Claims

What is claimed:

1. A compound of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

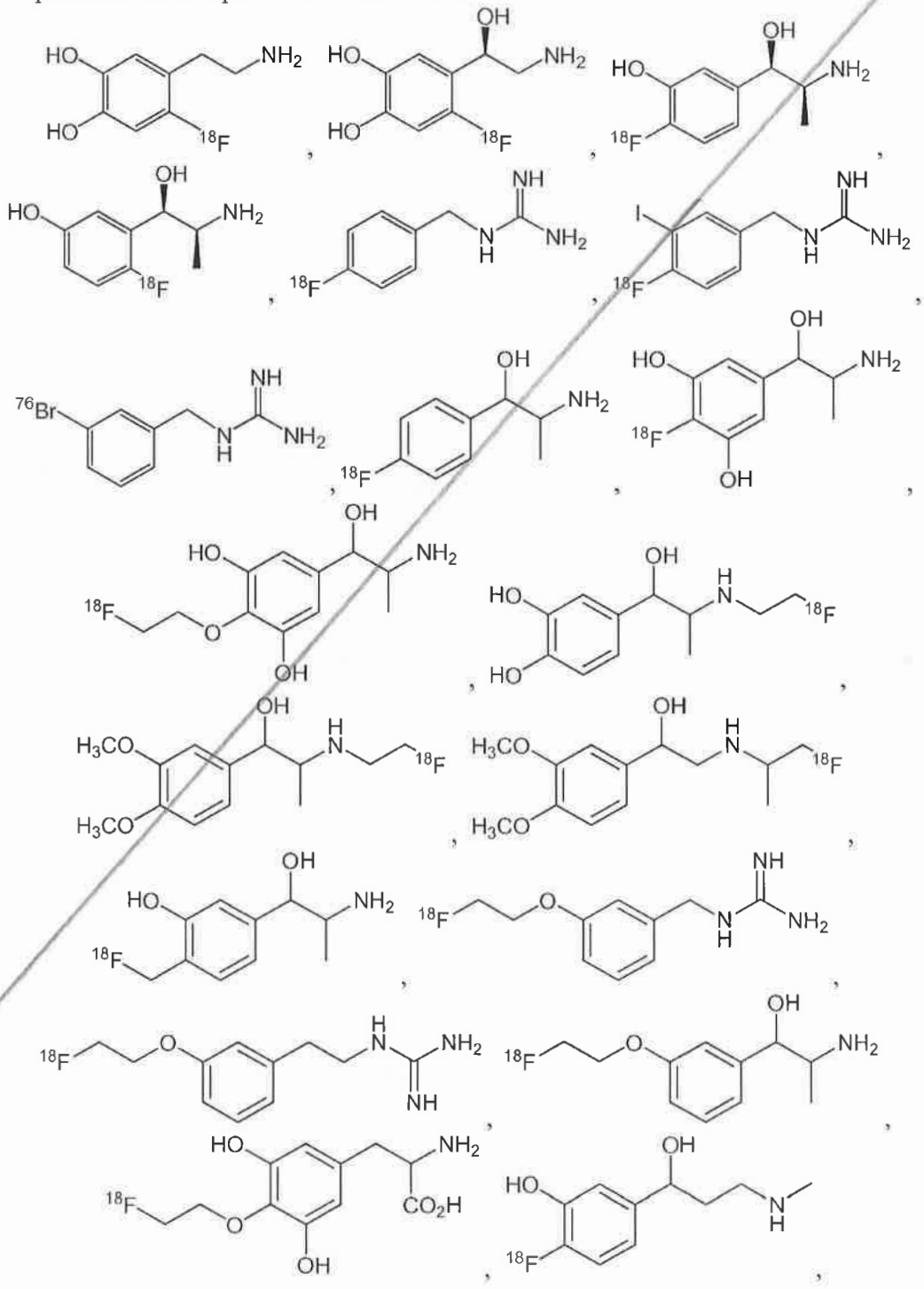
R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, $-CN$, $-SCN$, or $-NO_2$

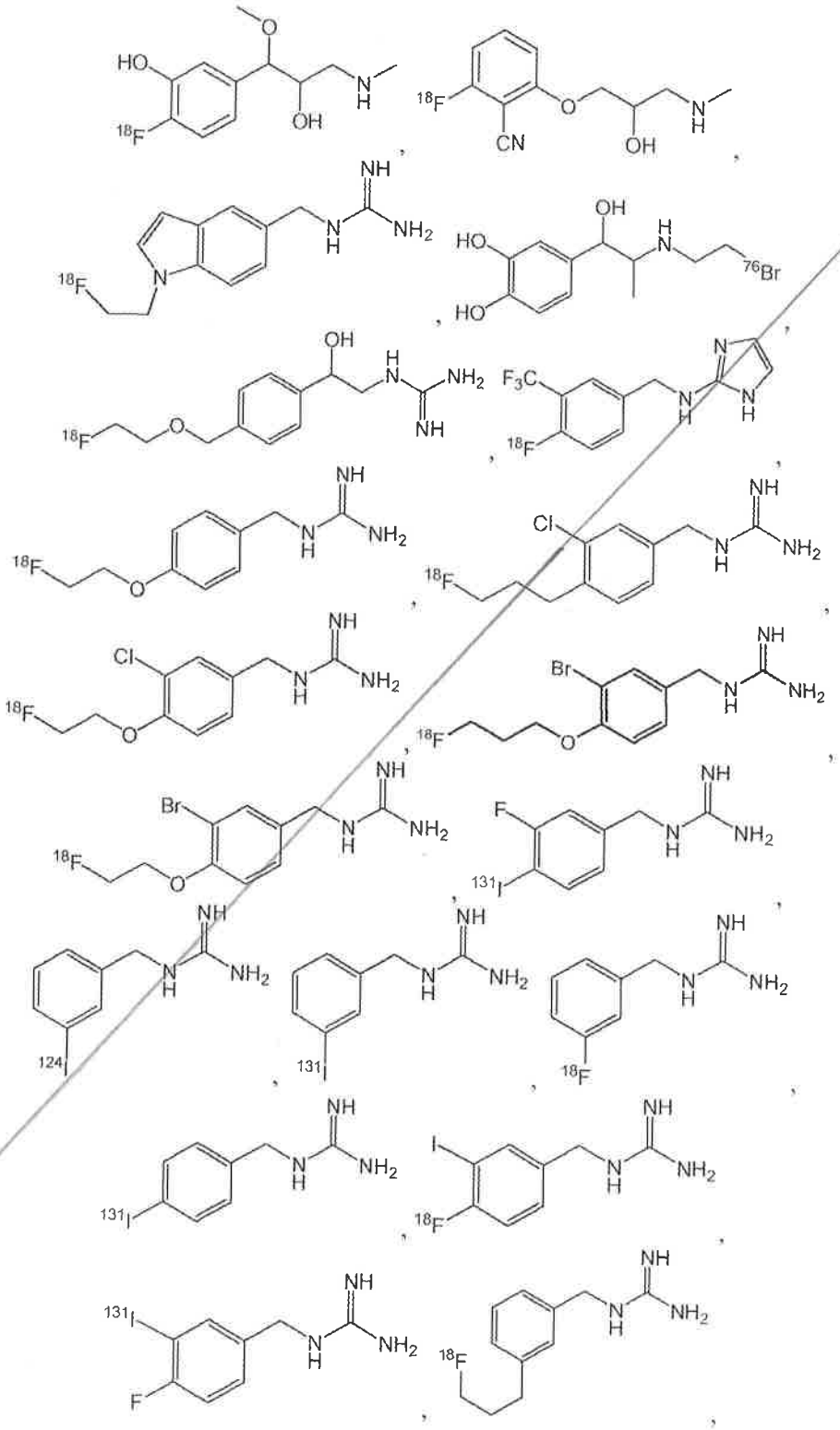
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

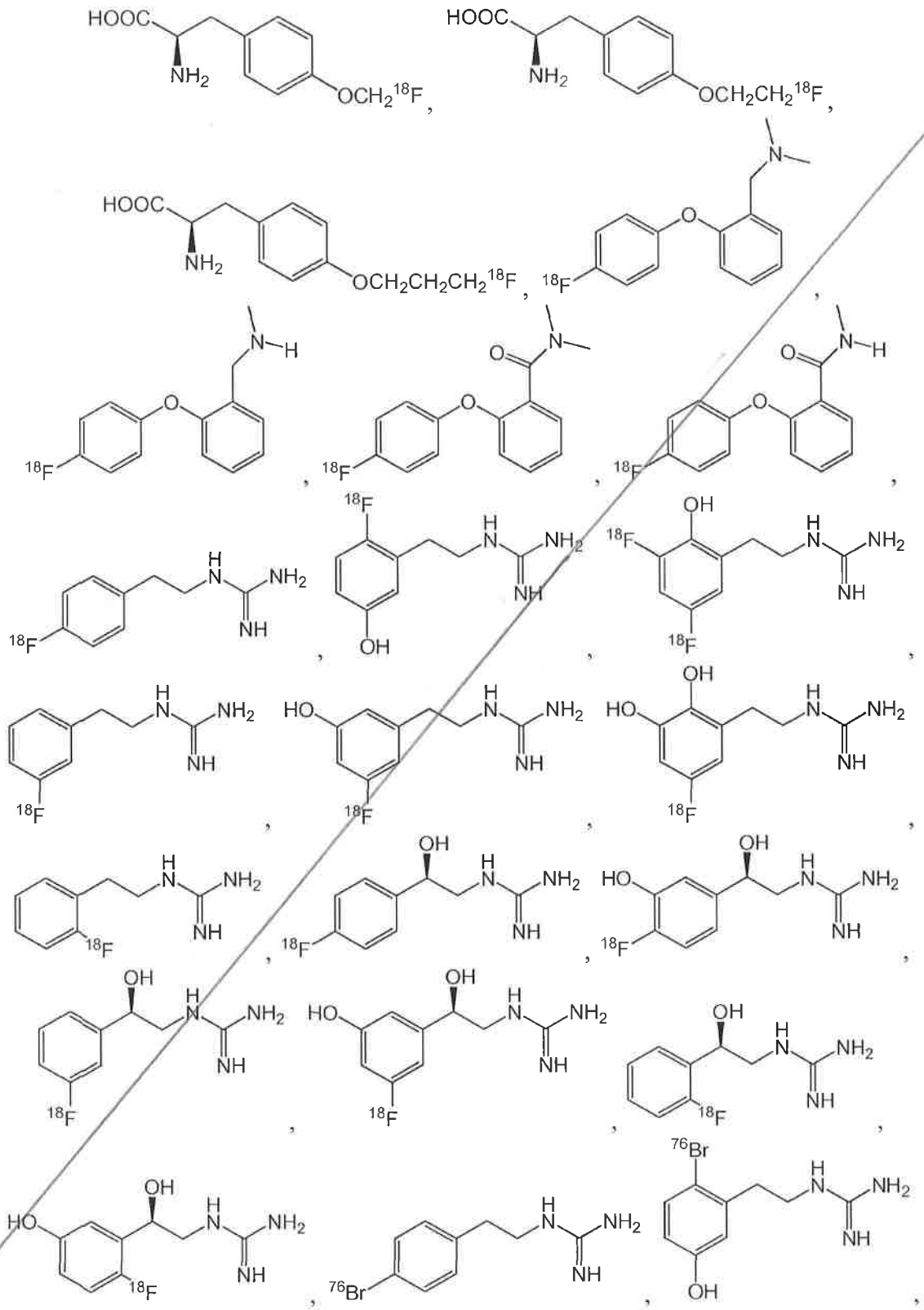
R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

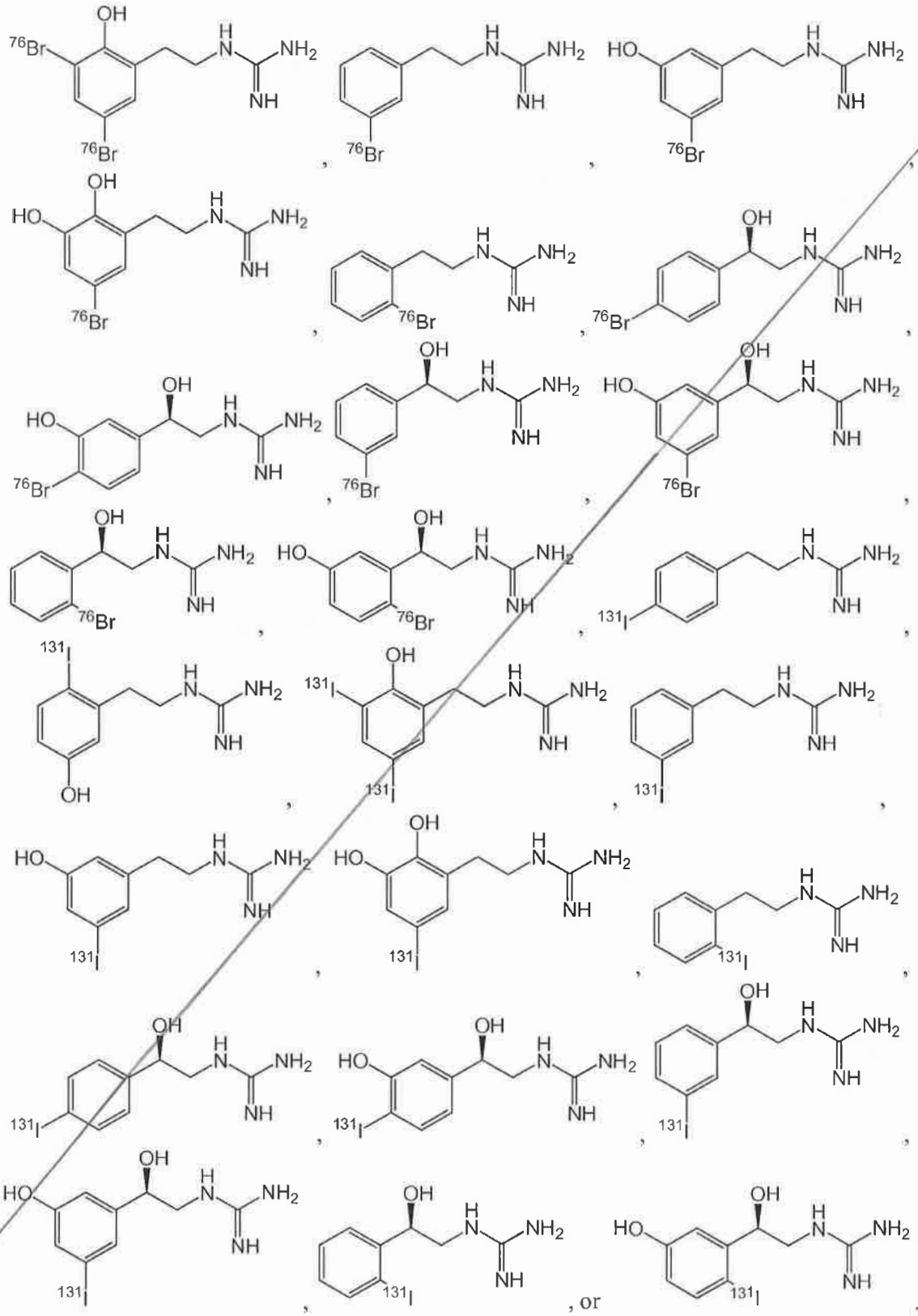
or a salt thereof;

provided the compound is not of the formula:









, or

2. A compound of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

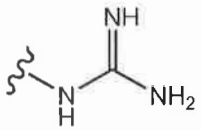
R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$; ;

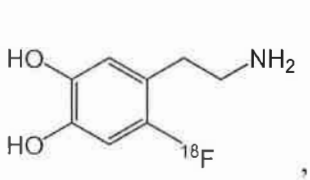
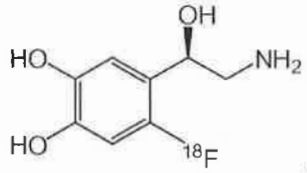
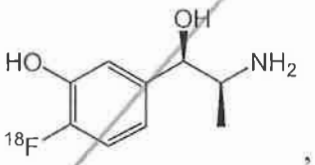
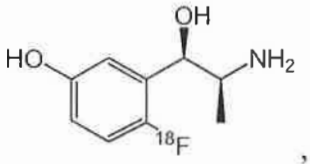
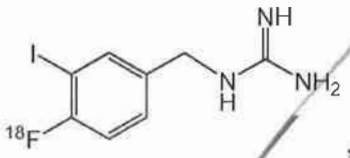
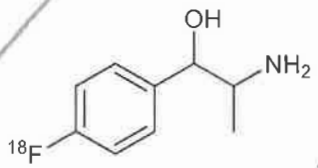
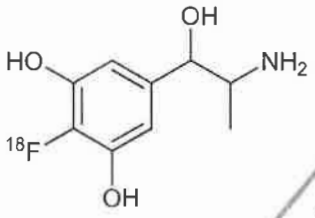
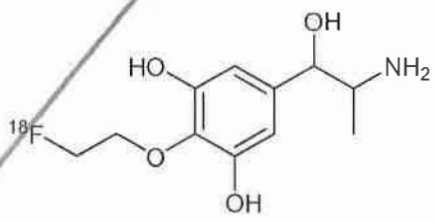
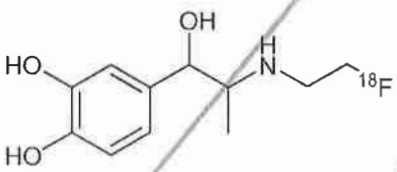
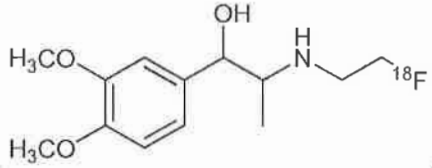
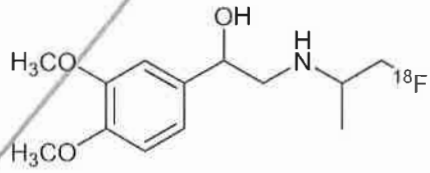
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;

provided that when Ar is phenyl, when L is $-CH_2-$, when R^1 is , and when R^0 is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, then Ar is substituted; and

further provided the compound is not of the formula:


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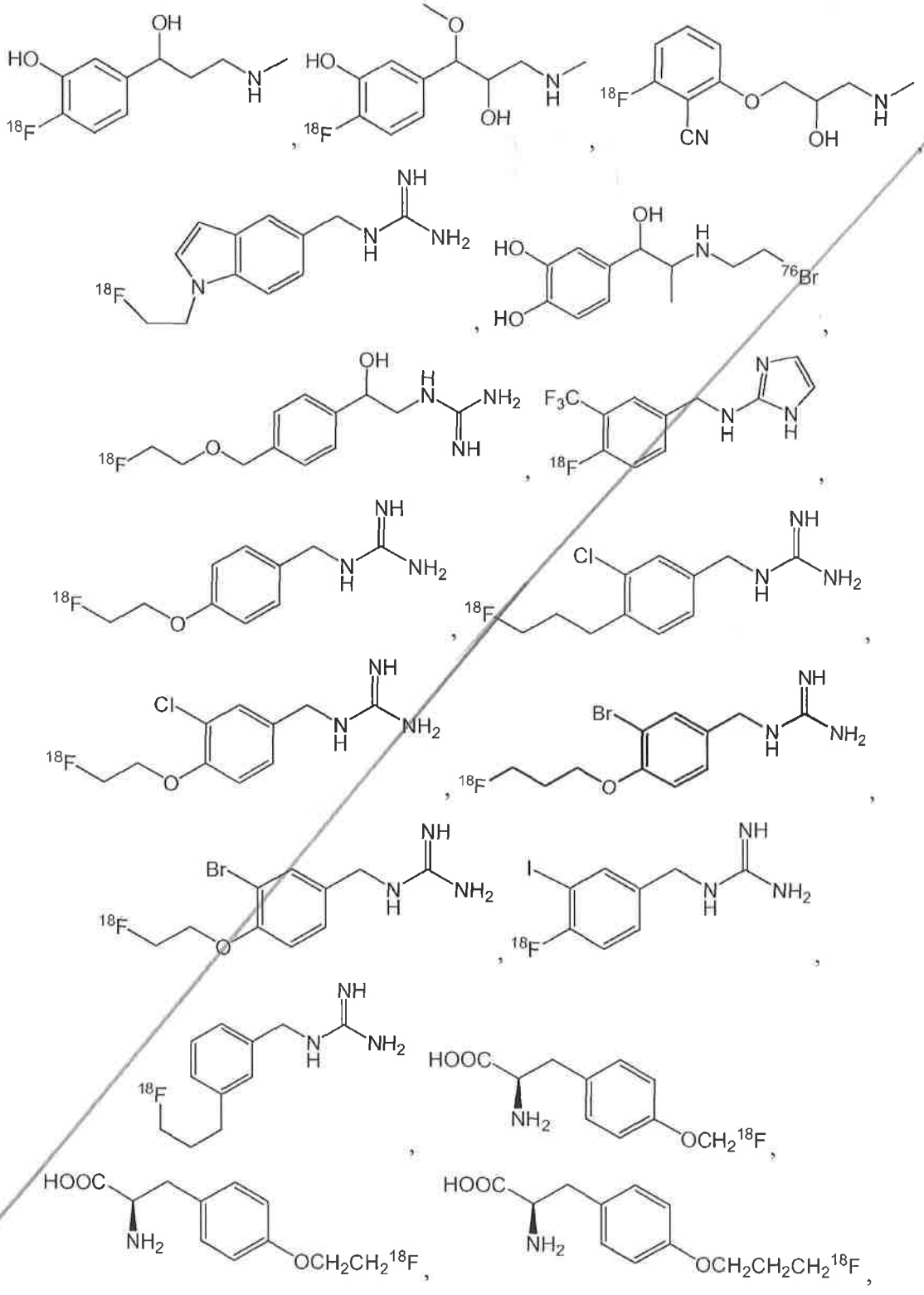
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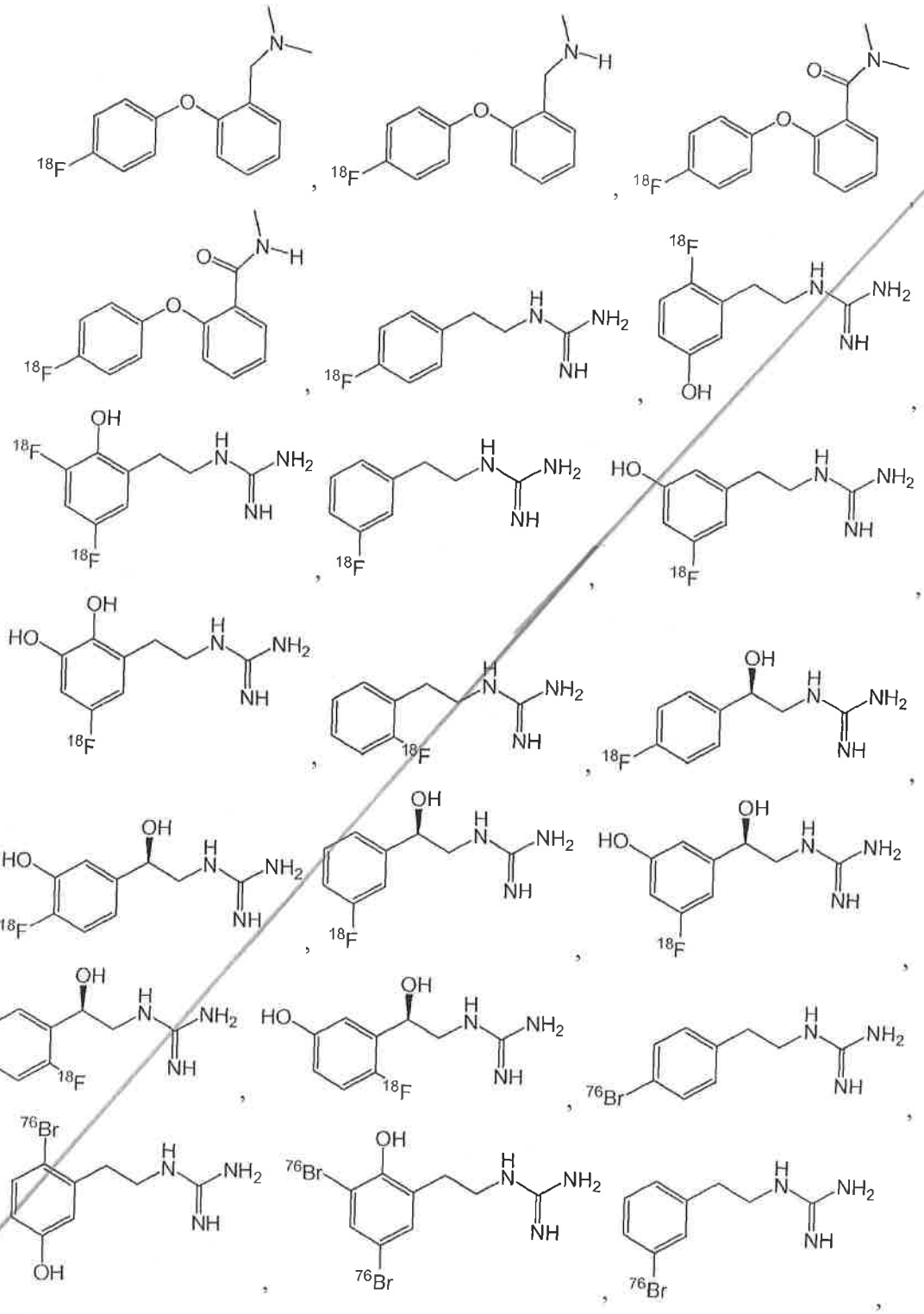
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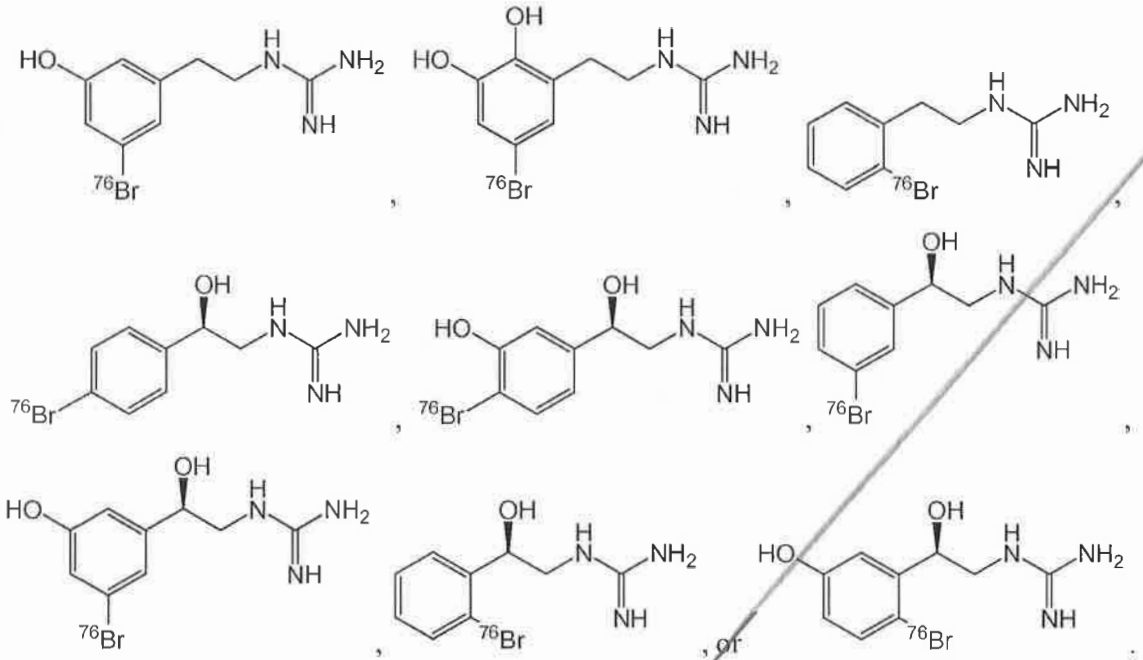
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3. A compound of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, -

$C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

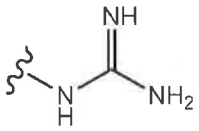
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;

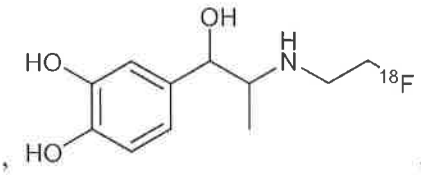
provided that when Ar is phenyl, R^0 is not ^{18}F;

further provided that when Ar is phenyl, when L is $-CH_2-$, when R^1 is

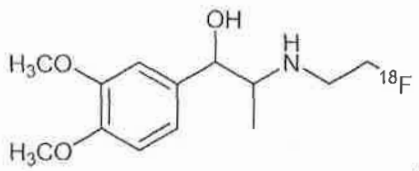
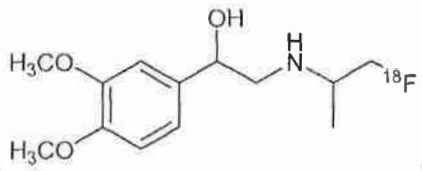
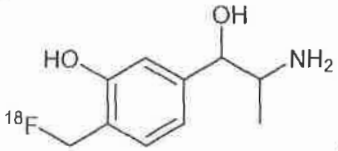
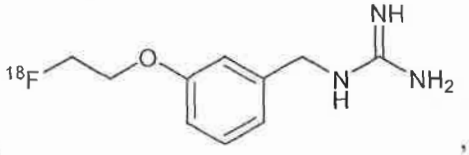
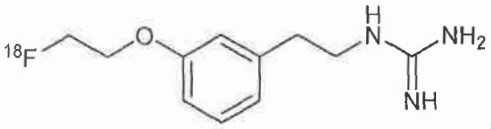
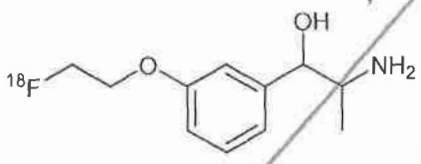
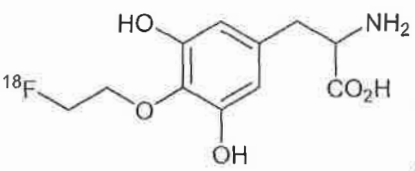
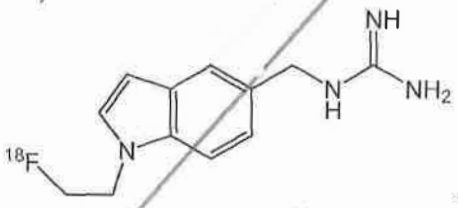
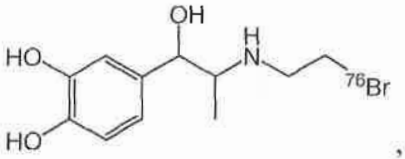
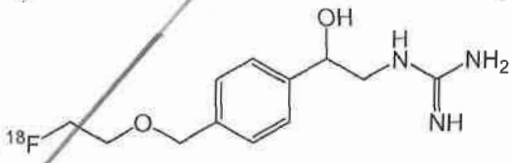
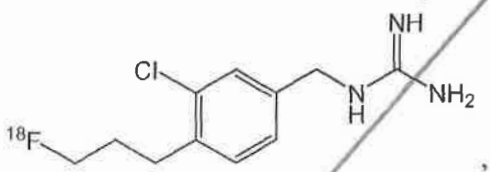
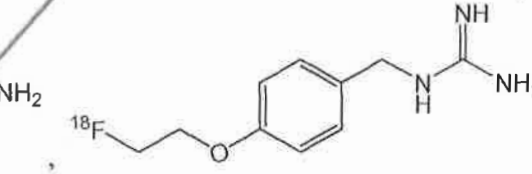
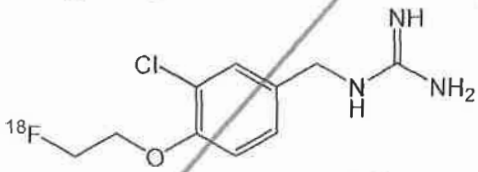
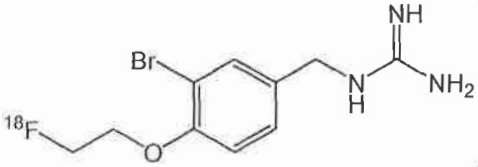
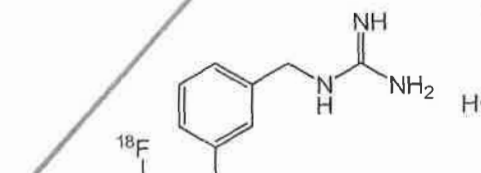
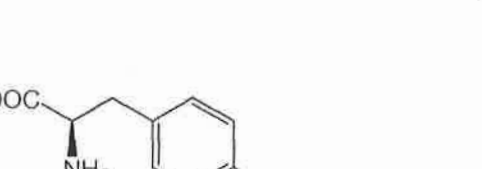
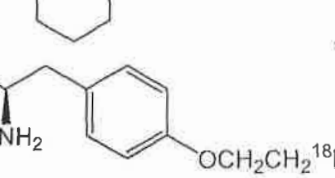
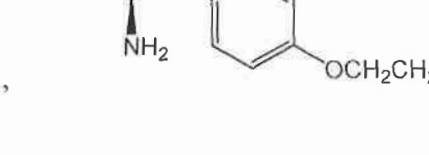


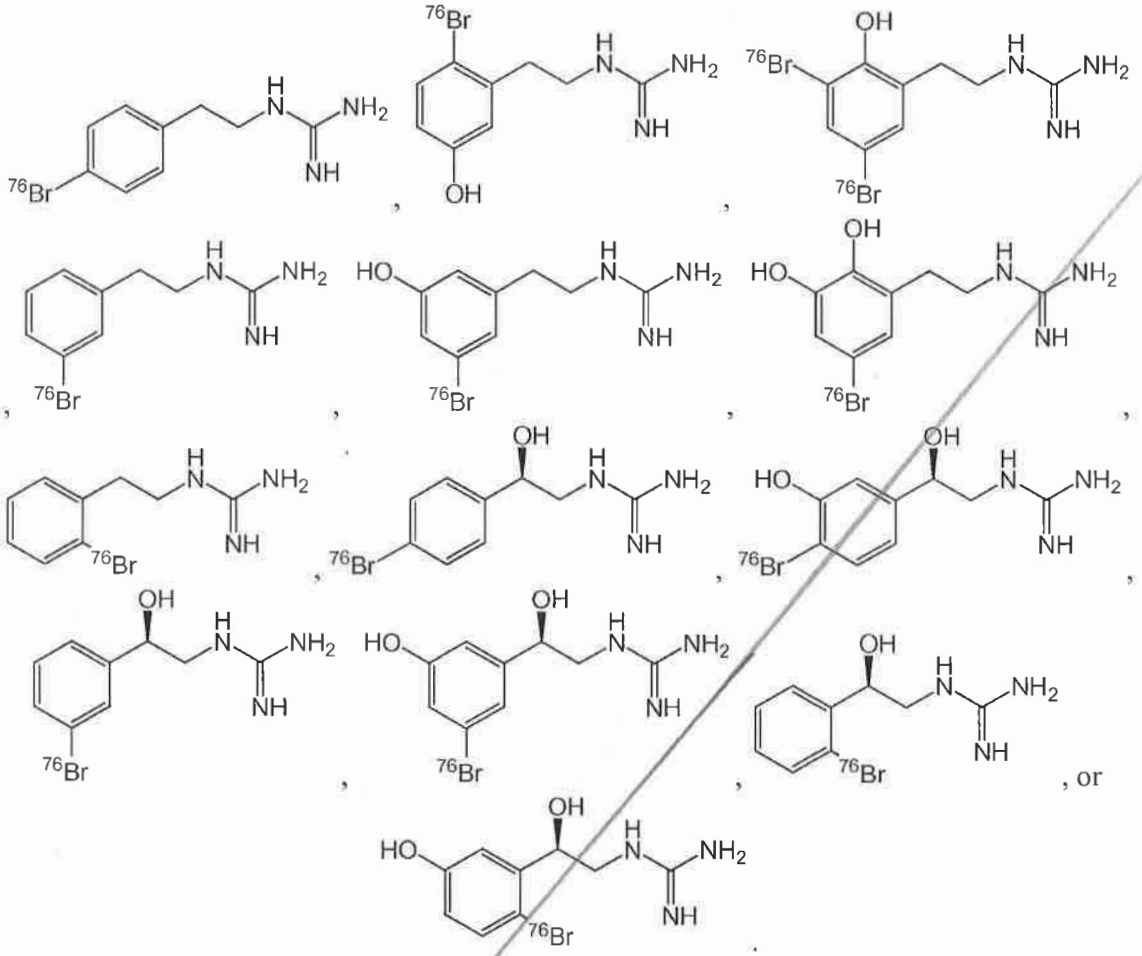
, and when R^0 is an imaging moiety selected from the group consisting of ^{76}Br and ^{124}I, then Ar is substituted; and

further provided the compound is not of the formula:



,



4. A compound of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, -

$OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

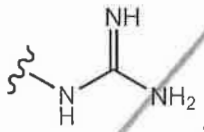
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;

provided that when Ar is phenyl, R^0 is not ^{18}F,

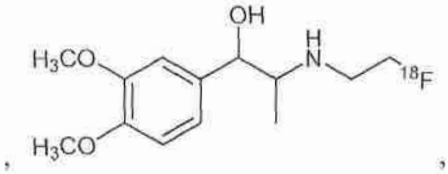
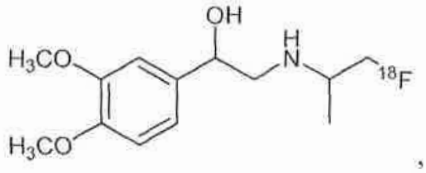
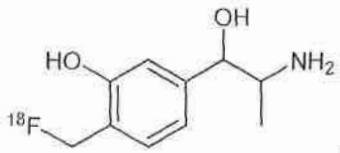
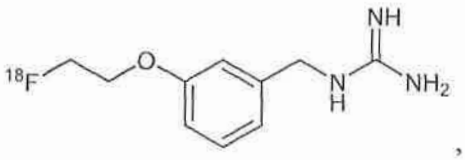
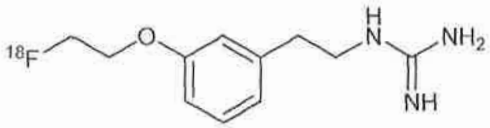
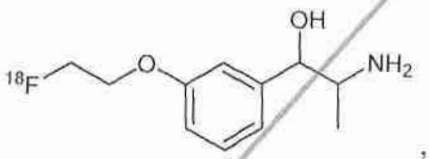
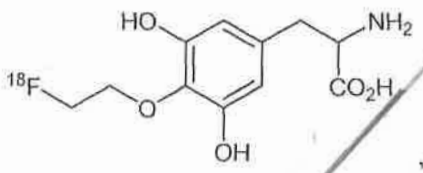
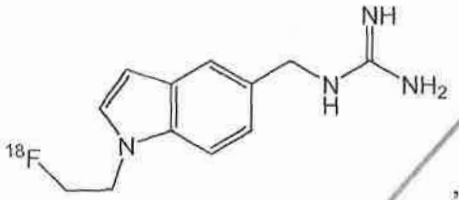
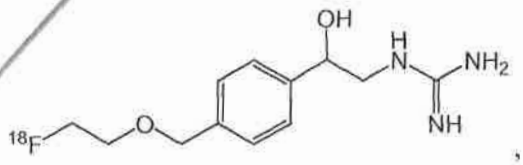
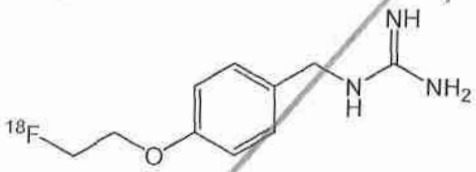
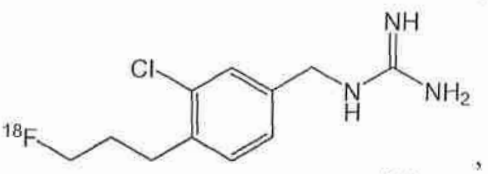
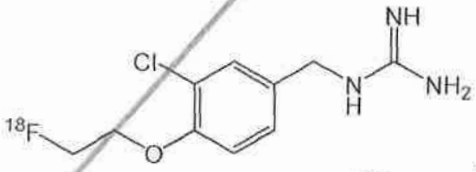
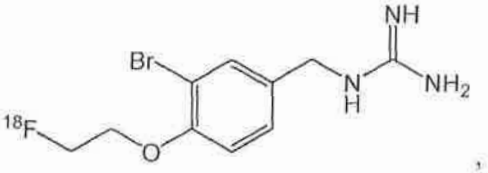
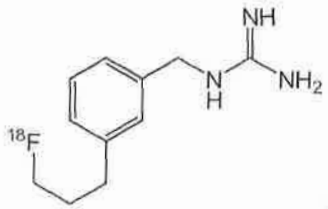
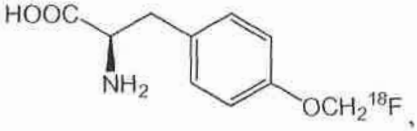
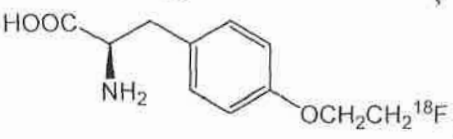
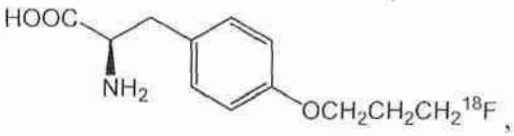
further provided that when Ar is phenyl, when L is $-CH_2-$, when R^1 is

