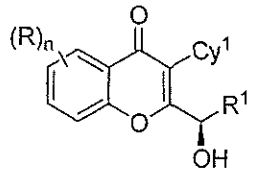
CLAIMS

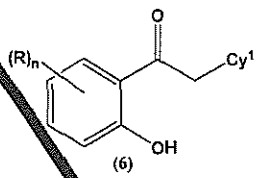
1. A process for preparing a compound of formula (IA)



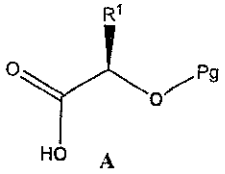
IA

or a salt thereof, the process comprising

(a) reacting a compound of formula (6) with a compound of formula (A)

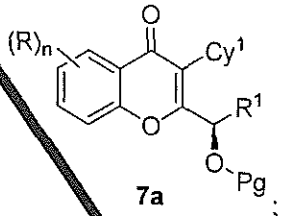


(6)



A

to obtain a compound of formula (7a)



7a;

(b) deprotecting the compound formed in step (a) to obtain a compound of formula (IA); and

(c) optionally converting the compound of formula (IA) to a salt thereof,

wherein

each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, $-COOR^x$, $-C(O)R^x$, $-C(S)R^x$, $-C(O)NR^xR^y$, $-C(O)ONR^xR^y$, $-NR^xR^y$, $-NR^xCONR^xR^y$, $-N(R^x)SOR^x$, $-N(R^x)SO_2R^y$, $-(=N-N(R^x)R^y)$, $-NR^xC(O)OR^y$, $-NR^xC(O)R^y-$, $-NR^xC(S)R^y$ $-NR^xC(S)NR^xR^y$, $-SONR^xR^y$, $-SO_2NR^xR^y$, $-OR^x$, $-OR^xC(O)NR^xR^y$, -

$OR^xC(O)OR^x$, $-OC(O)R^x$, $-OC(O)NR^xR^y$, $-R^xNR^yC(O)R^z$, $-R^xOR^y$, $-R^xC(O)OR^y$, $-R^xC(O)NR^xR^y$, $-R^xC(O)R^y$, $-R^xOC(O)R^y$, $-SR^x$, $-SOR^x$, $-SO_2R^x$, and $-ONO_2$, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (i) any two of R^x and R^y, when bound to a common atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form an oxo (=O), thio (=S) or imino ($=NR^f$) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

R^1 is substituted or unsubstituted C_{1-6} alkyl;

Cy^1 is a monocyclic or bicyclic group selected from substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

Pg is a protecting group; and

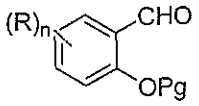
n is an integer selected from 0, 1, 2, 3 or 4.

2. A process of claim 1, wherein the reaction in step (a) is performed in the presence of HATU, HBTU, TBTU, COMU, TOTU, HCTU, TCTU,TATU,TSTU or ,TDBTU.

3. A process of claim 1, wherein in the deprotection reaction of step (b) is performed with aluminium chloride, boron tribromide, or a combination thereof, or by hydrogenation.

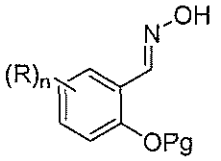
4. The process of claim 1, wherein the compound of formula (6) is prepared by a process comprising

converting a compound of formula (1)



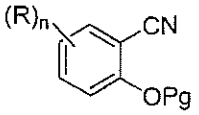
1

wherein Pg is a protecting group, to a compound of formula (2)



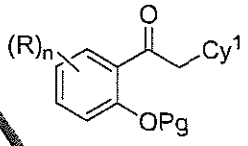
2 ;

converting the compound of formula (2) to a compound of formula (3)



3 ;

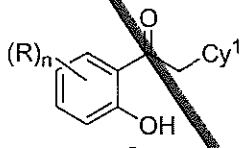
converting the compound of formula (3) to a compound of formula (5)



5

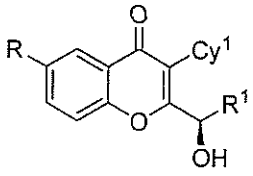
wherein R, n, Cy^1 and Pg are as defined in claim 1; and

deprotecting the compound of formula (5) to give a compound of formula (6)



6 .

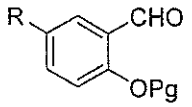
5. A process of any one of claims 1-4, wherein the compound of formula (IA) has the formula (IA-I)



IA-I

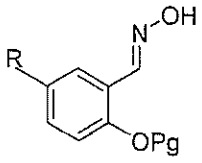
and, the process comprises

converting a compound of formula (1a)



1a

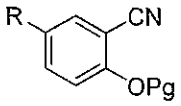
to a compound of formula (2a)



2a

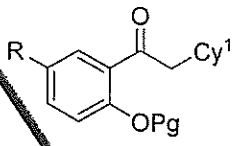
wherein Pg is a protecting group;

converting a compound of formula (2a) to a compound of formula (3a)



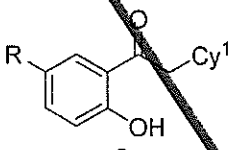
3a ;

converting a compound of formula (3a) to a compound of formula (5a)



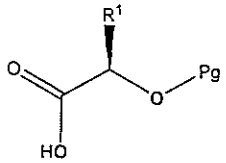
5a ;

deprotecting the compound of formula (5a) to give a compound of formula (6a)



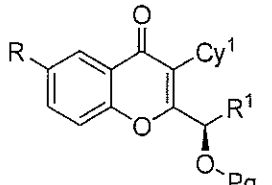
6a ;

reacting the compound of formula (6a) with a compound of formula (A)



A

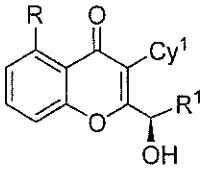
to give a compound of formula (7aa)



7aa ;

deprotecting the compound of formula (7aa) to give the compound of formula (IA-I); and optionally converting the compound of formula (IA-I) to a salt thereof.

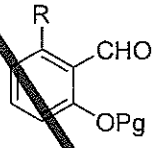
6. A process of any one of claims 1-4, wherein the compound of formula (IA) has the formula (IA-II)



IA-II

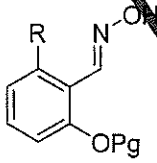
and the process comprises the steps of

converting a compound of formula (1b)



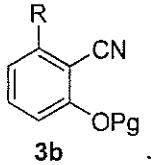
1b

wherein Pg is a protecting group, to a compound of formula (2b)



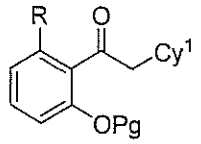
2b ;

converting a compound of formula (2b) to a compound of formula (3b)



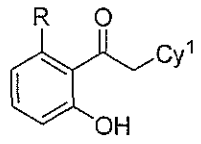
3b ;

converting the compound of formula (3b) to a compound of formula (5b)



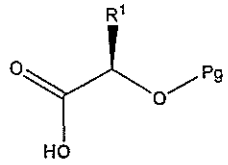
5b ;

deprotecting the compound of formula (5b) to give a compound of formula (6b)



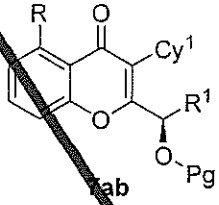
6b ;

reacting the compound of formula (6b) with a compound of formula (A)



A

to give a compound of formula (7ab)

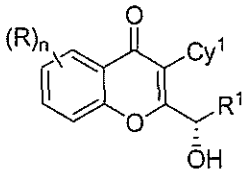


7ab ; and

deprotecting the compound of formula (7ab) to give the desired compound of formula (IA-II); and

optionally, converting the compound of formula (IA-II) to a salt thereof.

