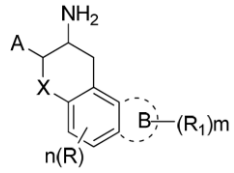
Claims

1. A compound having formula I, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof:



(I)

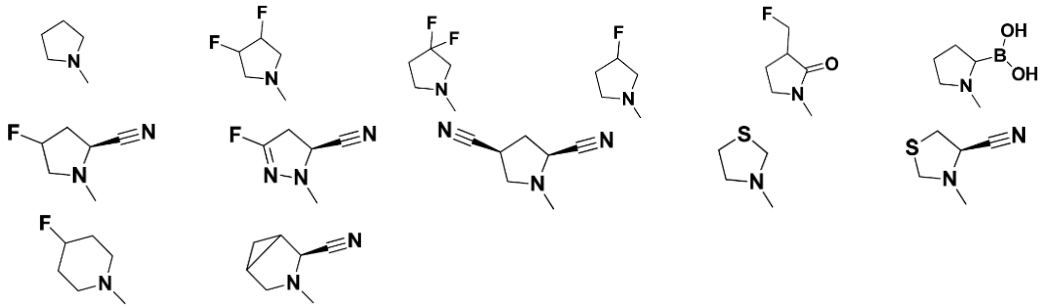
wherein:

X is O;

A is a benzene ring with 1 to 5 substituents, wherein each substituent is independently a halogen, a cyano, a hydroxy, a C_{1-6} alkyl, a C_{1-6} alkyl substituted by halogen, a C_{1-6} alkoxy, or a C_{1-6} alkoxy substituted by halogen;

A is a nitrogen-containing, or sulfur-containing five-membered or six-membered saturated or unsaturated heterocycle with 1 to 4 substituents, wherein each substituent is independently a halogen, a cyano, or a boronic acid group; or

A is a heterocycle selected from the following structures:



;

R is H, a halogen, a cyano, a hydroxy, a C_{1-6} alkyl, C_{1-6} alkyl with 1 to 5 F atoms, C_{1-6} alkoxy, or C_{1-6} alkoxy with 1 to 5 F atoms;

n is an integer of 1-2;

Ring B is an aromatic benzene ring, an aromatic heterocycle, a saturated or unsaturated 5-membered or 6-membered ring, a nitrogen-, oxygen-, or sulfur-containing five- or six-membered saturated or unsaturated heterocycle;

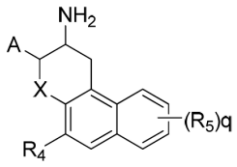
R_1 is independently selected from the group consisting of a halogen, a cyano, a hydroxy, a C_{1-6} alkyl, a C_{1-6} alkyl group containing 1 to 5 F atoms, a C_{1-6} alkoxy, a C_{1-10} alkylcarbonyloxy, a C_{1-3} alkoxymethoxy, a disubstituted OCH_2CH_2O and OCH_2O, COOH, a C_{1-6} alkoxycarbonyl, a carbamoyl, a methylcarbamido, a cyanomethylcarbonyl, an acetamidomethylcarbonyl, a 2-pyrrocarbonyl, a methoxycarbonylmethyl, a 4-pyranylcarbonyl, a 4-morpholinecarbonyl, a 1-piperazinecarbonyl, an amino, a NR^2R^3, a C_{1-5} alkylcarboxamido, a C_{3-5} alkyllactam group, a C_{1-6} alkylsulfonamido, a C_{3-5} alkylsultam group, a mercapto, a C_{1-6} alkylthio, a C_{1-6} alkylthio containing 1 to 5 F atoms, a C_{1-6}

alkylsulfinyl, a C_{1-6} alkylsulfonyl, a C_{3-5} cycloalkylsulfonyl, and a C_{1-5} alkylsulfinyl, a N-propanesulfonyllactam group, a N-butanesulfonyllactam group, and a 3-pyrazolylamino;

m is an integer of 1 to 4; and

R_2, R_3 are independently selected from a C_{1-6} alkyl, or R_2 and R_3 together form a substituted or unsubstituted 5- or 6-membered heterocycloalkyl, or a substituted or unsubstituted 5- or 6-membered heterocycle group additionally containing N or O.

