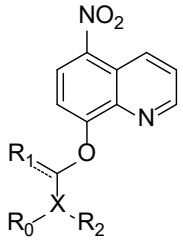
WHAT IS CLAIMED IS:

1. A compound of formula (I),



(I)

or a mesomer, racemate, enantiomer, diastereomer thereof, or mixture thereof, or a pharmaceutically acceptable salt thereof,

wherein ⟍ represents a single bond ~~or a double bond~~;

R_1 is selected from the group consisting of hydrogen~~,~~ or C_{1-6} alkyl~~, S and O~~;

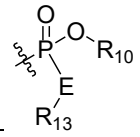
X is selected from the group consisting of O, ~~N,~~ S, ~~$(CH_2)_n$-, aryl~~ and 5-7 membered heterocyclyl;

wherein:

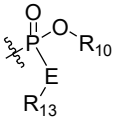
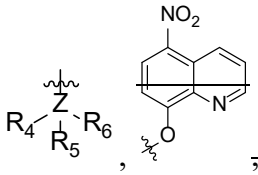
~~when X is selected from N, R_0 and R_2 are each independently selected from the group consisting of hydrogen, C_{1-6} alkyl, aryl and heterocyclyl;~~

when X is 5-7 membered ~~selected from the group consisting of aryl and~~ heterocyclyl, R_0 and R_2 are each independently selected from the group consisting of hydrogen, ~~halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ oxo and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

when X is selected from the group consisting of O~~,~~ or S ~~and $(CH_2)_n$-~~, R_2 is absent, and R_0 is selected from the group consisting of ~~C_{1-6} alkyl, cycloalkyl, heterocyclyl, -~~

~~COR_{11}, $C(O)OR_{12}$,~~  and  ~~, wherein the C_{1-6} alkyl, cycloalkyl and heterocyclyl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

wherein,

when R_0 is selected from , E is selected from the group consisting of O and NR_{14}, R_{10}, R_{13} and R_{14} are each independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_6-C_{10} aryl, wherein the C_{1-6} alkyl is ~~and aryl are~~ optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~hydroxy, thiol, carboxy, alkoxycarbonyl, alkyl, alkoxy, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl, C_6-C_{10} arylalkyl, 5-10 membered heteroaryl, 5-10 membered heteroarylalkyl, $-OR_{12}$, ~~$-COR_{11}$,~~ $-C(O)OR_{12}$, $-OC(O)R_{11}$ and $-OC(O)OR_{12}$; when R_0 is selected from , Y is selected from the group consisting of O~~, N~~ and S, R_3 is selected from the group consisting of , ~~, cycloalkyl,~~ 5-7 membered heterocyclyl, ~~aryl and heteroaryl,~~ wherein the 5-7 membered ~~cycloalkyl,~~ heterocyclyl~~, aryl and heteroaryl are~~ is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~hydroxy, thiol, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~cycloalkyl, heterocyclyl, aryl, heteroaryl~~ and $-OC(O)R_{11}$, and Z is selected from the group consisting of C, N and O;

wherein, when Z is selected from C, then R_4, R_5 and R_6 are each independently selected from the group consisting of hydrogen, ~~halogen,~~ C_1-C_{12} alkyl, ~~cycloalkyl,~~ 5-7 membered heterocyclyl, C_6-C_{10} aryl, 5-10 membered heteroaryl, $-OR_{12}$, $-SR_{12}$, $-C(O)R_{11}$,

-C(O)OR_{12}, -C(O)-$(CH_2)_m$-C(O)R_{11}, -OC(O)R_{11}, -NR^aR^b, -N(R^c)C(O)R^d, -C(O)N(R^a)(R^b), -N(R^c)S(O)$_p$$R^d$, -S(O)$_p$N($R^a$)($R^b$), -O$(CH_2)_mO(CH_2)_q$$R_{12}$ and -N(R^c)C(O)-$(CH_2)_m$-N(R^c)C(O)R^d, wherein the C_1-C_{12} alkyl, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano, hydroxy, thiol,~~ C_1-C_6 alkyl, ~~alkoxy, alkenyl, alkynyl,~~ OR_{12}, SR_{12}, ~~NR^aR^b,~~ -C(O)R_{11}, -C(O)OR_{12}, -OC(O)R_{11}, -N(R^c)C(O)R^d, -O$(CH_2)_m$O$(CH_2)_q$$R_{12}$, ~~cycloalkyl,~~ 5-7 membered heterocyclyl, C_6-C_{10} aryl, C_6-C_{10} arylalkyl, 5-10 membered heteroaryl and 5-10 membered heteroarylalkyl, wherein the C_6-C_{10} aryl, C_6-C_{10} arylalkyl, 5-10 membered heteroaryl and 5-10 membered heteroarylalkyl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen ~~, amino, nitro, cyano,~~ and hydroxy~~, thiol, carboxy, alkoxycarbonyl, oxo, alkyl and alkoxy~~; or

any one of R_4, R_5 and R_6 is hydrogen, and the remaining two together with Z form a C_3-C_6 cycloalkyl or 5-7 membered heterocyclyl, wherein the C_3-C_6 cycloalkyl or 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of ~~halogen, amino, nitro, cyano, hydroxy, thiol, alkyl, alkoxy, alkenyl, alkynyl,~~ -C(O)R_{11}, ~~and~~ -C(O)OR_{12}, ~~-OC(O)R_{11}, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

when Z is selected from N, R_6 is absent, R_4 and R_5 are each independently selected from the group consisting of hydrogen, ~~halogen,~~ C_1-C_{12} alkyl, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl, 5-10 membered heteroaryl, ~~-OR_{12}, -SR_{12}, -C(O)R_{11}, -C(O)OR_{12}, -OC(O)R_{11}, -NR^aR^b, -N(R^e)C(O)R^d, -C(O)N(R^a)(R^b), -N(R^e)S(O)$_p$$R^d$, -S(O)$_p$N($R^a$)($R^b$), -O$(CH_2)_mO(CH_2)_q$$R_{12}$ and -N(R^e)C(O)-$(CH)_m$-N(R^e)C(O)R^d,~~ wherein the C_1-C_{12} alkyl, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano, hydroxy, thiol,~~ C_1-C_6 alkyl, ~~alkoxy, alkenyl, alkynyl,~~ OR_{12}, SR_{12}, ~~NR^aR^b,~~ -C(O)R_{11}, -C(O)OR_{12}, -OC(O)R_{11}, -$(CH_2)_m$-OC(O)R_{11}, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl, C_6-C_{10} arylalkyl, 5-10 membered heteroaryl and 5-10 membered heteroarylalkyl; or

