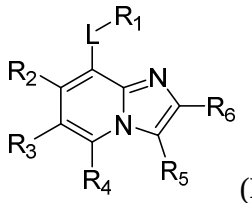
## CLAIMS

1. A compound represented by formula (**I**)



(**I**)

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;

$R_1$ is selected from the group consisting of $-S(O)_2NR_{9a}R_{9b}$, $-NR_{9a}C(O)R_{9b}$, $-NR_{9a}C(S)R_{9b}$, $-NR_{9a}C(O)NR_{9b}R_{9c}$, $-C(O)R_{9a}$, $-C(S)R_{9a}$, $-S(O)_{0-2}R_{9a}$, $-C(O)OR_{9a}$, $-C(S)OR_{9a}$, $-C(O)NR_{9a}R_{9b}$, $-C(S)NR_{9a}R_{9b}$, $-NR_{9a}S(O)_2R_{9b}$, $-NR_{9a}C(O)OR_{9b}$, $-OC(O)CR_{9a}R_{9b}R_{9c}$, $-OC(S)CR_{9a}R_{9b}R_{9c}$, 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;

$R_2$ 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;

$R_5$ 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.

2. The compound of claim 1, wherein $R_1$ is selected from the group consisting of -$S(O)_2NR_{9a}R_{9b}$, -$NR_{9a}C(O)R_{9b}$, -$NR_{9a}C(S)R_{9b}$, -$NR_{9a}C(O)NR_{9b}R_{9c}$, -$C(O)R_{9a}$, -$C(S)R_{9a}$, -$S(O)_{0-2}R_{9a}$, -$C(O)OR_{9a}$, -$C(S)OR_{9a}$, -$C(O)NR_{9a}R_{9b}$, -$C(S)NR_{9a}R_{9b}$, -$NR_{9a}S(O)_2R_{9b}$, -$NR_{9a}C(O)OR_{9b}$, -$OC(O)CR_{9a}R_{9b}R_{9c}$, and -$OC(S)CR_{9a}R_{9b}R_{9c}$.

3. The compound of claim 1, 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, $C_{1-4}$ 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 -$NR_{10a}S(O)_2R_{10b}$.

4. The compound of any one of claims 1-3, wherein L is selected from the group consisting of -$NR_{7a}(CR_{8a}R_{8b})_n$- and -$O(CR_{8a}R_{8b})_n$-.

5. The compound of any one of claims 1-4, wherein $R_2$ is hydrogen.

6. The compound of any one of claims 1-5, 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, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, -$C(O)R_{11a}$, -$S(O)_{0-2}R_{11a}$, -

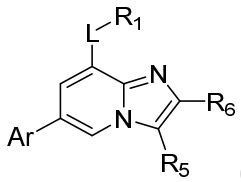
$C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, and wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl.

7. The compound of any one of claims 1-6, wherein $R_4$ is hydrogen.

8. The compound of any one of claims 1-7, 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.

9. The compound of any one of claims 1-8, wherein $R_6$ is hydrogen.

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




(**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;

$R_1$ is selected from the group consisting of $-S(O)_2NR_{9a}R_{9b}$, $-NR_{9a}C(O)R_{9b}$, $-NR_{9a}C(S)R_{9b}$, $-NR_{9a}C(O)NR_{9b}R_{9c}$, $-C(O)R_{9a}$, $-C(S)R_{9a}$, $-S(O)_{0-2}R_{9a}$, $-C(O)OR_{9a}$, $-C(S)OR_{9a}$, $-C(O)NR_{9a}R_{9b}$, $-C(S)NR_{9a}R_{9b}$, $-NR_{9a}S(O)_2R_{9b}$, $-NR_{9a}C(O)OR_{9b}$, $-OC(O)CR_{9a}R_{9b}R_{9c}$, -

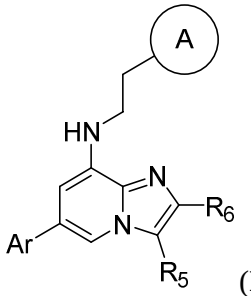
$OC(S)CR_{9a}R_{9b}R_{9c}$, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl, wherein $R_{9a}$, $R_{9b}$, and $R_{9c}$ are each independently selected from the group consisting of hydrogen, optionally substituted 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;

$R_5$ 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.

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




(**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, $C_{1-4}$ 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

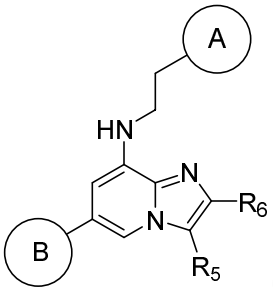
substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;

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.

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




(**I-c**)

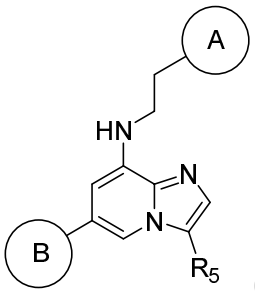
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 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, $C_{1-4}$ 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 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, $C_1$-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 $C_{1-4}$ alkyl;

$R_5$ 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.

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




(**I-d**)

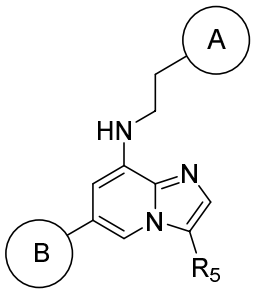
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 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, $C_{1-4}$ 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 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, $C_1$-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 $C_{1-4}$ 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.