2. The compound of claim 1, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the compound is shown in general formula (II):



(II)

wherein,

X is O;

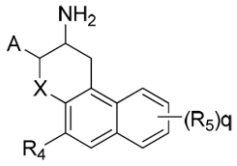
A is a benzene ring with 1 to 5 substituents, wherein each substituent is independently a halogen, a cyano, a hydroxy, a C_{1-6} alkyl, a C_{1-6} alkyl substituted by halogen, a C_{1-6} alkoxy, or a C_{1-6} alkoxy substituted by halogen;

R_4 is H, a hydroxyl, F, or a cyano;

R_5 is a halogen, a cyano, a hydroxyl, a mercapto, a C_{1-6} alkyl group, a C_{1-6} alkyl group containing 1 to 5 F atoms, a C_{1-6} alkoxy, a C_{1-10} alkylcarbonyloxy, a C_{1-3} alkoxymethyloxy, COOH, a C_{1-6} alkoxycarbonyl, a carbamoyl, a cyanomethylcarbonyl, an acetamidomethylcarbonyl, a 2-pyrrocarbonyl, a methoxycarbonylmethyl, a 4-pyranylcarbonyl, a 4-morpholinecarbonyl, a 1-piperazinecarbonyl, a C_{1-6} alkylthio, a C_{1-6} alkylthio containing 1 to 5 F atoms, a C_{1-6} alkylsulfinyl, a C_{1-6} alkylsulfonyl, an amino, an acetylamino, a methanesulfonamido, a methylcarbamido, a N-propanesulfonyllactam group, a N-butanesulfonyllactam group, a 4-morpholinyl, a N-methylpiperazin-4-yl, a piperazinyl, a 3-methanesulfonylpiperazinyl, a 3,3-difluorotetrahydropyrrolyl, a 2-aminoformylpiperidyl, or a 3-pyrazolylamino; and

q is an integer of 1-4.

3. The compound of claim 1, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the compound is shown in general formula (II):



(II)

wherein,

X is O;

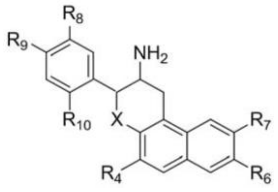
A is a benzene ring with 1 to 5 substituents, wherein each substituent is independently a halogen, a cyano, a hydroxy, a C_{1-6} alkyl, a C_{1-6} alkyl substituted by halogen, a C_{1-6} alkoxy, or a C_{1-6} alkoxy substituted by halogen;

R_4 is H, a hydroxyl, F, or a cyano;

R_5 is a halogen, a cyano, a hydroxyl, a mercapto, a C_{1-6} alkyl group, a C_{1-6} alkyl group containing 1 to 5 F atoms, a C_{1-6} alkoxy, a C_{1-3} alkoxymethyloxy, COOH, a C_{1-6} alkoxycarbonyl, a carbamoyl, a cyanomethylcarbonyl, an acetamidomethylcarbonyl, a 2-pyrrocarbonyl, a methoxycarbonylmethyl, a 4-pyranylcarbonyl, a 4-morpholinecarbonyl, a 1-piperazinecarbonyl, a C_{1-6} alkylthio, a C_{1-6} alkylthio containing 1 to 5 F atoms, a C_{1-6} alkylsulfinyl, a C_{1-6} alkylsulfonyl, an amino, an acetylamino, a methanesulfonamido, a methylcarbamido, a N-propanesulfonyllactam group, a N-butanesulfonyllactam group, a 4-morpholinyl, a N-methylpiperazin-4-yl, a piperazinyl, a 3-methanesulfonylpiperazinyl, a 3,3-difluorotetrahydropyrrolyl, a 2-aminoformylpiperidyl, or a 3-pyrazolylamino; and

q is an integer of 1-4.

4. The compound of claim 1, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the compound is shown in general formula (III):



(III)

wherein,

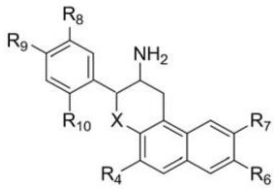
X is O;

R_4 is H or a hydroxyl;

R_6, R_7 are independently a hydrogen, a halogen, a cyano, a hydroxy, a mercapto, a C_{1-2} alkoxy, a C_{1-2} alkylcarbonyloxy, a C_{1-3} alkoxymethoxy, COOH, a C_{1-2} alkoxycarbonyl, a carbamoyl, a cyanomethylcarbonyl, an acetamidomethylcarbonyl, a 2-pyrrocarbonyl, a methoxycarbonylmethyl, a 4-pyranylcarbonyl, a 4-morpholinecarbonyl, a 1-piperazinecarbonyl, a methylthio, a methylsulfinyl, a methanesulfonyl, an amino, acetamido, methanesulfonamido, a methylcarbamido, N-propanesulfonyllactam group, N-butanesulfonyllactam group, a 4-morphinyl, a N-methylpiperazin-4-yl, a piperazinyl, a 3-methanesulfonylpiperazinyl, a 3,3-difluorotetrahydropyrrolyl, a 2-aminoformylpiperidyl, or a 3-pyrazolylamino; and

R_8, R_9 and R_{10} are independently H, Cl, F, or a cyano.

