(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
07 November 2019 (07.11.2019)

WIPO | PCT

(10) International Publication Number
WO 2019/210837 A1

(51) International Patent Classification:
C07D 215/233 (2006.01) *A01N 43/42* (2006.01)
C07D 401/12 (2006.01) *A01P 7/04* (2006.01)

(21) International Application Number:
PCT/CN2019/085094

(22) International Filing Date:
30 April 2019 (30.04.2019)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
201810417184.X 04 May 2018 (04.05.2018) CN

(71) Applicant: **Dongguan HEC Pesticides R&D Co., Ltd.** [CN/CN]; Room 608, Building 2, No.368, Zhen An Road, Chang An Town, Dongguan, Guangdong 523871 (CN).

(72) Inventors: **LI, Yitao**; Dongyangguang Hi-Tech Park, Zhen An Road No. 368, Shang Sha, Chang An Town, Dongguan, Guangdong 523871 (CN). **LIN, Jian**; Dongyangguang Hi-Tech Park, Zhen An Road No. 368, Shang Sha, Chang An Town, Dongguan, Guangdong 523871 (CN). **YAO, Wenqiang**; Dongyangguang Hi-Tech Park, Zhen An Road No. 368, Shang Sha, Chang An Town, Dongguan, Guangdong 523871 (CN). **WANG, Faping**; Dongyangguang Hi-Tech Park, Zhen An Road No. 368, Shang Sha, Chang An Town, Dongguan, Guangdong 523871 (CN). **LI, Falin**; Dongyangguang Hi-Tech Park, Zhen An Road No. 368, Shang Sha, Chang An Town, Dongguan, Guangdong 523871 (CN). **WANG, Chuanwei**; Dongyangguang Hi-Tech Park, Zhen An Road No. 368, Shang Sha, Chang An Town, Dongguan, Guangdong 523871 (CN).

(74) Agent: **BEIJING CHEN QUAN INTELLECTUAL PROPERTY LAW FIRM**; FENG, Nan, Room 1501, Building B, Century Technology Trade Building, No.66, Zhongguancun East Road, Haidian District, Beijing 100190 (CN).

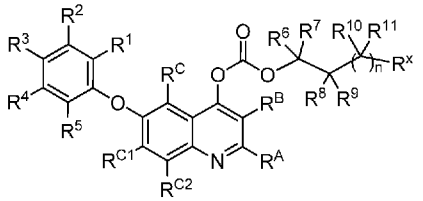
(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:
— *as to the identity of the inventor (Rule 4.17(i))*
— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*
— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

Published:
— *with international search report (Art. 21(3))*

(54) Title: QUINOLINE DERIVATIVES AND PREPARATION METHODS AND USES THEREOF



(I)

(57) Abstract: The present invention provides a quinoline derivative, and preparation methods and uses thereof; specifically, the present invention relates to a quinoline derivative of Formula (I) or a stereoisomer, an N-oxide and a salt thereof, their preparation methods, and their uses as pesticides, forms of a pesticide composition thereof, and methods of controlling pests by using these compounds or compositions in agriculture or gardens; wherein R^1, R^2, R^3, R^4, R^5, R^A, R^B, R^C, R^{C1}, R^{C2}, R^6, R^7, R^8, R^9, R^{10}, R^{11}, R^x and n have the definitions as described herein.

WO 2019/210837 A1

QUINOLINE DERIVATIVES AND PREPARATION METHODS AND USES THEREOF

CROSS-REFERENCE TO RELATED APPLICATIONS

[0001]. This application claims priority to Chinese Patent Application Serial No 201810417184.X, filed on May 04, 2018, which is hereby incorporated by reference in its entirety.

FIELD OF THE INVENTION

[0002]. The invention pertains to the field of agricultural pest control, and relates to quinoline derivatives and *N*-oxides and salts thereof, which are used for controlling pests.

BACKGROUND OF THE INVENTION

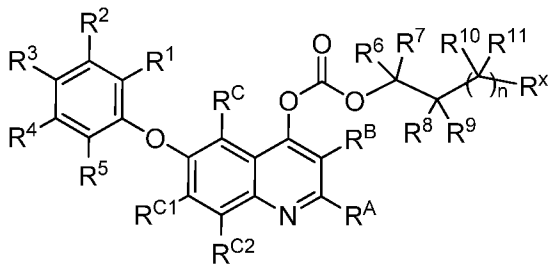
[0003]. At present, although there are many methods for controlling pests in agriculture, novel, more effective and reasonable pesticidal compounds are still being explored and discovered. The present invention provides a novel type of compounds that can be further studied. Quinoline compounds have excellent biological and physiological activities. In the field of medical care and plant protection, quinoline compounds have shown wide applications and development prospects, especially in plant protection. These compounds have different mechanisms of action with most pesticides used in pest control, which can solve the increasingly serious problem of pesticide resistance. Because of this, quinoline compounds have opened up a new field for the development of agricultural chemicals, and provided a new way to find novel agricultural chemicals with high efficiency and low toxicity.

SUMMARY OF THE INVENTION

[0004]. The present invention provides a quinoline derivative and compositions comprising such derivative, the quinoline derivative and the compositions are used for controlling pests in agriculture or gardens. In particular, the compounds have very good control effects on Lepidoptera pests such as armyworm, diamondback moth, spodoptera exigua and prodenia litura, and have low toxicity and are targeted pesticides, which are expected to solve the problem of low efficiency and high toxicity of insecticides.

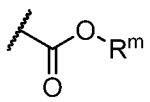
[0005]. Specifically,

[0006]. In one aspect, provided herein is a compound of Formula (I) or a stereoisomer, an *N*-oxide or an acceptable salt thereof:



(I),

wherein

R^x is  or  ;

R^n is alkyl, alkenyl, alkynyl, aryl or arylalkyl; wherein R^n is optionally substituted with 1, 2,

3, 4, 5, 6, 7 or 8 substituents selected from A1;

R^m is alkyl, alkenyl or alkynyl; wherein R^m is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A2;

each of A1 and A2 is independently halo, hydroxy, cyano, nitro, amino, alkyl, alkoxy, haloalkyl or haloalkoxy;

each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^aR^b, -NR^c-C(=O)-R^d, -$NR^e(OR^f)$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy-substituted alkyl, amino-substituted alkyl, cyano-substituted alkyl, alkyl-SO_2-, alkyl-(C=O)-, alkyl-(C=O)-O-, alkoxy, alkoxy-(C=O)-, alkylthio, alkenyloxy, haloalkoxy, haloalkylthio, haloalkenyloxy, hydroxy-substituted alkoxy, amino-substituted alkoxy, cyano-substituted alkoxy or alkylamino;

each of R^a, R^b, R^c, R^d, R^e and R^f is independently hydrogen or alkyl;

or R^1 and R^2, or R^2 and R^3, or R^3 and R^4, or R^4 and R^5 together form -O-$(CH_2)_m$-O-, -$(CH_2)_{m1}$-O- or -$(CH_2)_{m2}$-, wherein each of -O-$(CH_2)_m$-O-, -$(CH_2)_{m1}$-O- and -$(CH_2)_{m2}$- is optionally and independently substituted with 1, 2, 3, 4, 5 or 6 halo;

wherein each of m, m1 and m2 is independently 1, 2 or 3;

each of R^A and R^B is independently hydrogen, alkyl or haloalkyl;

each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or alkyl;

each of R^6, R^7, R^8, R^9, R^{10} and R^{11} is independently hydrogen, alkyl, haloalkyl, alkoxy or haloalkoxy;

or R^n and R^8, together with the atoms to which they are attached, form a 3-8 membered heterocycle; the 3-8 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3;

wherein each A3 is independently halo, oxo, hydroxy, cyano, nitro, alkyl, alkoxy, haloalkyl or haloalkoxy; and

n is 0, 1, 2 or 3.

[0007]. In some embodiments, R^n is C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{6-14} aryl or C_{6-14} aryl-C_{1-6} alkyl-; wherein R^n is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A1;

R^m is C_{1-6} alkyl, C_{2-8} alkenyl or C_{2-8} alkynyl; wherein R^m is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A2;

each of A1 and A2 is independently halo, hydroxy, cyano, nitro, amino, C_{1-6} alkyl, C_{1-6} alkoxy, halo C_{1-6} alkyl or halo C_{1-6} alkoxy;

each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^aR^b, -NR^c-C(=O)-R^d, -$NR^e(OR^f)$, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, halo C_{1-6} alkyl, halo C_{2-8} alkenyl, halo C_{2-8} alkynyl, hydroxy-substituted C_{1-6} alkyl, amino-substituted C_{1-6} alkyl, cyano-substituted C_{1-6} alkyl, C_{1-6} alkyl-SO_2-, C_{1-6} alkyl-(C=O)-, C_{1-6} alkyl-(C=O)-O-, C_{1-6} alkoxy, C_{1-6} alkoxy-(C=O)-, C_{1-6} alkylthio, C_{2-8} alkenyloxy, halo C_{1-6} alkoxy, halo C_{1-6} alkylthio, halo C_{2-8} alkenyloxy, hydroxy-substituted C_{1-6} alkoxy, amino-substituted C_{1-6} alkoxy, cyano-substituted C_{1-6} alkoxy or C_{1-6} alkylamino;

each of R^a, R^b, R^c, R^d, R^e and R^f is independently hydrogen or C_{1-6} alkyl;

each of R^A and R^B is independently hydrogen, C_{1-6} alkyl or halo C_{1-6} alkyl;

each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or C_{1-6} alkyl;

each of R^6, R^7, R^8, R^9, R^{10} and R^{11} is independently hydrogen, C_{1-4} alkyl, halo C_{1-4} alkyl, C_{1-4} alkoxy or halo C_{1-4} alkoxy;

or R^n and R^8, together with the atoms to which they are attached, form a 3-8 membered

heterocycle; the 3-8 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3; and

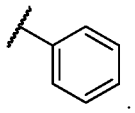
wherein each A3 is independently halo, oxo, hydroxy, cyano, nitro, C_{1-6} alkyl, C_{1-6} alkoxy, halo C_{1-6} alkyl or halo C_{1-6} alkoxy.

[0008]. In other embodiments, R^n is C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{6-10} aryl or C_{6-10} aryl-C_{1-3} alkyl-; wherein R^n is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A1; and

each A1 is independently halo, hydroxy, cyano, nitro, amino, C_{1-5} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

[0009]. In still other embodiments, R^n is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CH_2CF_3$, $-CF_2CHFCF_3$, $-CH(CF_3)CH_3$, $-CF(CF_3)_2$, $-CH_2CH_2$-OCH_3 or $-CH_2CH_2$-OCH_2CH_3;

or R^n is the following sub-structure:



[0010]. In some embodiments, R^m is C_{1-4} alkyl, C_{2-4} alkenyl or C_{2-4} alkynyl; wherein R^m is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A2; and

each A2 is independently halo, hydroxy, cyano, nitro, amino, C_{1-4} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

[0011]. In other embodiments, R^m is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$ or $-CF_3$.

[0012]. In some embodiments, each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-4} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl, hydroxy-substituted C_{1-4} alkyl, amino-substituted C_{1-4} alkyl, cyano-substituted C_{1-4} alkyl, C_{1-4} alkyl-SO_2-, C_{1-4} alkyl-(C=O)-, C_{1-4} alkyl-(C=O)-O-, C_{1-4} alkoxy, C_{1-4} alkoxy-(C=O)-, C_{1-4} alkylthio, C_{2-4} alkenyloxy, halo C_{1-4} alkoxy, halo C_{1-4} alkylthio, halo C_{2-4} alkenyloxy or C_{1-4} alkylamino.

[0013]. In other embodiments, each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CF_2CHFCF_3$, $-CF(CF_3)_2$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OC(CH_3)_3$, $-OCH_2F$, $-OCF_2H$, $-OCF_3$, $-OCF_2CHF_2$, $-OCH_2CF_3$, $-OCF_2CHFCF_3$, $-OCH(CF_3)CH_3$ or $-OCF(CF_3)_2$.

[0014]. In some embodiments, each of R^A and R^B is independently hydrogen, C_{1-4} alkyl or halo C_{1-4} alkyl; and

each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or C_{1-4} alkyl.

[0015]. In other embodiments, each of R^A and R^B is independently hydrogen, $-CH_3$, $-CH_2CH_3$ or $-CHF_2$; and

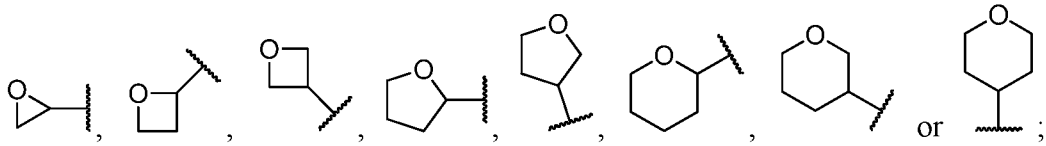
each of R^C, R^{C1} and R^{C2} is independently hydrogen, fluoro, chloro, bromo, iodo or $-CH_3$.

[0016]. In still other embodiments, each of R^6, R^7, R^8, R^9, R^{10} and R^{11} is independently hydrogen, C_{1-2} alkyl, halo C_{1-2} alkyl.

[0017]. In some embodiments, R^n and R^8, together with the atoms to which they are attached, form a 3-6 membered heterocycle; the 3-6 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3; and

each A3 is independently halo, oxo, hydroxy, cyano, nitro, C_{1-4} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

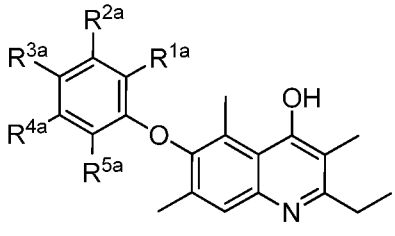
[0018]. In yet other embodiments, the 3-6 membered heterocycle formed by R^n and R^8, together with the atoms to which they are attached, is the following sub-structure:



wherein the 3-6 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3; and

each A3 is independently fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, $-CH_3$, $-CH_2CH_3$, $-OCH_3$, $-OCH_2CH_3$, $-CF_3$ or $-OCF_3$.

[0019]. In other aspect, provided herein is a compound of Formula (II) or a stereoisomer, an *N*-oxide or a salt thereof:



(II);

wherein

each of R^{1a}, R^{2a}, R^{3a}, R^{4a} and R^{5a} is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, halo C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, halo C_{1-4} alkoxy or halo C_{1-4} alkylthio;

with the proviso that the compound of Formula (II) is not 2-ethyl-3,5,7-trimethyl-6-(4-(1,1,2,2-tetrafluoroethoxy)phenoxy)quinolin-4-ol.

[0020]. In some embodiments, each of R^{1a}, R^{2a}, R^{3a}, R^{4a} and R^{5a} is independently hydrogen, fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CF_2CHFCF_3$, $-CF(CF_3)_2$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OC(CH_3)_3$, $-OCH_2F$, $-OCF_2H$, $-OCF_3$, $-OCH_2CF_3$, $-OCF_2CHF_2$, $-OCF_2CHFCF_3$, $-OCH(CF_3)CH_3$ or $-OCF(CF_3)_2$;

with the proviso that when R^{1a}, R^{2a}, R^{4a} and R^{5a} are hydrogen, R^{3a} is not $-OCF_2CHF_2$.

[0021]. In other aspect, provided herein is a composition containing the compound of the invention, wherein the composition further comprises an agriculturally acceptable surfactant and/or carrier.

[0022]. In other aspect, provided herein is use of the compound or the composition for controlling pests.

DETAILED DESCRIPTION OF THE INVENTION
DEFINITIONS AND GENERAL TERMINOLOGY

[0023]. Reference will now be made in detail to certain embodiments of the invention, examples of which are illustrated in the accompanying structures and formulas. The invention is intended to cover all alternatives, modifications, and equivalents which may be included within the scope of the present invention as defined by the claims. One skilled in the art will recognize many methods and materials similar or equivalent to those described herein, which could be used in the

practice of the present invention. The present invention is in no way limited to the methods and materials described herein. In the event that one or more of the incorporated literature, patents, and similar materials differs from or contradicts this application, including but not limited to defined terms, term usage, described techniques, or the like, this application controls.

[0024]. It is further appreciated that certain features of the invention, which are, for clarity, described in the context of separate embodiments, can also be provided in combination in a single embodiment. Conversely, various features of the invention which are, for brevity, described in the context of a single embodiment, can also be provided separately or in any suitable subcombination.

[0025]. Unless defined otherwise, all technical and scientific terms used herein have the same meaning as is commonly understood by one skilled in the art to which this invention belongs. All patents and publications referred to herein are incorporated by reference in their entirety.

[0026]. As used herein, the following definitions shall apply unless otherwise indicated. For purposes of this invention, the chemical elements are identified in accordance with the Periodic Table of the Elements, CAS version, and the Handbook of Chemistry and Physics, 75th Ed. 1994. Additionally, general principles of organic chemistry are described in "Organic Chemistry", Thomas Sorrell, University Science Books, Sausalito: 1999, and Smith et al., "March's Advanced Organic Chemistry", John Wiley & Sons, New York: 2007, the entire contents of which are hereby incorporated by reference.

[0027]. The grammatical articles "a", "an" and "the", as used herein, are intended to include "at least one" or "one or more" unless otherwise indicated herein or clearly contradicted by the context. Thus, the articles are used herein to refer to one or more than one (*i.e.* at least one) of the grammatical objects of the article. By way of example, "a component" means one or more components, and thus, possibly, more than one component is contemplated and may be employed or used in an implementation of the described embodiments.

[0028]. The term "comprise" is an open expression, it means comprising the contents disclosed herein, but don't exclude other contents.

[0029]. "Stereoisomers" refers to compounds which have identical chemical constitution, but differ with regard to the arrangement of the atoms or groups in space. Stereoisomers include enantiomer, diastereomers, conformer (rotamer), geometric (cis/trans) isomer, atropisomer, *etc.*

[0030]. "Enantiomers" refers to two stereoisomers of a compound which are non-superimposable mirror images of one another.

[0031]. "Diastereomer" refers to stereoisomers with two or more centers of chirality and whose molecules are not mirror images of one another. Diastereomers have different physical properties, *e.g.* melting points, boiling points, spectral properties or biological activities. Mixture of diastereomers may separate under high resolution analytical procedures such as electrophoresis and chromatography such as HPLC.

[0032]. Stereochemical definitions and conventions used herein generally follow S. P. Parker, Ed., McGraw-Hill Dictionary of Chemical Terms (1984) McGraw-Hill Book Company, New York; and Eliel, E. and Wilen, S., "Stereochemistry of Organic Compounds", John Wiley & Sons, Inc., New York, 1994.

[0033]. Many organic compounds exist in optically active forms, *i.e.*, they have the ability to rotate the plane of plane-polarized light. When describing an optically active compound, the prefixes *D* and *L*, or *R* and *S* are used to denote the absolute configuration of the molecule about its chiral center(s). The prefixes d and l or (+) and (-) are employed to designate the sign of rotation of plane-polarized light by the compound, with (-) or l meaning that the compound is

levorotatory. A compound prefixed with (+) or d is dextrorotatory. A specific stereoisomer may be referred to as an enantiomer, and a mixture of such stereoisomers is called an enantiomeric mixture. A 50:50 mixture of enantiomers is referred to as a racemic mixture or a racemate, which may occur where there has been no stereoselection or stereospecificity in a chemical reaction or process.

[0034]. Any asymmetric atom (*e.g.*, carbon or the like) of the compound(s) disclosed herein can be present in racemic or enantiomerically enriched, for example the (*R*)-, (*S*)- or (*R*,*S*)-configuration. In certain embodiments, each asymmetric atom has at least 50 % enantiomeric excess, at least 60 % enantiomeric excess, at least 70 % enantiomeric excess, at least 80 % enantiomeric excess, at least 90 % enantiomeric excess, at least 95 % enantiomeric excess, or at least 99 % enantiomeric excess in the (*R*)- or (*S*)- configuration.

[0035]. Depending on the choice of the starting materials and procedures, the compounds can be present in the form of one of the possible stereoisomers or as mixtures thereof, such as racemates and diastereoisomer mixtures, depending on the number of asymmetric carbon atoms. Optically active (*R*)- and (*S*)- isomers may be prepared using chiral synthons or chiral reagents, or resolved using conventional techniques. If the compound contains a double bond, the substituent may be *E* or *Z* configuration. If the compound contains a disubstituted cycloalkyl, substituents of the cycloalkyl may have a cis- or trans-configuration.

[0036]. Any resulting mixtures of stereoisomers can be separated on the basis of the physicochemical differences of the constituents, into the pure or substantially pure geometric isomers, enantiomers, diastereomers, for example, by chromatography and/or fractional crystallization. Cis and trans isomers are diastereomer.

[0037]. Any resulting racemates of final products or intermediates can be resolved into the optical antipodes by methods known to those skilled in the art, *e.g.*, by separation of the diastereomeric salts thereof. Racemic products can also be resolved by chiral chromatography, *e.g.*, high performance liquid chromatography (HPLC) using a chiral adsorbent. In particular, enantiomers can be prepared by asymmetric synthesis.

[0038]. As described herein, compounds disclosed herein may optionally be substituted with one or more substituents, such as are illustrated generally below, or as exemplified by particular classes, subclasses, and species of the invention. It will be appreciated that the phrase "optionally substituted" is used interchangeably with the phrase "substituted or unsubstituted". In general, the term "substituted" refers to the replacement of one or more hydrogen radicals in a given structure with the radical of a specified substituent. Unless otherwise indicated, an optionally substituted group may have a substituent at each substitutable position of the group. When more than one position in a given structure can be substituted with more than one substituent selected from a specified group, the substituent may be either the same or different at each position. Specifically, "one or more" before an example refers to 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10. wherein substituents of compounds disclosed herein include, but are not limited to, deuterium, fluoro (F), chloro (Cl), bromo (Br), iodo (I), cyano (CN), hydroxy (OH), nitro (NO_2), amino (NH_2), carboxy (COOH), alkyl, alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxyalkylamino, aryloxy, heteroaryloxy, heterocyclyloxy, arylalkoxy, heteroarylalkoxy, heterocyclylalkoxy, cycloalkylalkoxy, alkylamino, alkylaminoalkyl, alkylaminoalkylamino, cycloalkylamino, cycloalkylalkylamino, alkylthio, haloalkyl, haloalkoxy, hydroxy-substitued alkyl, hydroxy-substitued alkylamino, cyano-substitued alkyl, cyano-substitued alkoxy, cyano-substitued alkylamino, amino-substitued alkyl, alkylacyl, heteroalkyl, cycloalkyl, cycloalkenyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylacyl, aryl, arylalkyl, arylamino, heteroaryl, heteroarylalkyl,

heteroarylamino, acylamino, sulfonyl, aminosulfonyl, and the like.

[0039]. Furthermore, what needs to be explained is that the phrases "each...is independently" and "each of...and...is independently", unless otherwise stated, should be broadly understood. The specific options expressed by the same symbol are independent of each other in different groups; or the specific options expressed by the same symbol are independent of each other in same groups.

[0040]. At various places in the present specification, substituents of compounds disclosed herein are disclosed in groups or in ranges. It is specifically intended that the invention include each and every individual subcombination of the members of such groups and ranges. For example, the term "C_1-C_6 alkyl" or "C_{1-6} alkyl" is specifically intended to individually disclose methyl, ethyl, C_3 alkyl, C_4 alkyl, C_5 alkyl, and C_6 alkyl.

[0041]. The term "alkyl" or "alkyl group" refers to a saturated linear or branched-chain monovalent hydrocarbon group of 1-20 carbon atoms, wherein the alkyl group is optionally substituted with one or more substituents described herein. Unless otherwise stated, the alkyl group contains 1-20 carbon atoms. In some embodiments, the alkyl group contains 1-12 carbon atoms. In some embodiments, the alkyl group contains 1-8 carbon atoms. In other embodiments, the alkyl group contains 1-6 carbon atoms. In still other embodiments, the alkyl group contains 1-4 carbon atoms. In yet other embodiments, the alkyl group contains 1-3 carbon atoms.

[0042]. Some non-limiting examples of the alkyl group include, methyl (Me, $-CH_3$), ethyl (Et, $-CH_2CH_3$), *n*-propyl (*n*-Pr, $-CH_2CH_2CH_3$), isopropyl (*i*-Pr, $-CH(CH_3)_2$), *n*-butyl (*n*-Bu, $-CH_2CH_2CH_2CH_3$), isobutyl (*i*-Bu, $-CH_2CH(CH_3)_2$), sec-butyl (*s*-Bu, $-CH(CH_3)CH_2CH_3$), *tert*-butyl (*t*-Bu, $-C(CH_3)_3$), *n*-pentyl ($-CH_2CH_2CH_2CH_2CH_3$), 2-pentyl ($-CH(CH_3)CH_2CH_2CH_3$), 3-pentyl ($-CH(CH_2CH_3)_2$), 2-methyl-2-butyl ($-C(CH_3)_2CH_2CH_3$), 3-methyl-2-butyl ($-CH(CH_3)CH(CH_3)_2$), 3-methyl-l-butyl ($-CH_2CH_2CH(CH_3)_2$), 2-methyl-l-butyl ($-CH_2CH(CH_3)CH_2CH_3$), *n*-hexyl ($-CH_2CH_2CH_2CH_2CH_2CH_3$), 2-hexyl ($-CH(CH_3)CH_2CH_2CH_2CH_3$), 3-hexyl ($-CH(CH_2CH_3)(CH_2CH_2CH_3)$), 2-methyl-2-pentyl ($-C(CH_3)_2CH_2CH_2CH_3$), 3-methyl-2-pentyl ($-CH(CH_3)CH(CH_3)CH_2CH_3$), 4-methyl-2-pentyl ($-CH(CH_3)CH_2CH(CH_3)_2$), 3-methyl-3-pentyl ($-C(CH_3)(CH_2CH_3)_2$), 2-methyl-3-pentyl ($-CH(CH_2CH_3)CH(CH_3)_2$), 2,3-dimethyl-2-butyl ($-C(CH_3)_2CH(CH_3)_2$), 3,3-dimethyl-2-butyl ($-CH(CH_3)C(CH_3)_3$, *etc.*

[0043]. The term "alkenyl" refers to linear or branched-chain monovalent hydrocarbon radical of 2 to 12 carbon atoms with at least one site of unsaturation, *i.e.*, a carbon-carbon, sp^2 double bond, wherein the alkenyl radical may be optionally substituted independently with one or more substituents described herein, and includes radicals having "*cis*" and "*trans*" orientations, or alternatively, "*E*" and "*Z*" orientations. In some embodiments, the alkenyl contains 2 to 8 carbon atoms. In other embodiments, the alkenyl contains 2 to 6 carbon atoms. In still other embodiments, the alkenyl contains 2 to 4 carbon atoms. Some non-limiting examples of the alkenyl group include ethenyl or vinyl ($-CH=CH_2$), allyl ($-CH_2CH=CH_2$), propenyl (CH_3-CH=CH-), oxo butenyl (CH_3-C(=O)-CH=CH-) and the like.

[0044]. The term "alkynyl" refers to a linear or branched monovalent hydrocarbon radical of 2 to 12 carbon atoms with at least one carbon-carbon, sp triple bond. In some embodiments, the alkynyl contains 2 to 8 carbon atoms. In other embodiments, the alkynyl contains 2 to 6 carbon atoms. In still other embodiments, the alkynyl contains 2 to 4 carbon atoms. Some non-limiting examples of the alkynyl group include -C≡CH, $-CH_2$-C≡CH, $-CH_2$-C≡CCH_3, $-CH_2CH_2$-C≡CH, $-CH_2$-C≡CCH_2CH_3, and the like.