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



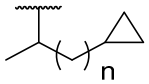

(**I-e**)

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-

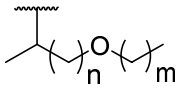
dihydro-1H-benzo[d]imidazol-5-yl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 alkoxy, amino, $-O(CH_2)_2NR_{10a}R_{10b}$, $-S(O)_2NR_{10a}R_{10b}$, $-OS(O)_2NR_{10a}R_{10b}$, 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;

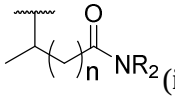
B is an optionally substituted ring system selected from the group consisting of thiophen-2-yl, thiophen-3-yl, furan-3-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, imidazo[1,2-a]pyridin-3-yl, benzo[b]thiophen-3-yl, pyrimidin-5-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 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, $C_1$-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 $C_{1-4}$ alkyl; and

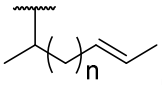
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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, 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**)

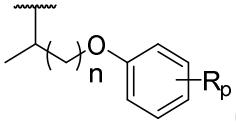


(**i**)


**(ii)**


**(iii)**

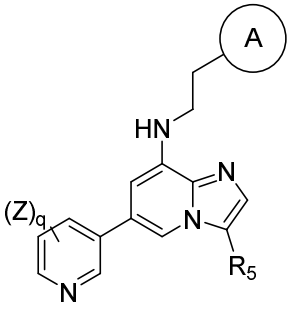

**(iv)**


**(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, 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.

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



**(I-f)**

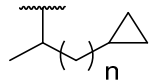
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

q is an integer from 0 to 4;

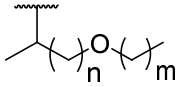
each Z is independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein $R_{11a}$ and $R_{11b}$ 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 isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-

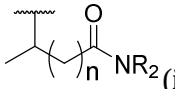
hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl, or $R_5$ is selected from the group consisting of (**i**), (**ii**), (**iii**), (**iv**), and (**v**)



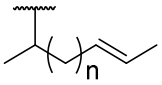
(**i**)



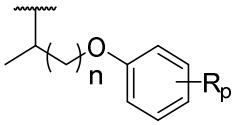
(**ii**)



(**iii**)



(**iv**)

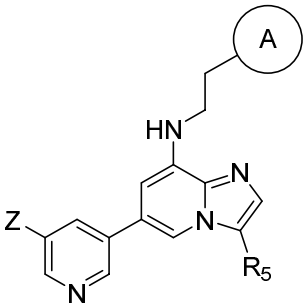


(**v**)

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, 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.

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



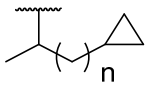
(**I-g**)

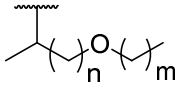
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

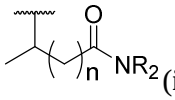
Z is a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano,

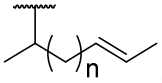
amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl; and

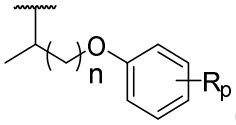
$R_5$ is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl, or $R_5$ is selected from the group consisting of (**i**), (**ii**), (**iii**), (**iv**), and (**v**)


(**i**)


(**ii**)


(**iii**)

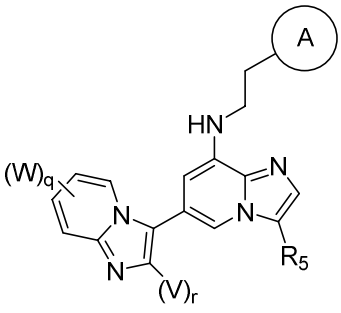

(**iv**)


(**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, 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.

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



(**I-h**)

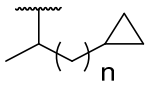
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

q is an integer from 0 to 4;

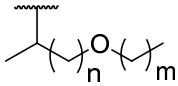
r is 0 or 1;

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, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, 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

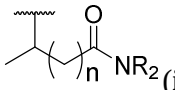
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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**)



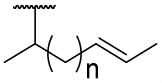
(**i**)



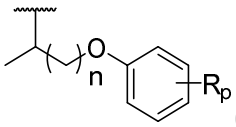
(**ii**)



(**iii**)



(**iv**)

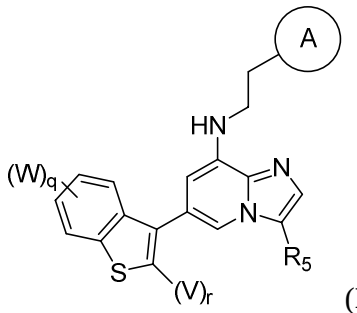


(**v**)

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, 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.

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




(**I-i**)

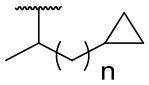
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

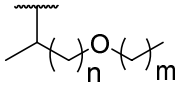
q is an integer from 0 to 4;

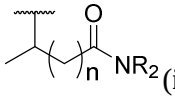
r is 0 or 1;

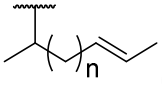
W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl; and

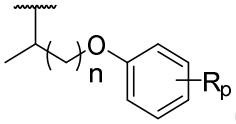
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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


**(i)**


**(ii)**


**(iii)**

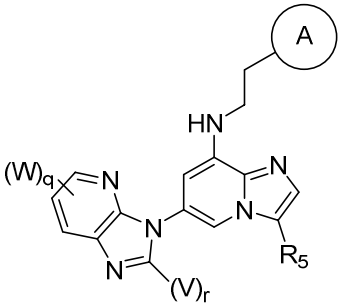

**(iv)**


**(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, 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.

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


**(I-j)**

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

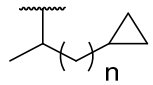
q is an integer from 0 to 4;

r is 0 or 1;

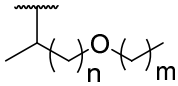
W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein $R_{11a}$

and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl; and

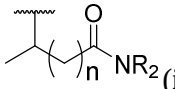
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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



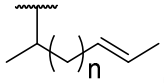
(**i**)



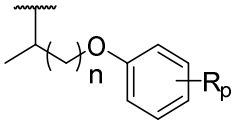
(**ii**)



(**iii**)



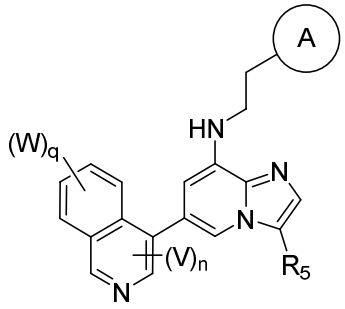
(**iv**)



(**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, 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.