5. The compound of claim 1, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the compound is shown in general formula (III):



(III)

wherein,

X is O;

R_4 is H or a hydroxyl;

R_6, R_7 are independently selected from a hydrogen, a halogen, a cyano, a hydroxy, a mercapto, a C_{1-2} alkoxy, a C_{1-3} alkoxymethoxy, COOH, a C_{1-2} alkoxycarbonyl, a carbamoyl, a cyanomethylcarbonyl, an acetamidomethylcarbonyl, a 2-pyrrocarbonyl, a methoxycarbonylmethyl, a 4-pyranylcarbonyl, a 4-morpholinecarbonyl, a 1-piperazinecarbonyl, a methylthio, a methylsulfinyl, a methanesulfonyl, an amino, acetamido, methanesulfonamido, a methylcarbamido, N-propanesulfonyllactam group, N-butanesulfonyllactam group, a 4-morphinyl, a N-methylpiperazin-4-yl, a piperazinyl, a 3-methanesulfonylpiperazinyl, a 3,3-difluorotetrahydropyrrolyl, a 2-aminoformylpiperidyl, or a 3-pyrazolylamino; and

R_8, R_9 and R_{10} are independently H, Cl, F, or a cyano.

6. The compound of claim 1, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the C_{1-6} alkyl substituted by halogen is C_{1-6} alkyl substituted by F; and the C_{1-6} alkoxy substituted by halogen is C_{1-6} alkoxy substituted by F.

7. The compound of claim 1, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the C_{1-6} alkyl substituted by halogen is C_{1-6} alkyl substituted by 1 to 5 F; and the C_{1-6} alkoxy substituted by halogen is C_{1-6} alkoxy substituted by 1 to 5 F.