[0045]. The term "alkoxy" refers to an alkyl group, as previously defined, attached to the parent

molecular moiety via an oxygen atom. Some non-limiting examples of the alkoxy group include methoxy (MeO, $-OCH_3$), ethoxy (EtO, $-OCH_2CH_3$), 1-propoxy (*n*-PrO, n-propoxy, $-OCH_2CH_2CH_3$), 2-propoxy (*i*-PrO, *i*-propoxy, $-OCH(CH_3)_2$) and the like.

[0046]. The term "alkylthio" refers to a radical containing a linear or branched-alkyl radical, attached to a divalent sulfur atom. Wherein the alkyl group is as defined herein. Examples of the alkylthio group include, but are not limited to, $-SCH_3$, $-SCH_2CH_3$, $-SCH_2CH_2CH_3$, and the like.

[0047]. The term "halogen" or "halo" refers to fluorine (fluoro, F), chlorine (chloro, Cl), bromine (bromo, Br) or iodine (iodo, I).

[0048]. The term "oxo" refers to $-CH_2-$ replaced by -C(=O)-.

[0049]. The term "carboxy" refers to -COOH.

[0050]. The term "haloalkyl" refers to an alkyl group substituted with one or more halogen atoms. Some non-limiting examples of such groups include,$-CF_3$, $-CHF_2$, $-CH_2Cl$, $-CH_2CF_3$, $-CH_2CHF_2$, $-CH_2CH_2CF_3$ and the like.

[0051]. The term "haloalkoxy" refers to an alkoxy group substituted with one or more halogen atoms. Some non-limiting examples of such groups include, $-OCF_3$, $-OCHF_2$, $-OCHCl_2$, $-OCH_2CHF_2$, $-OCH_2CHCl_2$, $-OCH(CH_3)CHF_2$, and the like.

[0052]. The term "haloalkylthio" refers to an alkylthio group substituted with one or more halogen atoms. Some non-limiting examples of such groups include, $-SCF_3$, $-SCHF_2$, $-SCHCl_2$, $-SCH_2CHF_2$, $-SCH_2CHCl_2$, $-SCH(CH_3)CHF_2$, and the like.

[0053]. The term "haloalkenyl" refers to an alkenyl group substituted with one or more halogen atoms.

[0054]. The term "haloalkynyl" refers to an alkynyl group, substituted with one or more halogen atoms.

[0055]. The term "aryl" refers to monocyclic, bicyclic and tricyclic carbocyclic ring systems having a total of six to fourteen ring members, or six to twelve ring members, or six to ten ring members, wherein at least one ring in the system is aromatic, wherein each ring in the system contains 3 to 7 ring members and that has a single point or multipoint of attachment to the rest of the molecule. The term "aryl" and "aromatic ring" can be used interchangeably herein. Examples of the aryl group may include phenyl, indenyl, naphthyl, and anthryl.

[0056]. The term "arylalkyl" refers to an alkyl group in which hydrogen atoms are substituted with one or more aryl groups, wherein the alkyl and aryl groups are as defined herein. Some non-limiting examples of such group include benzyl, phenylethyl, and the like.

[0057]. The term "heterocycle", "heterocyclyl", or "heterocyclic ring" as used interchangeably herein refers to a saturated or partially unsaturated monocyclic, bicyclic or tricyclic ring containing 3-15 ring atoms, wherein the monocyclic, bicyclic or tricyclic ring does not contain aromatic ring, of which at least one ring atom is selected from nitrogen, sulfur or oxygen. Unless otherwise specified, the heterocyclyl group may be carbon or nitrogen linked, and a $-CH_2-$ group can be optionally replaced by a -C(=O)- group. In which, the sulfur on the ring can be optionally oxygenized to S-oxide and the nitrogen on the ring can be optionally oxygenized to *N*-oxide. Examples of heterocyclyl include, but are not limited to, oxiranyl, azetidinyl, oxetanyl, thietanyl, pyrrolidinyl (such as 2-pyrrolidinyl), 2-pyrrolinyl, 3-pyrrolinyl, pyrazolidinyl, imidazolinyl, imidazolidinyl, tetrahydrofuranyl, dihydrofuranyl, tetrahydrothienyl, dihydrothienyl, 1,3-dioxolanyl, dithiolanyl, tetrahydropyranyl, dihydropyranyl, 2*H*-pyranyl, 4*H*-pyranyl, tetrahydrothiopyranyl, piperidinyl (such as 2-piperidinyl, 3-piperidinyl, 4-piperidinyl), morpholinyl, thiomorpholinyl, 1-oxidothiomorpholinyl, 1,1-dioxidothiomorpholinyl, piperazinyl, dioxanyl, dithianyl, thioxanyl, homopiperazinyl, homopiperidinyl, oxepanyl, thiepanyl,

2-oxa-5-azabicyclo[2.2.1]hept-5-yl, tetrahydropyridyl. Some non-limiting examples of heterocyclyl wherein -CH_2- group is replaced by -C(=O)- moiety include 2-oxopyrrolidinyl, oxo-1,3-thiazolidinyl, 2-piperidinonyl and 3,5-dioxopiperidinyl. Some non-limited examples of heterocyclyl wherein the ring sulfur atom is oxidized is sulfolanyl, 1,1-dioxo-thiomorpholinyl; and wherein the heterocyclyl group is optionally substituted with one or more substituents described herein.

[0058]. The terms "3-12 membered heterocyclyl", "3-10 membered heterocyclyl", "3-8 membered heterocyclyl" or "3-6 membered heterocyclyl", wherein "3-12 membered" "3-10 membered" "3-8 membered" or "3-6 membered" typically described ring atoms number of a molecule. For example, piperidinyl is 6-membered heterocyclyl.

[0059]. The term "heteroatom" refers to oxygen, sulfur, nitrogen, phosphorus and silicon, including any oxidized form of nitrogen, sulfur, or phosphorus; forms of primary, secondary, tertiary amines and quaternary ammonium salts; or a substitutable nitrogen of a heterocyclic ring, for example, N (such as N of 3,4-dihydro-2*H*-pyrrolyl), NH (such as NH of pyrrolidinyl) or NR (such as NR of N-substituted pyrrolidinyl).

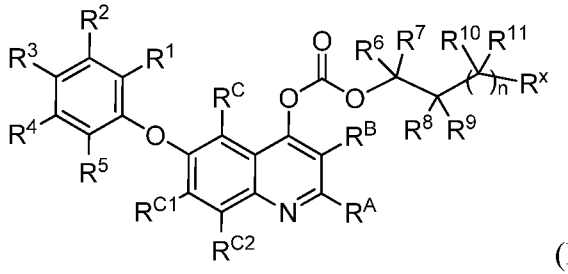
[0060]. When the compound of the invention contains an acid part, the salt of the compound of the invention includes those derived from alkali metals or alkaline earth metals and those derived from ammonia and amine. Preferred cations include sodium, potassium, magnesium and ammonium cations having the chemical formula $N^+(R^{19}R^{20}R^{21}R^{22})$, wherein each R^{19}, R^{20}, R^{21} and R^{22} is independently selected from C_1-C_6 alkyl and C_1-C_6 hydroxyalkyl. Salts of the compounds of formula (I) or formula (II) can be prepared by treating compounds of formula (I) or formula (II) with metal hydroxides (such as sodium hydroxide) or amines (such as ammonia, trimethylamine, diethanolamine, 2-methylthiopropylamine, diallylamine, 2-butoxyethylamine, morpholine, cyclic dodecylamine or benzylamine).

[0061]. When the compound of the present invention contains an alkali part, acceptable salts can be formed from organic and inorganic acids, such as acetic acid, propionic acid, lactic acid, citric acid, tartaric acid, succinic acid, fumaric acid, maleic acid, malonic acid, mandelic acid, malic acid, phthalic acid, hydrochloric acid, hydrobromic acid, phosphoric acid, nitric acid, sulfuric acid, methylsulfonic acid, naphthalene sulfonic acid, benzenesulfonic acid, toluene sulfonic acid, camphor sulfonic acid and similar known acceptable acids.

DETAILED DESCRIPTION OF COMPOUNDS OF THE INVENTION

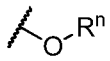
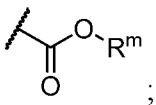
[0062]. The purpose of the present invention is to provide a compound having significant effects on pests control, pesticidal compositions and formulations both containing the compound and uses thereof.

[0063]. In one aspect, provided herein is a compound of Formula (I) or a stereoisomer, an *N*-oxide or an acceptable salt thereof:



(I),

wherein

R^x is  or  ;

R^n is alkyl, alkenyl, alkynyl, aryl or arylalkyl; wherein R^n is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A1;

R^m is alkyl, alkenyl or alkynyl; wherein R^m is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A2;

each of A1 and A2 is independently halo, hydroxy, cyano, nitro, amino, alkyl, alkoxy, haloalkyl or haloalkoxy;

each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^aR^b, -NR^c-C(=O)-R^d, -$NR^e(OR^f)$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy-substituted alkyl, amino-substituted alkyl, cyano-substituted alkyl, alkyl-SO_2-, alkyl-(C=O)-, alkyl-(C=O)-O-, alkoxy, alkoxy-(C=O)-, alkylthio, alkenyloxy, haloalkoxy, haloalkylthio, haloalkenyloxy, hydroxy-substituted alkoxy, amino-substituted alkoxy, cyano-substituted alkoxy or alkylamino;

each of R^a, R^b, R^c, R^d, R^e and R^f is independently hydrogen or alkyl;

or R^1 and R^2, or $R^2$and R^3, or $R^3$and R^4, or R^4 and R^5 may form -O-$(CH_2)_m$-O-, -$(CH_2)_{m1}$-O- or -$(CH_2)_{m2}$-, wherein each of -O-$(CH_2)_m$-O-, -$(CH_2)_{m1}$-O- and -$(CH_2)_{m2}$- is independently optionally substituted with 1, 2, 3, 4, 5 or 6 halo;

wherein each of m, m1 and m2 is independently 1, 2 or 3;

each of R^A and R^B is independently hydrogen, alkyl or haloalkyl;

each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or alkyl;

each of R^6, R^7, R^8, R^9, R^{10} and R^{11} is independently hydrogen, alkyl, haloalkyl, alkoxy or haloalkoxy;

or R^n and R^8, together with the atoms to which they are attached, form a 3-8 membered heterocycle, the 3-8 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3;

wherein each A3 is independently halo, oxo, hydroxy, cyano, nitro, alkyl, alkoxy, haloalkyl or haloalkoxy; and

n is 0, 1, 2 or 3.

[0064]. In some embodiments, R^n is C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{6-14} aryl or C_{6-14} aryl-C_{1-6} alkyl-; wherein R^n is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A1; and

each A1 is independently halo, hydroxy, cyano, nitro, amino, C_{1-6} alkyl, C_{1-6} alkoxy, halo C_{1-6} alkyl or halo C_{1-6} alkoxy.

[0065]. In some embodiments, R^m is C_{1-6} alkyl, C_{2-8} alkenyl or C_{2-8} alkynyl; wherein R^m is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A2;

each A2 is independently halo, hydroxy, cyano, nitro, amino, C_{1-6} alkyl, C_{1-6} alkoxy, halo C_{1-6} alkyl or halo C_{1-6} alkoxy.

[0066]. In some embodiments, each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^aR^b, -NR^c-C(=O)-R^d, -$NR^e(OR^f)$, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, halo C_{1-6} alkyl, halo C_{2-8} alkenyl, halo C_{2-8} alkynyl, hydroxy-substituted C_{1-6} alkyl, amino-substituted C_{1-6} alkyl, cyano-substituted C_{1-6} alkyl, C_{1-6} alkyl-SO_2-, C_{1-6} alkyl-(C=O)-, C_{1-6} alkyl-(C=O)-O-, C_{1-6} alkoxy, C_{1-6} alkoxy-(C=O)-, C_{1-6} alkylthio, C_{2-8} alkenyloxy, halo C_{1-6} alkoxy, halo C_{1-6} alkylthio, halo C_{2-8} alkenyloxy, hydroxy-substituted C_{1-6} alkoxy, amino-substituted C_{1-6} alkoxy, cyano-substituted C_{1-6} alkoxy or

C_{1-6} alkylamino; and

each of R^a, R^b, R^c, R^d, R^e and R^f is independently hydrogen or C_{1-6} alkyl.

[0067]. In some embodiments, each of R^A and R^B is independently hydrogen, C_{1-6} alkyl or halo C_{1-6} alkyl.

[0068]. In some embodiments, each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or C_{1-6} alkyl.

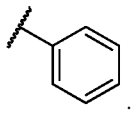
[0069]. In some embodiments, each of R^6, R^7, R^8, R^9, R^{10} and R^{11} is independently hydrogen, C_{1-4} alkyl, halo C_{1-4} alkyl, C_{1-4} alkoxy or halo C_{1-4} alkoxy.

[0070]. In other embodiments, R^n is C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{6-10} aryl or C_{6-10} aryl-C_{1-3} alkyl-; wherein R^n is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A1; and

each A1 is independently halo, hydroxy, cyano, nitro, amino, C_{1-5} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

[0071]. In still other embodiments, R^n 为 $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CH_2CF_3$, $-CF_2CHFCF_3$, $-CH(CF_3)CH_3$, $-CF(CF_3)_2$, $-CH_2CH_2$-OCH_3 or $-CH_2CH_2$-OCH_2CH_3.

[0072]. In yet other embodiments, R^n is the following sub-structure:



[0073]. In some embodiments, R^m is C_{1-4} alkyl, C_{2-4} alkenyl or C_{2-4} alkynyl; wherein R^m is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A2; and

each A2 is independently halo, hydroxy, cyano, nitro, amino, C_{1-4} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

[0074]. In other embodiments, R^m is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$ or $-CF_3$.

[0075]. In some embodiments, each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-4} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl, hydroxy-substituted C_{1-4} alkyl, amino-substituted C_{1-4} alkyl, cyano-substituted C_{1-4} alkyl, C_{1-4} alkyl-SO_2-, C_{1-4} alkyl-(C=O)-, C_{1-4} alkyl-(C=O)-O-, C_{1-4} alkoxy, C_{1-4} alkoxy-(C=O)-, C_{1-4} alkylthio, C_{2-4} alkenyloxy, halo C_{1-4} alkoxy, halo C_{1-4} alkylthio, halo C_{2-4} alkenyloxy or C_{1-4} alkylamino.

[0076]. In other embodiments, each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CF_2CHFCF_3$, $-CF(CF_3)_2$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OC(CH_3)_3$, $-OCH_2F$, $-OCF_2H$, $-OCF_3$, $-OCF_2CHF_2$, $-OCH_2CF_3$, $-OCF_2CHFCF_3$, $-OCH(CF_3)CH_3$ or $-OCF(CF_3)_2$.

[0077]. In some embodiments, each of R^A and R^B is independently hydrogen, C_{1-4} alkyl or halo C_{1-4} alkyl.

[0078]. In other embodiments, each of R^A and R^B is independently hydrogen, $-CH_3$, $-CH_2CH_3$ or $-CHF_2$.

[0079]. In some embodiments, each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or C_{1-4} alkyl.

[0080]. In other embodiments, each of R^C, R^{C1} and R^{C2} is independently hydrogen, fluoro,

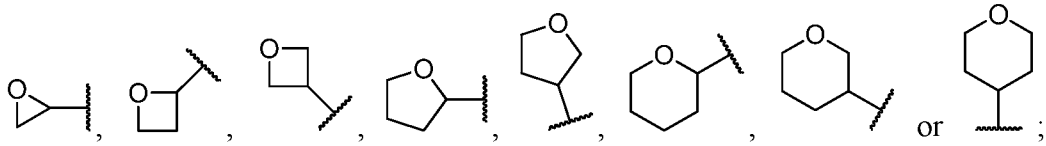
chloro, bromo, iodo or -CH_3.

[0081]. In still other embodiments, each of R^6, R^7, R^8, R^9, R^{10} and $R^{11}$is independently hydrogen, C_{1-2} alkyl or halo C_{1-2} alkyl.

[0082]. In some embodiments, R^n and R^8, together with the atoms to which they are attached, form a 3-6 membered heterocycle; the 3-6 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3; and

each A3 is independently halo, oxo, hydroxy, cyano, nitro, C_{1-4} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

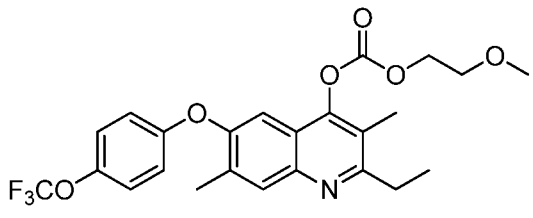
[0083]. In yet other embodiments, the 3-6 membered heterocycle formed by R^n and R^8, together with the atoms to which they are attached, is the following sub-structure:



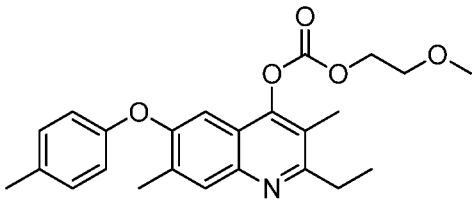
wherein the 3-6 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3; and

each A3 is independently fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, -CH_3, -CH_2CH_3, -OCH_3, -OCH_2CH_3, -CF_3 or -OCF_3.

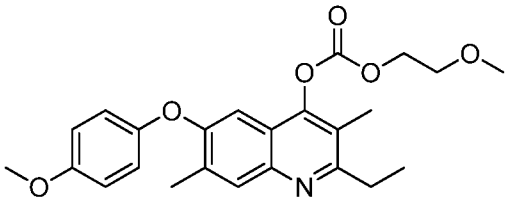
[0084]. In some embodiments, provided herein is a compound having one of the following structures or a stereoisomer, an *N*-oxide or a salt thereof:



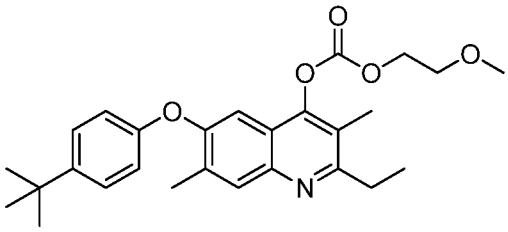
(1),



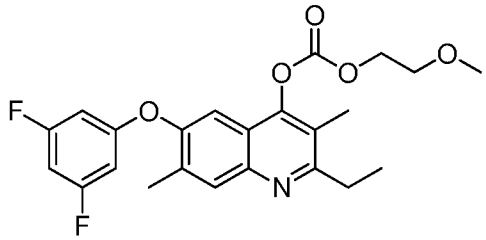
(2),



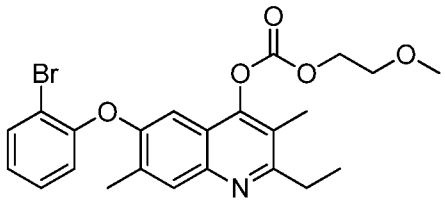
(3),



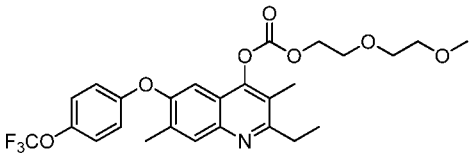
(4),



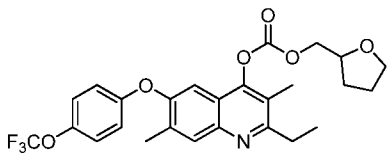
(5),



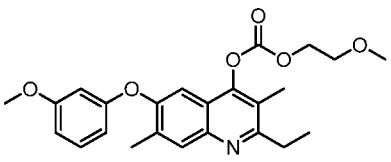
(6),



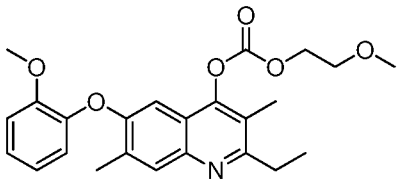
(7),



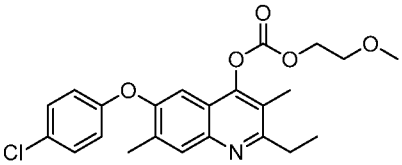
(8),



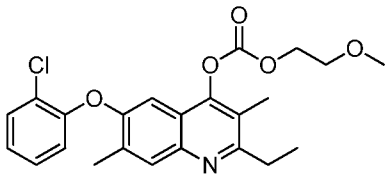
(9),



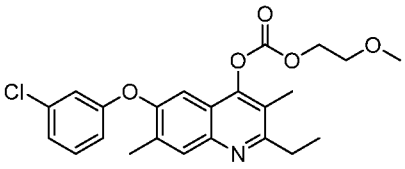
(10),



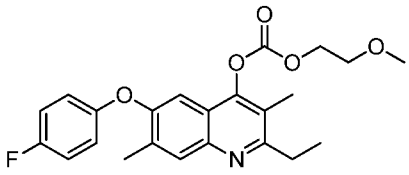
(11),



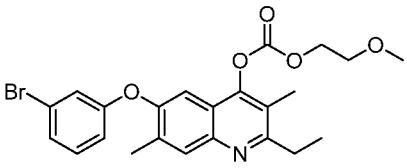
(12),



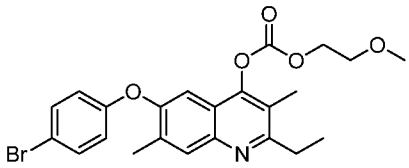
(13),



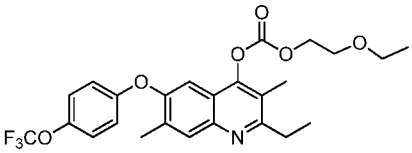
(14),



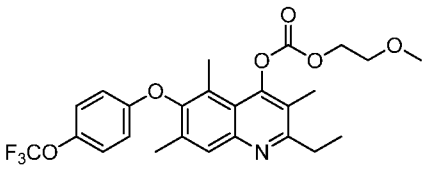
(15),



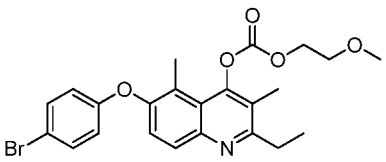
(16),



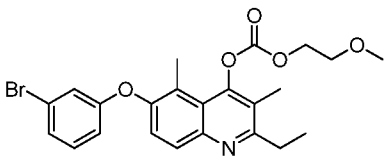
(17),



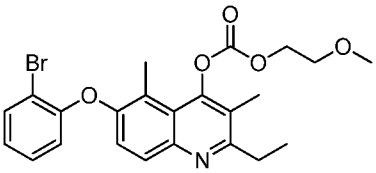
(18),



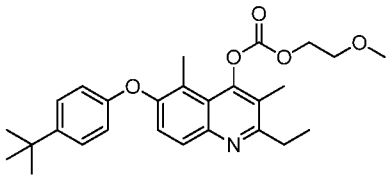
(19),



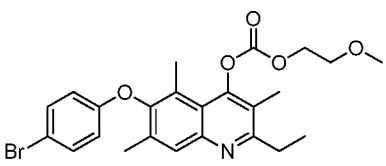
(20),



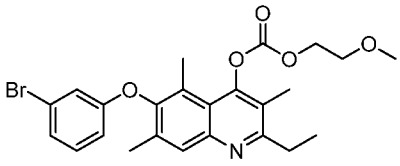
(21),



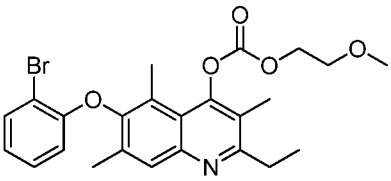
(22),



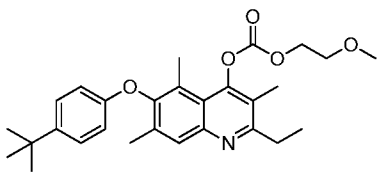
(23),



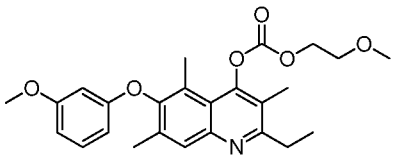
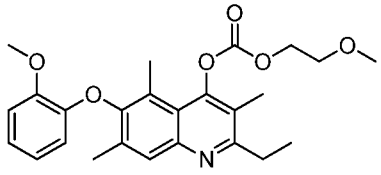
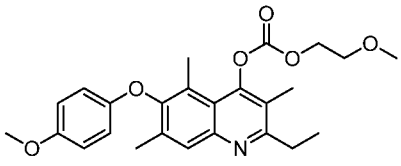
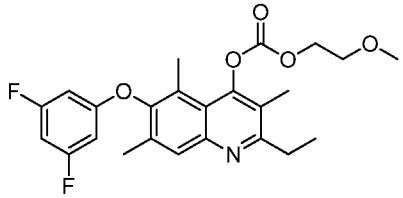
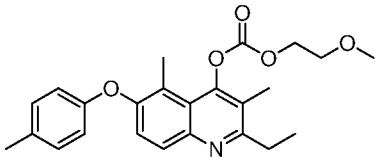
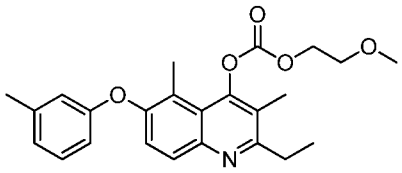
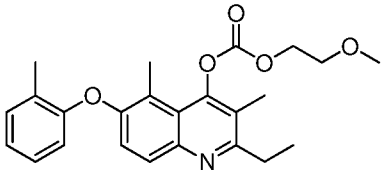
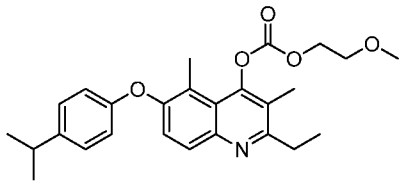
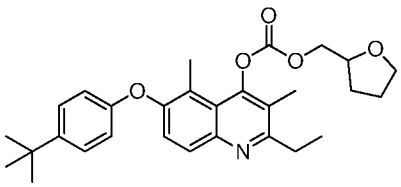
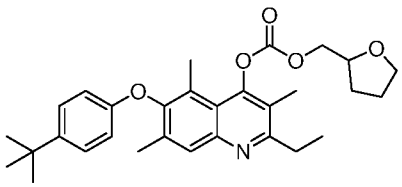
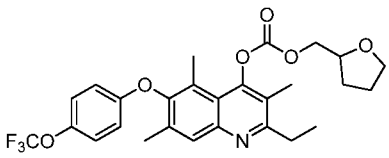
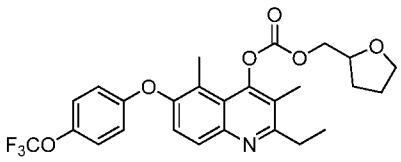
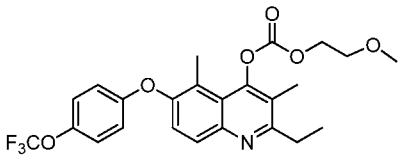
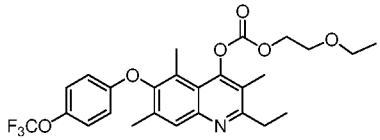
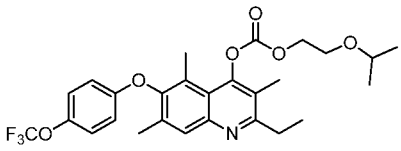
(24),



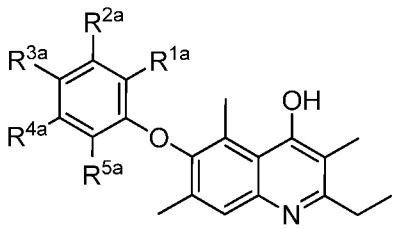
(25),



(26),


(27),

(28),

(29),

(30),

(31),

(32),

(33),

(34),

(35),

(36),

(37),

(38),

(39),

(40) or

(41).