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



**(I-k)**

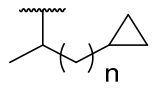
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

q is an integer from 0 to 4;

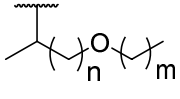
r is 0 or 1;

W and V are each independently a substituent selected from the group consisting of 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 $-C(O)NR_{11a}R_{11b}$, wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl; and

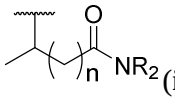
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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



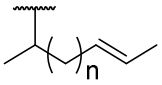
**(i)**



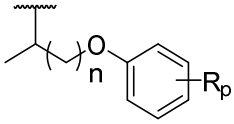
**(ii)**



**(iii)**



**(iv)**

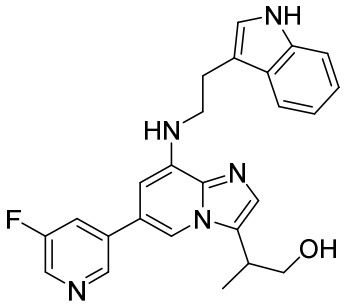


**(v)**

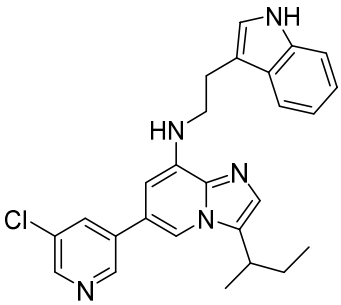
wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4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

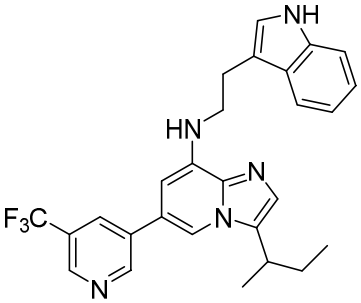
21. The compound of claim 1, wherein said compound is selected from the group consisting of



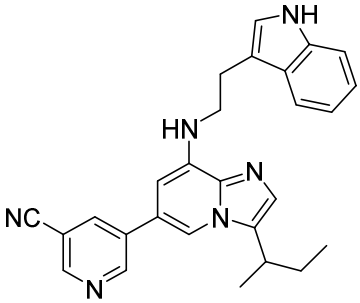
(**1**),



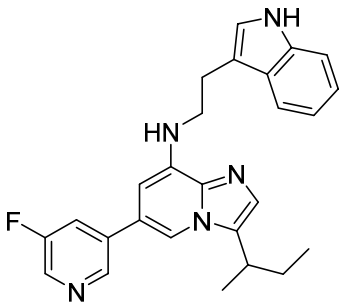
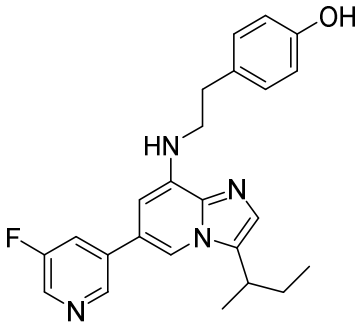
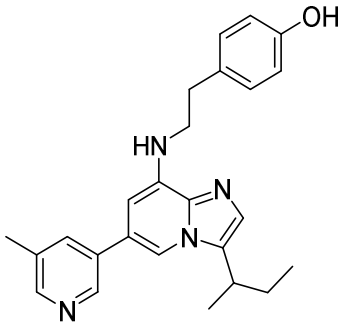
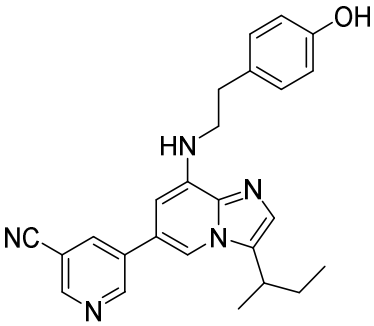
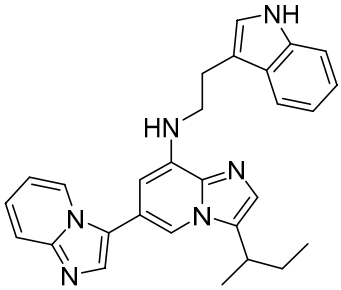
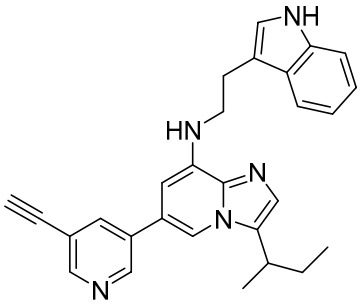
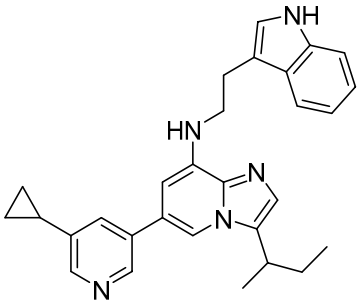
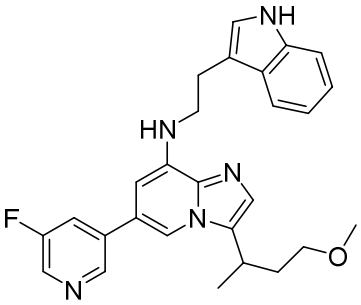
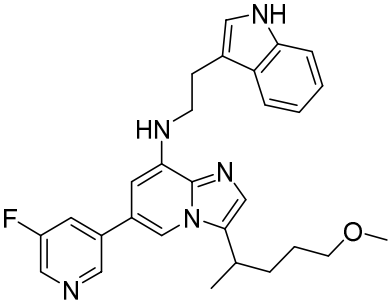
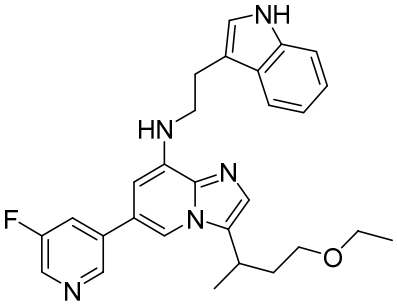
(2),



(3),

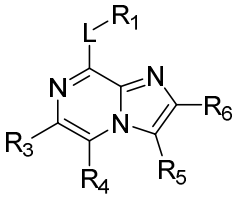


(4),


(5),

(6),

(7),

(8),

(9),

(10),

(11),

(23),

(25), and

(26),

or a salt thereof.