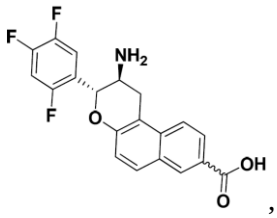
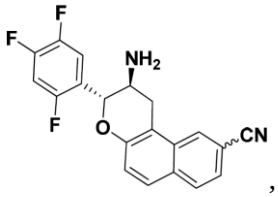
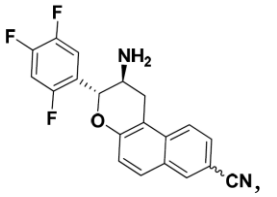
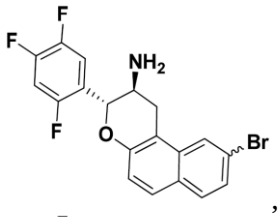
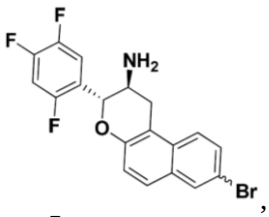
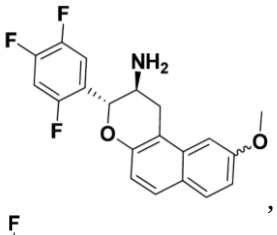
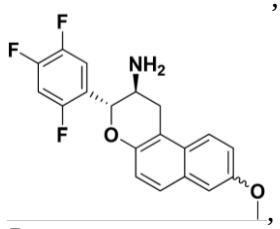
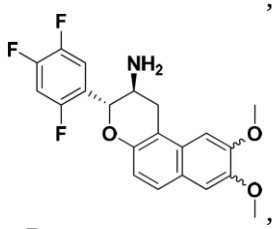
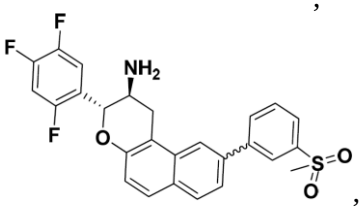
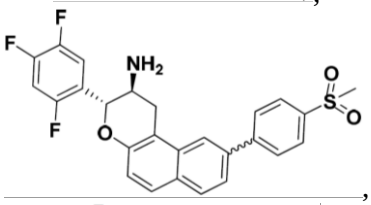
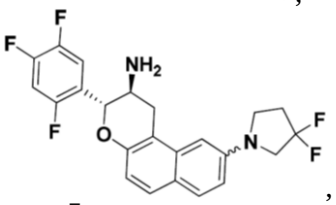
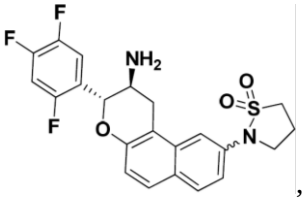
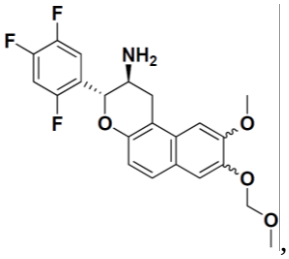
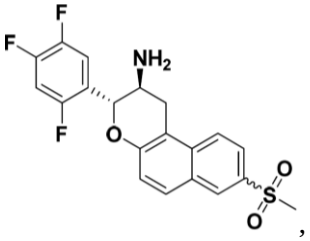
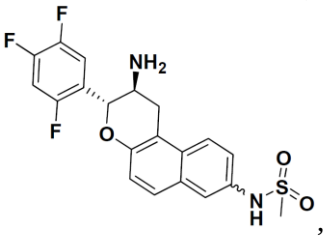
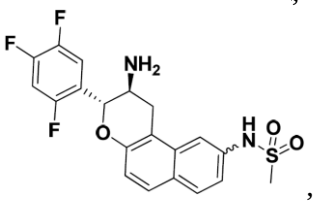
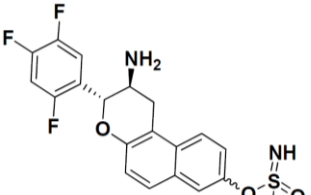
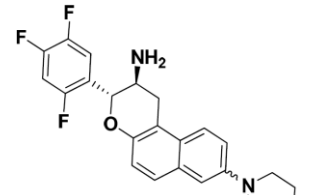
8. The compound of claim 2, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the C_{1-6} alkyl substituted by halogen is C_{1-6} alkyl substituted by F; and the C_{1-6} alkoxy substituted by halogen is C_{1-6} alkoxy substituted by F.

9. The compound of claim 2, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the C_{1-6} alkyl substituted by halogen is C_{1-6} alkyl substituted by 1 to 5 F; and the C_{1-6} alkoxy substituted by halogen is C_{1-6} alkoxy substituted by 1 to 5 F.

10.The compound of claim 3, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein wherein the C_{1-6} alkyl substituted by halogen is C_{1-6} alkyl substituted by F; and the C_{1-6} alkoxy substituted by halogen is C_{1-6} alkoxy substituted by F.

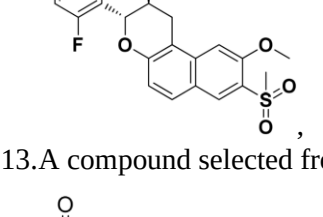
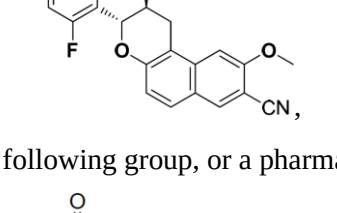
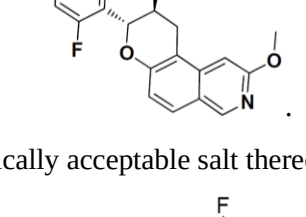
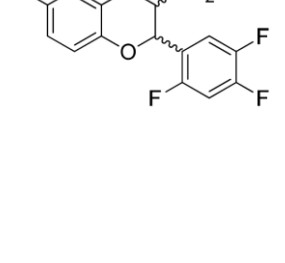
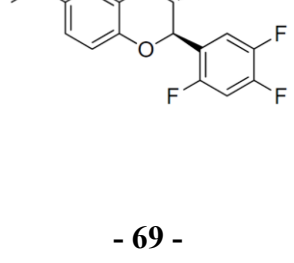
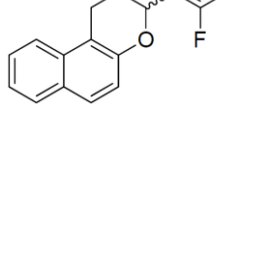
11.The compound of claim 3, or a pharmaceutically acceptable salt thereof, or an optically active isomer or solvate thereof, wherein the C_{1-6} alkyl substituted by halogen is C_{1-6} alkyl substituted by 1 to 5 F; and the C_{1-6} alkoxy substituted by halogen is C_{1-6} alkoxy substituted by 1 to 5 F.