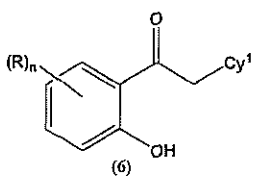
7. A process for preparing a compound of formula (IB)



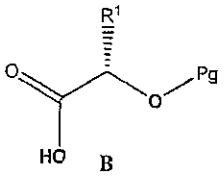
IB

or a salt thereof, the process comprising

(a) reacting a compound of formula (6) with a compound of formula (B)

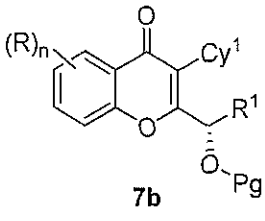


(6)



B

to give a compound of formula (7b)



7b ; and

(b) deprotecting the compound formed in step (a) to obtain a compound of formula (IB) and

(c) optionally converting the compound of formula (IB) to a salt thereof,

wherein

each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, $-COOR^x$, $-C(O)R^x$, $-C(S)R^x$, $-C(O)NR^xR^y$, $-C(O)ONR^xR^y$, $-NR^xR^y$, $-NR^xCONR^xR^y$, $-N(R^x)SOR^x$, $-N(R^x)SO_2R^y$, $-(=N-N(R^x)R^y)$, $-NR^xC(O)OR^y$, $-NR^xC(O)R^y$-, $-NR^xC(S)R^y$, $-NR^xC(S)NR^xR^y$, $-SONR^xR^y$, $-SO_2NR^xR^y$, $-OR^x$, $-OR^xC(O)NR^xR^y$, $-OR^xC(O)OR^x$, $-OC(O)R^x$, $-OC(O)NR^xR^y$, $-R^xNR^yC(O)R^x$, $-R^xOR^y$, $-R^xC(O)OR^y$, $-R^xC(O)NR^xR^y$, $-R^xC(O)R^y$, $-R^xOC(O)R^y$, $-SR^x$, $-SOR^x$, $-SO_2R^x$, and $-ONO_2$, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (i) any two of R^x

and R^y, when bound to a common atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form an oxo (=O), thio (=S) or imino ($=NR^f$) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

R^1 is substituted or unsubstituted C_{1-6} alkyl;

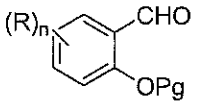
Cy^1 is a monocyclic or bicyclic group selected from substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

Pg is a protecting group; and

n is 0, 1, 2, 3 or 4.

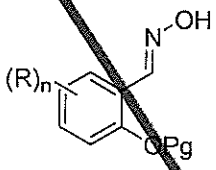
8. A process of claim 7, wherein the compound of formula (6) is prepared by a process comprising

converting a compound of formula (1)



1

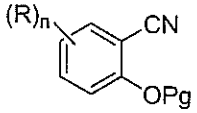
to a compound of formula (2)



2

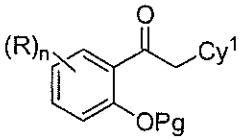
wherein Pg is a protecting group;

converting the compound of formula (2) to a compound of formula (3)



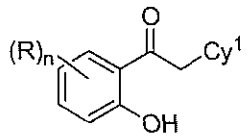
3 ;

converting the compound of formula (3) to a compound of formula (5)



5 ; and

deprotecting the compound of formula (5) to give a compound of formula (6)

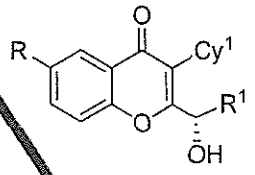


6

9. A process of claim 7, wherein the reaction in step (a) is performed in the presence of HATU, HBTU, TBTU, COMU, TOTU, HCTU, TCTU, TATU, TSTU, or TDBTU.

10. A process of claim 7, wherein the deprotection reaction of step (b) is performed with aluminium chloride, boron tribromide, or a combination thereof, or by hydrogenation.

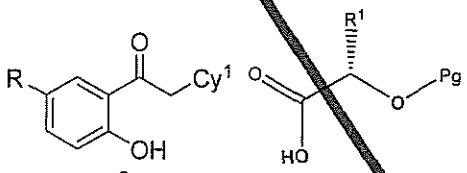
11. A process of any one of claims 7-10, wherein the compound of formula (IB) has the formula (IB-I)



IB-I

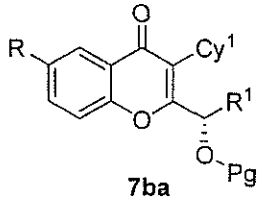
and the process comprises

reacting the compound of formula (6a) with a compound of formula (B)



6a B

to give a compound of formula (7ba)

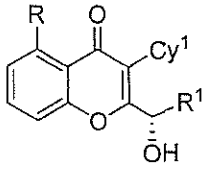


7ba

deprotecting the compound of formula (7ba) to give the desired compound of formula (IB-I); and

optionally, converting the compound of formula (IB-I) to a salt thereof.

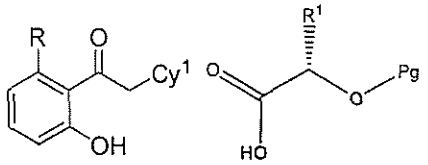
12. A process of any one of claims 7-10, wherein the compound of formula (IB) has the formula (IB-II)



IB-II

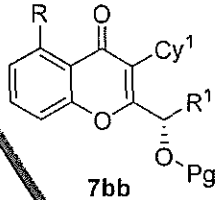
and the process comprises

reacting the compound of formula (6b) with a compound of formula (B)



6b B

to give a compound of formula (7bb)

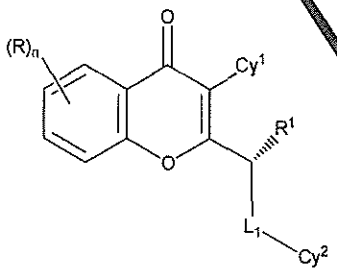


7bb

deprotecting the compound of formula (7bb) to give the compound of formula (IB-II); and

optionally, converting the compound of formula (IB-II) to a salt thereof of the compound.

13. Use of the compound of formula (IA) of claim 1 for preparation of a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

the variables R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

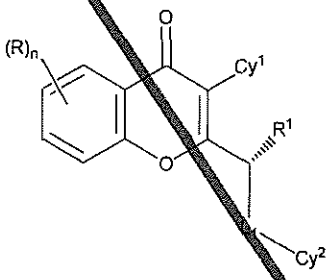
L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ or $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2.

14. Use of the compound of formula (IB) of claim 7 for preparation of a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein the variables R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ or $-C(=Y)-$;

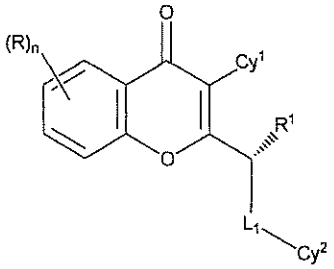
each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted

(C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2.

15. A process for preparing a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, $-O-$, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

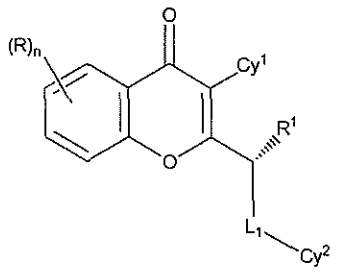
q is 0, 1 or 2,

the process comprising

(a) treating the compound of formula (IA) of claim 1 with Cy^2-H to give the compound of formula (I) or a tautomer thereof; and

(b) optionally converting the compound of formula (I) to an N-oxide thereof, or pharmaceutically acceptable salt thereof.

16. A process for preparing a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

the variables R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ or $-C(=Y)-$;

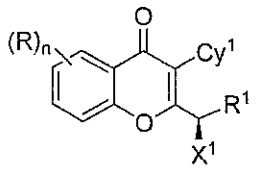
each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2,

the process comprising

treating the compound of formula (IA) of claim 1 with a phosphorus halide or mesyl halide in the presence of a base to give a compound of formula (8a)



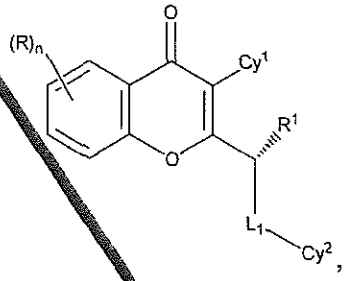
8a

wherein X^1 is halogen or –O-Mesyl; and

reacting the compound of formula (8a) with Cy^2-H in the presence of a base to give the compound of formula (I) or a tautomer thereof; and

optionally, converting the compound of formula (I) to an N-oxide thereof, or pharmaceutically acceptable salt thereof.

17. Use of the compound of formula (IA) of claim 1 for preparation of a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

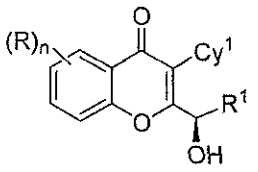
R, n, Cy^1, and R^1 are as defined in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

L_1 is NH.

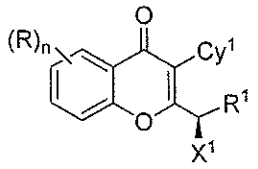
18. The use of claim 17, comprising

reacting the compound of formula (IA)



IA

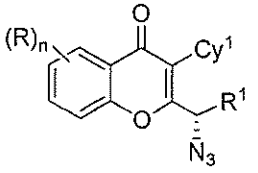
with a phosphorus halide or mesyl halide in the presence of a base to give a compound of formula (8a)



8a

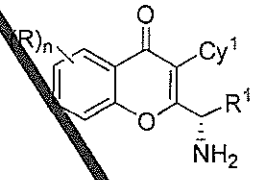
wherein X^1 is halogen or -O-Mesyl;

converting the compound of formula (8a) to give a compound of formula (9a)



9a ;

converting the compound of formula (9a) to give a compound of formula (10a)

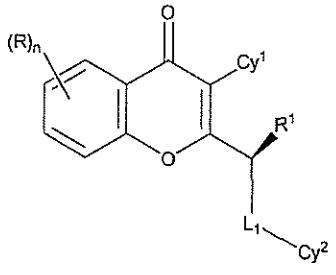


10a ;

coupling the compound of formula (10a) with a compound of formula Cy^2-Lg, wherein Lg is a leaving group, in the presence of a base to give the desired compound of formula (II); and

optionally, converting the compound of formula (II) to a salt thereof.