22. A compound represented by formula (**II**)



(**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;

$R_1$ is selected from the group consisting of $-S(O)_2NR_{9a}R_{9b}$, $-NR_{9a}C(O)R_{9b}$, $-NR_{9a}C(S)R_{9b}$, $-NR_{9a}C(O)NR_{9b}R_{9c}$, $-C(O)R_{9a}$, $-C(S)R_{9a}$, $-S(O)_{0-2}R_{9a}$, $-C(O)OR_{9a}$, $-C(S)OR_{9a}$, $-C(O)NR_{9a}R_{9b}$, $-C(S)NR_{9a}R_{9b}$, $-NR_{9a}S(O)_2R_{9b}$, $-NR_{9a}C(O)OR_{9b}$, $-OC(O)CR_{9a}R_{9b}R_{9c}$, $-OC(S)CR_{9a}R_{9b}R_{9c}$, 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;

$R_3$ 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 $-C(O)NR_{11a}R_{11b}$, and wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl;

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

$R_5$ 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.

23. The compound of claim 22, wherein $R_1$ is selected from the group consisting of -$S(O)_2NR_{9a}R_{9b}$, -$NR_{9a}C(O)R_{9b}$, -$NR_{9a}C(S)R_{9b}$, -$NR_{9a}C(O)NR_{9b}R_{9c}$, -$C(O)R_{9a}$, -$C(S)R_{9a}$, -$S(O)_{0-2}R_{9a}$, -$C(O)OR_{9a}$, -$C(S)OR_{9a}$, -$C(O)NR_{9a}R_{9b}$, -$C(S)NR_{9a}R_{9b}$, -$NR_{9a}S(O)_2R_{9b}$, -$NR_{9a}C(O)OR_{9b}$, -$OC(O)CR_{9a}R_{9b}R_{9c}$, -$OC(S)CR_{9a}R_{9b}R_{9c}$, 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, -$O(CH_2)_2NR_{10a}R_{10b}$, -$S(O)_2NR_{10a}R_{10b}$, -$OS(O)_2NR_{10a}R_{10b}$, 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.

24. The compound of claim 22, wherein $R_1$ is selected from the group consisting of phenol-4-yl and 1H-indol-3-yl.

25. The compound of any one of claims 22-24, wherein L is selected from the group consisting of -$NR_{7a}(CR_{8a}R_{8b})_n$- and -$O(CR_{8a}R_{8b})_n$-.

26. The compound of any one of claims 22-25, 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

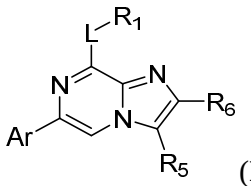
of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$.

27. The compound of any one of claims 22-26, wherein $R_4$ is hydrogen.

28. The compound of any one of claims 22-27, 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.

29. The compound of any one of claims 22-28, wherein $R_6$ is hydrogen.

30. The compound of claim 22, wherein said compound is represented by formula (**II-a**)




(**II-a**)

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;

$R_1$ is selected from the group consisting of $-S(O)_2NR_{9a}R_{9b}$, $-NR_{9a}C(O)R_{9b}$, $-NR_{9a}C(S)R_{9b}$, $-NR_{9a}C(O)NR_{9b}R_{9c}$, $-C(O)R_{9a}$, $-C(S)R_{9a}$, $-S(O)_{0-2}R_{9a}$, $-C(O)OR_{9a}$, $-C(S)OR_{9a}$, $-C(O)NR_{9a}R_{9b}$, $-C(S)NR_{9a}R_{9b}$, $-NR_{9a}S(O)_2R_{9b}$, $-NR_{9a}C(O)OR_{9b}$, $-OC(O)CR_{9a}R_{9b}R_{9c}$, -

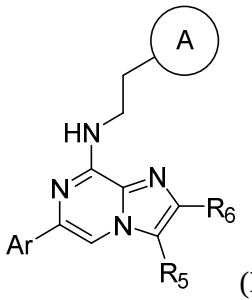
$OC(S)CR_{9a}R_{9b}R_{9c}$, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl, wherein $R_{9a}$, $R_{9b}$, and $R_{9c}$ are each independently selected from the group consisting of hydrogen, optionally substituted 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 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 $-C(O)NR_{11a}R_{11b}$, and wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl ;

$R_5$ 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.

31. The compound of claim 22, wherein said compound is represented by formula (**II-b**)




(**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

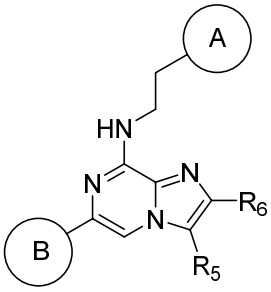
1H-indazolyl, wherein the phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, or 1H-indazolyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of cyano, hydroxy, C1-4 alkyl, $C_{1-4}$ 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;

Ar 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 $-C(O)NR_{11a}R_{11b}$, and wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl

$R_5$ 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.

32. The compound of claim 22, wherein said compound is represented by formula (**II-c**)




**(II-c)**

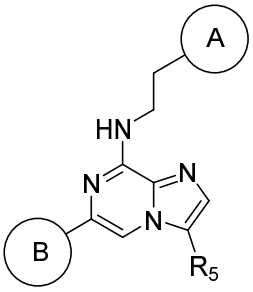
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 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, $C_{1-4}$ 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 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 -$C(O)NR_{11a}R_{11b}$, and wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl;

$R_5$ 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.

