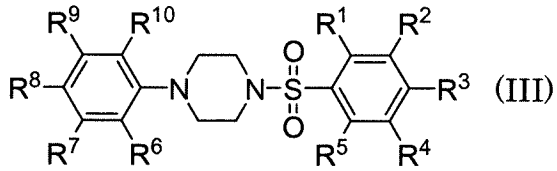
Claims

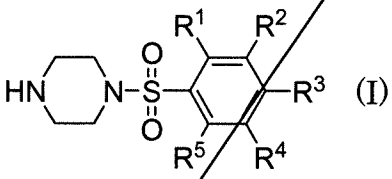
[Claim 1] A method of producing a compound represented by Formula (III):



(III)

wherein R^1, R^2, R^3, R^4, and R^5 each independently represent a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group;
R^6, R^7, R^8, R^9, and R^{10} each independently represent a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, hydroxy, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group;
the method comprising

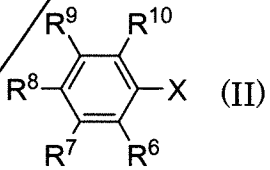
Step A of reacting a compound represented by Formula (I):



(I)

wherein R^1, R^2, R^3, R^4, and R^5 are as defined above;
with a palladium compound, an organic phosphorus compound, and a base in a solvent; and

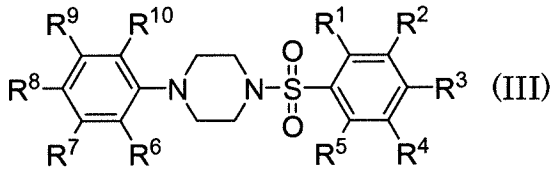
Step B of adding a compound represented by Formula (II):



(II)

wherein R^6, R^7, R^8, R^9, R^{10}, are as definedabove and X represents a halogen atom, optionally substituted alkylsulfonyloxy, or optionally substituted arylsulfonyloxy.

[Claim 2] A method of producing a compound represented by Formula (III):

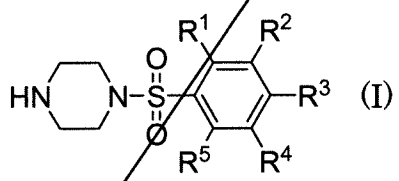


(III)

wherein R^1, R^2, R^3, R^4, and R^5 each independently represent a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group;
R^6, R^7, R^8, R^9, and R^{10} each independently represent a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, hydroxy, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group;
the method comprising:

Step C of mixing a palladium compound and an organic phosphorus compound in a solvent;

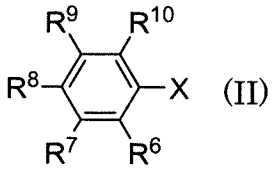
Step D of adding the compound represented by Formula (I):



(I)

wherein R^1, R^2, R^3, R^4, and R^5 are as defined above; and a base to the mixture obtained in Step C; and

Step E of adding the compound represented by Formula (II):



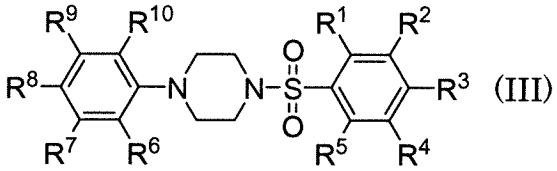
(II)

wherein R^6, R^7, R^8, R^9, R^{10} are as definedabove and X represents a halogen atom, optionally substituted alkylsulfonyloxy, or optionally substituted arylsulfonyloxy; to the mixture obtained in Step D.

[Claim 3] The method according to claim 1 or 2, wherein the palladium compound is palladium acetate.

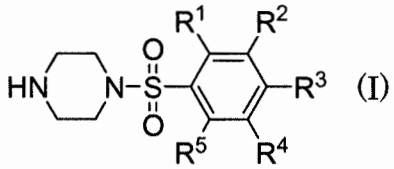
[Claim 4] The method according to claim 1 or 2, wherein the organic phosphorus compound is diadamantylbutyl phosphine.

[Claim 5] A method of producing a compound represented by Formula (III):



(III)

wherein R^1, R^2, R^3, R^4, and R^5 each independently represent a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group;
R^6, R^7, R^8, R^9, and R^{10} each independently represent a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, hydroxy, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group;
the method comprising reacting a compound represented by Formula (I):



(I)

wherein R^1, R^2, R^3, R^4, and R^5 are as defined above;
with a compound represented by Formula (II):



(II)

wherein R^6, R^7, R^8, R^9, and R^{10} are as defined above;
X represents a halogen atom, optionally substituted alkylsulfonyloxy, or optionally substituted arylsulfonyloxy;
in the presence of a palladium compound, an organic phosphorus compound, and a base in a solvent and the method is conducted in the presence of sulfinate.