[0085]. In other aspect, provided herein is a compound of Formula (II) or a stereoisomer, an *N*-oxide or a salt thereof:

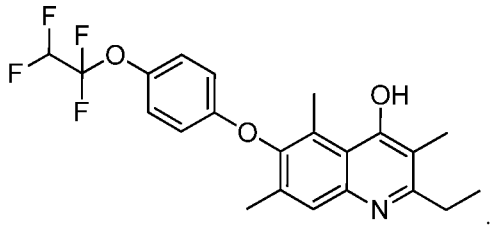

(II);

wherein

each of R^{1a}, R^{2a}, R^{3a}, R^{4a} and R^{5a} is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, halo C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, halo C_{1-4} alkoxy or halo C_{1-4} alkylthio;

with the proviso that the compound of Formula (II) is not 2-ethyl-3,5,7-trimethyl-6-(4-(1,1,2,2-tetrafluoroethoxy)phenoxy)quinolin-4-ol; wherein

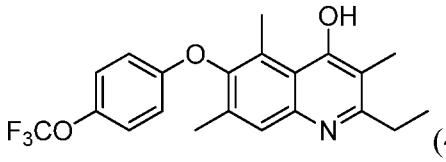
2-ethyl-3,5,7-trimethyl-6-(4-(1,1,2,2-tetrafluoroethoxy)phenoxy)quinolin-4-ol has the following structure:



[0086]. In some embodiments, each of R^{1a}, R^{2a}, R^{3a}, R^{4a} and R^{5a} is independently hydrogen, fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CF_2CHFCF_3$, $-CF(CF_3)_2$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OC(CH_3)_3$, $-OCH_2F$, $-OCF_2H$, $-OCF_3$, $-OCH_2CF_3$, $-OCF_2CHF_2$, $-OCF_2CHFCF_3$, $-OCH(CF_3)CH_3$ or $-OCF(CF_3)_2$;

with the proviso that when R^{1a}, R^{2a}, R^{4a} and R^{5a} are hydrogen, R^{3a} is not $-OCF_2CHF_2$.

[0087]. In some embodiments, provided herein is a compound having the following structure or a stereoisomer, an *N*-oxide or a salt thereof:



(42).

[0088]. In other aspect, provided herein is a composition containing the compound of the invention, wherein the composition further comprises an agriculturally acceptable surfactant and/or carrier.

[0089]. In other aspect, the invention provides use of the compound or the composition described herein for controlling pests.

[0090]. Further, the pests of the invention include Diamondback moth (*Plutella xylostella*), Tobacco Cutworm (*Prodenia litura*), Beet Armyworm (,*Spodoptera exigua Hü bner*), Armyworm (*Mythimna separata*), Frankliniella occidentalis (*Pergande*), Brown rice planthopper (*Nilaparvata lugens*), Carmine Spider Mite (*Tetranychus cinnabarinus*) and/or aphis medicaginis (*Aphis craccivora Koch*), *etc.*

COMPOSITIONS AND FORMULATIONS OF THE COMPOUNDS OF THE INVENTION

[0091]. The compound of the present invention usually can be used as an active ingredient of pesticides in a composition, *i.e.* in a formulation typically also comprising an agriculturally acceptable surfactant and/or carrier.

[0092]. The above surfactant may be various surfactants as known in the field of pesticide formulations, such as anionic surfactants, cationic surfactants, nonionic surfactants and amphoteric surfactants, block polymers, polyelectrolytes and their mixtures. These surfactants may be used as emulsifiers, dispersants, wetting agents, penetration enhancers or adjuvants.

[0093]. Suitable anionic surfactants are alkali, alkaline earth or ammonium salts of sulfonates, sulfates, phosphates, carboxylates, and mixtures thereof. Examples of sulfonates are alkylarylsulfonates, diphenylsulfonates, alpha-olefin sulfonates, lignine sulfonates, sulfonates of fatty acids and oils, sulfonates of ethoxylated alkylphenols, sulfonates of alkoxylated arylphenols, sulfonates of condensed naphthalenes, sulfonates of dodecyl- and tridecylbenzenes, sulfonates of naphthalenes and alkylnaphthalenes, sulfosuccinates or sulfosuccinamates. Examples of sulfates are sulfates of fatty acids and oils, of ethoxylated alkylphenols, of alcohols, of ethoxylated alcohols, or of fatty acid esters. Examples of phosphates are phosphate esters. Examples of carboxylates are alkyl carboxylates and carboxylated alcohol or alkylphenol ethoxylates.

[0094]. Suitable nonionic surfactants are alkoxylates, N-subsituted fatty acid amides, amine oxides, esters, sugar-based surfactants, polymeric surfactants, and mixtures thereof. Examples of alkoxylates are compounds such as alcohols, alkylphenols, amines, amides, arylphenols, fatty acids or fatty acid esters which have been alkoxylated with 1 to 50 equivalents. Ethylene oxide and/or propylene oxide may be employed for the alkoxylation, preferably ethylene oxide. Examples of *N*-subsititued fatty acid amides are fatty acid glucamides or fatty acid alkanolamides. Examples of esters are fatty acid esters, glycerol esters or monoglycerides. Examples of sugar-based surfactants are sorbitans, ethoxylated sorbitans, sucrose and glucose esters or alkylpolyglucosides. Examples of polymeric surfactants are homo- or copolymers of vinylpyrrolidone, vinylalcohols, or vinylacetate.

[0095]. Suitable cationic surfactants are quaternary surfactants, for example quaternary ammonium compounds with one or two hydrophobic groups, or salts of long-chain primary amines. Suitable amphoteric surfactants are alkylbetains and imidazolines. Suitable block polymers are block polymers of the A-B or A-B-A type comprising blocks of polyethylene oxide and polypropylene oxide, or of the A-B-C type comprising alkanol, polyethylene oxide and polypropylene oxide. Suitable polyelectrolytes are polyacids or polybases. Examples of polyacids are alkali salts of polyacrylic acid or polyacid comb polymers. Examples of polybases are polyvinylamines or polyethyleneamines.

[0096]. The above carriers may be various carriers known in the field of pesticide formulations and comprise silicates, carbonates, sulfates, oxides, phosphates, plant carriers and synthetic carriers. Specifically, such as one or more of white carbon, kaolin, diatomite, clay, talc, organic bentonite, pumice stone, titanium dioxide, dextrin, cellulose powder, light calcium carbonate, soluble starch, corn starch, sawdust powder, urea, amine fertilizer, a mixture of urea and amine fertilizer, glucose, maltose, sucrose, anhydrous potassium carbonate, anhydrous sodium carbonate, anhydrous potassium bicarbonate, anhydrous sodium bicarbonate, attapulgite, a mixture of anhydrous potassium carbonate and anhydrous potassium bicarbonate, and a mixture of anhydrous sodium carbonate and anhydrous sodium bicarbonate.

[0097]. According to the present invention, the pesticide composition may also contain various formulation auxiliaries commonly used in the field of pesticide formulations. Specifically, the formulation auxiliaries may be one or more of solvents, additives, thickeners, antifreezes, capsule materials, protective agents, defoamers, disintegrants, stabilizers, preservatives, adhesives and chelating agents.

[0098]. Suitable solvents are water and organic solvents such as mineral oil fractions with medium to high boiling point, for example kerosene, diesel oil; oils of vegetable or animal origin; aliphatic, cyclic and aromatic hydrocarbons, for example toluene, paraffin, tetrahydro-naphthalene, alkylated naphthalenes; alcohols, for example ethanol, propanol, butanol, benzyl alcohol, cyclohexanol; glycols; DMSO; ketones, for example cyclohexanone; esters, for example

lactate ester, carbonates, fatty acid esters, γ-butyrolactone; fatty acids; phosphonates; amines; amides, for example N-methylpyrrolidone, fatty acid dimethylamide; and mixtures thereof.

[0099]. The above solvents may also be used as cosolvents.

[00100]. Suitable thickeners are selected from polysaccharides (such as xanthan gum, carboxymethyl cellulose), inorganic clays (organic modified or unmodified), polycarboxylates and silicates.

[00101]. Suitable antifreezes are selected from ethylene glycol, propylene glycol, glycerol, urea, glycerol and mixtures thereof.

[00102]. Suitable capsule materials are selected from polyurethane, polyurea, urea-formaldehyde resin and mixtures thereof.

[00103]. Suitable protective agents are selected from polyvinyl alcohol and/or polyethylene glycol.

[00104]. Suitable defoamers are selected from polysiloxane, silicone emulsion, long chain alcohols, fatty acids and their salts, and fluorinated organic compounds and mixtures thereof.

[00105]. Suitable disintegrating agents are selected from bentonite, urea, ammonium sulfate, aluminium chloride, citric acid, succinic acid, sodium bicarbonate and mixtures thereof.

[00106]. Suitable stabilizers are selected from triphenyl phosphite, epichlorohydrin, acetic anhydride and mixtures thereof.

[00107]. Suitable preservatives are selected from benzoic acid, sodium benzoate, 1,2-benzoisothiazoline-3-one (BITf for short), casson, potassium sorbate and mixtures thereof.

[00108]. Suitable adhesive are selected from polyvinylpyrrolidone, polyvinyl acetate, polyvinyl alcohol, polyacrylate, biowax or synthetic wax and cellulose ether.

[00109]. The pesticides of the invention may be applied in the form of their formulations or the use forms prepared therefrom; the use forms are such as aerosol, capsule suspension, cold-fogging concentrate, hot fogging concentrate, encapsulating granules, fine granules, flowable concentrate for seed treatment, ready-to-use solution, dustable powder, emulsifiable concentrates, oil in water emulsions, water in oil emulsions, large granules, micro granules, oil dispersible powder, oil miscible flowable concentrates, oil miscible liquids, gas agents (under pressure), gas generant products, foaming agents, paste, suspension concentrate, suspoemulsion concentrate, soluble concentrates, suspensions, wettable powder, soluble powder, powder and granules, water-soluble and water-dispersible granules or tablets, water-soluble or water-dispersible powders for seed treatment, wettable powders, natural products impregnated with active substances and synthetic substances, microcapsules in polymers and seed coating materials, and ULV (ultra low volume) cold and hot fogging formulations.

[00110]. The formulation may optionally include compositions containing other pesticide compounds. The compounds of the invention can be combined with other pesticides, including insecticides, nematocides, acaricides, arthropodicides or combinations thereof. The other pesticides are compatible with the compounds of the invention in the medium selected for application and do not antagonize the activities of the compounds of the invention to form pesticide mixtures and their synergistic mixtures.

[00111]. In addition, the agricultural chemical compounds may also be fungicide, herbicide, bactericide, attractant, growth regulator, fertilizer, safety agent, sterilant or combinations thereof, in which the agricultural chemical compound is compatible with the compound of the invention in the medium selected for application and does not antagonize the activity of the compound of the invention. Therefore, in the embodiment, the other agricultural chemical compounds are used as additive toxicants for the same or different purposes.

[00112]. The composition of the compound of the invention can be used to treat the plant and plant parts directly, or be used by the conventional treatment method acting on the surrounding environment, habitat or storage space around the plant and plant parts, and the conventional treatment method is, for example, coating one or more layers on the plant reproductive materials, especially for seeds through dipping, spraying, misting, irrigation, evaporation, powder spreading, atomizing, sowing, foaming, spreading, coating, watering,dripping, also through a dry seed treatment, wet seed treatment, slurry treatment, crusting, *etc.* The active substance can also be effectively utilized by an ultra-low volume method or by injecting the compound formulation of the invention or the compound into the soil.

USE OF THE COMPOUNDS AND PHARMACEUTICAL COMPOSITIONS

[00113]. The present invention relates to non-restrictive examples of pests that are controlled or prevented to attack useful plants, including:

[00114]. Hemiptera: Delphacidae such as Nilaparvata lugens, Laodelphax striatellus; Deltocephalidaesuch as Nephotettix cincticeps; Aphididae such as Aphis gossypii, Aphis craccivora Koch; Pentatomidae such as Nezara antennata; Aleyrodidae such as Trialeurodes vaporariorum; Coccidae such as Calformia red scale(Aonidiella aurantii); Tingidae; Homoptera(Psyllidea);

[00115]. Lepidoptera: Pyralidae such as Chilo suppressalis; Noctuidae such as Spodoptera litura,Pseudaletia separata, Heliothis spp. and Helicoverpa spp.; Pieridae such as Pieris rapae; Tortricidae such as Adoxophyes; Gracillariidae such as Caloptilia theivora and Phyllonorycter ringoneella; Carposinidae such as Carposina niponensis; Lyonetiidae such as Lyonetia spp.; Lymantriidae such as Lymantria spp. and Euproctis spp.; Yponomeutidae such as Plutella xylostella; Gelechiidae such as Pectinophora gossypiella and Phthorimaea operculella; Arctiidae such as Hyphantria cunea; and Tineidae such as Tineatranslucens and Tineola bisselliella;

[00116]. Thysanoptera: Frankliniella occidentalis, Thrips palmi, Scirtothrips dorsalis, Thrips tabaci, Frankliniella intonsa and Frankliniella fusca;

[00117]. Diptera: Musca domestica, Culex popiens pallens, Tabanus trigonus, Hylemya anitqua, Hylemya platura, Anopheles sinensis, Agromyza oryzae, Hydrellia griseola, Chlorops oryzae, Dacus cucurbitae, Ceratitis capitata and Liriomyza trifolii;

[00118]. Coleoptera: Epilachna vigintioctopunctata, Phyllotreta striolata, Oulema oryzae, Echinocnemus squameus, Lissorhoptrus oryzophilus, Anthonomus grandis, Callosobruchus chinensis, Sphenophorus venatus, Popillia japonica, Anomala cuprea, Diabrotica spp., Leptinotarsa decemlineata, Agriotes spp., Lasioderma serricorne, Anthrenus verbasci, Tribolium castaneum, Lyctus brunneus, Anoplophora malasiaca and Tomicus piniperda;

[00119]. Orthoptera: Locusta migratoria, Gryllotalpa afficana, Oxya yezoensis and Oxya japanica;

[00120]. Hymenoptera: Athalia rosae, Acromyrmex spp. and Solenopsis spp.;

[00121]. Nematodes: Aphelenchoides besseyi, Nothotylenchus acris, Heterodera glycines, Meloidogyne incognita, Pratylenchus penetrans and Nacobbus aberrans;

[00122]. Blattariae: Blattella germanica, Periplaneta fuliginosa, Periplaneta Americana, Periplaneta brunnea and Blatta orientalis;

[00123]. Acarina: Tetranychidae (such as Tetranychus cinnabarinus, Tetranychusurticae, Panonychus citri and Oligonychus spp.); Eriophyidae (such as Aculops pelekassi); Tarsonemidae; Tenuipalpidae; Tuckerellidae; Tuckerellidae Acaridae; Pyroglyphidae (such as Dermatophagoides farinae and Dermatophagoides ptrenyssnus); Cheyletidae, Cheyletus

malaccensis and Cheyletus moorei; and Dermanyssidae.

[00124]. Within the scope of the invention, useful plants include the following plant species: grain (wheat, barley, rye, oat, rice, corn, sorghum and related species); beet (Beta vulgaris and mangelwurzel); pome, drupe and soft fruit (apple, pear, plum, peach, almond, cherry, strawberry, raspberry and blackberry); leguminous plants (lentils, beans, peas, peas, soybeans); oil crops (rape, mustard, olive, sunflower, coconut, castor oil plant, cocoa bean, peanut or soybean); melon plants (pumpkin, cucumber, melon); fiber plants (cotton, flax, hemp, jute); citrus fruits (orange, lemon, grapefruit, citrus); vegetables (spinach, lettuce, asparagus, broccoli, carrot, onion, tomato, potato, cayenne pepper); laurel plants (avocado, cinnamomum, camphor) or plants, such as tobacco, nuts, coffee, eggplant, sugar cane, tea, pepper, grapevine, hops, bananas and natural rubber plants, and turf, ornamental and forest plants, such as flowers, shrubs, broad-leaved or evergreen trees, such as conifers, and plant reproductive materials.

[00125]. The term "plant reproductive material" should be understood to denote the reproductive parts of the plant, such as seeds, which can be used for the reproduction of the plant, as well as nutritive reproductive materials such as cuttings or tubers (*e.g.* potatoes).

[00126]. The compound or composition of the present invention can kill pests through an active substance at an effective amount. Therefore, the invention also relates to a method of controlling pests, the method comprises applying the active ingredients or compositions of the invention to seeds, plants or plant parts, fruits, or soil where plants grow. The application can be carried out before and/or after the infestation of pests on seeds, plant or plant parts, fruits or soil where plants grow.

[00127]. The term "effective amount" refers to an amount of the compound or composition of the invention that is sufficient to control pests on cultivated plants or in material protection without causing significant damage to treated plants. The amount can vary over a wide range depending on various factors such as pest species, treated cultivated plants or materials, climatic conditions and the specific used compounds.

[00128]. The compound or composition of the invention has a simple use method, and the compound or composition of the invention is applied to the pest or its growth medium. The application dose of the compound or composition of the invention varies according to weather conditions, dosage forms, application timing, application method, application area, target diseases, target crops, *etc.*

GENERAL SYNTHETIC PROCEDURES

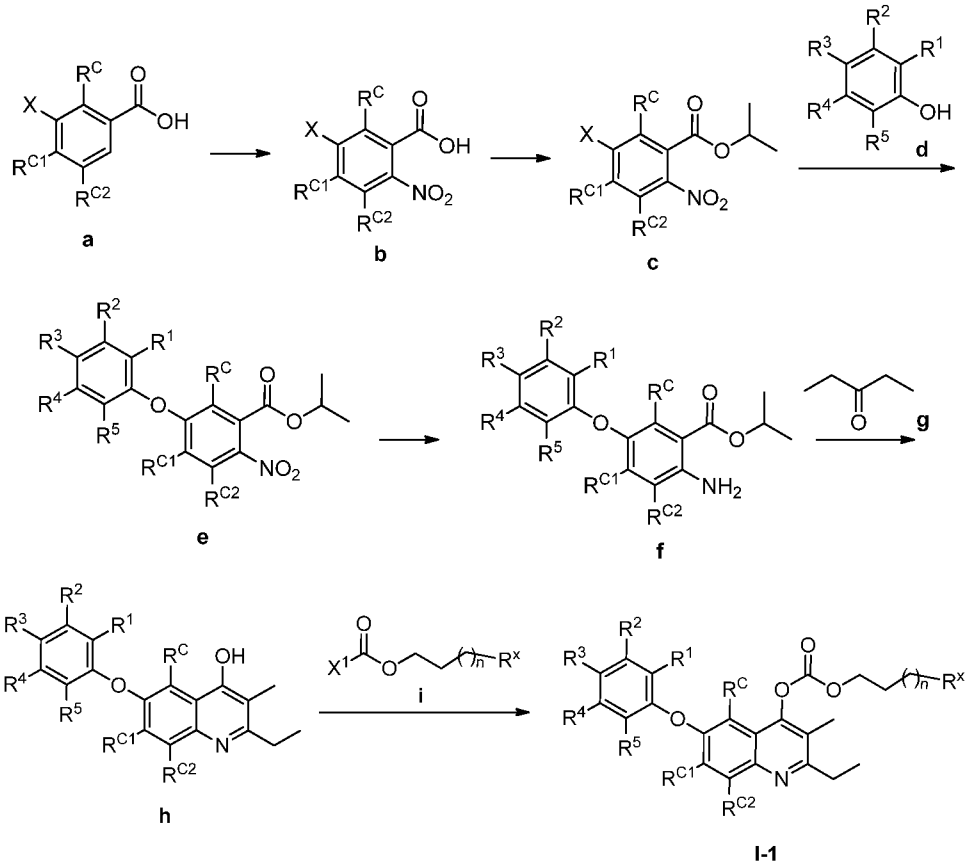
[00129]. In the present invention, if the chemical name of the compound doesn't match the corresponding structure, the compound is characterized by the corresponding structure. Generally, the compounds disclosed herein may be prepared by methods described herein, wherein the substituents are as defined for Formula (I) above, except where further noted.

[00130]. Persons skilled in the art will recognize that the chemical reactions described may be readily adapted to prepare a number of other compounds disclosed herein, and alternative methods for preparing the compounds disclosed herein are deemed to be within the scope disclosed herein. For example, the synthesis of non-exemplified compounds according to the invention may be successfully performed by modifications apparent to those skilled in the art, *e.g.*, by appropriately protecting interfering groups, by utilizing other suitable reagents known in the art other than those described, and/or by making routine modifications of reaction conditions. Alternatively, other reactions disclosed herein or known in the art will be recognized as having applicability for preparing other compounds disclosed herein.

[00131]. The following schemes describe the preparation procedure of the compound disclosed herein.

SCHEMES

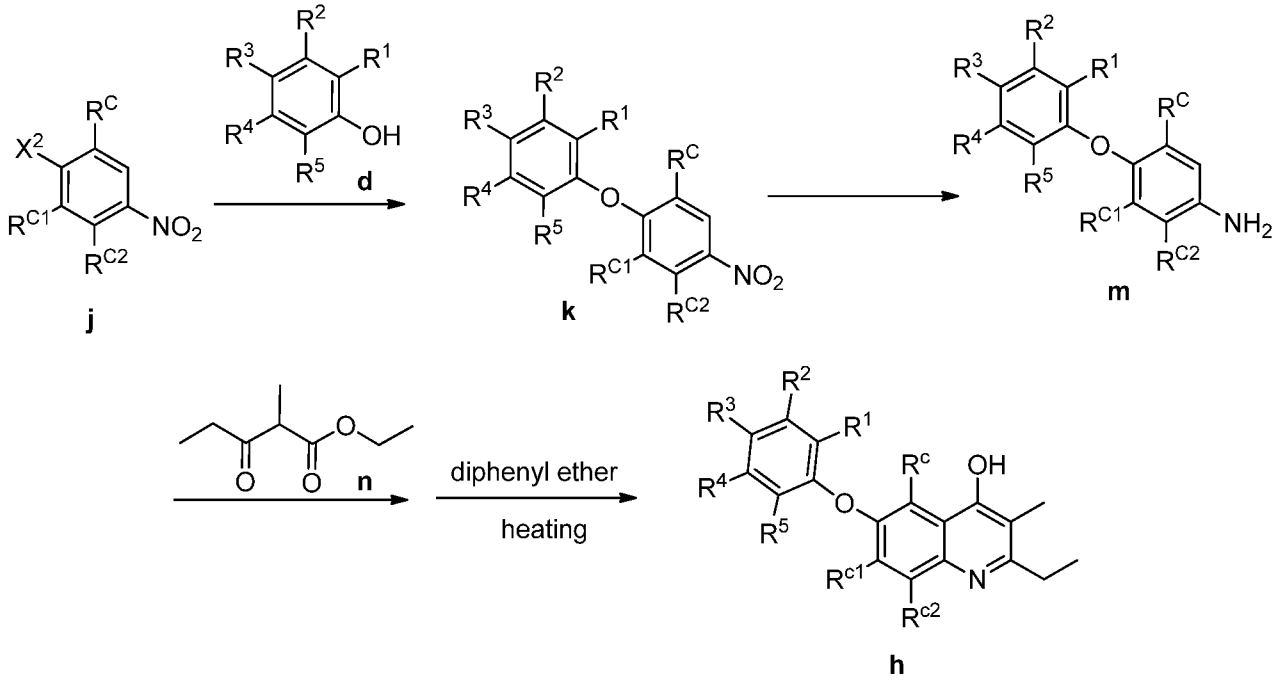
Scheme one



[00132]. Compound **I-1** disclosed herein can be prepared by the process illustrated in scheme one. Compound **a** can be converted to compound **b** through a nitrification reaction; compound **b** can be reacted with isopropanol by an esterification reaction to form compound **c**; compound **c** can be reacted with compound **d** under a base (such as sodium hydroxide, sodium hydride, potassium carbonate, *etc.*) by a substitution reaction to form compound **e**; compound **e** can be reduced under a reducer (such as iron powder, hydrogen, *etc.*) by a reduction reaction to form compound **f**; compound **f** can be reacted with compound **g** in the present of a Lewis acid (such as Zinc chloride, aluminium chloride, *etc.*) by a quinoline cyclization reaction to form compound **h**; compound **h** can be reacted with compound **i** under a base (such as pyridine, sodium hydride, triethylamine, *etc.*) by an esterification reaction to form target compound **I-1**;

[00133]. Wherein R^1, R^2, R^3, R^4, R^5, R^C, R^{C1}, R^{C2}, R^x and n have the definitions as described herein; each X and X^1 is independently halo.

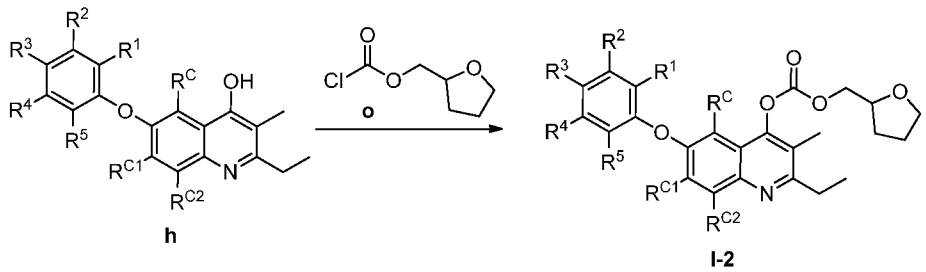
Scheme two



[00134]. Compound **h** can be prepared by the process illustrated in scheme two. Compound **j** can be reacted with compound **d** under a base (such as sodium hydroxide, sodium hydride, potassium carbonate, *etc.*) by a substitution reaction to form compound **k**; compound **k** can be reduced under a reducer (such as iron powder, hydrogen, *etc.*) by a reduction reaction to form compound **m**; compound **m** can be reacted with compound **n** to form a compound, the compound can be converted to compound **h** under heating (temperature 200-280 °C) in diphenyl ether system;

[00135]. Wherein R^1, R^2, R^3, R^4, R^5, R^C, R^{C1} and R^{C2} have the definitions as described herein; X^2 is halo.

Scheme three



[00136]. Target compound **I-2** can be prepared by the process illustrated in scheme three. Compound **h** can be reacted with compound **o** under a base (such as pyridine, sodium hydride, triethylamine, *etc.*) to form target compound **I-2**;

[00137]. Wherein R^1, R^2, R^3, R^4, R^5, R^C, R^{C1} and R^{C2} have the definitions as described herein.

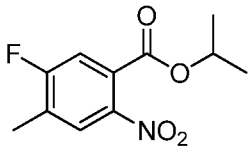
SPECIFIC EMBODIMENTS

[00138]. The following examples are used for illustrating the invention, but cannot be construed to limit the scope of the invention.

Examples

[00139]. Using parts of the compounds of the invention as examples, the preparations of the compounds of the present invention have been described in detail in the following examples.