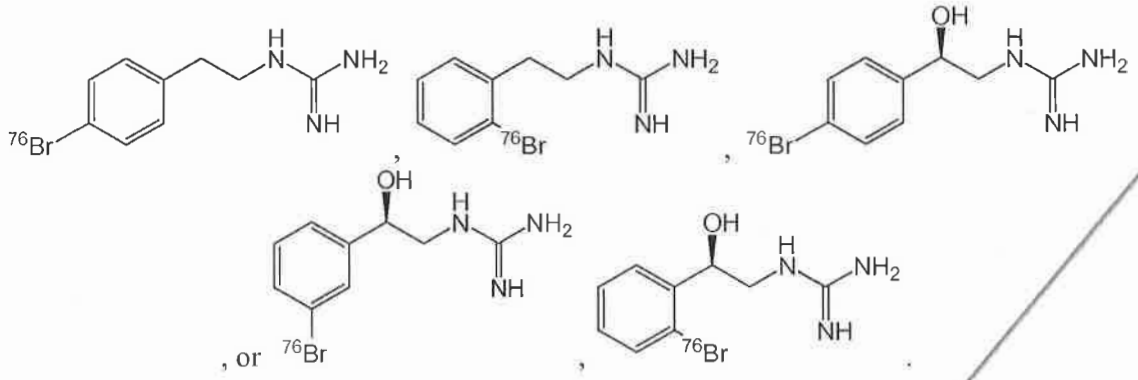


, and when R^0 is an imaging moiety selected from the group consisting of ^{76}Br and ^{124}I, then Ar is substituted;

further provided that when Ar is phenyl, then Ar is not substituted with OH; and

further provided the compound is not of the formula:


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5. A compound of any one of claims 1-4, wherein the compound is a halide, phosphate, hydrogen phosphate, dihydrogen phosphate, hydrogen sulfate, sulfate, trifluoroacetate, toluenesulfonate, acetate, formate, citrate, ascorbate, mesylate (methanesulfonate), triflate (trifluoromethanesulfonate), tartrate, lactate, or benzoate salt.

6. A compound of claim 1, wherein R^0 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

7. A compound of any one of claims 2-4, wherein R^0 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I.

8. A compound of claim 6, wherein R^0 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

9. A compound of claim 7, wherein R^0 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I.

10. A compound of claim 8 or 9, wherein R^0 is substituted with ^{18}F.

11. A compound of claim 1, wherein R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I; or is associated with an imaging moiety

selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

12. A compound of any one of claims 2-4, wherein R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I,.

13. A compound of claim 11, wherein R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

14. A compound of claim 12, wherein R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I.

15. A compound of claim 13 or 14, wherein R^1 is substituted with ^{18}F.

16. A compound of any one of claims 1-15, wherein the imaging moiety is not directly bound to Ar.

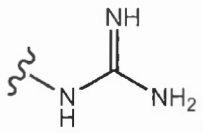
17. A compound of any one of claims 1-16, wherein Ar is not phenyl substituted with a hydroxyl group.

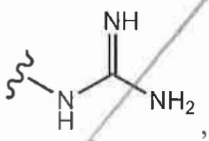
18. A compound of any one of claims 1-16, wherein Ar is not phenyl substituted with a hydroxyl group or a halogen.

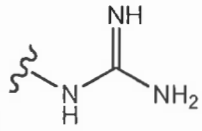
19. A compound of any one of claims 1-16, wherein when Ar is phenyl and R^0 is alkyl substituted with an imaging moiety, Ar is not substituted with a hydroxyl group.

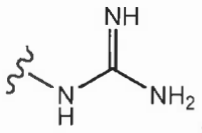
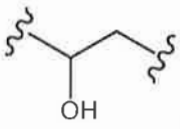
20. A compound of any one of claims 1-16, wherein when Ar is phenyl and R^0 is alkoxy substituted with an imaging moiety, Ar is not substituted with a hydroxyl group.

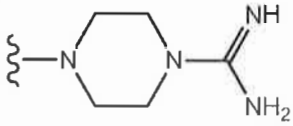
21. A compound of any one of claims 1-16, wherein when Ar is phenyl and the imaging moiety is attached directly to Ar, Ar is not substituted with a hydroxyl group or is unsubstituted except with R^0 and -L-R^1.

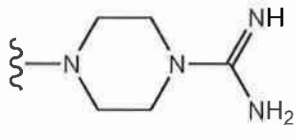
22. A compound of any one of claims 1-16, wherein when Ar is phenyl, L is -CH_2-, R^1 is , and R^0 is alkoxy substituted with an imaging moiety, Ar is not substituted with a halogen.

23. A compound of any one of claims 1-16, wherein when Ar is phenyl, R^0 is alkoxymethyl substituted with an imaging moiety, and R^1 is , L is -CH_2-.

24. A compound of any one of claims 1-16, wherein t when Ar is phenyl, L is -CH_2-, R^1 is , and R^0 is alkoxy substituted with an imaging moiety or alkyl substituted with an imaging moiety, Ar is not substituted with a halogen or is unsubstituted except with R^0 and -L-R^1.

25. A compound of any one of claims 1-16, wherein when Ar is phenyl, R^1 is , and R^0 is alkoxy substituted with an imaging moiety, L is not –CH_2-, -CH_2CH_2-, , or .

26. A compound of any one of claims 1-16, wherein when Ar is phenyl, and L is a bond, R^1 is not .

27. A compound of any one of claims 1-16, wherein when Ar is phenyl, L is a bond, and R^1 is , R^0 is not an imaging moiety directly attached to Ar, alkyl substituted with an imaging moiety, alkoxyalkyl substituted with an imaging moiety, or alkoxy substituted with an imaging moiety.

28. A compound of any one of claims 1-16, wherein Ar is substituted or unsubstituted phenyl.

29. A compound of any one of claims 1-16, wherein Ar is not phenyl.

30. A compound of any one of claims 1-16, wherein Ar is substituted or unsubstituted naphthyl.

31. A compound of any one of claims 1-16, wherein Ar is substituted or unsubstituted biphenyl.

32. A compound of any one of claims 1-16, wherein Ar is substituted or unsubstituted heteroaryl.

33. A compound of any one of claims 1-16, wherein Ar is substituted or unsubstituted monocyclic heteroaryl.

34. A compound of any one of claims 1-16, wherein Ar is substituted or unsubstituted bicyclic heteroaryl.

35. A compound of claim 34, wherein Ar is substituted or unsubstituted benzoxazolyl.

36. A compound of claim 34, wherein Ar is substituted or unsubstituted benzimidazolyl.

37. A compound of claim 34, wherein Ar is substituted or unsubstituted benzthiazolyl.

38. A compound of claim 34, wherein Ar is substituted or unsubstituted indolyl.

39. A compound of claim 34, wherein Ar is substituted or unsubstituted quinolinyl.

40. A compound of claim 34, wherein Ar is substituted or unsubstituted isoquinolinyl.

41. A compound of claim 34, wherein Ar is substituted or unsubstituted chromanyl.

42. A compound of claim 34, wherein Ar is substituted or unsubstituted chromenyl.

43. A compound of claim 34, wherein Ar is substituted or unsubstituted benzofuranyl.

44. TA compound of claim 34, wherein Ar is substituted or unsubstituted benzpyrazolyl.

45. A compound of any one of claims 1-16, and 28-44, wherein L is a bond.

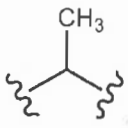
46. A compound of any one of claims 1-16, and 28-44, wherein L is substituted or unsubstituted, cyclic or acyclic alkylene.

47. A compound of claim 46, wherein L is substituted or unsubstituted, cyclic or acyclic C_{1-6}alkylene.

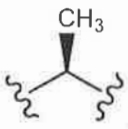
48. A compound of claim 47, wherein L is unsubstituted, acyclic C_{1-6}alkylene.

49. A compound of claim 47, wherein L is substituted, acyclic C_{1-6}alkylene.

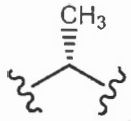
50. A compound of claim 48, wherein L is $-CH_2-$.

51. A compound of claim 49, wherein L is 

.

52. A compound of claim 49, wherein L is 

.

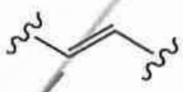
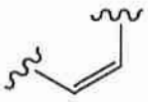
53. A compound of claim 49, wherein L is .

54. A compound of claim 48, wherein L is $-CH_2CH_2-$.

55. A compound of claim 48, wherein L is $-CH_2CH_2CH_2-$.

56. A compound of any one of claims 1-16, and 28-44, wherein L is substituted or unsubstituted, cyclic or acyclic C_{1-6}alkenylene.

57. A compound of claim 56, wherein L is -CH=CH-.

58. A compound of claim 56, wherein L is  or .

59. A compound of any one of claims 1-16, and 28-44, wherein L is substituted or unsubstituted, cyclic or acyclic heteroaliphatic.

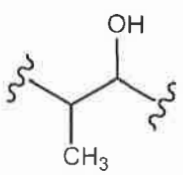
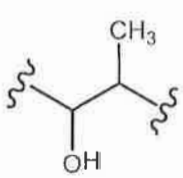
60. A compound of claim 59, wherein L is $-OCH_2-$ or $-CH_2O-$.

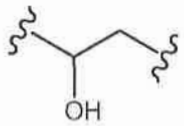
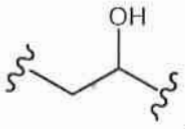
61. A compound of claim 59, wherein L is $-OCH_2CH_2-$ or $-CH_2CH_2O-$.

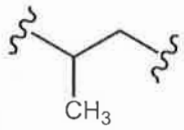
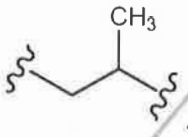
62. A compound of claim 59, wherein L is $-NHCH_2-$ or $-CH_2NH-$.

63. A compound of claim 59, wherein L is $-NHCH_2CH_2-$ or $-CH_2CH_2NH-$.

64. A compound of claim 59, wherein L is -CH=N- or -N=CH-.

65. A compound of claim 47, wherein L is  or .

66. A compound of claim 47, wherein L is  or .

67. A compound of claim 47, wherein L is  or .

68. A compound of claim 47, wherein L is $-CH_2CH_2CH_2-$.

69. A compound of any one of claims 1-16, 28-44, 46-49, 56, and 59, wherein L ranges from 0 to 6 atoms in length.

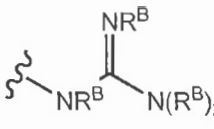
70. A compound of any one of claims 1-16, 28-44, 46-49, 56, and 59, wherein L ranges from 0 to 3 atoms in length.

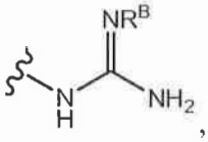
71. A compound of any one of claims 1-16, 28-44, 46-49, 56, and 59, wherein L ranges from 0 to 2 atoms in length.

72. A compound of any one of claims 1-16, 28-44, and 45-71, wherein R^1 is $-N(R^A)_2$, heteroaryl, heterocyclic, $-C(=NH)NH_2$, $-NHC(=NH)NH_2$, $-NR^AC(=NR^A)N(R^A)_2$; $-NHC(=NH)NHR^A$, or $-NHC(=NH)N(R^A)_2$, wherein each occurrence of R^A is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted carbocyclyl, substituted unsubstituted heterocyclyl, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl, or two R^A groups may be joined to form an optional substituted heterocyclic ring.

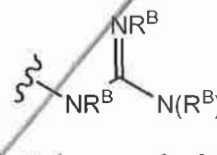
73. A compound of claim 72, wherein R^1 is a non-aromatic, cyclic, substituted or unsubstituted nitrogen-containing moiety.

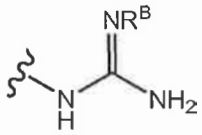
74. A compound of claim 72, wherein R^1 is $-NHC(=NH)NH_2$.

75. A compound of claim 72, wherein R^1 is , wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

76. A compound of claim 72, wherein R^1 is , wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

77. A compound of any one of claims 1-16, 28-44, and 45-71, wherein R^1 is not -$NHC(=NH)NH_2$.

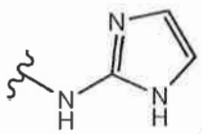
78. A compound of claim 72, wherein R^1 is not , where each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

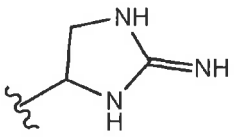
79. A compound of claim 72, wherein R^1 is not , where R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

80. A compound of claim 72, wherein R^1 is -NH_2.

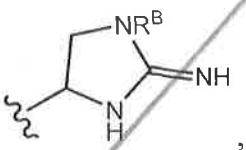
81. A compound of claim 72, wherein R^1 is -NHR^A.

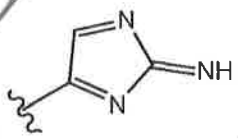
82. A compound of claim 72, wherein R^1 is -$NHCH_3$, -$NHCH_2CH_3$, or -$NHCH_2CH_2CH_3$.

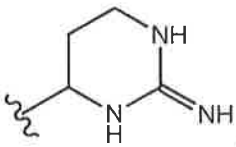
83. A compound of claim 72, wherein R^1 is .

84. A compound of claim 72, wherein R^1 is .

85. A compound of claim 72, wherein R^1 is , wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

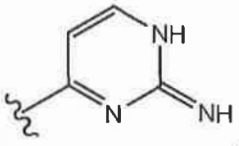
86. A compound of claim 72, wherein R^1 is , wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

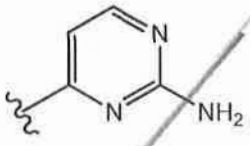
87. A compound of claim 72, wherein R^1 is .

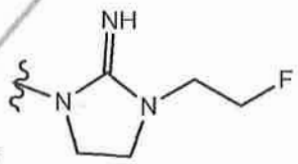
88. A compound of claim 72, wherein R^1 is .

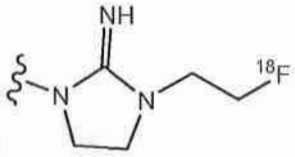
89. A compound of claim 72, wherein R^1 is , wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

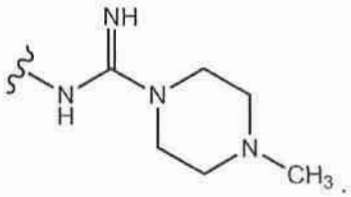
90. A compound of claim 72, wherein R^1 is , wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

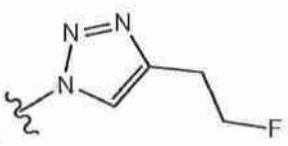
91. A compound of claim 72, wherein R^1 is .

92. A compound of claim 72, wherein R^1 is .

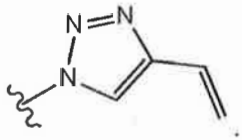
93. A compound of claim 72, wherein R^1 is .

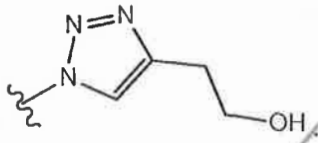
94. A compound of claim 72, wherein R^1 is .

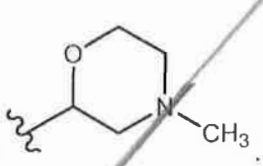
95. A compound of claim 72, wherein R^1 is .

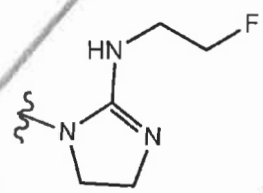
96. A compound of claim 72, wherein R^1 is .

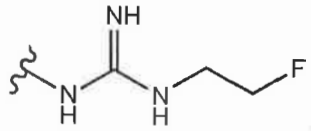
97. A compound of claim 96, wherein F is enriched in ^{18}F.

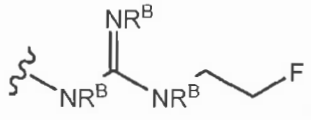
98. A compound of claim 72, wherein R^1 is .

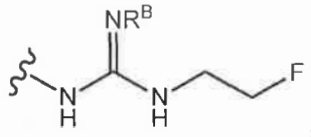
99. A compound of claim 72, wherein R^1 is .

100. A compound of claim 72, wherein R^1 is .

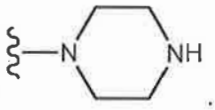
101. A compound of claim 72, wherein R^1 is .

102. A compound of claim 72, wherein R^1 is .

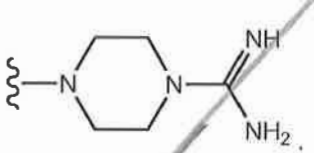
103. A compound of claim 72, wherein R^1 is , wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

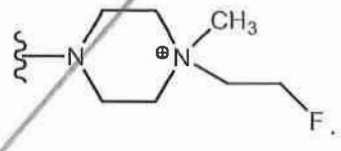
104. A compound of claim 72, wherein R^1 is , wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

105. A compound of any one of claims 101-104, wherein F is enriched in ^{18}F.

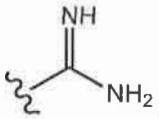
106. A compound of claim 72, wherein R^1 is .

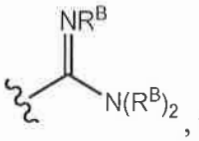
107. A compound of claim 72, wherein R^1 is .

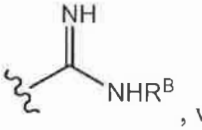
108. A compound of claim 72, wherein R^1 is .

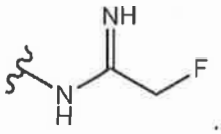
109. A compound of claim 72, wherein R^1 is .

110. A compound of claim 109, wherein F is enriched in ^{18}F.

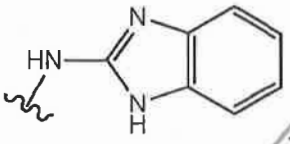
111. A compound of claim 72, wherein R^1 is .

