹⁴ and oxo when R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is a substituted C₆₋₁₀ aryl, a substituted C₆₋₁₀ arylalkyl, a substituted C₆₋₁₀ aryloxy, a substituted C₆₋₁₀ arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C₃₋₁₀ cycloalkyl, and a substituted 4-10 membered heterocyclyl,

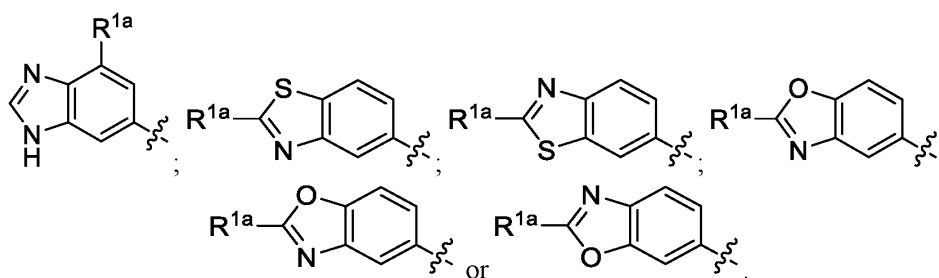
wherein R¹³, R¹⁴, R¹⁵, and R¹⁶ are, at each occurrence, independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

25. The compound of any one of claims 1 or 19-23, wherein R¹ has one of the following structures:



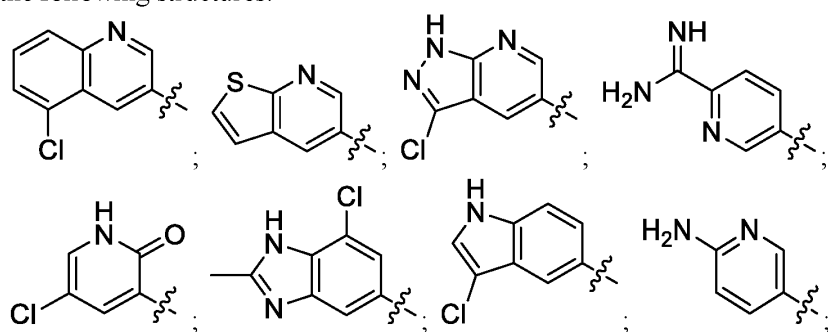


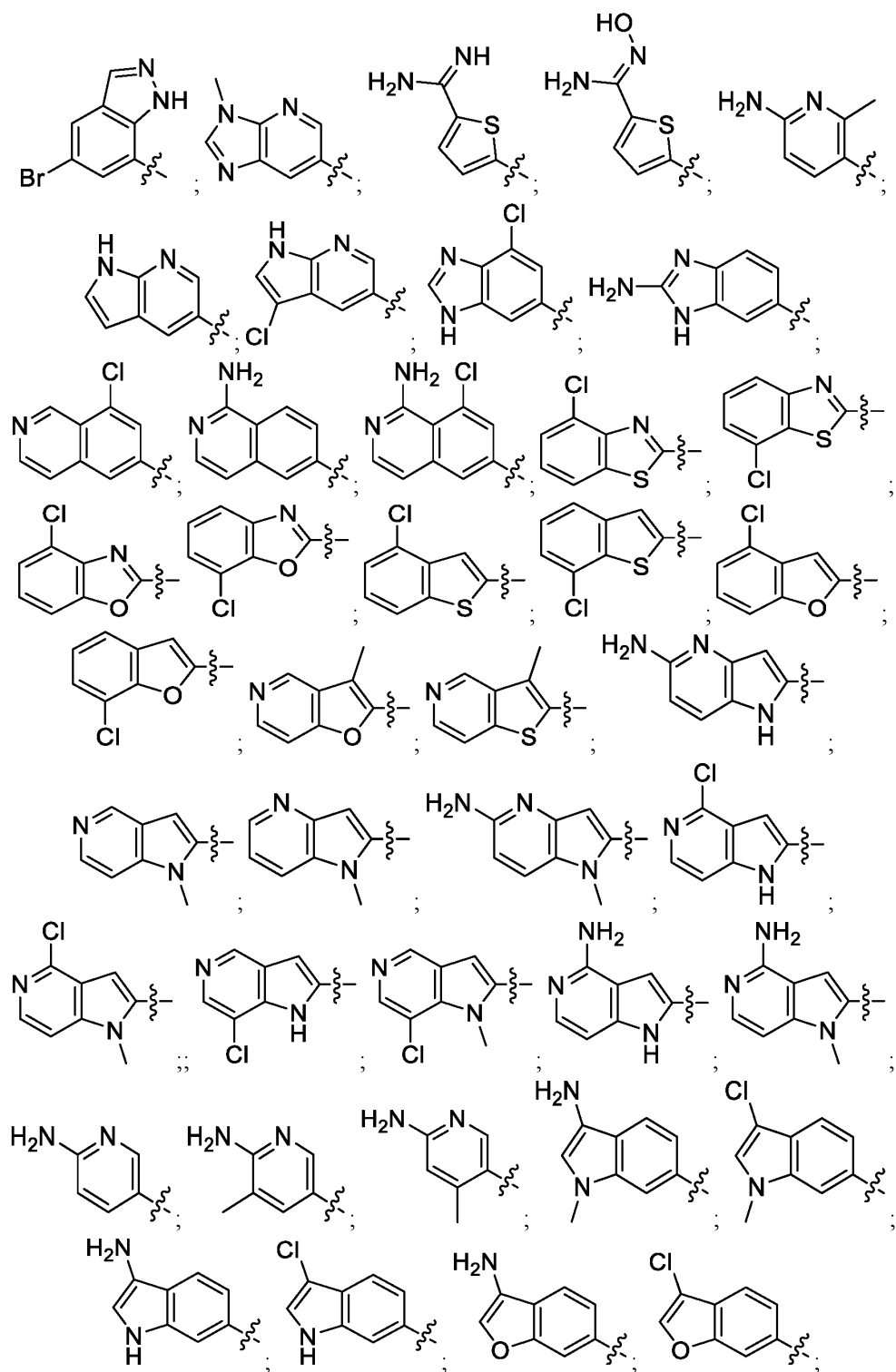


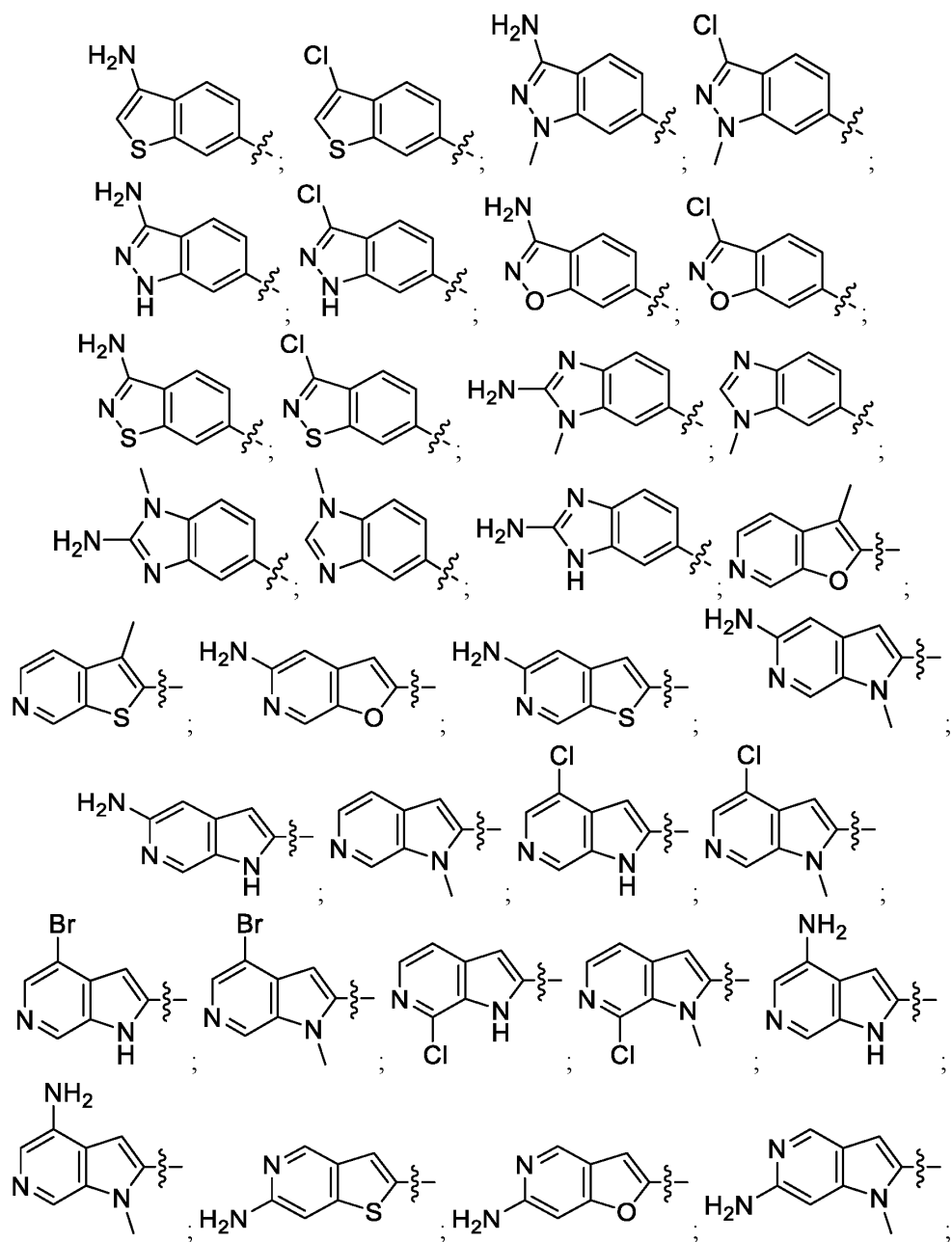


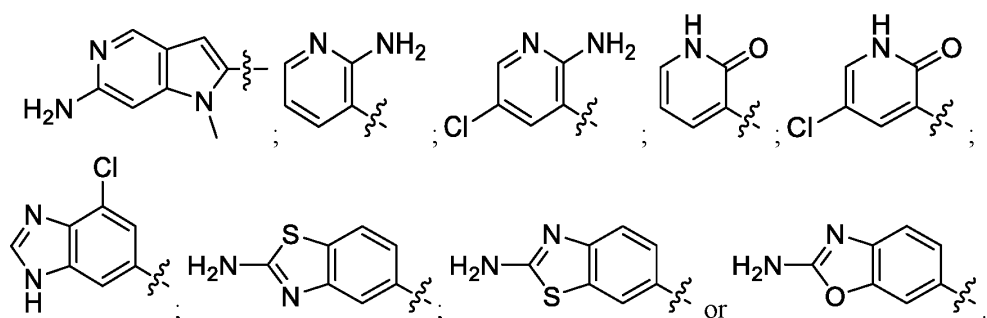
26. The compound of claim 25, wherein R^{1a} or R^{1b} is independently C₁₋₆ alkyl, amino, or halo.
27. The compound of claim 26, wherein R^{1a} or R^{1b} is methyl or ethyl.
28. The compound of claim 26, wherein R^{1a} or R^{1b} is F, Cl, or Br.
29. The compound of claim 25, wherein each R^{1a} or R^{1b} attached to nitrogen is C₁₋₆ alkyl.
30. The compound of claim 29, wherein R^{1a} or R^{1b} is methyl or ethyl.
31. The compound of any one of claims 1 or 19-20, wherein R¹ has

one of the following structures:

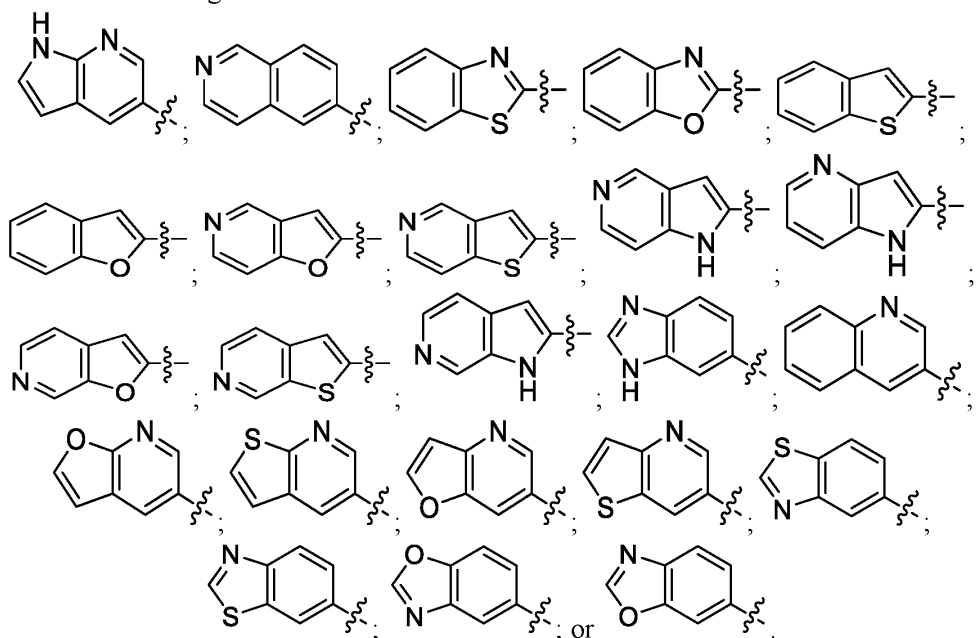








32. The compound of any one of claims 1 or 19-20, wherein R^1 has one of the following structures:



33. The compound of claim 1, wherein R^1 is a substituted or unsubstituted cycloalkyl.

34. The compound of claim 1 or 33, wherein R^1 is a substituted or unsubstituted C_3 - C_6 cycloalkyl.

35. The compound of any one of claims 1 or 33-34, wherein R¹ is a substituted C₃-C₆ cycloalkyl.

36. The compound of claim 35, wherein R¹ is a C₃-C₆ cycloalkyl substituted with one or more of R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R^{1e} wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R^{1e} are each independently selected from the group consisting of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, C₁₋₆ haloalkyl, aminylalkyl, hydroxyalkyl, nitro, OR⁹, SR⁹, C(O)R⁹, C(O)NR⁹R¹⁰, C(O)OR⁹, OC(O)R⁹, OC(O)OR⁹, OC(O)NR⁹R¹⁰, NR⁹R¹⁰, N(R⁹)C(O)R¹⁰, N(R⁹)C(O)NR¹⁰R¹¹, N(R⁹)C(O)OR¹⁰, C(=NR⁹)NR¹⁰R¹¹, C(=NOR⁹)NR¹⁰R¹¹, C(=NOC(O)R⁹)NR¹⁰R¹¹, C(=NR⁹)N(R¹⁰)C(O)OR¹¹, N(R⁹)C(=NR¹⁰)NR¹¹R¹², S(O)R⁹, S(O)NR⁹R¹⁰, S(O)₂R⁹, N(R⁹)S(O)₂R¹⁰, S(O)₂NR⁹R¹⁰, oxo, substituted or unsubstituted C₆₋₁₀ aryl, substituted or unsubstituted C₆₋₁₀ arylalkyl, substituted or unsubstituted C₆₋₁₀ aryloxy, substituted or unsubstituted C₆₋₁₀ arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C₃₋₁₀ cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R⁹, R¹⁰, R¹¹, and R¹² are, at each occurrence, independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

37. The compound of claim 36, wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of halo, CN, OR¹³, SR¹³, C(O)R¹³, C(O)NR¹³R¹⁴, C(O)OR¹³, OC(O)R¹³, OC(O)NR¹³R¹⁴, NR¹³R¹⁴, NR¹³C(O)R¹⁴, NR¹³C(O)NR¹⁴R¹⁵, NR¹³C(O)OR¹⁴, C(=NR¹³)NR¹⁴R¹⁵, NR¹³C(=NR¹⁴)NR¹⁵R¹⁶, S(O)R¹³, S(O)NR¹³R¹⁴, S(O)₂R¹³, NR¹³S(O)₂R¹⁴, S(O)₂NR¹³R¹⁴ and oxo when R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is a substituted C₆₋₁₀ aryl, a substituted C₆₋₁₀ arylalkyl, a substituted C₆₋₁₀ aryloxy, a substituted C₆₋₁₀ arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C₃₋₁₀ cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{13} , R^{14} , R^{15} , and R^{16} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

38. The compound of claim 34, wherein R^1 is an unsubstituted C_3 - C_6 cycloalkyl.

39. The compound of claim 1, wherein R^1 is a substituted or unsubstituted heterocyclyl.

40. The compound of claim 1 or 39, wherein R^1 is a substituted or unsubstituted 4-10 membered heterocyclyl.

41. The compound of any one of claims 1 or 39-40, wherein R^1 is a substituted 4-10 membered heterocyclyl.

42. The compound of claim 41, wherein R^1 is a 4-10 membered heterocyclyl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} , $N(R^9)C(O)R^{10}$, $N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$, $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

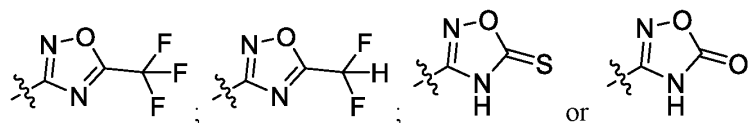
43. The compound of claim 42, wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of OR^{13} , SR^{13} , $C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $C(O)OR^{13}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}R^{14}$, $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}C(O)OR^{14}$, $C(=NR^{13})NR^{14}R^{15}$, $NR^{13}C(=NR^{14})NR^{15}R^{16}$, $S(O)R^{13}$, $S(O)NR^{13}R^{14}$, $S(O)_2R^{13}$, $NR^{13}S(O)_2R^{14}$, $S(O)_2NR^{13}R^{14}$ and oxo when R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{13} , R^{14} , R^{15} , and R^{16} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

44. The compound of claim 39, wherein R^1 is an unsubstituted 4-10 membered heterocyclyl.

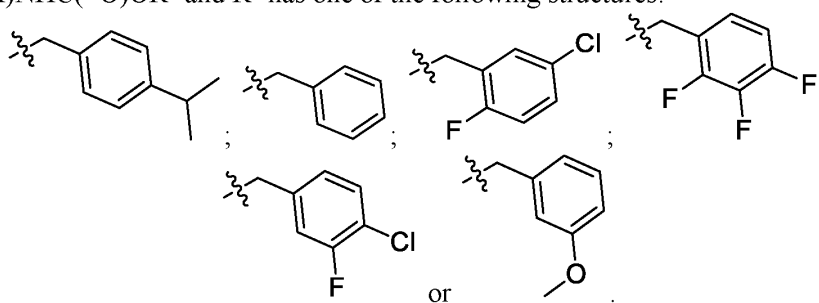
45. The compound of any one of claims 1-5, wherein R^1 is substituted with one or more substituents selected from the group consisting of a substituted heteroaryl, $C(=NH)NHC(=O)OR^8$, $C(=NOC(=O)R^8)NH_2$, $C(=NOC(=O)OR^8)NH_2$, and $C(=NOH)NH_2$.

46. The compound of claim 45, wherein R^1 is substituted with a substituted heteroaryl having one of the following structures:



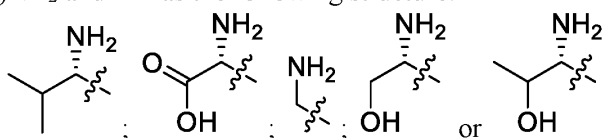
47. The compound of claim 45, wherein R^1 is substituted with $C(=NH)NHC(=O)OR^8$ and R^8 is a substituted or unsubstituted arylalkyl.

48. The compound of claim 45 or 47, wherein R^1 is substituted with $C(=NH)NHC(=O)OR^8$ and R^8 has one of the following structures:



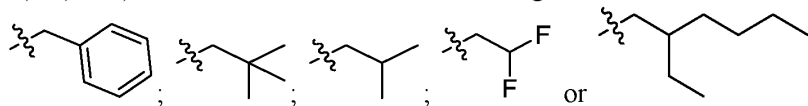
49. The compound of claim 45, wherein R^1 is substituted with $C(=NOC(=O)R^8)NH_2$ and R^8 is an aminylalkyl.