R_4, R_5 and Z together form a ~~cycloalkyl or~~ 5-7 membered heterocyclyl, wherein the ~~cycloalkyl or~~ 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano,~~ hydroxy, thiol, C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, -C(O)R_{11}, -C(O)OR_{12}, -OC(O)R_{11},~~ C_3-C_6 cycloalkyl, and 5-7 membered heterocyclyl~~, aryl and heteroaryl~~;

when Z represents O, R_5 and R_6 are absent, R_4 is selected from the group consisting of $C_{1\text{-}6}$ alkyl, aryl and heterocyclyl, wherein the $C_{1\text{-}6}$ alkyl, aryl and heterocyclyl are optionally further substituted by one or more -OH;

or

when X is selected from the group consisting of O~~, N,~~ and S ~~and -$(CH_2)_n$-~~, R_2 is absent, R_1, X and R_0 together form a ~~cycloalkyl or~~ 5-7 membered heterocyclyl, wherein the ~~cycloalkyl or~~ 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of ~~halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl,~~ oxo~~,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

R_{11} and R_{12} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, ~~cyano, amino, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino,~~ nitro, ~~cyano,~~ hydroxy, thiol, ~~carboxy, alkoxycarbonyl, oxo,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~-NR^aR^b,~~ -OR^d, -$N(R^c)C(O)R^d$, -$C(O)N(R^a)(R^b)$, -$N(R^c)S(O)_pR^d$, -$S(O)_pN(R^a)(R^b)$, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl;

R^a and R^b are each independently selected from the group consisting of hydrogen, ~~halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~and C_1-C_6 alkyl, ~~alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl,~~ wherein the ~~amino,~~ C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are~~ is optionally further substituted by one or more substituent(s) selected from the group

consisting of halogen~~, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

or, R^a and R^b together with the atoms to which they are attached form a 5-7 membered heterocyclyl, wherein the 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano,~~ oxo, ~~hydroxy, thiol, carboxy, alkoxycarbonyl,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

R^c and R^d are each independently selected from the group consisting of hydrogen, ~~halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the ~~amino,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen~~, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

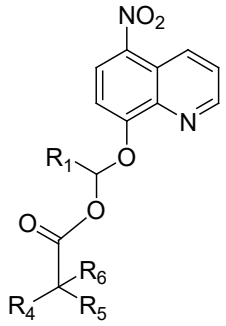
n is selected from an integer from 1 to 8;

m is selected from an integer from 0 to 6;

p is selected from the group consisting of 0, 1 and 2;

q is selected from an integer from 0 to 6.

2. The compound of formula (I) according to claim 1, being a compound of formula (II),



(II)

wherein,

R_1 is selected from the group consisting of hydrogen and C_{1-6} alkyl;

R_4, R_5 and R_6 are each independently selected from the group consisting of hydrogen, ~~halogen,~~ C_1-C_{12} alkyl, ~~cycloalkyl,~~ 5-7 membered heterocyclyl, C_6-C_{10} aryl, 5-10 membered heteroaryl, -OR_{12}, -SR_{12}, -$C(O)R_{11}$, -$C(O)OR_{12}$, -$C(O)$-$(CH_2)_m$-$C(O)R_{11}$, -$OC(O)R_{11}$, -NR^aR^b, -$N(R^c)C(O)R^d$, -$C(O)N(R^a)(R^b)$, -$N(R^c)S(O)_pR^d$, -$S(O)_pN(R^a)(R^b)$, -$O(CH_2)_mO(CH_2)_qR_{12}$ and -$N(R^c)C(O)$-$(CH_2)_m$-$N(R^c)C(O)R^d$, wherein the C_1-C_{12} alkyl, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano, hydroxy, thiol,~~ C_1-C_6 alkyl, ~~alkoxy, alkenyl, alkynyl,~~ OR_{12}, SR_{12}, ~~NR^aR^b,~~ -C(O)R_{11}, -$C(O)OR_{12}$, -$OC(O)R_{11}$, -$N(R^c)C(O)R^d$, -$O(CH_2)_mO(CH_2)_qR_{12}$, ~~cycloalkyl,~~ 5-7 membered heterocyclyl, C_6-C_{10} aryl, C_6-C_{10} arylalkyl, 5-10 membered heteroaryl and 5-10 membered heteroarylalkyl, wherein the C_6-C_{10} aryl, C_6-C_{10} arylalkyl, 5-10 membered heteroaryl and 5-10 membered heteroarylalkyl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen~~,~~ ~~amino, nitro, cyano,~~ and hydroxy~~, thiol, carboxy, alkoxycarbonyl, oxo, alkyl and alkoxy~~; or

any one of R_4, R_5 and R_6 is hydrogen, and the remaining two together with Z form a C_3-C_6 cycloalkyl or 5-7 membered heterocyclyl, wherein the C_3-C_6 cycloalkyl or 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of ~~halogen, amino, nitro, cyano, hydroxy, thiol, alkyl, alkoxy, alkenyl, alkynyl,~~ -C(O)R_{11}~~,~~ and -C(O)OR_{12}~~, -OC(O)R_{11}, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

R_{11} and R_{12} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, ~~cyano, amino, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino,~~ nitro, ~~cyano, hydroxy,~~ thiol, ~~carboxy, alkoxycarbonyl, oxo,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~NR^aR^b,~~ -OR^d,

$-N(R^c)C(O)R^d$, $-C(O)N(R^a)(R^b)$, $-N(R^c)S(O)_pR^d$, $-S(O)_pN(R^a)(R^b)$, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl;

R^a and R^b are each independently selected from the group consisting of hydrogen, ~~halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~, wherein the ~~amino,~~ C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are~~ is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen~~, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

or, R^a and R^b together with the atoms to which they are attached form a 5-7 membered heterocyclyl, wherein the 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano,~~ oxo, ~~hydroxy, thiol, carboxy, alkoxycarbonyl,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

R^c and R^d are each independently selected from the group consisting of hydrogen, ~~halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the ~~amino,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino,~~ nitro~~, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

m is selected from an integer from 0 to 6;

p is selected from the group consisting of 0, 1 and 2;

q is selected from an integer from 0 to 6.

~~3. The compound of formula (I) according to claim 1 or 2,~~

~~wherein,~~

~~R_4, R_5 and R_6 are each independently selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, $-OR_{12}$, $-SR_{12}$, $-C(O)R_{11}$, $-C(O)OR_{12}$, $-C(O)-(CH)_m$-~~

~~$C(O)R_{11}$, $-OC(O)R_{11}$, $-(CH)_m-N(R^e)C(O)R_{11}$, $-NR^aR^b$, $-N(R^e)C(O)R^d$, $-C(O)N(R^a)(R^b)$, $-N(R^e)S(O)_pR^d$, $-S(O)_pN(R^a)(R^b)$, $-O(CH_2)_mO(CH_2)_qR_{12}$ and $-N(R^e)C(O)-(CH)_m-N(R^e)C(O)R^d$, wherein the alkyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, hydroxy, alkyl, OR_{12}, SR_{12}, NR^aR^b, $-COR_{11}$, $-C(O)OR_{12}$, $-O(O)CR_{11}$, $-N(R^e)C(O)R^d$, $-O(CH_2)_mO(CH_2)_qR_{12}$, cycloalkyl, heterocyclyl, aryl, arylalkyl, heteroaryl and heteroarylalkyl, wherein the aryl, arylalkyl, heteroaryl and heteroarylalkyl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, hydroxy, thiol, alkyl and alkoxy;~~