Intermediate O: synthesis of isopropyl 5-fluoro-4-methyl-2-nitrobenzoate



Step 1: synthesis of 5-fluoro-4-methyl-2-nitrobenzoic acid

[00140]. Nitric acid (20 mL, 65%) and sulfuric acid (50 mL, 98%) were added into a 250 mL round-bottom flask, the flask was cooled to -10 °C in an ice-salt bath, a solution of 3-fluoro-4-methylbenzoic acid (15.00 g, 97.4 mmol) in concentrated sulfuric acid (50 mL) was added dropwise slowly to the above mixed acid, the reaction system temperature was controlled between -10 and 0 °C. After the addition, the ice-salt bath was removed, the mixture was stirred at 25 °C for 2.5 hours. The crude product was poured into ice water, and the precipitate was filtered off and dried, and then recrystallized from toluene to give a white solid (15.91 g, 82.1%).

LC-MS: (M+1) *m/z* = 200.0.

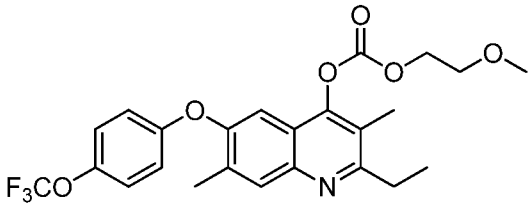
Step 2: synthesis of isopropyl 5-fluoro-4-methyl-2-nitrobenzoate

[00141]. 5-Fluoro-4-methyl-2-nitrobenzoic acid (10.0 g, 50.2 mmol) was dissolved in isopropanol (60 mL), and then concentrated sulfuric acid (10 mL, 98%) was added at 0 °C slowly. After the addition, the mixture was heated to 105 °C and refluxed for 20 hours. The excess isopropanol was removed under vacuum using a rotary evaporator, the resulting mixture was extracted with ethyl acetate (60 mL x 3), the organic layers were combined and washed with water (30 mL) and saturated aqueous NaCl (30 mL), and then dried over anhydrous magnesium sulfate and concentrated using a rotary evaporator to give a red liquid (9.63 g, 79.6%).

^{1}H NMR(400MHz, $CDCl_3$) δ (ppm): 7.81 (d, 1H), 7.33 (d, 1H), 5.26 (m, 1H), 2.39 (s, 3H), 1.35 (d, 6H);

LC-MS: (M+1) *m/z* = 242.2.

Example 1: synthesis of 2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl (2-methoxyethyl)carbonate



(1)

Step 1: Synthesis of isopropyl 4-methyl-2-nitro-5-(4-(trifluoromethoxy)phenoxy)benzoate

[00142]. Isopropyl 5-fluoro-4-methyl-2-nitrobenzoate (9.60 g, 39.8 mmol), *p*-trifluoromethoxy phenol (7.12 g, 40.0 mmol) and potassium carbonate (5.52 g, 40.0 mmol) were added into a 250 mL round-bottomed flask, and then *N*,*N*-dimethylformamide (100 mL) was added, the mixture was heated to 80 °C quickly and stirred for 18 hours under N_2. To the mixture was added ice-water (100 mL), the resulting mixture was extracted with ethyl acetate (60 mL x 3), the organic layers were combined and washed with saturated aqueous sodium bicarbonate solution (50 mL x 3), dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator to give a yellow oil (12.0 g, 75.6%).

LC-MS: (M+1) *m/z* = 400.3.

Step 2: synthesis of isopropyl 2-amino-4-methyl-5-(4-(trifluoromethoxy)phenoxy)benzoate

[00143]. Under N_2, iron powder (5.60 g, 100.0 mmol), ethanol (100 mL), water (20 mL) and hydrochloric acid (1.0 mL, 35%) were added into a 500 mL round-bottomed flask, the mixture was heated to 90 °C and stirred, a solution of isopropyl 4-methyl-2-nitro-5-(4-(trifluoromethoxy)phenoxy)benzoate (12.0 g, 30.0 mmol) in ethanol (100 mL) was added dropwise slowly. After the addition, the mixture was stirred at 90 °C for 12 hours. The solid impurities were removed by filtration and the filtrate was concentrated using a rotary evaporator, the residue was diluted with ethyl acetate (150 mL), and the resulting solution was washed with brine (30 mL x 3), dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator, the residue was purified on a silica gel column [PE/EtOAc(v/v)= 10/1] to give a yellow oil (10.5 g, 94.6%).

^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 7.60-7.51 (m, 1H), 7.25-7.15 (m, 2H), 6.91-6.80 (m, 2H), 6.20 (s, 1H), 5.69 (s, 2H), 5.21 (m, 1H), 2.11 (s, 3H), 1.35 (d, 6H);

^{19}F NMR(100 MHz, $CDCl_3$) δ (ppm): -58.4;

LC-MS: (M+1) m/z = 370.3.

Step 3: synthesis of 2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol

[00144]. Under N_2, isopropyl 2-amino-4-methyl-5-(4-(trifluoromethoxy)phenoxy)benzoate (10.0 g, 27.1 mmol), 3-pentone (4.3 g, 50.0 mmol), zinc chloride (6.82 g, 50.0 mmol) and xylene (100 mL) were added into a 500 mL round-bottomed flask, the mixture was refluxed at 160 °C for 18 hours. After the reaction was completed, the xylene was removed using a rotary evaporator to obtain a yellowish-brown viscous substance, the viscous substance was cooled to 25°C and diluted with water (50 mL) and ethyl acetate (50 mL), the mixture was stirred to form suspension and filtered to give a gray white solid (6.76 g, 66.2%).

^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 11.4 (s, 1H), 7.51 (s, 1H), 7.28 (s, 1H), 7.22 (m, 2H), 7.01 (m, 2H), 2.72 (m, 2H), 2.31 (s, 3H), 2.03 (s, 3H), 1.25 (m, 3H);

LC-MS: (M+1) m/z = 378.1.

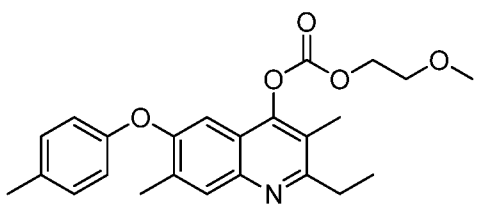
Step 4: synthesis of 2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl (2-methoxyethyl)carbonate

[00145]. Under N_2, 2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol (0.600 g, 1.6 mmol), triethylamine (1.0 mL, 7.2 mmol) and dichloromethane (10 mL) were added into a 50 mL round-bottomed flask, the mixture was cooled to 0 °C in an ice-salt bath, and then ethyl 2-methoxychloroformate (0.28 g, 2.0 mmol) was added, the resulting mixture was stirred for 12 hours. The solvent was removed using a rotary evaporator, the residue was diluted with water (30 mL) and the resulting mixture was extracted with ethyl acetate (30 mL), the organic layer was dried over magnesium sulfate and concentrated using a rotary evaporator to give a yellow liquid (0.633 g, 82.7%).

^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 7.96 (s, 1H), 7.22 (s, 1H),7.19 (d, 2H), 6.99 (m, 2H), 4.40 (m, 2H), 3.65 (m, 2H), 3.39 (s, 3H), 3.02 (q, 2H), 2.42 (s, 3H), 2.33 (s, 3H), 1.39 (t, 3H);

LC-MS: (M+1) m/z = 480.1.

Example 2: Synthesis of 2-ethyl-3,7-dimethyl-6-(*p*-tolyloxy)quinolin-4-yl (2-methoxyethyl) carbonate



(2)

Step 1: synthesis of isopropyl 4-methyl-2-nitro-5-(*p*-tolyloxy)benzoate

[00146]. Isopropyl 5-fluoro-4-methyl-2-nitrobenzoate (9.60 g, 39.8 mmol), *p*-methylphenol (7.12 g, 66.0 mmol) and potassium carbonate (5.52 g, 40.0 mmol) were added into a 250 mL round-bottomed flask, and then *N*,*N*-dimethylformamide (100 mL) was added, the mixture was heated to 80 °C quickly and stirred for 18 hours under N_2. To the mixture was added ice-water (100 mL), the resulting mixture was extracted with ethyl acetate (60 mL x 3), the organic layers were combined and washed with saturated aqueous sodium bicarbonate solution (50 mL x 3), dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator to give a yellow oil (11.2 g, 86.1%).

LC-MS: (M+1) *m/z* = 330.1.

Step 2: Synthesis of isopropyl 2-amino-4-methyl-5-(*p*-tolyloxy)benzoate

[00147]. Under N_2, iron powder (5.60 g, 100.0 mmol), ethanol (100 mL), water (20 mL) and hydrochloric acid (1.0 mL, 35%) were added into a 500 mL round-bottomed flask, the mixture was heated to 90 °C and stirred, a solution of isopropyl 4-methyl-2-nitro-5-(*p*-methylphenoxy)benzoate (10.5 g, 36.4 mmol) in ethanol (100 mL) was added dropwise slowly. After the addition, the mixture was stirred at 90 °C for 12 hours. The solid impurities were removed by filtration and the filtrate was concentrated using a rotary evaporator, the residue was diluted with ethyl acetate (150 mL), and the resulting solution was washed with brine (30 mL x 3), the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator, the residue was purified on a silica gel column [PE/EtOAc(v/v)= 10/1] to give a yellow oil (9.3 g, 96.8%).

LC-MS: (M+1) *m/z* = 300.1.

Step 3: synthesis of 2-ethyl-3,7-dimethyl-6-(*p*-tolyloxy)quinolin-4-ol

[00148]. Under N_2, isopropyl 2-amino-4-methyl-5-(*p*-methylphenoxy)benzoate (9.0 g, 33.4 mmol), 3-pentone (4.3 g, 50.0 mmol), zinc chloride (6.82 g, 50.0 mmol) and xylene (100 mL) were added into a 500 mL round-bottomed flask, the mixture was refluxed at 160 °C for 18 hours. After the reaction was completed, the xylene was removed using a rotary evaporator to obtain a yellowish-brown viscous substance, the viscous substance was cooled to 25°C and diluted with water (50 mL) and ethyl acetate (50 mL), the mixture was stirred to form suspension and filtered to give a gray white solid (5.46 g, 59.1%).

LC-MS: (M+1) *m/z* = 308.1.

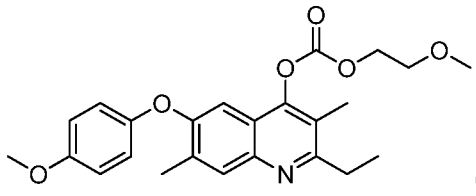
Step 4: synthesis of 2-ethyl-3,7-dimethyl-6-(p-tolyloxy)quinolin-4-yl (2-methoxyethyl) carbonate

[00149]. Under N_2, 2-ethyl-3,7-dimethyl-6-(*p*-methylphenoxy)quinolin-4-ol (0.95 g, 1.9 mmol), triethylamine (1.0 mL, 7.2 mmol) and dichloromethane (10 mL) were added into a 50 mL round-bottomed flask, the mixture was cooled to 0 °C in an ice-salt bath, and then ethyl 2-methoxychloroformate (0.28 g, 2.0 mmol) was added, the resulting mixture was stirred for 12 hours. The solvent was removed using a rotary evaporator, the residue was diluted with water (30 mL) and the resulting mixture was extracted with ethyl acetate (30 mL), the organic layer was dried over magnesium sulfate and concentrated using a rotary evaporator to give a yellow liquid (0.843 g, 66.9%).

^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 7.93 (s, 1H), 7.28 (s, 1H), 7.14 (d, 2H), 6.88 (m, 2H), 4.38 (t, 2H), 3.63 (t, 2H), 3.39 (s, 3H), 3.02 (q, 2H), 2.44 (s, 3H), 2.35 (s, 3H), 2.32 (s, 3H), 1.36 (t, 3H);

LC-MS: (M+1) *m/z* = 410.1.

Example 3: synthesis of 2-ethyl-6-(4-methoxyphenoxy)-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate



(3)

Step 1: synthesis of isopropyl 5-(4-methoxyphenoxy)-4-methyl-2-nitrobenzoate

[00150]. Isopropyl 5-fluoro-4-methyl-2-nitrobenzoate (9.60 g, 39.8 mmol), *p*-methylphenol (7.12 g, 57.4 mmol) and potassium carbonate (5.52 g, 40.0 mmol) were added into a 250 mL round-bottomed flask, and then *N*,*N*-dimethylformamide (100 mL) was added, the mixture was heated to 80 °C quickly and stirred for 18 hours under N_2. To the mixture was added ice-water (100 mL), the resulting mixture was extracted with ethyl acetate (60 mL x 3), the organic layers were washed with saturated aqueous sodium bicarbonate solution (50 mL x 3), and then the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator to give a yellow oil (12.8 g, 92.7%).

LC-MS: (M+1) *m/z* = 346.1.

Step 2: Synthesis of isopropyl 2-amino-5-(4-methoxyphenoxy)-4-methylbenzoate

[00151]. Under N_2, iron powder (5.60 g, 100.0 mmol), ethanol (100 mL), water (20 mL) and hydrochloric acid (1.0 mL, 35%) were added into a 500 mL round-bottomed flask, the mixture was heated to 90 °C and stirred, a solution of isopropyl 5-(4-methoxyphenoxy)-4-methyl-2-nitrobenzoate (12.5 g, 30.0 mmol) in ethanol (100 mL) was added dropwise slowly. After the addition, the mixture was stirred at 90 °C for 12 hours. The solid impurities were removed by filtration and the filtrate was concentrated using a rotary evaporator, the residue was diluted with ethyl acetate (150 mL), and the resulting solution was washed with brine (30 mL x 3), the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator, the residue was purified on a silica gel column [PE/EtOAc(v/v)= 10/1] to give a yellow oil (9.3 g, 81.6%).

LC-MS: (M+1) *m/z* = 316.1.

Step 3: synthesis of 2-ethyl-6-(4-methoxyphenoxy)-3,7-dimethylquinolin-4-ol

[00152]. Under N_2, isopropyl 2-amino-5-(4-methoxyphenoxy)-4-methylbenzoate (8.0 g, 27.1 mmol), 3-pentone (4.3 g, 50.0 mmol), zinc chloride (6.82 g, 50.0 mmol) and xylene (100 mL) were added into a 500 mL round-bottomed flask, the mixture was refluxed at 160 °C for 18 hours. After the reaction was completed, the xylene was removed using a rotary evaporator to obtain a yellowish-brown viscous substance, the viscous substance was cooled to 25 °C and diluted with water (50 mL) and ethyl acetate (50 mL), the mixture was stirred to form suspension and filtered to give a gray white solid (5.96 g, 72.7%).

LC-MS: (M+1) *m/z* = 324.2.

Step 4: synthesis of 2-ethyl-6-(4-methoxyphenoxy)-3,7-dimethylquinolin-4-yl (2-methoxyethyl)carbonate

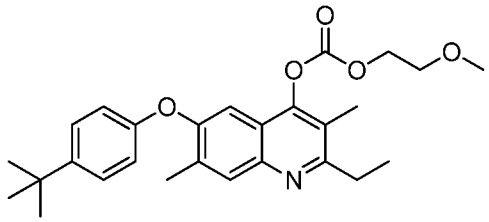
[00153]. Under N_2, 2-ethyl-6-(4-methoxyphenoxy)-3,7-dimethylquinolin-4-ol (0.850 g, 1.6 mmol), triethylamine (1.0 mL, 7.2 mmol) and dichloromethane (10 mL) were added into a 50 mL round-bottomed flask, the mixture was cooled to 0 °C in an ice-salt bath, and then ethyl 2-methoxychloroformate (0.28 g, 2.0 mmol) was added, the resulting mixture was stirred for 12

hours. The solvent was removed using a rotary evaporator, the residue was diluted with water (30 mL) and the resulting mixture was extracted with ethyl acetate (30 mL), the organic layer was dried over magnesium sulfate and concentrated using a rotary evaporator to give a yellow liquid (0.753 g, 67.2%).

^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 7.95 (s, 1H), 7.22 (s, 1H), 7.13 (d, 2H), 6.89 (m, 2H), 4.41 (t, 2H), 3.73 (s, 3H), 3.64 (t, 2H), 3.40 (s, 3H), 3.01 (q, 2H), 2.43 (s, 3H), 2.33 (s, 3H), 1.37 (t, 3H);

LC-MS: (M+1) *m/z* = 426.1.

Example 4: synthesis of 6-(4-(*tert*-butyl)phenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl)carbonate



(4)

Step 1: synthesis of isopropyl 5-(4-(*tert*-butyl)phenoxy)-4-methyl-2-nitrobenzoate

[00154]. Isopropyl 5-fluoro-4-methyl-2-nitrobenzoate (9.60 g, 39.8 mmol), *p*-(*tert*-butyl)phenol (7.12 g, 47.4 mmol) and potassium carbonate (5.52 g, 40.0 mmol) were added into a 250 mL round-bottomed flask, and then *N*,*N*-dimethylformamide (100 mL) was added, the mixture was heated to 80°C quickly and stirred for 18 hours under N_2. To the mixture was added ice-water (100 mL), the resulting mixture was extracted with ethyl acetate (60 mL x 3), the organic layers were washed with saturated aqueous sodium bicarbonate solution (50 mL x 3), and then the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator to give a yellow oil (10.8 g, 72.9%).

LC-MS: (M+1) *m/z* = 372.4.

Step 2: Synthesis of isopropyl 2-amino-5-(4-(*tert*-butyl)phenoxy)-4-methylbenzoate

[00155]. Under N_2, iron powder (5.60 g, 100.0 mmol), ethanol (100 mL), water (20 mL) and hydrochloric acid (1.0 mL, 35%) were added into a 500 mL round-bottomed flask, the mixture was heated to 90 °C and stirred, a solution of isopropyl 5-(4-(*tert*-butyl)phenoxy)-4-methyl-2-nitrobenzoate (10.0 g, 30.0 mmol) in ethanol (100 mL) was added dropwise slowly. After the addition, the mixture was stirred at 90 °C for 12 hours. The solid impurities were removed by filtration and the filtrate was concentrated using a rotary evaporator, the residue was diluted with ethyl acetate (150 mL), and the resulting solution was washed with brine (30 mL x 3), the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator, the residue was purified on a silica gel column [PE/EtOAc(v/v)= 10/1] to give a yellow oil (7.5 g, 81.5%).

LC-MS: (M+1) *m/z* = 342.4.

Step 3: synthesis of 6-(4-(*tert*-butyl)phenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol

[00156]. Under N_2, isopropyl 2-amino-5-(4-(*tert*-butyl)phenoxy)-4-methylbenzoate (9.0 g, 27.1 mmol), 3-pentone (4.3 g, 50.0 mmol), zinc chloride (6.82 g, 50.0 mmol) and xylene (100 mL) were added into a 500 mL round-bottomed flask, the mixture was refluxed at 160 °C for 18 hours. After the reaction was completed, the xylene was removed using a rotary evaporator to obtain a yellowish-brown viscous substance, the viscous substance was cooled to 25 °C and diluted with

water (50 mL) and ethyl acetate (50 mL), the mixture was stirred to form suspension and filtered to give a gray white solid (6.77 g, 73.5%).

LC-MS: (M+1) *m/z* = 350.2.

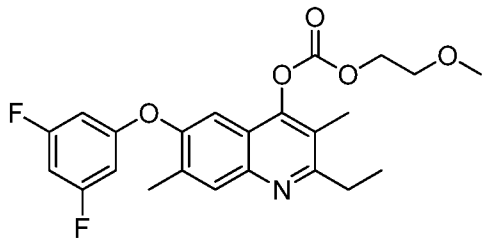
Step 4: synthesis of 6-(4-(tert-butyl)phenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl)carbonate

[00157]. Under N_2, 6-(4-(*tert*-butyl)phenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol (0.900 g, 1.6 mmol), triethylamine (1.0 mL, 7.2 mmol) and dichloromethane (10 mL) were added into a 50 mL round-bottomed flask, the mixture was cooled to 0 °C in an ice-salt bath, and then ethyl 2-methoxychloroformate (0.28 g, 2.0 mmol) was added, the resulting mixture was stirred for 12 hours. The solvent was removed using a rotary evaporator, the residue was diluted with water (30 mL) and the resulting mixture was extracted with ethyl acetate (30 mL), the organic layer was dried over magnesium sulfate and concentrated using a rotary evaporator to give a yellow liquid (0.831 g, 71.6%).

^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 7.96 (s, 1H), 7.21 (s, 1H), 7.14 (d, 2H), 6.90 (m, 2H), 4.42 (t, 2H), 3.66 (t, 2H), 3.38 (s, 3H), 3.03 (q, 2H), 2.42 (s, 3H), 2.32 (s, 3H), 1.40 (t, 3H), 1.34 (s, 9H);

LC-MS: (M+1) *m/z* = 452.2.

Example 5: synthesis of 6-(3,5-difluorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl)carbonate



(5)

Step 1: Synthesis of isopropyl 5-(3,5-difluorophenoxy)-4-methyl-2-nitrobenzoate

[00158]. Isopropyl 5-fluoro-4-methyl-2-nitrobenzoate (9.60 g, 39.8 mmol), 3,5-difluorophenol (7.12 g, 54.8 mmol) and potassium carbonate (5.52 g, 40.0 mmol) were added into a 250 mL round-bottomed flask, and then *N*,*N*-dimethylformamide (100 mL) was added, the mixture was heated to 80 °C quickly and stirred for 18 hours under N_2. To the mixture was added ice-water (100 mL), the resulting mixture was extracted with ethyl acetate (60 mL x 3), the organic layers were washed with saturated aqueous sodium bicarbonate solution (50 mL x 3), and then the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator to give a yellow oil (10.2 g, 72.8%).

LC-MS: (M+1) *m/z* = 352.1.

Step 2: synthesis of isopropyl 2-amino-5-(3,5-difluorophenoxy)-4-methylbenzoate

[00159]. Under N_2, iron powder (5.60 g, 100.0 mmol), ethanol (100 mL), water (20 mL) and hydrochloric acid (1.0 mL, 35%) were added into a 500 mL round-bottomed flask, the mixture was heated to 90 °C and stirred, a solution of isopropyl 5-(3,5-difluorophenoxy)-4-methyl-2-nitrobenzoate (10.0 g, 30.0 mmol) in ethanol (100 mL) was added dropwise slowly. After the addition, the mixture was stirred at 90 °C for 12 hours. The solid impurities were removed by filtration and the filtrate was concentrated using a rotary evaporator, the residue was diluted with ethyl acetate (150 mL), and the resulting solution was washed with brine (30 mL x 3), the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator, the

residue was purified on a silica gel column [PE/EtOAc(v/v)= 10/1] to give a yellow oil (7.5 g, 82.4%).

LC-MS: (M+1) *m/z* = 322.1.

Step 3: synthesis of 6-(3,5-difluorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol

[00160]. Under N_2, isopropyl 2-amino-5-(3,5-difluorophenoxy)-4-methylbenzoate (8.0 g, 27.1 mmol), 3-pentone (4.3 g, 50.0 mmol), zinc chloride (6.82 g, 50.0 mmol) and xylene (100 mL) were added into a 500 mL round-bottomed flask, the mixture was refluxed at 160 °C for 18 hours. After the reaction was completed, the xylene was removed using a rotary evaporator to obtain a yellowish-brown viscous substance, the viscous substance was cooled to 25°C and diluted with water (50 mL) and ethyl acetate (50 mL), the mixture was stirred to form suspension and filtered to give a gray white solid (6.26 g, 76.3%).

LC-MS: (M+1) *m/z* = 330.1.

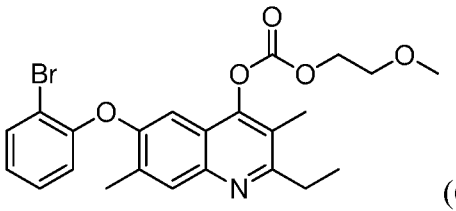
Step 4: synthesis of 6-(3,5-difluorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl)carbonate

[00161]. Under N_2, 6-(3,5-difluorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol (0.700 g, 1.6 mmol), triethylamine (1.0 mL, 7.2 mmol) and dichloromethane (10 mL) were added into a 50 mL round-bottomed flask, the mixture was cooled to 0 °C in an ice-salt bath, and then ethyl 2-methoxychloroformate (0.28 g, 2.0 mmol) was added, the resulting mixture was stirred for 12 hours. The solvent was removed using a rotary evaporator, the residue was diluted with water (30 mL) and the resulting mixture was extracted with ethyl acetate (30 mL), the organic layer was dried over magnesium sulfate and concentrated using a rotary evaporator to give a yellow liquid (0.603 g, 66.7%).

^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 7.95 (s, 1H), 7.22 (s, 1H),7.16 - 7.00 (m, 3H), 4.41 (m, 2H), 3.64 (m, 2H), 3.37 (s, 3H), 3.01 (q, 2H), 2.41 (s, 3H), 2.32 (s, 3H), 1.38 (t, 3H);

LC-MS: (M+1) *m/z* = 432.1.

Example 6: synthesis of 6-(2-bromophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate



(6)

Step 1: synthesis of isopropyl 5-(2-bromophenoxy)-4-methyl-2-nitrobenzoate

[00162]. Isopropyl 5-fluoro-4-methyl-2-nitrobenzoate (9.60 g, 39.8 mmol), 2-bromophenol (7.12 g, 40.9 mmol) and potassium carbonate (5.52 g, 40.0 mmol) were added into a 250 mL round-bottomed flask, and then *N*,*N*-dimethylformamide (100 mL) was added, the mixture was heated to 80 °C quickly and stirred for 18 hours under N_2. To the mixture was added ice-water (100 mL), the resulting mixture was extracted with ethyl acetate (60 mL x 3), the organic layers were washed with saturated aqueous sodium bicarbonate solution (50 mL x 3), and then the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator to give a yellow oil (12.6 g, 80.2%).

LC-MS: (M+1) *m/z* = 395.2.

Step 2: Synthesis of isopropyl 2-amino-5-(2-bromophenoxy)-4-methylbenzoate

[00163]. Under N_2, iron powder (5.60 g, 100.0 mmol), ethanol (100 mL), water (20 mL) and hydrochloric acid (1.0 mL, 35%) were added into a 500 mL round-bottomed flask, the mixture

was heated to 90 °C and stirred, a solution of isopropyl 5-(2-bromophenoxy)-4-methyl-2-nitrobenzoate (11.5 g, 30.0 mmol) in ethanol (100 mL) was added dropwise slowly. After the addition, the mixture was stirred at 90 °C for 12 hours. The solid impurities were removed by filtration and the filtrate was concentrated using a rotary evaporator, the residue was diluted with ethyl acetate (150 mL), and the resulting solution was washed with brine (30 mL x 3), the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator, the residue was purified on a silica gel column [PE/EtOAc(v/v)= 10/1] to give a yellow oil (9.5 g, 89.6%).

LC-MS: (M+1) *m/z* = 365.2.

Step 3: synthesis of 6-(2-bromophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol

[00164]. Under N_2, isopropyl 2-amino-5-(2-bromophenoxy)-4-methylbenzoate (10.0 g, 27.4 mmol), 3-pentone (4.3 g, 50.0 mmol), zinc chloride (6.82 g, 50.0 mmol) and xylene (100 mL) were added into a 500 mL round-bottomed flask, the mixture was refluxed at 160 °C for 18 hours. After the reaction was completed, the xylene was removed using a rotary evaporator to obtain a yellowish-brown viscous substance, the viscous substance was cooled to 25 °C and diluted with water (50 mL) and ethyl acetate (50 mL), the mixture was stirred to form suspension and filtered to give a gray white solid (7.83 g, 76.8%).