50. The compound of claim 45 or 49, wherein R^1 is substituted with $C(=NOC(=O)R^8)NH_2$ and R^8 has the following structure:



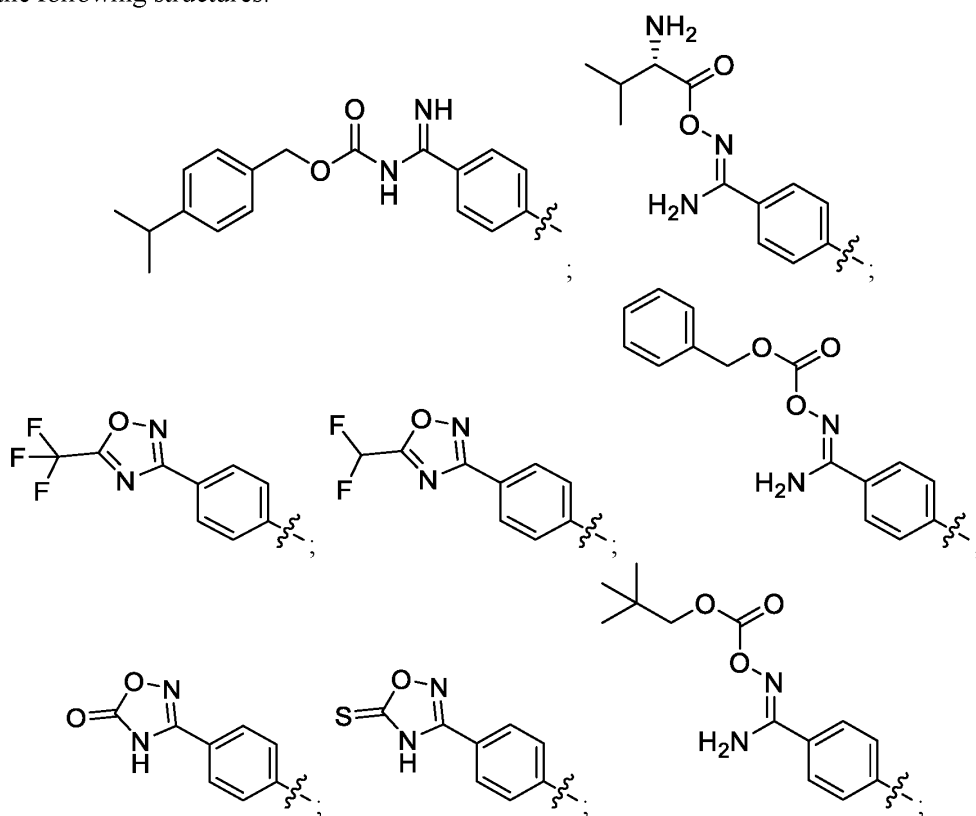
51. The compound of claim 45, wherein R^1 is substituted with $C(=NOC(=O)OR^8)NH_2$ and R^8 is an alkyl, a haloalkyl, or a substituted or unsubstituted arylalkyl.

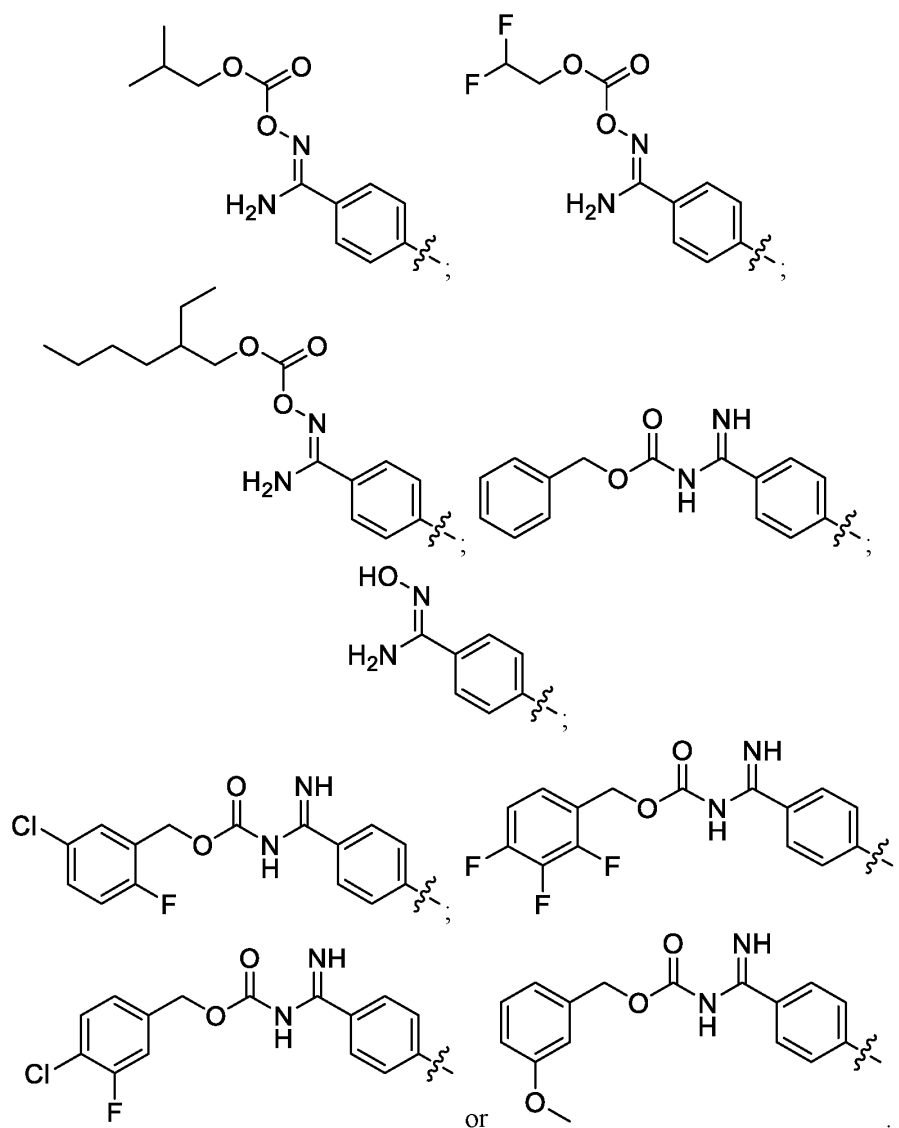
52. The compound of claim 45 or 51, wherein R^1 is substituted with $C(=NOC(=O)OR^8)NH_2$ and R^8 has one of the following structures:



53. The compound of claim 45, wherein R^1 is substituted with $C(=NOH)NH_2$.

54. The compound of any one of claims 45-53, wherein R^1 has one of the following structures:





55. The compound of any one of claims 1-54, wherein R^2 is hydrogen or C_1 - C_6 alkyl.

56. The compound of any one of claims 1-55, wherein R^2 is C_1 - C_6 alkyl.

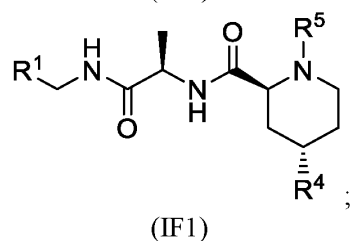
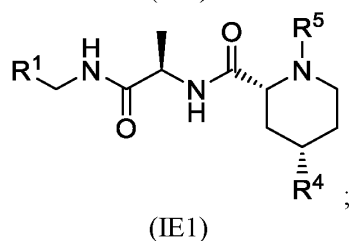
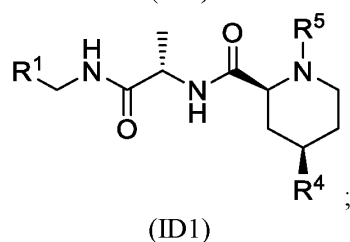
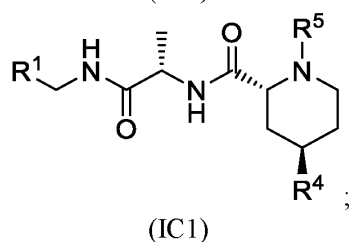
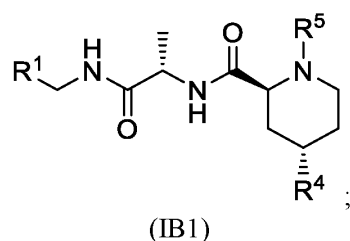
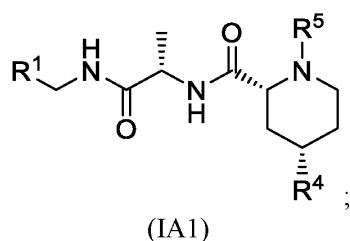
57. The compound of any one of claims 1-56, wherein R^2 is $-CH_3$.

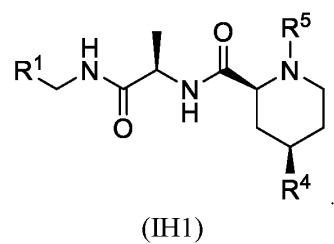
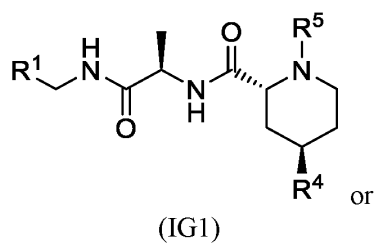
58. The compound of any one of claims 1-55, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-7 membered heterocyclyl.

59. The compound of claim 58, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4, 5, or 6 membered heterocyclyl.

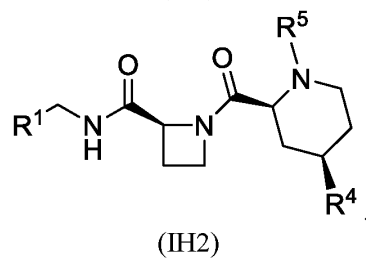
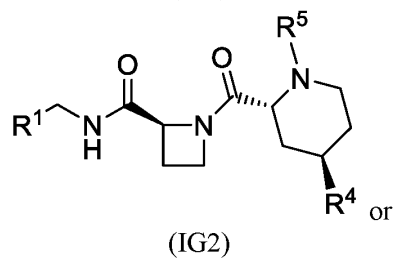
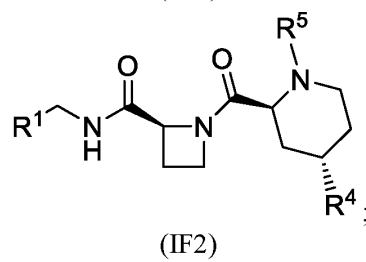
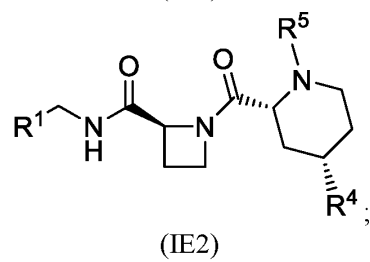
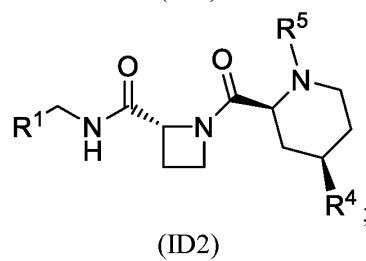
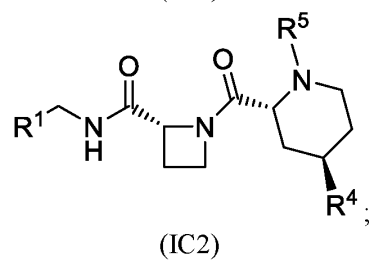
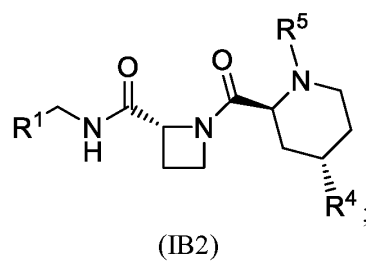
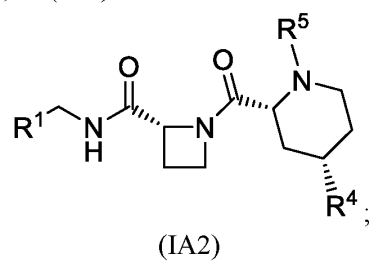
60. The compound of claim 58, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-membered heterocyclyl.

61. The compound of any one of claims 1-57, wherein the compound has one of the following Structures (IA1), (IB1), (IC1), (ID1), (IE1), (IF1), (IG1), or (IH1):





62. The compound of any one of claims 1-55 or 58-60, wherein the compound has one of the following Structures (IA2), (IB2), (IC2), (ID2), (IE2), (IF2), (IG2), or (IH2):



63. The compound of any one of claims 1-62, wherein R⁴ is a substituted or unsubstituted aryl.

64. The compound of any one of claims 1-63, wherein R⁴ is a substituted or unsubstituted C₆-C₁₀ aryl.

65. The compound of any one of claims 1-64, wherein R⁴ is a substituted or unsubstituted phenyl.

66. The compound of any one of claims 1-65, wherein R⁴ is an unsubstituted phenyl.

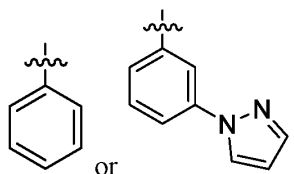
67. The compound of any one of claims 1-65, wherein R⁴ is phenyl substituted with one or more of R^{4a}, R^{4b}, R^{4c}, R^{4d}, or R^{4e} wherein R^{4a}, R^{4b}, R^{4c}, R^{4d}, and R^{4e} are each independently selected from the group consisting of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo, C₁₋₆ haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR¹⁷, SR¹⁷, C(O)R¹⁷, C(O)NR¹⁷R¹⁸, C(O)OR¹⁷, OC(O)R¹⁷, OC(O)OR¹⁷, OC(O)NR¹⁷R¹⁸, NR¹⁷R¹⁸, N(R¹⁷)C(O)R¹⁸, N(R¹⁷)C(O)NR¹⁸R¹⁹, N(R¹⁷)C(O)OR¹⁸, C(=NR¹⁷)NR¹⁸R¹⁹, C(=NOR¹⁷)NR¹⁸R¹⁹, C(=NOC(O)R¹⁷)NR¹⁸R¹⁹, C(=NR¹⁷)N(R¹⁸)C(O)OR¹⁹, N(R¹⁷)C(=NR¹⁸)NR¹⁹R²⁰, S(O)R¹⁷, S(O)NR¹⁷R¹⁸, S(O)₂R¹⁷, N(R¹⁷)S(O)₂R¹⁸, S(O)₂NR¹⁷R¹⁸, oxo, substituted or unsubstituted C₆₋₁₀ aryl, substituted or unsubstituted C₆₋₁₀ arylalkyl, substituted or unsubstituted C₆₋₁₀ aryloxy, substituted or unsubstituted C₆₋₁₀ arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C₃₋₁₀ cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R¹⁷, R¹⁸, R¹⁹, and R²⁰, are, at each occurrence, independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

68. The compound of claim 67, wherein R^{4a} , R^{4b} , R^{4c} , R^{4d} , or R^{4e} is optionally substituted with one or more substituents selected from the group consisting of OR^{21} , SR^{21} , $C(O)R^{21}$, $C(O)NR^{21}R^{22}$, $C(O)OR^{21}$, $OC(O)R^{21}$, $OC(O)NR^{21}R^{22}$, $NR^{21}R^{22}$, $NR^{21}C(O)R^{22}$, $NR^{21}C(O)NR^{22}R^{23}$, $NR^{21}C(O)OR^{22}$, $C(=NR^{21})NR^{22}R^{23}$, $NR^{21}C(=NR^{22})NR^{23}R^{24}$, $S(O)R^{21}$, $S(O)NR^{21}R^{22}$, $S(O)_2R^{21}$, $NR^{21}S(O)_2R^{22}$, $S(O)_2NR^{21}R^{22}$ and oxo when R^{4a} , R^{4b} , R^{4c} , R^{4d} , or R^{4e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{21} , R^{22} , R^{23} , and R^{24} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

69. The compound of any one of claims 1-68, wherein R^4 has one of the following structures:



70. The compound of any one of claims 1-69, wherein R^{5a} is alkyl, $C(=O)OR^6$, phosphonalkyl, or $(CH_2)_nC(=O)OR^6$.

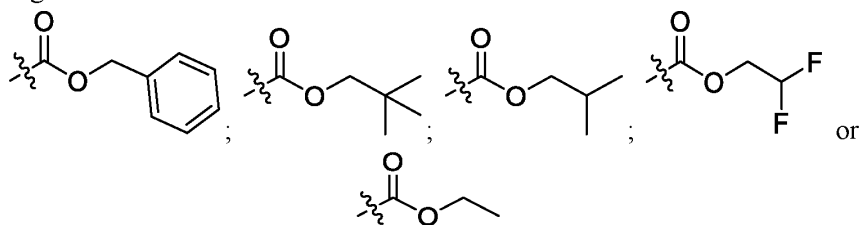
71. The compound of claim 70, wherein R^{5a} is alkyl.

72. The compound of claim 70 or 71, wherein R^{5a} is methyl.

73. The compound of claim 70 or 71, wherein R^{5a} is ethyl.

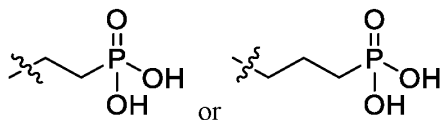
74. The compound of claim 70, wherein R^{5a} is $C(=O)OR^6$.

75. The compound of claim 70 or 74, wherein R^{5a} has one of the following structures:



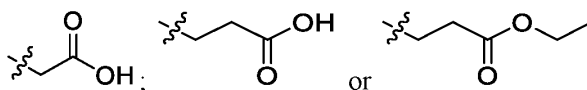
76. The compound of claim 70, wherein R^{5a} is phosphonalkyl.

77. The compound of claim 70 or 76, wherein R^{5a} has one of the following structures:



78. The compound of claim 70, wherein R^{5a} is $(CH_2)_nC(=O)OR^6$.

79. The compound of claim 70 or 78, wherein R^{5a} has one of the following structures:



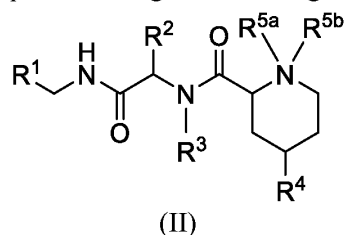
80. The compound of any one of claims 1-79, wherein R^{5b} is an electron pair.

81. The compound of any one of claims 1-79, wherein R^{5b} is alkyl.

82. The compound of claim 81, wherein R^{5b} is a C_1 - C_6 alkyl.

83. The compound of claim 82, wherein R^{5b} is methyl.

84. A compound having the following Structure (II):



or a stereoisomer, tautomer, or pharmaceutically acceptable salt thereof, wherein:

R^1 is a substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted cycloalkyl, or a substituted or unsubstituted heterocyclyl;

R^2 is hydrogen, alkyl, alkoxy, haloalkyl, haloalkoxy, or cycloalkyl;

R^3 is hydrogen, alkyl, haloalkyl, or cycloalkyl;

or R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-7 membered heterocyclyl;

R^4 is a substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted cycloalkyl, or a substituted or unsubstituted heterocyclyl;

R^{5a} is hydrogen, alkyl, haloalkyl, cycloalkyl, phosphonalkyl, $(CH_2)_nC(=O)OR^6$, $C(=O)R^6$, $C(=O)OR^6$, or $C(=O)NR^6R^7$;

R^{5b} is an electron pair or alkyl;

R^6 and R^7 are, at each occurrence, independently hydrogen, alkyl, haloalkyl, cycloalkyl, or arylalkyl;

R^8 is alkyl, haloalkyl, aminylalkyl, substituted or unsubstituted arylalkyl;

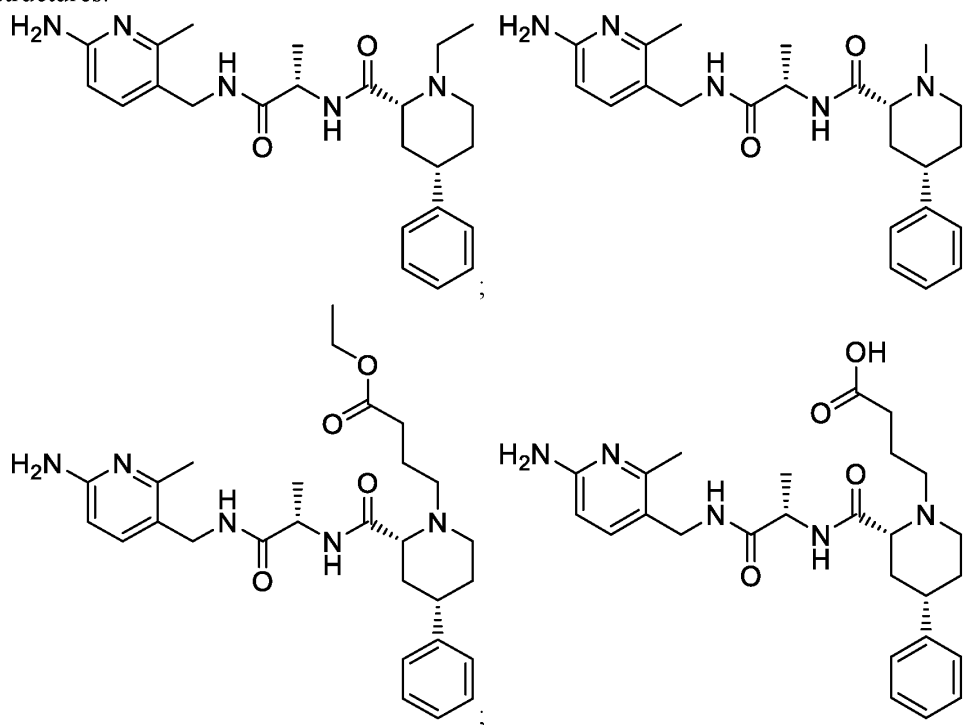
and

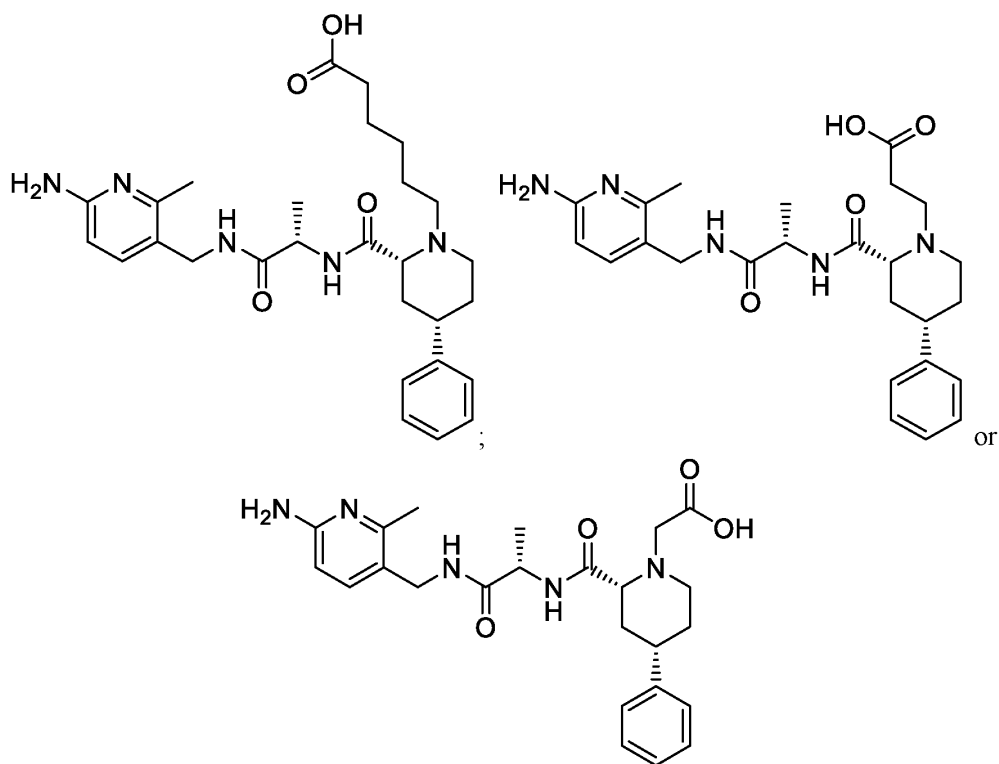
n is 1, 2, 3, 4, 5, 6, 7, or 8,

provided that

A) R^{5a} is alkyl, haloalkyl, cycloalkyl, phosphonalkyl, $(CH_2)_nC(=O)OR^6$, $C(=O)R^6$, $C(=O)OR^6$, or $C(=O)NR^6R^7$ or R^1 is substituted with one or more substituents selected from the group consisting of a substituted heteroaryl, $C(=NH)NHC(=O)OR^8$, $C(=NOC(=O)R^8)NH_2$, $C(=NOC(=O)OR^8)NH_2$, and $C(=NOH)NH_2$; and

