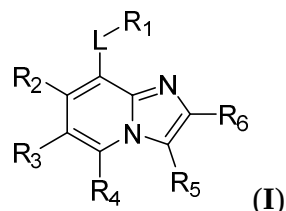


CLAIMS

1. A compound represented by formula (I)



wherein L is selected from the group consisting of $-\text{NR}_{7a}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{O}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{O})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{S})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{S}(\text{O})_{0-2}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{NR}_{7a}\text{C}(\text{O})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{NR}_{7a}\text{C}(\text{S})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{OC}(\text{O})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{OC}(\text{S})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{O})\text{NR}_{7a}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{S})\text{NR}_{7a}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{O})\text{O}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{S})\text{O}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{S}(\text{O})_2\text{NR}_{7a}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{NR}_{7a}\text{S}(\text{O})_2(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{NR}_{7a}\text{C}(\text{O})\text{NR}_{7b}(\text{CR}_{8a}\text{R}_{8b})_n-$, and $-\text{NR}_{7a}\text{C}(\text{O})\text{O}(\text{CR}_{8a}\text{R}_{8b})_n-$, wherein R_{7a} , R_{7b} , R_{8a} , and R_{8b} are each independently selected from the group consisting of hydrogen and optionally substituted C1-4 alkyl, and each n is independently an integer from 2 to 6;

R_1 is selected from the group consisting of $-\text{S}(\text{O})_2\text{NR}_{9a}\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{S})\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{NR}_{9b}\text{R}_{9c}$, $-\text{C}(\text{O})\text{R}_{9a}$, $-\text{C}(\text{S})\text{R}_{9a}$, $-\text{S}(\text{O})_{0-2}\text{R}_{9a}$, $-\text{C}(\text{O})\text{OR}_{9a}$, $-\text{C}(\text{S})\text{OR}_{9a}$, $-\text{C}(\text{O})\text{NR}_{9a}\text{R}_{9b}$, $-\text{C}(\text{S})\text{NR}_{9a}\text{R}_{9b}$, $-\text{NR}_{9a}\text{S}(\text{O})_2\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{OR}_{9b}$, $-\text{OC}(\text{O})\text{CR}_{9a}\text{R}_{9b}\text{R}_{9c}$, $-\text{OC}(\text{S})\text{CR}_{9a}\text{R}_{9b}\text{R}_{9c}$, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl, wherein R_{9a} , R_{9b} , and R_{9c} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

R_2 is selected from the group consisting of hydrogen and optionally substituted C1-4 alkyl;

R_3 is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

R_4 is selected from the group consisting of hydrogen and optionally substituted C1-4 alkyl;

R₅ is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; and

R₆ is selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; or a salt thereof.

2. The compound of claim 1, wherein R₁ is selected from the group consisting of -S(O)₂NR_{9a}R_{9b}, -NR_{9a}C(O)R_{9b}, -NR_{9a}C(S)R_{9b}, -NR_{9a}C(O)NR_{9b}R_{9c}, -C(O)R_{9a}, -C(S)R_{9a}, -S(O)₀₋₂R_{9a}, -C(O)OR_{9a}, -C(S)OR_{9a}, -C(O)NR_{9a}R_{9b}, -C(S)NR_{9a}R_{9b}, -NR_{9a}S(O)₂R_{9b}, -NR_{9a}C(O)OR_{9b}, -OC(O)CR_{9a}R_{9b}R_{9c}, -OC(S)CR_{9a}R_{9b}R_{9c}, phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein said phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl.

3. The compound of claim 2, wherein R₁ is selected from the group consisting of -S(O)₂NR_{9a}R_{9b}, -NR_{9a}C(O)R_{9b}, -NR_{9a}C(S)R_{9b}, -NR_{9a}C(O)NR_{9b}R_{9c}, -C(O)R_{9a}, -C(S)R_{9a}, -S(O)₀₋₂R_{9a}, -C(O)OR_{9a}, -C(S)OR_{9a}, -C(O)NR_{9a}R_{9b}, -C(S)NR_{9a}R_{9b}, -NR_{9a}S(O)₂R_{9b}, -NR_{9a}C(O)OR_{9b}, -OC(O)CR_{9a}R_{9b}R_{9c}, and -OC(S)CR_{9a}R_{9b}R_{9c}.

4. The compound of claim 2, wherein R₁ is selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl,

2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein said phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}.

5. The compound of claim 4, wherein R₁ is selected from the group consisting of phenyl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, and 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl, wherein said phenyl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, or 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}.

6. The compound of claim 5, wherein R₁ is selected from the group consisting of phenyl, phenol-4-yl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, and 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl.

7. The compound of claim 6, wherein R₁ is selected from the group consisting of phenol-4-yl and 1H-indol-3-yl.

8. The compound of any one of claims 1-7, wherein L is selected from the group consisting of -NR_{7a}(CR_{8a}R_{8b})_n- and -O(CR_{8a}R_{8b})_n-.

9. The compound of any one of claims 1-8, wherein R₂ is hydrogen.

10. The compound of any one of claims 1-9, wherein R_3 is selected from the group consisting of phenyl, thiophenyl, furanyl, 1H-benzoimidazolyl, quinolinyl, isoquinolinyl, imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, and thiazolyl, wherein said phenyl, thiophenyl, furanyl, 1H-benzoimidazolyl, quinolinyl, isoquinolinyl, imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, or thiazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O) R_{11a} , -S(O)₀₋₂ R_{11a} , -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, and wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl.

11. The compound of claim 10, wherein R_3 is selected from the group consisting of thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, imidazo[1,2-a]pyridin-3-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-imidazol-1-yl, pyrazin-2-yl, pyridazin-4-yl, 1H-pyrrol-2-yl and thiazol-5-yl, wherein said thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-imidazol-1-yl, pyrazin-2-yl, pyridazin-4-yl, 1H-pyrrol-2-yl, or thiazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O) R_{11a} , -S(O)₀₋₂ R_{11a} , -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}.

12. The compound of claim 11, wherein R_3 is selected from the group consisting of thiophen-3-yl, benzo[b]thiophen-3-yl, pyridin-3-yl, pyrimidin-5-yl, 1H-imidazol-1-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, and imidazo[1,2-a]pyridin-3-yl, wherein said thiophen-3-yl, benzo[b]thiophen-3-yl, pyridin-3-yl, pyrimidin-5-yl, 1H-imidazol-1-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, or imidazo[1,2-a]pyridin-3-yl is optionally substituted with from 1 to 3 substituents

independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

13. The compound of claim 12, wherein R_3 is pyridin-3-yl, wherein said pyridin-3-yl is optionally substituted at C5 with a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

14. The compound of claim 13, wherein said pyridin-3-yl is substituted at C5 with a substituent selected from the group consisting of ethoxycarbonyl, methoxy, cyano, methyl, methylsulfonyl, fluoro, chloro, trifluoromethyl, ethynyl, and cyclopropyl.

15. The compound of claim 12, wherein R_3 is imidazo[1,2-a]pyridin-3-yl, wherein said imidazo[1,2-a]pyridin-3-yl is optionally substituted with a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

16. The compound of claim 12, wherein R_3 is benzo[b]thiophen-3-yl, wherein said benzo[b]thiophen-3-yl is optionally substituted with a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

17. The compound of claim 12, wherein R_3 is 1H-imidazo[4,5-b]pyridin-1-yl, wherein said 1H-imidazo[4,5-b]pyridin-1-yl is optionally substituted with a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

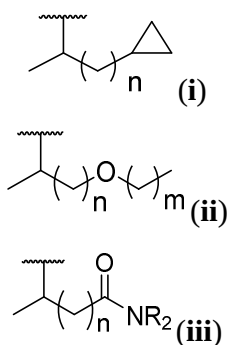
18. The compound of claim 12, wherein R_3 is isoquinolin-4-yl, wherein said isoquinolin-4-yl is optionally substituted with a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

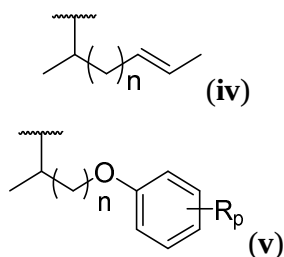
19. The compound of any one of claims 1-18, wherein R_4 is hydrogen.

20. The compound of any one of claims 1-19, wherein R_5 is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein said C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl.

21. The compound of claim 20, wherein R_5 is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl.

22. The compound of any one of claims 1-19, wherein R_5 is selected from the group consisting of (i), (ii), (iii), (iv), and (v)

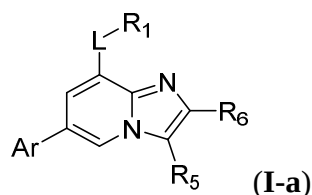




wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl.

23. The compound of any one of claims 1-22, wherein R₆ is hydrogen.

24. The compound of claim 1, wherein said compound is represented by formula (I-a)



wherein L is a linker selected from the group consisting of -NR_{7a}(CR_{8a}R_{8b})_n-, -O(CR_{8a}R_{8b})_n-, -C(O)(CR_{8a}R_{8b})_n-, -C(S)(CR_{8a}R_{8b})_n-, -S(O)₀₋₂(CR_{8a}R_{8b})_n-, -(CR_{8a}R_{8b})_n-, -NR_{7a}C(O)(CR_{8a}R_{8b})_n-, -NR_{7a}C(S)(CR_{8a}R_{8b})_n-, -OC(O)(CR_{8a}R_{8b})_n-, -OC(S)(CR_{8a}R_{8b})_n-, -C(O)NR_{7a}(CR_{8a}R_{8b})_n-, -C(S)NR_{7a}(CR_{8a}R_{8b})_n-, -C(O)O(CR_{8a}R_{8b})_n-, -C(S)O(CR_{8a}R_{8b})_n-, -S(O)₂NR_{7a}(CR_{8a}R_{8b})_n-, -NR_{7a}S(O)₂(CR_{8a}R_{8b})_n-, -NR_{7a}C(O)NR_{7b}(CR_{8a}R_{8b})_n-, and -NR_{7a}C(O)O(CR_{8a}R_{8b})_n-, wherein R_{7a}, R_{7b}, R_{8a}, and R_{8b} are each independently selected from the group consisting of hydrogen and optionally substituted C1-4 alkyl, and each n is independently an integer from 2 to 6;

R₁ is selected from the group consisting of -S(O)₂NR_{9a}R_{9b}, -NR_{9a}C(O)R_{9b}, -NR_{9a}C(S)R_{9b}, -NR_{9a}C(O)NR_{9b}R_{9c}, -C(O)R_{9a}, -C(S)R_{9a}, -S(O)₀₋₂R_{9a}, -C(O)OR_{9a}, -C(S)OR_{9a}, -C(O)NR_{9a}R_{9b}, -C(S)NR_{9a}R_{9b}, -NR_{9a}S(O)₂R_{9b}, -NR_{9a}C(O)OR_{9b}, -OC(O)CR_{9a}R_{9b}R_{9c}, -OC(S)CR_{9a}R_{9b}R_{9c}, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl, wherein R_{9a}, R_{9b}, and R_{9c} are each independently

selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

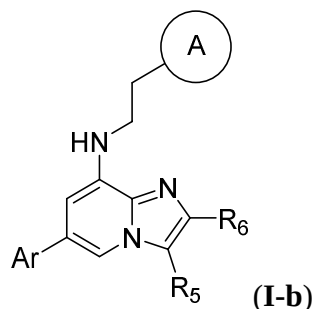
Ar is selected from the group consisting of optionally substituted monocyclic aryl and heteroaryl;

R₅ is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; and

R₆ is selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;
or a salt thereof.