19. Use of the compound of formula (IB) of claim 7 for preparation of a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

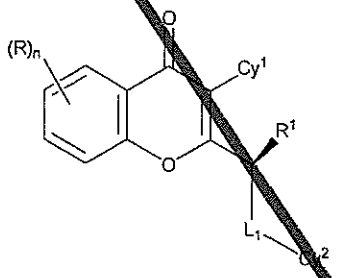
L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2.

20. Use of the compound of formula (IA) of claim 1 for preparation of a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

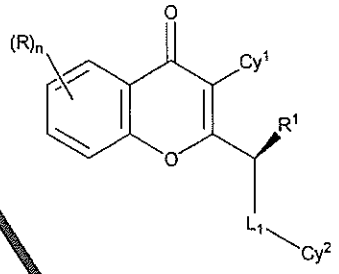
each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$

(wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2.

21. A process for preparing a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

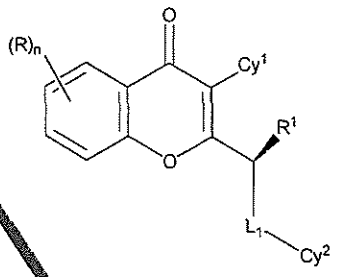
q is 0, 1 or 2,

the process comprising

(a) reacting the compound of formula (IB) of claim 7 with Cy^2-H to give the compound of formula (III) or a tautomer thereof, N-oxide thereof, pharmaceutically acceptable ester thereof, prodrug thereof, or pharmaceutically acceptable salt thereof; and

(b) optionally converting the compound of formula (III) to an N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof.

22. A process for preparing a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

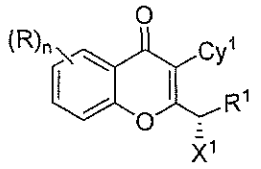
each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2,

the process comprising

reacting the compound of formula (IB) of claim 7 with a phosphorus halide or mesyl halide in the presence of a base to give a compound of formula (8b)



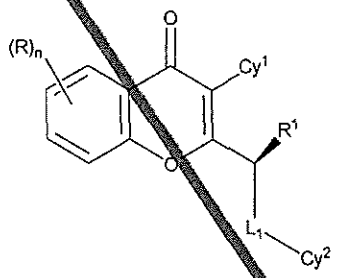
8b

wherein X^1 is halogen or –O-Mesyl; and

reacting the compound of formula (8b) with Cy^2-H in the presence of a base to give the compound of formula (III) or a tautomer thereof; and

optionally, converting the compound of formula (III) to an N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof.

23. Use of the compound of formula (IB) of claim 7 for preparation of a PI3K inhibitor of formula (III)



(III)

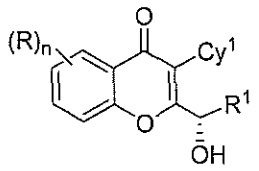
or a tautomer thereof, N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are as defined in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

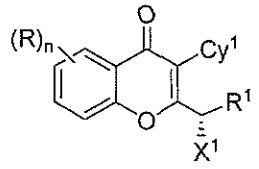
L_1 is NH.

24. A process for preparing a PI3K inhibitor of formula (III) of claim 23 comprising reacting the compound of formula (IB)



IB

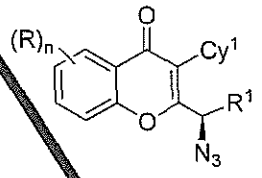
with a phosphorus halide or mesyl halide in the presence of a base to give a compound of formula (8b)



8b

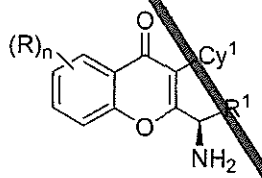
wherein X^1 is halogen or O-Mesyl;

converting the compound of formula (8b) to give a compound of formula (9b)



9b ;

converting the compound of formula (9b) to give a compound of formula (10b)



10b ;

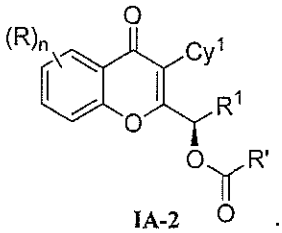
coupling the compound of formula (10b) with a compound of formula Cy^2-Lg, wherein Lg is a leaving group, in the presence of a base to give the compound of formula (IV); and

optionally, converting the compound of formula (IV) to a salt thereof;

wherein R, n, Cy^1 and R^1 are as defined in claim 1.

25. A process for inverting a compound of formula (IA) of claim 1 to yield a compound of formula (IB) of claim 7, wherein the process comprises

(a) reacting the compound of formula (IA) with R'-COOH (wherein R' is selected from substituted or unsubstituted alkyl or substituted or unsubstituted aryl) to provide a compound of formula IA-2

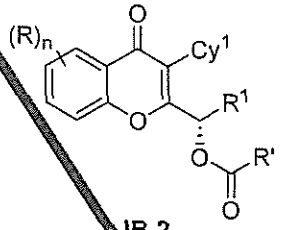


IA-2 ; and

(b) reacting the compound of formula (IA-2) to yield a compound of formula (IB).

26. A process for inverting a compound of formula (IB) of claim 7 to yield a compound of formula (IA) of claim 1, wherein the process comprises the step of

(a) reacting the compound of formula (IB) with R'-COOH (wherein R' is selected from substituted or unsubstituted alkyl or aryl) to provide a compound of formula IB-2

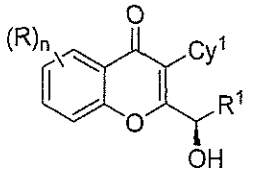


IB-2

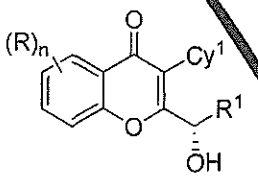
(b) reacting the compound of formula (IB-2) to yield a compound of formula (IA), wherein R, n, Cy^1 and R^1 are as defined in claim 1.

27. A process of claim 25 or 26 wherein R' is 4-Chloro phenyl.

28. A compound of formula **(IA)** or **(IB)**



IA



IB

or a salt thereof,

wherein

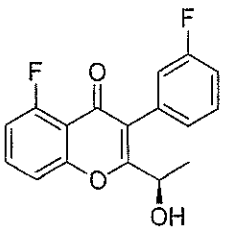
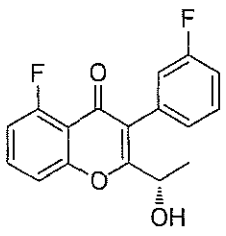
each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, $-COOR^x$, $-C(O)R^x$, $-C(S)R^x$, $-C(O)NR^xR^y$, $-C(O)ONR^xR^y$, $-NR^xR^y$, $-NR^xCONR^xR^y$, $-N(R^x)SOR^x$, $-N(R^x)SO_2R^y$, $-(=N-N(R^x)R^y)$, $-NR^xC(O)OR^y$, $-NR^xC(O)R^y-$, $-NR^xC(S)R^y$, $-NR^xC(S)NR^xR^y$, $-SONR^xR^y$, $-SO_2NR^xR^y$, $-OR^x$, $-OR^xC(O)NR^xR^y$, $-OR^xC(O)OR^x$, $-OC(O)R^x$, $-OC(O)NR^xR^y$, $-R^xNR^yC(O)R^z$, $-R^xOR^y$, $-R^xC(O)OR^y$, $-R^xC(O)NR^xR^y$, $-R^xC(O)R^y$, $-R^xOC(O)R^y$, $-SR^x$, $-SOR^x$, $-SO_2R^x$, and $-ONO_2$, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (i) any two of R^x and R^y, when bound to a common atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form an oxo (=O), thio (=S) or imino ($=NR^f$) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

R^1 is substituted or unsubstituted C_{1-6} alkyl;

Cy^1 is a group selected from substituted or unsubstituted cycloalkyl, substituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

n is an integer selected from 0, 1, 2, 3 or 4,

wherein the compound is not selected from


and


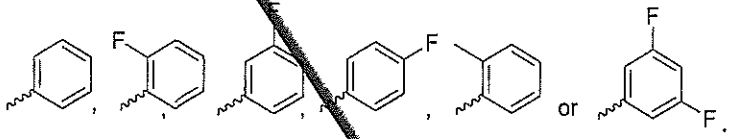
or a salt thereof.