[Claim 6] The method according to claim 1, wherein Step A is conducted in the presence of sulfinate.

[Claim 7] The method according to claim 2, wherein Step D is conducted in the presence of sulfinate.

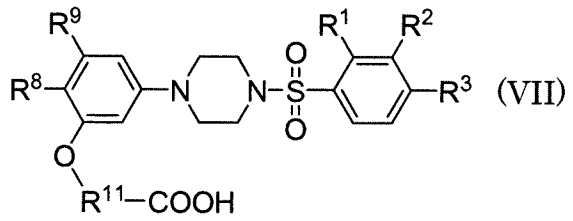
[Claim 8] The method according to any one of claims 5 to 7, wherein the sulfinate is sodium para-toluene sulfinate.

[Claim 9] The method according to claim 1 or 2, wherein R^4, R^5, R^6, and R^{10} are hydrogen atoms, R^1, R^2, and R^9 each independently are a hydrogen atom, a halogen atom, or alkyl, R^3 is alkyloxy or alkylthio, R^7 is hydroxy or optionally substituted alkyloxy, R^8 is a halogen atom, optionally substituted alkyl, optionally substituted alkyloxy, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or optionally substituted non-aromatic heterocyclic group, and X is halogen atom.

[Claim 10] The method according to claim 1 or 2, wherein R^1, R^2, R^4, R^5, R^6, and R^{10} are hydrogen atoms, R^9 is a hydrogen atom or a halogen atom, R^3 is alkyloxy, R^7 is hydroxy, R^8 is a halogen atom, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted

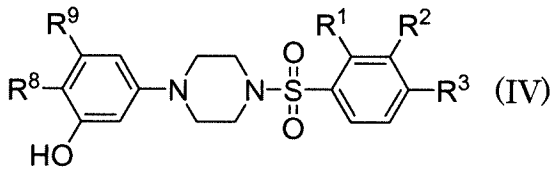
non-aromatic heterocyclic group, and X is a halogen atom.

[Claim 11] The method according to claim 1 or 2 comprising the step of producing a compound represented by Formula (VII):
[Chemical formula 8]



wherein R^1, R^2, R^3, R^8, and R^9 are as defined in claim 1; R^{11} is alkylene or alkenylene; comprising:

Step F of obtaining a compound represented by Formula (IV):

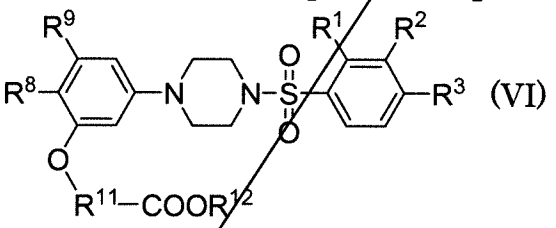


wherein R^1, R^2, R^3, R^8, and R^9 are as defined in claim 1; by the method according to claim 1 or 2;

Step G of reacting the compound represented by Formula (IV) with a compound represented by Formula (V):

$$Y-R^{11}-COOR^{12} \quad (V)$$

wherein R^{11} is defined above; R^{12} is a hydrogen atom or alkyl; Y is a halogen atom, optionally substituted alkylsulfonyl, or optionally substituted arylsulfonyl; in the presence of a base to obtain a compound represented by Formula (VI):



wherein R^1, R^2, R^3, R^8, and R^9 are as defined in claim 1; R^{11} and R^{12} are as defined above; and

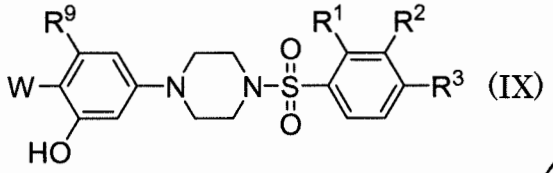
additional Step H of subjecting the compound represented by Formula (VI) to hydrolysis when R^{12} is alkyl.

[Claim 12] The method according to claim11, wherein Y is halogen atom.

[Claim 13] The method according to claim 11, wherein R^1, R^2, and R^9 each independently are a hydrogen atom, a halogen atom, or alkyl, R^3 is alkyloxy or alkylthio, R^8 is a halogen atom, optionally substituted alkyl, optionally substituted alkyloxy, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group, and R^{11} is alkylene.