LC-MS: (M+1) *m/z* = 373.2.

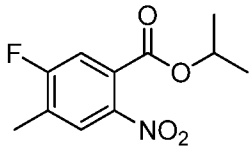
Step 4: synthesis of 6-(2-bromophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl)carbonate

[00165]. Under N_2, 6-(2-bromophenoxy)-2-ethyl-3,7-dimethylquinoline-4-ol (0.900 g, 1.6 mmol), triethylamine (1.0 mL, 7.2 mmol) and dichloromethane (10 mL) were added into a 50 mL round-bottomed flask, the mixture was cooled to 0 °C in an ice-salt bath, and then ethyl 2-methoxychloroformate (0.28 g, 2.0 mmol) was added, the resulting mixture was stirred for 12 hours. The solvent was removed using a rotary evaporator, the residue was diluted with water (30 mL) and the resulting mixture was extracted with ethyl acetate (30 mL), the organic layer was dried over magnesium sulfate and concentrated using a rotary evaporator to give a yellow liquid (0.753 g, 65.2%).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.58 (s, 1H), 7.56 (s, 1H), 7.51 (s, 1H), 7.36 (s, 1H),7.06 - 7.03 (m, 2H), 4.31 (m, 2H), 4.28 (m, 2H), 3.4 (m, 2H), 3.37 (s, 3H), 2.40 (s, 3H), 2.31 (s, 3H), 1.35 (t, 3H);

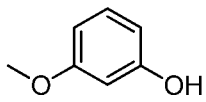
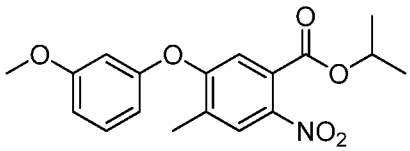
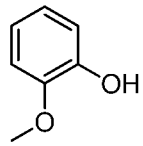
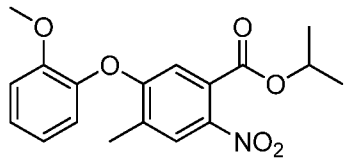
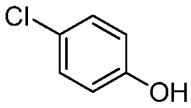
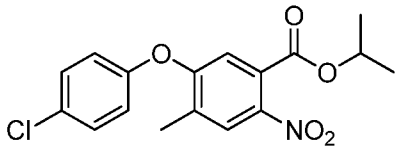
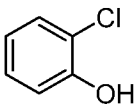
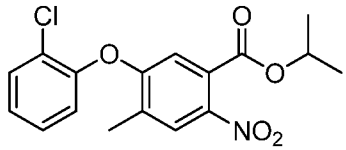
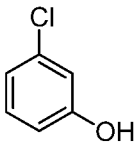
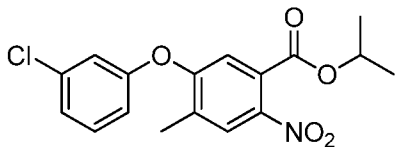
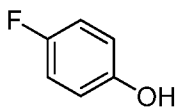
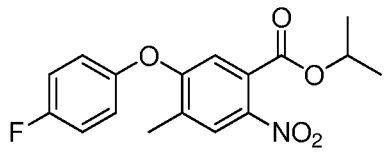
LC-MS: (M+1) *m/z* = 475.3.

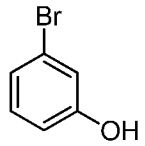
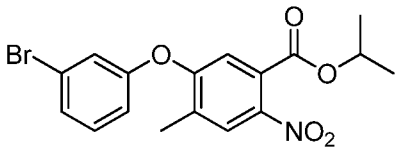
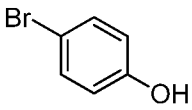
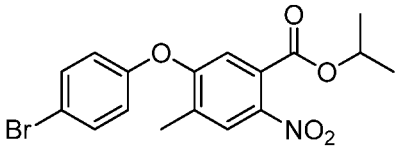
Synthesis of intermediates P1 to P8:

[00166]. The intermediates P1 to P8 listed in table 1 can be obtained by reacting intermediate O (the structure is 

) with different materials respectively according to the synthetic method described in step 1 of example 1 (or example 2; or example 3; or example 4; or example 5; or example 6).

Table 1

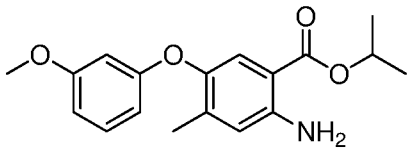
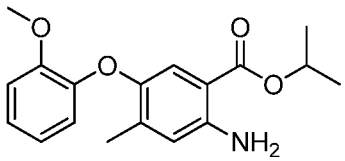
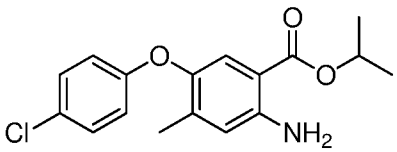
Structures and names of the materials reacting with intermediate O	Structures, names and numbers of the intermediates	Characterization data of intermediates
 3-methoxyphenol	 isopropyl 5-(3-methoxyphenoxy)-4-methyl-2-nitrobenzoate Intermediate P1	yellow oil; LC-MS: (M+1) *m/z* = 346.1.
 2-methoxyphenol	 isopropyl 5-(2-methoxyphenoxy)-4-methyl-2-nitrobenzoate Intermediate P2	yellow oil; LC-MS: (M+1) *m/z* = 346.1.
 4-chlorophenol	 isopropyl 5-(4-chlorophenoxy)-4-methyl-2-nitrobenzoate Intermediate P3	yellow oil; LC-MS: (M+1) *m/z* = 350.0.
 2-chlorophenol	 isopropyl 5-(2-chlorophenoxy)-4-methyl-2-nitrobenzoate Intermediate P4	yellow oil; LC-MS: (M+1) *m/z* = 350.0.
 3-chlorophenol	 isopropyl 5-(3-chlorophenoxy)-4-methyl-2-nitrobenzoate Intermediate P5	yellow oil; LC-MS: (M+1) *m/z* = 350.0.
 4-fluorophenol	 isopropyl 5-(4-fluorophenoxy)-4-methyl-2-nitrobenzoate Intermediate P6	yellow oil; LC-MS: (M+1) *m/z* = 334.1.

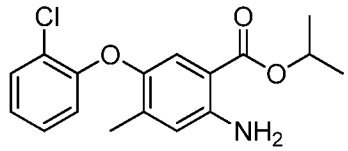
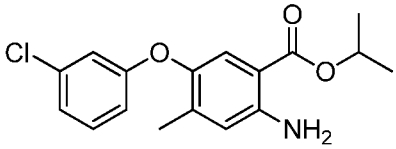
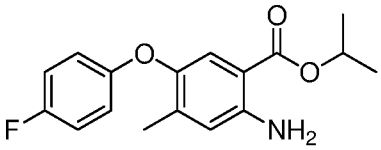
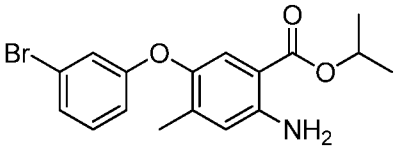
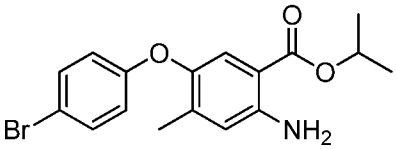
Structures and names of the materials reacting with intermediate O	Structures, names and numbers of the intermediates	Characterization data of intermediates
 3-bromophenol	 isopropyl 5-(3-bromophenoxy)-4-methyl-2-nitrobenzoate Intermediate P7	yellow oil; LC-MS: (M+1) *m/z* = 394.0.
 4-bromophenol	 isopropyl 5-(4-bromophenoxy)-4-methyl-2-nitrobenzoate Intermediate P8	yellow oil; LC-MS: (M+1) *m/z* = 394.0.

Synthesis of intermediates Q1 to Q8:

[00167]. Intermediates P1 to P8 listed in table 1 were reduced respectively by a reducer (such as iron powder, ammonium formate/palladium carbon, hydrogen/palladium carbon, *etc.*) to obtain the intermediates Q1 to Q8 listed in table 2.

Table 2

Structures, names and numbers of the intermediates	Characterization data of intermediates
 isopropyl 2-amino-5-(3-methoxyphenoxy)-4-methylbenzoate Intermediate Q1	yellow oil; LC-MS: (M+1) *m/z* = 316.1.
 isopropyl 2-amino-5-(2-methoxyphenoxy)-4-methylbenzoate Intermediate Q2	yellow oil; LC-MS: (M+1) *m/z* = 316.1.
 isopropyl 2-amino-5-(4-chlorophenoxy)-4-methylbenzoate Intermediate Q3	yellow oil; LC-MS: (M+1) *m/z* = 320.1.

Structures, names and numbers of the intermediates	Characterization data of intermediates
 isopropyl 2-amino-5-(2-chlorophenoxy)-4-methylbenzoate Intermediate Q4	yellow oil; LC-MS: (M+1) *m/z* = 320.1.
 isopropyl 2-amino-5-(3-chlorophenoxy)-4-methylbenzoate Intermediate Q5	yellow oil; LC-MS: (M+1) *m/z* = 320.1.
 isopropyl 2-amino-5-(4-fluorophenoxy)-4-methylbenzoate Intermediate Q6	yellow oil; LC-MS: (M+1) *m/z* = 304.1.
 isopropyl 2-amino-5-(3-bromophenoxy)-4-methylbenzoate Intermediate Q7	yellow oil; LC-MS: (M+1) *m/z* = 364.0.
 isopropyl 2-amino-5-(4-bromophenoxy)-4-methylbenzoate Intermediate Q8	yellow oil; LC-MS: (M+1) *m/z* = 364.0.

Synthesis of intermediates R1 to R8:

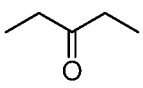
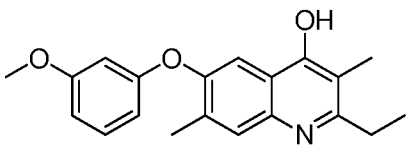
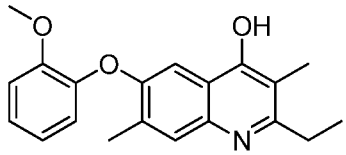
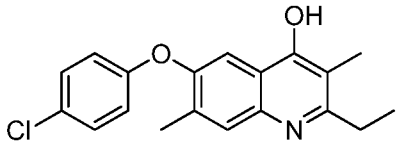
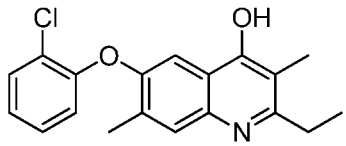
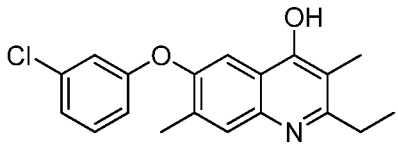
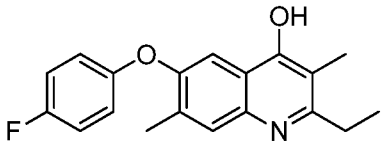
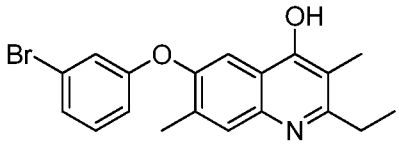
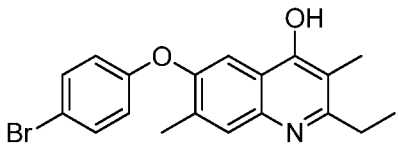
[00168]. Intermediates Q1 to Q8 listed in table 2 were reacted with 3-pentone (the structure is ) by a quinoline cyclization reaction in the present of a Lewis acid (such as zinc chloride, aluminum chloride, *etc.*) to obtain the intermediates R1 to R8 listed in table 3.

Table 3

Structures, names and numbers of the intermediates	Characterization data of intermediates
	gray white solid; LC-MS: (M+1) *m/z* = 324.1.

Structures, names and numbers of the intermediates	Characterization data of intermediates
2-ethyl-6-(3-methoxyphenoxy)-3,7-dimethylquinolin-4-ol Intermediate R1	
 2-ethyl-6-(2-methoxyphenoxy)-3,7-dimethylquinolin-4-ol Intermediate R2	gray white solid LC-MS: (M+1) *m/z* = 324.1.
 6-(4-chlorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol Intermediate R3	gray white solid LC-MS: (M+1) *m/z* = 328.1.
 6-(2-chlorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol Intermediate R4	gray white solid; LC-MS: (M+1) *m/z* = 328.1.
 6-(3-chlorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol Intermediate R5	gray white solid LC-MS: (M+1) *m/z* = 328.1.
 6-(4-fluorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol Intermediate R6	gray white solid; LC-MS: (M+1) *m/z* = 312.1.
 6-(3-bromophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol Intermediate R7	gray white solid; LC-MS: (M+1) *m/z* = 372.0.
 6-(4-bromophenoxy)-2-ethyl-3,7-dimethylquinolin-4-ol Intermediate R8	gray white solid; LC-MS: (M+1) *m/z* = 372.0.

Synthesis of Target compounds of examples 7 to 17

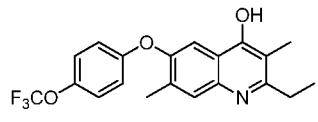
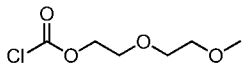
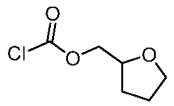
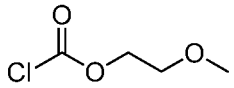
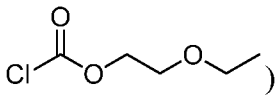
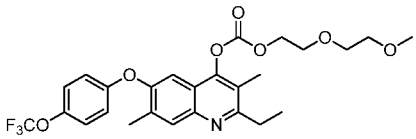
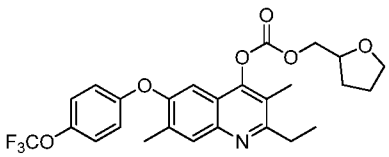
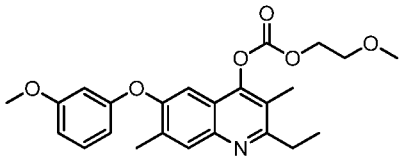
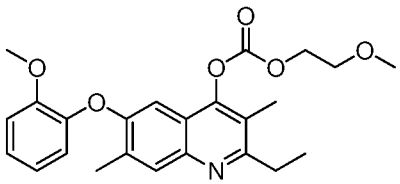
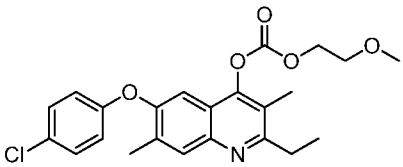
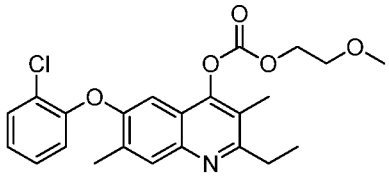
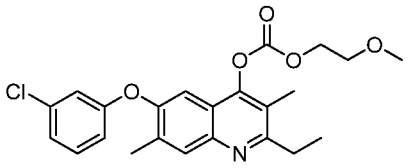
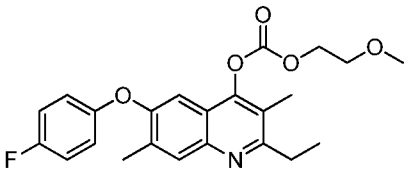
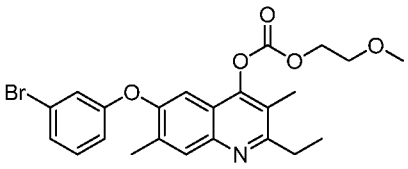
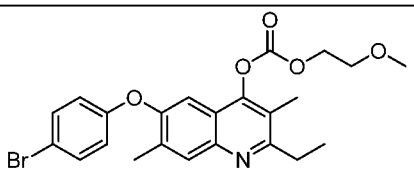
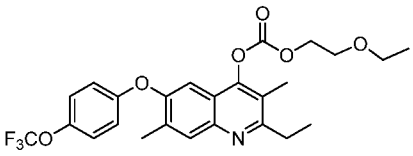
[00169]. Intermediates R1 to R8 listed in table 3 respectively with 2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)-quinolin-4-ol (the intermediate prepared by step 3 of example 1 having structure ), were reacted with 2-(2-methoxyethoxy)ethyl chloroformate (the structure is ), or with (tetrahydrofuran-2-yl)methyl chloroformate (the structure is ), or with ethyl 2-methoxy chloroformate(the structure is ), or with ethyl 2-ethoxychloroformate () under a base (such as pyridine, sodium hydride, triethylamine, *etc.*) to obtain the target compounds of examples 7 to 17 listed in table 4.

Table 4

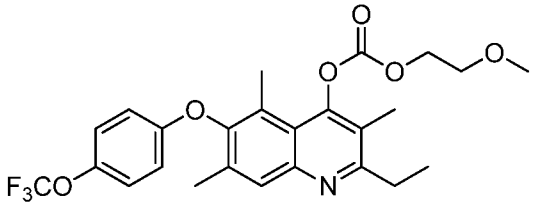
Reaction substrates	Structures, names of the target compounds and numbers of the examples	Characterization data of the target compounds
2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol and 2-(2-methoxyethoxy)ethyl chloroformate	 (7) 2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl (2-(2-methoxyethoxy)ethyl) carbonate Example 7	yellow liquid; ^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 7.98 (s, 1H), 7.28 (s, 1H), 7.17 (s, 1H), 6.99 (s, 1H), 6.98 (s, 1H), 6.83 (s, 1H), 4.29 (t, 2H), 3.67 (t, 2H), 3.56 (s, 4H), 3.38 (t, 2H), 3.32 (s, 3H), 2.36 (s, 3H), 2.13 (s, 3H), 1.29 (t, 3H); LC-MS: (M+1) *m/z* = 524.5.
2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol and (tetrahydrofuran-2-yl)methyl chloroformate	 (8) 2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinoline-4-yl ((tetrahydrofuran-2-yl)methyl) carbonate Example 8	yellow liquid; ^{1}H NMR(400 MHz, $CDCl_3$) δ (ppm): 7.83 (s, 1H), 7.22 (s, 1H),7.16 (s, 1H), 6.95 (s, 1H), 6.93 (s, 1H), 6.85 (s, 1H), 4.46 (m, 2H), 3.37 (m, 1H), 3.86 (m, 2H), 3.48 (t, 2H), 2.56 (s, 3H), 2.18 (s, 3H), 1.90 - 1.86 (m, 2H), 1.68 - 1.65 (m, 2H), 1.25 (t, 3H); LC-MS: (M+1) *m/z* = 506.4.

Reaction substrates	Structures, names of the target compounds and numbers of the examples	Characterization data of the target compounds
Intermediate R1 and ethyl 2-methoxychloroformate	 (9) 2-ethyl-6-(3-methoxyphenoxy)-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 9	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.93 (s, 1H), 7.49 (s, 1H),7.32 - 7.30 (m, 1H), 7.22 (s, 1H), 6.73 - 6.69 (m, 2H), 4.42 (t, 2H), 3.74 (s, 3H), 3.66 (t, 2H), 3.38 (s, 3H), 3.03 (q, 2H), 2.41 (s, 3H), 2.31 (s, 3H), 1.38 (t, 3H); LC-MS: (M+1) *m/z* = 426.1.
Intermediate R2 and ethyl 2-methoxychloroformate	 (10) 2-ethyl-6-(2-methoxyphenoxy)-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 10	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.94 (s, 1H), 7.32 - 7.29 (m, 1H), 7.23 (s, 1H), 6.73 - 6.69 (m, 2H), 6.74 (d, 1H), 4.41 (t, 2H), 3.72 (s, 3H), 3.64 (t, 2H), 3.41 (s, 3H), 3.03 (q, 2H), 2.41 (s, 3H), 2.32 (s, 3H), 1.38 (t, 3H); LC-MS: (M+1) *m/z* = 426.1.
Intermediate R3 and ethyl 2-methoxychloroformate	 (11) 6-(4-chlorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 11	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.94 (s, 1H), 7.55 (d, 2H), 7.45 (d, 2H), 7.23 (s, 1H), 4.41 (t, 2H), 3.62 (t, 2H), 3.42 (s, 3H), 3.03 (q, 2H), 2.40 (s, 3H), 2.32 (s, 3H), 1.38 (t, 3H); LC-MS: (M+1) *m/z* = 430.1.
Intermediate R4 and 2-methoxychloroformate ethyl	 (12) 6-(2-chlorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 12	yellow liquid; ^{1}H NMR (400 MHz, $CDCl_3$) *δ* (ppm): 7.92 (s, 1H), 7.54 (s, 1H), 7.48 (t, 1H), 7.31 - 7.28 (m, 1H), 7.23 - 7.18 (m, 2H), 4.40 (t, 2H), 3.62 (t, 2H), 3.41(s, 3H), 3.03 (q, 2H), 2.42 (s, 3H), 2.32 (s, 3H), 1.38 (t, 3H); LC-MS: (M+1) *m/z* = 430.1.

Reaction substrates	Structures, names of the target compounds and numbers of the examples	Characterization data of the target compounds
Intermediate R5 and ethyl 2-methoxychloroformate	 (13) 6-(3-chlorophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 13	yellow liquid; ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.94 (s, 1H), 7.53 (s, 1H), 7.36 - 7.33 (m, 2H), 7.24 - 7.21 (m, 1H), 7.02 - 7.00 (m, 1H), 4.40 (t, 2H), 3.63 (t, 2H), 3.40 (s, 3H), 3.02 (q, 2H), 2.41 (s, 3H), 2.32 (s, 3H), 1.38 (t, 3H); LC-MS: (M+1) *m/z* = 430.1.
Intermediate R6 and ethyl 2-methoxychloroformate	 (14) 2-ethyl-6-(4-fluorophenoxy)-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 14	yellow liquid; ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.95 (s, 1H), 7.52 (d, 2H), 7.42 (d, 2H), 7.22 (s, 1H), 4.41 (t, 2H), 3.63 (t, 2H), 3.41 (s, 3H), 3.03 (q, 2H), 2.42 (s, 3H), 2.31 (s, 3H), 1.39 (t, 3H); LC-MS: (M+1) *m/z* = 414.1.
Intermediate R7 and ethyl 2-methoxychloroformate	 (15) 6-(3-bromophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 15	yellow liquid; ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.93 (s, 1H), 7.58 (s, 1H), 7.41 (d, 1H), 7.28 - 7.22 (m, 2H), 7.10 (d, 1H), 4.40 (t, 2H), 3.63 (t, 2H), 3.41 (s, 3H), 3.01 (q, 2H), 2.42 (s, 3H), 2.32 (s, 3H), 1.38 (t, 3H); LC-MS: (M+1) *m/z* = 474.0.
Intermediate R8 and ethyl 2-methoxychloroformate	 (16) 6-(4-bromophenoxy)-2-ethyl-3,7-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 16	yellow liquid; ^{1}H NMR (400 MHz, $CDCl_3$) *δ* (ppm): 7.95 (s, 1H), 7.60 (d, 2H), 7.41 (d, 2H), 7.22 (s, 1H), 4.42 (t, 2H), 3.63 (t, 2H), 3.41 (s, 3H), 3.02 (q, 2H), 2.42 (s, 3H), 2.32 (s, 3H), 1.37 (t, 3H); LC-MS: (M+1) *m/z* = 474.0.

Reaction substrates	Structures, names of the target compounds and numbers of the examples	Characterization data of the target compounds
2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol and ethyl 2-ethoxychloroformate	 (17) 2-ethoxyethyl (2-ethyl-3,7-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl) carbonate Example 17	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.59 (s, 1H), 7.54 (s, 1H), 7.39 (d, 2H), 6.97 (d, 2H), 4.48 (t, 2H), 3.69 (t, 2H), 3.59 (q, 2H), 3.42 (q, 2H), 2.32 (s, 3H), 2.13 (s, 3H), 1.28 (t, 3H), 1.16 (t, 3H); LC-MS: (M+1) *m/z* = 494.1.

Example 18: Synthesis of 2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl (2-methoxyethyl) carbonate



(18)

Step 1: Synthesis of 1,3-dimethyl-5-nitro-2-(4-(trifluoromethoxy)phenoxy)benzene

[00170]. 2-Fluoro-1,3-methyl-5-nitrobenzene (10.0 g, 59.2 mmol), *p*-trifluoromethoxyphenol (15.8 g, 88.7 mmol) and potassium carbonate (12.2 g, 88.7 mmol) were added into a 250 mL round-bottomed flask, and then *N*,*N*-dimethylformamide (100 mL) was added, the mixture was heated to 110 °C quickly and stirred for 24 hours under N_2. To the mixture was added ice-water (100 mL), the resulting mixture was extracted with ethyl acetate (60 mL x 3), the organic layers were washed with saturated aqueous sodium bicarbonate solution (50 mL x 3), and then the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator to give a yellow oil (14.4 g, 75%).

LC-MS: (M+1) *m/z* = 328.2.

Step 2: synthesis of 3,5-dimethyl-4-(4-(trifluoromethoxy)phenoxy)aniline

[00171]. Under N_2, iron powder (6.2 g, 110.1mmol), ethanol (100 mL), water (20 mL) and hydrochloric acid (1.0 mL, 35%) were added into a 500 mL round-bottomed flask, the mixture was heated to 90 °C and stirred, a solution of 1,3-dimethyl-5-nitro-2-(4-(trifluoromethoxy)phenoxy)benzene (12.0 g, 36.7 mmol) in ethanol (100 mL) was added dropwise slowly. After the addition, the mixture was stirred at 90 °C for 2 hours. The solid impurities were removed by filtration and the filtrate was concentrated using a rotary evaporator, the residue was diluted with ethyl acetate (150 mL), and the resulting mixture was washed with saturated aqueous NaCl (30 mL x 3), the organic layers were combined and dried over anhydrous magnesium sulfate, and then filtered, the filtrate was concentrated using a rotary evaporator, the residue was purified on a silica gel column eluted with PE/EtOAc (V/V)= 10/1 to give a yellow oil (10.0 g, 92%).

LC-MS: (M+1) *m/z* = 298.2.

Step 3: synthesis of 2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol

(compound 42)

[00172]. 3,5-Dimethyl-4-(4-(trifluoromethoxy)phenoxy)aniline (10 g, 33.7 mmol), ethyl 2-methyl-3-oxovalerate (15.9 g, 101mmol), glacial acetic acid (0.1 g, 1.67 mmol) and toluene (100 mL) were added into a 100 mL single neck flask equipped with a water separator under N_2, the mixture was stirred at 120 °C and refluxed for 18 hours to separate water. The toluene was evaporated to obtain an oil; then diphenyl ether (20 mL) was added into the oil, the mixture was heated to 255 °C and stirred for 30 min. The mixture was cooled to rt, and PE (100 mL) was added slowly to precipitate the solid. The precipitated solids were collected by filtration, washed with PE/EtOAc (v/v=20/1, 10 mL x 3) to get a grayish brown solid (5.9 g, 45%).

LC-MS: (M+1) *m/z* = 392.4.