33. The compound of claim 22, wherein said compound is represented by formula (**II-d**)




(**II-d**)

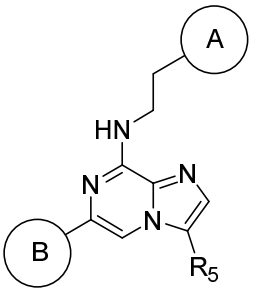
wherein A is an optionally substituted ring system selected from the group consisting of phenyl, 1H-pyrrolopyridinyl, 1H-pyrrolopyridinyl, 1H-indolyl, thiophenyl, pyridinyl, 1H-1,2,4-triazolyl, 2-oxoimidazolidinyl, 1H-pyrazolyl, 2-oxo-2,3-dihydro-1H-benzoimidazolyl, and 1H-indazolyl, wherein the phenyl, 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, $C_{1-4}$ 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 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 $-C(O)NR_{11a}R_{11b}$, and 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 optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkyl, optionally substituted heteroalkyl, optionally substituted cycloalkyl, and optionally substituted heterocycloalkyl;
or a salt thereof.

34. The compound of claim 22, wherein said compound is represented by formula (**II-e**)



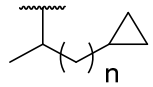

(**II-e**)

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;

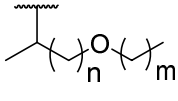
B is selected from the group consisting of thiophen-3-yl, benzo[b]thiophen-3-yl, pyridin-3-yl, pyrimidin-5-yl, 1H-imidazol-1-yl, 1H-benzo[d]imidazol-1-yl, isoquinolin-4-yl, 1H-imidazo[4,5-b]pyridin-1-yl, and imidazo[1,2-a]pyridin-3-yl, wherein said thiophen-3-yl, benzo[b]thiophen-3-yl, pyridin-3-yl, 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 $-C(O)NR_{11a}R_{11b}$, and wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl; and

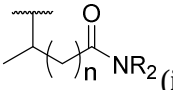
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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**)



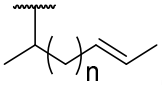
(**i**)



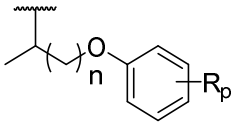
(**ii**)



(**iii**)



(**iv**)

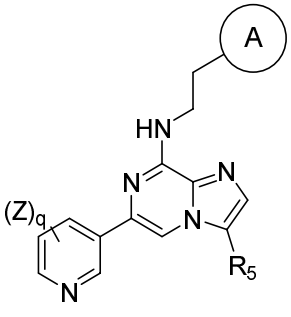


(**v**)

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, 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.

35. The compound of claim 22, wherein said compound is represented by formula (**II-f**)



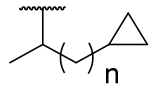

(**II-f**)

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

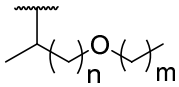
q is an integer from 0 to 4;

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, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, 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

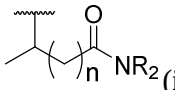
$R_5$ is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl, or $R_5$ is selected from the group consisting of (**i**), (**ii**), (**iii**), (**iv**), and (**v**)



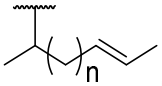

(**i**)



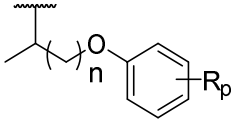

(**ii**)




(**iii**)




(**iv**)



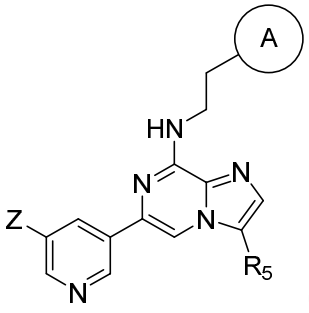

(**v**)

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, 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.

36. The compound of claim 22, wherein said compound is represented by formula (**II-g**)

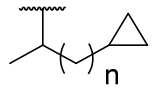



(**II-g**)

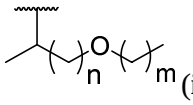
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

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, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, 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

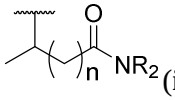
$R_5$ is selected from the group consisting of isopropyl, methyl, ethyl, prop-1-en-2-yl, isobutyl, cyclohexyl, sec-butyl, (S)-sec-butyl, (R)-sec-butyl, 1-hydroxypropan-2-yl, (S)-1-hydroxypropan-2-yl, (R)-1-hydroxypropan-2-yl, and nonan-2-yl, or $R_5$ is selected from the group consisting of (**i**), (**ii**), (**iii**), (**iv**), and (**v**)



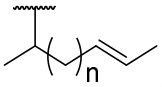

(**i**)



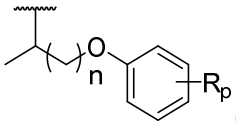

(**ii**)




(**iii**)




(**iv**)

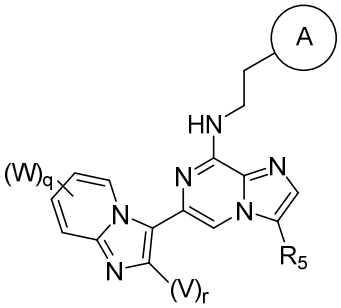


**(v)**

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.

37. The compound of claim 22, wherein said compound is represented by formula (**II-h**)



(**II-h**)

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

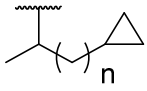
q is an integer from 0 to 4;

r is 0 or 1;

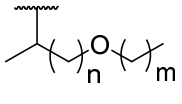
W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein $R_{11a}$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

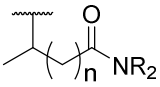
tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, or 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-yl)ethyl is optionally substituted with from 1 to 3 substituents independently selected from the group consisting of hydroxy, C1-4 alkyl,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



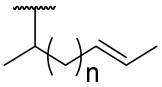
(**i**)



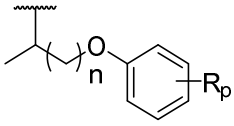
(**ii**)



(**iii**)



(**iv**)

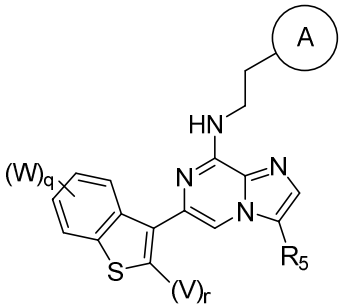


(**v**)

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.