B) the compound of Structure (I) does not have one of the following structures:





85. The compound of claim 84, wherein R^1 is a substituted or unsubstituted aryl.

86. The compound of claim 84 or 85, wherein R^1 is a substituted or unsubstituted C_6-C_{10} aryl.

87. The compound of any one of claims 84-86, wherein R^1 is a substituted or unsubstituted phenyl.

88. The compound of any one of claims 84-87, wherein R^1 is a substituted phenyl.

89. The compound of any one of claims 84-88, wherein R^1 is phenyl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of $C(=NH)NHC(=O)OR^8$, $C(=NOC(=O)R^8)NH_2$, $C(=NOC(=O)OR^8)NH_2$, $C(=NOH)NH_2$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} , $N(R^9)C(O)R^{10}$, $N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$, $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

90. The compound of claim 89, wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of halo, CN, OR^{13} , SR^{13} , $C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $C(O)OR^{13}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}R^{14}$, $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}C(O)OR^{14}$, $C(=NR^{13})NR^{14}R^{15}$, $NR^{13}C(=NR^{14})NR^{15}R^{16}$, $S(O)R^{13}$, $S(O)NR^{13}R^{14}$, $S(O)_2R^{13}$, $NR^{13}S(O)_2R^{14}$, $S(O)_2NR^{13}R^{14}$ and oxo when R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{13} , R^{14} , R^{15} , and R^{16} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,

hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

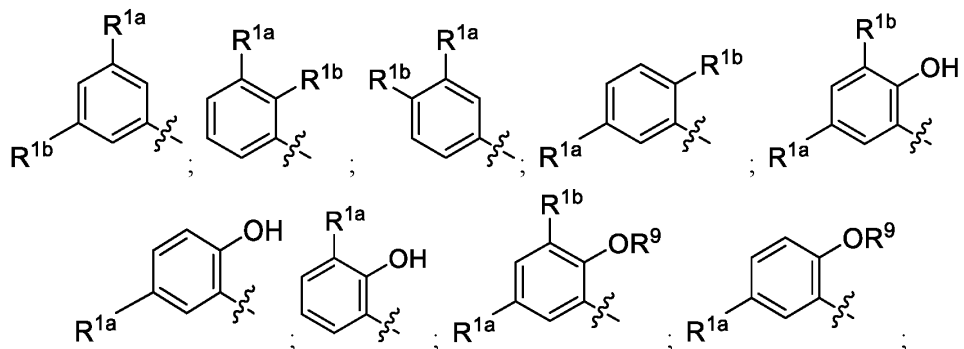
91. The compound of any one of claims 84-90, wherein R¹ is phenyl substituted with at least one substituent selected from the group consisting of OR⁹, C(=NR⁹)NR¹⁰R¹¹, C(=NOR⁹)NR¹⁰R¹¹, C(=NOC(O)R⁹)NR¹⁰R¹¹, and C(=NR⁹)NR¹⁰C(O)OR¹¹.

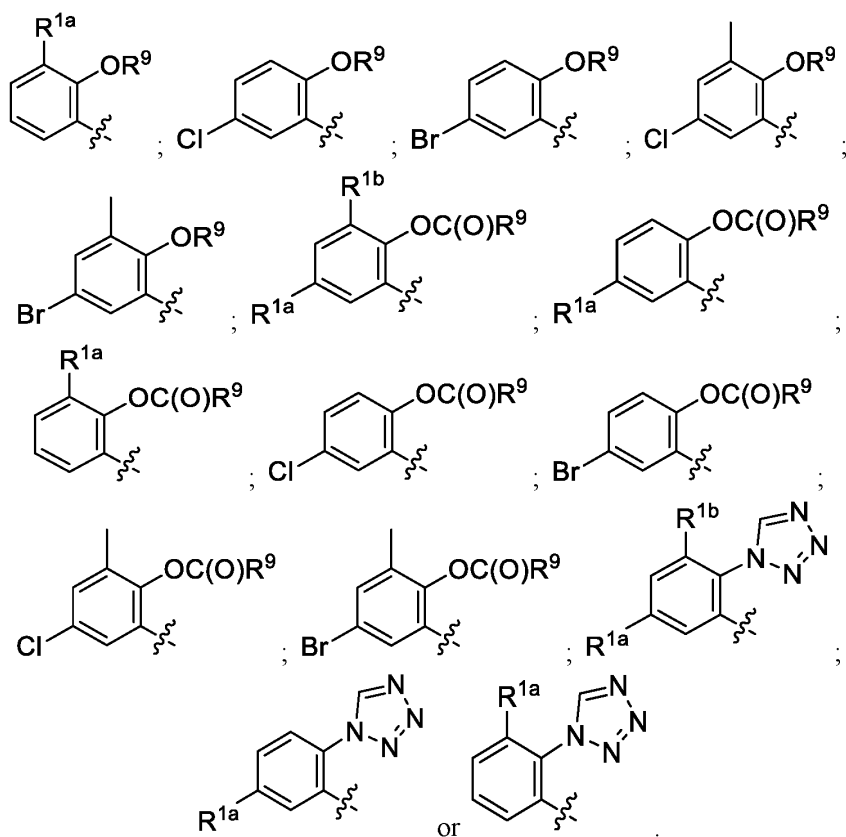
92. The compound of any one of claims 84-91, wherein R¹ is substituted with at least one substituent selected from the group consisting of C(=NR⁹)NR¹⁰R¹¹, C(=NOR⁹)NR¹⁰R¹¹, C(=NOC(O)R⁹)NR¹⁰R¹¹, and C(=NR⁹)NR¹⁰C(O)OR¹¹.

93. The compound of claim 92, wherein R¹ is substituted with at least one C(=NR⁹)NR¹⁰R¹¹.

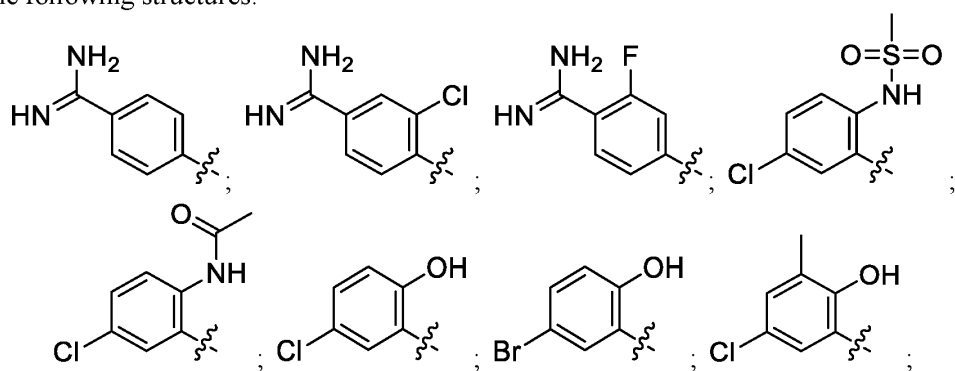
94. The compound of claim 92 or 93, wherein R¹ is substituted with at least one -C(=NH)NH₂.

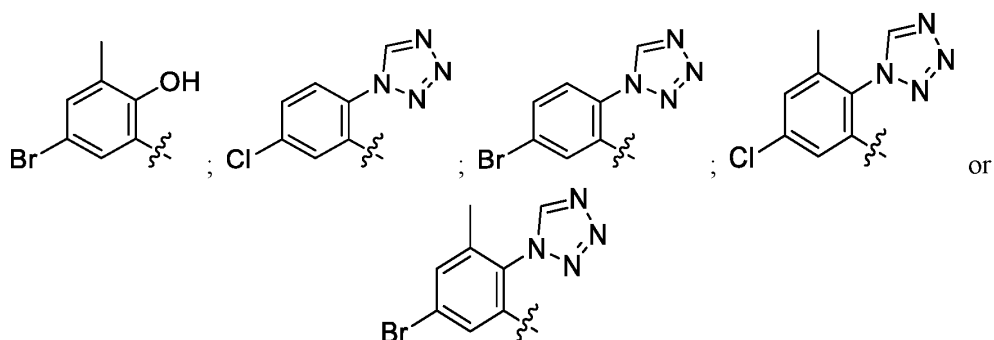
95. The compound of any one of claims 90-94, wherein R¹ has one of the following structures:



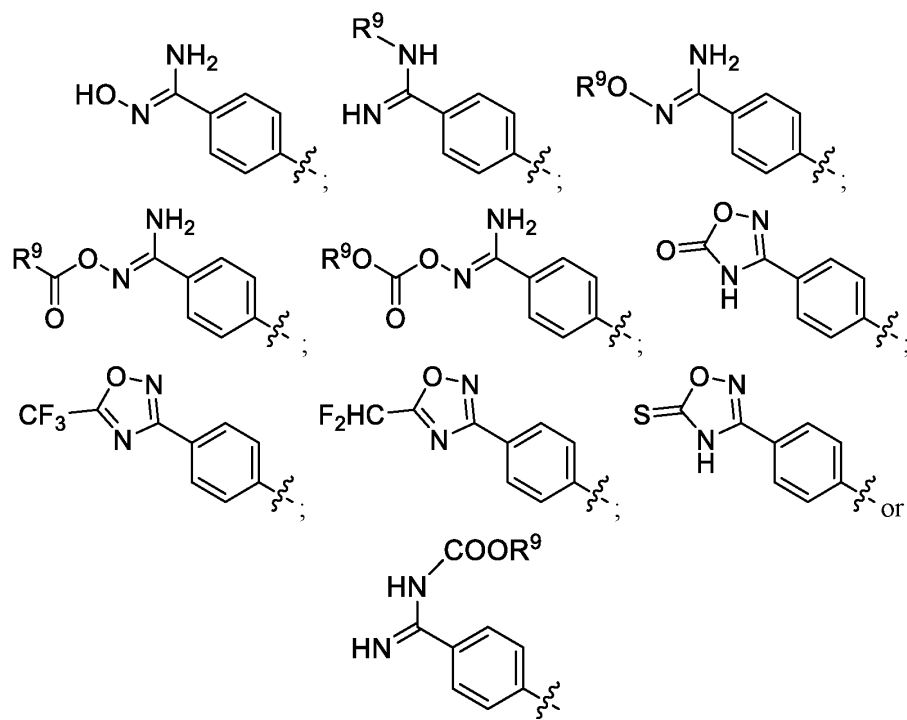


96. The compound of any one of claims 90-95, wherein R¹ has one of the following structures:





97. The compound of claim 90, wherein R¹ has one of the following structures:



98. The compound of claim 97, wherein R⁹ is C₁₋₆ alkyl or C₁₋₆ haloalkyl.

99. The compound of claim 98, wherein R⁹ is methyl.

100. The compound of claim 98, wherein R⁹ is trifluoromethyl.
101. The compound of any one of claims 84-87, wherein R¹ is an unsubstituted phenyl.
102. The compound of claim 84, wherein R¹ is a substituted or unsubstituted heteroaryl.
103. The compound of claim 84 or 102, wherein R¹ is a substituted or unsubstituted 5-10 membered heteroaryl.
104. The compound of claim 84 or 102-103, wherein R¹ is a substituted or unsubstituted pyridinyl, pyrrolopyridinyl, thiophenyl, or benzoimidazolyl.
105. The compound of any one of claims 84 or 102-104, wherein R¹ is a substituted or unsubstituted pyridin-3-yl, 1H-pyrrolo[2,3-b]pyridine-5-yl, thiophen-2-yl, or 1H-benzo[d]imidazol-6-yl.
106. The compound of any one of claims 84 or 102-103, wherein R¹ is pyridin-3-yl, 1H-pyrrolo[2,3-b]pyridine-5-yl, thiophen-2-yl, or 1H-benzo[d]imidazol-6-yl substituted with one or more of R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R^{1e} wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R^{1e} are each independently selected from the group consisting of C(=NH)NHC(=O)OR⁸, C(=NOC(=O)R⁸)NH₂, C(=NOC(=O)OR⁸)NH₂, C(=NOH)NH₂, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo, C₁₋₆ haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR⁹, SR⁹, C(O)R⁹, C(O)NR⁹R¹⁰, C(O)OR⁹, OC(O)R⁹, OC(O)OR⁹, OC(O)NR⁹R¹⁰, NR⁹R¹⁰, N(R⁹)C(O)R¹⁰, N(R⁹)C(O)NR¹⁰R¹¹, N(R⁹)C(O)OR¹⁰, C(=NR⁹)NR¹⁰R¹¹, C(=NOR⁹)NR¹⁰R¹¹, C(=NOC(O)R⁹)NR¹⁰R¹¹, C(=NR⁹)N(R¹⁰)C(O)OR¹¹, N(R⁹)C(=NR¹⁰)NR¹¹R¹², S(O)R⁹, S(O)NR⁹R¹⁰, S(O)₂R⁹, N(R⁹)S(O)₂R¹⁰, S(O)₂NR⁹R¹⁰, oxo, substituted or unsubstituted C₆₋₁₀ aryl, substituted or unsubstituted C₆₋₁₀ arylalkyl, substituted or unsubstituted C₆₋₁₀ aryloxy, substituted or

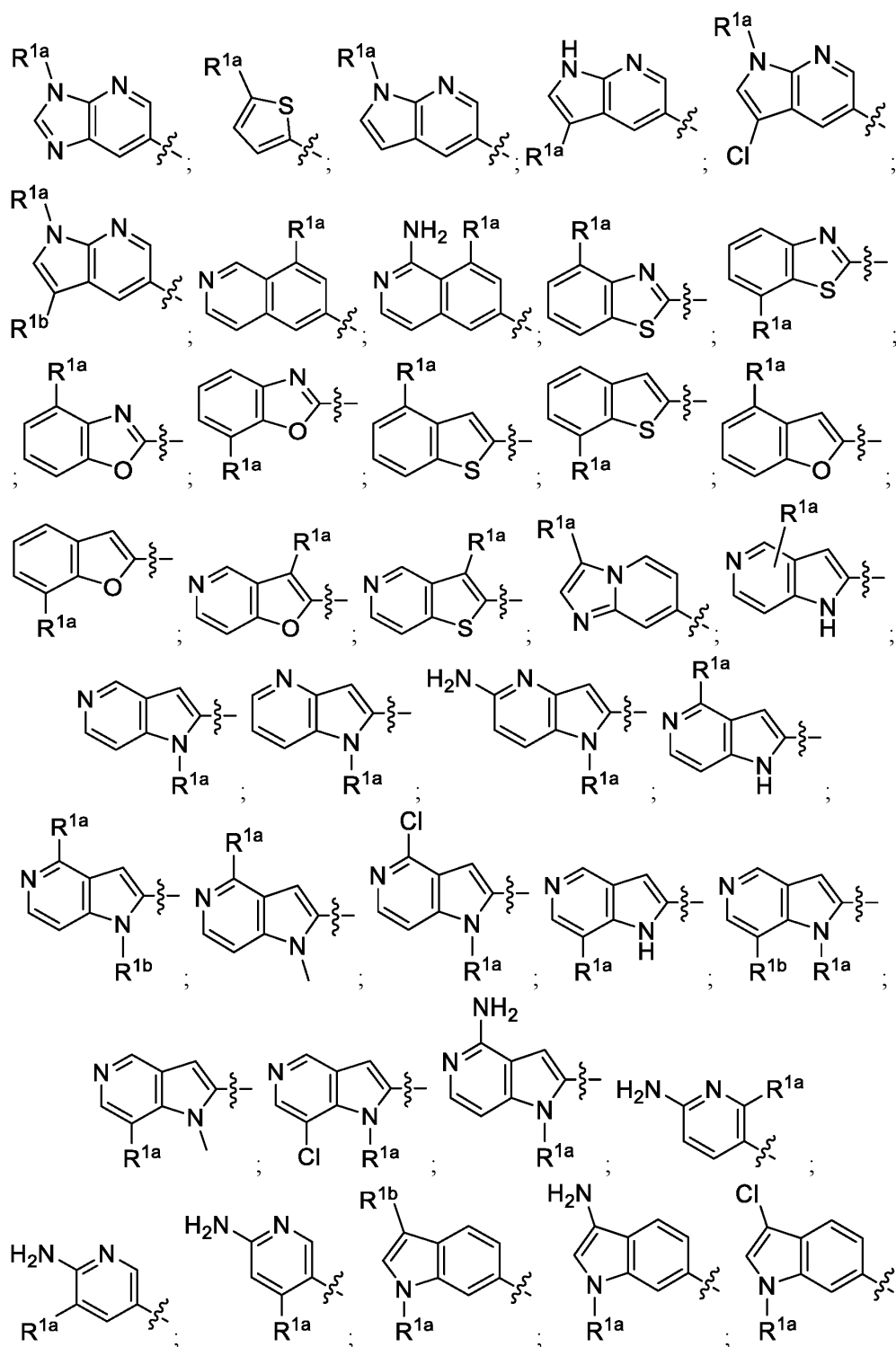
unsubstituted C₆₋₁₀ arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C₃₋₁₀ cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

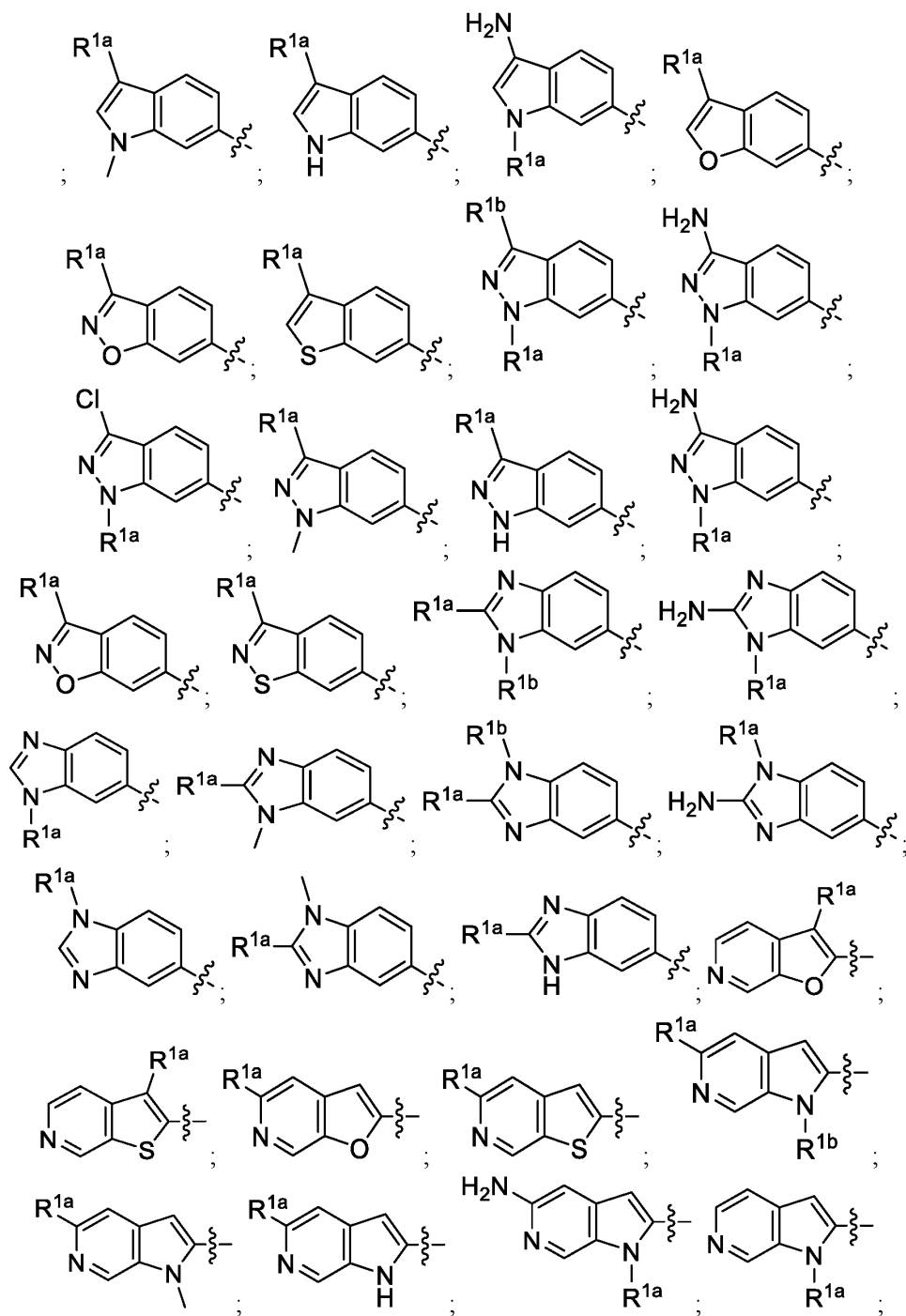
wherein R⁹, R¹⁰, R¹¹, and R¹², are, at each occurrence, independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