25. The compound of claim 24, wherein R₁ is selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl.

26. The compound of claim 1, wherein said compound is represented by formula (**I-b**)



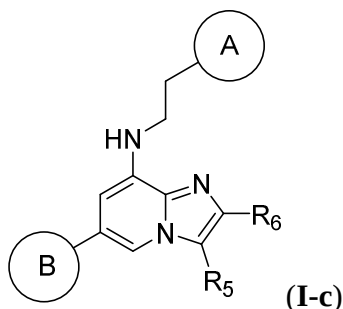
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

Ar is selected from the group consisting of optionally substituted monocyclic aryl and heteroaryl;

R₅ is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; and

R₆ is selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;
or a salt thereof.

27. The compound of claim 1, wherein said compound is represented by formula **(I-c)**



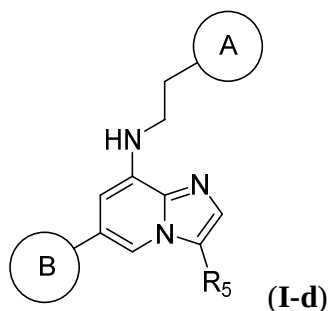
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

B is an optionally substituted ring system selected from the group consisting of thiophenyl, furanyl, 1H-benzoimidazolyl, isoquinolinyl, imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, and thiazolyl, wherein the thiophenyl, furanyl, 1H-benzoimidazolyl, isoquinolinyl, 1H-imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, or thiazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl;

R₅ is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; and

R₆ is selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; or a salt thereof.

28. The compound of claim 1, wherein said compound is represented by formula (I-d)



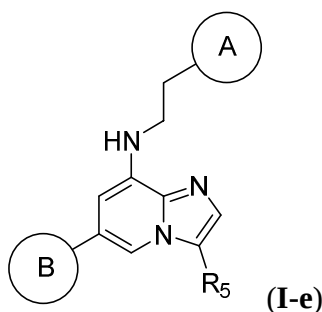
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

B is an optionally substituted ring system selected from the group consisting of thiophenyl, furanyl, 1H-benzoimidazolyl, isoquinolinyl, imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, and thiazolyl, wherein the thiophenyl, furanyl, 1H-benzoimidazolyl, isoquinolinyl, 1H-imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, or thiazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl,

C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

R_5 is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; or a salt thereof.

29. The compound of claim 1, wherein said compound is represented by formula (I-e)

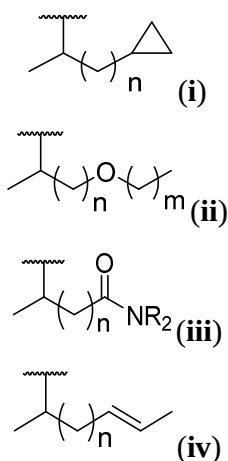


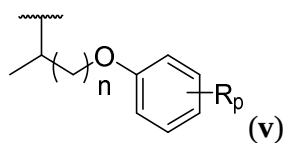
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, and 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl, wherein the phenyl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, or 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-O(CH_2)_2NR_{10a}R_{10b}$, $-S(O)_2NR_{10a}R_{10b}$, $-OS(O)_2NR_{10a}R_{10b}$, and $-NR_{10a}S(O)_2R_{10b}$, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

B is an optionally substituted ring system selected from the group consisting of thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, imidazo[1,2-a]pyridin-3-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl,

pyridin-3-yl, pyridin-4-yl, 1H-imidazol-1-yl, pyrazin-2-yl, pyridazin-4-yl, 1H-pyrrol-2-yl and thiazol-5-yl, wherein the thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-imidazol-1-yl, pyrazin-2-yl, pyridazin-4-yl, 1H-pyrrol-2-yl, or thiazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

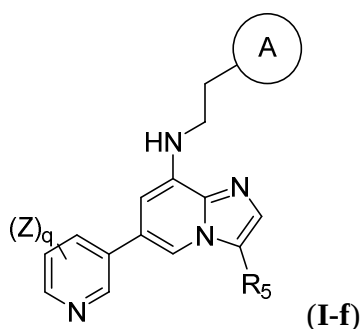
R₅ is selected from the group consisting of C₁₋₁₀ alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C₁₋₁₀ alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C₁₋₄ alkyl, and halo-substituted-C₁₋₄alkyl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)





wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl;
or a salt thereof.

30. The compound of claim 1, wherein said compound is represented by formula (I-f)

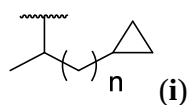


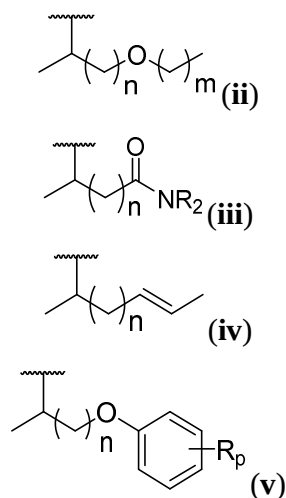
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

q is an integer from 0 to 4;

each Z is independently a substituent selected from the group consisting of C₁₋₄ alkyl, halo, halo-substituted-C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

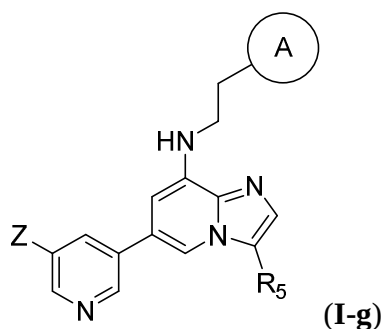
R₅ is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)





wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C_{1-4} alkyl, C_{1-4} alkenyl, C_{1-4} alkynyl, C_{2-6} cycloalkyl, C_{1-4} alkoxy, halo, halo-substituted- C_{1-4} alkyl, halo-substituted- C_{1-4} alkoxy, amino, $-C(O)R_{12a}$, $-S(O)_{0-2}R_{12a}$, $-C(O)OR_{12a}$, and $-C(O)NR_{12a}R_{12b}$, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl; or a salt thereof.

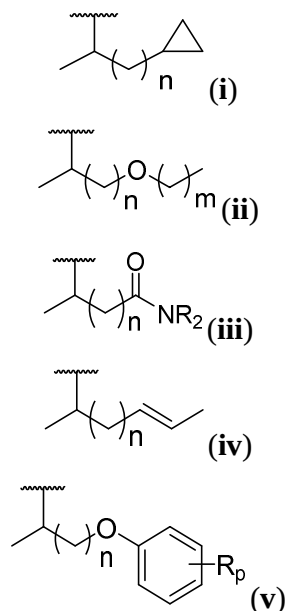
31. The compound of claim 1, wherein said compound is represented by formula (I-g)



wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

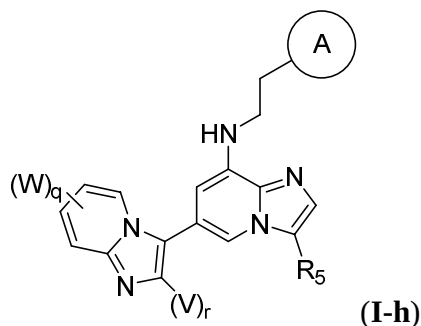
Z is a substituent selected from the group consisting of C_{1-4} alkyl, halo, halo-substituted- C_{1-4} alkyl, C_{1-4} alkenyl, C_{1-4} alkynyl, C_{2-6} cycloalkyl, C_{1-4} alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl; and

R₅ is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; or a salt thereof.

32. The compound of claim 1, wherein said compound is represented by formula (I-h)



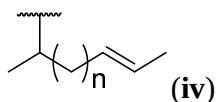
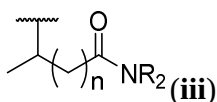
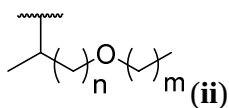
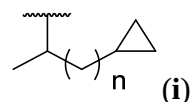
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

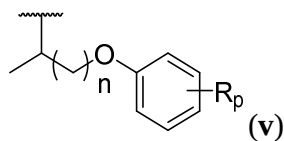
q is an integer from 0 to 4;

r is 0 or 1;

W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

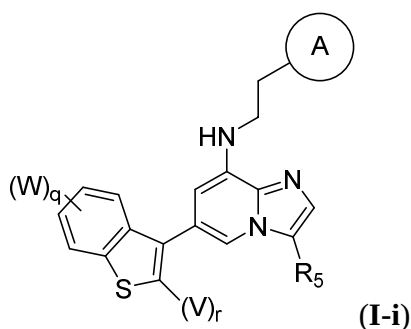
R₅ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)





wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; or a salt thereof.

33. The compound of claim 1, wherein said compound is represented by formula (I-i)



wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

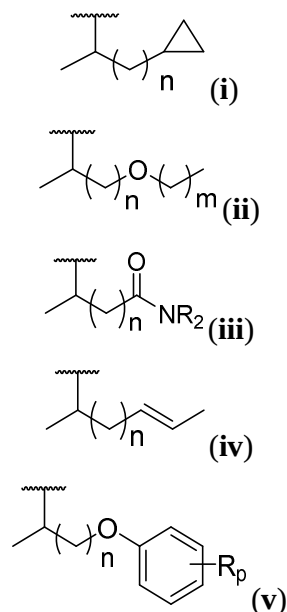
q is an integer from 0 to 4;

r is 0 or 1;

W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

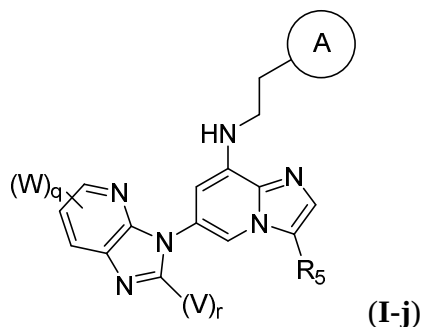
R₅ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-

triazol-4-yl)ethyl, wherein the C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein *n* is an integer from 1 to 6, *m* is an integer from 0 to 6, *p* is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; or a salt thereof.

34. The compound of claim 1, wherein said compound is represented by formula (I-j)



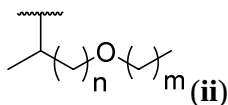
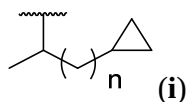
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

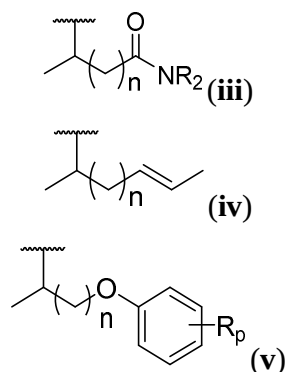
q is an integer from 0 to 4;

r is 0 or 1;

W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

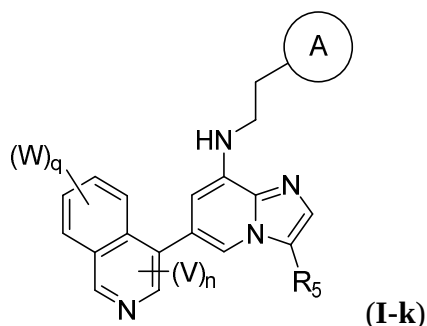
R₅ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)





wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C_{1-4} alkyl, C_{1-4} alkenyl, C_{1-4} alkynyl, C_{2-6} cycloalkyl, C_{1-4} alkoxy, halo, halo-substituted- C_{1-4} alkyl, halo-substituted- C_{1-4} alkoxy, amino, $-C(O)R_{12a}$, $-S(O)_{0-2}R_{12a}$, $-C(O)OR_{12a}$, and $-C(O)NR_{12a}R_{12b}$, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl; or a salt thereof.