[Claim 14] The method according to claim 11, wherein R^1, R^2, and R^9 each independently are a hydrogen atom, a halogen atom, or alkyl, R^3 is alkyloxy or alkylthio, R^8 is a halogen atom, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group, and R^{11} is methylene.

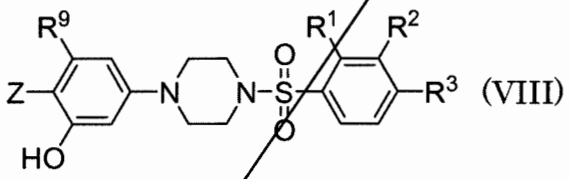
[Claim 15] The method according to claim 1 or 2 comprising the step of producing a compound represented by Formula (IX):



(IX)

wherein R^1, R^2, R^3, and R^9 are as defined in claim 1; W is optionally substituted aryl or optionally substituted heteroaryl; comprising:

Step I of obtaining a compound represented by Formula (VIII):



(VIII)

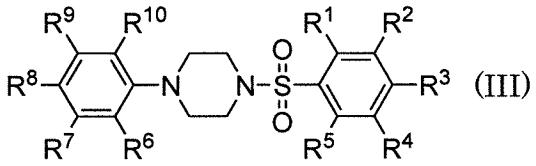
wherein R^1, R^2, R^3, and R^9 are as defined in claim 1; Z is a halogen atom; by the method according to claim 1 or 2; and

Step J of reacting the compound represented by Formula (VIII) with an organic boronic acid.

Claims

[Claim 1] A method of producing a compound represented by Formula (III):

[Chemical formula 1]



(III)

wherein R^1 and R^2 are each independently a hydrogen atom, a halogen atom or alkyl;

R^3 is alkyloxy or alkylthio;

R^4 and R^5 are hydrogen atoms;

R^6 and R^{10} are hydrogen atoms;

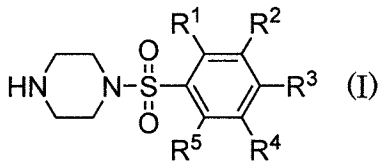
R^7 is hydroxy or optionally substituted alkyloxy;

R^8 is a halogen atom, optionally substituted alkyl, optionally substituted alkyloxy, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group;

R^9 is a hydrogen atom, a halogen atom or alkyl;

comprising reacting a compound represented by Formula (I):

[Chemical formula 2]

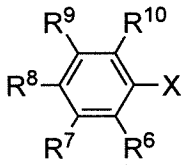


(I)

wherein R^1, R^2, R^3, R^4, and R^5 are as defined above;

with a compound represented by Formula (II):

[Chemical formula 3]



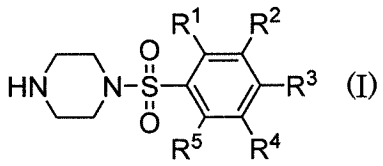
(II)

wherein R^6, R^7, R^8, R^9, and R^{10} are as defined above;
X is a halogen atom;
in the presence of a palladium compound, an organic phosphorus compound, and a base in a solvent.

[Claim 2] The method according to claim 1, comprising

Step A of reacting the compound represented by Formula (I):

[Chemical formula 4]

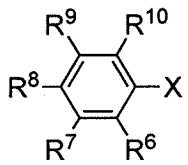


(I)

wherein R^1, R^2, R^3, R^4, and R^5 are as defined in claim 1;
with the palladium compound, the organic phosphorus compound, and the base; and

Step B of adding the compound represented by Formula (II):

[Chemical formula 5]



(II)

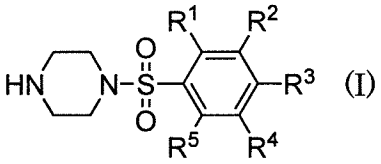
wherein R^6, R^7, R^8, R^9, R^{10}, and X are as defined in claim 1.

[Claim 3] The method according to claim 1, comprising:

Step C of mixing the palladium compound and the organic phosphorus compound;

Step D of adding the compound represented by Formula (I):

[Chemical formula 6]

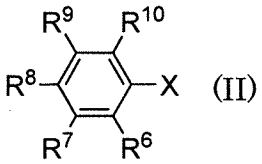


(I)

wherein R^1, R^2, R^3, R^4, and R^5 are as defined in claim 1; and the base to the mixture obtained in Step C; and

Step E of adding the compound represented by Formula (II):

[Chemical formula 7]



(II)

wherein R^6, R^7, R^8, R^9, R^{10}, and X are as defined in claim 1; to the mixture obtained in Step D.