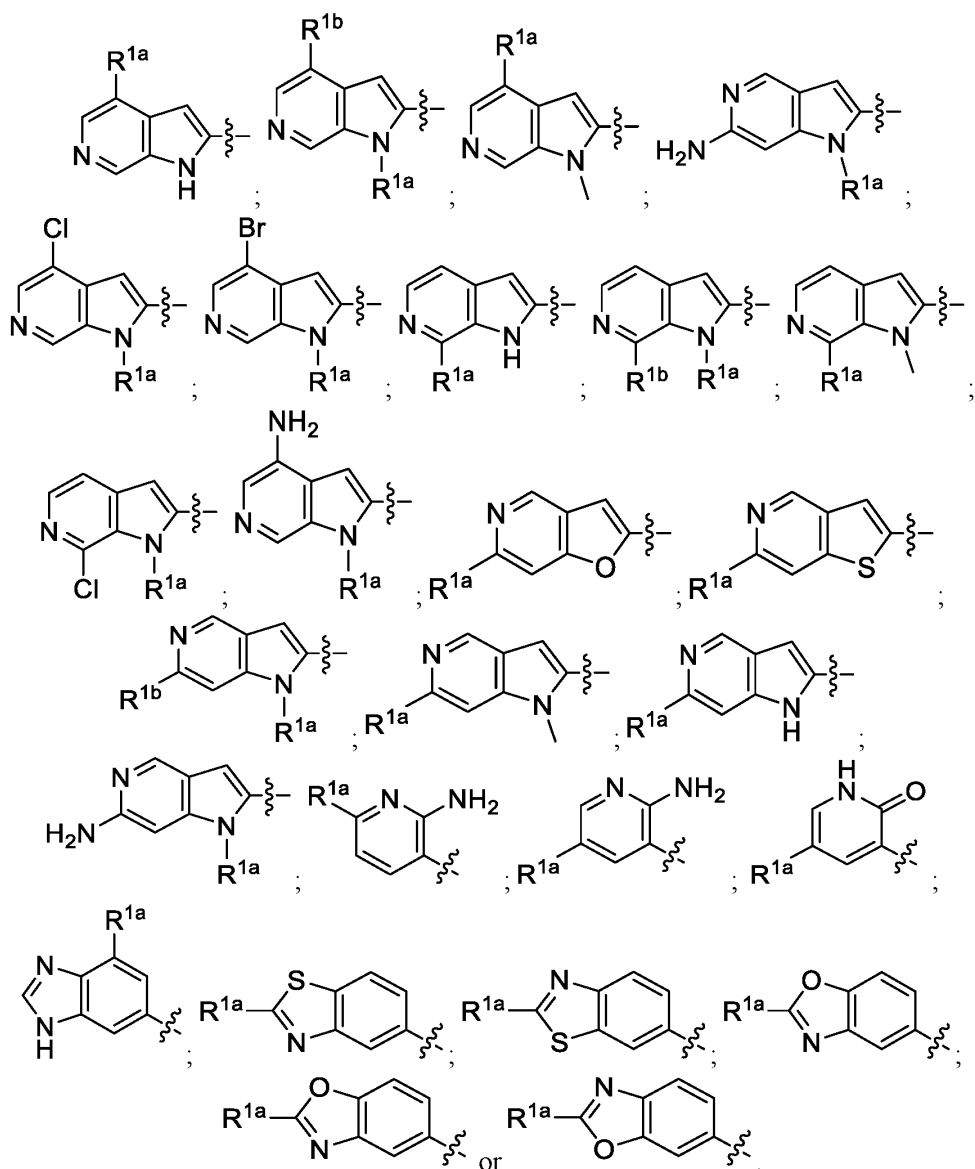
107. The compound of claim 106, wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of halo, CN, OR¹³, SR¹³, C(O)R¹³, C(O)NR¹³R¹⁴, C(O)OR¹³, OC(O)R¹³, OC(O)NR¹³R¹⁴, NR¹³R¹⁴, NR¹³C(O)R¹⁴, NR¹³C(O)NR¹⁴R¹⁵, NR¹³C(O)OR¹⁴, C(=NR¹³)NR¹⁴R¹⁵, NR¹³C(=NR¹⁴)NR¹⁵R¹⁶, S(O)R¹³, S(O)NR¹³R¹⁴, S(O)₂R¹³, NR¹³S(O)₂R¹⁴, S(O)₂NR¹³R¹⁴ and oxo when R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is a substituted C₆₋₁₀ aryl, a substituted C₆₋₁₀ arylalkyl, a substituted C₆₋₁₀ aryloxy, a substituted C₆₋₁₀ arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C₃₋₁₀ cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R¹³, R¹⁴, R¹⁵, and R¹⁶ are, at each occurrence, independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

108. The compound of any one of claims 84 or 102-106, wherein R¹ has one of the following structures:







109. The compound of claim 108, wherein R^{1a} or R^{1b} is independently C_{1-6} alkyl, amino, or halo.

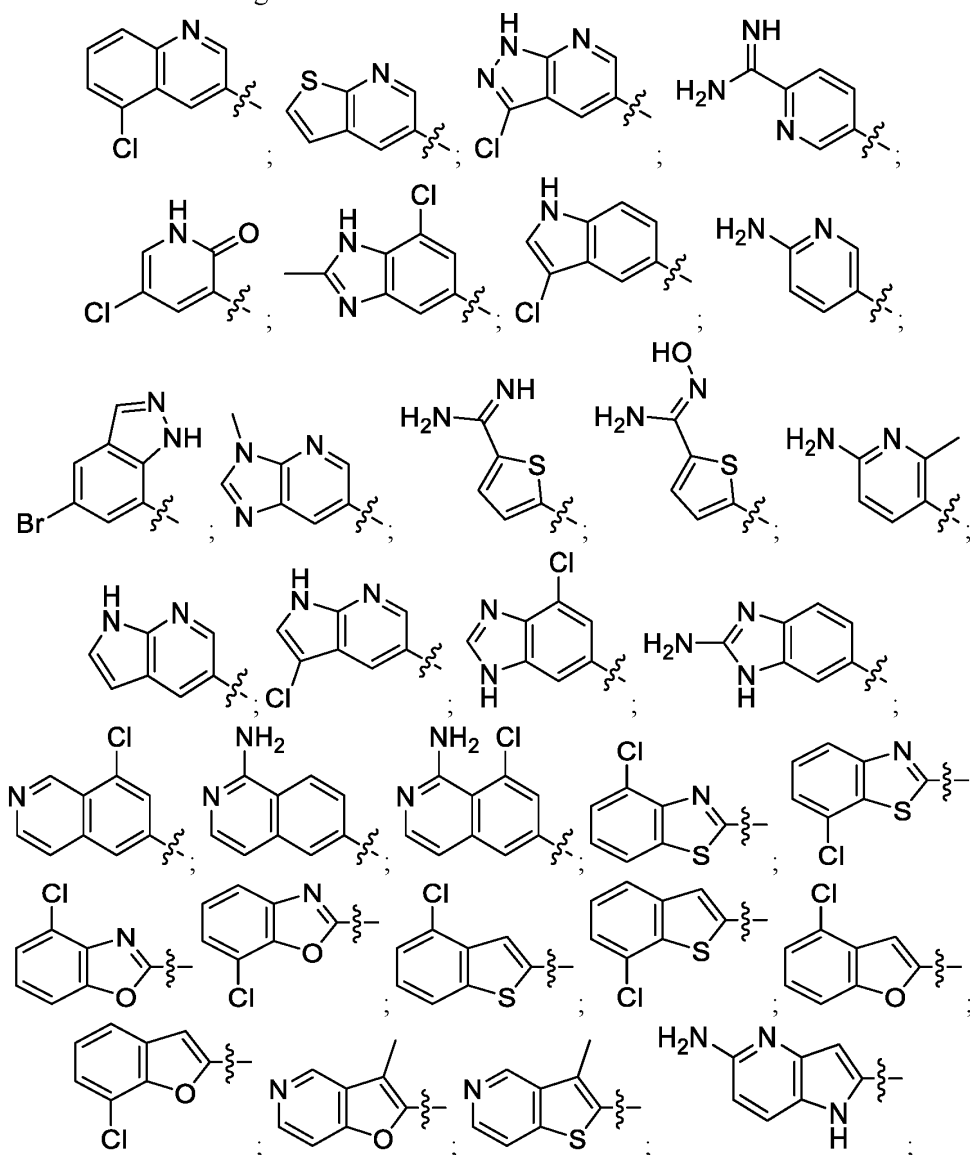
110. The compound of claim 109, wherein R^{1a} or R^{1b} is methyl or ethyl.

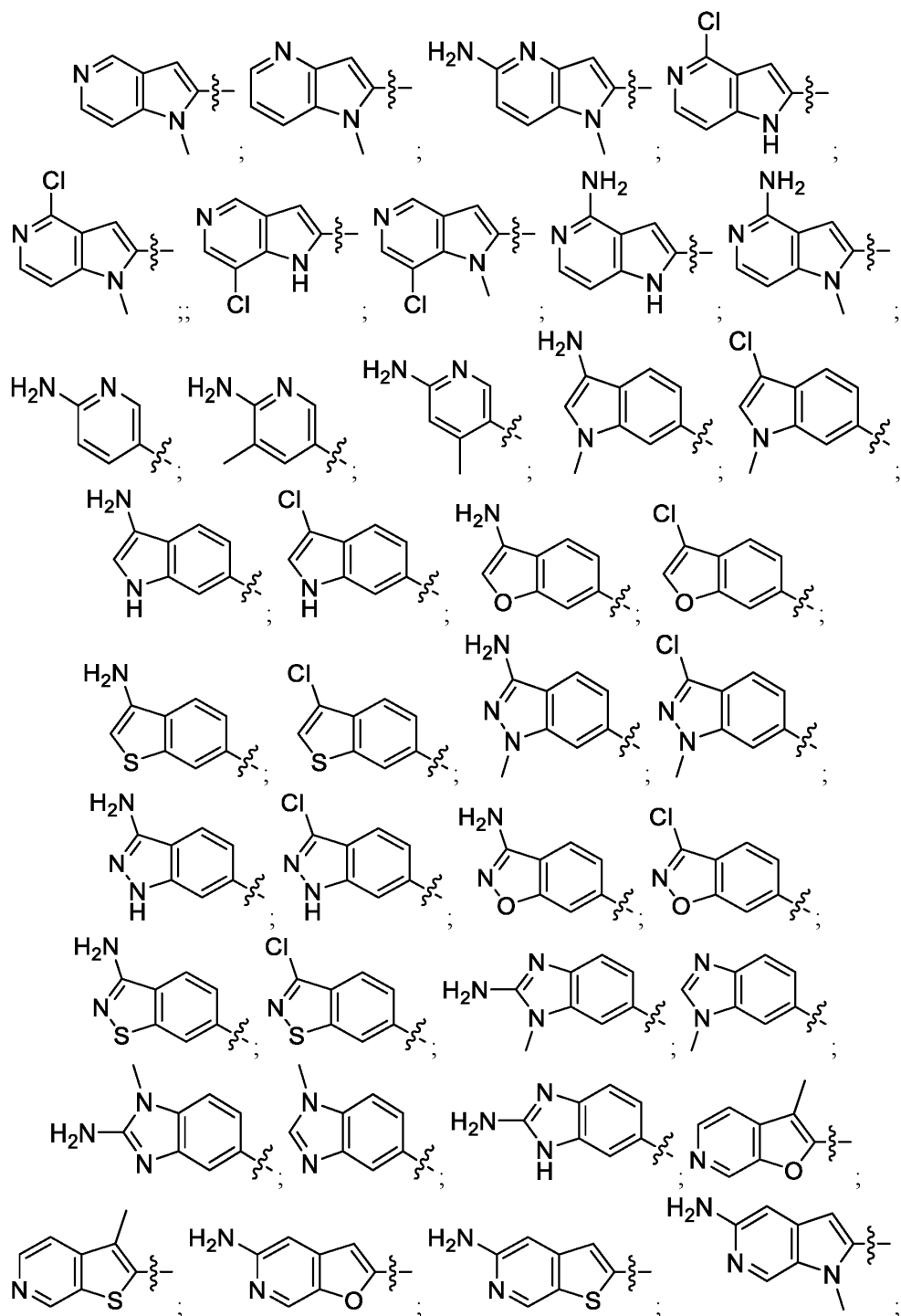
111. The compound of claim 109, wherein R^{1a} or R^{1b} is F, Cl, or Br.

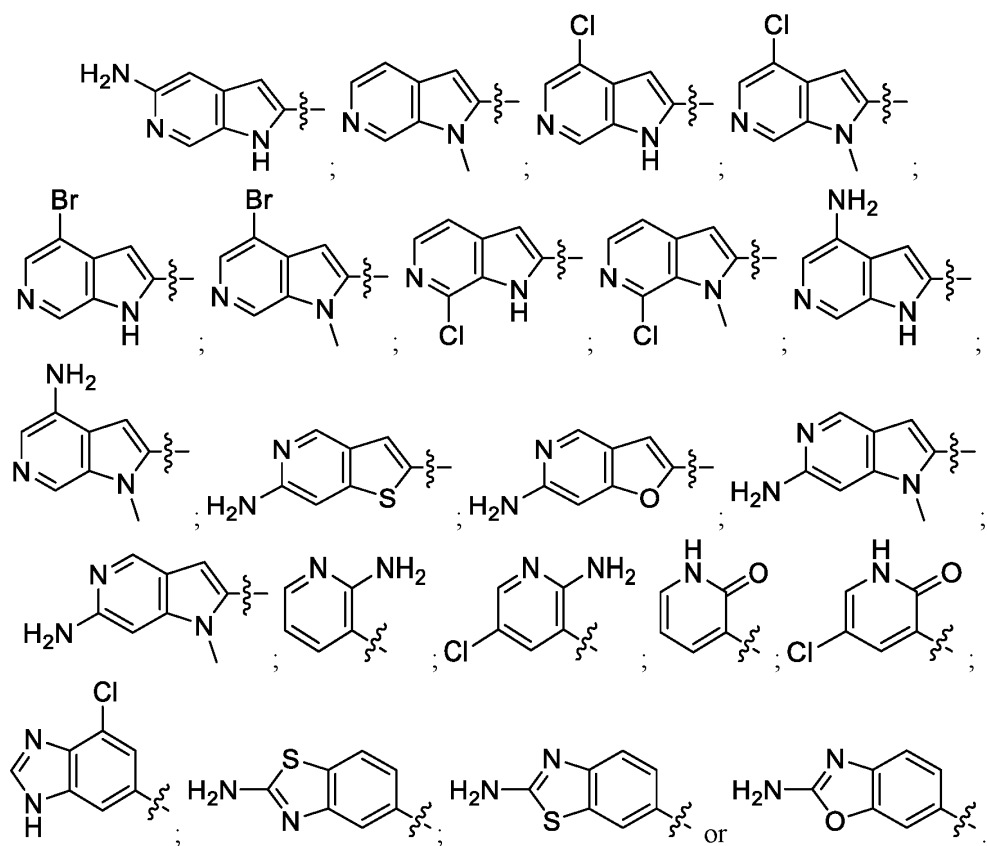
112. The compound of claim 108, wherein each R^{1a} or R^{1b} attached to nitrogen is C₁₋₆ alkyl.

113. The compound of claim 112, wherein R^{1a} or R^{1b} is methyl or ethyl.

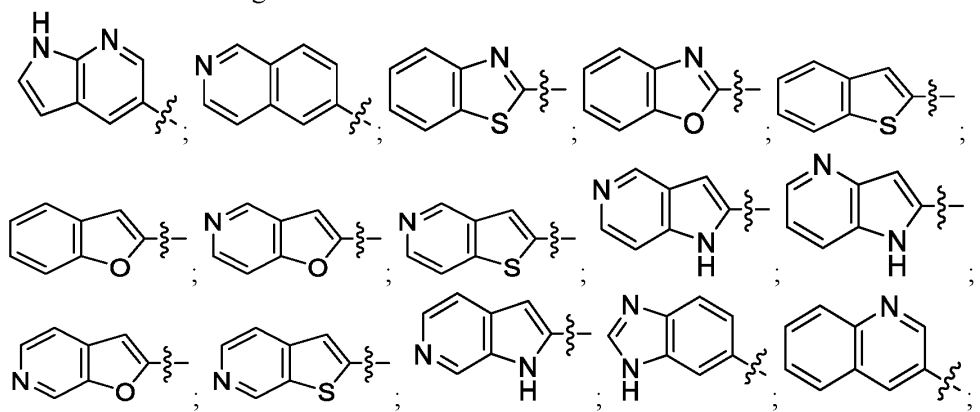
114. The compound of any one of claims 84 or 102-103, wherein R¹ has one of the following structures:

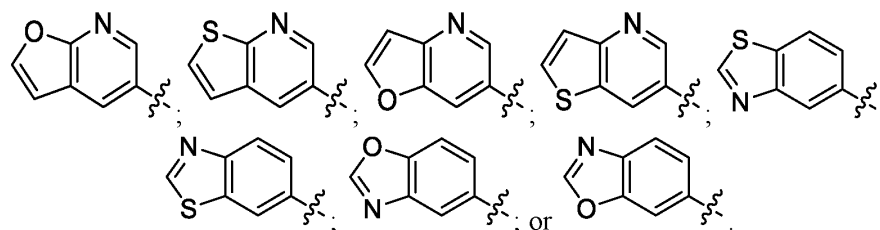






115. The compound of any one of claims 84 or 102-103, wherein R¹ has one of the following structures:





116. The compound of claim 84, wherein R^1 is a substituted or unsubstituted cycloalkyl.

117. The compound of claim 84 or 116, wherein R^1 is a substituted or unsubstituted C_3 - C_6 cycloalkyl.

118. The compound of any one of claims 84 or 116-117, wherein R^1 is a substituted C_3 - C_6 cycloalkyl.

119. The compound of claim 118, wherein R^1 is a C_3 - C_6 cycloalkyl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of $C(=NH)NHC(=O)OR^8$, $C(=NOC(=O)R^8)NH_2$, $C(=NOC(=O)OR^8)NH_2$, $C(=NOH)NH_2$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} , $N(R^9)C(O)R^{10}$, $N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$, $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,

hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

120. The compound of claim 119, wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of halo, CN, OR¹³, SR¹³, C(O)R¹³, C(O)NR¹³R¹⁴, C(O)OR¹³, OC(O)R¹³, OC(O)NR¹³R¹⁴, NR¹³R¹⁴, NR¹³C(O)R¹⁴, NR¹³C(O)NR¹⁴R¹⁵, NR¹³C(O)OR¹⁴, C(=NR¹³)NR¹⁴R¹⁵, NR¹³C(=NR¹⁴)NR¹⁵R¹⁶, S(O)R¹³, S(O)NR¹³R¹⁴, S(O)₂R¹³, NR¹³S(O)₂R¹⁴, S(O)₂NR¹³R¹⁴ and oxo when R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is a substituted C₆₋₁₀ aryl, a substituted C₆₋₁₀ arylalkyl, a substituted C₆₋₁₀ aryloxy, a substituted C₆₋₁₀ arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C₃₋₁₀ cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R¹³, R¹⁴, R¹⁵, and R¹⁶ are, at each occurrence, independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

121. The compound of claim 117, wherein R¹ is an unsubstituted a C₃₋₆ cycloalkyl.

122. The compound of claim 84, wherein R¹ is a substituted or unsubstituted heterocyclyl.

123. The compound of claim 84 or 122, wherein R¹ is a substituted or unsubstituted 4-10 membered heterocyclyl.

124. The compound of any one of claims 84 or 122-123, wherein R¹ is a substituted 4-10 membered heterocyclyl.

125. The compound of claim 124, wherein R^1 is a 4-10 membered heterocyclyl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of $C(=NH)NHC(=O)OR^8$, $C(=NOC(=O)R^8)NH_2$, $C(=NOC(=O)OR^8)NH_2$, $C(=NOH)NH_2$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} , $N(R^9)C(O)R^{10}$, $N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$, $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

126. The compound of claim 125, wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of OR^{13} , SR^{13} , $C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $C(O)OR^{13}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}R^{14}$, $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}C(O)OR^{14}$, $C(=NR^{13})NR^{14}R^{15}$, $NR^{13}C(=NR^{14})NR^{15}R^{16}$, $S(O)R^{13}$, $S(O)NR^{13}R^{14}$, $S(O)_2R^{13}$, $NR^{13}S(O)_2R^{14}$, $S(O)_2NR^{13}R^{14}$ and oxo when R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

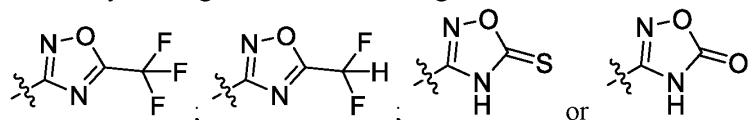
wherein R^{13} , R^{14} , R^{15} , and R^{16} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,

hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

127. The compound of claim 122, wherein R¹ is an unsubstituted 4-10 membered heterocyclyl.

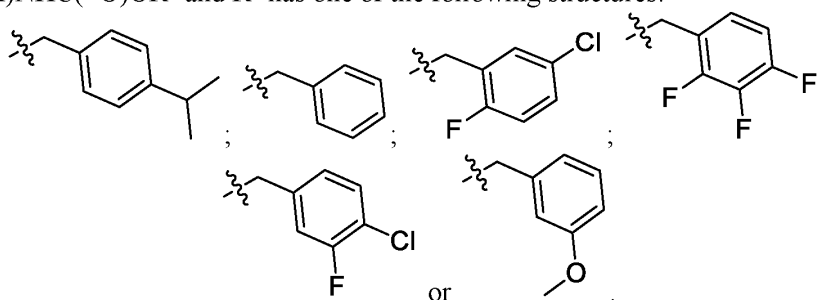
128. The compound of any one of claims 84-88, wherein R¹ is substituted with one or more substituents selected from the group consisting of a substituted heteroaryl, C(=NH)NHC(=O)OR⁸, C(=NOC(=O)R⁸)NH₂, C(=NOC(=O)OR⁸)NH₂, and C(=NOH)NH₂.