35. The compound of claim 1, wherein said compound is represented by formula (I-k)



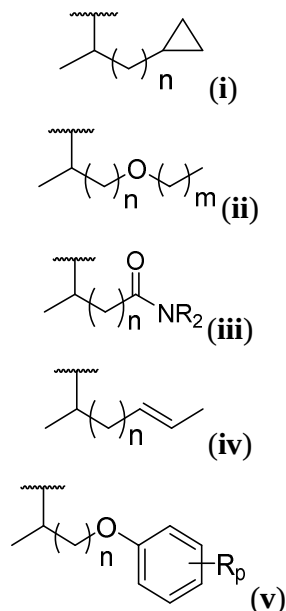
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

q is an integer from 0 to 4;

r is 0 or 1;

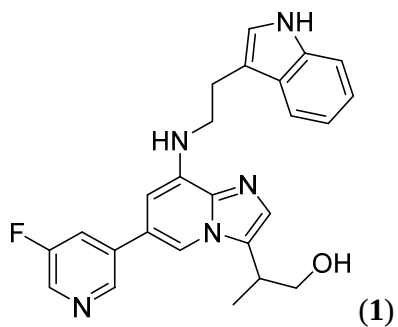
W and V are each independently a substituent selected from the group consisting of C_{1-4} alkyl, halo, halo-substituted- C_{1-4} alkyl, C_{1-4} alkenyl, C_{1-4} alkynyl, C_{2-6} cycloalkyl, C_{1-4} alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl; and

R₅ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



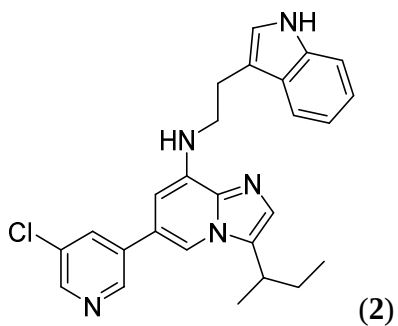
wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; or a salt thereof.

36. The compound of claim 1, wherein said compound is compound (1)



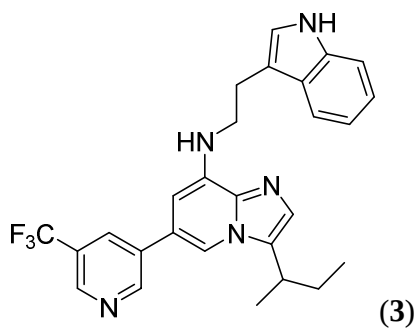
or a salt thereof.

37. The compound of claim 1, wherein said compound is compound (2)



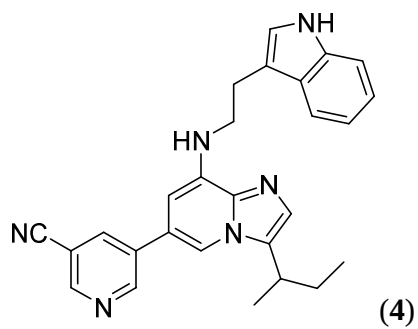
or a salt thereof.

38. The compound of claim 1, wherein said compound is compound (3)



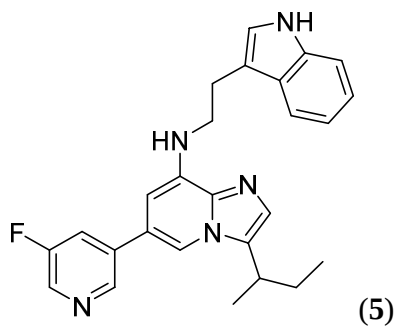
or a salt thereof.

39. The compound of claim 1, wherein said compound is compound (4)



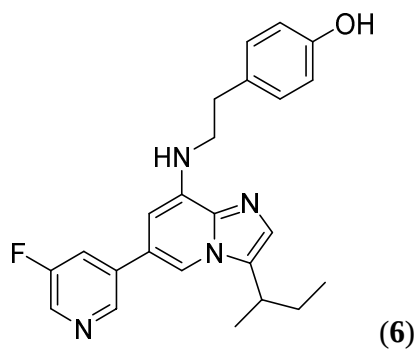
or a salt thereof.

40. The compound of claim 1, wherein said compound is compound (5)



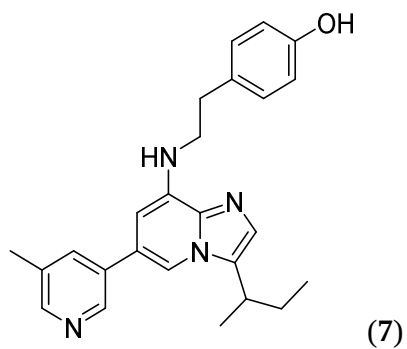
or a salt thereof.

41. The compound of claim 1, wherein said compound is compound (6)



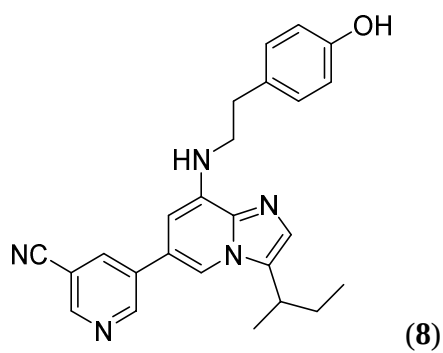
or a salt thereof.

42. The compound of claim 1, wherein said compound is compound (7)



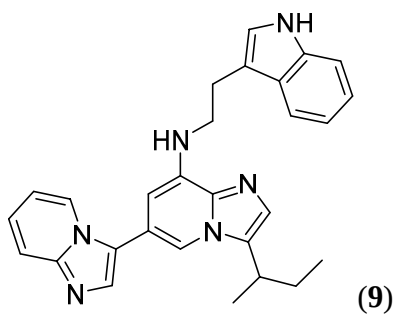
or a salt thereof.

43. The compound of claim 1, wherein said compound is compound (8)



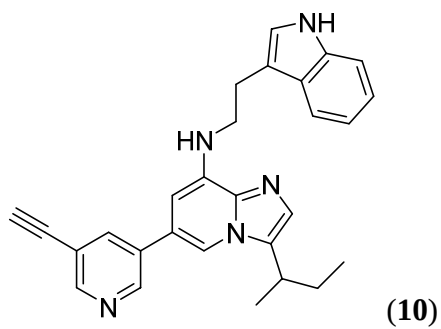
or a salt thereof.

44. The compound of claim 1, wherein said compound is compound (9)



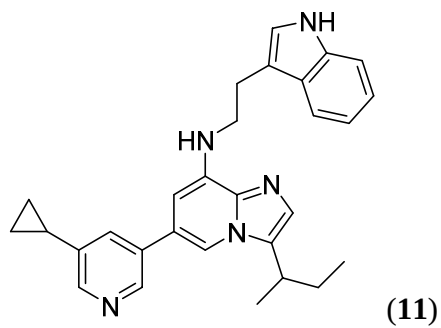
or a salt thereof.

45. The compound of claim 1, wherein said compound is compound (10)



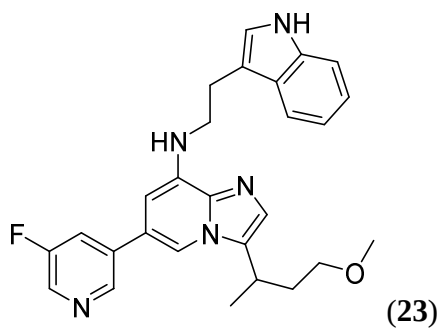
or a salt thereof.

46. The compound of claim 1, wherein said compound is compound (11)



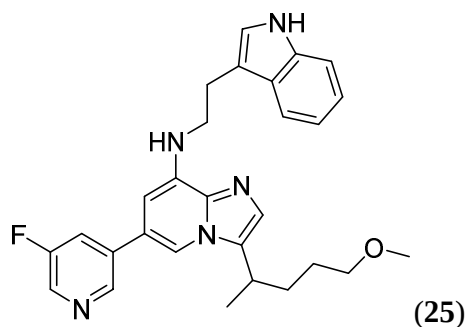
or a salt thereof.

47. The compound of claim 1, wherein said compound is compound (23)



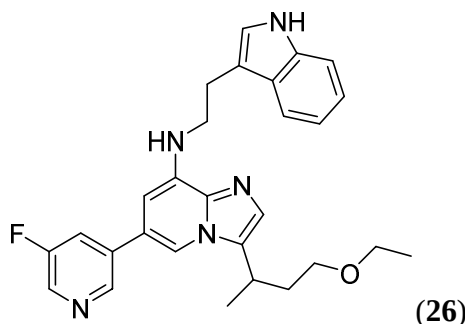
or a salt thereof.

48. The compound of claim 1, wherein said compound is compound (25)



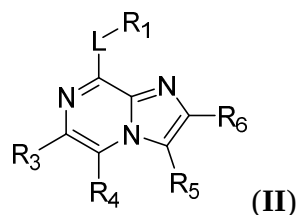
or a salt thereof.

49. The compound of claim 1, wherein said compound is compound (26)



or a salt thereof.

50. A compound represented by formula (II)



wherein L is selected from the group consisting of $-NR_{7a}(CR_{8a}R_{8b})_n-$, $-O(CR_{8a}R_{8b})_n-$, $-C(O)(CR_{8a}R_{8b})_n-$, $-C(S)(CR_{8a}R_{8b})_n-$, $-S(O)_{0-2}(CR_{8a}R_{8b})_n-$, $-(CR_{8a}R_{8b})_n-$, $-NR_{7a}C(O)(CR_{8a}R_{8b})_n-$, $-NR_{7a}C(S)(CR_{8a}R_{8b})_n-$, $-OC(O)(CR_{8a}R_{8b})_n-$, $-OC(S)(CR_{8a}R_{8b})_n-$, $-C(O)NR_{7a}(CR_{8a}R_{8b})_n-$, $-C(S)NR_{7a}(CR_{8a}R_{8b})_n-$, $-C(O)O(CR_{8a}R_{8b})_n-$, $-C(S)O(CR_{8a}R_{8b})_n-$, $-S(O)_2NR_{7a}(CR_{8a}R_{8b})_n-$, $-NR_{7a}S(O)_2(CR_{8a}R_{8b})_n-$, $-NR_{7a}C(O)NR_{7b}(CR_{8a}R_{8b})_n-$, and $-NR_{7a}C(O)O(CR_{8a}R_{8b})_n-$, wherein R_{7a} , R_{7b} , R_{8a} , and R_{8b} are each independently selected from the group consisting of hydrogen and optionally substituted C1-4 alkyl, and each n is independently an integer from 2 to 6;

R₁ is selected from the group consisting of -S(O)₂NR_{9a}R_{9b}, -NR_{9a}C(O)R_{9b}, -NR_{9a}C(S)R_{9b}, -NR_{9a}C(O)NR_{9b}R_{9c}, -C(O)R_{9a}, -C(S)R_{9a}, -S(O)₀₋₂R_{9a}, -C(O)OR_{9a}, -C(S)OR_{9a}, -C(O)NR_{9a}R_{9b}, -C(S)NR_{9a}R_{9b}, -NR_{9a}S(O)₂R_{9b}, -NR_{9a}C(O)OR_{9b}, -OC(O)CR_{9a}R_{9b}R_{9c}, -OC(S)CR_{9a}R_{9b}R_{9c}, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl, wherein R_{9a}, R_{9b}, and R_{9c} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

R₃ is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

R₄ is selected from the group consisting of hydrogen and optionally substituted C1-4 alkyl;

R₅ is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; and

R₆ is selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; or a salt thereof.