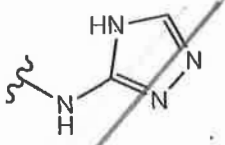
112. A compound of claim 72, wherein R^1 is , wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

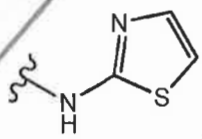
113. A compound of claim 72, wherein R^1 is , wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group

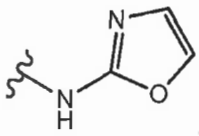
114. A compound of claim 72, wherein R^1 is .

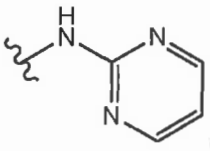
115. A compound of claim 114, wherein F is enriched in ^{18}F.

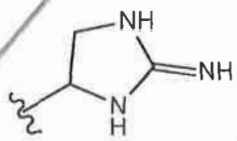
116. A compound of claim 72, wherein R^1 is .

117. A compound of claim 72, wherein R^1 is .

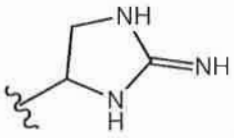
118. A compound of claim 72, wherein R^1 is .

119. A compound of claim 72, wherein R^1 is .

120. A compound of claim 72, wherein R^1 is .

121. A compound of any one of claims 1-16 and 28-44, wherein L is a bond; and R^1 is .

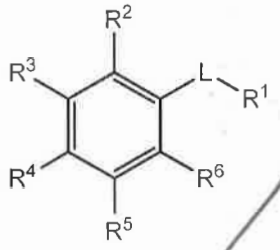
122. A compound of claim 121, wherein when L is a bond; Ar is phenyl; and R^1 is



, and Ar is substituted with a least one other group besides R^0 and $-L-R^1$.

123. A compound of any one of claims 1-16 and 28-44, wherein L is $-CH_2-$; and R^1 is $-NHC(=NH)NH_2$.

124. A compound of claim 1 of the formula:



wherein

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety;

each of R^2-R^6 is independently hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$; or

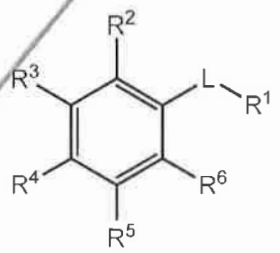
any two adjacent R^2-R^6 are joined to form an optionally substituted or unsubstituted carbocyclic, heterocyclic, aryl, or heteroaryl ring;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

one or more of R^1-R^6 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

or a salt thereof.

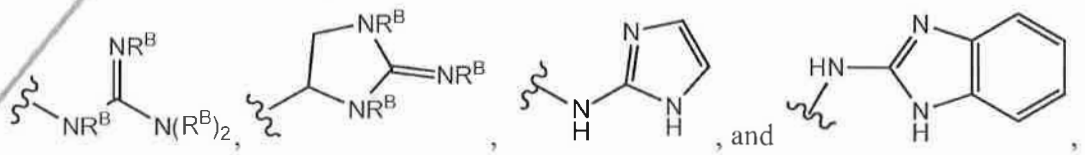
125. A compound of the formula:



wherein

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is selected from the group consisting of :



wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen;

R^2 and R^6 are hydrogen;

each of R^3, R^4 and R^5 is independently hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$; or any two adjacent R^3, R^4 and R^5 are joined to form an optionally substituted or unsubstituted carbocyclic, heterocyclic, aryl, or heteroaryl ring;

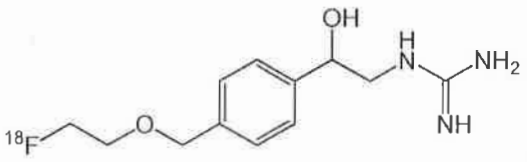
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

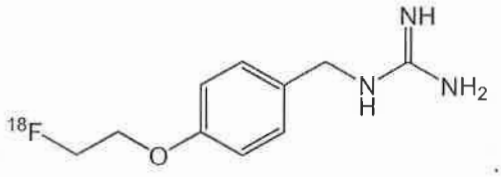
wherein R^4 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is ^{124}I;

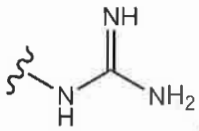
or a salt thereof

with the proviso that if one of R^3 or R^5 is Cl, Br, or CF_3, then the other of R^3 or R^5 is not H; and

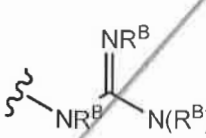
provided the compound is not of the formula:



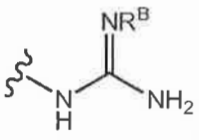
or


126. A compound of claim 125, where R^1 is 

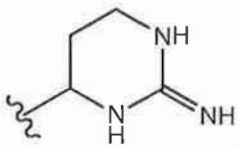
.

127. A compound of claim 124 or 125, wherein R^1 is 

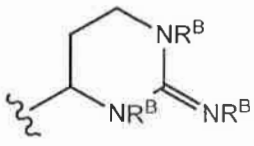
, wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

128. A compound of claim 124 or 125, wherein R^1 is 

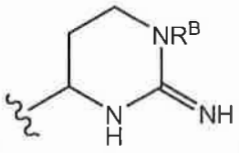
, wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

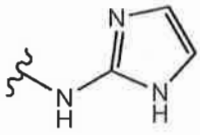
129. A compound of claim 124 or 125, wherein R^1 is 

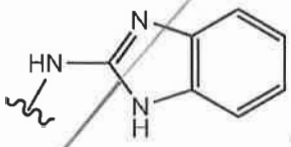
.

130. A compound of claim 124 or 125, wherein R^1 is 

, wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

131. A compound of claim 124 or 125, wherein R^1 is , wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

132. A compound of claim 124 or 125, wherein R^1 is .

133. A compound of claim 124 or 125, wherein R^1 is .

134. A compound of claim 124 or 125, where R^4 is .

135. A compound of claim 124, wherein R^4 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

136. A compound of claim 135, wherein R^4 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

137. A compound of claim 136, wherein R^4 is substituted with ^{18}F.

138. A compound of claim 124, wherein R^4 is halogen.

139. A compound of claim 138, wherein R^4 is fluorine.

140. A compound of claim 139, wherein R^4 is isotopically enriched with ^{18}F.

141. A compound of any one of claims 124-137, wherein R^4 is $C_{1\text{-}6}$alkyl.

142. A compound of any one of claims 124-137, wherein R^4 is alkyl substituted with the imaging moiety.

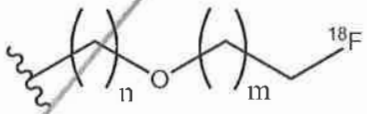
143. A compound of claim 142, wherein R^4 is $-CH_2F$, $-CH_2CH_2F$, $-CH_2CH_2CH_2F$, or $-CH_2CH_2CH_2CH_2F$.

144. A compound of any one of claims 125-133 and 135-137, wherein R^4 is alkoxy substituted with the imaging moiety.

145. A compound of any one of claims 144, wherein R^4 is $-OCH_2F$, $-OCH_2CH_2F$, $-OCH_2CH_2CH_2F$, or $-OCH_2CH_2CH_2CH_2F$.

146. A compound of any one of claims 125-133 and 135-137, wherein R^4 is alkoxyalkyl substituted with the imaging moiety.

147. A compound of claim 146, wherein R^4 is of the formula:



wherein n is an integer between 0 and 6, inclusive; and m is an integer between 0 and 6, inclusive.

148. A compound of claim 146, wherein R^4 is alkoxymethyl substituted with the imaging moiety.

149. A compound of claim 148, wherein R^4 is $-CH_2OCH_2F$, $-CH_2OCH_2CH_2F$, $-CH_2OCH_2CH_2CH_2F$, or $-CH_2OCH_2CH_2CH_2CH_2F$.

150. A compound of any one of claims 125-133 and 135-137, wherein R^2, R^3, R^5, and R^6 are all hydrogen.

151. A compound of any one of claims 125-133 and 135-137, wherein at least one of R^2, R^3, R^5, and R^6 is not hydrogen.

152. A compound of any one of claims 125-133 and 135-137, wherein at least two of R^2, R^3, R^5, and R^6 are not hydrogen.

153. A compound of any one of claims 125-133 and 135-137, wherein R^2, R^5, and R^6 are all hydrogen.

154. A compound of any one of claims 125-133 and 135-137, wherein R^2 and R^6 are both hydrogen.

155. A compound of any one of claims 125-133 and 135-137, wherein R^3 is hydrogen.

156. A compound of any one of claims 125-133 and 135-137, wherein R^3 is halogen.

157. A compound of claim 156, wherein R^3 is fluorine.

158. A compound of claim 156, wherein R^3 is chlorine.

159. A compound of claim 156, wherein R^3 is bromine.

160. A compound of claim 156, wherein R^3 is iodine.

161. A compound of any one of claims 125-133 and 135-137, wherein R^3 is $-CF_3$.

162. A compound of any one of claims 125-133 and 135-137, wherein R^3 is alkoxy.

163. A compound of any one of claims 125-133 and 135-137, wherein R^3 is substituted alkoxy.

164. A compound of claim 162, wherein R^3 is $-OCH_3$.

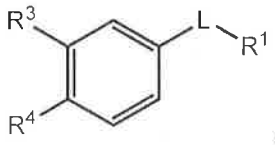
165. A compound of any one of claims 125-133 and 135-137, wherein R^3 is -OH.

166. A compound of any one of claims 125-133 and 135-137, wherein R^3 is C_{1-6}alkyl.

167. A compound of claim 166, wherein R^3 is $-CH_3$.

168. A compound of any one of claims 125-133 and 135-137, wherein R^3 is -CN.

169. A compound of claim 124 of formula:



wherein

L is a bond; substituted or unsubstituted alkylene; substituted or unsubstituted alkenylene; or substituted or unsubstituted heteroalkylene;

R^1 is a substituted or unsubstituted nitrogen-containing moiety;

R^3 is hydrogen, halogen, optionally substituted alkyl, $-OR^{A1}$, $-N(R^{A2})_2$, $-C(=O)R^{A1}$, $-C(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, or -CN;

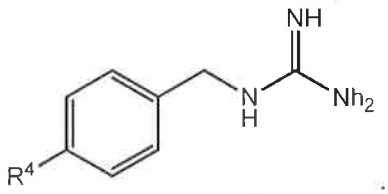
R^4 is hydrogen, halogen, optionally substituted alkyl, $-OR^{A1}$, $-N(R^{A2})_2$, $-C(=O)R^{A1}$, $-C(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, or -CN;

each occurrence of R^{A1} is independently hydrogen, or optionally substituted alkyl; and each occurrence of R^{A2} is independently hydrogen or optionally substituted alkyl, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

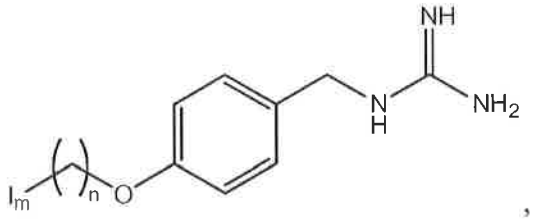
one or more of R^3 and R^4 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, ^{131}I, ^{99m}Tc, ^{53}Gd, and ^{111}In or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, ^{131}I, ^{99m}Tc, ^{53}Gd, and ^{111}In;

or a salt thereof.

170. A compound of claim 124 of formula:



171. A compound of claim 124 of formula:

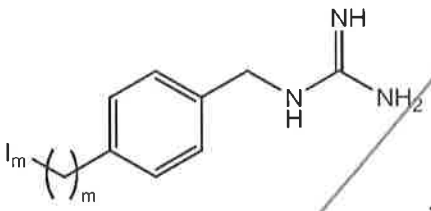


wherein

n is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

172. A compound of claim 124 of formula:

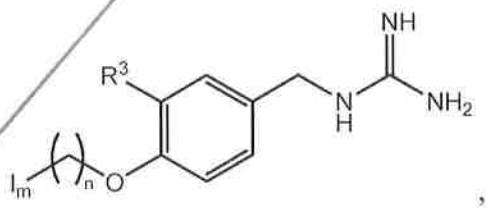


wherein

m is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

173. A compound of claim 124 of formula:

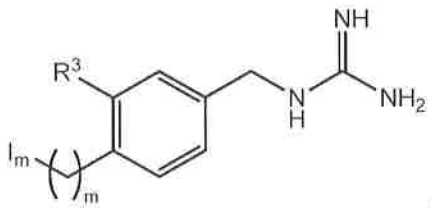


wherein

n is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

174. A compound of claim 124 of formula:

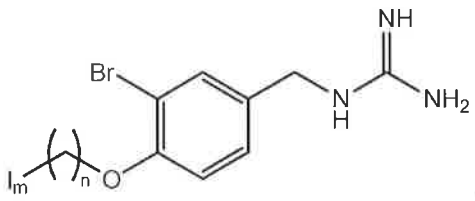


wherein

m is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

175. A compound of claim 124 of formula:



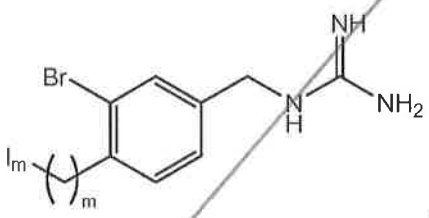
,

wherein

n is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

176. A compound of claim 124 of formula:



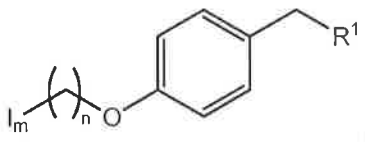
,

wherein

m is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

177. A compound of claim 124 of formula:



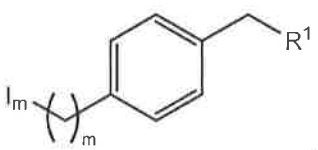
,

wherein

n is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

178. A compound of claim 124 of formula:



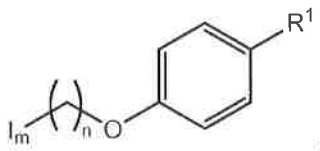
,

wherein

m is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

179. A compound of claim 124 of formula:



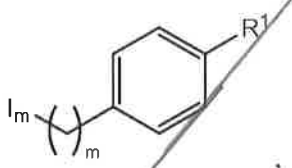
,

wherein

n is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

180. A compound of claim 124 of formula:



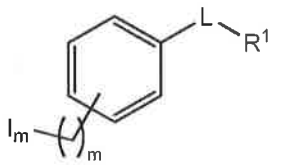
,

wherein

m is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

181. A compound of claim 124 of formula:



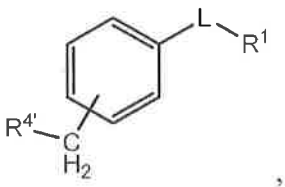
,

wherein

m is an integer between 1 and 6, inclusive, and

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

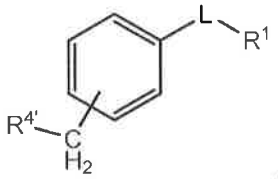
182. A compound of claim 124 of formula:



wherein

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

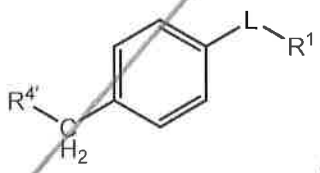
183. A compound of claim 124 of formula:



wherein

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

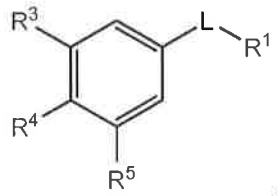
184. A compound of claim 124 of formula:



wherein

I_m is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I.

185. A compound of claim 124 of formula:



wherein

L is a bond; substituted or unsubstituted alkylene; substituted or unsubstituted alkenylene; or substituted or unsubstituted heteroalkylene;

R^1 is a substituted or unsubstituted nitrogen-containing moiety;

R^3 is halogen, optionally substituted alkyl, $-OR^{A1}$, $-N(R^{A2})_2$, $-C(=O)R^{A1}$, $-C(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, or -CN;

R^4 is hydrogen, halogen, optionally substituted alkyl, $-OR^{A1}$, $-N(R^{A2})_2$, $-C(=O)R^{A1}$, $-C(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, or -CN;

R^5 is halogen, optionally substituted alkyl, $-OR^{A1}$, $-N(R^{A2})_2$, $-C(=O)R^{A1}$, $-C(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, or -CN;

each occurrence of R^{A1} is independently hydrogen, or optionally substituted alkyl; and each occurrence of R^{A2} is independently hydrogen or optionally substituted alkyl, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

one or more of R^3 and R^4 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

or a salt thereof.

186. A compound of any one of claims 125 or 185, wherein neither R^3 nor R^5 are -OH.

187. A compound of claim 185 or 186, wherein L is a bond.

188. A compound of claim 185 or 186, wherein L is $-CH_2-$.

189. A compound of claim 185 or 186, wherein L is -CH=CH-.

190. A compound of any one of claims 185-189, wherein R^3 is halogen, optionally substituted alkyl, $-OR^{A1}$, $-N(R^{A2})_2$, $-C(=O)R^{A1}$, $-C(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, or –CN.

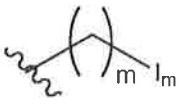
191. A compound of any one of claims 185-189, wherein R^3 is hydrogen, halogen, optionally substituted alkyl, $-OR^{A1}$, or –CN.

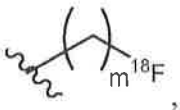
192. A compound of any one of claims 185-189, wherein R^3 is hydrogen, fluoro, bromo, chloro, iodo, trifluoromethyl, methoxy, hydroxyl, or –CN.

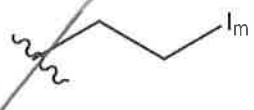
193. A compound of any one of claims 185-189, wherein R^3 is not hydroxyl.

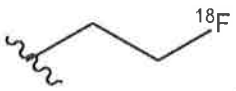
194. A compound of any one of claims 185-189, wherein R^3 is not iodo, bromo, chloro, or fluoro.

195. A compound of any one of claims 185-189, wherein R^3 is alkyl substituted with an imaging moiety.

196. A compound of claim 195, wherein R^3 is , wherein m is an integer between 1 and 6, inclusive; and I_m is an imaging moiety.

197. A compound of claim 195, wherein R^3 is , wherein m is an integer between 1 and 6, inclusive.

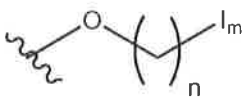
198. A compound of claim 195, wherein R^3 is , wherein I_m is an imaging moiety.

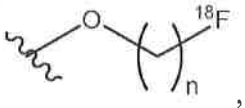
199. A compound of claim 195, wherein R^3 is .

200. A compound of claim 195, wherein R^3 is , wherein I_m is an imaging moiety.

201. A compound of claim 195, wherein R^3 is .

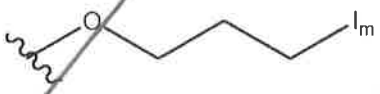
202. A compound of any one of claims 185-189, wherein R^3 is alkoxy substituted with an imaging moiety.

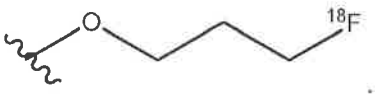
203. A compound of claim 202, wherein R^3 is , wherein n is an integer between 1 and 6, inclusive; and I_m is an imaging moiety.

204. A compound of claim 203, wherein R^3 is , wherein n is an integer between 1 and 6, inclusive.

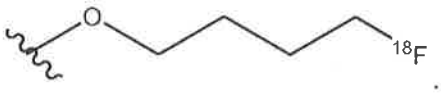
205. A compound of claim 203, wherein R^3 is , wherein I_m is an imaging moiety.