38. The compound of claim 22, wherein said compound is represented by formula (**II-i**)



(**II-i**)

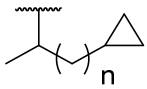
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

q is an integer from 0 to 4;

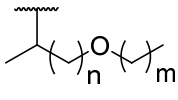
r is 0 or 1;

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, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, 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

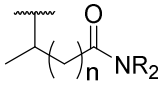
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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



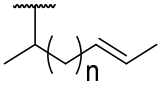
(**i**)



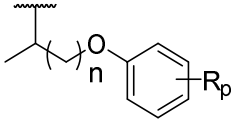
(**ii**)



(**iii**)



(**iv**)

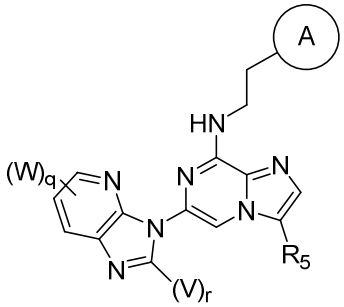


(**v**)

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.

39. The compound of claim 22, wherein said compound is represented by formula (**II-j**)




(**II-j**)

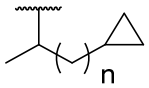
wherein A is an optionally substituted ring system selected from the group consisting of phenol-4-yl and 1H-indol-3-yl;

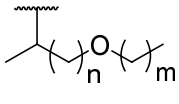
q is an integer from 0 to 4;

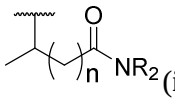
r is 0 or 1;

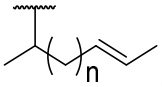
W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, and $-C(O)NR_{11a}R_{11b}$, wherein $R_{11a}$ and $R_{11b}$ are each independently selected from the group consisting of hydrogen and $C_{1-4}$ alkyl; and

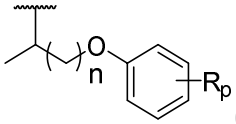
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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


**(i)**


**(ii)**


**(iii)**

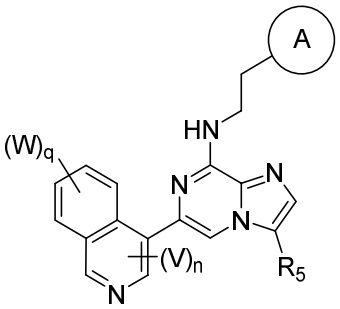

**(iv)**


**(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.

40. The compound of claim 22, wherein said compound is represented by formula (**II-k**)



(**II-k**)

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

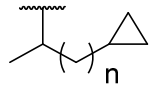
q is an integer from 0 to 4;

r is 0 or 1;

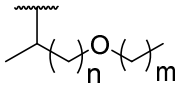
W and V are each independently a substituent selected from the group consisting of C1-4 alkyl, halo, halo-substituted-C1-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4

alkoxy, cyano, amino, $C(O)R_{11a}$, $-S(O)_{0-2}R_{11a}$, $-C(O)OR_{11a}$, 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

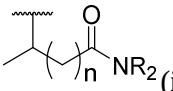
$R_5$ is selected from the group consisting of C1-10 alkyl, prop-1-en-2-yl, cyclohexyl, cyclopropyl, 2-(2-oxopyrrolidin-1-yl)ethyl, oxetan-2-yl, oxetan-3-yl, benzhydryl, tetrahydro-2H-pyran-2-yl, tetrahydro-2H-pyran-3-yl, phenyl, tetrahydrofuran-3-yl, benzyl, (4-pentylphenyl)(phenyl)methyl, and 1-(1-(2-oxo-6,9,12-trioxa-3-azatetradecan-14-yl)-1H-1,2,3-triazol-4-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



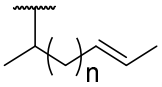
(**i**)



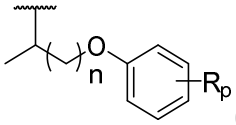
(**ii**)



(**iii**)



(**iv**)

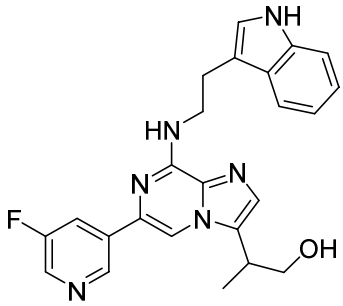


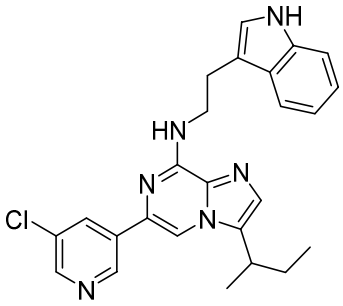
(**v**)

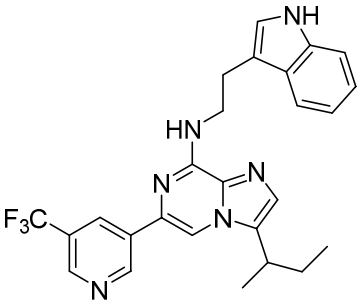
wherein n is an integer from 1 to 6, m is an integer from 0 to 6, p is an integer from 0 to 5, and each R is independently selected from the group consisting of cyano, hydroxy, $C_1$-4 alkyl, C1-4 alkenyl, C1-4 alkynyl, C2-6 cycloalkyl, C1-4 alkoxy, halo, halo-substituted-C1-4 alkyl, halo-substituted-C1-4 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;

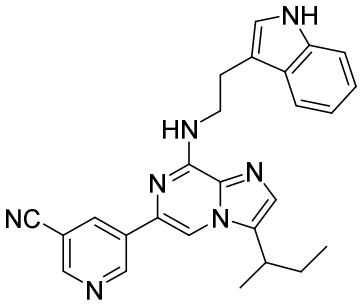
or a salt thereof.