51. The compound of claim 50, wherein R₁ is selected from the group consisting of -S(O)₂NR_{9a}R_{9b}, -NR_{9a}C(O)R_{9b}, -NR_{9a}C(S)R_{9b}, -NR_{9a}C(O)NR_{9b}R_{9c}, -C(O)R_{9a}, -C(S)R_{9a}, -S(O)₀₋₂R_{9a}, -C(O)OR_{9a}, -C(S)OR_{9a}, -C(O)NR_{9a}R_{9b}, -C(S)NR_{9a}R_{9b}, -NR_{9a}S(O)₂R_{9b}, -NR_{9a}C(O)OR_{9b}, -OC(O)CR_{9a}R_{9b}R_{9c}, -OC(S)CR_{9a}R_{9b}R_{9c}, phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzimidazolyl, and 1H-indazolyl, wherein said phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -

$\text{O}(\text{CH}_2)_2\text{NR}_{10a}\text{R}_{10b}$, $-\text{S}(\text{O})_2\text{NR}_{10a}\text{R}_{10b}$, $-\text{OS}(\text{O})_2\text{NR}_{10a}\text{R}_{10b}$, and $-\text{NR}_{10a}\text{S}(\text{O})_2\text{R}_{10b}$, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl.

52. The compound of claim 51, wherein R_1 is selected from the group consisting of $-\text{S}(\text{O})_2\text{NR}_{9a}\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{S})\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{NR}_{9b}\text{R}_{9c}$, $-\text{C}(\text{O})\text{R}_{9a}$, $-\text{C}(\text{S})\text{R}_{9a}$, $-\text{S}(\text{O})_2\text{R}_{9a}$, $-\text{C}(\text{O})\text{OR}_{9a}$, $-\text{C}(\text{S})\text{OR}_{9a}$, $-\text{C}(\text{O})\text{NR}_{9a}\text{R}_{9b}$, $-\text{C}(\text{S})\text{NR}_{9a}\text{R}_{9b}$, $-\text{NR}_{9a}\text{S}(\text{O})_2\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{OR}_{9b}$, $-\text{OC}(\text{O})\text{CR}_{9a}\text{R}_{9b}\text{R}_{9c}$, and $-\text{OC}(\text{S})\text{CR}_{9a}\text{R}_{9b}\text{R}_{9c}$.

53. The compound of claim 51, wherein R_1 is selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein said phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-\text{O}(\text{CH}_2)_2\text{NR}_{10a}\text{R}_{10b}$, $-\text{S}(\text{O})_2\text{NR}_{10a}\text{R}_{10b}$, $-\text{OS}(\text{O})_2\text{NR}_{10a}\text{R}_{10b}$, and $-\text{NR}_{10a}\text{S}(\text{O})_2\text{R}_{10b}$.

54. The compound of claim 53, wherein R_1 is selected from the group consisting of phenyl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, and 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl, wherein said phenyl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, or 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-\text{O}(\text{CH}_2)_2\text{NR}_{10a}\text{R}_{10b}$, $-\text{S}(\text{O})_2\text{NR}_{10a}\text{R}_{10b}$, $-\text{OS}(\text{O})_2\text{NR}_{10a}\text{R}_{10b}$, and $-\text{NR}_{10a}\text{S}(\text{O})_2\text{R}_{10b}$.

55. The compound of claim 54, wherein R_1 is selected from the group consisting of phenyl, phenol-4-yl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, and 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl.

56. The compound of claim 55, wherein R_1 is selected from the group consisting of phenol-4-yl and 1H-indol-3-yl.

57. The compound of any one of claims 50-56, wherein L is selected from the group consisting of $-NR_{7a}(CR_{8a}R_{8b})_n-$ and $-O(CR_{8a}R_{8b})_n-$.

58. The compound of any one of claims 50-57, wherein R_3 is selected from the group consisting of phenyl, thiophenyl, furanyl, 1H-benzoimidazolyl, quinolinyl, isoquinolinyl, imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, and thiazolyl, wherein said phenyl, thiophenyl, furanyl, 1H-benzoimidazolyl, quinolinyl, isoquinolinyl, imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, or thiazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C_{1-4} alkyl, C_{1-4} alkenyl, C_{1-4} alkynyl, C_{2-6} cycloalkyl, C_{1-4} alkoxy, halo, halo-substituted- C_{1-4} alkyl, halo-substituted- C_{1-4} alkoxy, amino, $-C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, and wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl.

59. The compound of claim 58, wherein R_3 is selected from the group consisting of thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, imidazo[1,2-a]pyridin-3-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-imidazol-1-yl, pyrazin-2-yl, pyridazin-4-yl, 1H-pyrrol-2-yl and thiazol-5-yl, wherein said thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-imidazol-1-yl, pyrazin-2-yl,

pyridazin-4-yl, 1H-pyrrol-2-yl, or thiazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}.

60. The compound of claim 59, wherein R₃ is selected from the group consisting of thiophen-3-yl, benzo[b]thiophen-3-yl, pyridin-3-yl, pyrimidin-5-yl, 1H-imidazol-1-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, and imidazo[1,2-a]pyridin-3-yl, wherein said thiophen-3-yl, benzo[b]thiophen-3-yl, pyridin-3-yl, pyrimidin-5-yl, 1H-imidazol-1-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, or imidazo[1,2-a]pyridin-3-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}.

61. The compound of claim 60, wherein R₃ is pyridin-3-yl, wherein said pyridin-3-yl is optionally substituted at C5 with a substituent selected from the group consisting of C₁₋₄ alkyl, halo, halo-substituted-C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}.

62. The compound of claim 61, wherein said pyridin-3-yl is substituted at C5 with a substituent selected from the group consisting of ethoxycarbonyl, methoxy, cyano, methyl, methylsulfonyl, fluoro, chloro, trifluoromethyl, ethynyl, and cyclopropyl.

63. The compound of claim 60, wherein R₃ is imidazo[1,2-a]pyridin-3-yl, wherein said imidazo[1,2-a]pyridin-3-yl is optionally substituted with a substituent selected from the group consisting of C₁₋₄ alkyl, halo, halo-substituted-C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}.

64. The compound of claim 60, wherein R_3 is benzo[b]thiophen-3-yl, wherein said benzo[b]thiophen-3-yl is optionally substituted with a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

65. The compound of claim 60, wherein R_3 is 1H-imidazo[4,5-b]pyridin-1-yl, wherein said 1H-imidazo[4,5-b]pyridin-1-yl is optionally substituted with a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

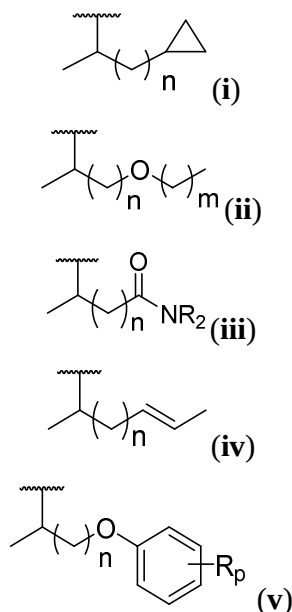
66. The compound of claim 60, wherein R_3 is isoquinolin-4-yl, wherein said isoquinolin-4-yl is optionally substituted with a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

67. The compound of any one of claims 50-66, wherein R_4 is hydrogen.

68. The compound of any one of claims 50-67, wherein R_5 is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein said C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl.

69. The compound of claim 68, wherein R_5 is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl.

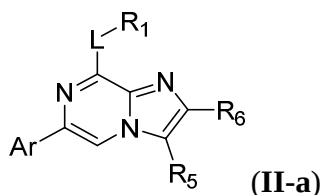
70. The compound of any one of claims 50-67, wherein R_5 is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-C(O)R_{12a}$, $-S(O)_{0-2}R_{12a}$, $-C(O)OR_{12a}$, and $-C(O)NR_{12a}R_{12b}$, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C1-4 alkyl.

71. The compound of any one of claims 50-70, wherein R_6 is hydrogen.

72. The compound of claim 50, wherein said compound is represented by formula (II-a)



wherein L is selected from the group consisting of $-\text{NR}_{7a}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{O}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{O})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{S})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{S}(\text{O})_{0-2}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{NR}_{7a}\text{C}(\text{O})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{NR}_{7a}\text{C}(\text{S})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{OC}(\text{O})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{OC}(\text{S})(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{O})\text{NR}_{7a}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{S})\text{NR}_{7a}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{O})\text{O}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{C}(\text{S})\text{O}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{S}(\text{O})_2\text{NR}_{7a}(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{NR}_{7a}\text{S}(\text{O})_2(\text{CR}_{8a}\text{R}_{8b})_n-$, $-\text{NR}_{7a}\text{C}(\text{O})\text{NR}_{7b}(\text{CR}_{8a}\text{R}_{8b})_n-$, and $-\text{NR}_{7a}\text{C}(\text{O})\text{O}(\text{CR}_{8a}\text{R}_{8b})_n-$, wherein R_{7a} , R_{7b} , R_{8a} , and R_{8b} are each independently selected from the group consisting of hydrogen and optionally substituted C1-4 alkyl, and each n is independently an integer from 2 to 6;

R_1 is selected from the group consisting of $-\text{S}(\text{O})_2\text{NR}_{9a}\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{S})\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{NR}_{9b}\text{R}_{9c}$, $-\text{C}(\text{O})\text{R}_{9a}$, $-\text{C}(\text{S})\text{R}_{9a}$, $-\text{S}(\text{O})_{0-2}\text{R}_{9a}$, $-\text{C}(\text{O})\text{OR}_{9a}$, $-\text{C}(\text{S})\text{OR}_{9a}$, $-\text{C}(\text{O})\text{NR}_{9a}\text{R}_{9b}$, $-\text{C}(\text{S})\text{NR}_{9a}\text{R}_{9b}$, $-\text{NR}_{9a}\text{S}(\text{O})_2\text{R}_{9b}$, $-\text{NR}_{9a}\text{C}(\text{O})\text{OR}_{9b}$, $-\text{OC}(\text{O})\text{CR}_{9a}\text{R}_{9b}\text{R}_{9c}$, $-\text{OC}(\text{S})\text{CR}_{9a}\text{R}_{9b}\text{R}_{9c}$, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl, wherein R_{9a} , R_{9b} , and R_{9c} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

Ar is selected from the group consisting of optionally substituted monocyclic aryl and heteroaryl;

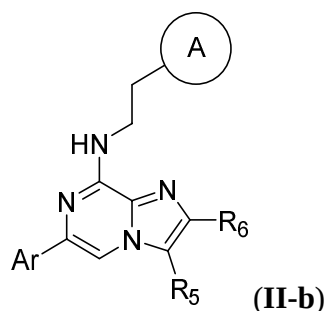
R_5 is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; and

R_6 is selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; or a salt thereof.