12.A compound selected from the following group, or a pharmaceutically acceptable salt thereof:

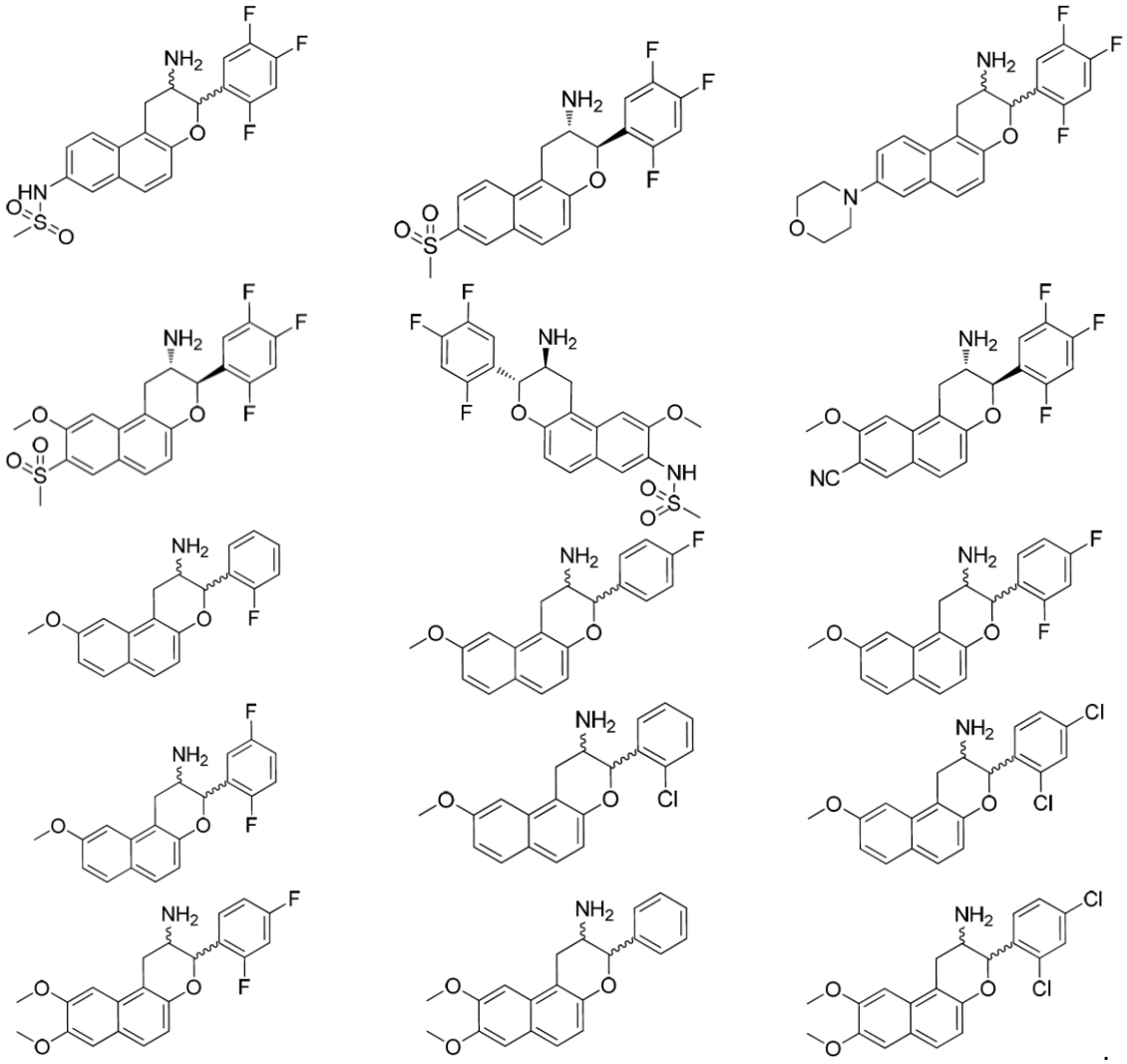

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13.A compound selected from the following group, or a pharmaceutically acceptable salt thereof:

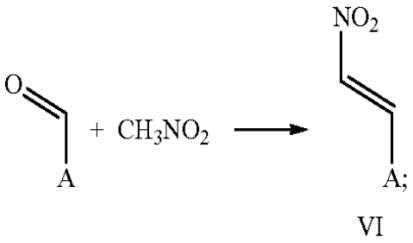


14.A pharmaceutical composition comprising a compound of claim 1 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier or excipient.