Step 4: synthesis of 2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl (2-methoxyethyl) carbonate

[00173]. Under N_2, 2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol (0.80 g, 2.0 mmol), triethylamine (1.0 mL, 6.0 mmol) and dichloromethane (10 mL) were added into a 50 mL round-bottomed flask, the mixture was cooled to 0 °C in an ice-salt bath, and then ethyl 2-methoxychloroformate (0.37 g, 2.7 mmol) was added, the resulting mixture was stirred at rt for 12 hours. The solvent was removed using a rotary evaporator, the residue was diluted with water (30 mL) and ethyl acetate (30 mL), the organic layer was dried over magnesium sulfate and concentrated using a rotary evaporator to give a yellow liquid (0.74 g, 75%).

^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm): 7.47 (s, 1H), 7.38 (s, 2H), 6.99 (s, 2H), 4.35 - 4.32 (m, 2H), 3.67 - 3.64 (m, 2H), 3.43 (q, J = 7.5 Hz, 2H), 3.34 (s, 3H), 2.34 (s, 3H), 2.31 (s, 3H), 2.14 (s, 3H), 1.28 (t, J = 7.5 Hz, 3H);

LC-MS: (M+1) *m/z* = 494.4.

Synthesis of intermediates S1 to S17:

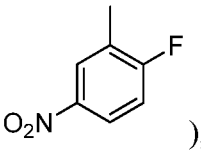
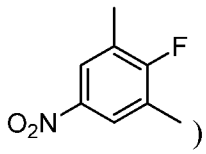
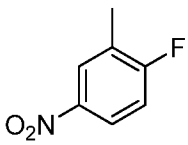
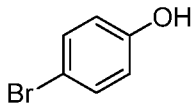
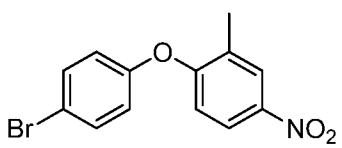
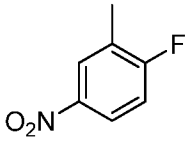
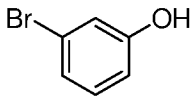
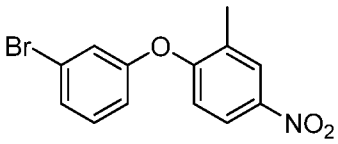
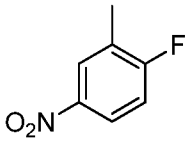
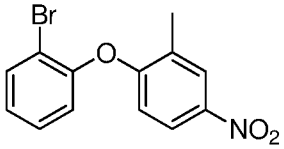
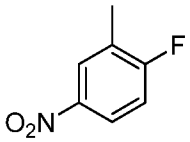
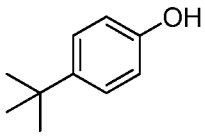
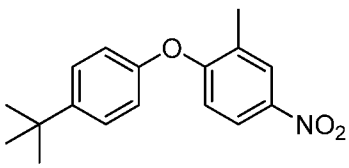
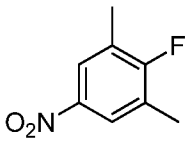
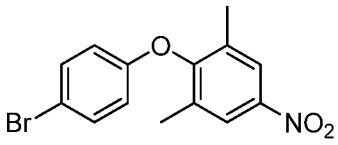
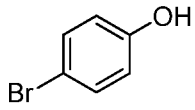
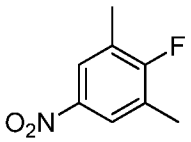
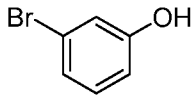
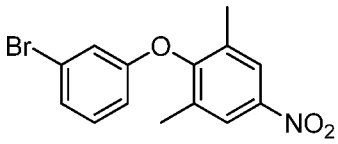
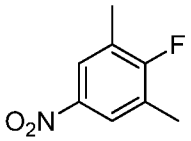
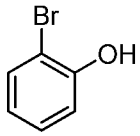
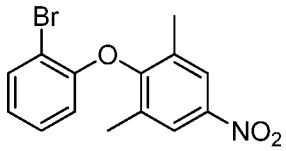
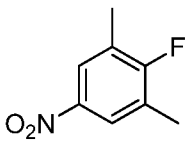
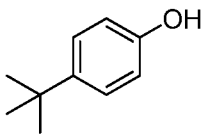
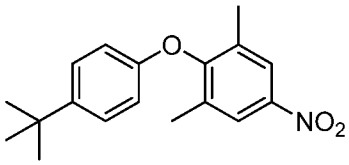
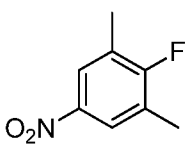
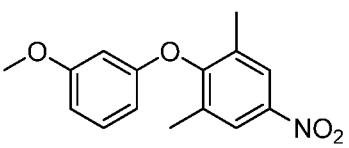
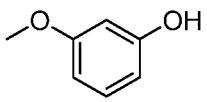
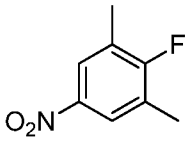
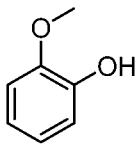
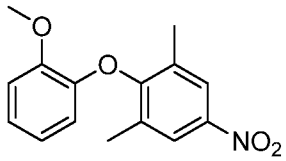
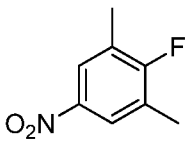
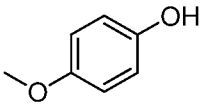
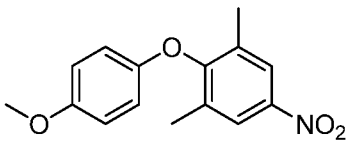
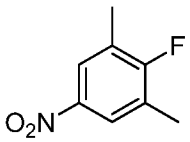
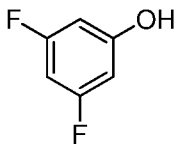
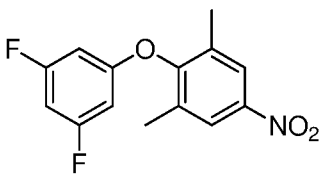
[00174]. A phenol derivative was reacted with 1-fluoro-2-methyl-4-nitrobenzene (the structure is ), or with 2-fluoro-1,3-dimethyl-5-nitrobenzene (the structure is ) under a base (potassium carbonate, cesium carbonate, sodium hydride, sodium hydroxide, *etc.*) to obtain intermediates S1 to S17 listed in table 5.

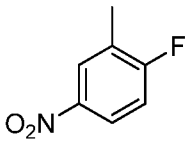
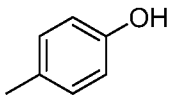
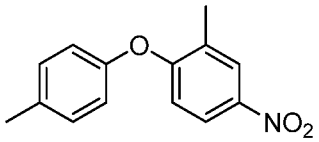
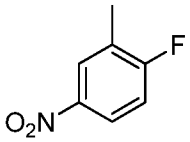
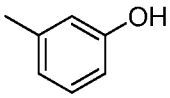
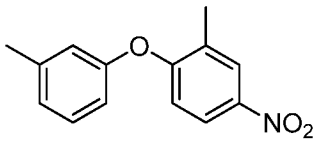
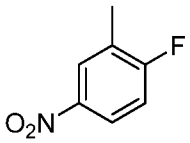
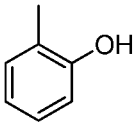
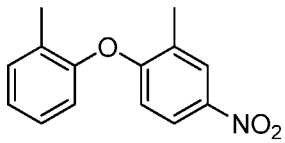
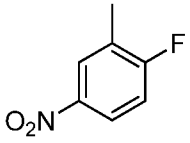
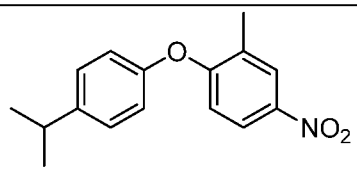
Table 5

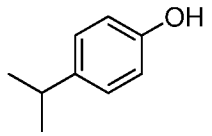
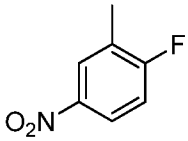
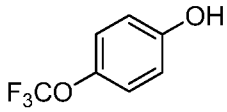
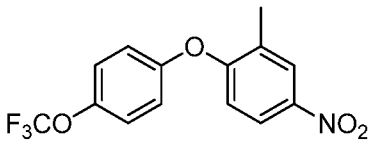
Structures and names of reaction substrates	Structures, names and numbers of the intermediates	Characterization data of intermediates
 1-fluoro-2-methyl-4-nitrobenzene and 	 1-(4-bromophenoxy)-2-methyl-4-nitrobenzene Intermediate S1	yellow solid; LC-MS: (M+1) *m/z* = 308.0.

Structures and names of reaction substrates	Structures, names and numbers of the intermediates	Characterization data of intermediates
4-bromophenol		
 1-fluoro-2-methyl-4-nitrobenzene and  3-bromophenol	 1-(3-bromophenoxy)-2-methyl-4-nitrobenzene Intermediate S2	yellow solid; LC-MS: (M+1) *m/z* = 308.0
 1-fluoro-2-methyl-4-nitrobenzene and  2-bromophenol	 1-(2-bromophenoxy)-2-methyl-4-nitrobenzene Intermediate S3	yellow solid; LC-MS: (M+1) *m/z* = 308.0
 1-fluoro-2-methyl-4-nitrobenzene and  4-*tert*-butylphenol	 1-(4-(*tert*-butyl)phenoxy)-2-methyl-4-nitrobenzene Intermediate S4	yellow solid; LC-MS: (M+1) *m/z* = 286.1.
 2-fluoro-1,3-dimethyl-5-nitrobenzene and	 2-(4-bromophenoxy)-1,3-dimethyl-5-nitrobenzene Intermediate S5	yellow solid; LC-MS: (M+1) *m/z* = 322.0.

Structures and names of reaction substrates	Structures, names and numbers of the intermediates	Characterization data of intermediates
 4-bromophenol		
 2-fluoro-1,3-dimethyl-5-nitrobenzene and  3-bromophenol	 2-(3-bromophenoxy)-1,3-dimethyl-5-nitrobenzene Intermediate S6	yellow solid; LC-MS: (M+1) *m/z* = 322.0.
 2-fluoro-1,3-dimethyl-5-nitrobenzene and  2-bromophenol	 2-(2-bromophenoxy)-1,3-dimethyl-5-nitrobenzene Intermediate S7	yellow solid; LC-MS: (M+1) *m/z* = 322.0.
 2-fluoro-1,3-dimethyl-5-nitrobenzene and  4-*tert*-butylphenol	 2-(4-(*tert*-butyl)phenoxy)-1,3-dimethyl-5-nitrobenzene Intermediate S8	yellow solid; LC-MS: (M+1) *m/z* = 300.2.
		yellow solid; LC-MS: (M+1) *m/z* = 274.1.

Structures and names of reaction substrates	Structures, names and numbers of the intermediates	Characterization data of intermediates
2-fluoro-1,3-dimethyl-5-nitrobenzene and  3-methoxyphenol	2-(3-methoxyphenoxy)-1,3-dimethyl-5-nitrobenzene Intermediate S9	
 2-fluoro-1,3-dimethyl-5-nitrobenzene and  2-methoxyphenol	 2-(2-methoxyphenoxy)-1,3-dimethyl-5-nitrobenzene Intermediate S10	yellow solid; LC-MS: (M+1) m/z = 274.1.
 2-fluoro-1,3-dimethyl-5-nitrobenzene and  4-methoxyphenol	 2-(4-methoxyphenoxy)-1,3-dimethyl-5-nitrobenzene Intermediate S11	yellow solid; LC-MS: (M+1) m/z = 274.1.
 2-fluoro-1,3-dimethyl-5-nitrobenzene and  3,5-difluorophenol	 2-(3,5-difluorophenoxy)-1,3-dimethyl-5-nitrobenzene Intermediate S12	yellow solid; LC-MS: (M+1) m/z = 280.1.

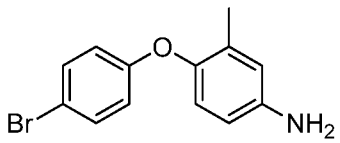
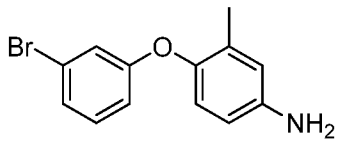
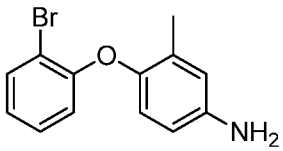
Structures and names of reaction substrates	Structures, names and numbers of the intermediates	Characterization data of intermediates
 1-fluoro-2-methyl-4-nitrobenzene and  4-methylphenol	 2-methyl-4-nitro-1-(*p*-tolyloxy)benzene Intermediate S13	yellow solid; LC-MS: (M+1) *m/z* =244.1.
 1-fluoro-2-methyl-4-nitrobenzene and  3-methylphenol	 2-methyl-4-nitro-1-(*m*-tolyloxy)benzene Intermediate S14	yellow solid; LC-MS: (M+1) *m/z* =244.1.
 1-fluoro-2-methyl-4-nitrobenzene and  2-methylphenol	 2-methyl-4-nitro-1-(*o*-tolyloxy)benzene Intermediate S15	yellow solid; LC-MS: (M+1) *m/z* =244.1.
 1-fluoro-2-methyl-4-nitrobenzene and	 1-(4-isopropylphenoxy)-2-methyl-4-nitrobenzene Intermediate S16	yellow solid; LC-MS: (M+1) *m/z* = 272.1.

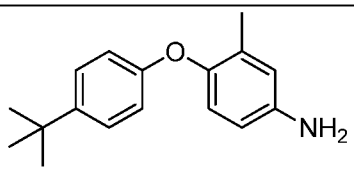
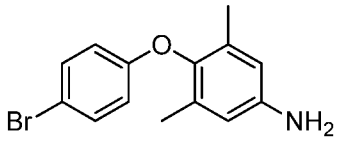
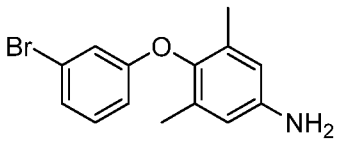
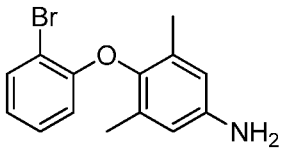
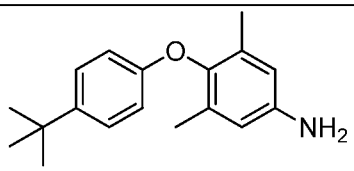
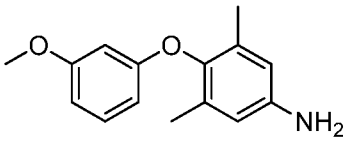
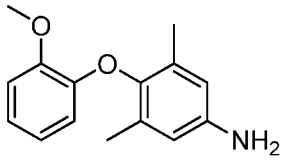
Structures and names of reaction substrates	Structures, names and numbers of the intermediates	Characterization data of intermediates
 4-isopropylphenol		
 1-fluoro-2-methyl-4-nitrobenzene and  *p*-trifluoromethoxyphenol	 2-methyl-4-nitro-1-(4-(trifluoromethoxy)phenoxy)benzene Intermediate S17	yellow solid; LC-MS: (M+1) *m/z* = 314.0.

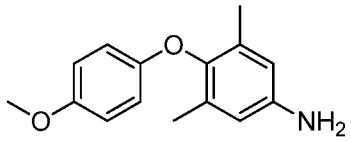
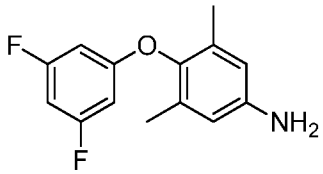
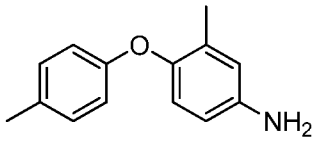
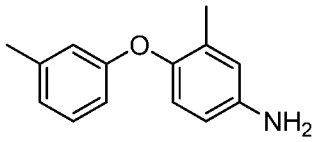
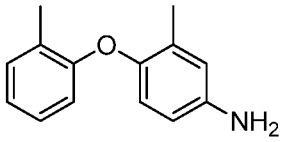
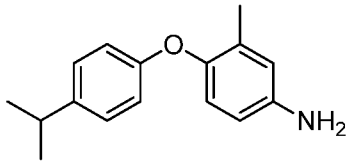
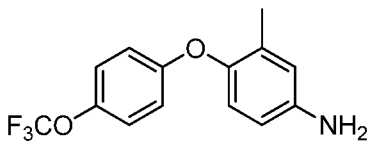
Synthesis of intermediates T1 to T17:

[00175]. Intermediates S1 to S17 listed in table 5 were reduced respectively by a reducer (such as iron powder, ammonium formate/palladium carbon, hydrogen/palladium carbon, *etc.*) to obtain the intermediates T1 to T17 listed in table 6.

Table 6

Structures, names and numbers of the intermediates	Characterization data of intermediates
 4-(4-bromophenoxy)-3-methylaniline Intermediate T1	yellow solid; LC-MS: (M+1) *m/z* = 278.0.
 4-(3-bromophenoxy)-3-methylaniline Intermediate T2	yellow solid; LC-MS: (M+1) *m/z* = 278.0.
 4-(2-bromophenoxy)-3-methylaniline Intermediate T3	light yellow solid; LC-MS: (M+1) *m/z* = 278.0.

Structures, names and numbers of the intermediates	Characterization data of intermediates
 4-(4-(*tert*-butyl)phenoxy)-3-methylaniline Intermediate T4	light yellow solid; LC-MS: (M+1) *m/z* = 256.2.
 4-(4-bromophenoxy)-3,5-dimethylaniline Intermediate T5	light yellow solid; LC-MS: (M+1) *m/z* = 292.0.
 4-(3-bromophenoxy)-3,5-dimethylaniline Intermediate T6	light yellow solid; LC-MS: (M+1) *m/z* = 292.0.
 4-(2-bromophenoxy)-3,5-dimethylaniline Intermediate T7	light yellow solid; LC-MS: (M+1) *m/z* = 292.0.
 4-(4-(*tert*-butyl)phenoxy)-3,5-dimethylaniline Intermediate T8	light yellow solid; LC-MS: (M+1) *m/z* = 270.2.
 4-(3-methoxyphenoxy)-3,5-dimethylaniline Intermediate T9	light yellow solid; LC-MS: (M+1) *m/z* = 244.1.
 4-(2-methoxyphenoxy)-3,5-dimethylaniline Intermediate T10	light yellow solid; LC-MS: (M+1) *m/z* = 244.1.

Structures, names and numbers of the intermediates	Characterization data of intermediates
 4-(4-methoxyphenoxy)-3,5-dimethylaniline Intermediate T11	light yellow solid; LC-MS: (M+1) *m/z* = 244.1.
 4-(3,5-difluorophenoxy)-3,5-dimethylaniline Intermediate T12	light yellow solid; LC-MS: (M+1) *m/z* = 250.1.
 3-methyl-4-(*p*-tolyloxy)aniline Intermediate T13	light yellow solid; LC-MS: (M+1) *m/z* = 214.1.
 3-methyl-4-(*m*-tolyloxy)aniline Intermediate T14	light yellow solid; LC-MS: (M+1) *m/z* = 214.1.
 3-methyl-4-(*o*-tolyloxy)aniline Intermediate T15	light yellow solid; LC-MS: (M+1) *m/z* = 214.1.
 4-(4-isopropylphenoxy)-3-methylaniline Intermediate T16	light yellow solid; LC-MS: (M+1) *m/z* = 242.2.
 3-methyl-4-(4-(trifluoromethoxy)phenoxy)aniline Intermediate T17	light yellow solid; LC-MS: (M+1) *m/z* = 284.0.

Synthesis of intermediates U1 to U17:

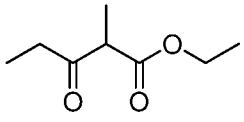
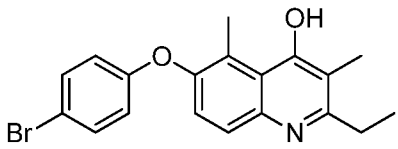
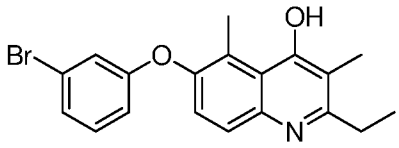
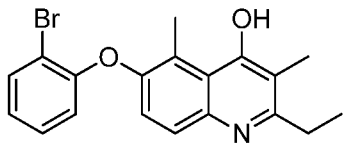
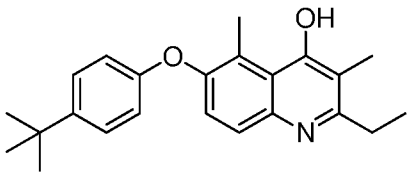
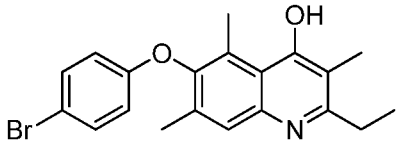
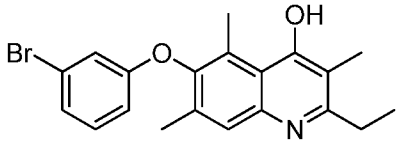
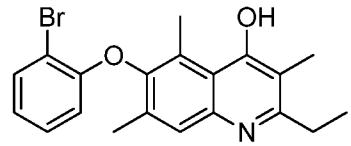
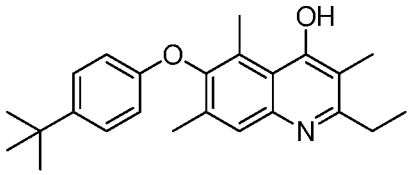
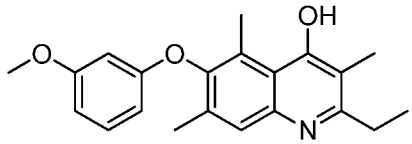
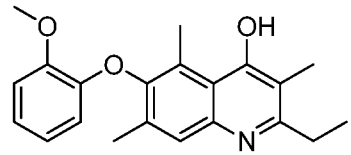
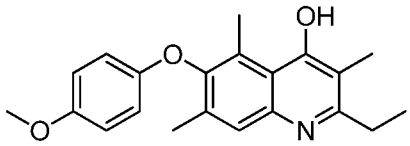
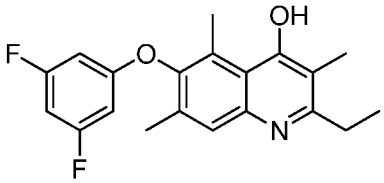
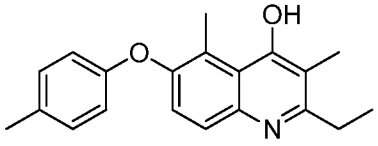
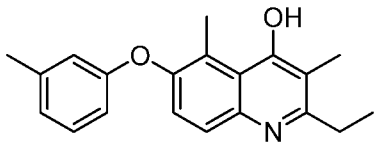
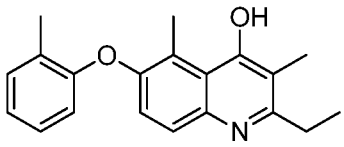
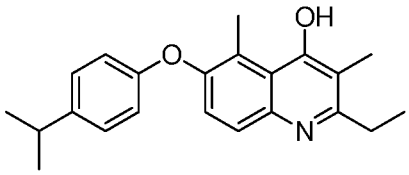
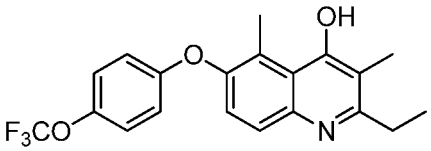
[00176]. Intermediates T1 to T17 listed in table 6 were respectively reacted with ethyl 2-methyl-3-oxovalerate (the structure is ) according to the synthetic method similar to those described in step 3 of example 18 to obtain the intermediates U1 to U17 listed in table 7.

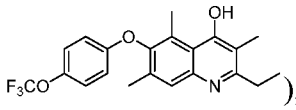
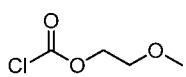
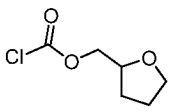
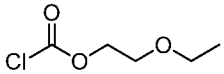
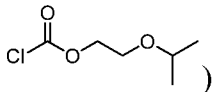
Table 7

Structures, names and numbers of the intermediates	Characterization data of intermediates
 6-(4-bromophenoxy)-2-ethyl-3,5-dimethylquinolin-4-ol Intermediate U1	brown solid; LC-MS: (M+1) *m/z* = 372.0.
 6-(3-bromophenoxy)-2-ethyl-3,5-dimethylquinolin-4-ol Intermediate U2	brown solid; LC-MS: (M+1) *m/z* = 372.0.
 6-(2-bromophenoxy)-2-ethyl-3,5-dimethylquinolin-4-ol Intermediate U3	brown solid; LC-MS: (M+1) *m/z* = 372.0.
 6-(4-(*tert*-butyl)phenoxy)-2-ethyl-3,5-dimethylquinolin-4-ol Intermediate U4	brown solid; LC-MS: (M+1) *m/z* =350.2.
 6-(4-bromophenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-ol Intermediate U5	brown solid; LC-MS: (M+1) *m/z* = 386.1.
 6-(3-bromophenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-ol	brown solid; LC-MS: (M+1) *m/z* = 386.1.

Structures, names and numbers of the intermediates	Characterization data of intermediates
Intermediate U6	
 6-(2-bromophenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-ol Intermediate U7	brown solid; LC-MS: (M+1) *m/z* = 386.1.
 6-(4-(*tert*-butyl)phenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-ol Intermediate U8	brown solid; LC-MS: (M+1) *m/z* = 364.2.
 2-ethyl-6-(3-methoxyphenoxy)-3,5,7-trimethylquinolin-4-ol Intermediate U9	brown solid; LC-MS: (M+1) *m/z* = 338.2.
 2-ethyl-6-(2-methoxyphenoxy)-3,5,7-trimethylquinolin-4-ol Intermediate U10	brown solid; LC-MS: (M+1) *m/z* = 338.2.
 2-ethyl-6-(4-methoxyphenoxy)-3,5,7-trimethylquinolin-4-ol Intermediate U11	brown solid; LC-MS: (M+1) *m/z* = 338.2.
 6-(3,5-difluorophenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-ol Intermediate U12	brown solid; LC-MS: (M+1) *m/z* = 344.1.
 2-ethyl-3,5-dimethyl-6-(*p*-tolyloxy)quinolin-4-ol	brown solid; LC-MS: (M+1) *m/z* = 308.2.

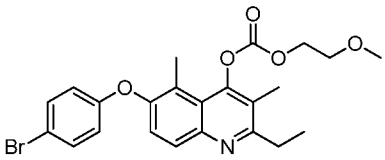
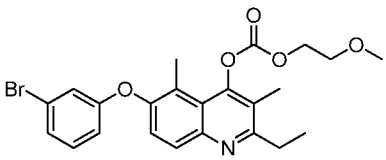
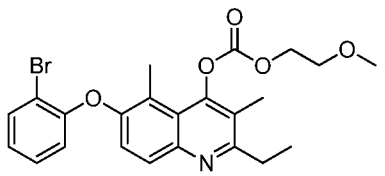
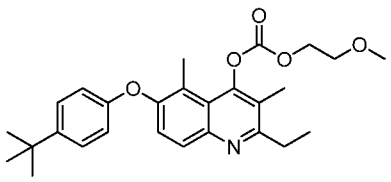
Structures, names and numbers of the intermediates	Characterization data of intermediates
Intermediate U13	
 2-ethyl-3,5-dimethyl-6-(*m*-tolyloxy) quinolin-4-ol Intermediate U14	brown solid; LC-MS: (M+1) *m/z* = 308.2.
 2-ethyl-3,5-dimethyl-6-(*o*-tolyloxy) quinolin-4-ol Intermediate U15	brown solid; LC-MS: (M+1) *m/z* = 308.2.
 2-ethyl-6-(4-isopropylphenoxy)-3,5-dimethylquinolin-4-ol Intermediate U16	brown solid; LC-MS: (M+1) *m/z* = 336.2.
 2-ethyl-3,5-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol Intermediate U17	brown solid; LC-MS: (M+1) *m/z* = 378.1.