73. The compound of claim 72, wherein R_1 is selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl,

2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl.

74. The compound of claim 50, wherein said compound is represented by formula **(II-b)**



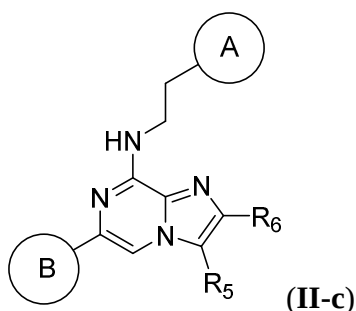
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

Ar is selected from the group consisting of optionally substituted monocyclic aryl and heteroaryl;

R₅ is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; and

R₆ is selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; or a salt thereof.

75. The compound of claim 50, wherein said compound is represented by formula (II-c)



wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -S(O)₂NR_{10a}R_{10b}, -OS(O)₂NR_{10a}R_{10b}, and -NR_{10a}S(O)₂R_{10b}, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

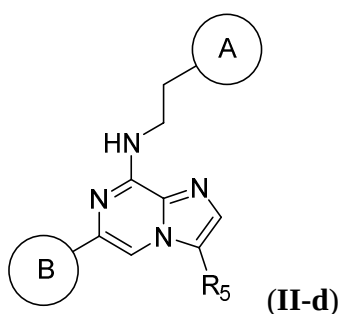
B is an optionally substituted ring system selected from the group consisting of thiophenyl, furanyl, 1H-benzoimidazolyl, isoquinolinyl, imidazopyridinyl, benzothiophenyl,

pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, and thiazolyl, wherein the thiophenyl, furanyl, 1H-benzoimidazolyl, isoquinolinyl, 1H-imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, or thiazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl;

R₅ is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; and

R₆ is selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; or a salt thereof.

76. The compound of claim 50, wherein said compound is represented by formula (II-d)



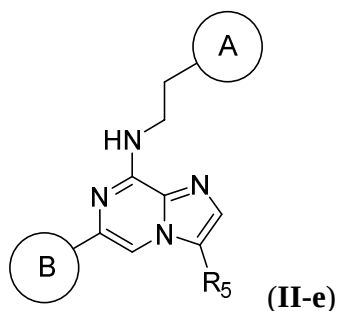
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, -O(CH₂)₂NR_{10a}R_{10b}, -

$\text{S(O)}_2\text{NR}_{10a}\text{R}_{10b}$, $-\text{OS(O)}_2\text{NR}_{10a}\text{R}_{10b}$, and $-\text{NR}_{10a}\text{S(O)}_2\text{R}_{10b}$, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

B is an optionally substituted ring system selected from the group consisting of thiophenyl, furanyl, 1H-benzimidazolyl, isoquinolinyl, imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, and thiazolyl, wherein the thiophenyl, furanyl, 1H-benzimidazolyl, isoquinolinyl, 1H-imidazopyridinyl, benzothiophenyl, pyrimidinyl, pyridinyl, 1H-imidazolyl, pyrazinyl, pyridazinyl, 1H-pyrrolyl, or thiazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkenyl, C₁₋₄ alkynyl, C₂₋₆ cycloalkyl, C₁₋₄ alkoxy, halo, halo-substituted-C₁₋₄ alkyl, halo-substituted-C₁₋₄ alkoxy, amino, $-\text{C(O)}\text{R}_{11a}$, $-\text{S(O)}_{0-2}\text{R}_{11a}$, $-\text{C(O)}\text{OR}_{11a}$, and $-\text{C(O)}\text{NR}_{11a}\text{R}_{11b}$, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

R_5 is selected from the group consisting of optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl; or a salt thereof.

77. The compound of claim 50, wherein said compound is represented by formula (II-e)

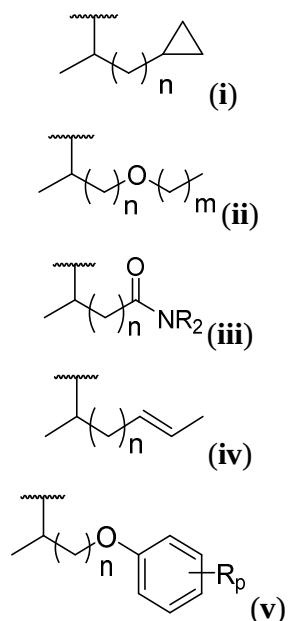


wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, and 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl, wherein the phenyl, 1H-indol-2-yl, 1H-indol-3-yl, thiophen-3-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-1,2,4-triazol-3-yl, 1H-1,2,4-

triazol-5-yl, 2-oxoimidazolidin-1-yl, 1H-pyrazol-3-yl, 1H-pyrazol-4-yl, or 2-oxo-2,3-dihydro-1H-benzo[d]imidazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-O(CH_2)_2NR_{10a}R_{10b}$, $-S(O)_2NR_{10a}R_{10b}$, $-OS(O)_2NR_{10a}R_{10b}$, and $-NR_{10a}S(O)_2R_{10b}$, wherein R_{10a} and R_{10b} are each independently selected from the group consisting of hydrogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

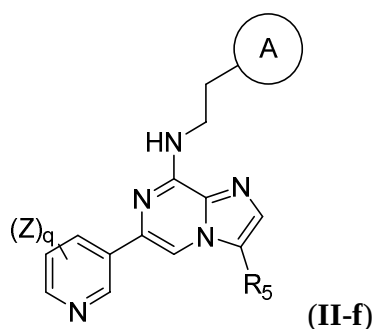
B is an optionally substituted ring system selected from the group consisting of thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, imidazo[1,2-a]pyridin-3-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-imidazol-1-yl, pyrazin-2-yl, pyridazin-4-yl, 1H-pyrrol-2-yl and thiazol-5-yl, wherein the thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 1H-imidazol-1-yl, pyrazin-2-yl, pyridazin-4-yl, 1H-pyrrol-2-yl, or thiazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

R_5 is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R_5 is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C_{1-4} alkyl, C_{1-4} alkenyl, C_{1-4} alkynyl, C_{2-6} cycloalkyl, C_{1-4} alkoxy, halo, halo-substituted- C_{1-4} alkyl, halo-substituted- C_{1-4} alkoxy, amino, $-C(O)R_{12a}$, $-S(O)_{0-2}R_{12a}$, $-C(O)OR_{12a}$, and $-C(O)NR_{12a}R_{12b}$, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl; or a salt thereof.

78. The compound of claim 50, wherein said compound is represented by formula **(II-f)**

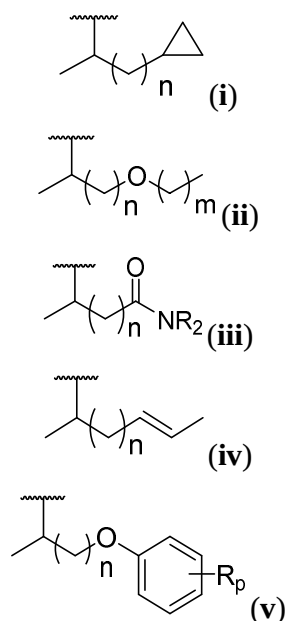


wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

q is an integer from 0 to 4;

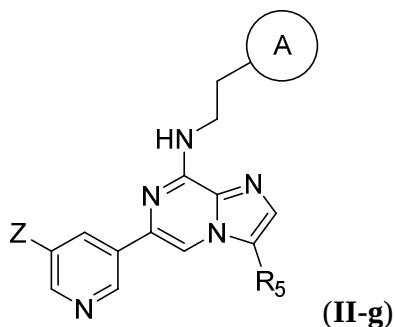
each Z is independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

R₅ is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; or a salt thereof.

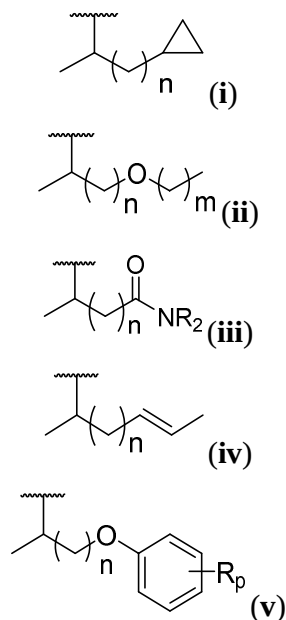
79. The compound of claim 50, wherein said compound is represented by formula (II-g)



wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

Z is a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

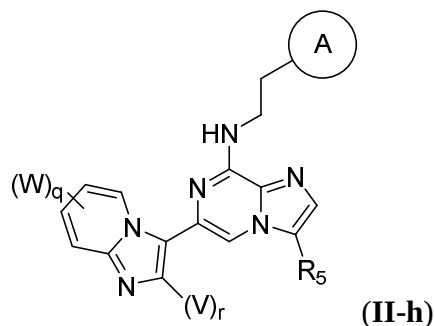
R₅ is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-

substituted-C1-4 alkoxy, amino, $-C(O)R_{12a}$, $-S(O)_{0-2}R_{12a}$, $-C(O)OR_{12a}$, and $-C(O)NR_{12a}R_{12b}$, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl; or a salt thereof.

80. The compound of claim 50, wherein said compound is represented by formula **(II-h)**



wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

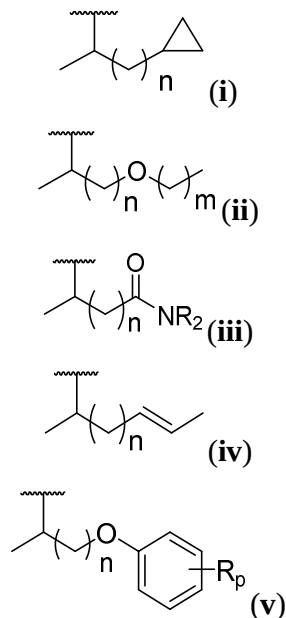
q is an integer from 0 to 4;

r is 0 or 1;

W and V are each independently a substituent selected from the group consisting of C_{1-4} alkyl, halo, halo-substituted- C_{1-4} alkyl, C_{1-4} alkenyl, C_{1-4} alkynyl, C_{2-6} cycloalkyl, C_{1-4} alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl; and

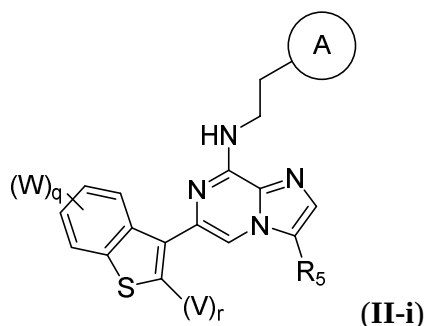
R_5 is selected from the group consisting of C_{1-10} alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C_{1-10} alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of

hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R_5 is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-C(O)R_{12a}$, $-S(O)_{0-2}R_{12a}$, $-C(O)OR_{12a}$, and $-C(O)NR_{12a}R_{12b}$, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl; or a salt thereof.