129. The compound of claim 128, wherein R¹ is substituted with a substituted heteroaryl having one of the following structures:



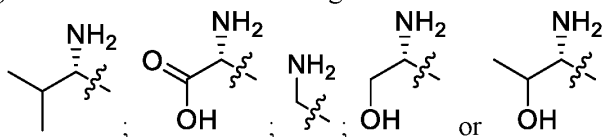
130. The compound of claim 128, wherein R¹ is substituted with C(=NH)NHC(=O)OR⁸ and R⁸ is a substituted or unsubstituted arylalkyl.

131. The compound of claim 128 or 130, wherein R¹ is substituted with C(=NH)NHC(=O)OR⁸ and R⁸ has one of the following structures:



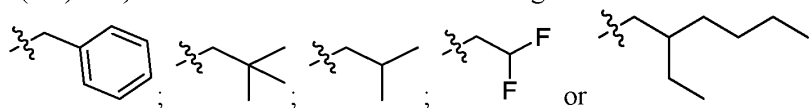
132. The compound of claim 128, wherein R^1 is substituted with $C(=NOC(=O)R^8)NH_2$ and R^8 is an aminylalkyl.

133. The compound of claim 128 or 132, wherein R^1 is substituted with $C(=NOC(=O)R^8)NH_2$ and R^8 has the following structure:



134. The compound of claim 128, wherein R^1 is substituted with $C(=NOC(=O)OR^8)NH_2$ and R^8 is an alkyl, a haloalkyl, or a substituted or unsubstituted arylalkyl.

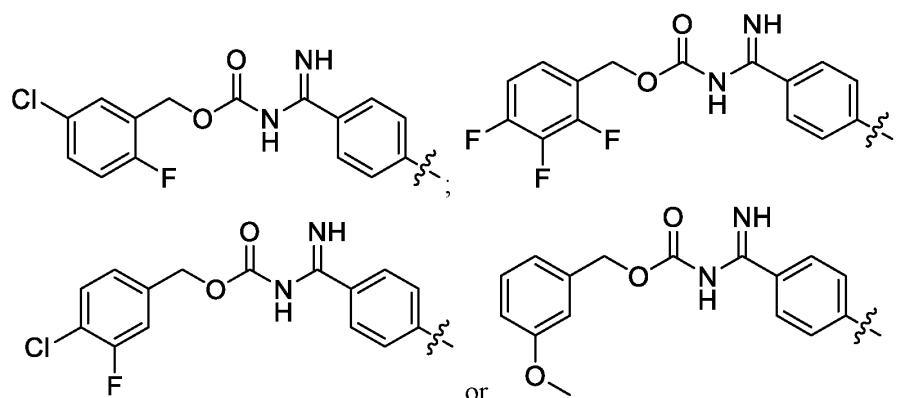
135. The compound of claim 128 or 134, wherein R^1 is substituted with $C(=NOC(=O)OR^8)NH_2$ and R^8 has one of the following structures:



136. The compound of claim 128, wherein R^1 is substituted with $C(=NOH)NH_2$.

137. The compound of any one of claims 128-136, wherein R^1 has one of the following structures:





138. The compound of any one of claims 84-137, wherein R^2 is hydrogen or C_1 - C_6 alkyl.

139. The compound of any one of claims 84-138, wherein R^2 is C_1 - C_6 alkyl.

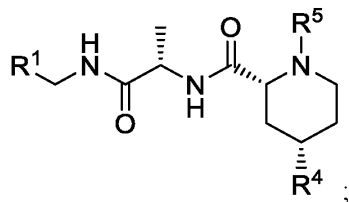
140. The compound of any one of claims 84-139, wherein R^2 is $-CH_3$.

141. The compound of any one of claims 84-138, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-7 membered heterocyclyl.

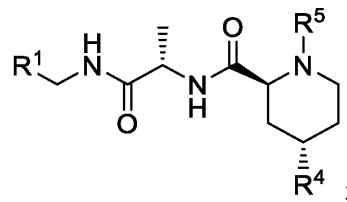
142. The compound of claim 141, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4, 5, or 6 membered heterocyclyl.

143. The compound of claim 141, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-membered heterocyclyl.

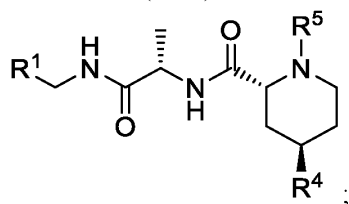
144. The compound of any one of claims 84-140, wherein the compound has one of the following Structures (IIA1), (IIB1), (IIC1), (IID1), (IIE1), (IIF1), (IIG1), or (IIH1):



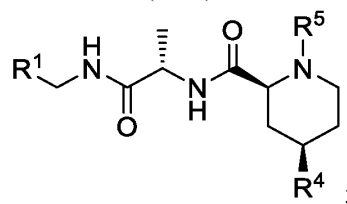
(IIA1)



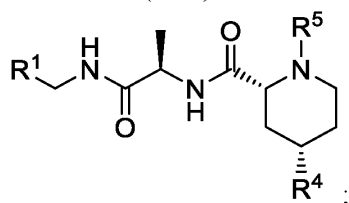
(IIB1)



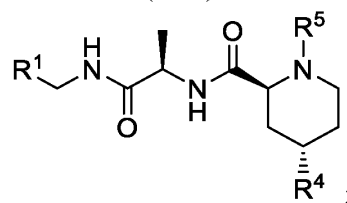
(IIC1)



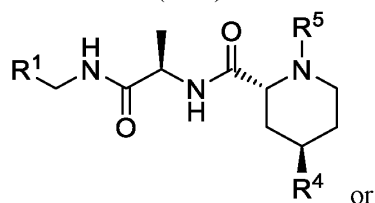
(IID1)



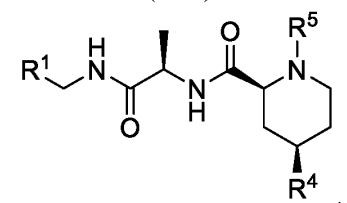
(IIE1)



(IIF1)

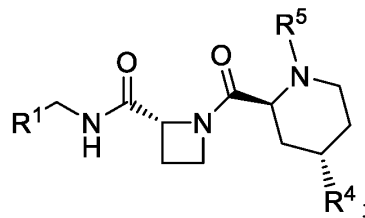
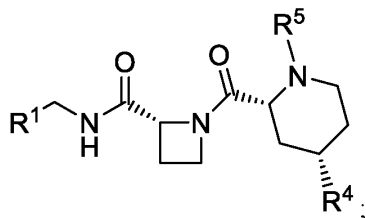


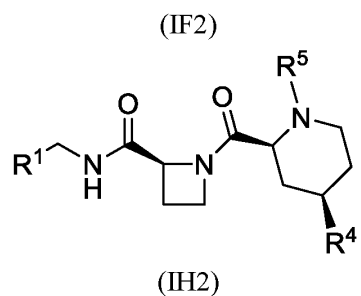
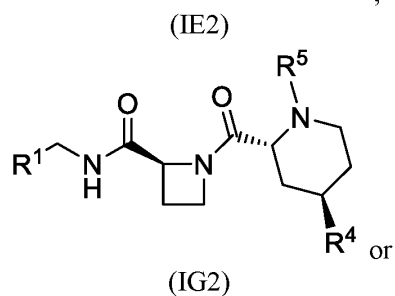
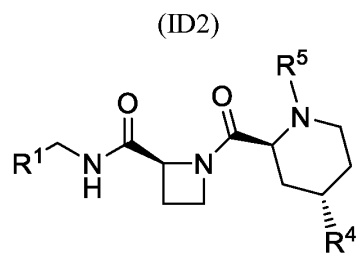
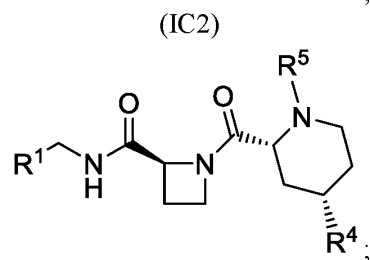
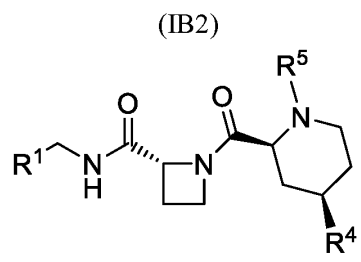
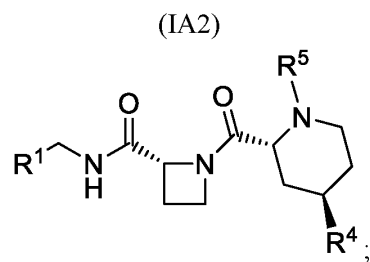
(IIG1)



(IIH1)

145. The compound of any one of claims 84-138 or 141-143, wherein the compound has one of the following Structures (IIA2), (IIB2), (IIC2), (IID2), (IIE2), (IIF2), (IIG2), or (IIH2):





146. The compound of any one of claims 84-145, wherein R^4 is a substituted or unsubstituted aryl.

147. The compound of any one of claims 84-146, wherein R^4 is a substituted or unsubstituted C_6 - C_{10} aryl.

148. The compound of any one of claims 84-147, wherein R^4 is a substituted or unsubstituted phenyl.

149. The compound of any one of claims 84-148, wherein R^4 is an unsubstituted phenyl.

150. The compound of any one of claims 84-148, wherein R^4 is phenyl substituted with one or more of R^{4a} , R^{4b} , R^{4c} , R^{4d} , or R^{4e} wherein R^{4a} , R^{4b} , R^{4c} , R^{4d} , and R^{4e} are each independently selected from the group consisting of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^{17} , SR^{17} , $C(O)R^{17}$, $C(O)NR^{17}R^{18}$, $C(O)OR^{17}$, $OC(O)R^{17}$, $OC(O)OR^{17}$, $OC(O)NR^{17}R^{18}$, $NR^{17}R^{18}$, $N(R^{17})C(O)R^{18}$, $N(R^{17})C(O)NR^{18}R^{19}$, $N(R^{17})C(O)OR^{18}$, $C(=NR^{17})NR^{18}R^{19}$, $C(=NOR^{17})NR^{18}R^{19}$, $C(=NOC(O)R^{17})NR^{18}R^{19}$, $C(=NR^{17})N(R^{18})C(O)OR^{19}$, $N(R^{17})C(=NR^{18})NR^{19}R^{20}$, $S(O)R^{17}$, $S(O)NR^{17}R^{18}$, $S(O)_2R^{17}$, $N(R^{17})S(O)_2R^{18}$, $S(O)_2NR^{17}R^{18}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

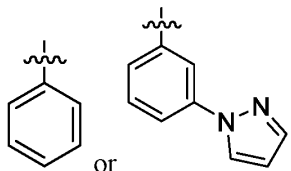
wherein R^{17} , R^{18} , R^{19} , and R^{20} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

151. The compound of claim 150, wherein R^{4a} , R^{4b} , R^{4c} , R^{4d} , or R^{4e} is optionally substituted with one or more substituents selected from the group consisting of OR^{21} , SR^{21} , $C(O)R^{21}$, $C(O)NR^{21}R^{22}$, $C(O)OR^{21}$, $OC(O)R^{21}$, $OC(O)NR^{21}R^{22}$, $NR^{21}R^{22}$, $NR^{21}C(O)R^{22}$, $NR^{21}C(O)NR^{22}R^{23}$, $NR^{21}C(O)OR^{22}$, $C(=NR^{21})NR^{22}R^{23}$, $NR^{21}C(=NR^{22})NR^{23}R^{24}$, $S(O)R^{21}$, $S(O)NR^{21}R^{22}$, $S(O)_2R^{21}$, $NR^{21}S(O)_2R^{22}$, $S(O)_2NR^{21}R^{22}$ and oxo when R^{4a} , R^{4b} , R^{4c} , R^{4d} , or R^{4e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{21} , R^{22} , R^{23} , and R^{24} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl,

hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

152. The compound of any one of claims 84-151, wherein R⁴ has one of the following structures:



153. The compound of any one of claims 84-152, wherein R^{5a} is alkyl, C(=O)OR⁶, phosphonalkyl, or (CH₂)_nC(=O)OR⁶.

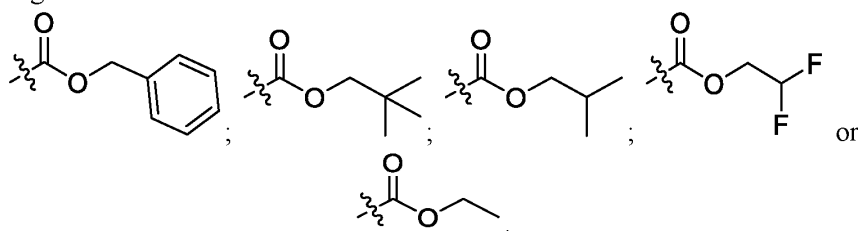
154. The compound of claim 153, wherein R^{5a} is alkyl.

155. The compound of claim 153 or 154, wherein R^{5a} is methyl.

156. The compound of claim 153 or 154, wherein R^{5a} is ethyl.

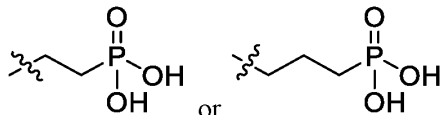
157. The compound of claim 153, wherein R^{5a} is C(=O)OR⁶.

158. The compound of claim 153 or 157, wherein R^{5a} has one of the following structures:



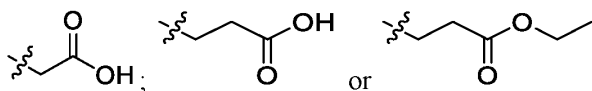
159. The compound of claim 153, wherein R^{5a} is phosphonalkyl.

160. The compound of claim 153 or 159, wherein R^{5a} has one of the following structures:



161. The compound of claim 153, wherein R^{5a} is $(\text{CH}_2)_n\text{C(=O)OR}^6$.

162. The compound of claim 153 or 161, wherein R^{5a} has one of the following structures:



163. The compound of any one of claims 84-162, wherein R^{5b} is an electron pair.

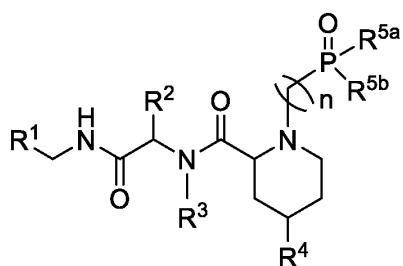
164. The compound of any one of claims 84-162, wherein R^{5b} is alkyl.

165. The compound of claim 164, wherein R^{5b} is a $\text{C}_1\text{--C}_6$ alkyl.

166. The compound of claim 165, wherein R^{5b} is methyl.

167. A compound having one of the structures in Table 1 or a stereoisomer, tautomer, or pharmaceutically acceptable salt thereof.

168. A compound having the following Structure (III):



(III)

or a stereoisomer, tautomer, or pharmaceutically acceptable salt thereof, wherein:

R^1 is a substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted cycloalkyl, or a substituted or unsubstituted heterocyclyl;

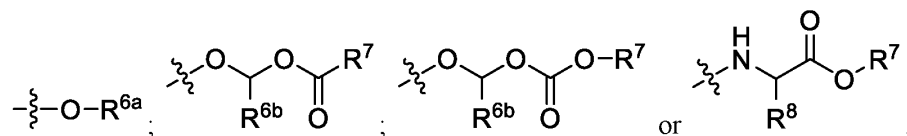
R^2 is hydrogen, alkyl, alkoxy, haloalkyl, haloalkoxy, or cycloalkyl;

R^3 is hydrogen, alkyl, haloalkyl, or cycloalkyl;

or R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-7 membered heterocyclyl;

R^4 is a substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl, substituted or unsubstituted cycloalkyl, or a substituted or unsubstituted heterocyclyl;

R^{5a} and R^{5b} at each occurrence, independently have one of the following structures:



or R^{5a} and R^{5b} , together with the phosphorus atom to which they are attached form an optionally substituted 4-7 membered heterocyclyl;

R^{6a} is alkyl, haloalkyl, aryl, heteroaryl, cycloalkyl, or heterocyclyl;

R^{6b} is, at each occurrence, independently hydrogen or alkyl;

R^7 is, at each occurrence, independently alkyl, haloalkyl, heteroaryl, cycloalkyl, heterocyclyl, arylalkyl, heteroarylalkyl, cycloalkylalkyl, or heterocyclylalkyl;

R^8 is an amino acid side chain; and

n is 1, 2, 3, 4, 5, 6, 7, or 8.

169. The compound of claim 168, wherein R^1 is a substituted or unsubstituted aryl.

170. The compound of claim 168 or 169, wherein R^1 is a substituted or unsubstituted C_6 - C_{10} aryl.

171. The compound of any one of claims 168-170, wherein R^1 is a substituted or unsubstituted phenyl.

172. The compound of any one of claims 168-171, wherein R^1 is a substituted phenyl.

173. The compound of any one of claims 168-172, wherein R^1 is phenyl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of $C(=NH)NHC(=O)OR^8$, $C(=NOC(=O)R^8)NH_2$, $C(=NOC(=O)OR^8)NH_2$, $C(=NOH)NH_2$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} , $N(R^9)C(O)R^{10}$, $N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$, $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

174. The compound of claim 173, wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of halo, CN, OR^{13} , SR^{13} , $C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $C(O)OR^{13}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}R^{14}$, $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}C(O)OR^{14}$, $C(=NR^{13})NR^{14}R^{15}$, $NR^{13}C(=NR^{14})NR^{15}R^{16}$, $S(O)R^{13}$, $S(O)NR^{13}R^{14}$, $S(O)_2R^{13}$, $NR^{13}S(O)_2R^{14}$, $S(O)_2NR^{13}R^{14}$ and oxo when R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{13} , R^{14} , R^{15} , and R^{16} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

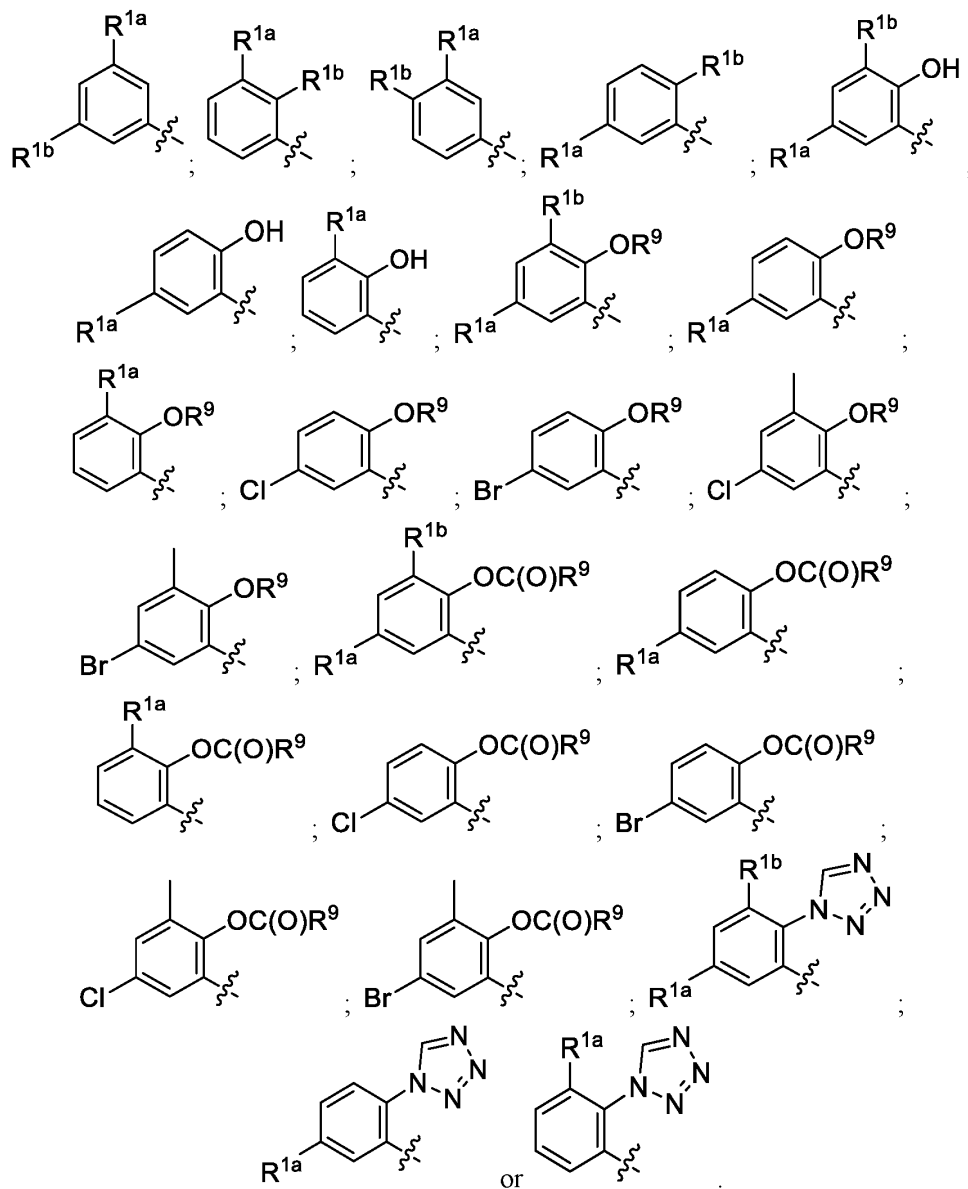
175. The compound of any one of claims 168-174, wherein R^1 is phenyl substituted with at least one substituent selected from the group consisting of OR^9 , $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, and $C(=NR^9)NR^{10}C(O)OR^{11}$.