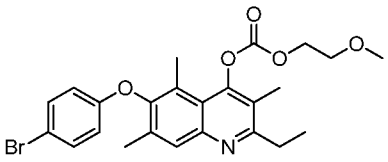
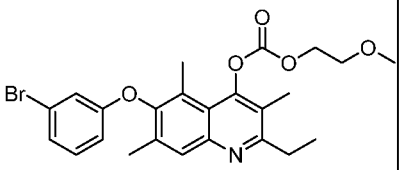
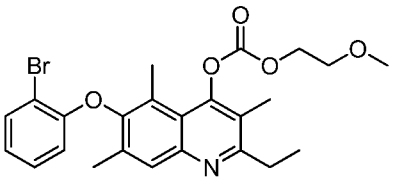
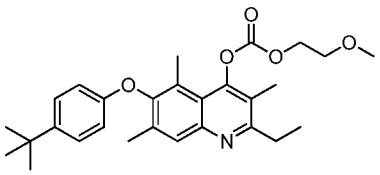
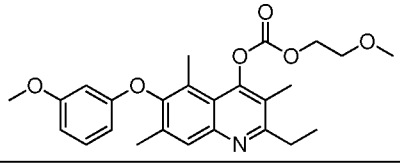
Synthesis of Target compounds of examples 19 to 41

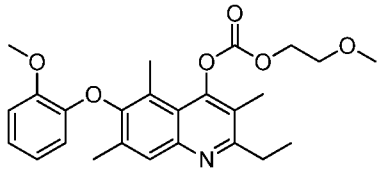
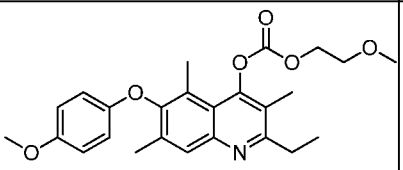
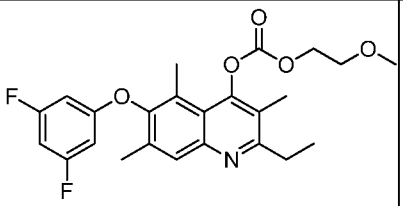
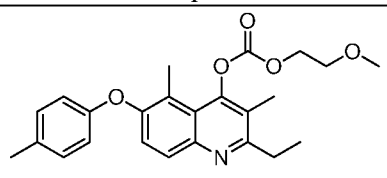
[00177]. Intermediates U1 to U17 listed in table 7 respectively with 2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)-quinolin-4-ol (i.e. compound (42) prepared by step 3 of example 18 having structure ), were reacted with ethyl 2-methoxychloroformate (the structure is ), or with (tetrahydrofuran-2-yl)methyl chloroformate (the structure is ), or with ethyl 2-ethoxy chloroformate (the structure is ), or with ethyl 2-isopropoxychloroformate (the structure is ) according to the synthetic method

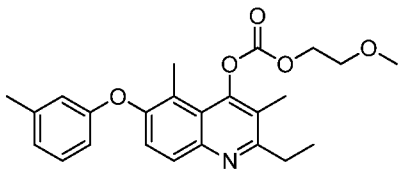
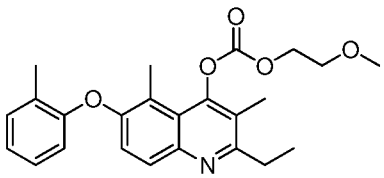
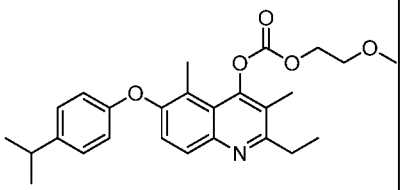
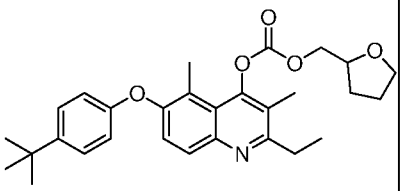
similar to those described in step 4 of example 18 to obtain the target compounds of examples 19 to 41 listed in table 8.

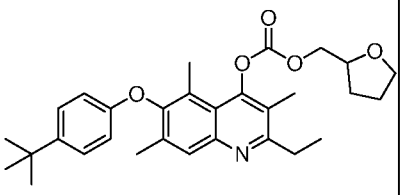
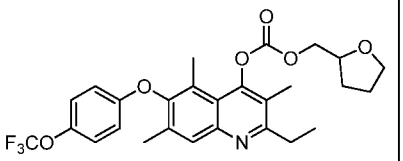
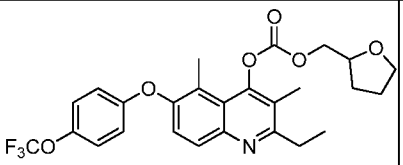
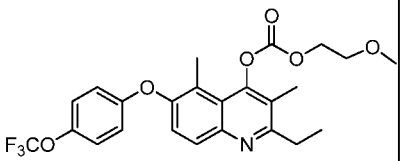
Table 8

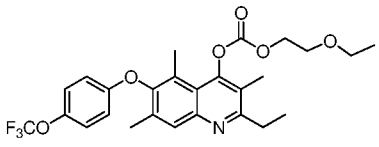
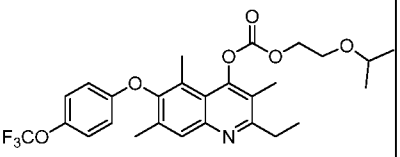
Reaction substrates	Structures, names, numbers of the target compounds	Characterization data of the target compounds
Intermediate U1 and ethyl 2-methoxychloroformate	 (19) 6-(4-bromophenoxy)-2-ethyl-3,5-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 19	yellow liquid; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm): 7.78 (d, 2H), 7.58 (d, 1H), 7.47 (d, 2H), 7.20 (d, 1H), 4.41 (t, 2H), 3.65 (t, 2H), 3.43 (s, 3H), 2.99 (q, 2H), 2.75 (s, 3H), 2.33 (s, 3H), 1.41 (t, 3H); LC-MS: (M+1) *m/z* = 474.1.
Intermediate U2 and ethyl 2-methoxychloroformate	 (20) 6-(3-bromophenoxy)-2-ethyl-3,5-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 20	yellow liquid; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm): 7.58 (d, 1H), 7.34 (s, 1H), 7.31 (d, 1H), 7.29 (d, 1H), 7.12 (t, 1H), 7.09 (s, 1H), 4.31 (t, 2H), 3.63 (t, 2H), 3.43 (s, 3H), 2.99 (q, 2H), 2.76 (s, 3H), 2.34 (s, 3H), 1.39 (t, 3H); LC-MS: (M+1) *m/z* = 474.1.
Intermediate U3 and ethyl 2-methoxychloroformate	 (21) 6-(2-bromophenoxy)-2-ethyl-3,5-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 21	yellow liquid; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm): 7.61 (d, 1H), 7.59 (d, 1H), 7.28 (t, 1H), 6.99 (d, 1H), 6.96 (d, 1H), 6.89 (t, 1H), 4.33 (t, 2H) 3.61 (t, 2H), 3.44 (s, 3H), 3.01 (q, 2H), 2.77 (s, 3H), 2.34 (s, 3H), 1.31 (t, 3H); LC-MS: (M+1) *m/z* = 474.1.
Intermediate U4 and ethyl 2-methoxychloroformate	 (22) 6-(4-(*tert*-butyl)phenoxy)-2-ethyl-3,5-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 22	yellow liquid; ^{1}H NMR (400MHz, $CDCl_3$) δ (ppm): 7.59 (d, 2H), 7.55 (d, 1H), 7.31 (d, 2H), 7.25 (d, 1H), 4.39 (t, 2H) 3.66 (t, 2H), 3.41 (s, 3H), 2.99 (q, 2H), 2.76 (s, 3H), 2.29 (s, 3H), 1.44 (s, 9H), 1.41 (t, 3H); LC-MS: (M+1) *m/z* = 452.2.

Reaction substrates	Structures, names, numbers of the target compounds	Characterization data of the target compounds
Intermediate U5 and ethyl 2-methoxychloroformate	 (23) 6-(4-bromophenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 23	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.78 (d, 2H), 7.47 (d, 2H), 7.20 (d, 1H), 4.41 (t, 2H), 3.65 (t, 2H), 3.43 (s, 3H), 2.99 (q, 2H), 2.75 (s, 3H), 2.33 (s, 3H), 2.19 (s, 3H),1.41 (t, 3H); LC-MS: (M+1) *m/z* = 488.1.
Intermediate U6 and ethyl 2-methoxychloroformate	 (24) 6-(3-bromophenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 24	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.34 (s, 1H), 7.31 (d, 1H), 7.29 (t, 1H), 7.12 (d, 1H), 7.09 (s, 1H), 4.31 (t, 2H), 3.63 (t, 2H), 3.43 (s, 3H), 2.99 (q, 2H), 2.76 (s, 3H), 2.39 (s, 3H), 2.20 (s, 3H), 1.39 (t, 3H); LC-MS: (M+1) *m/z* = 488.1.
Intermediate U7 and ethyl 2-methoxychloroformate	 (25) 6-(2-bromophenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 25	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.61 (d, 1H), 7.28 (t, 1H), 6.99 (d, 1H), 6.96 (t, 1H), 6.89 (s, 1H), 4.33 (t, 2H), 3.61 (t, 2H), 3.44 (s, 3H), 3.01 (q, 2H), 2.77 (s, 3H), 2.34 (s, 3H), 2.16 (s, 3H),1.31 (t, 3H); LC-MS: (M+1) *m/z* = 488.1.
Intermediate U8 and ethyl 2-methoxychloroformate	 (26) 6-(4-(tert-butyl)phenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 26	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.58 (d, 2H), 7.29 (d, 2H), 6.99 (s, 1H), 4.38 (t, 2H) 3.69 (t, 2H), 3.41 (s, 3H), 2.99 (q, 2H), 2.76 (s, 3H), 2.29 (s, 3H), 2.20 (s, 3H), 1.44 (s, 9H), 1.41 (t, 3H); LC-MS: (M+1) *m/z* = 466.3.
Intermediate U9 and 2-methoxychloroformate ethyl		yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.34 (t, 1H), 6.99 (s, 1H), 6.71 (d, 1H), 6.65

Reaction substrates	Structures, names, numbers of the target compounds	Characterization data of the target compounds
	(27) 2-ethyl-6-(3-methoxyphenoxy)-3,5,7-trimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 27	(s, 1H), 6.61 (d, 1H), 4.31 (t, 2H), 4.02 (s, 3H), 3.63 (t, 2H), 3.43 (s, 3H), 2.99 (q, 2H), 2.76 (s, 3H), 2.35 (s, 3H), 2.20 (s, 3H), 1.33 (t, 3H); LC-MS: (M+1) *m/z* = 440.2.
Intermediate U10 and ethyl 2-methoxychloroformate	 (28) 2-ethyl-6-(2-methoxyphenoxy)-3,5,7-trimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 28	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 6.99 (m, 3H), 6.86 (m, 2H), 4.31 (t, 2H) 4.01 (s, 3H), 3.63 (t, 2H), 3.43 (s, 3H), 2.98 (q, 2H), 2.76 (s, 3H), 2.34 (s, 3H), 2.20 (s, 3H), 1.36 (t, 3H); LC-MS: (M+1) *m/z* = 440.2.
Intermediate U11 and ethyl 2-methoxychloroformate	 (29) 2-ethyl-6-(4-methoxyphenoxy)-3,5,7-trimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 29	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.35 (d, 2H), 7.09 (d, 2H), 6.89 (s, 1H), 4.31 (t, 2H) 4.01 (s, 3H), 3.63 (t, 2H), 3.43 (s, 3H), 2.98 (q, 2H), 2.76 (s, 3H), 2.34 (s, 3H), 2.20 (s, 3H), 1.36 (t, 3H); LC-MS: (M+1) *m/z* = 440.2.
Intermediate U12 and ethyl 2-methoxychloroformate	 (30) 6-(3,5-difluorophenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 30	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.35 (d, 2H), 6.89 (s, 1H), 6.48 (m, 1H), 4.31 (t, 2H) 3.63 (t, 2H), 3.43 (s, 3H), 2.98 (q, 2H), 2.76 (s, 3H), 2.34 (s, 3H), 2.20 (s, 3H), 1.36 (t, 3H); LC-MS: (M+1) *m/z* = 446.2.
Intermediate U13 and ethyl 2-methoxychloroformate	 (31) 2-ethyl-3,5-dimethyl-6-(*p*-tol	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.55 (d, 1H),7.19 (d, 2H), 6.89 (d, 2H), 6.87 (s, 1H), 4.31 (t, 2H) 3.63 (t, 2H), 3.43 (s, 3H), 2.98 (q, 2H),

Reaction substrates	Structures, names, numbers of the target compounds	Characterization data of the target compounds
	yloxy)quinolin-4-yl (2-methoxyethyl) carbonate Example 31	2.34 (s, 3H), 2.28 (s, 3H), 2.20 (s, 3H), 1.36 (t, 3H); LC-MS: (M+1) *m/z* = 410.2.
Intermediate U14 and ethyl 2-methoxychloroformate	 (32) 2-ethyl-3,5-dimethyl-6-(m-tolyloxy)quinolin-4-yl (2-methoxyethyl) carbonate Example 32	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.58 (d, 1H),7.29 (t, 1H), 7.18 (s, 1H), 7.06 (d, 1H), 6.85 (d, 1H), 6.81 (d, 1H), 4.31 (t, 2H) 3.63 (t, 2H), 3.43 (s, 3H), 2.97 (q, 2H), 2.34 (s, 3H), 2.29 (s, 3H), 2.20 (s, 3H), 1.35 (t, 3H); LC-MS: (M+1) *m/z* = 410.2.
Intermediate U15 and ethyl 2-methoxychloroformate	 (33) 2-ethyl-3,5-dimethyl-6-(*o*-tolyloxy)quinolin-4-yl (2-methoxyethyl) carbonate Example 33	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.61 (d, 1H), 7.59 (d, 1H), 7.28 (t, 1H), 6.99 (d, 1H), 6.96 (d, 1H), 6.89 (t, 1H), 4.31 (t, 2H) 3.63 (t, 2H), 3.43 (s, 3H), 2.97 (q, 2H), 2.34 (s, 3H), 2.29 (s, 3H), 2.20 (s, 3H), 1.35 (t, 3H); LC-MS: (M+1) *m/z* = 410.2.
Intermediate U16 and ethyl 2-methoxychloroformate	 (34) 2-ethyl-6-(4-isopropylphenoxy)-3,5-dimethylquinolin-4-yl (2-methoxyethyl) carbonate Example 34	yellow liquid; ^{1}H NMR (400MHz, CDCl3) δ (ppm): 7.59 (d, 2H), 7.55 (d, 1H), 7.31 (d, 2H), 7.25 (d, 1H), 4.39 (t, 2H)， 3.66 (t, 2H), 3.41 (s, 3H), 2.99 (q, 2H), 2.86 (m, 1H), 2.76 (s, 3H), 2.29 (s, 3H), 1.44 (d, 6H), 1.41 (t, 3H); LC-MS: (M+1) *m/z* = 438.2.
Intermediate U4 and (tetrahydrofuran-2-yl) methyl chloroformate	 (35) 6-(4-(*tert*-butyl)phenoxy)-2-ethyl-3,5-dimethylquinolin-4-yl ((tetrahydrofuran-2-yl)methyl) carbonate	yellow liquid; ^{1}H NMR(400 MHz, CDCl3) δ (ppm): 7.66 (s, 1H), 7.58 (d, 2H),7.39 (d, 2H), 7.21 (s, 1H), 4.48 - 4.45 (dd, 2H), 4.36 - 4.34 (m, 1H), 3.89 - 3.86 (m, 2H), 3.46 (t, 2H), 2.38 (s, 3H), 2.33 (s, 3H), 1.92 - 1.89 (m, 2H), 1.73 - 1.70 (m, 2H), 1.38 (s, 9H), 1.27 (t, 3H); LC-MS: (M+1) *m/z* = 478.2.

Reaction substrates	Structures, names, numbers of the target compounds	Characterization data of the target compounds
	Example 35	
Intermediate U8 and (tetrahydrofuran-2-yl) methyl chloroformate	 (36) 6-(4-(*tert*-butyl)phenoxy)-2-ethyl-3,5,7-trimethylquinolin-4-yl ((tetrahydrofuran-2-yl)methyl) carbonate	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.56 (d, 2H), 7.42 (s, 1H),7.35 (d, 2H), 4.52 (dd, 2H), 4.39 - 4.36 (m, 1H), 3.81 - 3.79 (m, 2H), 3.42 (q, 2H), 2.38 (s, 3H), 2.34 (s, 3H), 2.17 (s, 3H), 1.93 - 1.90 (m, 2H), 1.65 - 1.63 (m, 2H), 1.33 (s, 9H), 1.26 (t, 3H); LC-MS: (M+1) *m/z* = 492.2.
	Example 36	
2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol and (tetrahydrofuran-2-yl) methyl chloroformate	 (37) 2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl((tetrahydrofuran-2-yl)methyl)carbonate	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.47 (s, 1H), 7.38 (d, 2H), 6.95 (d, 2H), 4.45 - 4.42 (m, 2H), 4.37 - 4.34 (m, 1H), 3.83 - 3.80 (m, 2H), 3.44 - 3.41 (m, 2H), 2.34 (s, 3H), 2.31 (s, 3H), 2.14 (s, 3H), 1.94 - 1.91 (m, 2H), 1.65 - 1.62 (m, 2H), 1.28 (t, J = 7.5 Hz, 3H); LC-MS: (M+1) *m/z* = 520.2.
	Example 37	
Intermediate U17 and (tetrahydrofuran-2-yl) methyl chloroformate	 (38) 2-ethyl-3,5-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl ((tetrahydrofuran-2-yl)methyl) carbonate	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.66 (s, 1H), 7.32 (d, 2H),7.21 (s, 1H), 6.95 (d, 2H), 4.46 - 4.44 (m, 2H), 4.37 - 4.34 (m, 1H), 3.85 - 3.83 (m, 2H), 3.42 (q, 2H), 2.36 (s, 3H), 2.33 (s, 3H), 1.95 - 1.93 (m, 2H), 1.67 - 1.64 (m, 2H), 1.24 (t, 3H); LC-MS: (M+1) *m/z* = 506.1.
	Example 38	
Intermediate U17 and ethyl 2-methoxychloroformate	 (39) 2-ethyl-3,5-dimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl (2-methoxyethyl) carbonate	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.69 (s, 1H), 7.38 (d, 2H),7.19 (s, 1H), 6.95 (d, 2H), 4.31 (t, 2H), 3.67 (t, 2H), 3.45 (q, 2H), 3.32 (s, 3H), 2.36 (s, 3H), 2.33 (s, 3H), 1.27 (t, 3H); LC-MS: (M+1) *m/z* = 480.1.

Reaction substrates	Structures, names, numbers of the target compounds	Characterization data of the target compounds
	Example 39	
2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol and ethyl 2-ethoxychloroformate	 (40) 2-ethoxyethyl (2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl) carbonate Example 40	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.49 (s, 1H), 7.35 (d, 2H), 6.98 (d, 2H), 4.32 (d, 2H), 3.67 (d, 2H), 3.53 (q, 2H), 3.46 (q, 2H), 2.34 (s, 3H), 2.31 (s, 3H), 2.15 (s, 3H), 1.24 (t, 3H), 1.18 (t, J = 7.5 Hz, 3H); LC-MS: (M+1) *m/z* = 508.1.
2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-ol and ethyl 2-isopropoxychloroformate	 (41) 2-ethyl-3,5,7-trimethyl-6-(4-(trifluoromethoxy)phenoxy)quinolin-4-yl (2-isopropoxyethyl) carbonate Example 41	yellow liquid; ^{1}H NMR (400 MHz, CDCl3) δ (ppm): 7.46 (s, 1H), 7.35 (d, 2H), 6.96 (d, 2H), 4.35 (d, 2H), 3.64 (d, 2H), 3.43 (q, J = 7.5 Hz, 2H), 3.14 - 3.11 (m, 1H), 2.37 (s, 3H), 2.32 (s, 3H), 2.16 (s, 3H), 1.26 (t, 3H), 1.16 (d, 6H); LC-MS: (M+1) *m/z* = 522.2.

Activity tests

1. Test examples

1) Preparation of compound

[00178]. A certain amount of compound (0.0001 g) was weighed by using an analytical balance, and prepared to a mother liquid (1%) with *N*, *N*-dimethylformamide containing 1% Tween-80 emulsifier, and then the mother liquid was diluted with distilled water for standby.

2) Test method

[00179]. Leaf dipping method: the test target was Armyworm. An appropriate amount of corn leaves were infiltrated in the prepared liquid and dried in the shade naturally, and then placed in a culture dish with filter paper; armyworm larvae in the third instar were inoculated to the corn leaves, 10 heads per dish, and the dishes were cultured in an observation room at 24-27 °C. The results were investigated after 3 days. When touching the worm with a brush, it was considered dead if it did not respond. The test concentration was 200 mg/L.

[00180]. Spraying Leaves and Stems: the test target was Brown rice planthopper. Rice seedlings inoculated with Brown rice planthopper were spayed under Potter spray tower, and then the Brown rice planthopper was placed and cultured in an observation room at 24-27 °C. The results were investigated after 72 hours. The test concentration was 500 mg/L.

[00181]. Leaves Dish Spraying: the test targets were tetranychuscinnabarinus and Aphis craccivora Koch. Vicia faba leaves inoculated with Carmine Spider Mite and aphis medicaginis were spayed under Potter spray tower, and then the Carmine Spider Mite was placed and cultured in an observation room at 24-27 °C; the aphis medicaginis was placed and cultured in an

observation room at 20-22 °C. The results were investigated after 48 hours. When touching the worm with a brush, it was considered dead if it did not respond. The test concentration was 500 mg/L.

[00182]. Leaf dipping method: the test targets were Diamondback moth, Tobacco Cutworm and Beet Armyworm. An appropriate amount of cabbage leaves were infiltrated in the prepared liquid and dried in the shade naturally, and then placed in a culture dish with filter paper; worms in the third instar were inoculated to the leaves, 10 heads per dish, and the dishes were cultured in an observation room at 24-27 °C. The results were investigated after 3 days. When touching the worm with a brush, it was considered dead if it did not respond. The test concentration was 200 mg/L or 100 mg/L.

[00183]. The results showed that the mortality rate of example 1 at a concentration of 200 mg/L was 100% to Armyworm and Diamondback moth; the mortality rate of example 1 at a concentration of 100 mg/L was 100% to Beet Armyworm and Tobacco Cutworm; the mortality rate of example 1 at a concentration of 500 mg/L was 100% to Brown rice planthopper and aphis medicaginis.

2. Test examples

1) Preparation of compound

[00184]. A certain amount of compound (0.0001 g) was weighed by using an analytical balance, and prepared to a mother liquid (1%) with *N*, *N*-dimethylformamide containing 1% Tween-80 emulsifier, and then the mother liquid was diluted with distilled water for standby.

2) Test method

[00185]. Leaf dipping method: an appropriate amount of corn leaves/cabbage/cowpea were infiltrated in the prepared liquid and dried in the shade naturally, and then placed in a culture dish with filter paper; armyworm/Diamondback moth/ Beet Armyworm larvae in the 2-3rd instar were inoculated to the corn leaves, 10 heads per dish, and the dishes were cultured in an observation room at 24-27 °C. The results were investigated after 3 days. When touching the worm with a brush, it was considered dead if it did not respond. The test concentrations were 50 mg/L, 25 mg/L, 12.5 mg/L, 6.25 mg/L, 3.13 mg/L, 1.56 mg/L.

[00186]. Spraying: cowpea leaves growing uniformity were punched with a punching bear to make leaf discs, leaf discs were placed onto degreased cotton blocks in a dish, two leaf discs per dish, and water was added into the dish and it was flush with the leaf discs, and the dish was for standby. Frankliniella occidentalis in the 2-3rd instar were inoculated to the ready leaf discs, more than 15 per disc. Which were spayed under Potter spray tower at a dose of 0.5 mL per treatment. The blank control was treated first, and then the above operations were repeated in the order of the test dose from low to high, with 2 repeats per treatment. After treatment, the samples were placed in the observation room, and the results were investigated 1 day later. When touching the worm with a brush, it was considered dead if it did not respond. The test concentrations were 20 mg/L, 10 mg/L, 5.0 mg/L, 2.5 mg/L, 1.25 mg/L, 0.625 mg/L.

[00187]. The results indicate that the compounds of the invention have high mortality rates to Armyworm, Diamondback moth, Beet Armyworm and Frankliniella occidentalis at different doses.

[00188]. The results were shown as tables 9 to 12:

Table 9 The mortality rate of the compound of the invention to Diamondback moth at different doses

Examples	The mortality rate (%) of the compound to Diamondback moth		
	50 mg/L	25 mg/L	12.5 mg/L
Example 1	100	100	100

Example 4	100	100	90
Example 7	100	90	85
Example 8	100	100	93
Example 17	100	100	100
Example 18	100	100	100
Example 22	100	90	85
Example 26	100	95	85
Example 35	100	90	80
Example 36	100	95	85
Example 37	100	100	95
Example 38	100	100	92
Example 39	100	100	100
Example 40	100	100	95
Example 41	100	100	90

Table 10 The mortality rate of the compound of the invention to Armyworm at different doses

Examples	The mortality rate (%) of the compound to Armyworm		
	50 mg/L	25 mg/L	12.5 mg/L
Example 1	100	100	100
Example 4	100	90	80
Example 7	100	100	100
Example 8	100	100	100
Example 17	100	100	100
Example 18	100	100	100
Example 22	100	95	80
Example 26	100	100	90
Example 35	100	95	80
Example 36	100	100	90
Example 37	100	100	100
Example 38	100	100	90
Example 39	100	100	100
Example 40	100	100	95
Example 41	100	100	80

Table 11 The mortality rate of the compound of the invention to Beet Armyworm at different doses

Examples	The mortality rate (%) of the compound to Beet Armyworm		
	50 mg/L	25 mg/L	12.5 mg/L
Example 1	100	100	90
Example 7	100	100	80
Example 8	100	95	70
Example 18	100	100	90
Example 37	100	100	75
Example 38	100	100	70

Table 12 The mortality rate of the compound of the invention to Frankliniella occidentalis at different doses

Examples	The mortality rate (%) of the compound to Frankliniella occidentalis		
	20 mg/L	10 mg/L	5 mg/L
Example 1	100	100	100

Example 7	100	100	95
Example 8	100	100	85
Example 18	100	100	100
Example 37	100	100	95
Example 38	100	100	90

[00189]. In addition, the compounds of the invention still have high mortality rates to the above pests at lower doses; for example, the mortality rate of the compounds of example 1 and example 18 was more than 90% at a dose of 6.25 mg/L; the mortality rate of the compounds of example 1 and example 18 was more than 80% at a dose of 3.13 mg/L.

3. Test examples

1) Preparation of compound

[00190]. A certain amount of compound (0.0001 g) was weighed by using an analytical balance, and prepared to a mother liquid (1%) with *N*, *N*-dimethylformamide containing 1% Tween-80 emulsifier, and then the mother liquid was diluted with distilled water for standby.