~~R_{11} and R_{12} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, alkyl, alkoxy, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the alkyl, alkoxy, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, hydroxy, alkyl, alkoxy, $-NR^aR^b$, $-OR^d$, $-N(R^e)C(O)R^d$, $-C(O)N(R^a)(R^b)$, $-N(R^e)S(O)_pR^d$, $-S(O)_pN(R^a)(R^b)$, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~R^a and R^b are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the amino, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~or, R^a and R^b together with the atoms to which they are attached form a heterocyclyl, wherein the heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, oxo, hydroxy, thiol, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~R^e and R^d are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the amino, alkyl, alkoxy,~~

~~alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~m is selected from an integer from 0 to 6;~~

~~p is selected from the group consisting of 0, 1 and 2;~~

~~q is selected from an integer from 0 to 6.~~

~~4~~3. The compound of formula (I) according to claim ~~3~~2, wherein,

R_4, R_5 and R_6 are each independently selected from the group consisting of hydrogen, C_1-C_{12} alkyl, C_6-C_{10} aryl, 5-10 membered heteroaryl, $-OR_{12}$, $-SR_{12}$, $-C(O)R_{11}$, $-C(O)OR_{12}$, $-C(O)-(CH_2)_m-C(O)R_{11}$, $-OC(O)R_{11}$ and $-(CH_2)_m-N(R^c)C(O)R_{11}$, wherein the C_1-C_{12} alkyl, C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~hydroxy,~~ C_1-C_6 alkyl, OR_{12}, SR_{12}, ~~NR^aR^b,~~ $C(O)R_{11}$, $-C(O)OR_{12}$, $-O(O)CR_{11}$, $-N(R^c)C(O)R^d$, $-O(CH_2)_mO(CH_2)_qR_{12}$, ~~cycloalkyl,~~ 5-7 membered heterocyclyl, C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_6-C_{10} aryl, ~~arylalkyl,~~ and 5-10 membered heteroaryl ~~and heteroarylalkyl~~ are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen and hydroxy;

R_{11} and R_{12} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, hydroxy,~~ C_1-C_6 alkyl, $-OR^d$, $-N(R^c)C(O)R^d$, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl;

R^a and R^b are each independently selected from the group consisting of hydrogen ~~,~~ ~~halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~, wherein the ~~amino,~~ C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are~~ is

optionally further substituted by one or more substituent(s) selected from the group consisting of halogen~~, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

or, R^a and R^b together with the atoms to which they are attached form a 5-7 membered heterocyclyl, wherein the 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano,~~ oxo~~, hydroxy, thiol, carboxy, alkoxycarbonyl,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

R^c and R^d are each independently selected from the group consisting of hydrogen, ~~halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the ~~amino,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino,~~ nitro, ~~cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

m is selected from an integer from 0 to 6;

q is selected from an integer from 0 to 6.

~~5. The compound of formula (I) according to claim 1 or 2,~~

~~wherein,~~

~~any one of R_4, R_5 and R_6 is hydrogen, and the remaining two together with the carbon atom to which they are attached form a cycloalkyl or heterocyclyl, wherein the cycloalkyl or heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, alkyl, alkoxy, alkenyl, alkynyl, $-C(O)R_{11}$, $-C(O)OR_{12}$, $-OC(O)R_{11}$, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~R_{11} and R_{12} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the alkyl, alkoxy, alkenyl,~~

~~alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, $-NR^aR^b$, $-OR^d$, $-N(R^e)C(O)R^d$, $-C(O)N(R^a)(R^b)$, $-N(R^e)S(O)_pR^d$, $-S(O)_pN(R^a)(R^b)$, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, hydroxyaryl and heteroaryl;~~

~~R^a and R^b are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the amino, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~or, R^a and R^b together with the atoms to which they are attached form a heterocyclyl, wherein the heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, oxo, hydroxy, thiol, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~R^e and R^d are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the amino, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~p is selected from the group consisting of 0, 1 and 2.~~

~~6~~4. The compound of formula (I) according to claim ~~5~~2,

wherein,

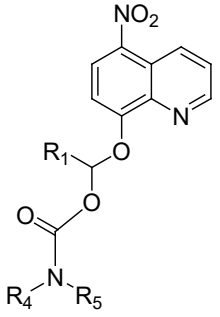
any one of R_4, R_5 and R_6 is hydrogen, and the remaining two together with the carbon atom to which they are attached form a C_3-C_6 cycloalkyl or 5-7 membered

heterocyclyl, wherein the C_3-C_6 cycloalkyl or 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of -$C(O)R_{11}$ and -$C(O)OR_{12}$;

R_{11} and R_{12} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~hydroxy,~~ C_1-C_6 alkyl, -OR^d, -$N(R^c)C(O)R^d$, C_6-C_{10} aryl, hydroxyaryl and 5-10 membered heteroaryl;

R^c and R^d are each independently selected from the group consisting of hydrogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_6 alkyl, C_1-C_6 alkoxy, C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen~~, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~.

~~7~~5. The compound of formula (I) according to claim 1, being a compound of formula (III),



(III)

wherein,

R_1 is selected from the group consisting of hydrogen and $C_{1\text{-}6}$ alkyl;

R_4 and R_5 are each independently selected from the group consisting of hydrogen, ~~halogen,~~ C_1-C_{12} alkyl, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl~~,~~ and 5-10 membered

heteroaryl, ~~-OR_{12}, -SR_{12}, -$C(O)R_{11}$, -$C(O)OR_{12}$, -$OC(O)R_{11}$, -NR^aR^b, -$N(R^e)C(O)R^d$, -$C(O)N(R^a)(R^b)$, -$N(R^e)S(O)_pR^d$, -$S(O)_pN(R^a)(R^b)$, -$O(CH_2)_mO(CH_2)_qR_{12}$ and -$N(R^e)C(O)-(CH)_m-N(R^e)C(O)R^d$,~~ wherein the C_1-C_{12} alkyl, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano, hydroxy, thiol,~~ C_1-C_6 alkyl, ~~alkoxy, alkenyl, alkynyl,~~ OR_{12}, SR_{12}, ~~NR^aR^b,~~ -$C(O)R_{11}$, -$C(O)OR_{12}$, -$O(O)CR_{11}$, -$(CH_2)_m$-$OC(O)R_{11}$, ~~cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl, C_6-C_{10} arylalkyl, 5-10 membered heteroaryl and 5-10 membered heteroarylalkyl; or

R_4, R_5 and N atom together form a 5-7 membered heterocyclyl, wherein the 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano,~~ hydroxy, thiol, C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, -$C(O)R_{11}$, -$C(O)OR_{12}$, -$O(O)CR_{11}$,~~ C_3-C_6 cycloalkyl~~,~~ and 5-7 membered heterocyclyl~~, aryl and heteroaryl~~;