176. The compound of any one of claims 168-175, wherein R^1 is substituted with at least one substituent selected from the group consisting of $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, and $C(=NR^9)NR^{10}C(O)OR^{11}$.

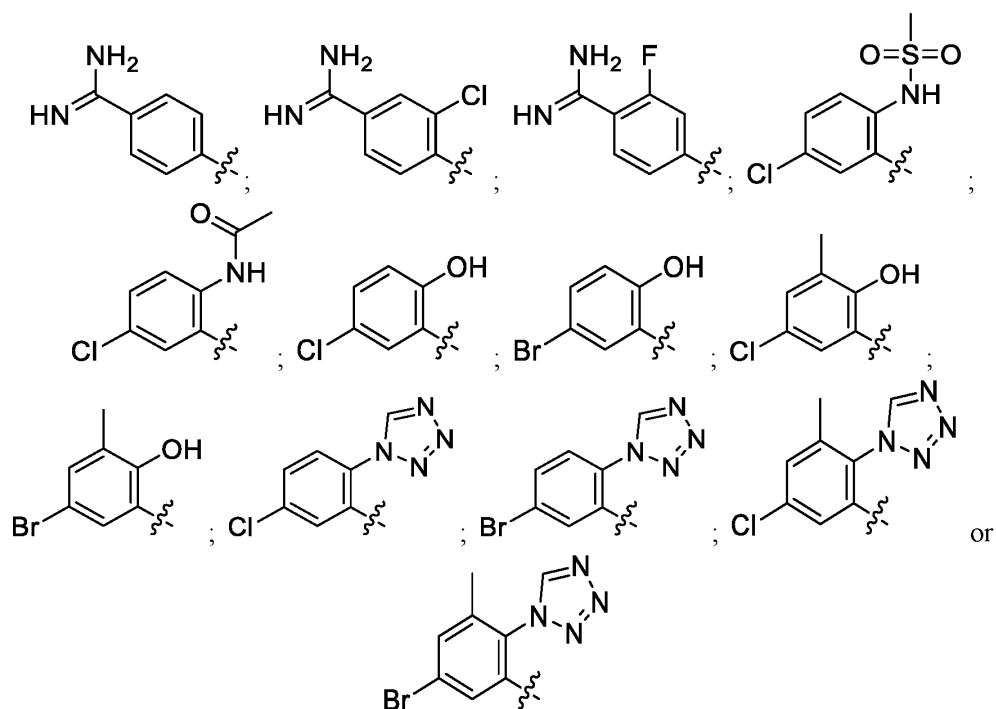
177. The compound of claim 176, wherein R^1 is substituted with at least one $C(=NR^9)NR^{10}R^{11}$.

178. The compound of claim 176 or 177, wherein R^1 is substituted with at least one $-C(=NH)NH_2$.

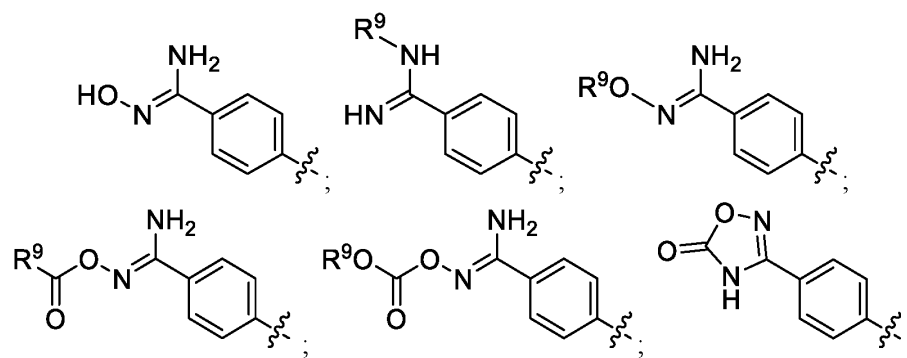
179. The compound of any one of claims 174-178, wherein R^1 has one of the following structures:

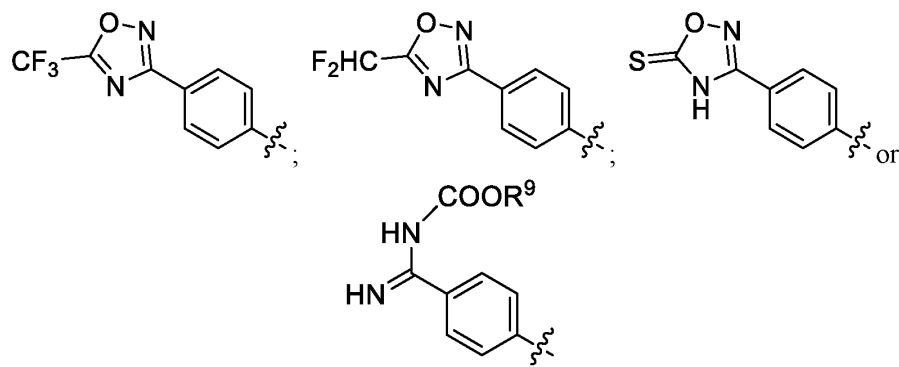


180. The compound of any one of claims 168-179, wherein R^1 has one of the following structures:



181. The compound of claim 7, wherein R^1 has one of the following structures:





182. The compound of claim 174, wherein R^9 is C_{1-6} alkyl or C_{1-6} haloalkyl.
183. The compound of claim 182, wherein R^9 is methyl.
184. The compound of claim 182, wherein R^9 is trifluoromethyl.
185. The compound of any one of claims 168-171, wherein R^1 is an unsubstituted phenyl.
186. The compound of claim 168, wherein R^1 is a substituted or unsubstituted heteroaryl.
187. The compound of claim 168 or 186, wherein R^1 is a substituted or unsubstituted 5-10 membered heteroaryl.
188. The compound of claim 168 or 186-187, wherein R^1 is a substituted or unsubstituted pyridinyl, pyrrolopyridinyl, thiophenyl, or benzoimidazolyl.

189. The compound of any one of claims 168 or 186-188, wherein R¹ is a substituted or unsubstituted pyridin-3-yl, 1H-pyrrolo[2,3-b]pyridine-5-yl, thiophen-2-yl, or 1H-benzo[d]imidazol-6-yl.

190. The compound of any one of claims 168 or 186-189, wherein R¹ is pyridin-3-yl, 1H-pyrrolo[2,3-b]pyridine-5-yl, thiophen-2-yl, or 1H-benzo[d]imidazol-6-yl substituted with one or more of R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R^{1e} wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, and R^{1e} are each independently selected from the group consisting of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, halo, C₁₋₆ haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR⁹, SR⁹, C(O)R⁹, C(O)NR⁹R¹⁰, C(O)OR⁹, OC(O)R⁹, OC(O)OR⁹, OC(O)NR⁹R¹⁰, NR⁹R¹⁰, N(R⁹)C(O)R¹⁰, N(R⁹)C(O)NR¹⁰R¹¹, N(R⁹)C(O)OR¹⁰, C(=NR⁹)NR¹⁰R¹¹, C(=NOR⁹)NR¹⁰R¹¹, C(=NOC(O)R⁹)NR¹⁰R¹¹, C(=NR⁹)N(R¹⁰)C(O)OR¹¹, N(R⁹)C(=NR¹⁰)NR¹¹R¹², S(O)R⁹, S(O)NR⁹R¹⁰, S(O)₂R⁹, N(R⁹)S(O)₂R¹⁰, S(O)₂NR⁹R¹⁰, oxo, substituted or unsubstituted C₆₋₁₀ aryl, substituted or unsubstituted C₆₋₁₀ arylalkyl, substituted or unsubstituted C₆₋₁₀ aryloxy, substituted or unsubstituted C₆₋₁₀ arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C₃₋₁₀ cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

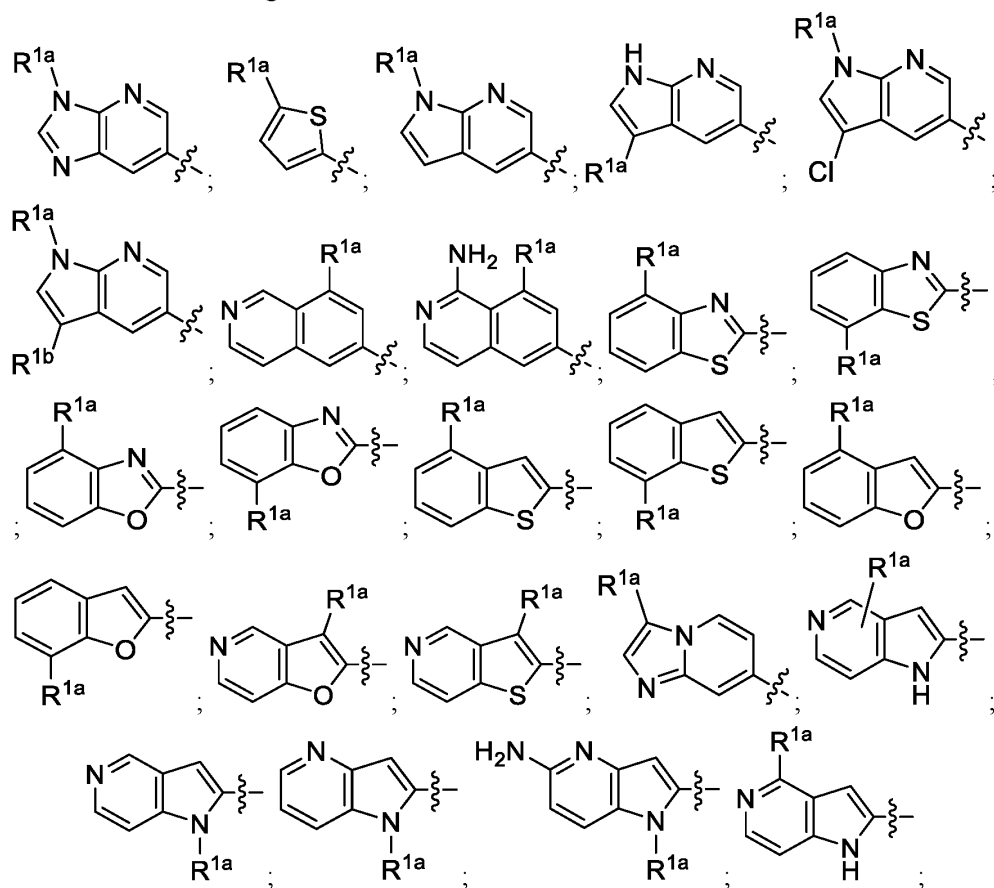
wherein R⁹, R¹⁰, R¹¹, and R¹², are, at each occurrence, independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

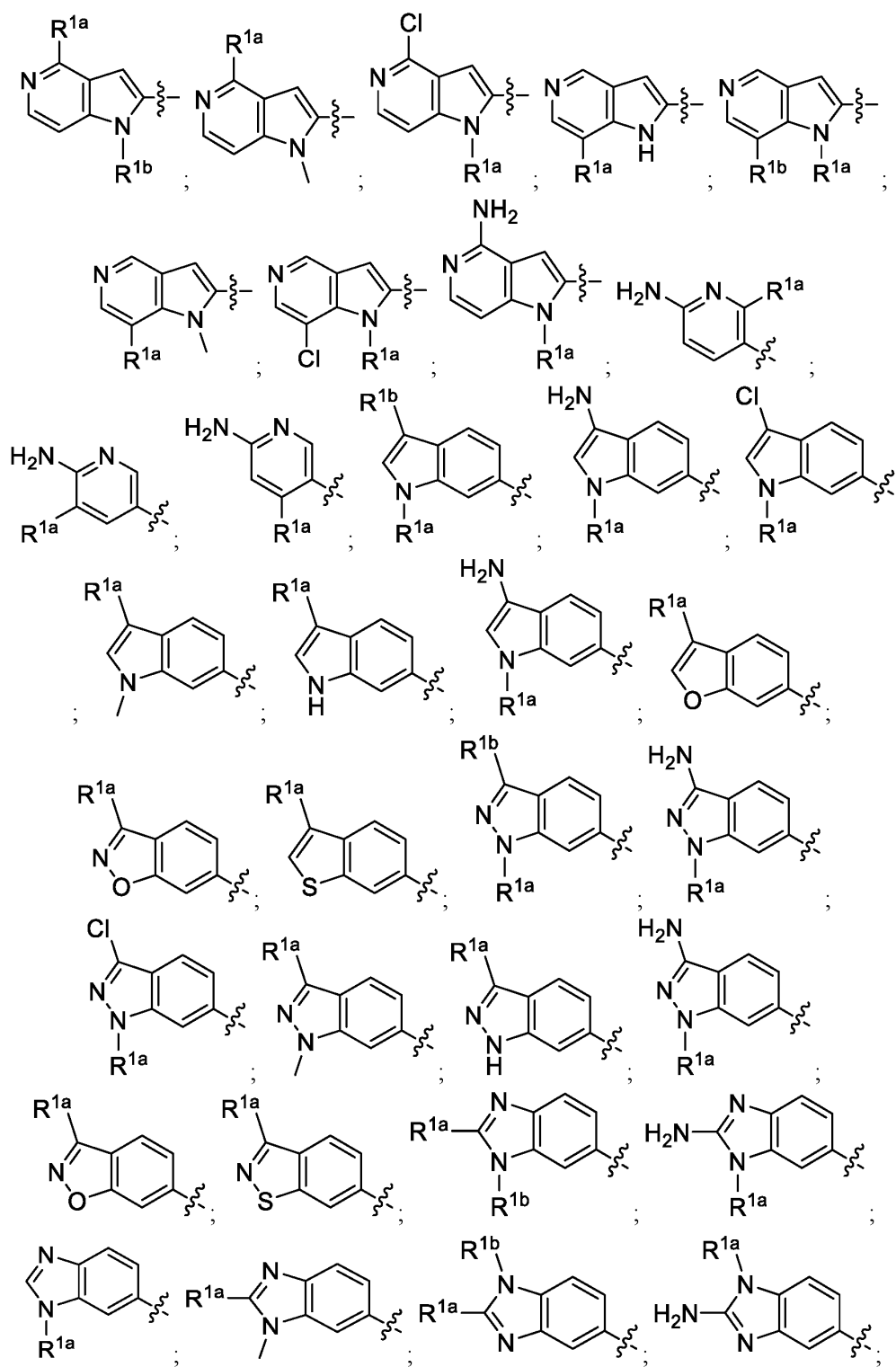
191. The compound of claim 190, wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of halo, CN, OR¹³, SR¹³, C(O)R¹³, C(O)NR¹³R¹⁴, C(O)OR¹³, OC(O)R¹³, OC(O)NR¹³R¹⁴, NR¹³R¹⁴, NR¹³C(O)R¹⁴, NR¹³C(O)NR¹⁴R¹⁵, NR¹³C(O)OR¹⁴, C(=NR¹³)NR¹⁴R¹⁵, NR¹³C(=NR¹⁴)NR¹⁵R¹⁶, S(O)R¹³, S(O)NR¹³R¹⁴, S(O)₂R¹³, NR¹³S(O)₂R¹⁴, S(O)₂NR¹³R¹⁴ and oxo when R^{1a}, R^{1b}, R^{1c}, R^{1d}, or R^{1e} is a substituted C₆₋₁₀ aryl, a substituted C₆₋₁₀ arylalkyl, a substituted C₆₋₁₀ aryloxy, a substituted C₆₋₁₀ arylalkoxy, a substituted 5-10

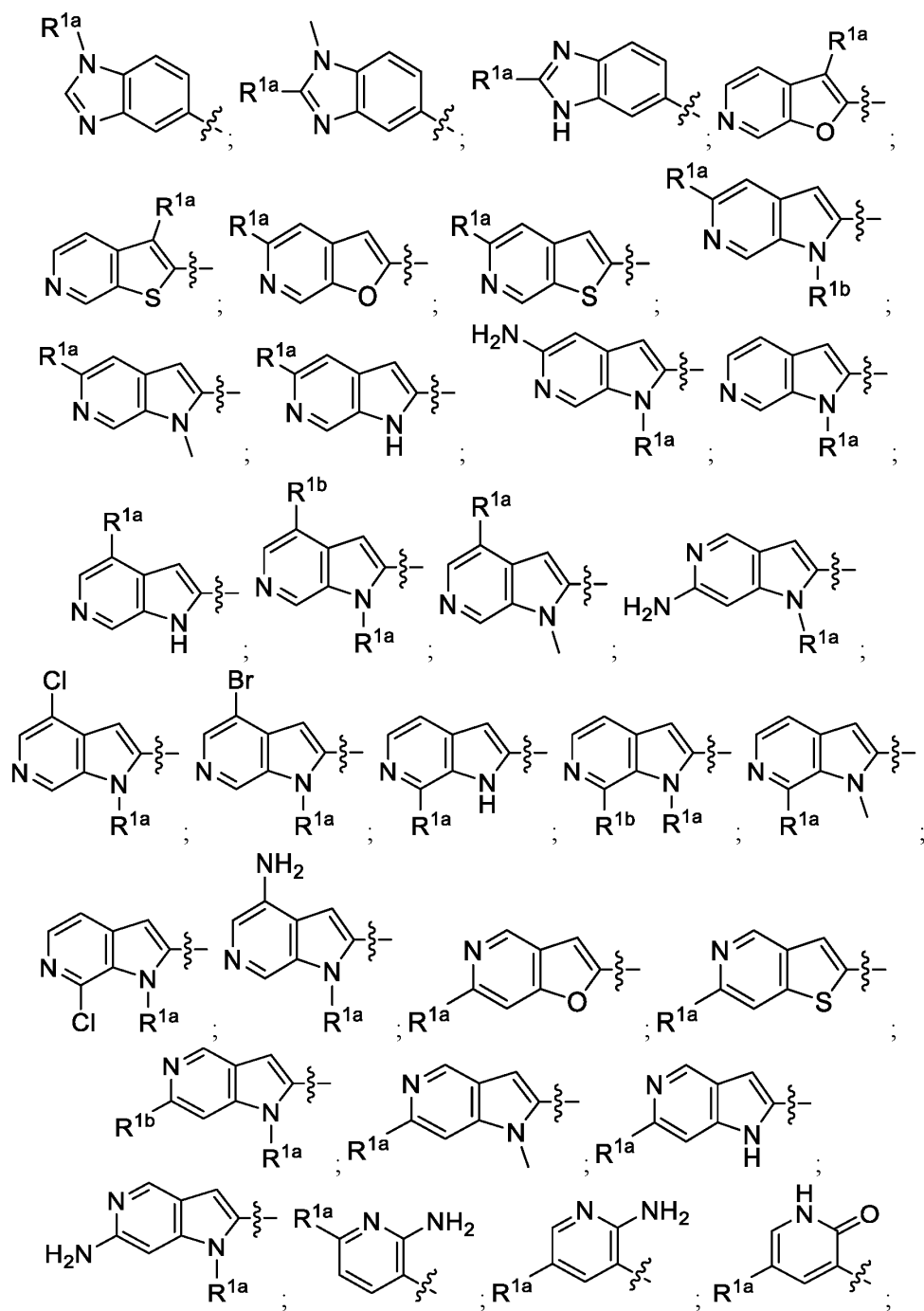
membered heteroaryl, a substituted C₃₋₁₀ cycloalkyl, and a substituted 4-10 membered heterocyclyl,

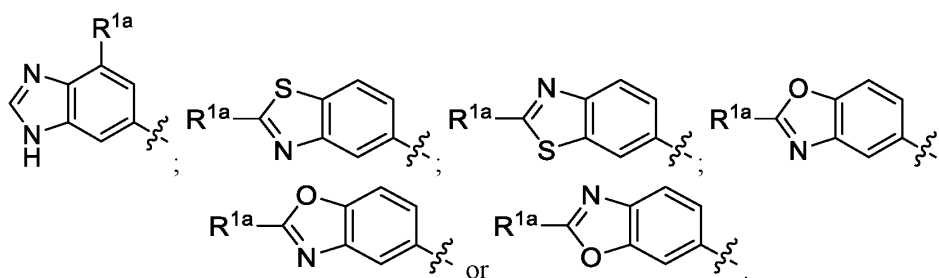
wherein R¹³, R¹⁴, R¹⁵, and R¹⁶ are, at each occurrence, independently selected from the group consisting of hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl, hydroxyl, C₁₋₆ alkoxy, aryl, arylalkyl, C₁₋₆ haloalkyl, C₁₋₆ haloalkoxy, C₁₋₆ hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

192. The compound of any one of claims 168 or 186-190, wherein R¹ has one of the following structures:









193. The compound of claim 192, wherein R^{1a} or R^{1b} is independently C_{1-6} alkyl, amino, or halo.

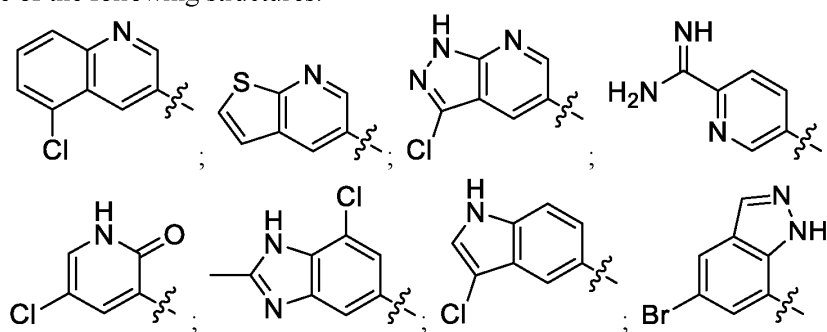
194. The compound of claim 193, wherein R^{1a} or R^{1b} is methyl or ethyl.