29. A compound of claim 28, wherein R is alkyl or halogen.

30. A compound of claim 28 or 29, wherein R is chloro, fluoro or methyl.

31. A compound of any one of claims 28-30, wherein Cy^1 is a monocyclic group selected from substituted or unsubstituted aryl.

32. A compound of claim 31, wherein Cy^1 is selected from.



33. A compound of any one of claims 28-32, wherein R^1 is methyl or ethyl.

34. A compound of any one of claims 28-33, wherein n is 1.

35. A compound of any one of claims 28-34, wherein the compound has an enantiomeric excess of at least 75%, 90%, 95%, 97%, or 98%.

36. A compound selected from

(R)-6-fluoro-3-(3-fluorophenyl)-2-(1-hydroxyethyl)-4H-chromen-4-one;

(R)-2-(1-hydroxyethyl)-5-methyl-3-phenyl-4H-chromen-4-one;

(R)-6-fluoro-2-(1-hydroxyethyl)-3-phenyl-4H-chromen-4-one;

(R)-2-(1-hydroxyethyl)-3-phenyl-4H-chromen-4-one;

(R)-3-(3-fluorophenyl)-2-(1-hydroxypropyl)-4H-chromen-4-one;

(R)-3-(3-fluorophenyl)-2-(1-hydroxyethyl)-4H-chromen-4-one;

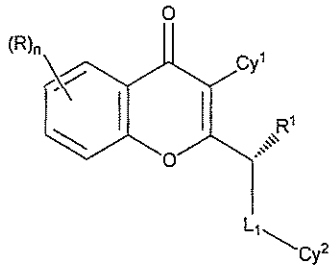
(S)-3-(3-fluorophenyl)-2-(1-hydroxyethyl)-4H-chromen-4-one;

and salts thereof.

37. A composition comprising

(a) a compound of formula (IA) of claim 1 or formula (IB) of claim 7, or a salt thereof, and

(b) a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q$-, -O-, $-S(=O)_q$-, $-NR^a$- and $-C(=Y)$-;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

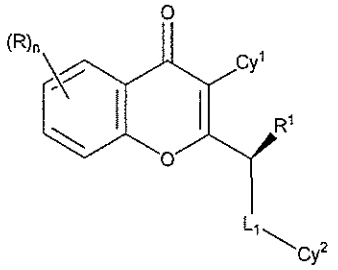
q is 0, 1 or 2,

wherein the compound of formula (IA) or (IB) is present in an amount up to 0.5% by weight, based upon the total of components (a) and (b).

38. A composition comprising

(a) a compound of formula (IA) of claim 1 or formula (IB) of claim 7, or a salt thereof, and

(b) a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

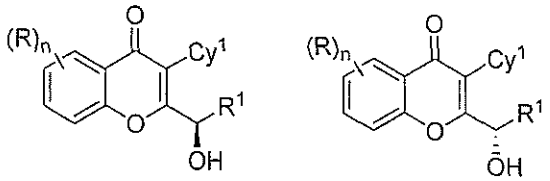
Y is selected from O, S, and NR^a; and

q is 0, 1 or 2,

wherein the compound of formula (IA) or (IB) is present in an amount up to 0.5% by weight, based upon the total of components (a) and (b).

39. The composition of claim 37 or 38, wherein the compound of formula (IA) or (IB) is present in an amount up to 0.2% by weight, based upon the total of components (a) and (b).

40. A compound of formula **(IA)** or **(IB)**



IA **IB**

or a salt thereof,

wherein

each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, $-COOR^x$, $-C(O)R^x$, $-C(S)R^x$, $-C(O)NR^xR^y$, $-C(O)ONR^xR^y$, $-NR^xR^y$, $-NR^xCONR^xR^y$, $-N(R^x)SOR^x$, $-N(R^x)SO_2R^y$, $-(=N-N(R^x)R^y)$, $-NR^xC(O)OR^y$, $-NR^xC(O)R^y-$, $-NR^xC(S)R^y$, $-NR^xC(S)NR^xR^y$, $-SONR^xR^y$, $-SO_2NR^xR^y$, $-OR^x$, $-OR^xC(O)NR^xR^y$, $-OR^xC(O)OR^x$, $-OC(O)R^x$, $-OC(O)NR^xR^y$, $-R^xNR^yC(O)R^z$, $-R^xOR^y$, $-R^xC(O)OR^y$, $-R^xC(O)NR^xR^y$, $-R^xC(O)R^y$, $-R^xOC(O)R^y$, $-SR^x$, $-SOR^x$, $-SO_2R^x$, and $-ONO_2$, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which

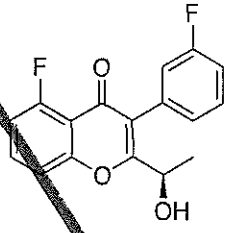
may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form an oxo (=O), thio (=S) or imino (=NR^f) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

R^1 is substituted or unsubstituted C_{1-6} alkyl;

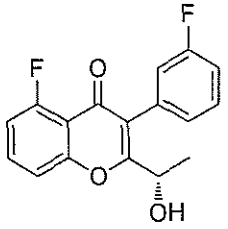
Cy^1 is a group selected from substituted or unsubstituted cycloalkyl, substituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

n is an integer selected from 0, 1, 2, 3 or 4,

wherein the compound is not selected from

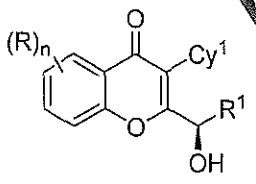


and

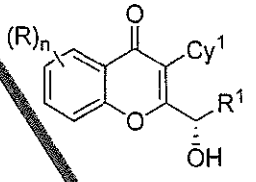


or a salt thereof.

41. A compound of formula **(IA)** or **(IB)**



IA



IB

or a salt thereof,

wherein

each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, $-COOR^x$, $-C(O)R^x$, $-C(S)R^x$, $-C(O)NR^xR^y$, $-C(O)ONR^xR^y$, $-NR^xR^y$, $-NR^xCONR^xR^y$, $-N(R^x)SOR^x$, $-N(R^x)SO_2R^y$, $-(=N-N(R^x)R^y)$, $-NR^xC(O)OR^y$, -

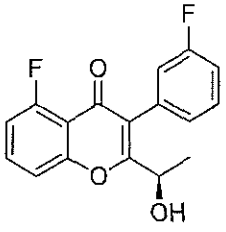
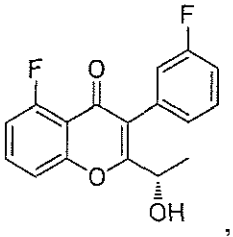
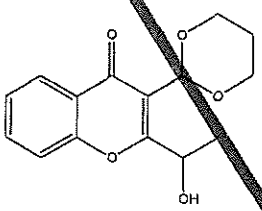
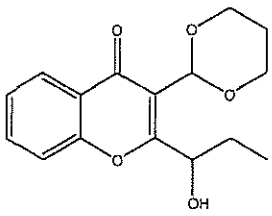
$NR^xC(O)R^y$-, $-NR^xC(S)R^y$, $-NR^xC(S)NR^xR^y$, $-SONR^xR^y$, $-SO_2NR^xR^y$, $-OR^x$, $-OR^xC(O)NR^xR^y$, $-OR^xC(O)OR^x$, $-OC(O)R^x$, $-OC(O)NR^xR^y$, $-R^xNR^yC(O)R^z$, $-R^xOR^y$, $-R^xC(O)OR^y$, $-R^xC(O)NR^xR^y$, $-R^xC(O)R^y$, $-R^xOC(O)R^y$, $-SR^x$, $-SOR^x$, $-SO_2R^x$, and $-ONO_2$, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (i) any two of R^x and R^y, when bound to a common atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form an oxo (=O), thio (=S) or imino ($=NR^f$) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

R^1 is substituted or unsubstituted C_{1-6} alkyl;

Cy^1 is a group selected from substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

n is an integer selected from 0, 1, 2, 3 or 4,

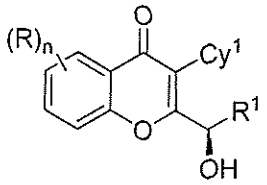
wherein the compound is not selected from




and


or a salt thereof.