R_{11} and R_{12} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, ~~cyano, amino, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino,~~ nitro, ~~cyano, hydroxy,~~ thiol, ~~carboxy, alkoxycarbonyl, oxo,~~ C_1-C_6 alkyl, ~~alkoxy, -NR^aR^b,~~ -OR^d, -$N(R^c)C(O)R^d$, -$C(O)N(R^a)(R^b)$, -$N(R^c)S(O)_pR^d$, -$S(O)_pN(R^a)(R^b)$, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl;

R^a and R^b are each independently selected from the group consisting of hydrogen ~~, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ and C_1-C_6 alkyl, ~~alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl,~~ wherein the ~~amino,~~ C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are~~ is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen~~, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

or, R^a and R^b together with the atoms to which they are attached form a 5-7 membered heterocyclyl, wherein the 5-7 membered heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino, nitro, cyano,~~ oxo ~~, hydroxy, thiol, carboxy, alkoxycarbonyl,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

R^c and R^d are each independently selected from the group consisting of hydrogen, ~~halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the ~~amino,~~ C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen~~, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

m is selected from an integer from 0 to 6;

p is selected from the group consisting of 0, 1 and 2~~;~~

~~q is selected from an integer from 0 to 6~~.

~~8~~6. The compound of formula (I) according to claim ~~7~~5,

wherein,

R_4 and R_5 are each independently selected from the group consisting of hydrogen, C_1-C_{12} alkyl, C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_{12} alkyl, C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, hydroxy, thiol, C_1-C_6 alkyl, $-C(O)R_{11}$, $-C(O)OR_{12}$, $-O(O)CR_{11}$, $-(CH_2)_m-OC(O)R_{11}$, C_6-C_{10} aryl and 5-10 membered heteroaryl;

R_{11} and R_{12} are each independently selected from the group consisting of hydrogen and C_1-C_6 alkyl, wherein the C_1-C_6 alkyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, $-OR^d$ and $-N(R^c)C(O)R^d$;

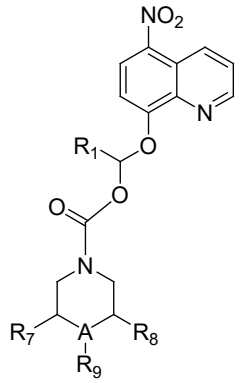
R^c and R^d are each independently selected from the group consisting of hydrogen, C_1-C_6 alkyl, C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_6 alkyl, C_6-C_{10}

aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen~~, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo,~~ and C_1-C_6 alkyl~~, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl~~;

m is selected from an integer from 0 to 6;

p is selected from the group consisting of 0, 1 and 2.

~~9~~7. The compound of formula (I) according to claim ~~1~~5, being a compound of formula (IV),



(IV)

wherein,

R_1 is selected from the group consisting of hydrogen and C_{1-6} alkyl;

A is selected from the group consisting of C, O and N;

R_7 and R_8 are each independently selected from the group consisting of hydrogen, halogen, hydroxy, C_1-C_6 alkyl, C_1-C_6 alkoxy, C_1-C_6 haloalkyl and C_1-C_6 haloalkoxy;

R_9 is selected from the group consisting of hydrogen, halogen, amino, hydroxy, thiol, C_1-C_6 alkyl, C_1-C_6 alkoxy, ~~$-C(O)R_{11}$, $-C(O)OR_{12}$, $-OC(O)R_{11}$,~~ C_3-C_6 cycloalkyl and 5-7 membered heterocyclyl~~;~~

~~R_{11} and R_{12} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino,~~

~~nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, $-NR^aR^b$, $-OR^d$, $-N(R^e)C(O)R^d$, $-C(O)N(R^a)(R^b)$, $-N(R^e)S(O)_pR^d$, $-S(O)_pN(R^a)(R^b)$, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

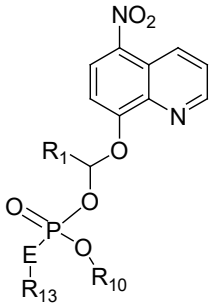
~~R^a and R^b are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the amino, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~or, R^a and R^b together with the atoms to which they are attached form a heterocyclyl, wherein the heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, oxo, hydroxy, thiol, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~R^e and R^d are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the amino, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~p is selected from the group consisting of 0, 1 and 2~~.

~~10~~8. The compound of formula (I) according to claim 1, being a compound of formula (V),



(V)

wherein,

E is selected from the group consisting of O and NR_{14};

R_{10}, R_{13} and R_{14} are each independently selected from the group consisting of hydrogen, C_{1-6} alkyl and C_6-C_{10} aryl, wherein the C_{1-6} alkyl ~~and aryl are~~ is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~hydroxy, thiol, carboxy, alkoxycarbonyl, alkyl, alkoxy, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl, C_6-C_{10} arylalkyl, 5-10 membered heteroaryl, 5-10 membered heteroarylalkyl, $-OR_{12}$, $-COR_{11}$, $-C(O)OR_{12}$, $-OC(O)R_{11}$ and $-OC(O)OR_{12}$;

R_{11} and R_{12} are each independently selected from the group consisting of ~~hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl,~~ C_1-C_6 alkyl, ~~alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl, wherein the C_1-C_6 alkyl, ~~alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl,~~ C_6-C_{10} aryl and 5-10 membered heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, ~~amino,~~ nitro, ~~cyano,~~ hydroxy, thiol, ~~carboxy, alkoxycarbonyl, oxo,~~ C_1-C_6 alkyl~~,~~ and C_1-C_6 alkoxy~~, $-NR^aR^b$, $-OR^d$, $-N(R^e)C(O)R^d$, $-C(O)N(R^a)(R^b)$, $-N(R^e)S(O)_pR^d$, $-S(O)_pN(R^a)(R^b)$, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~R^a and R^b are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the amino, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen,~~

~~amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~or, R^a and R^b together with the atoms to which they are attached form a heterocyclyl, wherein the heterocyclyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, oxo, hydroxy, thiol, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~R^e and R^d are each independently selected from the group consisting of hydrogen, halogen, hydroxy, cyano, amino, carboxy, alkoxycarbonyl, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl, wherein the amino, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl and heteroaryl;~~

~~p is selected from the group consisting of 0, 1 and 2~~.