15.The pharmaceutical composition of claim 14, wherein the pharmaceutical composition is in a dosage form suitable for oral administration.

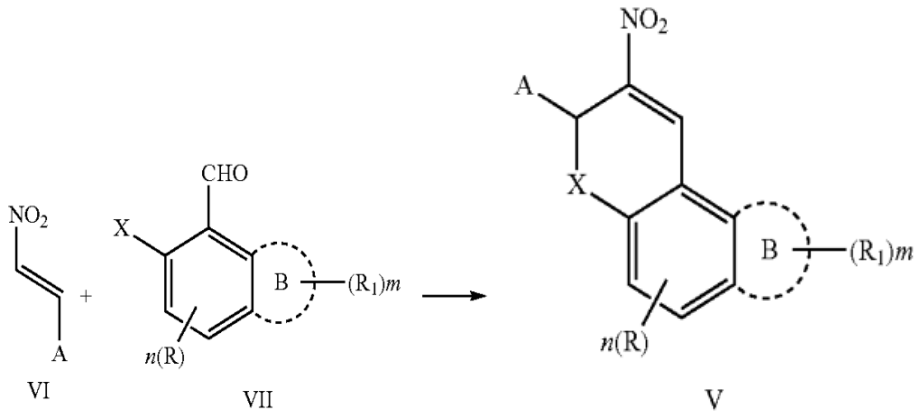
16.The pharmaceutical composition of claim 15, wherein the dosage form suitable for oral administration is a tablet, a solution, a suspension, a capsules, a granule, or a powder.

17.A preparation method of a compound of claim 1, wherein the method includes following steps:

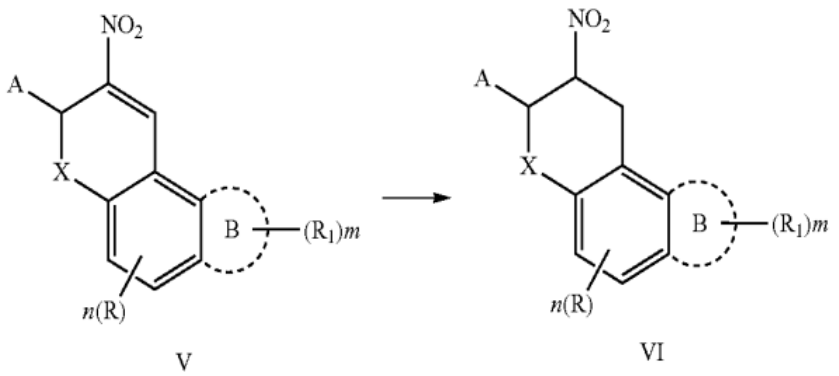


(1)

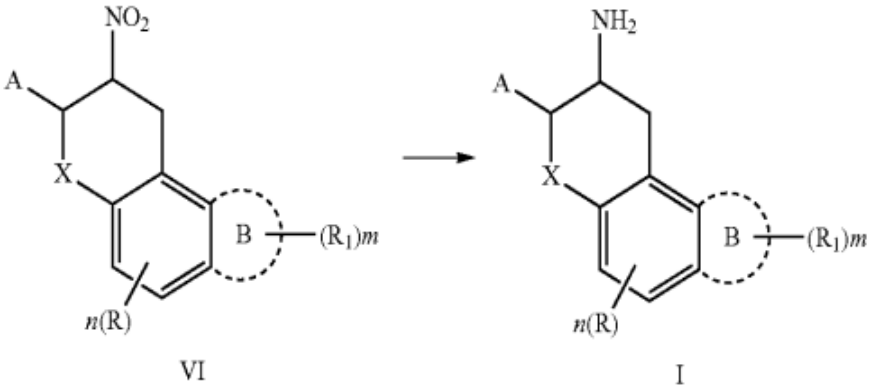
VI



(2)



(3); and



(4)

wherein X, A, R, B, and R_1 are defined in claim 1.