206. A compound of claim 203, wherein R^3 is .

207. A compound of claim 203, wherein R^3 is , wherein I_m is an imaging moiety.

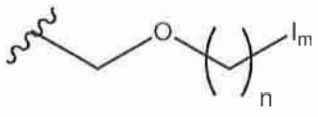
208. A compound of claim 203, wherein R^3 is .

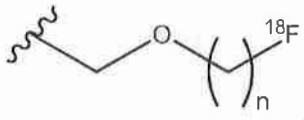
209. A compound of claim 203, wherein R^3 is , wherein I_m is an imaging moiety.

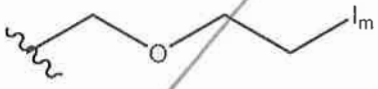
210. A compound of claim 203, wherein R^3 is .

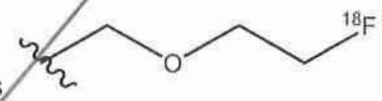
211. A compound of any one of claims 185-189, wherein R^3 is alkoxyalkyl substituted with an imaging moiety.

212. A compound of claim 211, wherein R^3 is alkoxymethyl substituted with an imaging moiety.

213. A compound of claim 211, wherein R^3 is , wherein n is an integer between 1 and 6, inclusive; and I_m is an imaging moiety.

214. A compound of claim 211, wherein R^3 is , wherein n is an integer between 1 and 6, inclusive.

215. A compound of claim 211, wherein R^3 is , wherein I_m is an imaging moiety.

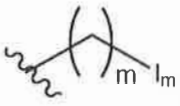
216. A compound of claim 211, wherein R^3 is .

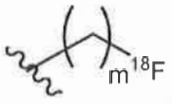
217. A compound of any one of claims 185-189, wherein R^3 is fluoro.

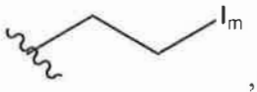
218. A compound of claim 217, wherein R^3 is ^{18}F.

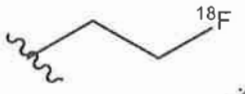
219. A compound of any one of claims 185-218, wherein R^4 is hydrogen, halogen, optionally substituted alkyl, $-OR^{A1}$, or $-NR^{A2}C(=O)R^{A2}$.

220. A compound of any one of claims 185-218, wherein R^4 is alkyl substituted with an imaging moiety.

221. A compound of claim 220, wherein R^4 is , wherein m is an integer between 1 and 6, inclusive; and I_m is an imaging moiety.

222. A compound of claim 221, wherein R^4 is , wherein m is an integer between 1 and 6, inclusive.

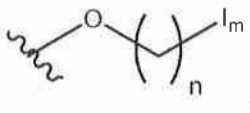
223. A compound of claim 221, wherein R^4 is , wherein I_m is an imaging moiety.

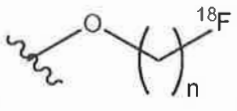
224. A compound of claim 223, wherein R^4 is .

225. A compound of claim 221, wherein R^4 is , wherein I_m is an imaging moiety.

226. A compound of claim 225, wherein R^4 is .

227. A compound of any one of claims 185-218, wherein R^4 is alkoxy substituted with an imaging moiety.

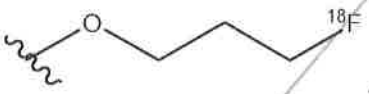
228. A compound of claim 227, wherein R^4 is , wherein n is an integer between 1 and 6, inclusive; and I_m is an imaging moiety.

229. A compound of claim 228, wherein R^4 is , wherein n is an integer between 1 and 6, inclusive.

230. A compound of claim 227, wherein R^4 is , wherein I_m is an imaging moiety.

231. A compound of claim 230, wherein R^4 is .

232. A compound of claim 227, wherein R^4 is , wherein I_m is an imaging moiety.

233. A compound of claim 232, wherein R^4 is .

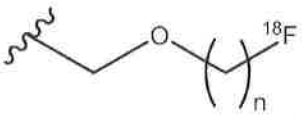
234. A compound of claim 227, wherein R^4 is , wherein I_m is an imaging moiety.

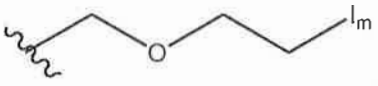
235. A compound of claim 234, wherein R^4 is .

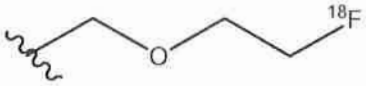
236. A compound of any one of claims 185-218, wherein R^4 is alkoxyalkyl substituted with an imaging moiety.

237. A compound of claim 236, wherein R^4 is alkoxymethyl substituted with an imaging moiety.

238. A compound of claim 236, wherein R^4 is , wherein n is an integer between 1 and 6, inclusive; and I_m is an imaging moiety.

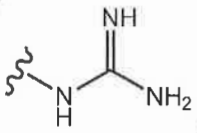
239. A compound of claim 238, wherein R^4 is , wherein n is an integer between 1 and 6, inclusive.

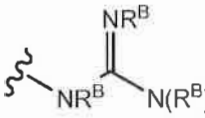
240. A compound of claim 238, wherein R^4 is , wherein I_m is an imaging moiety.

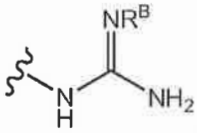
241. A compound of claim 240, wherein R^4 is .

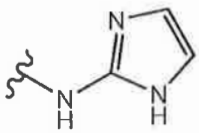
242. A compound of any one of claims 185-218, wherein R^4 is fluoro.

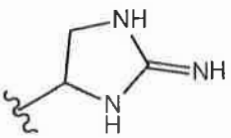
243. A compound of claim 242, wherein R^4 is ^{18}F.

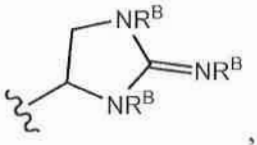
244. A compound of any one of claims 185-243, wherein R^1 is .

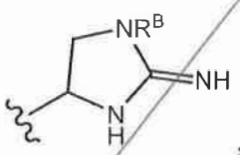
245. A compound of any one of claims 185-243, wherein R^1 is , wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

246. A compound of any one of claims 185-243, wherein R^1 is , wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

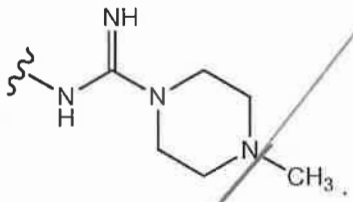
247. A compound of any one of claims 185-243, wherein R^1 is .

248. A compound of any one of claims 185-243, wherein R^1 is .

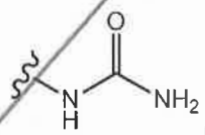
249. A compound of any one of claims 185-243, wherein R^1 is , wherein each occurrence of R^B is independently hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group, provided at least two R^B are hydrogen.

250. A compound of any one of claims 185-243, wherein R^1 is , wherein R^B is hydrogen, substituted or unsubstituted alkyl, or a nitrogen-protecting group.

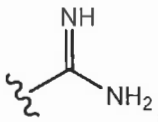
251. A compound of any one of claims 185-243, wherein R^1 is:



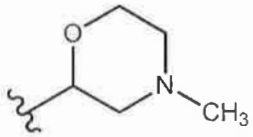
252. A compound of any one of claims 185-243, wherein R^1 is:



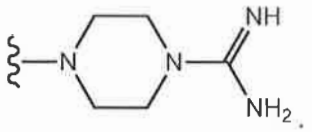
253. A compound of any one of claims 185-243, wherein R^1 is:



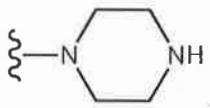
254. A compound of any one of claims 185-243, wherein R^1 is:



255. A compound of any one of claims 185-243, wherein R^1 is:



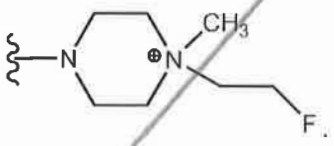
256. A compound of any one of claims 185-243, wherein R^1 is:



257. A compound of any one of claims 185-243, wherein R^1 is:

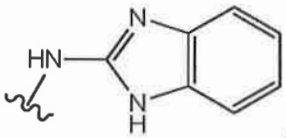


258. A compound of any one of claims 185-243, wherein R^1 is:

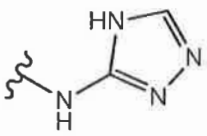


259. A compound of claim 258, wherein F is enriched in ^{18}F.

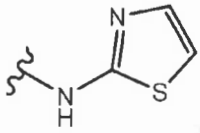
260. A compound of any one of claims 185-243, wherein R^1 is:



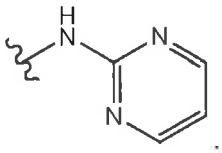
261. A compound of any one of claims 185-243, wherein R^1 is:



262. A compound of any one of claims 185-243, wherein R^1 is:

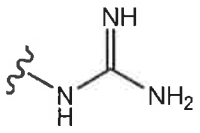


263. A compound of any one of claims 185-243, wherein R^1 is:

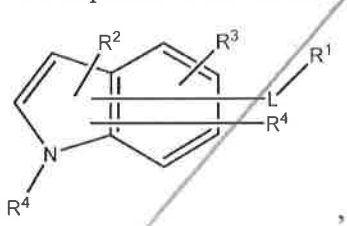
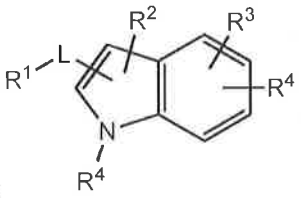
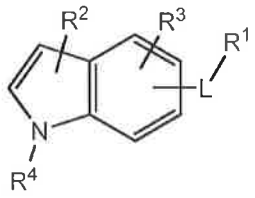
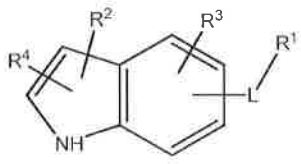


264. A compound of any one of claims 185-243, wherein R^1 is $-NH_2$, $-NHCH_3$, $-NHCH_2CH_3$, or $-NHCH_2CH_2CH_3$.

265. A compound of claim 185, wherein R^3 is hydrogen, fluoro, bromo, chloro, iodo, trifluoromethyl, methoxy, hydroxyl, or –CN; and R^4 is alkyl substituted with an imaging moiety, alkoxy substituted with an imaging moiety, or alkoxyalkyl substituted with an imaging moiety.

266. A compound of claim 185, wherein when L is $-CH_2-$ and R^1 is , R^3 is halogen; and R^4 is alkoxyalkyl substituted with an imaging moiety.

267. A compound of the formula:

wherein

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety;

R^2 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

R^3 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

each occurrence of R^4 is independently hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, -

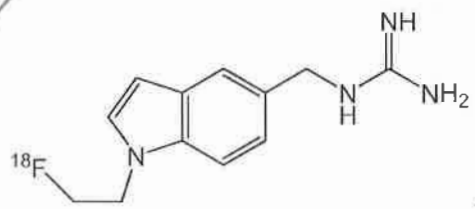
$SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring;

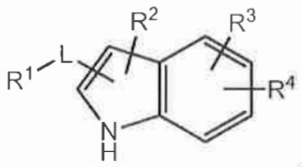
at least one R^4 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

or a salt thereof

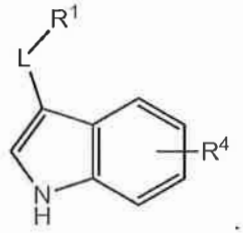
provided the compound is not of the formula :



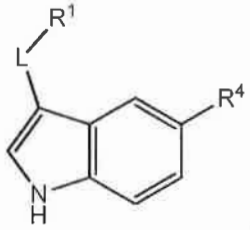
268. A compound of claim 267 of the formula:



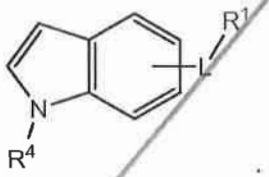
269. A compound of claim 267 of the formula:



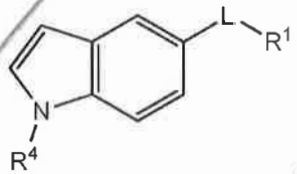
270. A compound of claim 267 of the formula:



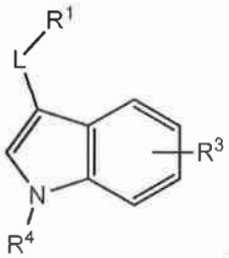
271. A compound of claim 267 of the formula:



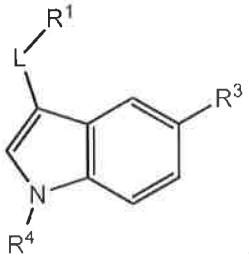
272. A compound of claim 267 of the formula:



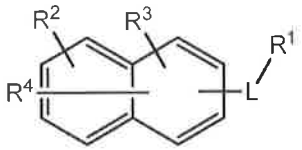
273. A compound of claim 267 of the formula:



274. A compound of claim 267 of the formula:



275. A compound of the formula:



wherein

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety;

R^2 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

R^3 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$,

$-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

R^4 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

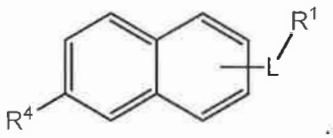
R^4 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl,

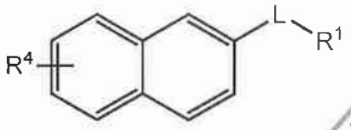
optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring;

or a salt thereof.

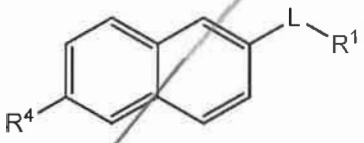
276. A compound of claim 275 of the formula:



277. A compound of claim 275 of the formula:

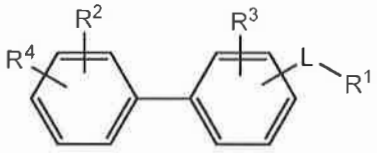


278. A compound of claim 275 of the formula:



279. A compound of claim 275, wherein R^2 is halogen.

280. A compound of the formula:



wherein

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety;

R^2 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$,

$-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

R^3 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

R^4 is hydrogen, halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, -

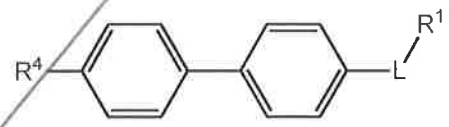
$C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

R^4 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I; or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator; or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

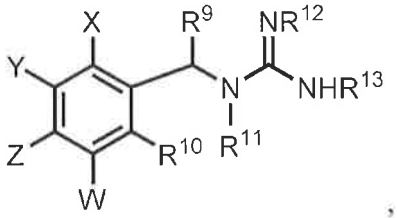
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring;

or a salt thereof.

281. A compound of claim 280 of the formula:



282. A compound of the formula:



wherein

R^9 and R^{10} are independently selected from the group consisting of H, $-OR^{11}$, F, Cl, Br, I, $-CF_3$, alkyl(C_1-C_4), and imaging moiety (I_m);

R^{11}, R^{12} and R^{13} are selected from the group consisting of H, alkyl, and aryl; and

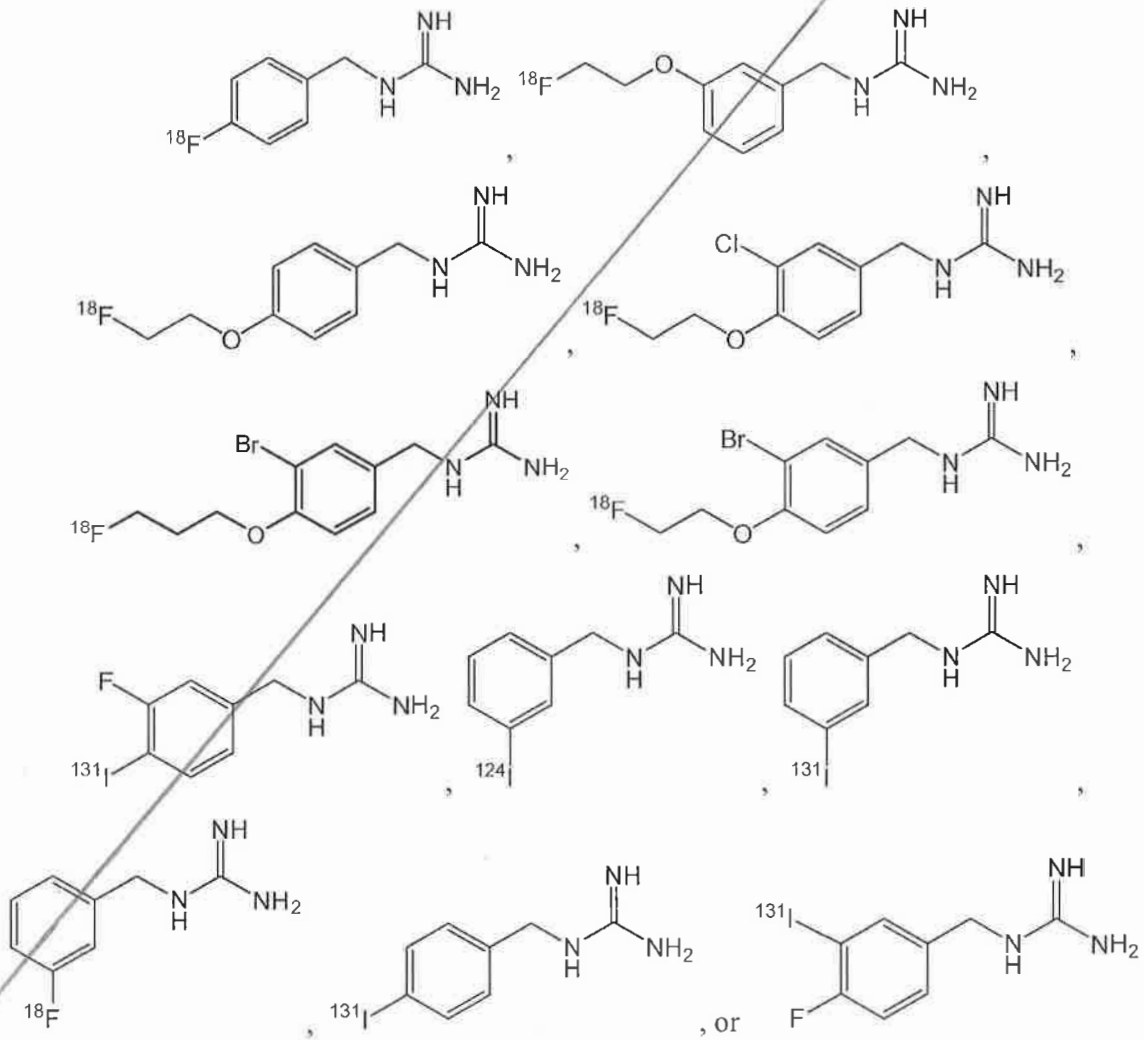
W and X are independently selected from the group consisting of H, $-OR_4$, $-N(R^{11})_2$, F, Cl, Br, $-CF_3$, I_m, aryl, and heteroaryl;

wherein A) Y and Z are independently selected from the group consisting of -CH-, $-CH_2-$, -O-, -N-, $-NR^{11}-$, and -CH=CH- when a linking group Q between Y and Z is present or absent, wherein Q is selected from the group consisting of -CH-, $-CH_2-$, $-CR^{11}-$, -N-, -NH-, $-NR^{11}-$, -O-, and -S-; or

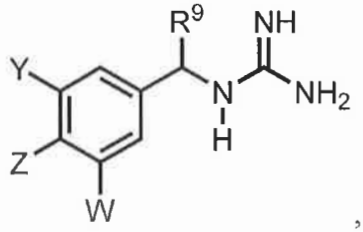
B) Y and Z are independently selected from the group consisting of H, $-OR_4$, $-N(R^{11})_2$, F, Cl, Br, $-CF_3$, I_m, aryl, and heteroaryl when linking group Q is absent;

wherein I_m is selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, and is present in either W-Z or R^9-R^{13};

provided the compound is not of the formula:



283. A compound of the formula:



,

wherein R^9 is independently selected from the group consisting of H, -CF_3, and alkyl(C_1-C_4);

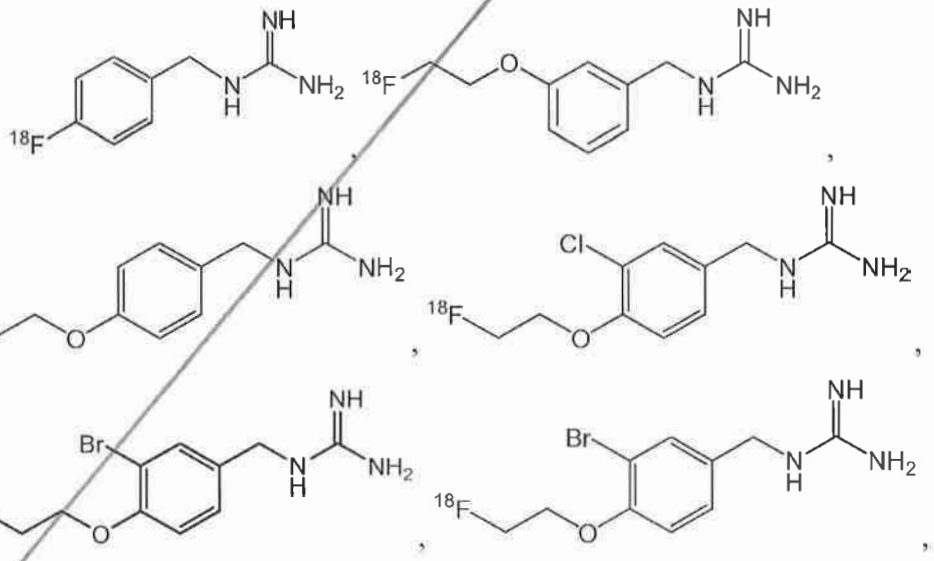
W, Y and Z are independently selected from the group consisting of H, -OR^{11}, -$N(R^{11})_2$, F, Cl, Br, CF_3, Im, aryl and heteroaryl; and

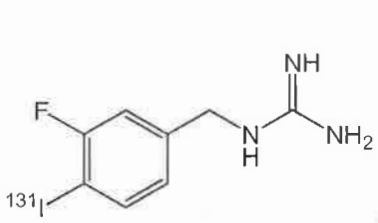
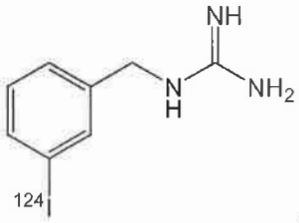
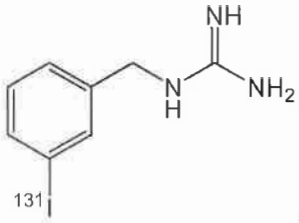
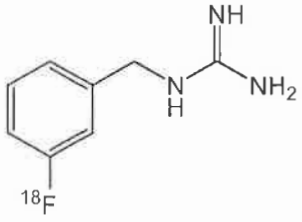
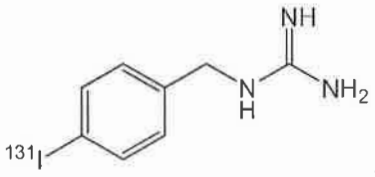
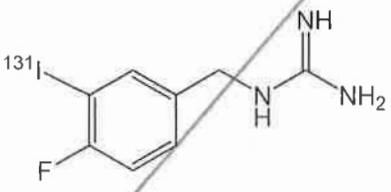
R^{11} is selected from the group consisting of H, alkyl, and aryl;

wherein I_m is selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, and is present in either W, Y, Z, R^9, or R^{11};

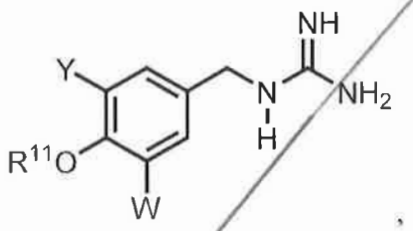
or a salt thereof;

provided the compound is not of the formula:



284. A compound of the formula:



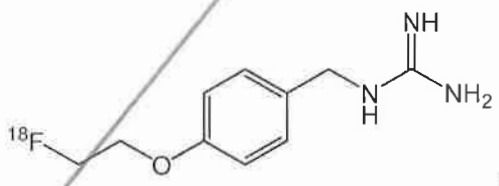
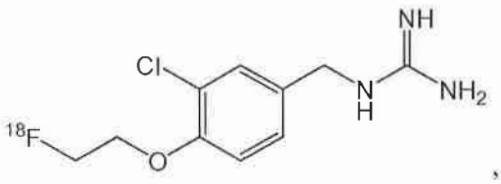
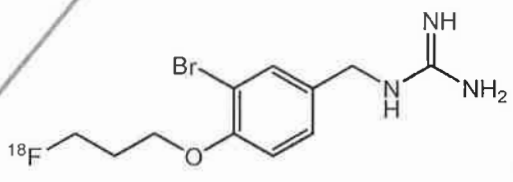
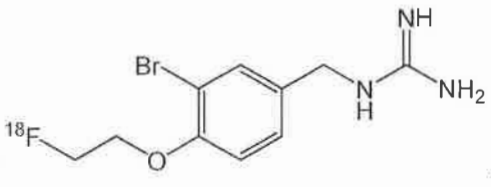
wherein W and Y are independently selected from the group consisting of H, -OR^{11}, F, Cl, Br, -CF_3, and Im; and

R^{11} is alkyl,

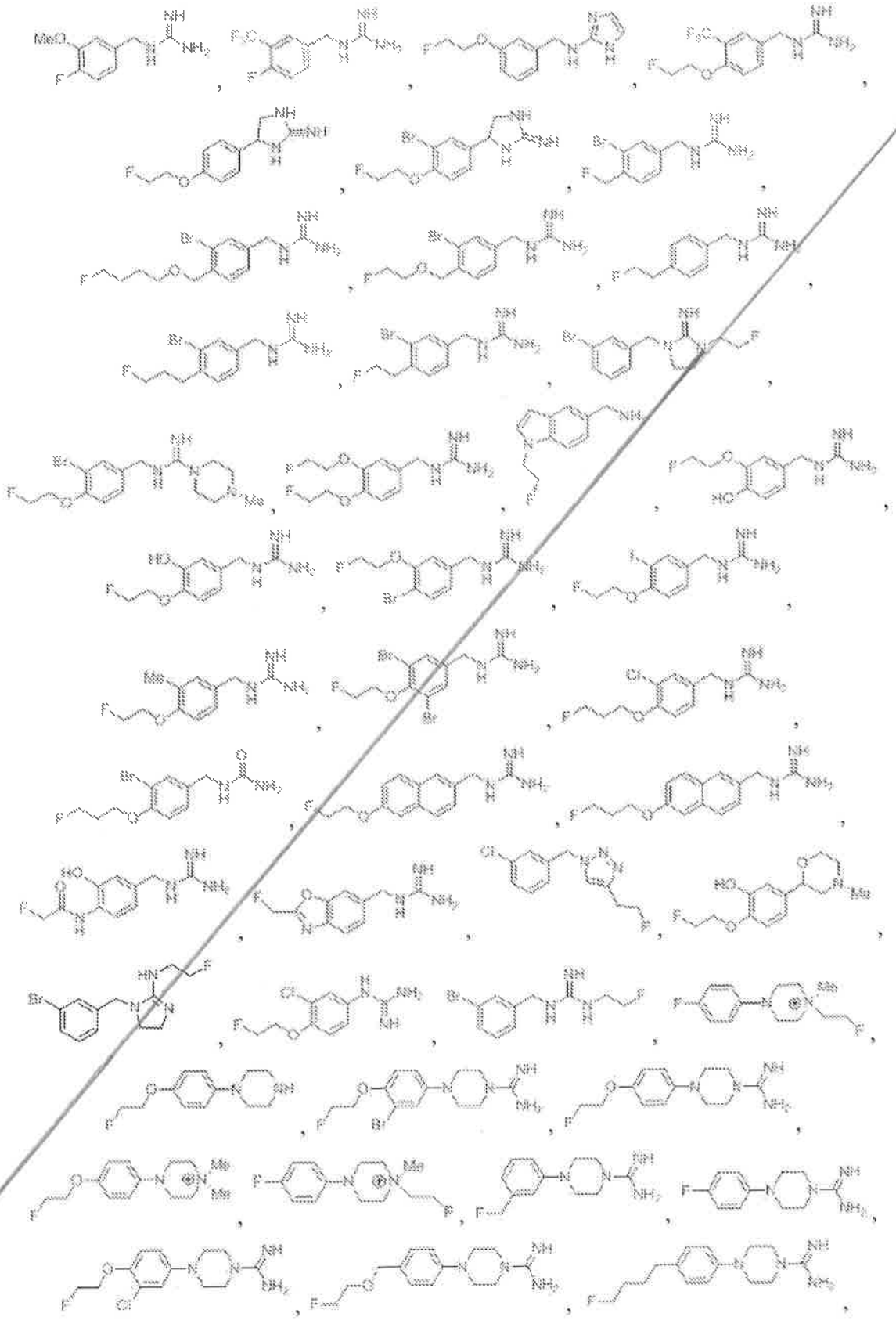
wherein Im is selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, and is present in either W, Y, or R^{11};

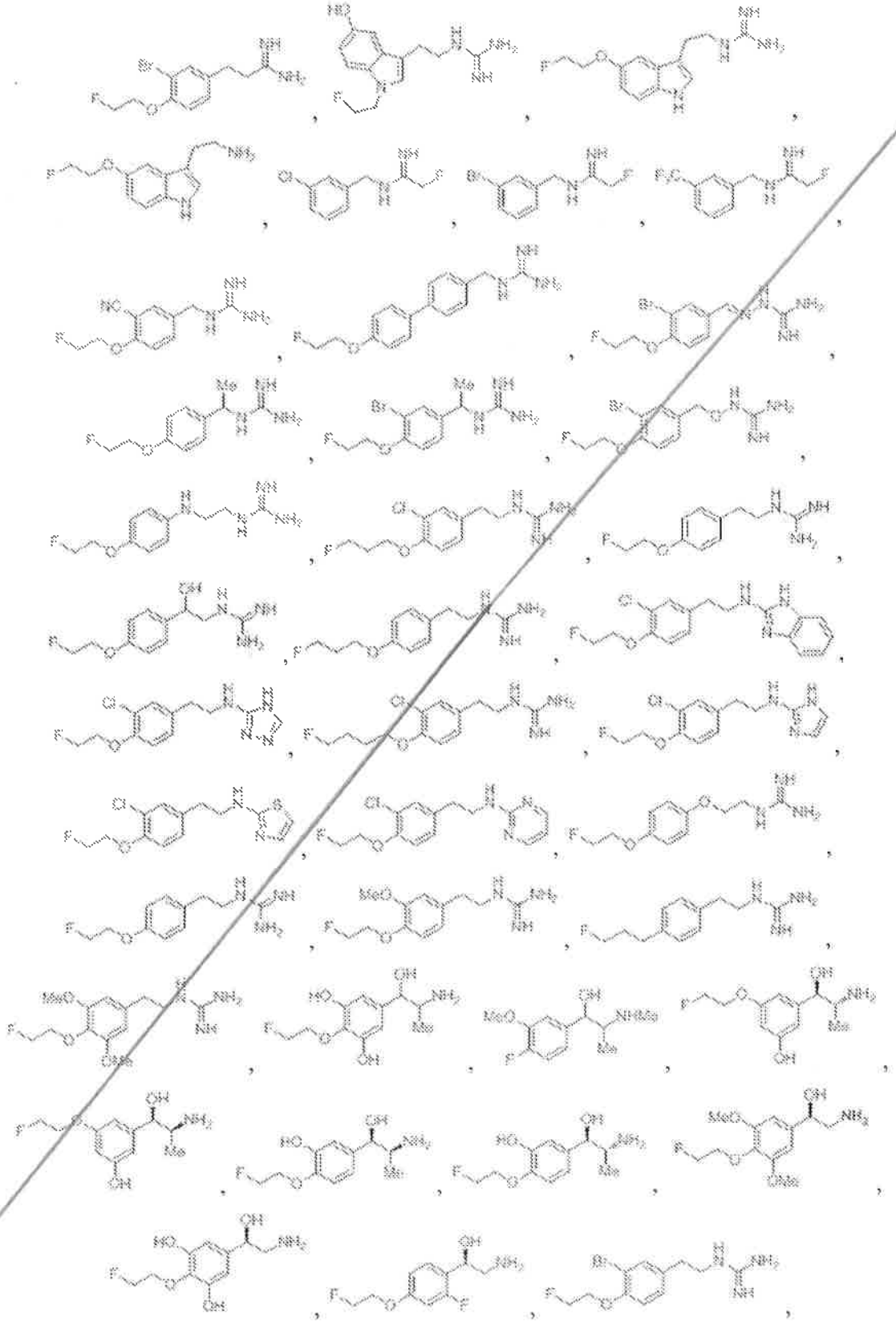
or a salt thereof;

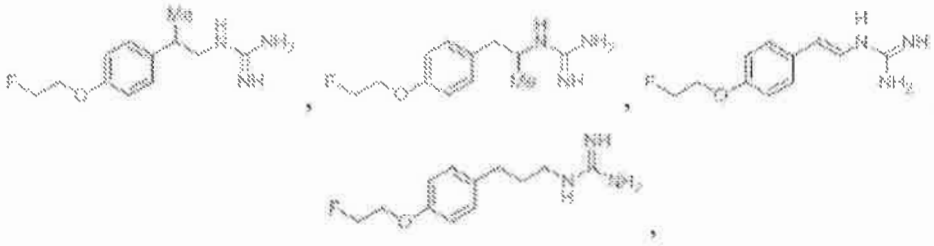
provided the compound is not of the formula:

285. A compound of formula:







, or

,

or a salt thereof.

286. A pharmaceutical composition comprising a compound of any preceding claim, or a salt thereof, and optionally a pharmaceutically acceptable excipient.

287. Use of a compound of as in any preceding claim as an imaging agent.

288. A method of imaging cardiac innervation comprising steps of:

administering an effective amount of a compound of any preceding claim or a salt thereof, or a composition of claim 286, to a subject;

detecting radiation emitted by the compound; and

forming an image therefrom.

289. A method of imaging a subject comprising:

administering a compound of any preceding claim or a salt thereof, or a composition of claim 286; and

acquiring at least one image of a portion of the subject.

290. A method of detecting norepinephrine transporter (NET) in a portion of a subject, the method comprising:

administering a compound of any preceding claim or a salt thereof, or a composition of claim 286, to a subject; and

acquiring at least one image of the portion of the subject, wherein the image detects NET in the subject.

291. A method of selecting an antiarrhythmic agent and/or determining the dose of an antiarrhythmic agent for administration to a subject, the method comprising

administering to the subject the compound of any preceding claim or a salt thereof, or a compound of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

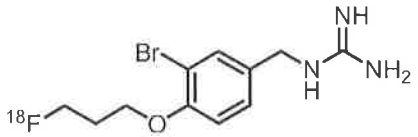
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

or a salt thereof; acquiring at least one image of a portion of the subject;

selecting the antiarrhythmic agent and/or determining the dose of an antiarrhythmic agent for administration to a subject based on the at least one first image.

292. The method of claim 291, wherein the imaging agent is:



or a pharmaceutically acceptable salt thereof.

293. The method of claim 291 or 292, wherein the antiarrhythmic agent is an agent which is known to induce electrophysiological changes in a subject's heart.

294. The method of claim 291 or 292, wherein the antiarrhythmic agent is an agent which does not induce electrophysiological changes in a subject's heart.

295. The method of claim 293 or 294, wherein the electrophysiological changes comprise QT prolongation.

296. The method of claim 291, wherein an antiarrhythmic agent that does not induce electrophysiological changes in a subject's heart is selected based on the at least one first image indicating presence of cardiac denervation.

297. The method of claim 291, wherein a reduced dose of an antiarrhythmic agent that induces electrophysiological changes in a subject's heart is prescribed based on the at least one first image indicating presence of cardiac denervation.

298. A method comprising

administering to the subject the compound of any preceding claim or a salt thereof, or a compound of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

or a salt thereof;

acquiring at least one image of a portion of the subject; and

identifying

(i) a subject to be treated with an antiarrhythmic agent that does not induce electrophysiological changes in the heart of the subject based on presence of cardiac denervation in the image,

(ii) a subject to be treated with a reduced dose of an antiarrhythmic agent that induces electrophysiological changes in the heart of the subject based on presence of cardiac denervation in the image, and/or

(iii) a subject in need of a dose reduction of an an antiarrhythmic agent that induces electrophysiological changes in the heart of the subject based on presence of cardiac denervation in the image.

299. The method of claim 298, wherein the antiarrhythmic agent that induces electrophysiological changes in the heart of the subject is a sodium channel blocker, a potassium channel blocker, or a calcium channel blocker.

300. The method of claim 298, wherein the antiarrhythmic agent that induces electrophysiological changes in the heart of the subject is a calcium channel blocker.

301. The method of claim 298, wherein the antiarrhythmic agent that induces electrophysiological changes in the heart of the subject is quinidine, procainamide, dis-opyramide, lidocaine, phenytoin, mexiletine, tocainade, amiodarone, sotalol, ibutilide, dofetilide, dronedarone, E-4031, verapamil, or ditiazem.

302. The method of claim 298, wherein the antiarrhythmic agent that does not induce electrophysiological changes in the heart of the subject is a beta-blocker.

303. The method of claim 298, wherein the antiarrhythmic agent that does not induce electrophysiological changes in the heart of the subject is propranolol, esmolol, timolol, metoprolol, atenolol or bisoprolol.

304. The method of claim 288, 289, 290, or 291, wherein the step of acquiring employs positron emission tomography.

305. The method of claim 288, 289, 290, or 291, wherein the portion of the subject being imaged is at least a portion of the cardiovascular system, or is at least a portion of the heart.

306. The method of claim 288, 289, or 290, further comprising determining the presence or absence of a cardiovascular disease or condition in the subject.

307. A kit comprising a compound of any preceding claim or a salt thereof, or a composition of claim 286, and instructions for use.