81. The compound of claim 50, wherein said compound is represented by formula (II-i)



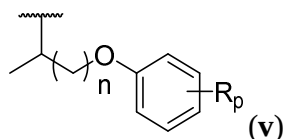
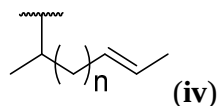
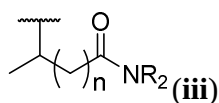
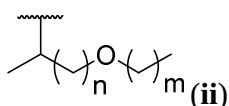
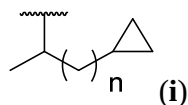
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

q is an integer from 0 to 4;

r is 0 or 1;

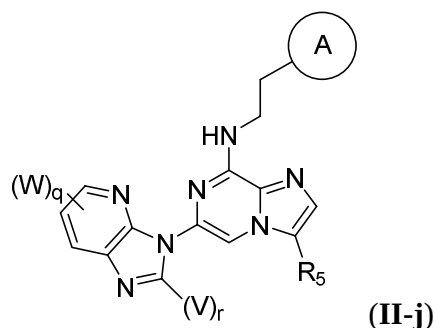
W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

R₅ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; or a salt thereof.

82. The compound of claim 50, wherein said compound is represented by formula (II-j)



wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

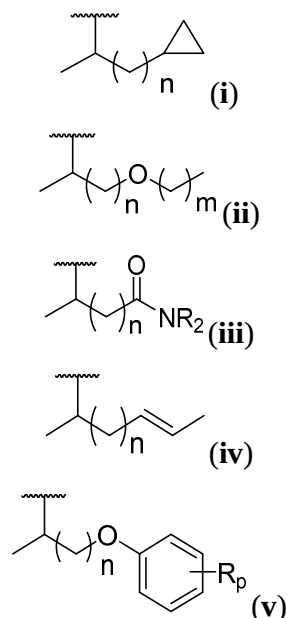
q is an integer from 0 to 4;

r is 0 or 1;

W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

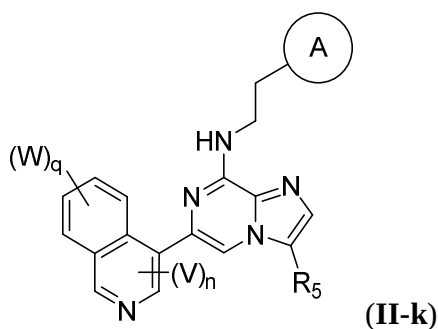
R₅ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl,

or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)



wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; or a salt thereof.

83. The compound of claim 50, wherein said compound is represented by formula (II-k)



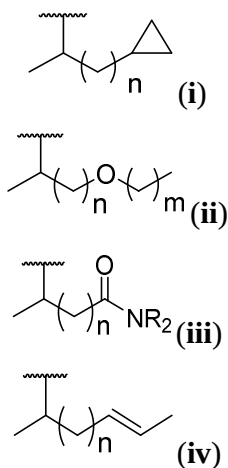
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

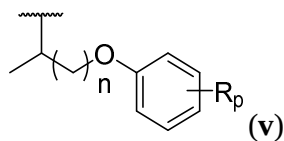
q is an integer from 0 to 4;

r is 0 or 1;

W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, C(O)R_{11a}, -S(O)₀₋₂R_{11a}, -C(O)OR_{11a}, and -C(O)NR_{11a}R_{11b}, wherein R_{11a} and R_{11b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl; and

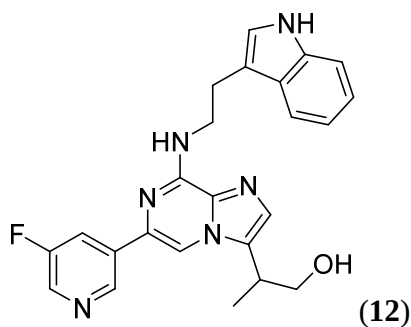
R₅ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl, wherein the C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl, and halo-substituted-C1-4alkyl, or R₅ is selected from the group consisting of (i), (ii), (iii), (iv), and (v)





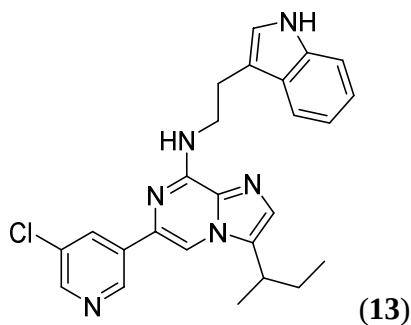
wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C₁₋₄ alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -C(O)R_{12a}, -S(O)₀₋₂R_{12a}, -C(O)OR_{12a}, and -C(O)NR_{12a}R_{12b}, and wherein R_{12a} and R_{12b} are each independently selected from the group consisting of hydrogen and C₁₋₄ alkyl;
or a salt thereof.

84. The compound of claim 50, wherein said compound is compound (12)



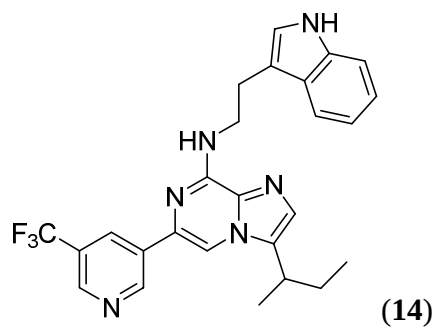
or a salt thereof.

85. The compound of claim 50, wherein said compound is compound (13)



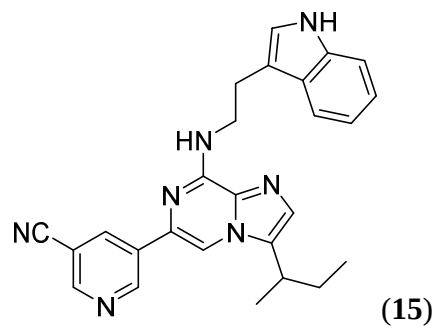
or a salt thereof.

86. The compound of claim 50, wherein said compound is compound (14)



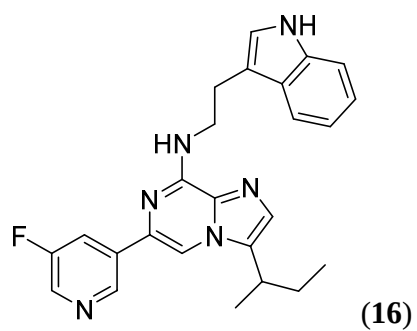
or a salt thereof.

87. The compound of claim 50, wherein said compound is compound (15)



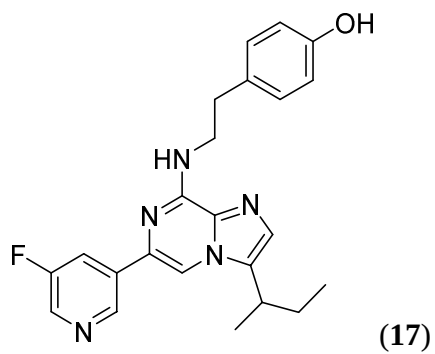
or a salt thereof.

88. The compound of claim 50, wherein said compound is compound (16)



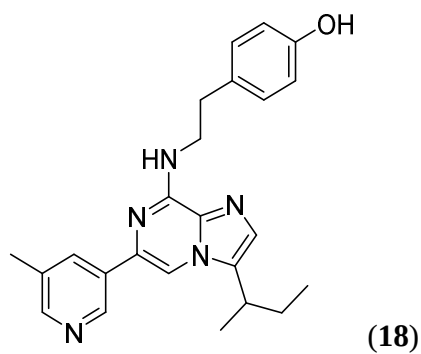
or a salt thereof.

89. The compound of claim 50, wherein said compound is compound (17)



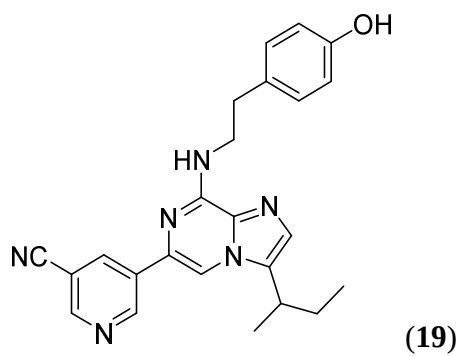
or a salt thereof.

90. The compound of claim 50, wherein said compound is compound **(18)**



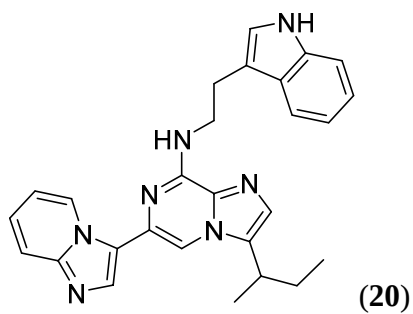
or a salt thereof.

91. The compound of claim 50, wherein said compound is compound **(19)**



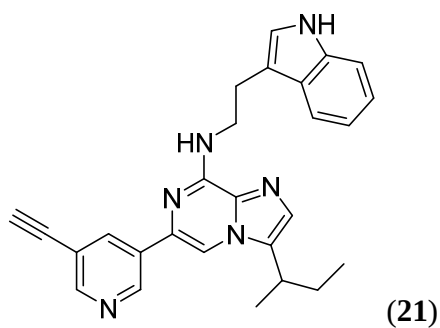
or a salt thereof.

92. The compound of claim 50, wherein said compound is compound **(20)**



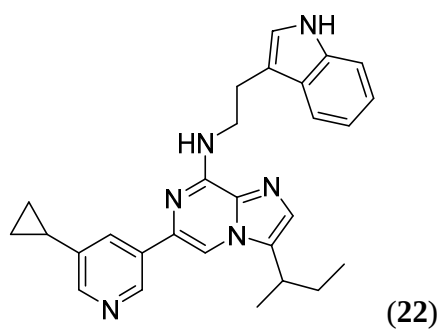
or a salt thereof.

93. The compound of claim 50, wherein said compound is compound (21)



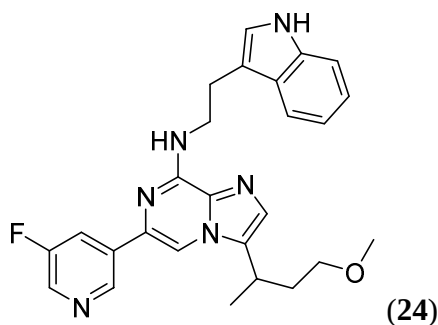
or a salt thereof.

94. The compound of claim 50, wherein said compound is compound (22)



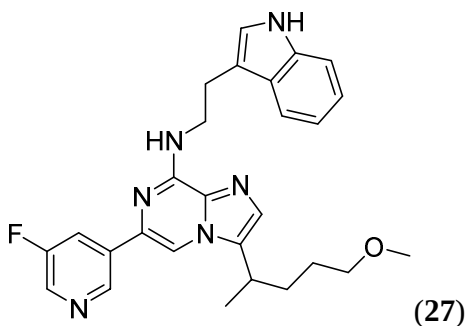
or a salt thereof.

95. The compound of claim 50, wherein said compound is compound (24)



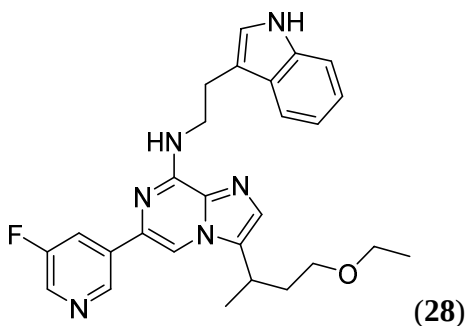
or a salt thereof.