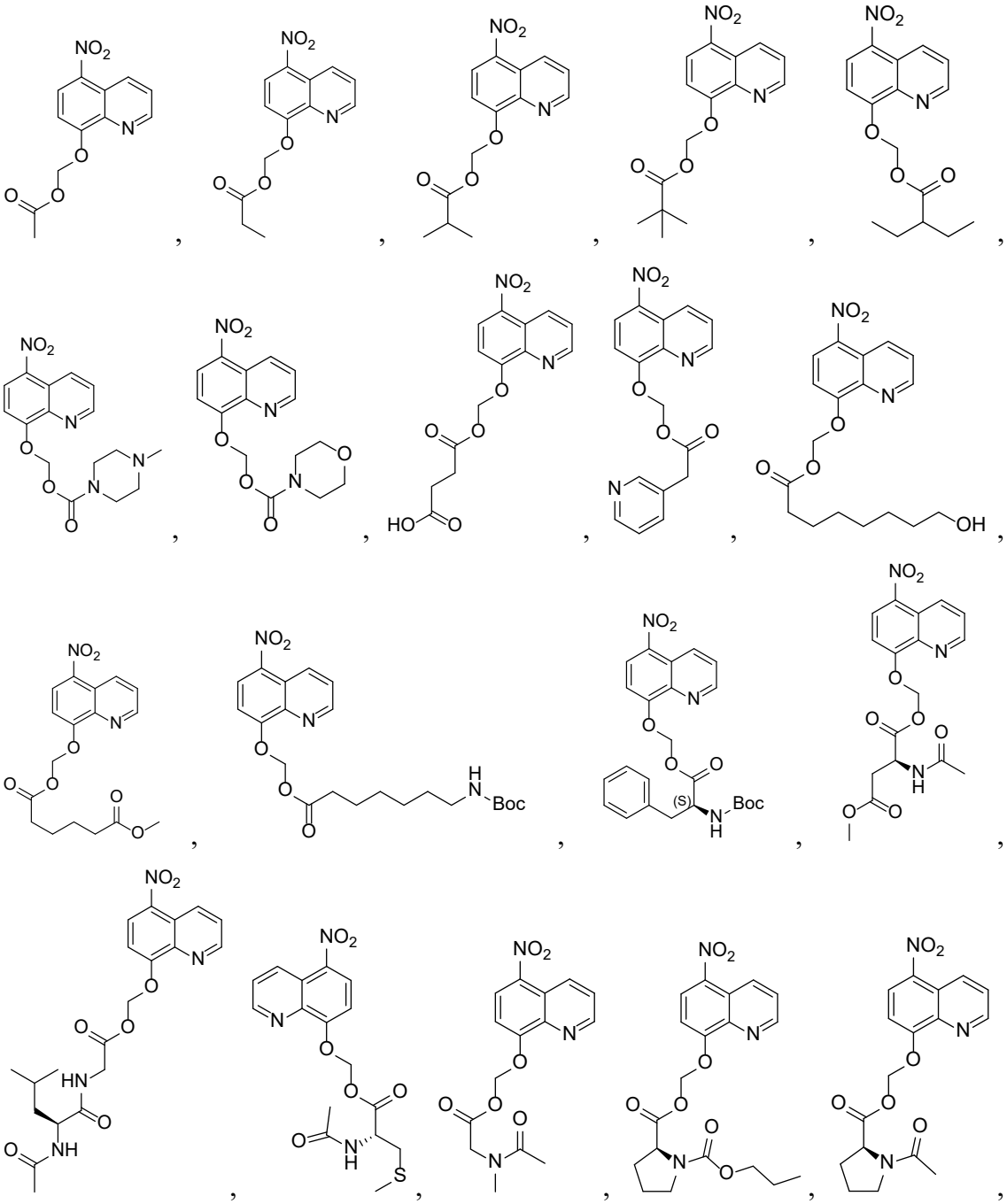
~~11. The compound of formula (I) according to claim 10,~~

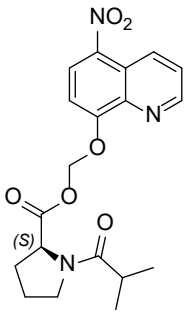
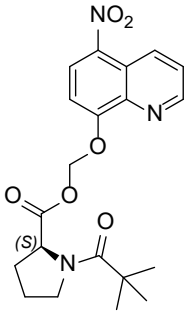
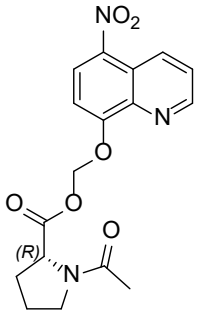
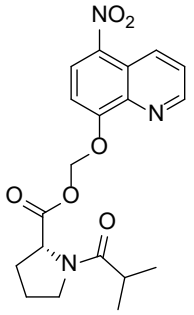
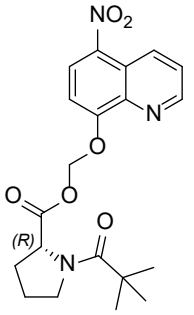
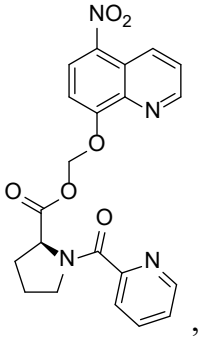
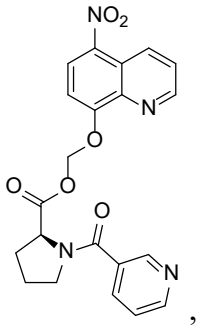
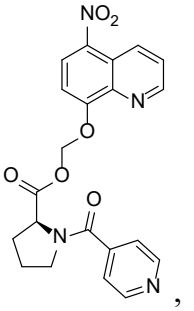
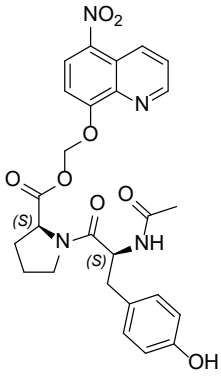
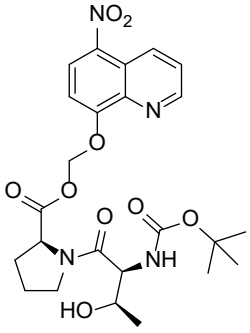
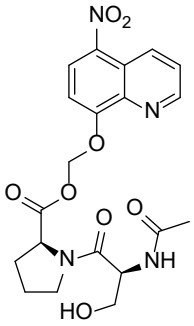
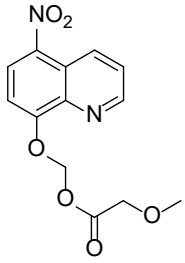
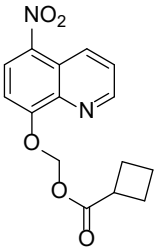
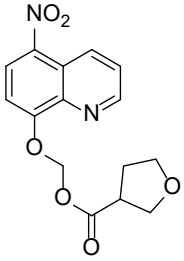
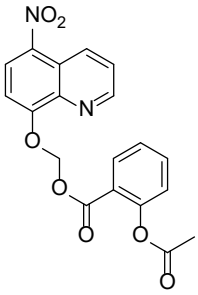
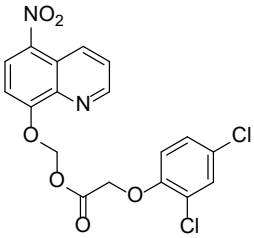
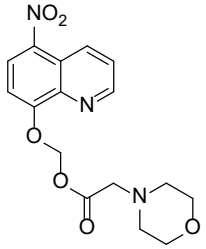
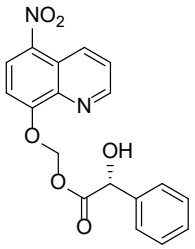
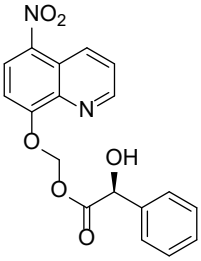
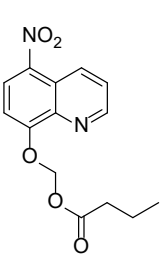
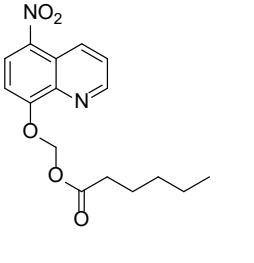
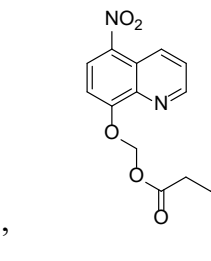
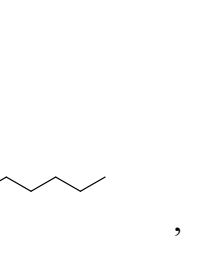
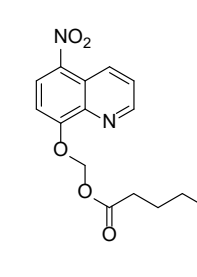
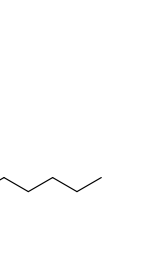
~~wherein,~~