CLAIMS

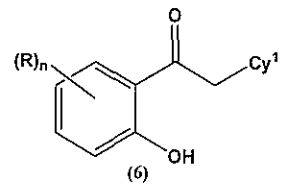
1. A process for preparing a compound of formula (IA)



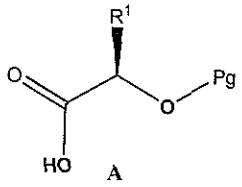
IA

or a salt thereof, the process comprising

(a) reacting a compound of formula (6) with a compound of formula (A)

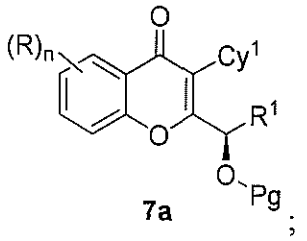


(6)



A

to obtain a compound of formula (7a)



7a;

(b) deprotecting the compound formed in step (a) to obtain a compound of formula (IA); and

(c) optionally converting the compound of formula (IA) to a salt thereof,

wherein

each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or

unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, $-COOR^x$, $-C(O)R^x$, $-C(S)R^x$, $-C(O)NR^xR^y$, $-C(O)ONR^xR^y$, $-NR^xR^y$, $-NR^xCONR^xR^y$, $-N(R^x)SOR^x$, $-N(R^x)SO_2R^y$, $-(=N-N(R^x)R^y)$, $-NR^xC(O)OR^y$, $-NR^xC(O)R^y-$, $-NR^xC(S)R^y$ $-NR^xC(S)NR^xR^y$, $-SONR^xR^y$, $-SO_2NR^xR^y$, $-OR^x$, $-OR^xC(O)NR^xR^y$, $-OR^xC(O)OR^x$, $-OC(O)R^x$, $-OC(O)NR^xR^y$, $-R^xNR^yC(O)R^z$, $-R^xOR^y$, $-R^xC(O)OR^y$, $-R^xC(O)NR^xR^y$, $-R^xC(O)R^y$, $-R^xOC(O)R^y$, $-SR^x$, $-SOR^x$, $-SO_2R^x$, and $-ONO_2$, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (i) any two of R^x and R^y, when bound to a common atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form an oxo (=O), thio (=S) or imino ($=NR^f$) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

R^1 is substituted or unsubstituted C_{1-6} alkyl;

Cy^1 is a monocyclic or bicyclic group selected from substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

Pg is a protecting group; and

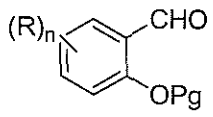
n is an integer selected from 0, 1, 2, 3 or 4.

2. A process of claim 1, wherein the reaction in step (a) is performed in the presence of HATU, HBTU, TBTU, COMU, TOTU, HCTU, TCTU,TATU,TSTU or ,TDBTU.

3. A process of claim 1, wherein in the deprotection reaction of step (b) is performed with aluminium chloride, boron tribromide, or a combination thereof, or by hydrogenation.

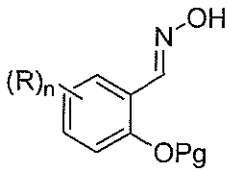
4. The process of claim 1, wherein the compound of formula (6) is prepared by a process comprising

converting a compound of formula (1)



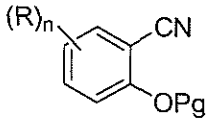
1

wherein Pg is a protecting group, to a compound of formula (2)



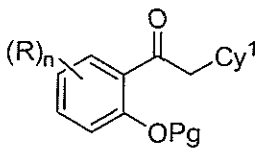
2 ;

converting the compound of formula (2) to a compound of formula (3)



3 ;

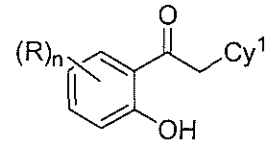
converting the compound of formula (3) to a compound of formula (5)



5

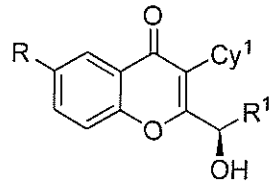
wherein R, n, Cy^1 and Pg are as defined in claim 1; and

deprotecting the compound of formula (5) to give a compound of formula (6)



6

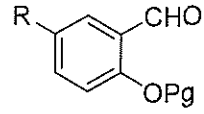
5. A process of any one of claims 1-4, wherein the compound of formula (IA) has the formula (IA-I)



IA-I

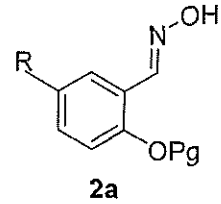
and, the process comprises

converting a compound of formula (1a)



1a

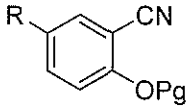
to a compound of formula (2a)



2a

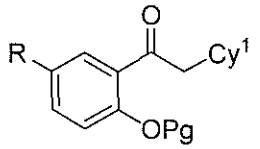
wherein Pg is a protecting group;

converting a compound of formula (2a) to a compound of formula (3a)



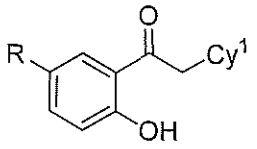
3a ;

converting a compound of formula (3a) to a compound of formula (5a)



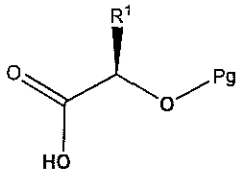
5a ;

deprotecting the compound of formula (5a) to give a compound of formula (6a)



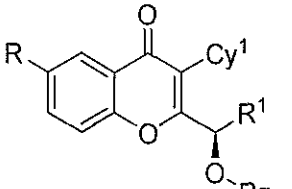
6a ;

reacting the compound of formula (6a) with a compound of formula (A)



A

to give a compound of formula (7aa)

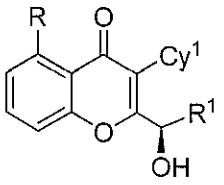


7aa ;

deprotecting the compound of formula (7aa) to give the compound of formula (IA-I); and

optionally converting the compound of formula (IA-I) to a salt thereof.

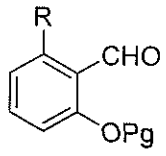
6. A process of any one of claims 1-4, wherein the compound of formula (IA) has the formula (IA-II)



IA-II

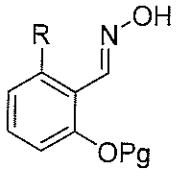
and the process comprises the steps of

converting a compound of formula (1b)



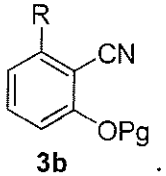
1b

wherein Pg is a protecting group, to a compound of formula (2b)



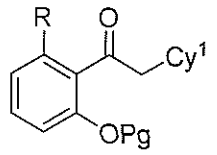
2b ;

converting a compound of formula (2b) to a compound of formula (3b)



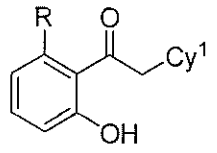
3b ;

converting the compound of formula (3b) to a compound of formula (5b)



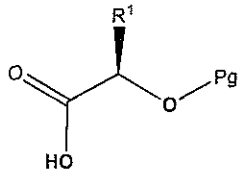
5b ;

deprotecting the compound of formula (5b) to give a compound of formula (6b)



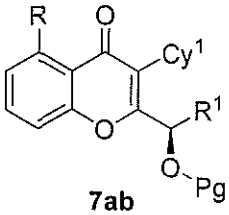
6b ;

reacting the compound of formula (6b) with a compound of formula (A)



A

to give a compound of formula (7ab)

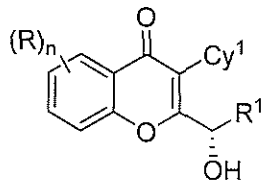


7ab ; and

deprotecting the compound of formula (7ab) to give the desired compound of formula (IA-II); and

optionally, converting the compound of formula (IA-II) to a salt thereof.