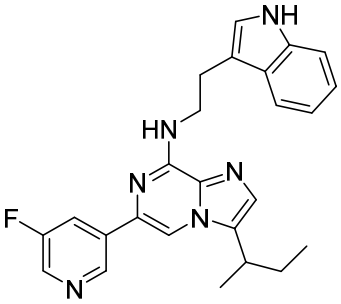
41. The compound of claim 22, wherein said compound is selected from the group consisting of

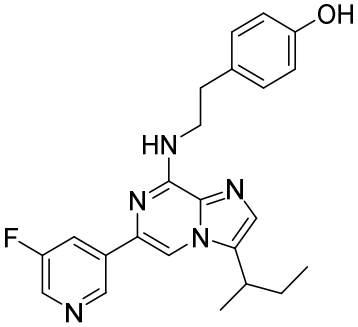

(12),

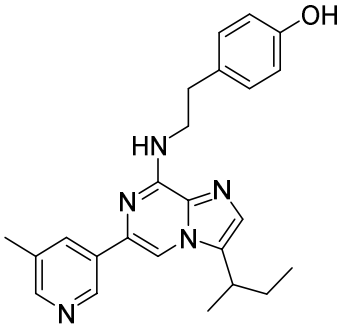

(13),

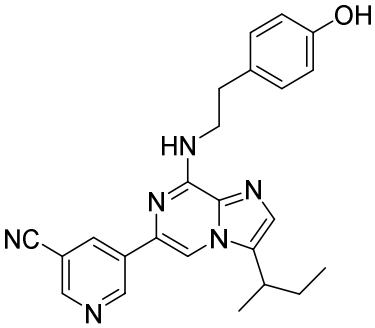

(14),

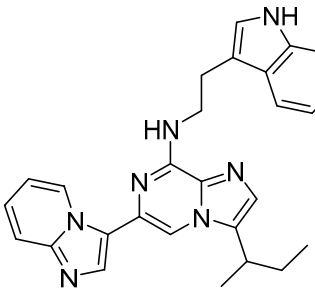
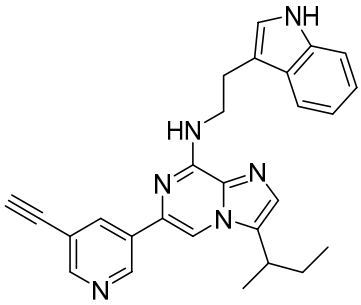
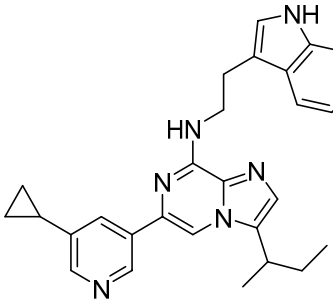
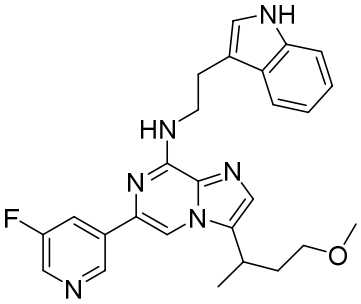
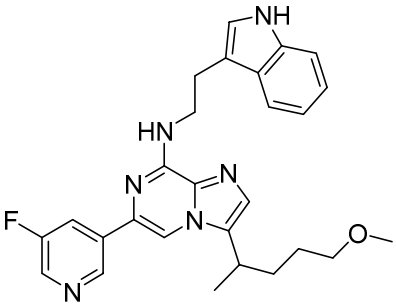
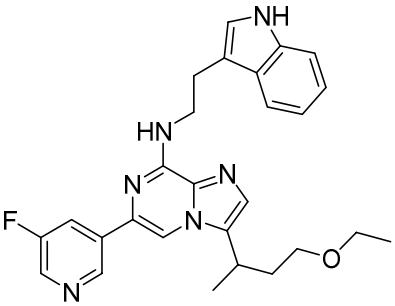

(15),


(16),


(17),

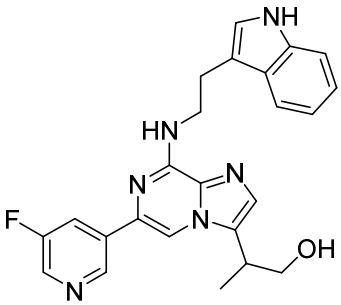
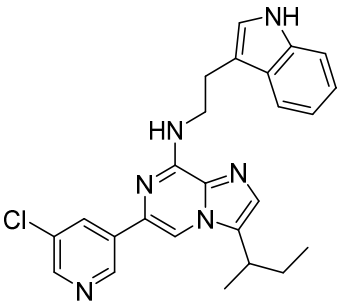

(18),

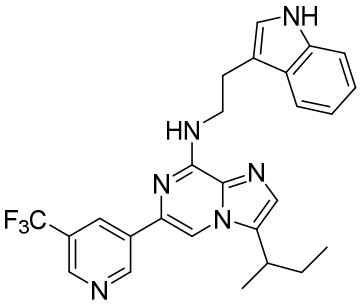
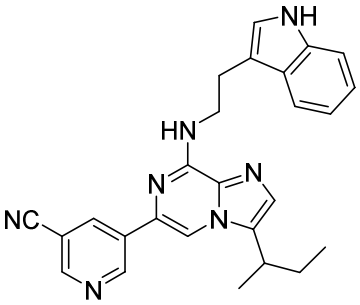
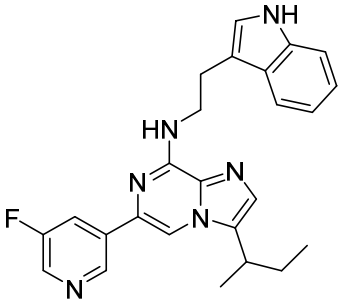
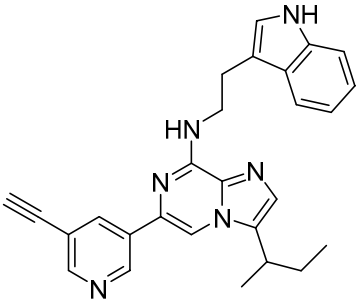
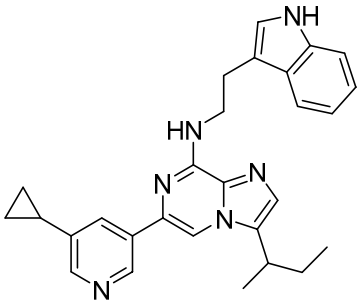

(19),


(20),

(21),

(22),

(24),

(27), and

(28)

or a salt thereof.