308. A compound of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-N(R^{A2})_3^+$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-OSO_2R^{A1}$, $-Si(R^{A1})_3$, $-Sn(R^{A1})_3$, $-B(OR^{A1})_2$, $-NR^{A2}SO_2R^{A1}$, $-NO_2$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$; and R^0 is substituted with a leaving group or is a leaving group; and

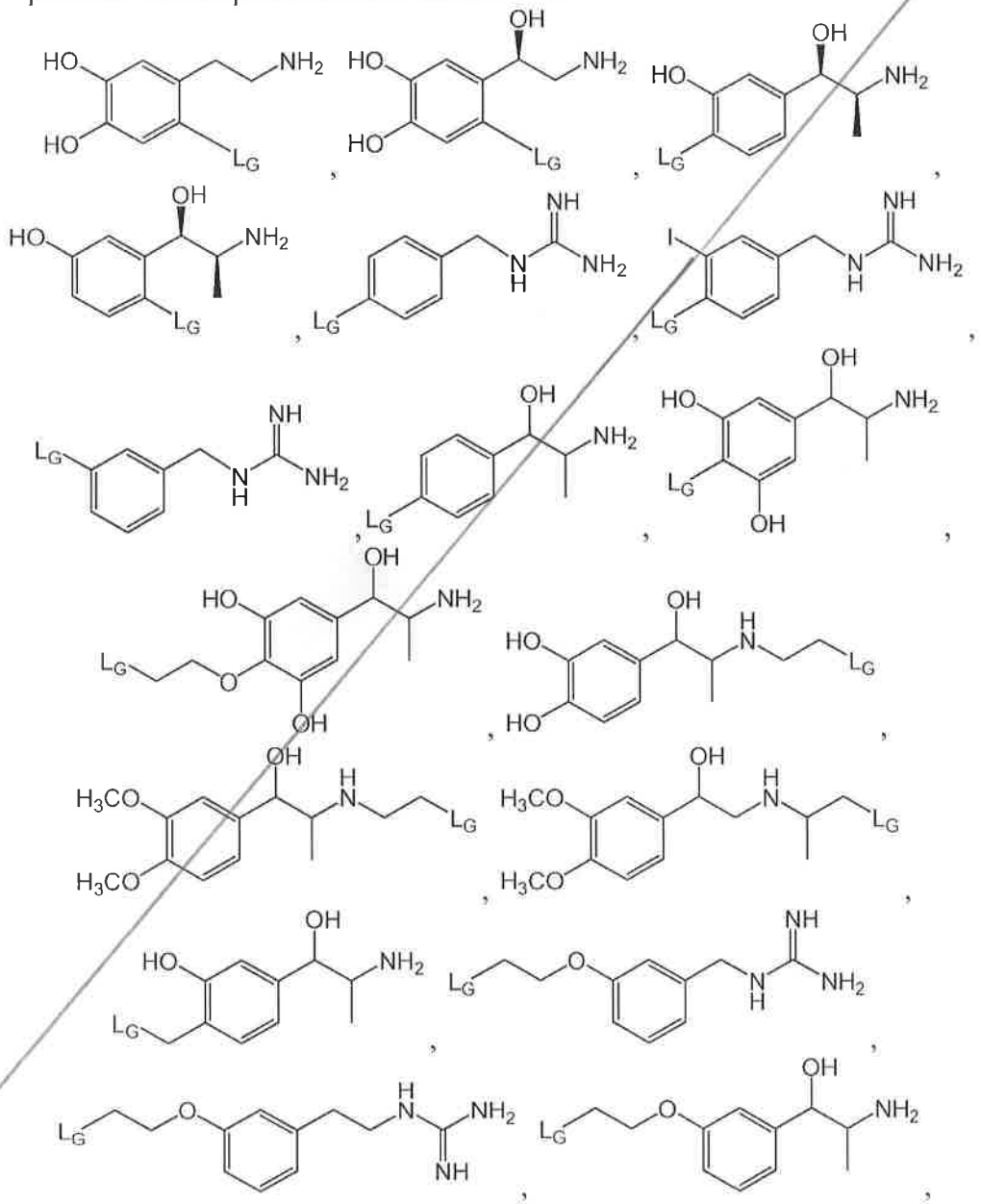
R^1 is a substituted or unsubstituted nitrogen-containing moiety;

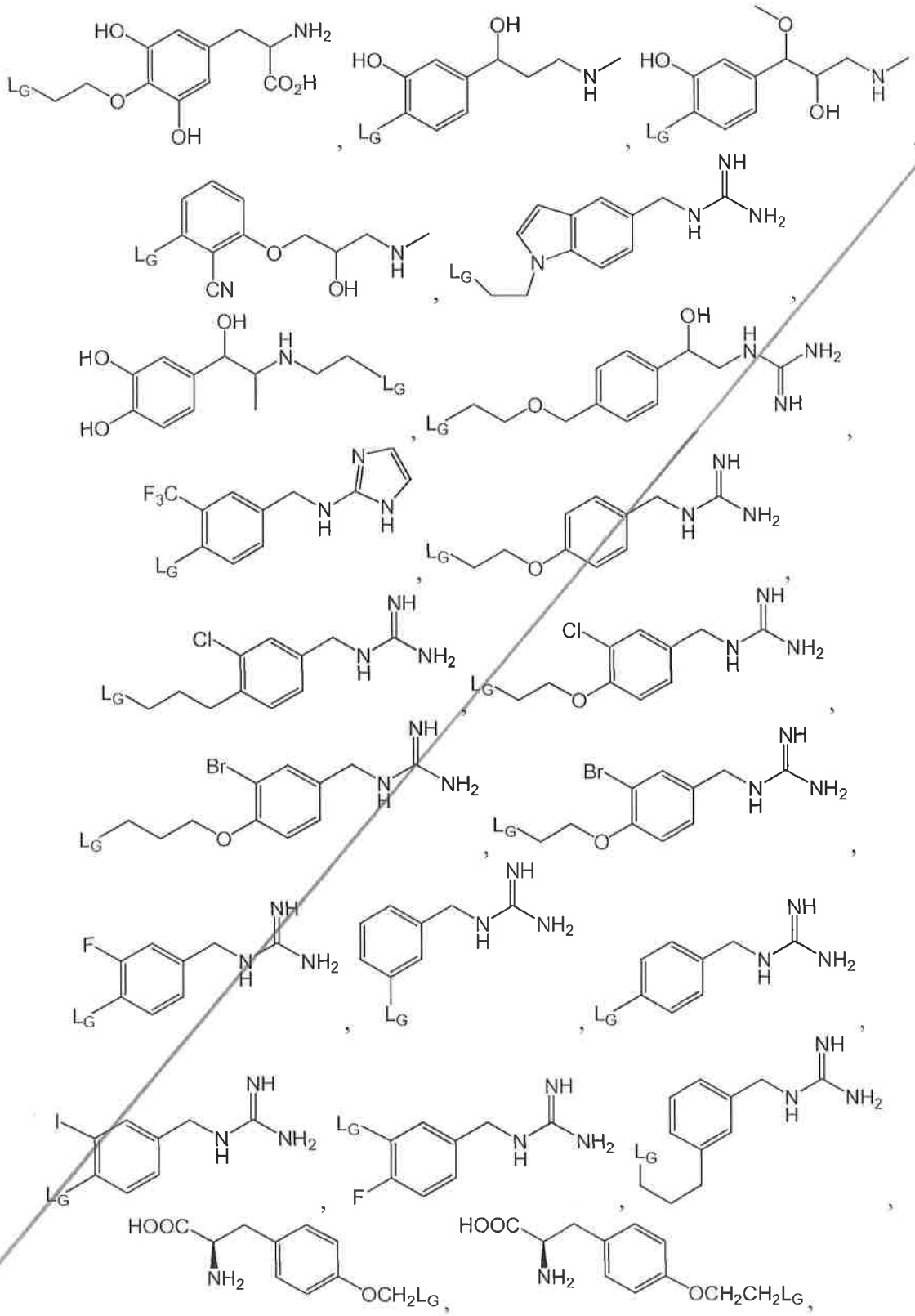
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally

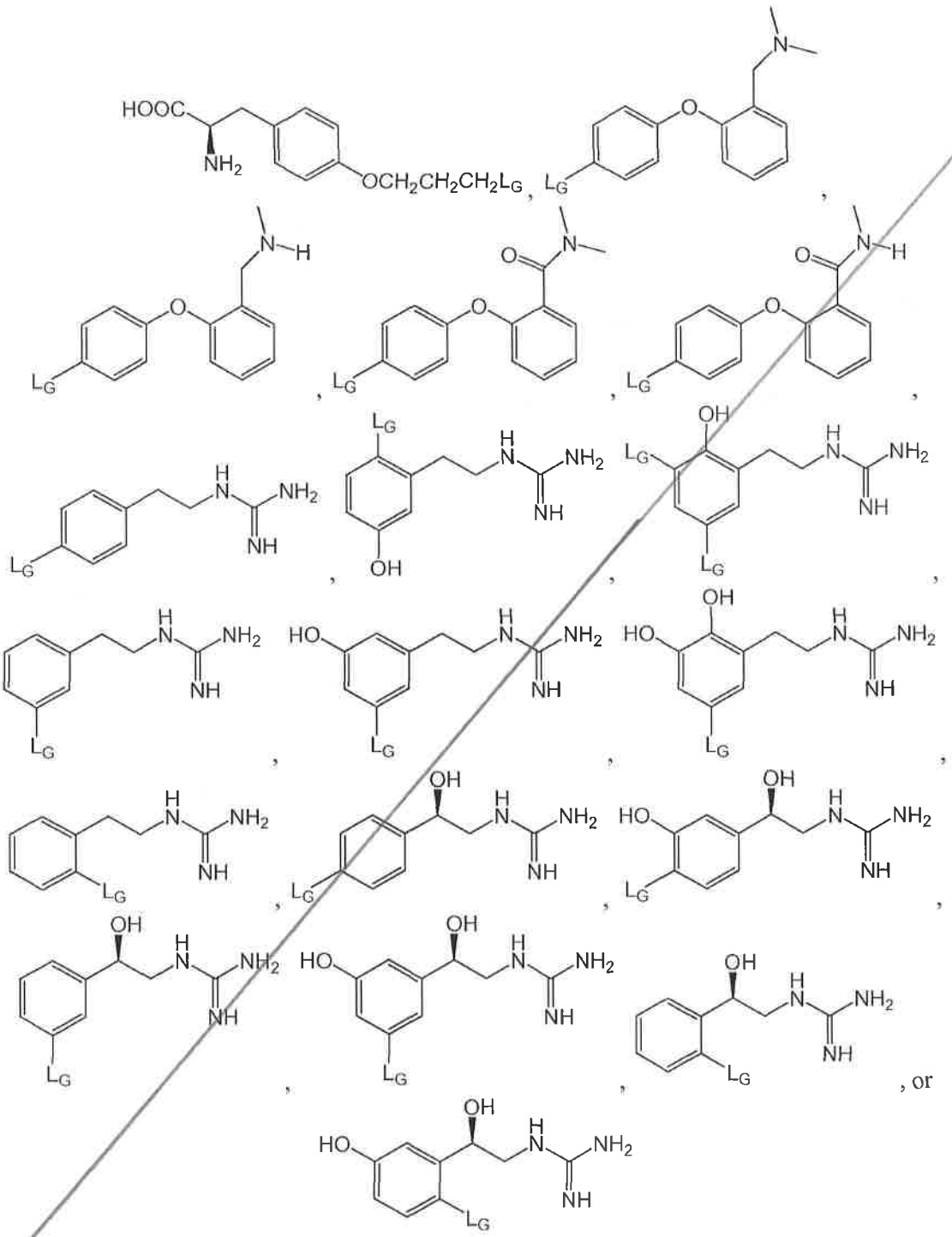
substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring

or a salt thereof;

provided the compound is not of the formula:







wherein L_G is a leaving group.

309. A compound of claim 308, wherein the leaving group is a sulfonate leaving group.

310. A compound of claim 308, wherein R^0 is alkoxy substituted with a leaving group.

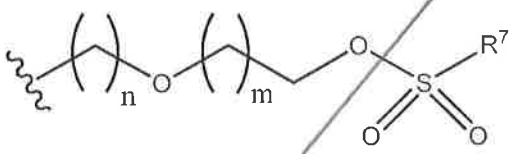
311. A compound of claim 308, wherein R^0 is $-OCH_2L_G$, $-OCH_2CH_2L_G$, $-OCH_2CH_2CH_2L_G$, or $-OCH_2CH_2CH_2CH_2L_G$, wherein L_G is a leaving group.

312. A compound of claim 308, wherein R^0 is alkyl substituted with a leaving group.

313. A compound of claim 308, wherein R^0 is $-CH_2L_G$, $-CH_2CH_2L_G$, $-CH_2CH_2CH_2L_G$, or $-CH_2CH_2CH_2CH_2L_G$, wherein L_G is a leaving group.

314. A compound of claim 308, wherein R^0 is alkoxyalkyl substituted with a leaving group.

315. A compound of claim 308, wherein R^0 is of the formula:



wherein

n is an integer between 0 and 6, inclusive;

m is an integer between 0 and 6, inclusive; and

R^7 is substituted or unsubstituted, cyclic or acyclic alkyl; substituted or unsubstituted, cyclic or acyclic alkenyl; substituted or unsubstituted, cyclic or acyclic alkenyl; substituted or unsubstituted, cyclic or acyclic heteroaliphatic; substituted or unsubstituted aryl; substituted or unsubstituted heteroaryl; substituted or unsubstituted arylalkyl; or substituted or unsubstituted heteroarylalkyl.

316. A compound of claim 315, wherein R^7 is substituted or unsubstituted C_1-C_6 alkyl.

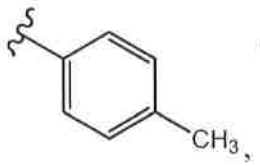
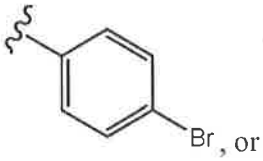
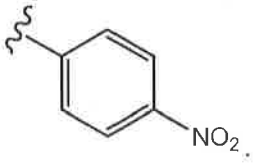
317. A compound of claim 316, wherein R^7 is methyl.

318. A compound of claim 317, wherein R^7 is $-CF_3$.

319. A compound of claim 315, wherein R^7 is substituted or unsubstituted aryl.

320. A compound of claim 315, wherein R^7 is substituted or unsubstituted phenyl.

321. A compound of claim 315, wherein R^7 is:

, , or .

322. A compound of claim 308, wherein R^0 is alkoxymethyl substituted with a leaving group.

323. A compound of claim 308, wherein R^0 is $-CH_2OCH_2L_G$, $-CH_2OCH_2CH_2L_G$, $-CH_2OCH_2CH_2CH_2L_G$, or $-CH_2OCH_2CH_2CH_2CH_2L_G$, wherein L_G is a leaving group.

324. A method comprising:

reacting a compound of formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, -

$SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$; and R^0 is substituted with a leaving group or is a leaving group;

R^1 is a substituted or unsubstituted nitrogen-containing moiety;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring;

or a salt, free base, or combination thereof;

with a fluorinating reagent under suitable conditions to form a compound of formula:

$$R^0 - Ar - L - R^1$$

wherein R^0 is substituted with a fluorine.

325. The method of claim 324, wherein the leaving group is a sulfonate leaving group.

326. The method of claim 324, wherein R^0 is alkoxy substituted with a leaving group.

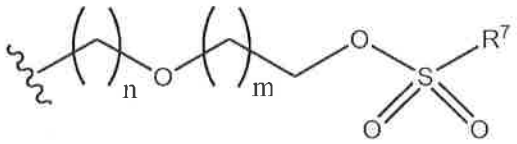
327. The method of claim 324, wherein R^0 is $-OCH_2L_G$, $-OCH_2CH_2L_G$, $-OCH_2CH_2CH_2L_G$, or $-OCH_2CH_2CH_2CH_2L_G$, wherein L_G is a leaving group.

328. The method of claim 324, wherein R^0 is alkyl substituted with a leaving group.

329. The method of claim 324, wherein R^0 is $-CH_2L_G$, $-CH_2CH_2L_G$, $-CH_2CH_2CH_2L_G$, or $-CH_2CH_2CH_2CH_2L_G$, wherein L_G is a leaving group.

330. The method of claim 324, wherein R^0 is alkoxyalkyl substituted with a leaving group.

331. The method of claim 324, wherein R^0 is of the formula:



wherein

n is an integer between 0 and 6, inclusive;

m is an integer between 0 and 6, inclusive; and

R^7 is substituted or unsubstituted, cyclic or acyclic alkyl; substituted or unsubstituted, cyclic or acyclic alkenyl; substituted or unsubstituted, cyclic or acyclic alkenyl; substituted or unsubstituted, cyclic or acyclic heteroaliphatic; substituted or unsubstituted aryl; substituted or unsubstituted heteroaryl, substituted or unsubstituted arylalkyl; or substituted or unsubstituted heteroarylalkyl.

332. The method of claim 331, wherein R^7 is substituted or unsubstituted C_1-C_6 alkyl.

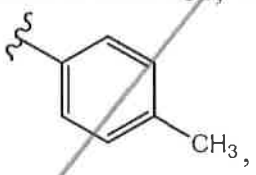
333. The method of claim 331, wherein R^7 is methyl.

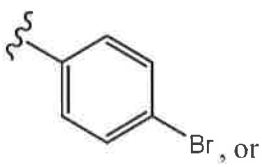
333. The method of claim 331, wherein R^7 is $-CF_3$.

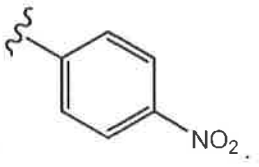
334. The method of claim 331, wherein R_7 is substituted or unsubstituted aryl.

335. The method of claim 331, wherein R^7 is substituted or unsubstituted phenyl.

336. The method of claim 331, wherein R^7 is



,


, or


.

337. The method of claim 324, wherein R^0 is alkoxymethyl substituted with a leaving group.

338. The method of claim 324, wherein R^0 is $-CH_2OCH_2L_G$, $-CH_2OCH_2CH_2L_G$, $-CH_2OCH_2CH_2CH_2L_G$, or $-CH_2OCH_2CH_2CH_2CH_2L_G$, wherein L_G is a leaving group.

339. The method of claim 324, wherein the fluorinating reagent is a source of fluoride.

340. The method of claim 324, wherein the fluorinating reagent is NaF or KF.

341. The method of claim 324, wherein the fluorinating reagent is isotopically enriched with ^{18}F.

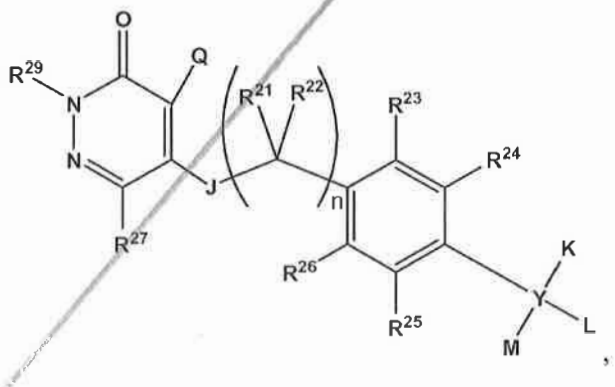
342. The method of claim 324, wherein the suitable conditions comprise the presence of an ammonium salt or a bicarbonate salt.

343. A method of determining perfusion and innervation mismatch in a portion of a human subject, comprising:

administering to the subject a first imaging agent and acquiring at least one first image of a portion of the subject, wherein the first imaging agent is employed to image perfusion;

administering to the subject a second imaging agent and acquiring at least one second image of the portion of the subject, wherein the second imaging agent is employed to image innervation; and

determining regional mismatch of innervation and perfusion areas in the portion of the subject based at least in part on the at least one first image and the at least one second image, wherein the first imaging agent has the structure:



,

wherein

J is selected from the group consisting of $N(R^{28})$, S, O, C(=O), C(=O)O, $NHCH_2CH_2O$, a bond, and $C(=O)N(R^{27})$;

when present, K is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety;

when present, L is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an

imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety;

M is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety; or

L and M, together with the atom to which they are attached, may form a three- or four-membered carbocyclic ring;

Q is halo or haloalkyl;

n is 0, 1, 2, or 3;

R^{21}, R^{22}, R^{27}, and R^{28} are independently selected from hydrogen, C_1-C_6 alkyl optionally substituted with an imaging moiety, and an imaging moiety;

R^{23}, R^{24}, R^{25}, and R^{26} are independently selected from hydrogen, halogen, hydroxyl, alkyloxy, C_1-C_6 alkyl optionally substituted with an imaging moiety, and an imaging moiety;
R^{29} is C_1-C_6 alkyl optionally substituted with an imaging moiety; and Y

is selected from the group consisting of a bond, carbon, and oxygen; provided that when Y is a bond, K and L are absent, and M is selected from the group consisting of aryl optionally substituted with an imaging moiety and heteroaryl optionally substituted with an imaging moiety; and provided that when Y is oxygen, K and L are absent, and M is selected from hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, and heteroaryl optionally substituted with an imaging moiety;

provided that at least one imaging moiety is present,

or a salt thereof.