96. The compound of claim 50, wherein said compound is compound (27)



or a salt thereof.

97. The compound of claim 50, wherein said compound is compound (28)



or a salt thereof.

98. A method of producing an expanded population of hematopoietic stem cells ex vivo, said method comprising contacting a population of hematopoietic stem cells with the compound of any one of claims 1-97 in an amount sufficient to produce an expanded population of hematopoietic stem cells.

99. A method of enriching a population of cells with hematopoietic stem cells ex vivo, said method comprising contacting a population of hematopoietic stem cells with the compound of any one of claims 1-97 in an amount sufficient to produce a population of cells enriched with hematopoietic stem cells.

100. A method of maintaining the hematopoietic stem cell functional potential of a population of hematopoietic stem cells ex vivo for two or more days, said method comprising contacting a first population of hematopoietic stem cells with the compound of any one of claims 1-97, wherein the first population of hematopoietic stem cells exhibits a hematopoietic stem cell functional potential after two or more days that is greater than that of a control population of hematopoietic stem cells cultured under the same conditions and for the same time as said first population of hematopoietic stem cells but not contacted with said compound.

101. The compound of any one of claims 1-97 for use in producing an expanded population of hematopoietic stem cells ex vivo, wherein a population of hematopoietic stem cells is contacted with the compound in an amount sufficient to produce said expanded population of hematopoietic stem cells.

102. The compound of any one of claims 1-97 for use in producing an enriched population of cells with hematopoietic stem cells ex vivo, wherein a population of hematopoietic stem cells is contacted with the compound in an amount sufficient to produce said enriched population of cells with hematopoietic stem cells.

103. The compound of any one of claims 1-97 for use in maintaining the hematopoietic stem cell functional potential of a population of hematopoietic stem cells ex vivo for two or more days, wherein the first population of hematopoietic stem cells is contacted with the compound, wherein the first population of hematopoietic stem cells exhibits a hematopoietic stem cell functional potential after two or more days that is greater than that of a control population of hematopoietic stem cells cultured under the same conditions and for the same time as said first population of hematopoietic stem cells but not contacted with the compound.

104. Use of the compound of any one of claims 1-97 in the manufacture of an expanded population of hematopoietic stem cells ex vivo, wherein a population of hematopoietic stem cells is contacted with the compound in an amount sufficient to produce said expanded population of hematopoietic stem cells.

105. Use of the compound of any one of claims 1-97 in the manufacture of an enriched population of cells with hematopoietic stem cells ex vivo, wherein a population of hematopoietic stem cells is contacted with the compound in an amount sufficient to produce said enriched population of cells with hematopoietic stem cells.

106. Use of the compound of any one of claims 1-97 in the manufacture of the maintenance of the hematopoietic stem cell functional potential of a population of hematopoietic stem cells ex vivo for two or more days, wherein the first population of hematopoietic stem cells is contacted with the compound, wherein the first population of hematopoietic stem cells exhibits a hematopoietic stem cell functional potential after two or more days that is greater than that of a control population of hematopoietic stem cells cultured under the same conditions and for the same time as said first population of hematopoietic stem cells but not contacted with the compound.

107. The method of claim 100, the compound for use of claim 103, or the use of claim 106, wherein said first population of hematopoietic stem cells exhibits a hematopoietic stem cell functional potential after three or more days of culture that is greater than that of said control population of hematopoietic stem cells.

108. The method of claim 100, the compound for use of claim 103, or the use of claim 106, wherein said first population of hematopoietic stem cells exhibits a hematopoietic stem cell functional potential after ten or more days of culture that is greater than that of said control population of hematopoietic stem cells.

109. The method of claim 100, the compound for use of claim 103, or the use of claim 106, wherein said first population of hematopoietic stem cells exhibits a hematopoietic stem cell functional potential after thirty or more days of culture that is greater than that of said control population of hematopoietic stem cells.

110. The method of claim 100, the compound for use of claim 103, or the use of claim 106, wherein said first population of hematopoietic stem cells exhibits a hematopoietic stem cell functional potential after sixty or more days of culture that is greater than that of said control population of hematopoietic stem cells.

111. The method of any one of claims 98-100 and 107-110, the compound for use of any one of claim 101-103 and 107-110, or the use of any one of claims 104-110, wherein said hematopoietic stem cells are mammalian cells.

112. The method, the compound for use, or the use of claim 111, wherein said mammalian cells are human cells.

113. The method, the compound for use, or the use of claim 112, wherein said human cells are CD34+ cells.

114. The method, the compound for use, or the use of claim 113, wherein said CD34+ cells are CD34+ cells, CD34+CD38- cells, CD34+CD38-CD90+ cells, CD34+CD38-CD90+CD45RA- cells, CD34+CD38-CD90+CD45RA-CD49F+ cells, or CD34+CD90+CD45RA- cells.

115. The method of any one of claims 98-100 and 107-114, the compound for use of any one of claim 101-103 and 107-114, or the use of any one of claims 104-114, wherein said hematopoietic stem cells are obtained from human cord blood.

116. The method of any one of claims 98-100 and 107-114, the compound for use of any one of claims 101-103 and 107-114, or the use of any one of claims 104-114, wherein said hematopoietic stem cells are obtained from mobilized human peripheral blood.

117. The method of any one of claims 98-100 and 107-114, the compound for use of any one of claims 101-103 and 107-114, or the use of any one of claims 104-114, wherein said hematopoietic stem cells are obtained from human bone marrow.

118. The method of any one of claims 98-100 and 107-117, the compound for use of any one of claims 101-103 and 107-117, or the use of any one of claims 104-117, wherein said hematopoietic stem cells are freshly isolated from said human.

119. The method of any one of claims 98-100 and 107-117, the compound for use of any one of claims 101-103 and 107-117, or the use of any one of claims 104-117, wherein said hematopoietic stem cells have been previously cryopreserved.

120. The method of any one of claims 98-100 and 107-119, the compound for use of any one of claims 101-103 and 107-119, or the use of any one of claims 104-119, wherein said hematopoietic stem cells or progeny thereof maintain hematopoietic stem cell functional potential after two or more days upon transplantation of said hematopoietic stem cells into a human subject.

121. The method of any one of claims 98-100 and 107-120, the compound for use of any one of claims 101-103 and 107-120, or the use of any one of claims 104-120, wherein said hematopoietic stem cells or progeny thereof are capable of localizing to hematopoietic tissue and reestablishing hematopoiesis upon transplantation of said hematopoietic stem cells into a human subject.

122. The method of any one of claims 98-100 and 107-121, the compound for use of any one of claims 101-103 and 107-121, or the use of any one of claims 104-121, wherein upon transplantation into a human subject, said hematopoietic stem cells give rise to a population of

cells selected from the group consisting of megakaryocytes, thrombocytes, platelets, erythrocytes, mast cells, myoblasts, basophils, neutrophils, eosinophils, microglia, granulocytes, monocytes, osteoclasts, antigen-presenting cells, macrophages, dendritic cells, natural killer cells, T-lymphocytes, and B-lymphocytes.

123. The compound of any one of claims 1-97 for use in treating a human patient suffering from a disorder by administering to said patient a population of hematopoietic stem cells, wherein said hematopoietic stem cells were produced by contacting said hematopoietic stem cells or progenitors thereof with the compound.

124. The compound of any one of claims 1-97 for use in preparing an expanded population of hematopoietic stem cells for transplantation into a human patient suffering from a disorder by contacting a first population of hematopoietic stem cells with the compound for a time sufficient to produce said expanded population of hematopoietic stem cells.

125. The compound of any one of claims 1-97 for use in treating a human patient suffering from a disorder by:

a. preparing an expanded population of hematopoietic stem cells by contacting a first population of hematopoietic stem cells with the compound for a time sufficient to produce said expanded population of hematopoietic stem cells; and

b. administering said expanded population of hematopoietic stem cells to said patient.

126. The compound of any one of claims 1-97 for use in treating a disorder in a human patient in need thereof by administering an expanded population of hematopoietic stem cells to the patient, wherein the expanded population of hematopoietic stem cells is prepared by contacting a first population of hematopoietic stem cells with the compound for a time sufficient to produce the expanded population of hematopoietic stem cells.

127. Use of the compound of any one of claims 1-97 in the manufacture of a medicament for treating a human patient suffering from a disorder by administering to said patient a population

of hematopoietic stem cells, wherein said hematopoietic stem cells were produced by contacting said hematopoietic stem cells or progenitors thereof with the compound.

128. Use of the compound of any one of claims 1-97 in the manufacture of a medicament for preparing an expanded population of hematopoietic stem cells for transplantation into a human patient suffering from a disorder by contacting a first population of hematopoietic stem cells with the compound for a time sufficient to produce said expanded population of hematopoietic stem cells.

129. Use of the compound of any one of claims 1-97 in the manufacture of a medicament for treating a human patient suffering from a disorder by:

a. preparing an expanded population of hematopoietic stem cells by contacting a first population of hematopoietic stem cells with the compound for a time sufficient to produce said expanded population of hematopoietic stem cells; and

b. administering said expanded population of hematopoietic stem cells to said patient.

130. Use of the compound of any one of claims 1-97 in the manufacture of a medicament for treating a disorder in a human patient in need thereof by administering an expanded population of hematopoietic stem cells to the patient, wherein the expanded population of hematopoietic stem cells is prepared by contacting a first population of hematopoietic stem cells with the compound for a time sufficient to produce the expanded population of hematopoietic stem cells.

131. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein said disorder is a hemoglobinopathy.

132. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein said disorder is selected from the group consisting of sickle cell anemia, thalassemia, Fanconi anemia, and Wiskott-Aldrich syndrome.

133. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein the disorder is a myelodysplastic disorder.

134. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein said disorder is an immunodeficiency disorder.

135. The compound for use or the use of claim 134, wherein said immunodeficiency disorder is a congenital immunodeficiency.

136. The compound for use or the use of claim 134, wherein said immunodeficiency disorder is an acquired immunodeficiency.

137. The compound for use or the use of claim 136, wherein said acquired immunodeficiency is human immunodeficiency virus or acquired immune deficiency syndrome.

138. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein said disorder is a metabolic disorder.

139. The compound for use or the use of claim 138, wherein said metabolic disorder is selected from the group consisting of glycogen storage diseases, mucopolysaccharidoses, Gaucher's Disease, Hurlers Disease, sphingolipidoses, and metachromatic leukodystrophy.

140. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein the disorder is cancer.

141. The compound for use or the use of claim 140, wherein the cancer is a hematological cancer.

142. The compound for use or the use of claim 140, wherein the cancer is selected from the group consisting of leukemia, lymphoma, multiple myeloma, and neuroblastoma.

143. The compound for use or the use of claim 140, wherein the cancer is acute myeloid leukemia, acute lymphoid leukemia, chronic myeloid leukemia, chronic lymphoid leukemia, multiple myeloma, diffuse large B-cell lymphoma, or non-Hodgkin's lymphoma.

144. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein the disorder is a disorder selected from the group consisting of adenosine deaminase deficiency and severe combined immunodeficiency, hyper immunoglobulin M syndrome, Chediak-Higashi disease, hereditary lymphohistiocytosis, osteopetrosis, osteogenesis imperfecta, storage diseases, thalassemia major, systemic sclerosis, systemic lupus erythematosus, multiple sclerosis, and juvenile rheumatoid arthritis.

145. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein the disorder is an autoimmune disorder.

146. The compound for use or the use of claim 145, wherein the autoimmune disorder is selected from the group consisting of multiple sclerosis, human systemic lupus, rheumatoid arthritis, inflammatory bowel disease, treating psoriasis, Type 1 diabetes mellitus, acute disseminated encephalomyelitis, Addison's disease, alopecia universalis, ankylosing spondylitis, antiphospholipid antibody syndrome, aplastic anemia, autoimmune hemolytic anemia, autoimmune hepatitis, autoimmune inner ear disease, autoimmune lymphoproliferative syndrome, autoimmune oophoritis, Balo disease, Behcet's disease, bullous pemphigoid, cardiomyopathy, Chagas' disease, chronic fatigue immune dysfunction syndrome, chronic inflammatory demyelinating polyneuropathy, Crohn's disease, cicatrical pemphigoid, coeliac sprue-dermatitis herpetiformis, cold agglutinin disease, CREST syndrome, Degos disease, discoid lupus, dysautonomia, endometriosis, essential mixed cryoglobulinemia, fibromyalgia-fibromyositis, Goodpasture's syndrome, Grave's disease, Guillain-Barre syndrome, Hashimoto's thyroiditis, Hidradenitis suppurativa, idiopathic and/or acute thrombocytopenic purpura, idiopathic pulmonary fibrosis, IgA neuropathy, interstitial cystitis, juvenile arthritis, Kawasaki's disease, lichen planus, Lyme disease, Meniere disease, mixed connective tissue disease, myasthenia gravis, neuromyotonia, opsoclonus myoclonus syndrome, optic neuritis, Ord's thyroiditis, pemphigus vulgaris, pernicious anemia, polychondritis, polymyositis and

dermatomyositis, primary biliary cirrhosis, polyarteritis nodosa, polyglandular syndromes, polymyalgia rheumatica, primary agammaglobulinemia, Raynaud phenomenon, Reiter's syndrome, rheumatic fever, sarcoidosis, scleroderma, Sjögren's syndrome, stiff person syndrome, Takayasu's arteritis, temporal arteritis, ulcerative colitis, uveitis, vasculitis, vitiligo, vulvodynia, and Wegener's granulomatosis.

147. The compound for use of any one of claims 123-126 or the use of any one of claims 127-130, wherein the disorder is a neurological disorder.

148. The compound for use or the use of claim 147, wherein the neurological disorder is selected from the group consisting of Parkinson's disease, Alzheimer's disease, multiple sclerosis, Amyotrophic lateral sclerosis, Huntington's disease, mild cognitive impairment, amyloidosis, AIDS-related dementia, encephalitis, stroke, head trauma, epilepsy, mood disorders, and dementia.

149. An expanded population of hematopoietic stem cells, being prepared by contacting a first population of hematopoietic stem cells with the compound of any one of claims 1-97 for a time sufficient to produce the expanded population of hematopoietic stem cells, for use in producing microglia in the central nervous system of a human patient in need thereof, wherein the expanded population of hematopoietic stem cells is administered to the patient, and wherein administration of the expanded population of hematopoietic stem cells results in formation of microglia in the central nervous system of the patient.

150. An expanded population of hematopoietic stem cells, being prepared by contacting a first population of hematopoietic stem cells with the compound of any one of claims 1-97 for a time sufficient to produce the expanded population of hematopoietic stem cells, for use in producing microglia in the central nervous system of a human patient in need thereof, wherein the expanded population of hematopoietic stem cells is administered to the patient, and wherein administration of the expanded population of hematopoietic stem cells results in formation of microglia in the central nervous system of the patient.

151. Use of an expanded population of hematopoietic stem cells, being prepared by contacting a first population of hematopoietic stem cells with the compound of any one of claims 1-97 for a time sufficient to produce the expanded population of hematopoietic stem cells, in the manufacture of a medicament for producing microglia in the central nervous system of a human patient in need thereof.

152. A composition comprising a population of hematopoietic stem cells, wherein said hematopoietic stem cells or progenitors thereof have been contacted with the compound of any one of claims 1-97, thereby expanding said hematopoietic stem cells or progenitors thereof.

153. A kit comprising the compound of any one of claims 1-97 and a package insert, wherein said package insert instructs a user of said kit to contact a population of hematopoietic stem cells with said compound for a time sufficient to produce an expanded population of hematopoietic stem cells.

154. A kit comprising the compound of any one of claims 1-97 and a package insert, wherein said package insert instructs a user of said kit to contact a population of cells comprising hematopoietic stem cells with said compound for a time sufficient to produce a population of cells enriched with hematopoietic stem cells.

155. A kit comprising the compound of any one of claims 1-97 and a package insert, wherein said package insert instructs a user of said kit to contact a population of hematopoietic stem cells with said compound for a time sufficient to maintain the hematopoietic stem cell functional potential of said population of hematopoietic stem cells ex vivo for two or more days.

156. The kit of any one of claims 153-155, wherein said kit further comprises a population of cells comprising hematopoietic stem cells.

157. The compound of any one of claims 1-97 for use in producing an expanded population of hematopoietic stem cells ex vivo.

158. The compound of any one of claims 1-97 for use in enriching a population of cells with hematopoietic stem cells ex vivo.

159. The compound of any one of claims 1-97 for use in maintaining the hematopoietic stem cell functional potential of a population of hematopoietic stem cells ex vivo for two or more days.

160. Use of the compound of any one of claims 1-97 in producing an expanded population of hematopoietic stem cells ex vivo.

161. Use of the compound of any one of claims 1-97 in enriching a population of cells with hematopoietic stem cells ex vivo.

162. Use of the compound of any one of claims 1-97 in maintaining the hematopoietic stem cell functional potential of a population of hematopoietic stem cells ex vivo for two or more days.

163. A composition for use in treating a human patient suffering from a disorder, said composition comprising a population of hematopoietic stem cells, wherein said hematopoietic stem cells were produced by contacting said hematopoietic stem cells or progenitors thereof with the compound of any one of claims 1-97.

164. A composition for use in treating a human patient suffering from a disorder, said composition comprising an expanded population of hematopoietic stem cells prepared by contacting a first population of hematopoietic stem cells with the compound of any one of claims 1-97 for a time sufficient to produce said expanded population of hematopoietic stem cells.

165. Use of a composition in the manufacture of a medicament for treating a human patient suffering from a disorder, said composition comprising a population of hematopoietic stem cells,

wherein said hematopoietic stem cells were produced by contacting said hematopoietic stem cells or progenitors thereof with the compound of any one of claims 1-97.

166. Use of a composition in the manufacture of a medicament for treating a human patient suffering from a disorder, said composition comprising an expanded population of hematopoietic stem cells prepared by contacting a first population of hematopoietic stem cells with the compound of any one of claims 1-97 for a time sufficient to produce said expanded population of hematopoietic stem cells.

167. The composition for use of claim 163 or 164 or the use of claim 165 or 166, wherein said disorder is selected from hemoglobinopathy, sickle cell anemia, thalassemia, Fanconi anemia, Wiskott-Aldrich syndrome, a myelodysplastic disorder, an immunodeficiency disorder, a metabolic disorder, cancer, adenosine deaminase deficiency and severe combined immunodeficiency, hyper immunoglobulin M syndrome, Chediak-Higashi disease, hereditary lymphohistiocytosis, osteopetrosis, osteogenesis imperfecta, storage diseases, thalassemia major, systemic sclerosis, systemic lupus erythematosus, multiple sclerosis, juvenile rheumatoid arthritis, an autoimmune disorder, and a neurological disorder.

168. The composition for use or the use of claim 167, wherein said immunodeficiency disorder is a congenital immunodeficiency.

169. The composition for use or the use of claim 167, wherein said immunodeficiency disorder is an acquired immunodeficiency.

170. The composition for use or the use of claim 169, wherein said acquired immunodeficiency is human immunodeficiency virus or acquired immune deficiency syndrome.

171. The composition for use or the use of claim 167, wherein said metabolic disorder is selected from the group consisting of glycogen storage diseases, mucopolysaccharidoses, Gaucher's Disease, Hurlers Disease, sphingolipidoses, and metachromatic leukodystrophy.

172. The composition for use or the use of claim 167, wherein the cancer is a hematological cancer.

173. The composition for use or the use of claim 167, wherein the cancer is selected from the group consisting of leukemia, lymphoma, multiple myeloma, and neuroblastoma.

174. The composition for use or the use of claim 167, wherein the cancer is acute myeloid leukemia, acute lymphoid leukemia, chronic myeloid leukemia, chronic lymphoid leukemia, multiple myeloma, diffuse large B-cell lymphoma, or non-Hodgkin's lymphoma.

175. The composition for use or the use of claim 167, wherein the autoimmune disorder is selected from the group consisting of multiple sclerosis, human systemic lupus, rheumatoid arthritis, inflammatory bowel disease, treating psoriasis, Type 1 diabetes mellitus, acute disseminated encephalomyelitis, Addison's disease, alopecia universalis, ankylosing spondylitis, antiphospholipid antibody syndrome, aplastic anemia, autoimmune hemolytic anemia, autoimmune hepatitis, autoimmune inner ear disease, autoimmune lymphoproliferative syndrome, autoimmune oophoritis, Balo disease, Behcet's disease, bullous pemphigoid, cardiomyopathy, Chagas' disease, chronic fatigue immune dysfunction syndrome, chronic inflammatory demyelinating polyneuropathy, Crohn's disease, cicatricial pemphigoid, coeliac sprue-dermatitis herpetiformis, cold agglutinin disease, CREST syndrome, Degos disease, discoid lupus, dysautonomia, endometriosis, essential mixed cryoglobulinemia, fibromyalgia-fibromyositis, Goodpasture's syndrome, Grave's disease, Guillain-Barre syndrome, Hashimoto's thyroiditis, Hidradenitis suppurativa, idiopathic and/or acute thrombocytopenic purpura, idiopathic pulmonary fibrosis, IgA neuropathy, interstitial cystitis, juvenile arthritis, Kawasaki's disease, lichen planus, Lyme disease, Meniere disease, mixed connective tissue disease, myasthenia gravis, neuromyotonia, opsoclonus myoclonus syndrome, optic neuritis, Ord's thyroiditis, pemphigus vulgaris, pernicious anemia, polychondritis, polymyositis and dermatomyositis, primary biliary cirrhosis, polyarteritis nodosa, polyglandular syndromes, polymyalgia rheumatica, primary agammaglobulinemia, Raynaud phenomenon, Reiter's syndrome, rheumatic fever, sarcoidosis, scleroderma, Sjögren's syndrome, stiff person syndrome,

Takayasu's arteritis, temporal arteritis, ulcerative colitis, uveitis, vasculitis, vitiligo, vulvodynia, and Wegener's granulomatosis.

176. The composition for use or the use of claim 167, wherein the neurological disorder is selected from the group consisting of Parkinson's disease, Alzheimer's disease, multiple sclerosis, Amyotrophic lateral sclerosis, Huntington's disease, mild cognitive impairment, amyloidosis, AIDS-related dementia, encephalitis, stroke, head trauma, epilepsy, mood disorders, and dementia.