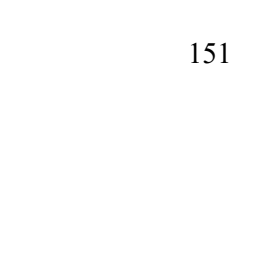
~~R_{10}, R_{13} and R_{14} are each independently selected from the group consisting of hydrogen and C_{1-6} alkyl, wherein the C_{1-6} alkyl is optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, hydroxy, thiol, alkyl, alkoxy, aryl, heteroaryl, $-OR_{12}$, $-COR_{11}$, $-C(O)OR_{12}$ and $-OC(O)OR_{11}$;~~

~~R_{11} and R_{12} are each independently selected from the group consisting of alkyl, aryl and heteroaryl, wherein the alkyl, aryl and heteroaryl are optionally further substituted by one or more substituent(s) selected from the group consisting of halogen, amino, nitro, cyano, hydroxy, thiol, carboxy, alkoxycarbonyl, oxo, alkyl, alkoxy, cycloalkyl, heterocyclyl, aryl and heteroaryl.~~

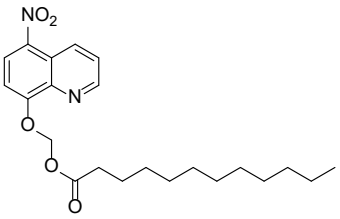
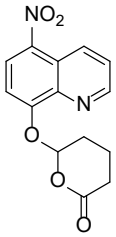
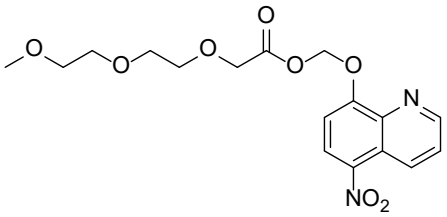
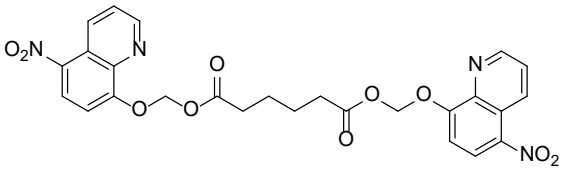
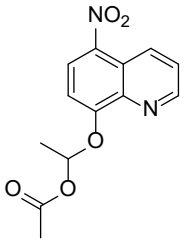
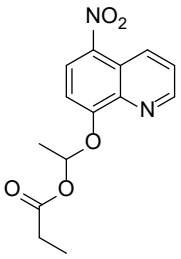
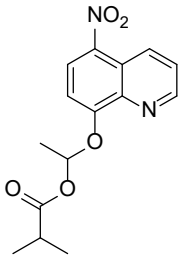
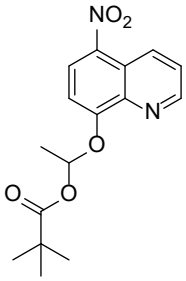
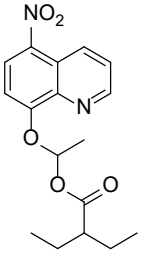
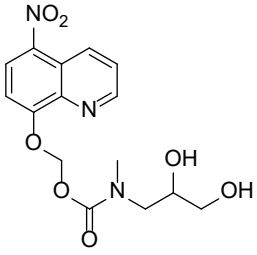
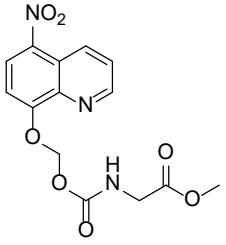
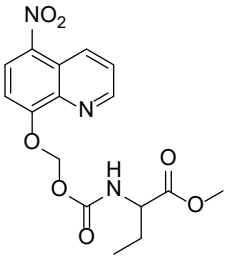
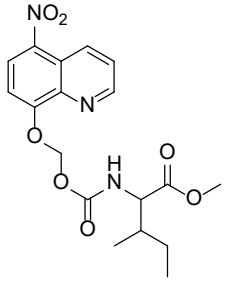
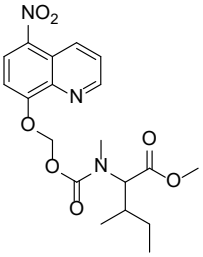
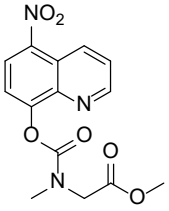
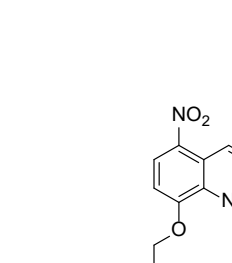
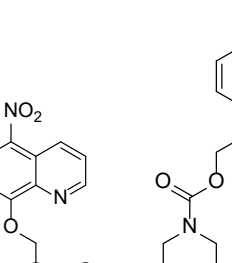
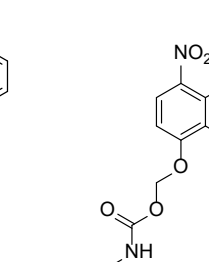
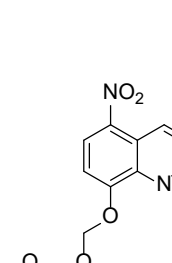
~~12~~9. The compound of formula (I) according to any one of claims 1 to ~~10~~8, selecting from the group consisting of:

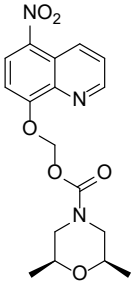
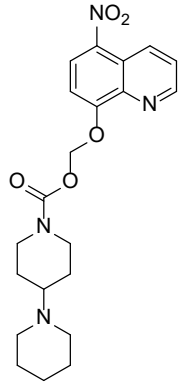



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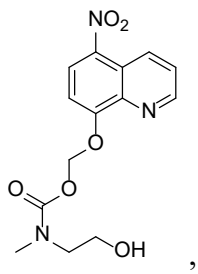
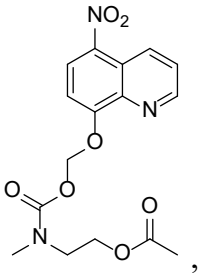
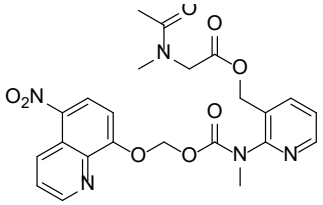
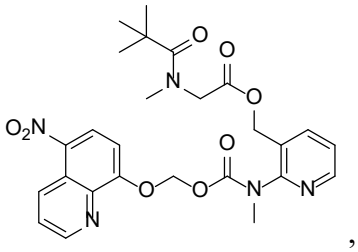
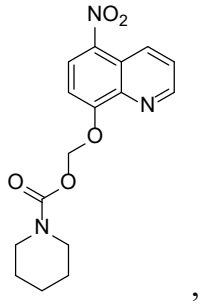
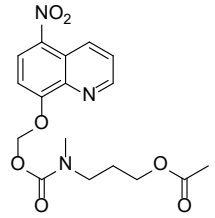
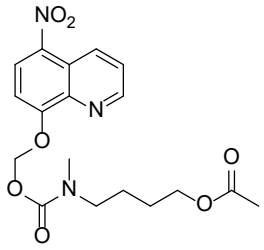
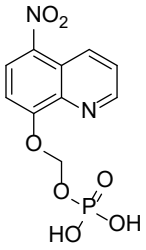
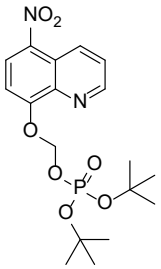
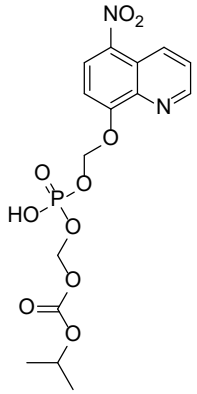
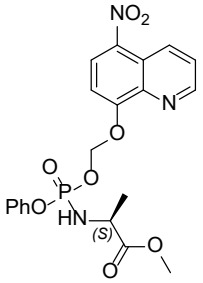
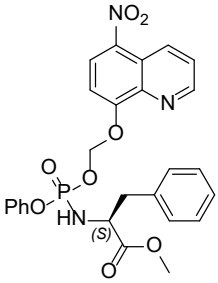
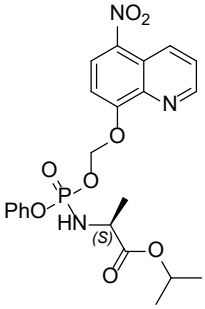
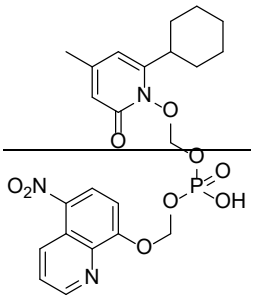
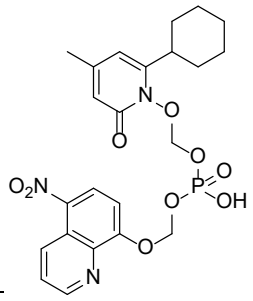
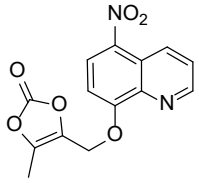
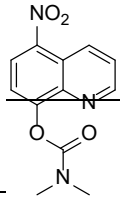
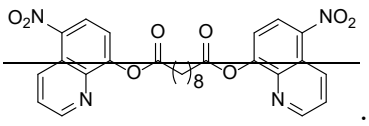
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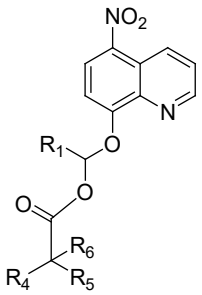
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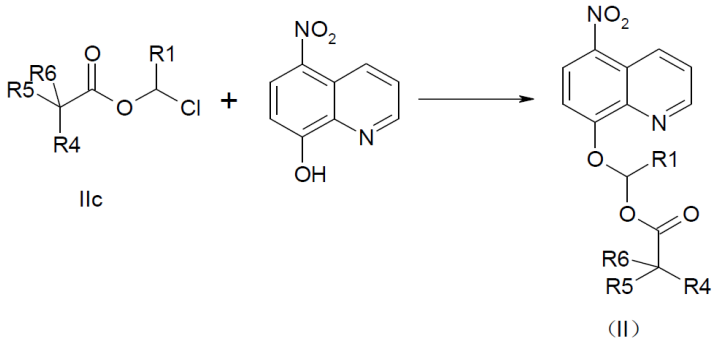

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~~13~~10. A method for preparing a compound of formula (II) or a mesomer, racemate, enantiomer, diastereomer thereof, or mixture thereof, or a pharmaceutically acceptable salt thereof,



(II)

comprising a step of:



IIc

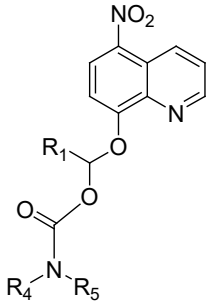
subjecting compound IIc and nitroxoline to a nucleophilic reaction in a solvent in the presence of an alkali to obtain the compound of formula (II);

~~wherein the alkali is preferably selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is preferably selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether;~~

R_1, R_4, R_5 and R_6 are as defined in claim 2.