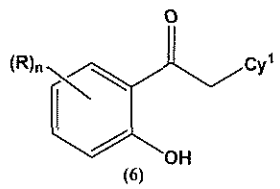
7. A process for preparing a compound of formula (IB)



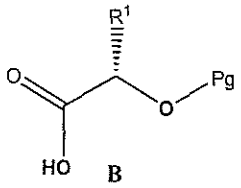
IB

or a salt thereof, the process comprising

(a) reacting a compound of formula (6) with a compound of formula (B)

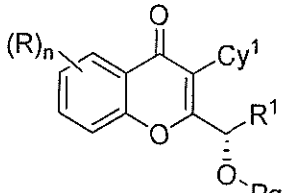


(6)



B

to give a compound of formula (7b)



7b ; and

(b) deprotecting the compound formed in step (a) to obtain a compound of formula (IB) and

(c) optionally converting the compound of formula (IB) to a salt thereof,

wherein

each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted

heteroaryl, substituted or unsubstituted heteroarylalkyl, $-COOR^x$, $-C(O)R^x$, $-C(S)R^x$, $-C(O)NR^xR^y$, $-C(O)ONR^xR^y$, $-NR^xR^y$, $-NR^xCONR^xR^y$, $-N(R^x)SOR^x$, $-N(R^x)SO_2R^y$, $-(=N-N(R^x)R^y)$, $-NR^xC(O)OR^y$, $-NR^xC(O)R^y-$, $-NR^xC(S)R^y$, $-NR^xC(S)NR^xR^y$, $-SONR^xR^y$, $-SO_2NR^xR^y$, $-OR^x$, $-OR^xC(O)NR^xR^y$, $-OR^xC(O)OR^x$, $-OC(O)R^x$, $-OC(O)NR^xR^y$, $-R^xNR^yC(O)R^z$, $-R^xOR^y$, $-R^xC(O)OR^y$, $-R^xC(O)NR^xR^y$, $-R^xC(O)R^y$, $-R^xOC(O)R^y$, $-SR^x$, $-SOR^x$, $-SO_2R^x$, and $-ONO_2$, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (i) any two of R^x and R^y, when bound to a common atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form an oxo (=O), thio (=S) or imino ($=NR^f$) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

R^1 is substituted or unsubstituted C_{1-6} alkyl;

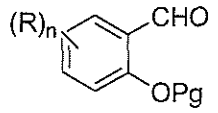
Cy^1 is a monocyclic or bicyclic group selected from substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

Pg is a protecting group; and

n is 0, 1, 2, 3 or 4.

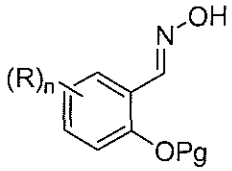
8. A process of claim 7, wherein the compound of formula (6) is prepared by a process comprising

converting a compound of formula (1)



1

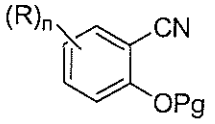
to a compound of formula (2)



2

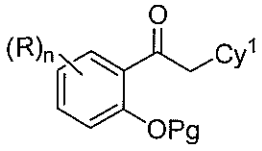
wherein Pg is a protecting group;

converting the compound of formula (2) to a compound of formula (3)



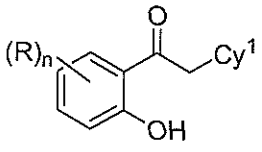
3 ;

converting the compound of formula (3) to a compound of formula (5)



5 ; and

deprotecting the compound of formula (5) to give a compound of formula (6)

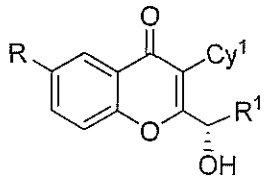


6 .

9. A process of claim 7, wherein the reaction in step (a) is performed in the presence of HATU, HBTU, TBTU, COMU, TOTU, HCTU, TCTU, TATU, TSTU, or TDBTU.

10. A process of claim 7, wherein the deprotection reaction of step (b) is performed with aluminium chloride, boron tribromide, or a combination thereof, or by hydrogenation.

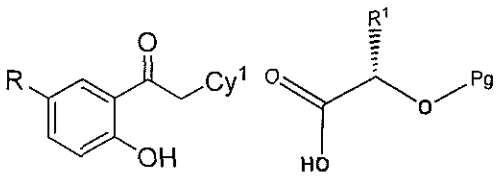
11. A process of any one of claims 7-10, wherein the compound of formula (IB) has the formula (IB-I)



IB-I

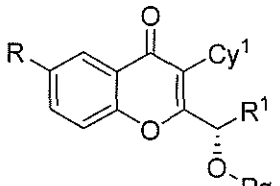
and the process comprises

reacting the compound of formula (6a) with a compound of formula (B)



6a **B**

to give a compound of formula (7ba)

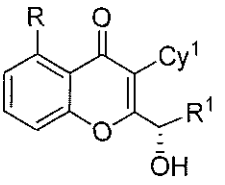


7ba

deprotecting the compound of formula (7ba) to give the desired compound of formula (IB-I); and

optionally, converting the compound of formula (IB-I) to a salt thereof.

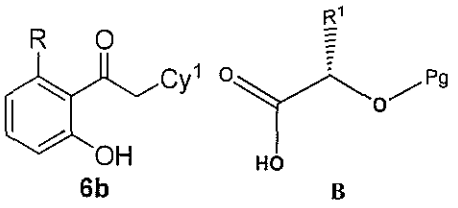
12. A process of any one of claims 7-10, wherein the compound of formula (IB) has the formula (IB-II)



IB-II

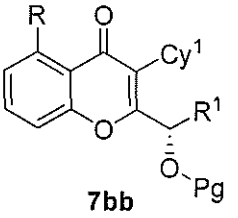
and the process comprises

reacting the compound of formula (6b) with a compound of formula (B)



6b **B**

to give a compound of formula (7bb)

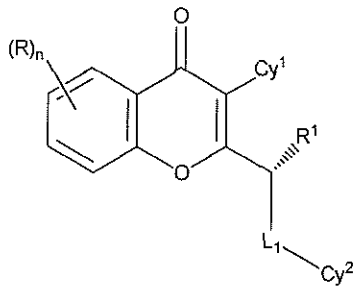


7bb

deprotecting the compound of formula (7bb) to give the compound of formula (IB-II); and

optionally, converting the compound of formula (IB-II) to a salt thereof of the compound.

13. Use of the compound of formula (IA) of claim 1 for preparation of a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

the variables R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

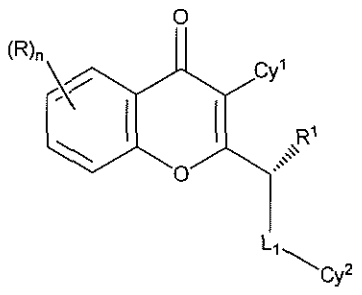
L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ or $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2.

14. Use of the compound of formula (IB) of claim 7 for preparation of a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein the variables R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

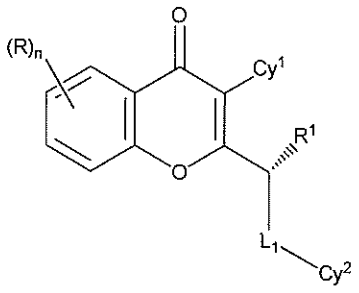
L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ or $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2.

15. A process for preparing a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

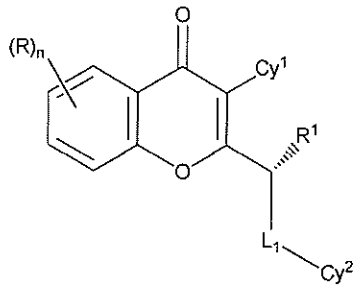
q is 0, 1 or 2,

the process comprising

(a) treating the compound of formula (IA) of claim 1 with Cy^2-H to give the compound of formula (I) or a tautomer thereof; and

(b) optionally converting the compound of formula (I) to an N-oxide thereof, or pharmaceutically acceptable salt thereof.

16. A process for preparing a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

the variables R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ or $-C(=Y)-$;

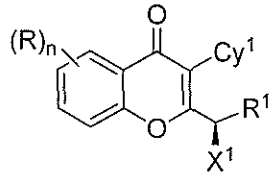
each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2,

the process comprising

treating the compound of formula (IA) of claim 1 with a phosphorus halide or mesyl halide in the presence of a base to give a compound of formula (8a)



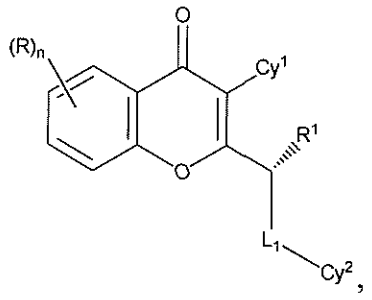
8a

wherein X^1 is halogen or –O-Mesyl; and

reacting the compound of formula (8a) with Cy^2-H in the presence of a base to give the compound of formula (I) or a tautomer thereof; and

optionally, converting the compound of formula (I) to an N-oxide thereof, or pharmaceutically acceptable salt thereof.

17. Use of the compound of formula (IA) of claim 1 for preparation of a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

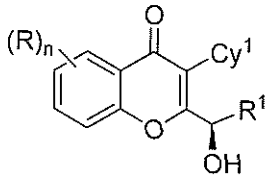
R, n, Cy^1, and R^1 are as defined in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

L_I is NH.