[Claim 4] The method according to claim 1, wherein the palladium compound is palladium acetate.

[Claim 5] The method according to claim 1, wherein the organic phosphorus compound is diadamantylbutyl phosphine.

[Claim 6] The method according to claim 1, which is conducted in the presence of sulfinate.

[Claim 7] The method according to claim 2, wherein Step A is conducted in the presence of sulfinate.

[Claim 8] The method according to claim 3, wherein Step D is conducted in the presence of sulfinate.

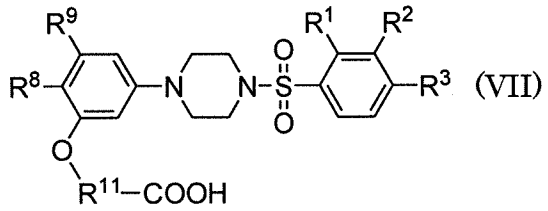
[Claim 9] The method according to any one of claims 6 to 8, wherein the sulfinate is sodium para-toluene sulfinate.

[Claim 10] The method according to claim 1, wherein R^1, R^2, R^4,

R^5, R^6, and R^{10} are hydrogen atoms, R^9 is a hydrogen atom or a halogen atom, R^3 is alkyloxy, R^7 is hydroxy, R^8 is a halogen atom, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group, and X is a halogen atom.

[Claim 11] A method of producing a compound represented by Formula (VII):

[Chemical formula 8]



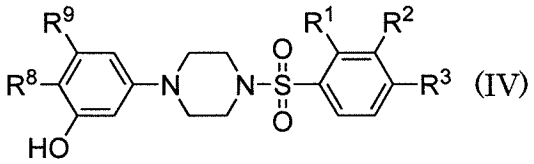
(VII)

wherein R^1, R^2 and R^3 are each independently a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl or an optionally substituted non-aromatic heterocyclic group;
R^8 and R^9 are each independently a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, hydroxy, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl or an optionally substituted non-aromatic heterocyclic group;
R^{11} is alkylene or alkenylene; comprising:

Step F of obtaining a compound represented by Formula

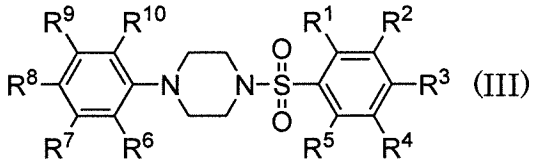
(IV):

[Chemical formula 9]



wherein R^1, R^2, R^3, R^8 and R^9 are as defined above; by the method of producing the compound represented by Formula (III):

[Chemical formula 10]



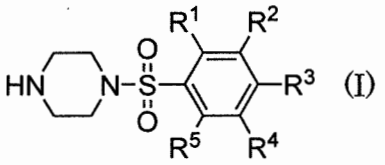
wherein R^1, R^2, R^3, R^8 and R^9 are as defined above;

R^4 and R^5 are each independently a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl or an optionally substituted non-aromatic heterocyclic group;

R^6, R^7 and R^{10} are each independently a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, hydroxy, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl or an optionally substituted non-aromatic heterocyclic group;

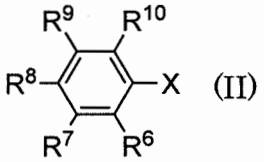
comprising reacting the compound represented by Formula (I):

[Chemical formula 11]



(I)

wherein R^1, R^2, R^3, R^4 and R^5 are as defined above;
with the compound represented by Formula (II):
[Chemical formula 12]



(II)

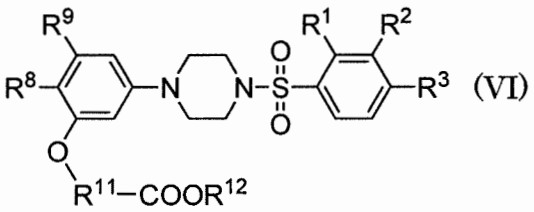
wherein R^6, R^7, R^8, R^9 and R^{10} are as defined above;
X is a halogen atom, optionally substituted alkylsulfonyloxy or optionally substituted arylsulfonyloxy;
in the presence of a palladium compound, an organic phosphorus compound, and a base in a solvent; and

Step G of reacting the compound represented by Formula (IV) with a compound represented by Formula (V):
[Chemical formula 13]



$Y-R^{11}-COOR^{12}$ (V)

wherein R^{11} is defined above; R^{12} is a hydrogen atom or alkyl;
Y is a halogen atom, optionally substituted alkylsulfonyl or optionally substituted arylsulfonyl; in the presence of a base to obtain a compound represented by Formula (VI):
[Chemical formula 14]



(VI)

wherein R^1, R^2, R^3, R^8, and R^9 are as defined above; R^{11} and

R^{12} are as defined above; and

additional Step H of subjecting the compound represented by Formula (VI) to hydrolysis when R^{12} is alkyl.