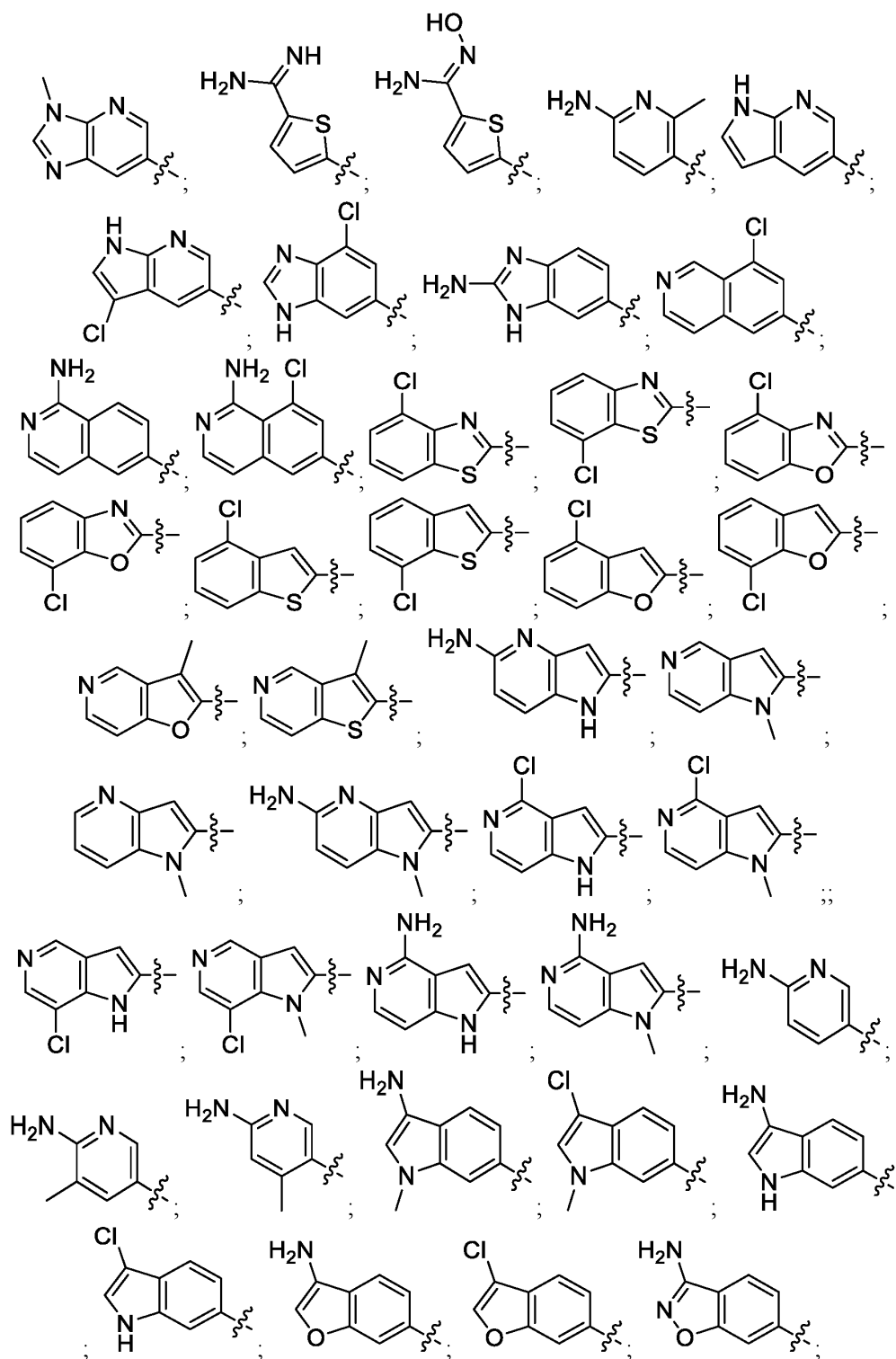
195. The compound of claim 193, wherein R^{1a} or R^{1b} is F, Cl, or Br.

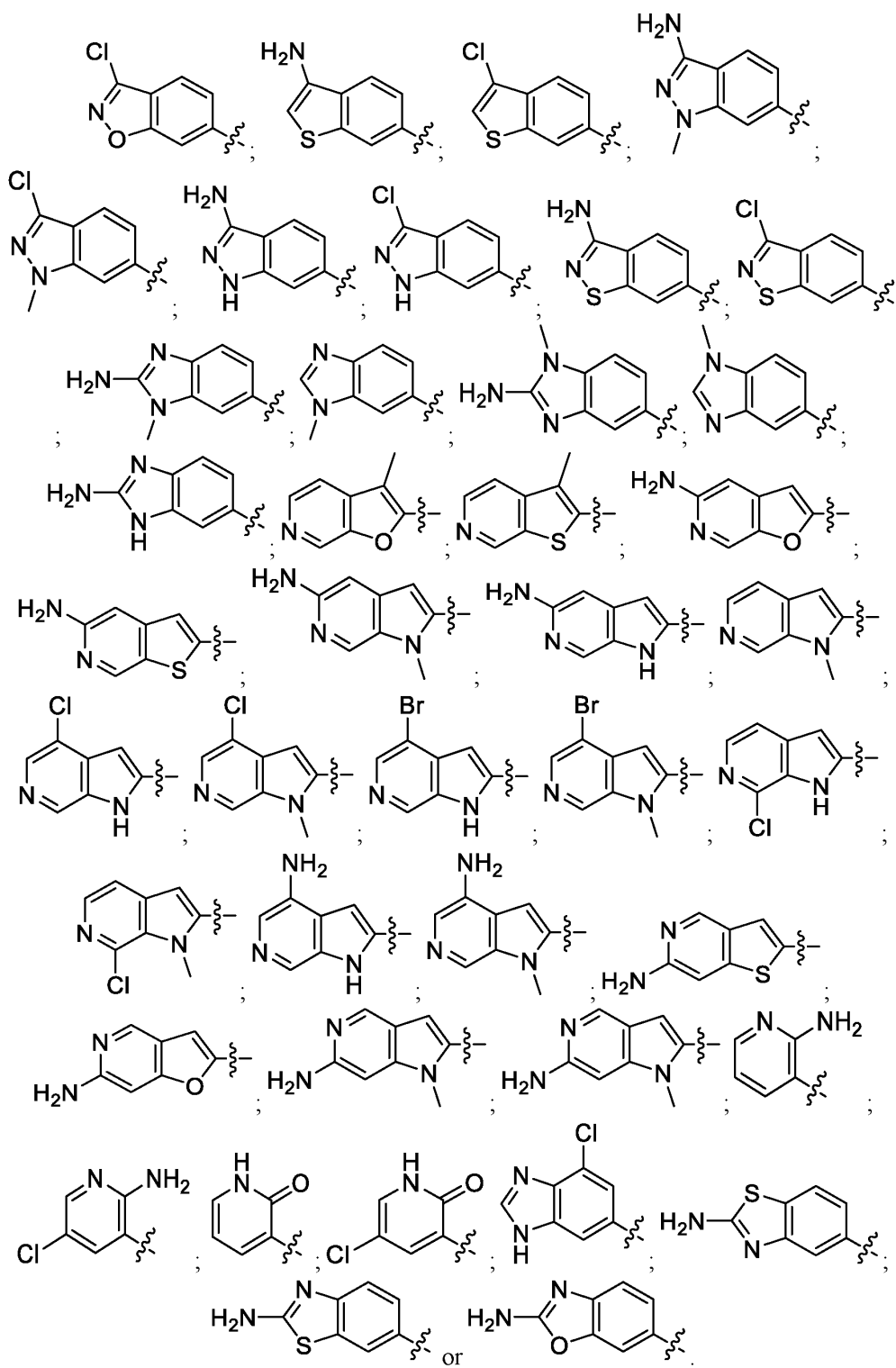
196. The compound of claim 193, wherein each R^{1a} or R^{1b} attached to nitrogen is C_{1-6} alkyl.

197. The compound of claim 196, wherein R^{1a} or R^{1b} is methyl or ethyl.

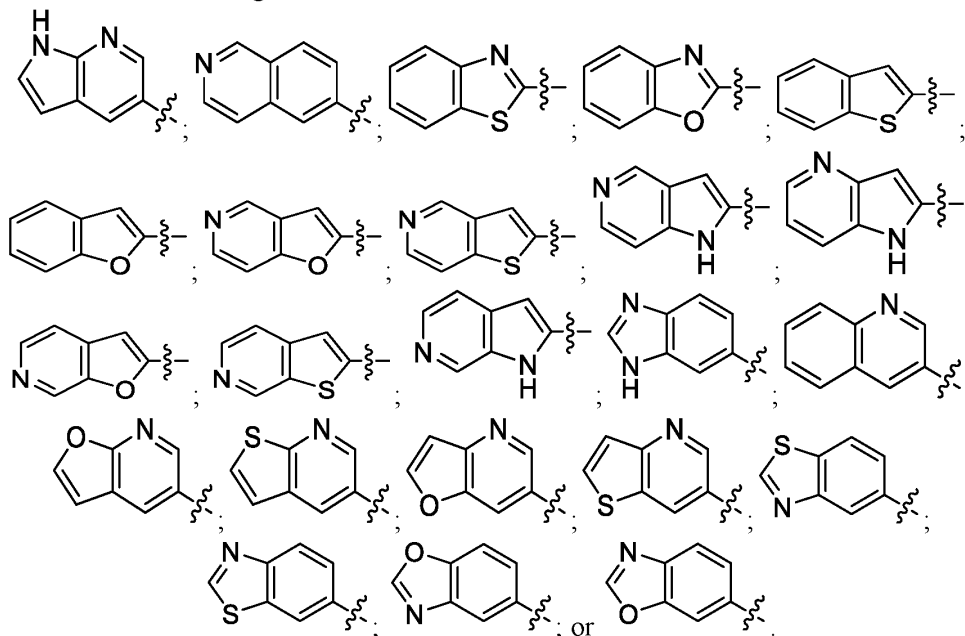
198. The compound of any one of claims 168 or 186-187, wherein R^1 has one of the following structures:







199. The compound of any one of claims 168 or 186-187, wherein R^1 has one of the following structures:



200. The compound of claim 1, wherein R^1 is a substituted or unsubstituted cycloalkyl.

201. The compound of claim 168 or 200, wherein R^1 is a substituted or unsubstituted C_3 - C_6 cycloalkyl.

202. The compound of any one of claims 168 or 200-201, wherein R^1 is a substituted C_3 - C_6 cycloalkyl.

203. The compound of claim 202, wherein R^1 is a C_3 - C_6 cycloalkyl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} , $N(R^9)C(O)R^{10}$,

$N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$, $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

204. The compound of claim 203, wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of halo, CN, OR^{13} , SR^{13} , $C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $C(O)OR^{13}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}R^{14}$, $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}C(O)OR^{14}$, $C(=NR^{13})NR^{14}R^{15}$, $NR^{13}C(=NR^{14})NR^{15}R^{16}$, $S(O)R^{13}$, $S(O)NR^{13}R^{14}$, $S(O)_2R^{13}$, $NR^{13}S(O)_2R^{14}$, $S(O)_2NR^{13}R^{14}$ and oxo when R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{13} , R^{14} , R^{15} , and R^{16} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

205. The compound of claim 201, wherein R^1 is an unsubstituted C_3 - C_6 cycloalkyl.

206. The compound of claim 168, wherein R^1 is a substituted or unsubstituted heterocyclyl.

207. The compound of claim 168 or 206, wherein R^1 is a substituted or unsubstituted 4-10 membered heterocyclyl.

208. The compound of any one of claims 168 or 206-207, wherein R^1 is a substituted 4-10 membered heterocyclyl.

209. The compound of claim 208, wherein R^1 is a 4-10 membered heterocyclyl substituted with one or more of R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , and R^{1e} are each independently selected from the group consisting of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^9 , SR^9 , $C(O)R^9$, $C(O)NR^9R^{10}$, $C(O)OR^9$, $OC(O)R^9$, $OC(O)OR^9$, $OC(O)NR^9R^{10}$, NR^9R^{10} , $N(R^9)C(O)R^{10}$, $N(R^9)C(O)NR^{10}R^{11}$, $N(R^9)C(O)OR^{10}$, $C(=NR^9)NR^{10}R^{11}$, $C(=NOR^9)NR^{10}R^{11}$, $C(=NOC(O)R^9)NR^{10}R^{11}$, $C(=NR^9)N(R^{10})C(O)OR^{11}$, $N(R^9)C(=NR^{10})NR^{11}R^{12}$, $S(O)R^9$, $S(O)NR^9R^{10}$, $S(O)_2R^9$, $N(R^9)S(O)_2R^{10}$, $S(O)_2NR^9R^{10}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

wherein R^9 , R^{10} , R^{11} , and R^{12} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

210. The compound of claim 209, wherein R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is optionally substituted with one or more substituents selected from the group consisting of OR^{13} , SR^{13} , $C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $C(O)OR^{13}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}R^{14}$, $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}C(O)OR^{14}$, $C(=NR^{13})NR^{14}R^{15}$,

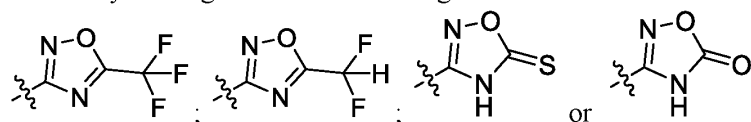
$\text{NR}^{13}\text{C}(=\text{NR}^{14})\text{NR}^{15}\text{R}^{16}$, $\text{S}(\text{O})\text{R}^{13}$, $\text{S}(\text{O})\text{NR}^{13}\text{R}^{14}$, $\text{S}(\text{O})_2\text{R}^{13}$, $\text{NR}^{13}\text{S}(\text{O})_2\text{R}^{14}$, $\text{S}(\text{O})_2\text{NR}^{13}\text{R}^{14}$ and oxo when R^{1a} , R^{1b} , R^{1c} , R^{1d} , or R^{1e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{13} , R^{14} , R^{15} , and R^{16} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

211. The compound of claim 206, wherein R^1 is an unsubstituted 4-10 membered heterocyclyl.

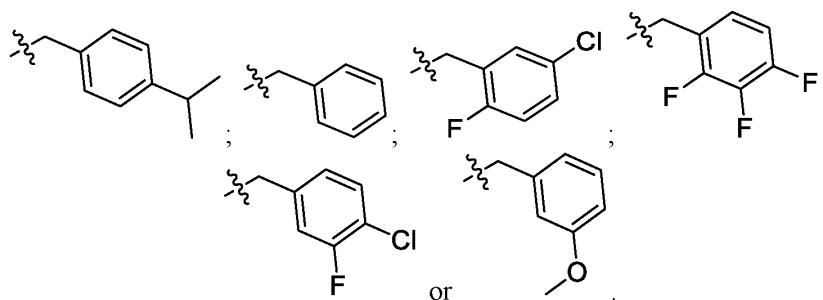
212. The compound of any one of claims 168-172, wherein R^1 is substituted with one or more substituents selected from the group consisting of a substituted heteroaryl, $\text{C}(=\text{NH})\text{NHC}(=\text{O})\text{OR}^8$, $\text{C}(=\text{NOC}(=\text{O})\text{R}^8)\text{NH}_2$, $\text{C}(=\text{NOC}(=\text{O})\text{OR}^8)\text{NH}_2$, and $\text{C}(=\text{NOH})\text{NH}_2$.

213. The compound of claim 212, wherein R^1 is substituted with a substituted heteroaryl having one of the following structures:



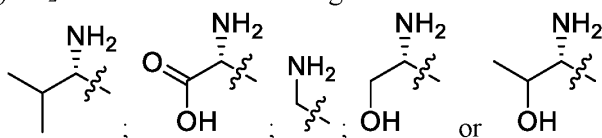
214. The compound of claim 212, wherein R^1 is substituted with $\text{C}(=\text{NH})\text{NHC}(=\text{O})\text{OR}^8$ and R^8 is a substituted or unsubstituted arylalkyl.

215. The compound of claim 212 or 214, wherein R^1 is substituted with $\text{C}(=\text{NH})\text{NHC}(=\text{O})\text{OR}^8$ and R^8 has one of the following structures:



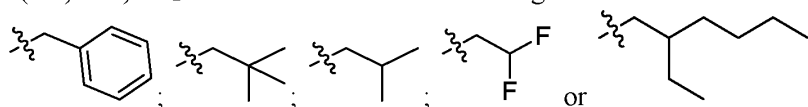
216. The compound of claim 212, wherein R^1 is substituted with $C(=NOC(=O)R^8)NH_2$ and R^8 is an aminylalkyl.

217. The compound of claim 212 or 216, wherein R^1 is substituted with $C(=NOC(=O)R^8)NH_2$ and R^8 has the following structure:



218. The compound of claim 212, wherein R^1 is substituted with $C(=NOC(=O)OR^8)NH_2$ and R^8 is an alkyl, a haloalkyl, or a substituted or unsubstituted arylalkyl.