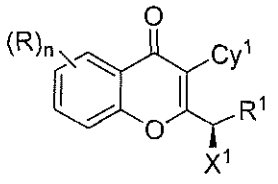
18. The use of claim 17, comprising

reacting the compound of formula (IA)



IA

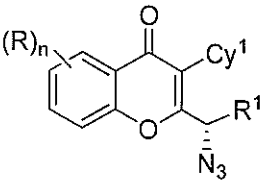
with a phosphorus halide or mesyl halide in the presence of a base to give a compound of formula (8a)



8a

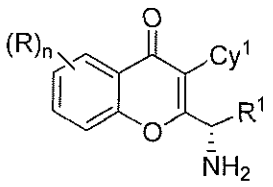
wherein X^1 is halogen or -O-Mesyl;

converting the compound of formula (8a) to give a compound of formula (9a)



9a ;

converting the compound of formula (9a) to give a compound of formula (10a)

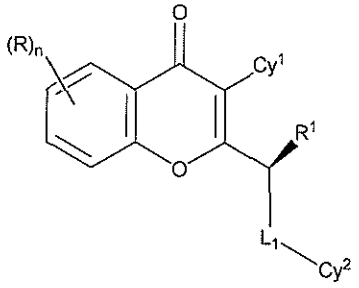


10a ;

coupling the compound of formula (10a) with a compound of formula Cy^2-Lg, wherein Lg is a leaving group, in the presence of a base to give the desired compound of formula (II); and

optionally, converting the compound of formula (II) to a salt thereof.

19. Use of the compound of formula (IB) of claim 7 for preparation of a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q$-, -O-, $-S(=O)_q$-, $-NR^a$- and $-C(=Y)$-;

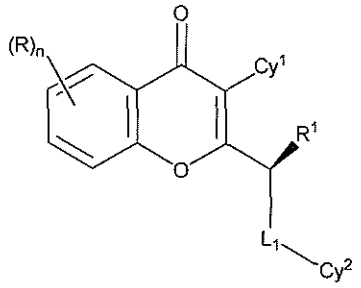
each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or

unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2.

20. Use of the compound of formula (IA) of claim 1 for preparation of a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

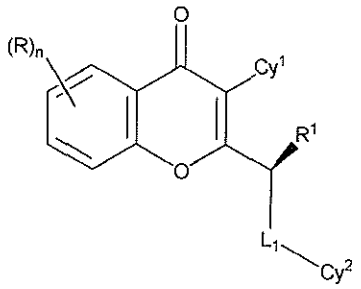
each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may

be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 member ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2.

21. A process for preparing a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and –C(=Y)-;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or

unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

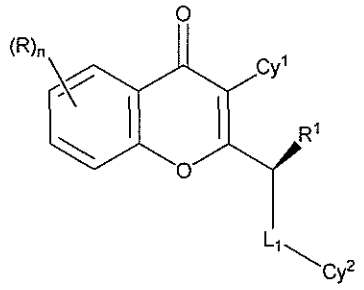
q is 0, 1 or 2,

the process comprising

(a) reacting–the compound of formula (IB) of claim 7 with Cy^2-H to give the compound of formula (III) or a tautomer thereof; and

(b) optionally converting the compound of formula (III) to an N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof.

22. A process for preparing a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q$-, -O-, $-S(=O)_q$-, $-NR^a$- and $-C(=Y)$-;

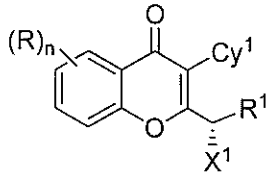
each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

q is 0, 1 or 2,

the process comprising

reacting the compound of formula (IB) of claim 7 with a phosphorus halide or mesyl halide in the presence of a base to give a compound of formula (8b)



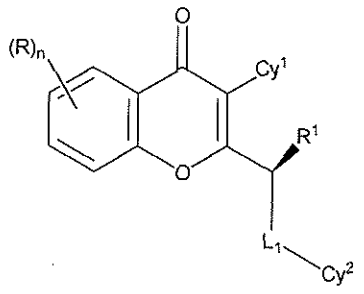
8b

wherein X^1 is halogen or –O-Mesyl; and

reacting the compound of formula (8b) with Cy^2-H in the presence of a base to give the compound of formula (III) or a tautomer thereof; and

optionally, converting the compound of formula (III) to an N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof.

23. Use of the compound of formula (IB) of claim 7 for preparation of a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, pharmaceutically acceptable ester thereof, or pharmaceutically acceptable salt thereof, wherein

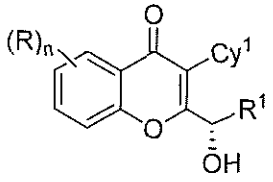
R, n, Cy^1, and R^1 are as defined in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

L_1 is NH.

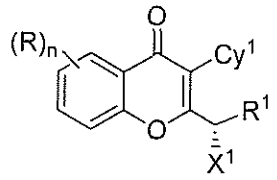
24. A process for preparing a PI3K inhibitor of formula (III) of claim 23 comprising

reacting the compound of formula (IB)



IB

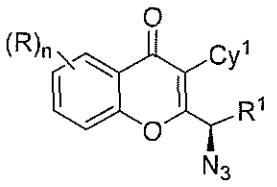
with a phosphorus halide or mesyl halide in the presence of a base to give a compound of formula (8b)



8b

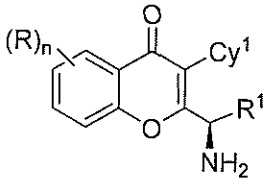
wherein X^1 is halogen or -O-Mesyl;

converting the compound of formula (8b) to give a compound of formula (9b)



9b ;

converting the compound of formula (9b) to give a compound of formula (10b)



10b ;

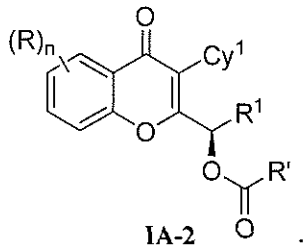
coupling the compound of formula (10b) with a compound of formula Cy^2-Lg, wherein Lg is a leaving group, in the presence of a base to give the compound of formula (IV); and

optionally, converting the compound of formula (IV) to a salt thereof;

wherein R, n, Cy^1 and R^1 are as defined in claim 1.

25. A process for inverting a compound of formula (IA) of claim 1 to yield a compound of formula (IB) of claim 7, wherein the process comprises

(a) reacting the compound of formula (IA) with R'-COOH (wherein R' is selected from substituted or unsubstituted alkyl or substituted or unsubstituted aryl) to provide a compound of formula IA-2

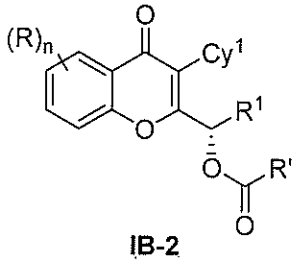


IA-2 ; and

(b) reacting the compound of formula (IA-2) to yield a compound of formula (IB).

26. A process for inverting a compound of formula (IB) of claim 7 to yield a compound of formula (IA) of claim 1, wherein the process comprises the step of

(a) reacting the compound of formula (IB) with R'-COOH (wherein R' is selected from substituted or unsubstituted alkyl or aryl) to provide a compound of formula IB-2



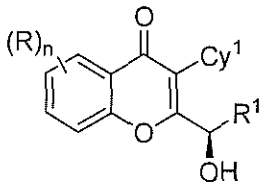
IB-2

(b) reacting the compound of formula (IB-2) to yield a compound of formula (IA),

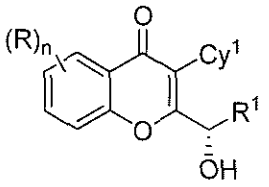
wherein R, n, Cy^1 and R^1 are as defined in claim 1.

27. A process of claim 25 or 26 wherein R' is 4-Chloro phenyl.

28. A compound of formula **(IA)** or **(IB)**



IA



IB

or a salt thereof,

wherein

each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, –$COOR^x$, -$C(O)R^x$, -$C(S)R^x$, -$C(O)NR^xR^y$, -$C(O)ONR^xR^y$, -NR^xR^y, -$NR^xCONR^xR^y$, -$N(R^x)SOR^x$, -$N(R^x)SO_2R^y$, -(=N-$N(R^x)R^y$), -$NR^xC(O)OR^y$, -$NR^xC(O)R^y$-, -$NR^xC(S)R^y$, –$NR^xC(S)NR^xR^y$, -$SONR^xR^y$, -$SO_2NR^xR^y$, -OR^x, -$OR^xC(O)NR^xR^y$, -$OR^xC(O)OR^x$, -$OC(O)R^x$, -$OC(O)NR^xR^y$, -$R^xNR^yC(O)R^z$, -R^xOR^y, -$R^xC(O)OR^y$, -$R^xC(O)NR^xR^y$, -$R^xC(O)R^y$, -$R^xOC(O)R^y$, -SR^x, -SOR^x, -SO_2R^x, and -ONO_2, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (i) any two of R^x and R^y, when bound to a common atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a

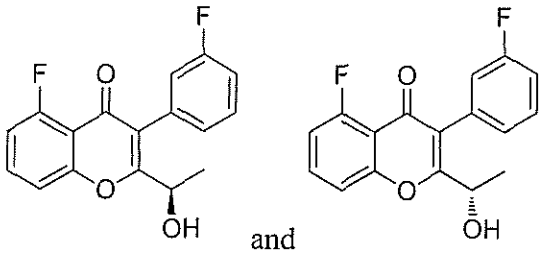
common atom, are joined to form an oxo (=O), thio (=S) or imino (=NR^f) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

R^1 is substituted or unsubstituted C_{1-6} alkyl;

Cy^1 is a group selected from substituted or unsubstituted cycloalkyl, substituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

n is an integer selected from 0, 1, 2, 3 or 4,

wherein the compound is not selected from



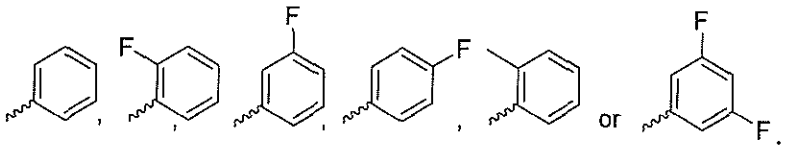
or a salt thereof.