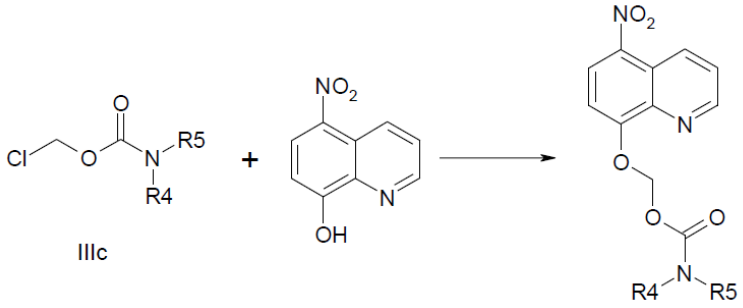
11. The method according to claim 10, wherein the alkali is selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether;

~~14~~12. A method for preparing a compound of formula (III) or a mesomer, racemate, enantiomer, diastereomer thereof, or mixture thereof, or a pharmaceutically acceptable salt thereof,



(III)

comprising a step of:



IIIc

(III)

subjecting compound IIIc and nitroxoline to a nucleophilic reaction in a solvent in the presence of an alkali to obtain the compound of formula (III);

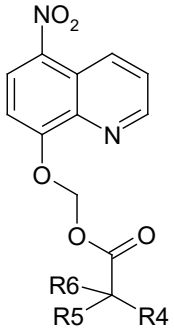
~~wherein the alkali is preferably selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is preferably selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert* butyl methyl ether;~~

R_4 and R_5 are as defined in claim ~~7~~5.

13. The method according to claim 12, wherein the alkali is selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is selected from the group

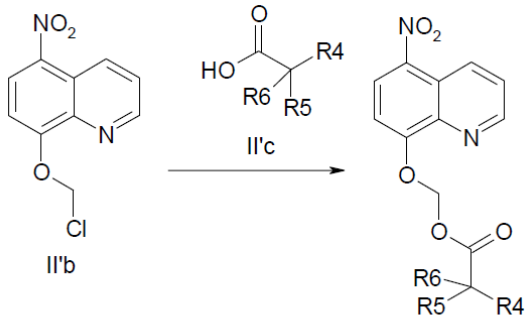
consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether.

~~15~~14. A method for preparing a compound of formula (II') or a mesomer, racemate, enantiomer, diastereomer thereof, or mixture thereof, or a pharmaceutically acceptable salt thereof,



(II')

comprising a step of:



(II')

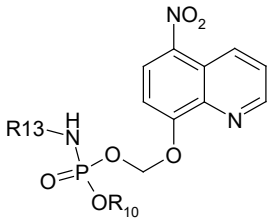
subjecting compound II'b and compound II'c to a nucleophilic reaction in a solvent in the presence of an alkali to obtain the compound of formula (II');

~~wherein the alkali is preferably selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is preferably selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether;~~

R_4, R_5 and R_6 are as defined in claim 2.

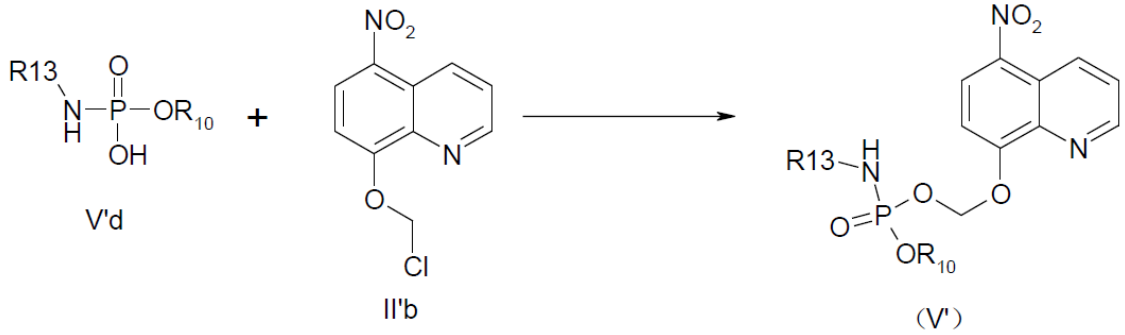
15. The method according to claim 14, wherein the alkali is selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether.

16. A method for preparing a compound of formula (V') or a mesomer, racemate, enantiomer, diastereomer thereof, or mixture thereof, or a pharmaceutically acceptable salt thereof,



(V')

comprising a step of:



V'd II'b (V')

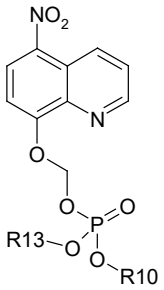
subjecting compound V'd and compound II'b to a nucleophilic reaction in a solvent in the presence of an alkali to obtain the compound of formula (V');

~~wherein the alkali is preferably selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is preferably selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether;~~

R_{10} and R_{13} are as defined in claim ~~10~~8.

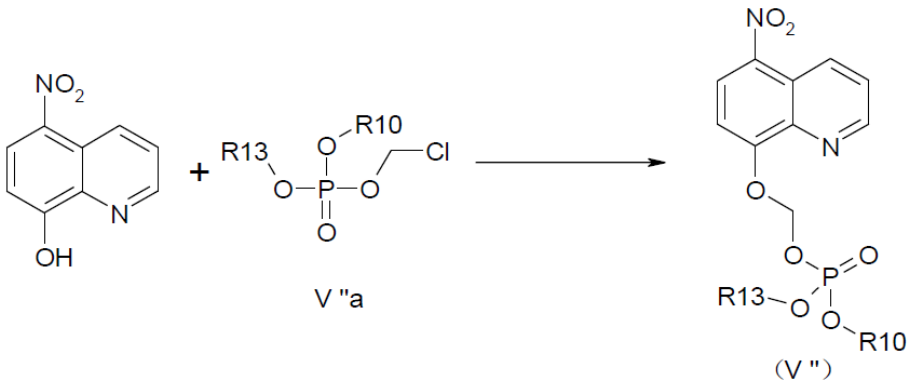
17. The method according to claim 16, wherein the alkali is selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether.

~~17~~18. A method for preparing a compound of formula (V'') or a mesomer, racemate, enantiomer, diastereomer thereof, or mixture thereof, or a pharmaceutically acceptable salt thereof,



(V'')

comprising a step of:



V "a

(V '')

subjecting compound V''a and nitroxoline to a nucleophilic reaction in a solvent in the presence of an alkali to obtain the compound of formula (V'');

~~wherein the alkali is preferably selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is preferably selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether;~~

R_{10} and R_{13} are as defined in claim ~~10~~8.

19. The method according to claim 18, wherein the alkali is selected from the group consisting of potassium carbonate, sodium carbonate, potassium bicarbonate, sodium bicarbonate, triethylamine and pyridine; the solvent is selected from the group consisting of dichloromethane, N,N-dimethylformamide, tetrahydrofuran and *tert*-butyl methyl ether.

~~18~~20. A pharmaceutical composition, comprising the compound of formula (I) according to any one of claims 1 to ~~12~~ 9 or the pharmaceutically acceptable salt thereof and at least one pharmaceutically acceptable carrier.

~~19~~21. Use of the compound of formula (I) according to any one of claims 1 to ~~12~~ 9 or the pharmaceutically acceptable salt thereof or the pharmaceutical composition according to claim ~~18~~ 20 in the preparation of an anti-infective medicament or anti-tumor medicament.

~~20~~22. The use according to claim ~~19~~21, wherein the tumor is selected from the group consisting of bladder cancer, prostate cancer and kidney cancer.