344. A method of assessing perfusion and innervation mismatch in a portion of a human subject, comprising:

administering to a subject a first imaging agent and acquiring at least one first image of a portion of a subject, wherein the first imaging agent employed to image perfusion;

administering to a subject a second imaging agent and acquiring at least one second image of the portion of the subject, wherein the second imaging agent is empoyed to image innervation; and

determining regional mismatch of innervation and perfusion areas in the portion of the subject based at least in part on the at least one first image and the at least one second image, wherein the second imaging agent has the structure:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

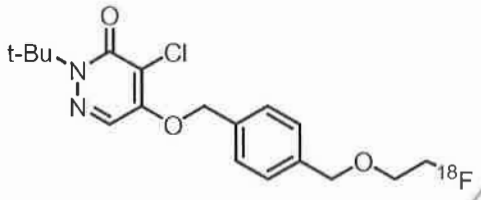
R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, $-CN$, $-SCN$, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

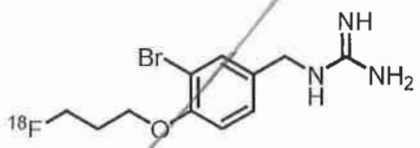
R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;
or a salt thereof.

345. The method of any one of claims 343 or 344, wherein the first imaging agent has the structure:



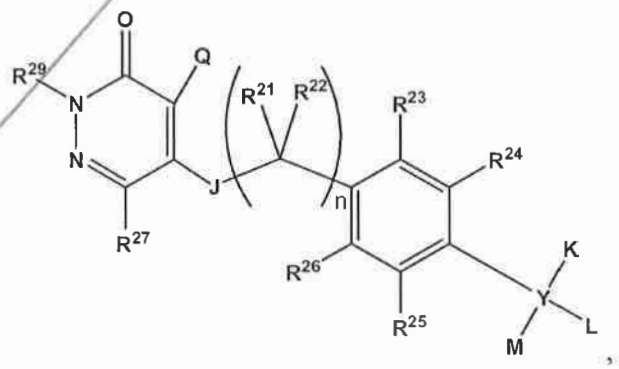
or a pharmaceutically acceptable salt thereof.

346. The method of any one of claims 343-345, wherein the second imaging agent has the structure:



or a pharmaceutically acceptable salt thereof.

347. The method of claim 344 or 346, wherein the first imaging agent has the structure:



wherein

J is selected from the group consisting of $N(R^{28})$, S, O, C(=O), C(=O)O, $NHCH_2CH_2O$, a bond, and $C(=O)N(R^{27})$;

when present, K is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an

imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety;

when present, L is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety;

M is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety; or

L and M, together with the atom to which they are attached, may form a three- or four-membered carbocyclic ring;

Q is halo or haloalkyl;

n is 0, 1, 2, or 3;

R^{21}, R^{22}, R^{27}, and R^{28} are independently selected from hydrogen, C_1-C_6 alkyl optionally substituted with an imaging moiety, and an imaging moiety;

R^{23}, R^{24}, R^{25}, and R^{26} are independently selected from hydrogen, halogen, hydroxyl, alkyloxy, C_1-C_6 alkyl optionally substituted with an imaging moiety, and an imaging moiety;

R^{29} is C_1-C_6 alkyl optionally substituted with an imaging moiety; and Y

is selected from the group consisting of a bond, carbon, and oxygen; provided that when Y is a bond, K and L are absent, and M is selected from the group consisting of aryl optionally substituted with an imaging moiety and heteroaryl optionally substituted with an imaging moiety; and provided that when Y is oxygen, K and L are absent, and M is selected from hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, and heteroaryl optionally substituted with an imaging moiety;

provided that at least one imaging moiety is present,

or a salt thereof.

348. The method of claim 343 or 347, wherein J is O.

349. The method of any one of claims 242 and 347-348, wherein R^{29} is methyl, ethyl, *n*-propyl, *i*-propyl, *n*-butyl, *i*-butyl, or *t*-butyl, each optionally substituted with an imaging moiety.

350. The method of any one of claims 343 and 347-349, wherein Q is chloro.

351. The method of any one of claims 343 and 347-350, wherein each of R^{21}, R^{22}, R^{23}, R^{24}, R^{25}, R^{26}, and R^{27} are hydrogen.

352. The method of any one of claims 343 and 347-351, wherein Y is carbon, K and L are hydrogen, and M is selected from the group consisting of alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety.

353. The method of any one of claims 343 and 347-352, wherein J is O, and R^{21} and R^{22} is each H.

354. The method of any one of claims 343, 345, and 347-353, wherein the second imaging agent is of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, -

$C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

or a salt thereof.

355. The method of any one of claims 343-345 or 347-354, wherein the second imaging agent is of the formula of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

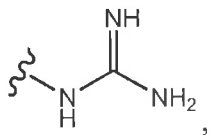
R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl,

optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;

provided that when Ar is phenyl, when L is $-CH_2-$, when R^1 is , and when R^0 is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, then Ar is substituted.

356. The method of any one of claims 343-345 or 347-355, wherein the second imaging agent is of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

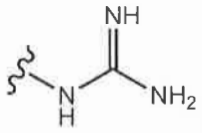
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;

provided that when Ar is phenyl, R^0 is not ^{18}F;

further provided that when Ar is phenyl, when L is $-CH_2-$, when R^1 is



, and when R^0 is an imaging moiety selected from the group consisting of ^{76}Br and ^{124}I, then Ar is substituted.

357. The method of any one of claims 343-345 or 347-356, wherein the second imaging agent is of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, $-CN$, $-SCN$, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally

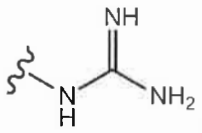
substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;

provided that when Ar is phenyl, R^0 is not ^{18}F,

further provided that when Ar is phenyl, when L is $-CH_2-$, when R^1 is



, and when R^0 is an imaging moiety selected from the group consisting of ^{76}Br and ^{124}I, then Ar is substituted;

further provided that when Ar is phenyl, then Ar is not substituted with OH.

358. The method of any one of claims 343-345 or 347-357, wherein the second imaging agent is a compound of claim 1-244.

359. The method of any one of claims 343-358, wherein the perfusion is cardiac perfusion and/or the innervation is cardiac innervation.

360. The method of any one of claims 343-359, wherein the method is performed following a tissue insult.

361. The method of claim 360, wherein the tissue insult is an insult which is known or suspected to result in denervation.

362. The method of claim 360 or 361, wherein the tissue insult is an insult which is known or suspected to result in innervation and perfusion defects.

363. The method of any one of claims 360-362, wherein the tissue insult is a cardiac insult.

364. The method of any one of claims 360-363, wherein the cardiac insult is a myocardial infarction.

365. The method of any one of claims 343-364, wherein the portion of the subject is a portion of the heart.

366. The method of any one of claims 343-365, wherein the mismatch is determined at a single time point following the tissue insult.

367. The method of claim 366, wherein the mismatch is determined within about 6 months, or about 5 months, or about 4 months, or about 3 months, or about 2 months, or about 1 month, or about 4 weeks, or about 3 weeks, or about 2 weeks, or 1 about week, or about 7 days, or about 6 days, or about 5 days, or about 4 days, or about 3 days, about 2 days, or about 1 days, or about 24 hours, or about 20 hours, or about 18 hours, or about 16 hours, or about 14 hours, or about 12 hours, or about 10 hours, or about 8 hours, or about 6 hours, or about 5 hours, or about 4 hours, or about 3 hours, or about 2 hours, or about 1 hour, or about 50 minutes, or about 40 minutes, or about 30 minutes, or about 20 minutes, or about 10 minutes, or about 5 minutes, or about 4 minutes, or about 3 minutes, or about 2 minutes, or about 1 minute of the tissue insult.

368. The method of any one of claims 343-365, wherein the mismatch is determined at more than one time point following the tissue insult.

369. The method of claim 368, wherein a change in the mismatch over time is used to determine a course of treatment for the subject and/or a diagnosis following the tissue insult.

370. The method of claim 368 or 369, wherein a change in the mismatch over time indicates the effectiveness of the course of treatment being administered to the subject.

371. The method of claim 368 or 369, wherein a lack of change in the mismatch over time indicates a lack of effectiveness of the course of treatment being administered to the subject.

372. The method of any one of claims 369-371, wherein the mismatch is determined 2 times, 3 times, 4 times, 5 times, 6 times, 8 times, 10 times, or 12 times within about 2 weeks, about 4 weeks, about 2 months, about 3 months, about 4 months, about 5 months, about 6 months, about 7 months, about 8 months, about 9 months, about 10 months, about 11 months, about 1 year, about 2 years, about 3 years, about 4 years, or about 5 years, following the tissue insult.

372. The method of any one of claims 343-371, wherein the first imaging agent is administered and the at least one first image is acquired prior to administration of the second imaging agent and acquisition of the at least one second image.

373. The method of any one of claims 343-371, wherein the second imaging agent is administered and the at least one second image is acquired prior to administration of the first imaging agent and acquisition of the at least one first image.

Claims

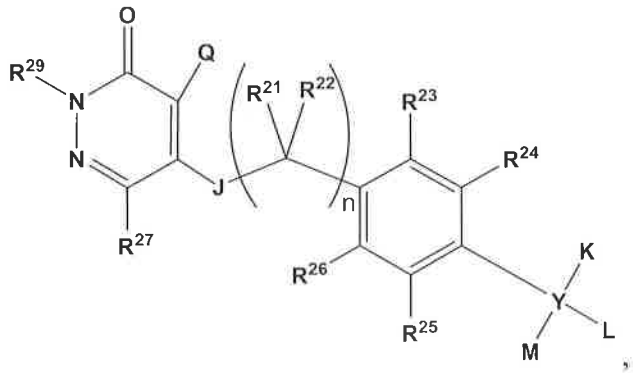
What is claimed:

1. A method of determining perfusion and innervation mismatch in a portion of a human subject, comprising:

administering to the subject a first imaging agent and acquiring at least one first image of a portion of the subject, wherein the first imaging agent is employed to image perfusion;

administering to the subject a second imaging agent and acquiring at least one second image of the portion of the subject, wherein the second imaging agent is employed to image innervation; and

determining regional mismatch of innervation and perfusion areas in the portion of the subject based at least in part on the at least one first image and the at least one second image, wherein the first imaging agent has the structure:



wherein

J is selected from the group consisting of $N(R^{28})$, S, O, C(=O), C(=O)O, $NHCH_2CH_2O$, a bond, and $C(=O)N(R^{27})$;

when present, K is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety;

when present, L is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an

imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety;

M is selected from the group consisting of hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, alkyloxy optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, heteroaryl optionally substituted with an imaging moiety, and an imaging moiety; or

L and M, together with the atom to which they are attached, may form a three- or four-membered carbocyclic ring;

Q is halo or haloalkyl;

n is 0, 1, 2, or 3;

R^{21}, R^{22}, R^{27}, and R^{28} are independently selected from hydrogen, C_1-C_6 alkyl optionally substituted with an imaging moiety, and an imaging moiety;

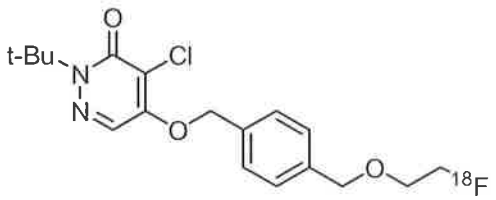
R^{23}, R^{24}, R^{25}, and R^{26} are independently selected from hydrogen, halogen, hydroxyl, alkyloxy, C_1-C_6 alkyl optionally substituted with an imaging moiety, and an imaging moiety; R^{29} is C_1-C_6 alkyl optionally substituted with an imaging moiety; and Y

is selected from the group consisting of a bond, carbon, and oxygen; provided that when Y is a bond, K and L are absent, and M is selected from the group consisting of aryl optionally substituted with an imaging moiety and heteroaryl optionally substituted with an imaging moiety; and provided that when Y is oxygen, K and L are absent, and M is selected from hydrogen, alkoxyalkyl optionally substituted with an imaging moiety, aryl optionally substituted with an imaging moiety, C_1-C_6 alkyl optionally substituted with an imaging moiety, and heteroaryl optionally substituted with an imaging moiety;

provided that at least one imaging moiety is present,

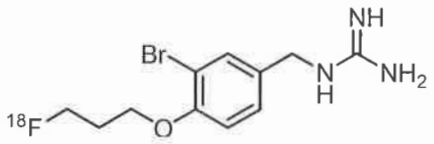
or a salt thereof.

2. The method of claim 1, wherein the first imaging agent has the structure:



or a pharmaceutically acceptable salt thereof.

3. The method of claim 2, wherein the second imaging agent has the structure:



or a pharmaceutically acceptable salt thereof.

4. The method of claim 1, wherein the second imaging agent is of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, ^{124}I, and ^{131}I;

or a salt thereof.

5. The method of claim 1, wherein the second imaging agent is of the formula of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

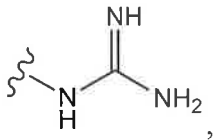
R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, -

$OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;



provided that when Ar is phenyl, when L is $-CH_2-$, when R^1 is , and when R^0 is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, then Ar is substituted.

6. The method of claim 1, wherein the second imaging agent is of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

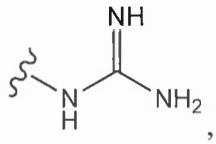
R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;

provided that when Ar is phenyl, R^0 is not ^{18}F;

further provided that when Ar is phenyl, when L is -CH_2-, when R^1 is , and when R^0 is an imaging moiety selected from the group consisting of ^{76}Br and ^{124}I, then Ar is substituted.

7. The method of claim 1, wherein the second imaging agent is of the formula:

$$R^0 - Ar - L - R^1$$

wherein

Ar is substituted or unsubstituted, monocyclic or bicyclic aryl or substituted or unsubstituted, monocyclic or bicyclic heteroaryl;

L is a bond; substituted or unsubstituted, cyclic or acyclic alkylene; substituted or unsubstituted, cyclic or acyclic alkenylene; substituted or unsubstituted, cyclic or acyclic alkynylene; or substituted or unsubstituted, cyclic or acyclic heteroaliphatic;

R^1 is a substituted or unsubstituted nitrogen-containing moiety; and

R^0 is halogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, $-OR^{A1}$, $-N(R^{A2})_2$, $-SR^{A1}$, $-C(=O)R^{A1}$, $-C(=O)OR^{A1}$, $-C(=O)SR^{A1}$, $-C(=O)N(R^{A2})_2$, $-OC(=O)R^{A1}$, $-OC(=O)OR^{A1}$, $-OC(=O)SR^{A1}$, $-OC(=O)N(R^{A2})_2$, $-NR^{A2}C(=O)R^{A2}$, $-NR^{A2}C(=O)OR^{A1}$, $-NR^{A2}C(=O)SR^{A1}$, $-NR^{A2}C(=O)N(R^{A2})_2$, $-SC(=O)R^{A1}$, $-SC(=O)OR^{A1}$, $-SC(=O)SR^{A1}$, $-SC(=O)N(R^{A2})_2$, $-C(=NR^{A2})R^{A1}$, $-C(=NR^{A2})OR^{A1}$, $-C(=NR^{A2})SR^{A1}$, $-C(=NR^{A2})N(R^{A2})_2$, $-OC(=NR^{A2})R^{A1}$, $-OC(=NR^{A2})OR^{A1}$, $-OC(=NR^{A2})SR^{A1}$, $-OC(=NR^{A2})N(R^{A2})_2$, $-NR^{A2}C(=NR^{A2})R^{A2}$, $-NR^{A2}C(=NR^{A2})OR^{A1}$, $-NR^{A2}C(=NR^{A2})SR^{A1}$, $-NR^{A2}C(=NR^{A2})N(R^{A2})_2$, $-SC(=NR^{A2})R^{A1}$, $-SC(=NR^{A2})OR^{A1}$, $-SC(=NR^{A2})SR^{A1}$, $-SC(=NR^{A2})N(R^{A2})_2$, $-C(=S)R^{A1}$, $-C(=S)OR^{A1}$, $-C(=S)SR^{A1}$, $-C(=S)N(R^{A2})_2$, $-OC(=S)R^{A1}$, $-OC(=S)OR^{A1}$, $-OC(=S)SR^{A1}$, $-OC(=S)N(R^{A2})_2$, $-NR^{A2}C(=S)R^{A2}$, $-NR^{A2}C(=S)OR^{A1}$, $-NR^{A2}C(=S)SR^{A1}$, $-NR^{A2}C(=S)N(R^{A2})_2$, $-SC(=S)R^{A1}$, $-SC(=S)OR^{A1}$, $-SC(=S)SR^{A1}$, $-SC(=S)N(R^{A2})_2$, $-S(=O)R^{A1}$, $-SO_2R^{A1}$, $-NR^{A2}SO_2R^{A1}$, $-SO_2N(R^{A2})_2$, -CN, -SCN, or $-NO_2$;

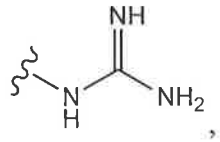
each occurrence of R^{A1} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted

heteroaryl; and each occurrence of R^{A2} is independently hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted carbocyclyl, optionally substituted heterocyclyl, optionally substituted aryl, optionally substituted heteroaryl, or an amino protecting group, or two R^{A2} groups are joined to form an optionally substituted heterocyclic ring; and

R^0 or R^1 is substituted with an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br and ^{124}I, or is associated with an imaging moiety selected from the group consisting of ^{64}Cu, ^{89}Zr, ^{99m}Tc, and ^{111}In through a chelator, or is an imaging moiety selected from the group consisting of ^{18}F, ^{76}Br, and ^{124}I;

or a salt thereof;

provided that when Ar is phenyl, R^0 is not ^{18}F,



further provided that when Ar is phenyl, when L is $-CH_2-$, when R^1 is , and when R^0 is an imaging moiety selected from the group consisting of ^{76}Br and ^{124}I, then Ar is substituted;

further provided that when Ar is phenyl, then Ar is not substituted with OH.

8. The method of claim 1, wherein the perfusion is cardiac perfusion and/or the innervation is cardiac innervation.

9. The method of claim 1, wherein the method is performed following a tissue insult.

10. The method of claim 9, wherein the tissue insult is an insult which is known or suspected to result in denervation.

11. The method of claim 9, wherein the tissue insult is an insult which is known or suspected to result in innervation and perfusion defects.

12. The method of claim 9, wherein the tissue insult is a cardiac insult.

13. The method of claim 9, wherein the cardiac insult is a myocardial infarction.

14. The method of claim 1, wherein the portion of the subject is a portion of the heart.

15. The method of claim 1, wherein the mismatch is determined at a single time point following the tissue insult.

16. The method of claim 1, wherein the mismatch is determined at more than one time point following the tissue insult.

17. The method of claim 3, wherein the perfusion is cardiac perfusion and/or the innervation is cardiac innervation.

18. The method of claim 3, wherein the method is performed following a tissue insult.

19. The method of claim 18, wherein the tissue insult is an insult which is known or suspected to result in denervation.

20. The method of claim 18, wherein the tissue insult is an insult which is known or suspected to result in innervation and perfusion defects.

21. The method of claim 18, wherein the tissue insult is a cardiac insult.

22. The method of claim 18, wherein the cardiac insult is a myocardial infarction.

23. The method of claim 3, wherein the portion of the subject is a portion of the heart.

24. The method of claim 3, wherein the mismatch is determined at a single time point following the tissue insult.

25. The method of claim 3, wherein the mismatch is determined at more than one time point following the tissue insult.

26. The method of claim 1, wherein for the first imaging agent, the at least one imaging moiety is ^{18}F.

27. The method of claim 26, wherein the second imaging agent comprises an imaging moiety, wherein the imaging moiety is ^{18}F.

28. The method of claim 4, wherein for the second imaging agent, the imaging moiety is ^{18}F, and wherein for the first imaging agent, the at least one imaging moiety is ^{18}F.

29. The method of claim 1, wherein the second imaging agent comprises an imaging moiety, wherein the imaging moiety is ^{18}F.