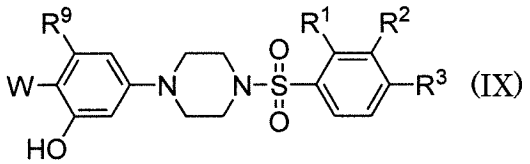
[Claim 12] The method according to claim 11, wherein Y is a halogen atom.

[Claim 13] The method according to claim 11, wherein R^1, R^2, and R^9 are each independently a hydrogen atom, a halogen atom, or alkyl, R^3 is alkyloxy or alkylthio, R^8 is a halogen atom, optionally substituted alkyl, optionally substituted alkyloxy, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl, or an optionally substituted non-aromatic heterocyclic group, and R^{11} is alkylene.

[Claim 14] The method according to claim 11, wherein R^1, R^2, and R^9 are each independently a hydrogen atom, a halogen atom, or alkyl, R^3 is alkyloxy or alkylthio, R^8 is a halogen atom, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl or an optionally substituted non-aromatic heterocyclic group, and R^{11} is methylene.

[Claim 15] A method of producing a compound represented by Formula (IX):

[Chemical formula 15]

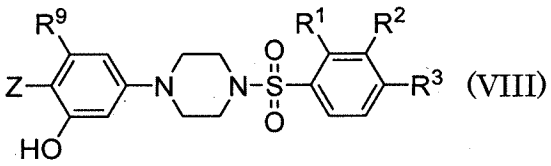


(IX)

wherein R^1, R^2, R^3, and R^9 are as defined in claim 11; W is optionally substituted aryl or optionally substituted heteroaryl; comprising:

Step I of obtaining a compound represented by Formula (VIII):

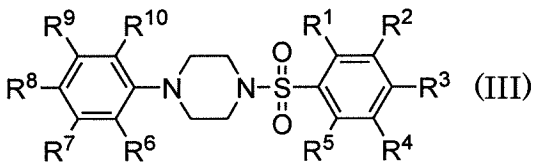
[Chemical formula 16]



(VIII)

wherein R^1, R^2, R^3, and R^9 are as defined in claim 11; Z is a halogen atom; by the method of producing the compound represented by Formula (III):

[Chemical formula 17]



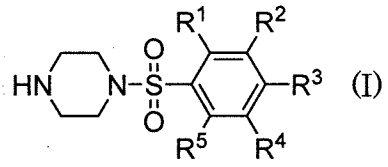
(III)

Wherein R^1, R^2, R^3, and R^9 are as defined above;

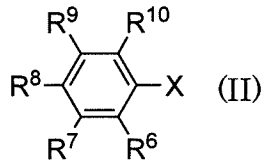
R^4 and R^5 are each independently a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl or an optionally substituted non-aromatic heterocyclic group;

R^6, R^7, R^8, and R^{10} are each independently a hydrogen atom, a halogen atom, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, hydroxy, optionally substituted alkyloxy, optionally substituted alkylthio, acyl, optionally substituted alkyloxycarbonyl, optionally substituted carbamoyl, optionally substituted amino, optionally substituted aryl, optionally substituted heteroaryl or an optionally substituted non-aromatic

heterocyclic group;
comprising reacting the compound represented by Formula (I):
[Chemical formula 18]



wherein R^1, R^2, R^3, R^4 and R^5 are as defined above;
with the compound represented by Formula (II):
[Chemical formula 19]



wherein R^6, R^7, R^8, R^9 and R^{10} are as defined above;
X is a halogen atom, optionally substituted alkylsulfonyloxy or optionally substituted arylsulfonyloxy;
in the presence of a palladium compound, an organic phosphorus compound, and a base in a solvent; and

Step J of reacting the compound represented by Formula (VIII) with optionally substituted arylboronic acid or optionally substituted heteroarylboronic acid.