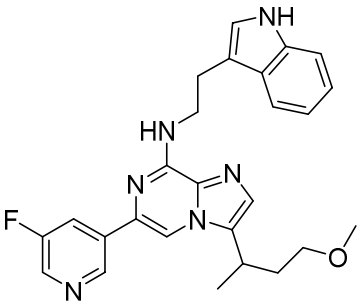
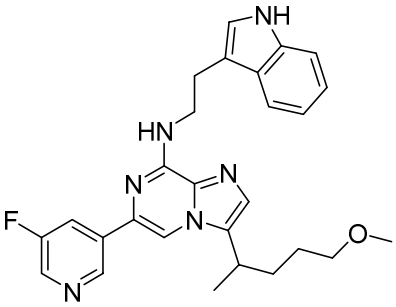
42. The compound of claim 22, wherein said compound is selected from the group consisting of

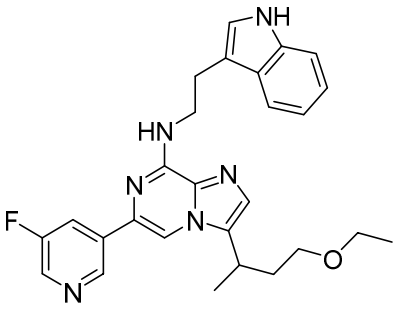

(12),

(13),


(14),

(15),

(16),

(21), and

(22),

or a salt thereof.

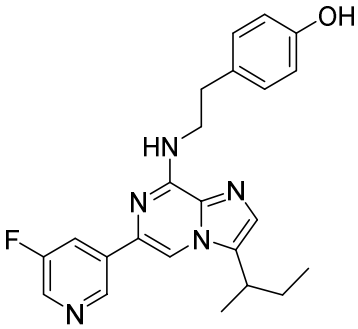
43. The compound of claim 22, wherein said compound is selected from the group consisting of

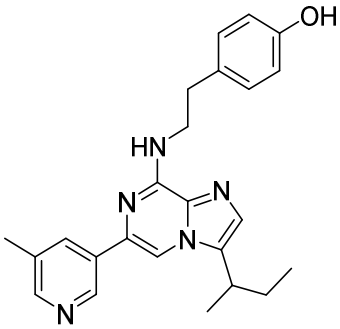

(24),

(27), and

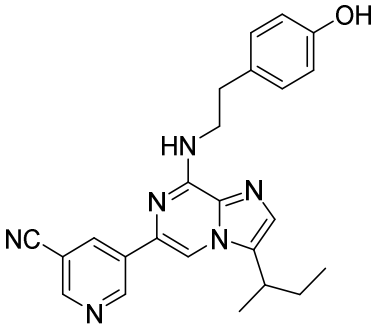

(28),

or a salt thereof.

44. The compound of claim 22, wherein said compound is selected from the group consisting of


(17),


(18), and


(19),

or a salt thereof.

45. 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 1-44 in an amount sufficient to produce an expanded population of hematopoietic stem cells.

46. 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 1-44 in an amount sufficient to produce a population of cells enriched with hematopoietic stem cells.

47. 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 1-44, 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.

48. The method of claim 47, wherein said first population of hematopoietic stem cells exhibits a hematopoietic stem cell functional potential after three or more days, after ten or more days, after thirty or more days, or after sixty or more days of culture that is greater than that of said control population of hematopoietic stem cells.

49. The method any one of claims 45-47, wherein said hematopoietic stem cells are human cells.

50. The method of claim 49, wherein said human cells are CD34+ cells.

51. The method of claim 50, 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.

52. The method of any one of claims 45-47, wherein said hematopoietic stem cells are obtained from human cord blood, mobilized human peripheral blood, or human bone marrow.

53. The method of any one of claims 45-52, 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.

54. The method of any one of claims 45-52, 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.

55. The method of any one of claims 45-52, ~~,~~ 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.

~~56. Hematopoietic stem cells or progenitors thereof produced by contacting the same with the compound of any one of claims 1-44, for use in treating a human patient suffering from a disorder..~~

~~57.~~56. The compound of any one of claims 1-44 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.

~~58. Use of an expanded population of hematopoietic stem cells generated by contacting a first population of hematopoietic stem cells with the compound of any one of claims 1-44, for a time sufficient to produce said expanded population of hematopoietic stem cells in the manufacture of a medicament for the treatment of a disorder, wherein the disorder is hemoglobinopathy, cancer, a myelodysplastic disorder, an immunodeficiency disorder, a metabolic disorder, an autoimmune disorder, a neurological disorder, a disorder selected from the group consisting of sickle cell anemia, thalassemia, Fanconi anemia, and Wiskott-Aldrich syndrome, 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.~~

~~59. The use of claim 58, wherein said immunodeficiency disorder is a congenital or acquired immunodeficiency or is human immunodeficiency virus or acquired immune deficiency syndrome.~~

~~60. The use of claim 58, wherein said metabolic disorder is selected from the group consisting of glycogen storage diseases, mucopolysaccharidoses, Gaucher's Disease, Hurlers Disease, sphingolipidoses, and metachromatic leukodystrophy.~~

~~61. The use of claim 58, wherein the cancer is selected from the group consisting of leukemia, lymphoma, multiple myeloma, and neuroblastoma.~~

~~62. The use of claim 58, wherein the cancer is acute myeloid leukemia, acute lymphoid leukemia, chronic myeloid leukemia, chronic lymphoid leukemia, multiple myeloma, diffuse large B-cell lymphoma, non Hodgkin's lymphoma or a hematological cancer.~~

~~63. The use of claim 58, 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 spondylitisis, 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.~~

~~64. The use of claim 58, 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.~~

~~65.~~57. A kit comprising the compound of any one of claims 1-44 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.