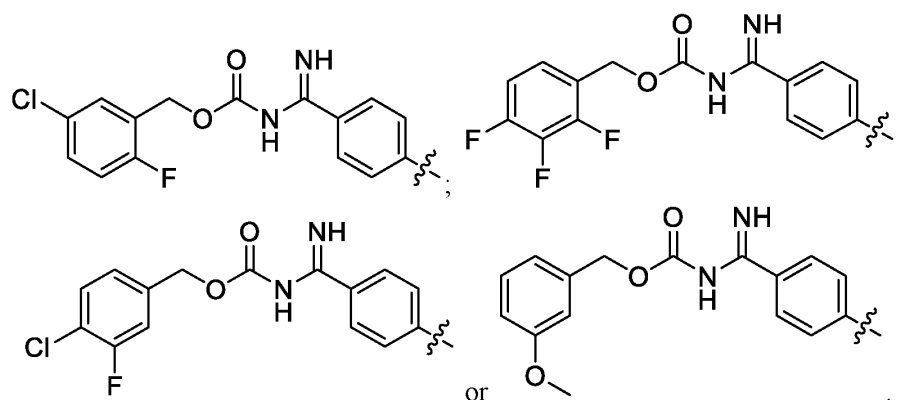
219. The compound of claim 212 or 218, wherein R^1 is substituted with $C(=NOC(=O)OR^8)NH_2$ and R^8 has one of the following structures:



220. The compound of claim 212, wherein R^1 is substituted with $C(=NOH)NH_2$.

221. The compound of any one of claims 212-220, wherein R^1 has one of the following structures:





222. The compound of any one of claims 168-221, wherein R^2 is hydrogen or C_1 - C_6 alkyl.

223. The compound of any one of claims 168-222, wherein R^2 is C_1 - C_6 alkyl.

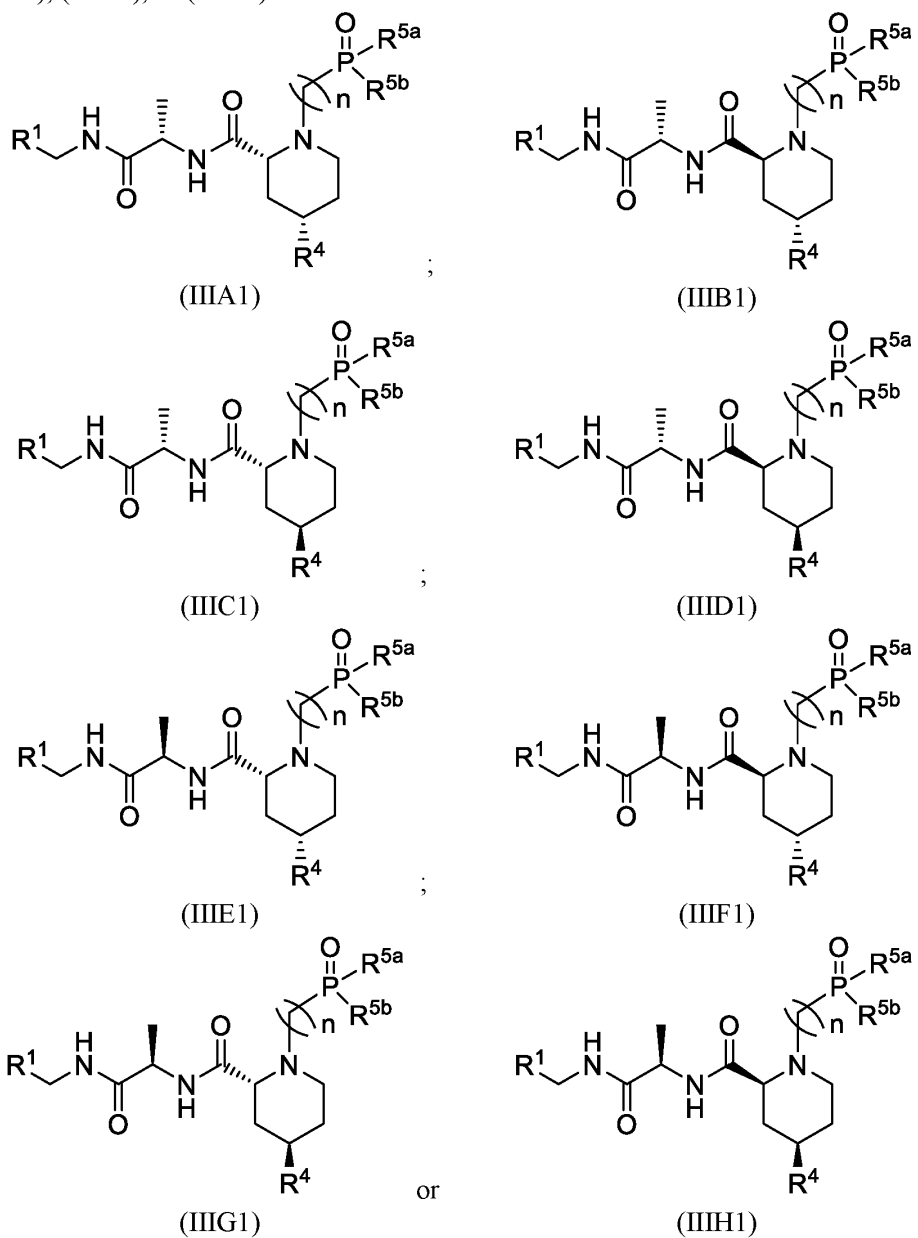
224. The compound of any one of claims 168-223, wherein R^2 is $-CH_3$.

225. The compound of any one of claims 168-222, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-7 membered heterocyclyl.

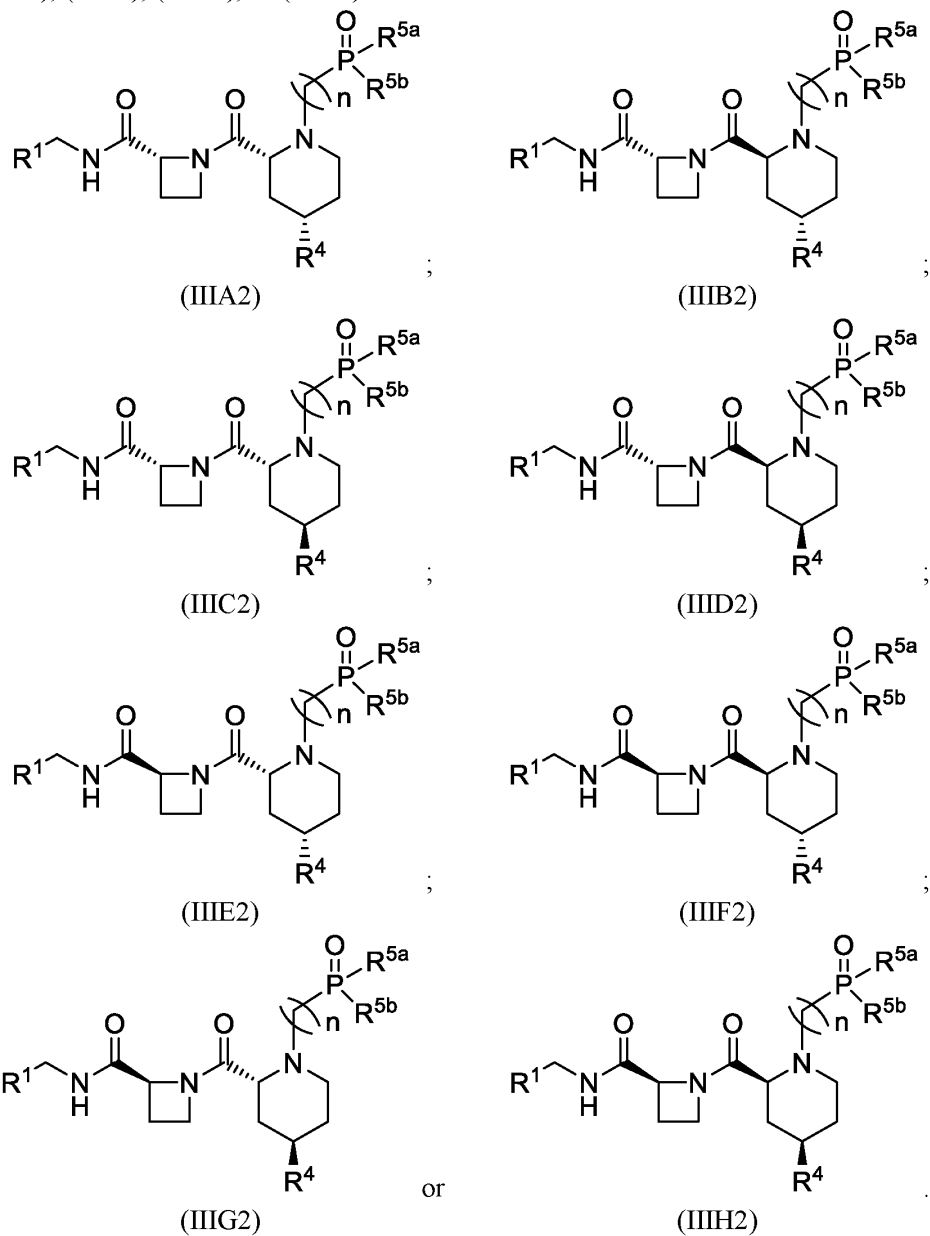
226. The compound of claim 58, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4, 5, or 6 membered heterocyclyl.

227. The compound of claim 226, wherein R^2 and R^3 , together with the carbon and nitrogen to which they are attached, respectively, form an optionally substituted 4-membered heterocyclyl.

228. The compound of any one of claims 168-224, wherein the compound has one of the following Structures (IIIA1), (IIIB1), (IIIC1), (IIID1), (IIIE1), (IIIF1), (IIIG1), or (IIIH1):



229. The compound of any one of claims 168-222 or 225-227, wherein the compound has one of the following Structures (IIIA2), (IIIB2), (IIIC2), (IIID2), (IIIE2), (IIIF2), (IIIG2), or (IIIH2):



230. The compound of any one of claims 168-229, wherein R^4 is a substituted or unsubstituted aryl.

231. The compound of any one of claims 168-230, wherein R^4 is a substituted or unsubstituted C_6 - C_{10} aryl.

232. The compound of any one of claims 168-231, wherein R^4 is a substituted or unsubstituted phenyl.

233. The compound of any one of claims 168-232, wherein R^4 is an unsubstituted phenyl.

234. The compound of any one of claims 168-232, wherein R^4 is phenyl substituted with one or more of R^{4a} , R^{4b} , R^{4c} , R^{4d} , or R^{4e} wherein R^{4a} , R^{4b} , R^{4c} , R^{4d} , and R^{4e} are each independently selected from the group consisting of C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halo, C_{1-6} haloalkyl, aminylalkyl, hydroxyalkyl, cyano, nitro, OR^{17} , SR^{17} , $C(O)R^{17}$, $C(O)NR^{17}R^{18}$, $C(O)OR^{17}$, $OC(O)R^{17}$, $OC(O)OR^{17}$, $OC(O)NR^{17}R^{18}$, $NR^{17}R^{18}$, $N(R^{17})C(O)R^{18}$, $N(R^{17})C(O)NR^{18}R^{19}$, $N(R^{17})C(O)OR^{18}$, $C(=NR^{17})NR^{18}R^{19}$, $C(=NOR^{17})NR^{18}R^{19}$, $C(=NOC(O)R^{17})NR^{18}R^{19}$, $C(=NR^{17})N(R^{18})C(O)OR^{19}$, $N(R^{17})C(=NR^{18})NR^{19}R^{20}$, $S(O)R^{17}$, $S(O)NR^{17}R^{18}$, $S(O)_2R^{17}$, $N(R^{17})S(O)_2R^{18}$, $S(O)_2NR^{17}R^{18}$, oxo, substituted or unsubstituted C_{6-10} aryl, substituted or unsubstituted C_{6-10} arylalkyl, substituted or unsubstituted C_{6-10} aryloxy, substituted or unsubstituted C_{6-10} arylalkoxy, substituted or unsubstituted 5-10 membered heteroaryl, substituted or unsubstituted C_{3-10} cycloalkyl, and substituted or unsubstituted 4-10 membered heterocyclyl,

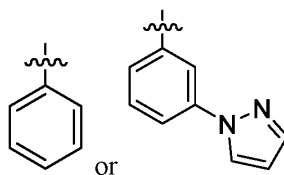
wherein R^{17} , R^{18} , R^{19} , and R^{20} , are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

235. The compound of claim 234, wherein R^{4a} , R^{4b} , R^{4c} , R^{4d} , or R^{4e} is optionally substituted with one or more substituents selected from the group consisting of halo, CN, OR^{21} , SR^{21} , $C(O)R^{21}$, $C(O)NR^{21}R^{22}$, $C(O)OR^{21}$, $OC(O)R^{21}$, $OC(O)NR^{21}R^{22}$,

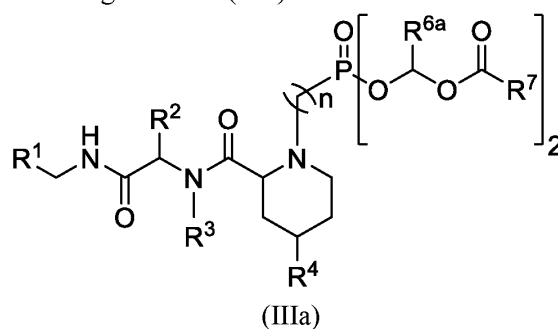
$\text{NR}^{21}\text{R}^{22}$, $\text{NR}^{21}\text{C}(\text{O})\text{R}^{22}$, $\text{NR}^{21}\text{C}(\text{O})\text{NR}^{22}\text{R}^{23}$, $\text{NR}^{21}\text{C}(\text{O})\text{OR}^{22}$, $\text{C}(=\text{NR}^{21})\text{NR}^{22}\text{R}^{23}$, $\text{NR}^{21}\text{C}(=\text{NR}^{22})\text{NR}^{23}\text{R}^{24}$, $\text{S}(\text{O})\text{R}^{21}$, $\text{S}(\text{O})\text{NR}^{21}\text{R}^{22}$, $\text{S}(\text{O})_2\text{R}^{21}$, $\text{NR}^{21}\text{S}(\text{O})_2\text{R}^{22}$, $\text{S}(\text{O})_2\text{NR}^{21}\text{R}^{22}$ and oxo when R^{4a} , R^{4b} , R^{4c} , R^{4d} , or R^{4e} is a substituted C_{6-10} aryl, a substituted C_{6-10} arylalkyl, a substituted C_{6-10} aryloxy, a substituted C_{6-10} arylalkoxy, a substituted 5-10 membered heteroaryl, a substituted C_{3-10} cycloalkyl, and a substituted 4-10 membered heterocyclyl,

wherein R^{21} , R^{22} , R^{23} , and R^{24} are, at each occurrence, independently selected from the group consisting of hydrogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, hydroxyl, C_{1-6} alkoxy, aryl, arylalkyl, C_{1-6} haloalkyl, C_{1-6} haloalkoxy, C_{1-6} hydroxyalkyl, cycloalkyl, heterocyclyl, and heteroaryl.

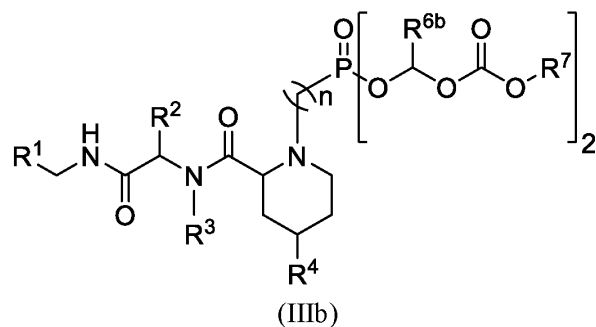
236. The compound of any one of claims 168-235, wherein R^4 has one of the following structures:



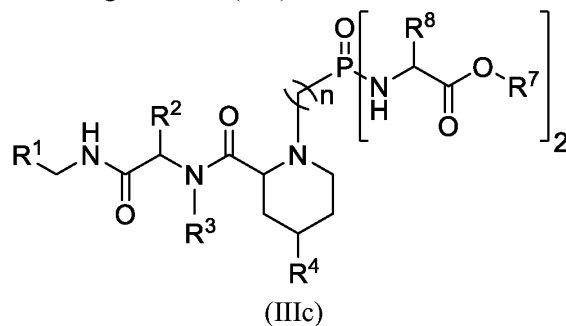
237. The compound of any one of claims 168-236, wherein the compound has the following structure (IIIa):



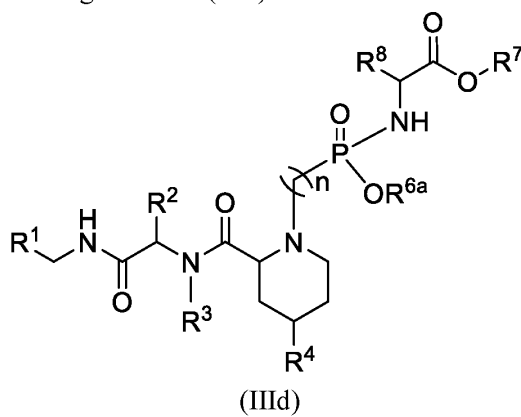
238. The compound of any one of claims 168-236, wherein the compound has the following structure (IIIb):



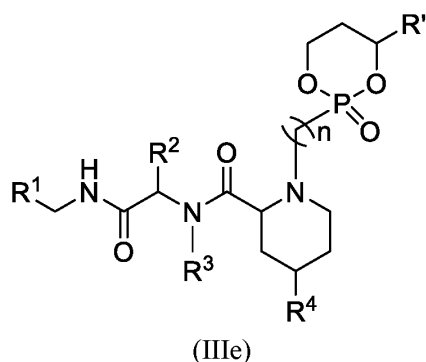
239. The compound of any one of claims 168-236, wherein the compound has the following structure (IIIc):



240. The compound of any one of claims 168-236, wherein the compound has the following structure (IIId):



241. The compound of any one of claims 168-236, wherein the compound has the following structure (IIIe):



wherein:

R' is a substituted or unsubstituted aryl or a substituted or unsubstituted heteroaryl.

242. The compound of any one of claims 168-241, wherein R^{6a} is aryl, heteroaryl, cycloalkyl, or heterocyclyl.

243. A pharmaceutical composition comprising a compound or pharmaceutically acceptable salt thereof of any one of claims 1 to 242 and a pharmaceutically acceptable carrier or excipient.

244. A method for treating a MASP-2-associated disease or disorder in a subject in need thereof, the method comprising administering to the subject an effective amount of a compound of any one of claims 1-242 or a pharmaceutical composition according to claim 243.

245. The method of claim 244, wherein the compound is administered in an amount sufficient to inhibit MASP-2 dependent complement activation in the subject.

246. The method of claim 169, wherein the subject has been diagnosed as being in need of treatment for a lectin complement-associated disease or disorder.

247. The method of claim 244, wherein disease or disorder is a thrombotic microangiopathy (TMA), a renal condition, an inflammatory reaction resulting from tissue or organ transplantation, an ischemia reperfusion injury, a complication associated with diabetes, a cardiovascular disease or disorder, an inflammatory gastrointestinal disorder, a pulmonary disorder, an ophthalmic disease or disorder, disseminated intravascular coagulation, graft-versus-host disease, veno-occlusive disease, diffuse alveolar hemorrhage, idiopathic pneumonia syndrome, capillary leak syndrome, engraftment syndrome, fluid overload, or combinations thereof.

248. The method of claim 244, wherein the disease or disorder is a thrombotic microangiopathy (TMA) thrombotic thrombocytopenic purpura (TTP), refractory TTP, Upshaw-Schulman Syndrome (USS), hemolytic uremic syndrome (HUS), atypical hemolytic syndrome (aHUS), non-Factor H-dependent atypical hemolytic syndrome, aHUS secondary to an infection, plasma therapy-resistant aHUS, a TMA secondary to cancer, a TMA secondary to chemotherapy, a TMA secondary to transplantation, a TMA associated with hematopoietic stem cell transplant, or combinations thereof.

249. The method of claim 244, wherein the disease or disorder is graft-versus-host disease.

250. The method of claim 244, wherein the disease or disorder is diffuse alveolar hemorrhage (DAH).

251. The method of claim 244, wherein the disease or disorder is veno-occlusive disease (VOD).

252. The method of claim 244, wherein the disease or disorder is a renal condition.

253. The method of claim 244, wherein the renal condition is mesangioproliferative glomerulonephritis, membranous glomerulonephritis, membranoproliferative glomerulonephritis (mesangiocapillary glomerulonephritis), acute post infectious glomerulonephritis (poststreptococcal glomerulonephritis), C3 glomerulopathy, cryoglobulinemic glomerulonephritis, pauci-immune necrotizing crescentic glomerulonephritis, lupus nephritis, Henoch-Schonlein purpura nephritis, IgA nephropathy, or combinations thereof.

254. The method of claim 244, wherein the disease or disorder is renal fibrosis, proteinuria, or combinations thereof.

255. The method of claim 244, wherein the disease or disorder is an inflammatory reaction resulting from tissue or solid organ transplantation.

256. The method of claim 244, wherein the disease or disorder is an ischemia reperfusion injury (I/R).

257. The method of claim 244, wherein the disease or disorder is a complication associated with non-obese diabetes, complications associated with Type-1 diabetes, Type-2 (adult onset) diabetes, or combinations thereof.

258. The method of claim 244, wherein the disease or disorder is a cardiovascular disease or disorder.

259. The method of claim 244, wherein the disease or disorder is an inflammatory gastrointestinal disorder.

260. The method of claim 244, wherein the disease or disorder is a pulmonary disorder.

261. The method of claim 244, wherein the disease or disorder is an extracorporeal exposure-triggered inflammatory reaction.

262. The method of claim 261, wherein the method further comprises treating a subject undergoing an extracorporeal circulation procedure.

263. The method of claim 244, wherein the disease or disorder is an inflammatory arthritis, non-inflammatory arthritis, a musculoskeletal disorder, or combinations thereof.

264. The method of claim 244, wherein the disease or disorder is a skin disorder.

265. The method of claim 244, wherein the disease or disorder is peripheral nervous system (PNS) disorder or injury, a central nervous system (CNS) disorder or injury, or combinations thereof.

266. The method of claim 244, wherein the disease or disorder is sepsis or a condition resulting from sepsis.

267. The method of claim 244, wherein the disease or disorder is a urogenital disorder.

268. The method of claim 244, wherein the disease or disorder is an inflammatory reaction in a subject being treated with chemotherapeutics, radiation therapy, or combinations thereof.

269. The method of claim 244, wherein the disease or disorder is an angiogenesis-dependent cancer.

270. The method of claim 244, wherein the disease or disorder is an angiogenesis-dependent benign tumor.

271. The method of claim 244, wherein the disease or disorder is an endocrine disorder.

272. The method of claim 244, wherein the disease or disorder is an ophthalmic disease or disorder.

273. The method of claim 244, wherein the disease or disorder is an ocular angiogenic disease or condition.

274. The method of claim 244, wherein the disease or disorder is disseminated intravascular coagulation (DIC), a complement mediated coagulation disorder, or combinations thereof.

275. The method of claim 244, wherein the disease or disorder is acute radiation syndrome, dense deposit disease, Degos Disease, Catastrophic Antiphospholipid Syndrome (CAPS), Behcet's disease, cryoglobulinemia; paroxysmal nocturnal hemoglobinuria ("PNH"), cold agglutinin disease, or combinations thereof.

276. The method of claim 244, wherein the disease or disorder is atypical hemolytic uremic syndrome (aHUS).

277. The method of claim 244, wherein the disease or disorder is hematopoietic stem cell transplant-associated TMA.

278. The method of claim 244, wherein the disease or disorder is immunoglobulin A nephropathy (IgAN).

279. The method of claim 244, wherein the disease or disorder is lupus nephritis (LN).