2) Test method

[00191]. Leaf dipping method: an appropriate amount of cabbage was infiltrated in the prepared liquid and dried in the shade naturally, and then placed in a culture dish with filter paper; Armyworm/Diamondback moth larvae in the 2-3rd instar were inoculated, 10 heads per dish, and the dishes were cultured in an observation room at 24-27 °C. The results were investigated after 3 days. When touching the worm with a brush, it was considered dead if it did not respond. The test concentrations were 50 mg/L, 25 mg/L, 12.5 mg/L, 6.25 mg/L, 3.13 mg/L, 1.56 mg/L.

[00192]. The results indicate that compound (42) of the invention have significant control effect on Diamondback moth and Armyworm; specifically, compound (42) have high mortality rates to Diamondback moth and Armyworm at different doses.

[00193]. The results were shown as tables 13 to 14:

Table 13 The mortality rate of the compound of the invention to Diamondback moth at different doses

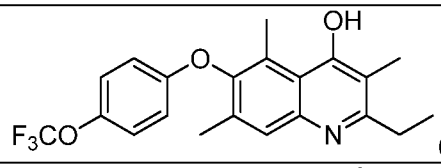
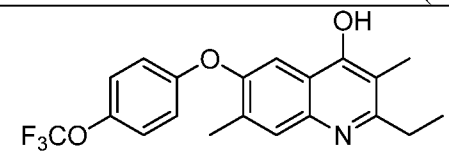
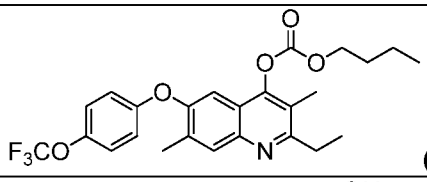
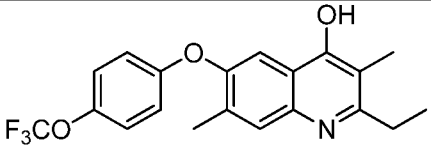
Example	The mortality rate (%) to Diamondback moth		
	50 mg/L	25 mg/L	12.5 mg/L
 (42)	100	100	100
 Compound NO.119 disclosed in WO 2006013896	100	90	70

Table 14 The mortality rate of the compound of the invention to Armyworm at different doses

Example	The mortality rate (%) to Armyworm		
	50 mg/L	25 mg/L	12.5 mg/L

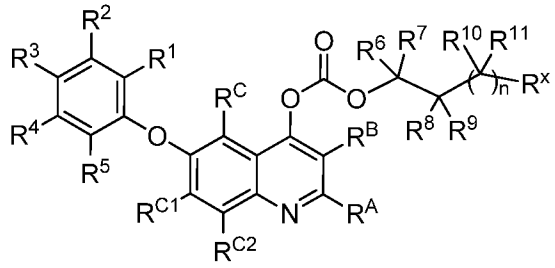
 (42)	95	85	80
 Compound NO.119 disclosed in WO 2006013896	60	50	10

[00194]. Wherein compound NO.119 disclosed in WO 2006013896 can be prepared according to the preparation method of compound (42).

[00195]. The preferred embodiments of the present invention have been described in detail above, but the present invention is not limited to the details described above, within the scope of the technical concept of the present invention, various simple variants of the technical scheme of the present invention could be made, all of which belong to the scope of the present invention.

What is claimed is:

1. A compound of Formula (I) or a stereoisomer, an *N*-oxide or a salt thereof:



(I),

wherein

R^x is  ;

R^n is alkyl, alkenyl, alkynyl, aryl or arylalkyl; wherein R^n is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A1;

each A1 is independently halo, hydroxy, cyano, nitro, amino, alkyl, alkoxy, haloalkyl or haloalkoxy;

each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^aR^b, -NR^c-C(=O)-R^d, -$NR^e(OR^f)$, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl, hydroxy-substituted alkyl, amino-substituted alkyl, cyano-substituted alkyl, alkyl-SO_2-, alkyl-(C=O)-, alkyl-(C=O)-O-, alkoxy, alkoxy-(C=O)-, alkylthio, alkenyloxy, haloalkoxy, haloalkylthio, haloalkenyloxy, hydroxy-substituted alkoxy, amino-substituted alkoxy, cyano-substituted alkoxy or alkylamino;

each of R^a, R^b, R^c, R^d, R^e and R^f is independently hydrogen or alkyl;

or R^1 and R^2, or R^2 and R^3, or R^3 and R^4, or R^4 and R^5 together form -O-$(CH_2)_m$-O-, -$(CH_2)_{m1}$-O- or -$(CH_2)_{m2}$-, wherein each of -O-$(CH_2)_m$-O-, -$(CH_2)_{m1}$-O- and -$(CH_2)_{m2}$- is optionally and independently substituted with 1, 2, 3, 4, 5 or 6 halo;

wherein each of m, m1 and m2 is independently 1, 2 or 3;

each of R^A and R^B is independently hydrogen, alkyl or haloalkyl;

each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or alkyl;

each of R^6, R^7, R^8, R^9, R^{10} and $R^{11}$is independently hydrogen, alkyl, haloalkyl, alkoxy or haloalkoxy;

or R^n and R^8, together with the atoms to which they are attached, form a 3-8 membered heterocycle; the 3-8 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3;

wherein each A3 is independently halo, oxo, hydroxy, cyano, nitro, alkyl, alkoxy, haloalkyl or haloalkoxy; and

n is 0, 1, 2 or 3.

2. The compound of claim 1, wherein

R^n is C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, C_{6-14} aryl or C_{6-14} aryl-C_{1-6} alkyl-; wherein R^n is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A1;

each A1 is independently halo, hydroxy, cyano, nitro, amino, C_{1-6} alkyl, C_{1-6} alkoxy, halo C_{1-6} alkyl or halo C_{1-6} alkoxy;

each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, -C(=O)-NR^aR^b, -NR^c-C(=O)-R^d, -$NR^e(OR^f)$, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, halo C_{1-6} alkyl, halo C_{2-8} alkenyl, halo C_{2-8} alkynyl, hydroxy-substituted C_{1-6} alkyl, amino-substituted C_{1-6} alkyl, cyano-substituted C_{1-6} alkyl, C_{1-6} alkyl-SO_2-, C_{1-6} alkyl-(C=O)-, C_{1-6} alkyl-(C=O)-O-,

C_{1-6} alkoxy, C_{1-6} alkoxy-(C=O)-, C_{1-6} alkylthio, C_{2-8} alkenyloxy, halo C_{1-6} alkoxy, halo C_{1-6} alkylthio, halo C_{2-8} alkenyloxy, hydroxy-substituted C_{1-6} alkoxy, amino-substituted C_{1-6} alkoxy, cyano-substituted C_{1-6} alkoxy or C_{1-6} alkylamino;

each of R^a, R^b, R^c, R^d, R^e and R^f is independently hydrogen or C_{1-6} alkyl;

each of R^A and R^B is independently hydrogen, C_{1-6} alkyl or halo C_{1-6} alkyl;

each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or C_{1-6} alkyl;

each of R^6, R^7, R^8, R^9, R^{10} and R^{11} is independently hydrogen, C_{1-4} alkyl, halo C_{1-4} alkyl, C_{1-4} alkoxy or halo C_{1-4} alkoxy;

or R^n and R^8, together with the atoms to which they are attached, form a 3-8 membered heterocycle; the 3-8 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3; and

wherein each A3 is independently halo, oxo, hydroxy, cyano, nitro, C_{1-6} alkyl, C_{1-6} alkoxy, halo C_{1-6} alkyl or halo C_{1-6} alkoxy.

3. The compound of any one of claim 1 or 2, wherein

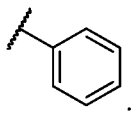
R^n is C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{6-10} aryl or C_{6-10} aryl-C_{1-3} alkyl-; wherein R^n is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A1; and

each A1 is independently halo, hydroxy, cyano, nitro, amino, C_{1-5} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

4. The compound of any one of claims 1 to 3, wherein

R^n is $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CH_2CF_3$, $-CF_2CHFCF_3$, $-CH(CF_3)CH_3$, $-CF(CF_3)_2$, $-CH_2CH_2$-OCH_3 or $-CH_2CH_2$-OCH_2CH_3;

or R^n is the following sub-structure:



.

5. The compound of any one of claims 1 to 4, wherein

each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, halo C_{1-4} alkyl, halo C_{2-4} alkenyl, halo C_{2-4} alkynyl, hydroxy-substituted C_{1-4} alkyl, amino-substituted C_{1-4} alkyl, cyano-substituted C_{1-4} alkyl, C_{1-4} alkyl-SO_2-, C_{1-4} alkyl-(C=O)-, C_{1-4} alkyl-(C=O)-O-, C_{1-4} alkoxy, C_{1-4} alkoxy-(C=O)-, C_{1-4} alkylthio, C_{2-4} alkenyloxy, halo C_{1-4} alkoxy, halo C_{1-4} alkylthio, halo C_{2-4} alkenyloxy or C_{1-4} alkylamino.

6. The compound of any one of claims 1 to 5, wherein

each of R^1, R^2, R^3, R^4 and R^5 is independently hydrogen, fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CF_2CHFCF_3$, $-CF(CF_3)_2$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OC(CH_3)_3$, $-OCH_2F$, $-OCF_2H$, $-OCF_3$, $-OCF_2CHF_2$, $-OCH_2CF_3$, $-OCF_2CHFCF_3$, $-OCH(CF_3)CH_3$ or $-OCF(CF_3)_2$.

7. The compound of any one of claims 1 to 6, wherein

each of R^A and R^B is independently hydrogen, C_{1-4} alkyl or halo C_{1-4} alkyl; and

each of R^C, R^{C1} and R^{C2} is independently hydrogen, halo or C_{1-4} alkyl.

8. The compound of any one of claims 1 to 7, wherein

each of R^A and R^B is independently hydrogen, $-CH_3$, $-CH_2CH_3$ or $-CHF_2$; and

each of R^C, R^{C1} and R^{C2} is independently hydrogen, fluoro, chloro, bromo, iodo or $-CH_3$.

9. The compound of any one of claims 1 to 8, wherein

each of R^6, R^7, R^8, R^9, R^{10} and $R^{11}$is independently hydrogen, C_{1-2} alkyl, halo C_{1-2} alkyl.

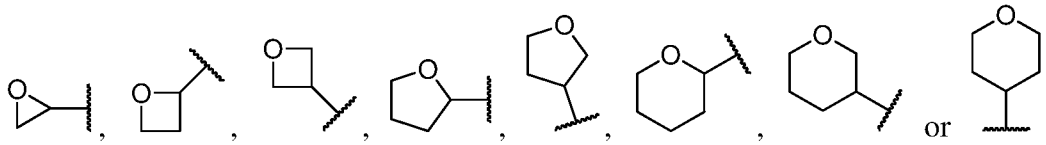
10. The compound of any one of claims 1 to 9, wherein

R^n and R^8, together with the atoms to which they are attached, form a 3-6 membered heterocycle; the 3-6 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3; and

each A3 is independently halo, oxo, hydroxy, cyano, nitro, C_{1-4} alkyl, C_{1-4} alkoxy, halo C_{1-4} alkyl or halo C_{1-4} alkoxy.

11. The compound of any one of claims 1 to 10, wherein

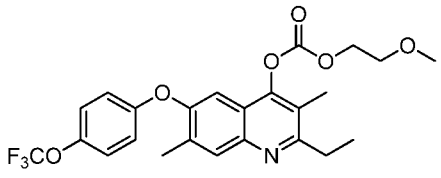
the 3-6 membered heterocycle formed by R^n and R^8, together with the atoms to which they are attached, is the following sub-structure:



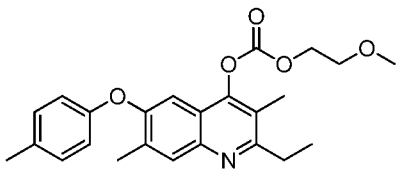
wherein the 3-6 membered heterocycle is optionally substituted with 1, 2, 3, 4, 5, 6, 7 or 8 substituents selected from A3; and

each A3 is independently fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, $-CH_3$, $-CH_2CH_3$, $-OCH_3$, $-OCH_2CH_3$, $-CF_3$ or $-OCF_3$.

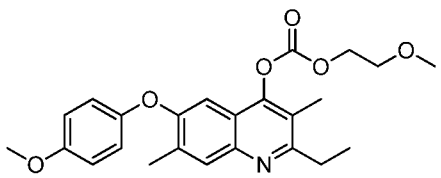
12. The compound of any one of claims 1 to 11 having one of the following structures or a stereoisomer, an *N*-oxide or a salt thereof,



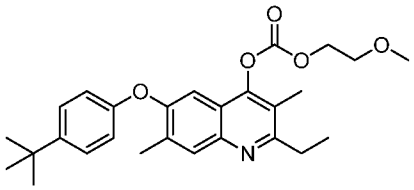
(1),



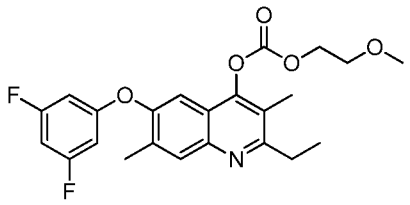
(2),



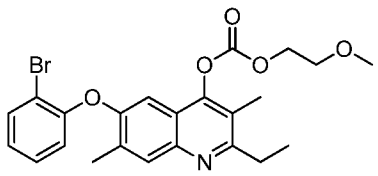
(3),



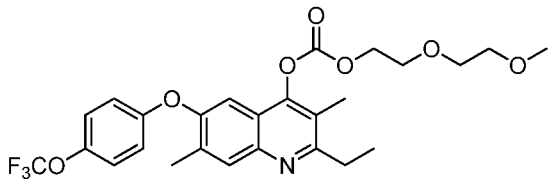
(4),



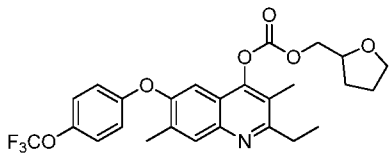
(5),



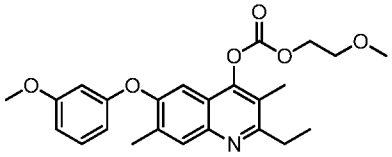
(6),



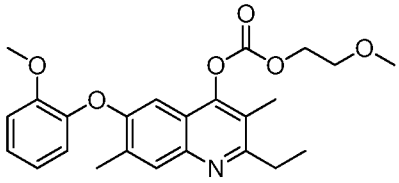
(7),



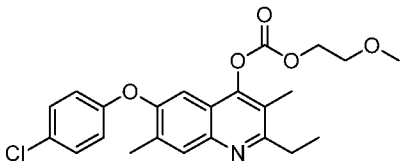
(8),

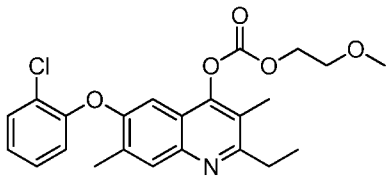


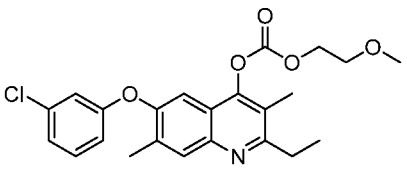
(9),

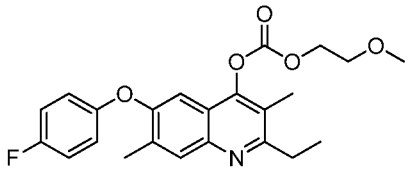


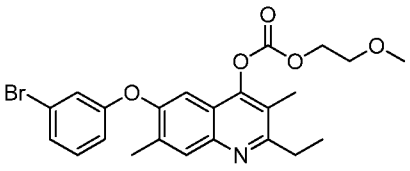
(10),

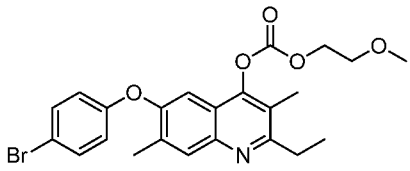

(11),

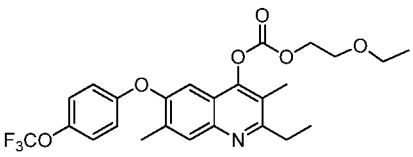

(12),

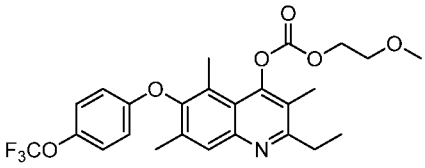

(13),

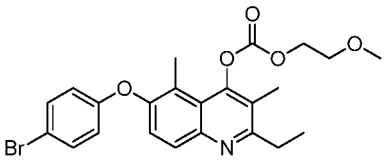

(14),

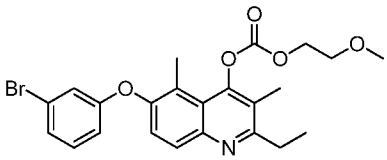

(15),

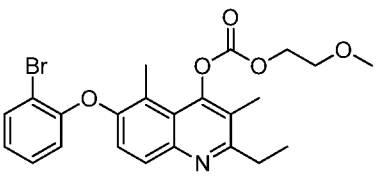

(16),

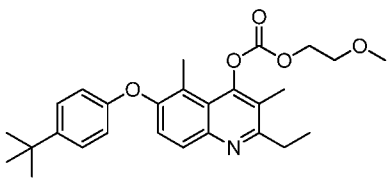

(17),

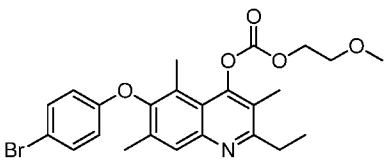

(18),

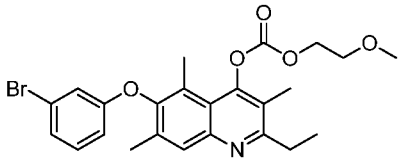

(19),

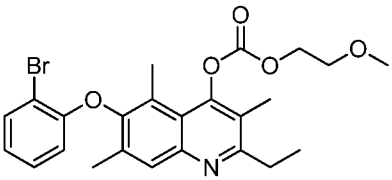

(20),

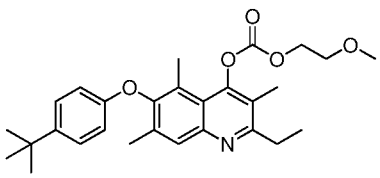

(21),

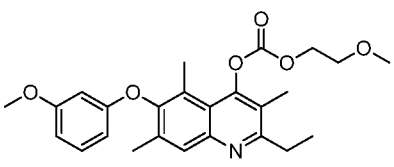

(22),

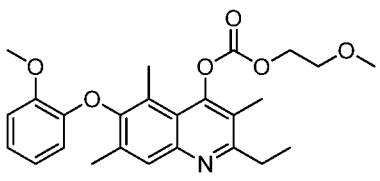

(23),

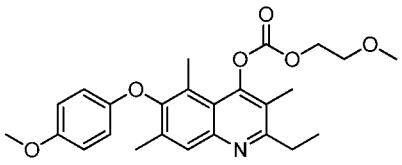
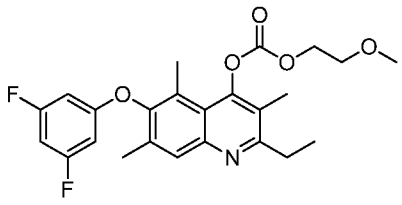
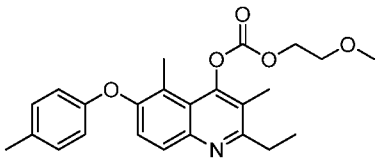
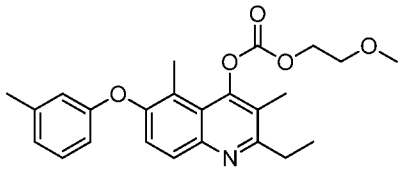
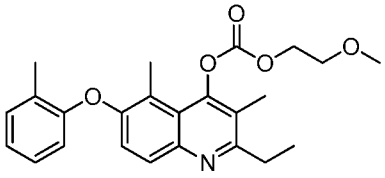
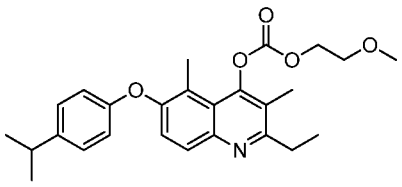
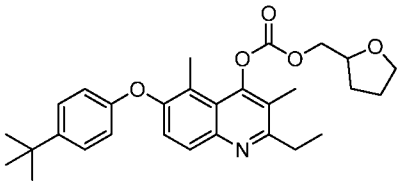
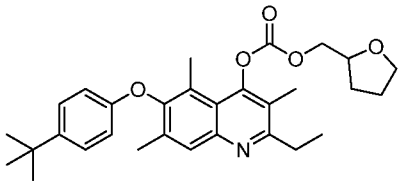
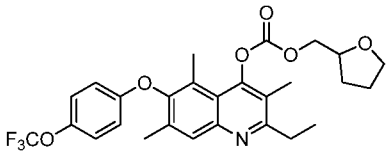
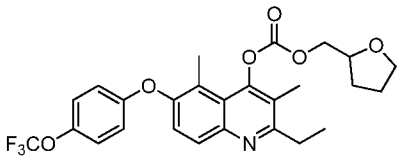
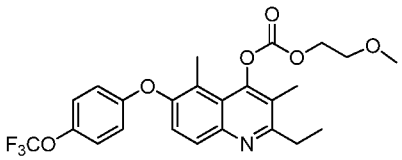
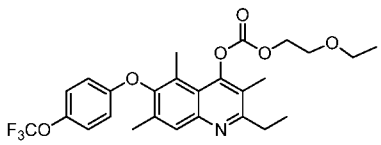
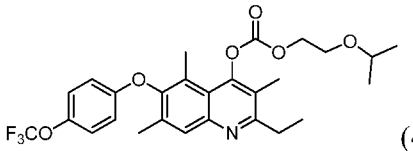

(24),


(25),

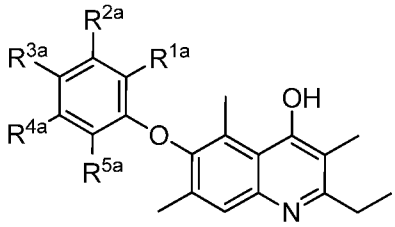

(26),


(27),


(28),


(29),

(30),

(31),

(32),

(33),

(34),

(35),

(36),

(37),

(38),

(39),

(40) or

(41).

13. A compound of Formula (II) or a stereoisomer, an *N*-oxide or a salt thereof:


(II);

wherein

each of R^{1a}, R^{2a}, R^{3a}, R^{4a} and R^{5a} is independently hydrogen, halo, hydroxy, cyano, nitro, amino, carboxy, C_{1-4} alkyl, halo C_{1-4} alkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, halo C_{1-4} alkoxy or halo C_{1-4} alkylthio;

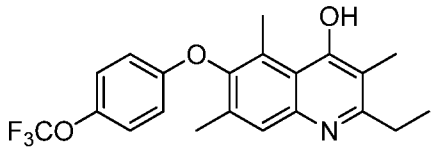
with the proviso that the compound of Formula (II) is not 2-ethyl-3,5,7-trimethyl-6-(4-(1,1,2,2-tetrafluoroethoxy)phenoxy)quinolin-4-ol.

14. The compound of claim 13, wherein

each of R^{1a}, R^{2a}, R^{3a}, R^{4a} and R^{5a} is independently hydrogen, fluoro, chloro, bromo, iodo, hydroxy, cyano, nitro, amino, carboxy, $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH(CH_3)_2$, $-CH_2CH_2CH_2CH_3$, $-CH(CH_3)CH_2CH_3$, $-CH_2CH(CH_3)CH_3$, $-C(CH_3)_3$, $-CH_2F$, $-CF_2H$, $-CF_3$, $-CF_2CHF_2$, $-CF_2CHFCF_3$, $-CF(CF_3)_2$, $-OCH_3$, $-OCH_2CH_3$, $-OCH_2CH_2CH_3$, $-OCH(CH_3)_2$, $-OC(CH_3)_3$, $-OCH_2F$, $-OCF_2H$, $-OCF_3$, $-OCH_2CF_3$, $-OCF_2CHF_2$, $-OCF_2CHFCF_3$, $-OCH(CF_3)CH_3$ or $-OCF(CF_3)_2$;

with the proviso that when R^{1a}, R^{2a}, R^{4a} and R^{5a} are hydrogen, R^{3a} is not $-OCF_2CHF_2$.

15. The compound of claim 13 or 14 having the following structure or a stereoisomer, an *N*-oxide or a salt thereof,



(42).

16. A composition comprising the compound of any one of claims 1 to 15 and an agriculturally acceptable surfactant and/or carrier.

17. Use of the compound of any one of claims 1 to 15 or the composition of claim 16 for controlling pests.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/CN2019/085094

A. CLASSIFICATION OF SUBJECT MATTER

C07D 215/233(2006.01)i; C07D 401/12(2006.01)i; A01N 43/42(2006.01)i; A01P 7/04(2006.01)i

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

C07D; A01N; A01P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

VEN, CNABS, CNKI, CAplus, Registry: quinoline, insecticide, pesticide, structure search

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	CN 1993328 A (MEIJI SEIKA KAISHA, LTD. ET AL.) 04 July 2007 (2007-07-04) See claims 1-16; description, page 12, line 17, page 80, lines 8-9, compounds 87, 120 and 135, and synthesis examples 5, 15, 17.	1-17

☐ Further documents are listed in the continuation of Box C.

☑ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
23 July 2019	**01 August 2019**

Name and mailing address of the ISA/CN	Authorized officer
National Intellectual Property Administration, PRC **6, Xitucheng Rd., Jimen Bridge, Haidian District, Beijing** **100088** **China**	**SHA,Lei**
Facsimile No. **(86-10)62019451**	Telephone No. **62084377**

INTERNATIONAL SEARCH REPORT
Information on patent family members

International application No.
PCT/CN2019/085094

Patent document cited in search report	Publication date (day/month/year)	Patent family member(s)	Publication date (day/month/year)
CN 1993328 A	04 July 2007	IL 180887 A	30 April 2014
		AU 2005268166 B2	20 October 2011
		JP WO2006013896 A1	01 May 2008
		JP 2009155340 A	16 July 2009
		EP 1780202 B1	27 February 2013
		BR PI0514051 B1	22 July 2014
		CA 2574095 A1	09 February 2006
		KR 20070053730 A	25 May 2007
		JP 2009221231 A	01 October 2009
		TW 200616962 A	01 June 2006
		JP 5015203 B2	29 August 2012
		JP 5128536 B2	23 January 2013
		AU 2005268166 A1	09 February 2006
		JP 2009102312 A	14 May 2009
		WO 2006013896 A1	09 February 2006
		TW I367721 B	11 July 2012
		KR 101259191 B1	29 April 2013
		RU 2424232 C2	20 July 2011
		EP 1780202 A1	02 May 2007
		US 2007203181 A1	30 August 2007
		CA 2574095 C	21 May 2013
		IL 180887 D0	04 July 2007
		EP 1780202 A4	17 June 2009
		RU 2007107942 A	10 September 2008
		ES 2407813 T3	14 June 2013
		BR PI0514051 A	27 May 2008
		JP 4319223 B2	26 August 2009
		CN 1993328 B	22 December 2010
		US 7880006 B2	01 February 2011