29. A compound of claim 28, wherein R is alkyl or halogen.

30. A compound of claim 28 or 29, wherein R is chloro, fluoro or methyl.

31. A compound of any one of claims 28-30, wherein Cy^1 is a monocyclic group selected from substituted or unsubstituted aryl.

32. A compound of claim 31, wherein Cy^1 is selected from.



33. A compound of any one of claims 28-32, wherein R^1 is methyl or ethyl.

34. A compound of any one of claims 28-33, wherein n is 1.

35. A compound of any one of claims 28-34, wherein the compound has an enantiomeric excess of at least 75%, 90%, 95%, 97%, or 98%.

36. A compound selected from

(R)-6-fluoro-3-(3-fluorophenyl)-2-(1-hydroxyethyl)-4H-chromen-4-one;

(R)-2-(1-hydroxyethyl)-5-methyl-3-phenyl-4H-chromen-4-one;

(R)-6-fluoro-2-(1-hydroxyethyl)-3-phenyl-4H-chromen-4-one;

(R)-2-(1-hydroxyethyl)-3-phenyl-4H-chromen-4-one;

(R)-3-(3-fluorophenyl)-2-(1-hydroxypropyl)-4H-chromen-4-one;

(R)-3-(3-fluorophenyl)-2-(1-hydroxyethyl)-4H-chromen-4-one;

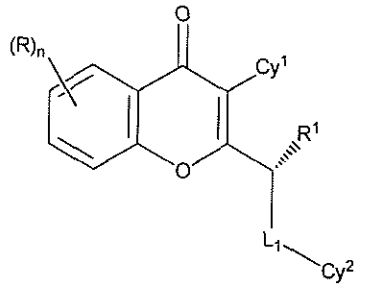
(S)-3-(3-fluorophenyl)-2-(1-hydroxyethyl)-4H-chromen-4-one;

and salts thereof.

37. A composition comprising

(a) a compound of formula (IA) of claim 1 or formula (IB) of claim 7, or a salt thereof, and

(b) a PI3K inhibitor of formula (I)



(I)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

Y is selected from O, S, and NR^a; and

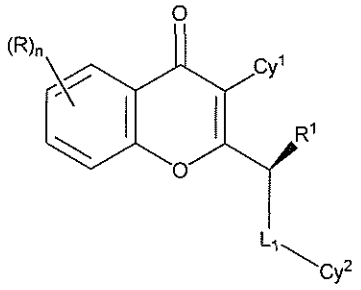
q is 0, 1 or 2,

wherein the compound of formula (IA) or (IB) is present in an amount up to 0.5% by weight, based upon the total of components (a) and (b).

38. A composition comprising

(a) a compound of formula (IA) of claim 1 or formula (IB) of claim 7, or a salt thereof, and

(b) a PI3K inhibitor of formula (III)



(III)

or a tautomer thereof, N-oxide thereof, or pharmaceutically acceptable salt thereof, wherein

R, n, Cy^1, and R^1 are defined as in claim 1;

Cy^2 is selected from substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl;

L_1 is absent or selected from $-(CR^aR^b)_q-$, -O-, $-S(=O)_q-$, $-NR^a-$ and $-C(=Y)-$;

each occurrence of R^a and R^b may be the same or different and are independently selected from hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, $-NR^cR^d$ (wherein R^c and R^d are independently hydrogen, halogen, hydroxy, cyano, substituted or unsubstituted (C_{1-6})alkyl, or (C_{1-6})alkoxy) and $-OR^c$ (wherein R^c is substituted or unsubstituted (C_{1-6})alkyl) or when R^a and R^b are directly bound to a common atom, they may be joined to form an oxo group (=O) or form a substituted or unsubstituted, saturated or unsaturated 3-10 membered ring (including the common atom to which R^a and R^b are directly bound), which may optionally include one or more heteroatoms which may be the same or different and are selected from O, NR^d (wherein R^d is hydrogen or substituted or unsubstituted (C_{1-6})alkyl) or S;

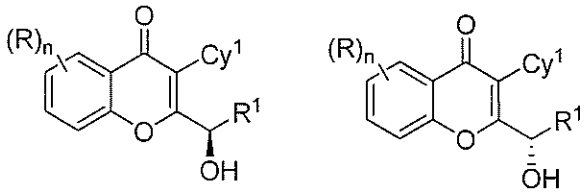
Y is selected from O, S, and NR^a; and

q is 0, 1 or 2,

wherein the compound of formula (IA) or (IB) is present in an amount up to 0.5% by weight, based upon the total of components (a) and (b).

39. The composition of claim 37 or 38, wherein the compound of formula (IA) or (IB) is present in an amount up to 0.2% by weight, based upon the total of components (a) and (b).

40. A compound of formula **(IA)** or **(IB)**



IA **IB**

or a salt thereof, wherein

each occurrence of R is independently selected from hydrogen, hydroxy, halogen, carboxyl, cyano, nitro, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenylalkyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted heterocyclylalkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, $-COOR^x$, $-C(O)R^x$, $-C(S)R^x$, $-C(O)NR^xR^y$, $-C(O)ONR^xR^y$, $-NR^xR^y$, $-NR^xCONR^xR^y$, $-N(R^x)SOR^x$, $-N(R^x)SO_2R^y$, $-(=N-N(R^x)R^y)$, $-NR^xC(O)OR^y$, $-NR^xC(O)R^y-$, $-NR^xC(S)R^y$, $-NR^xC(S)NR^xR^y$, $-SONR^xR^y$, $-SO_2NR^xR^y$, $-OR^x$, $-OR^xC(O)NR^xR^y$, $-OR^xC(O)OR^x$, $-OC(O)R^x$, $-OC(O)NR^xR^y$, $-R^xNR^yC(O)R^z$, $-R^xOR^y$, $-R^xC(O)OR^y$, $-R^xC(O)NR^xR^y$, $-R^xC(O)R^y$, $-R^xOC(O)R^y$, $-SR^x$, $-SOR^x$, $-SO_2R^x$, and $-ONO_2$, wherein each occurrence of R^x, R^y and R^z is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted cycloalkylalkyl, substituted or unsubstituted cycloalkenyl, substituted or unsubstituted heterocyclic ring, substituted or unsubstituted heterocyclylalkyl ring, or substituted or unsubstituted amino, or (i) any two of R^x and R^y, when bound to a common

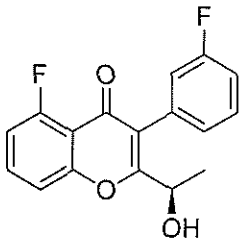
atom, are joined to form a substituted or unsubstituted, saturated or unsaturated 3-14 membered ring, which may optionally include heteroatoms which may be the same or different and are selected from O, NR^z or S, or (ii) any two of R^x and R^y, when bound to a common atom, are joined to form an oxo (=O), thio (=S) or imino ($=NR^f$) (wherein R^f is hydrogen or substituted or unsubstituted alkyl);

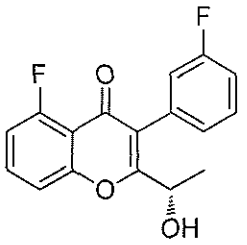
R^1 is substituted or unsubstituted C_{1-6} alkyl;

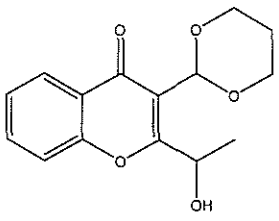
Cy^1 is a group selected from substituted or unsubstituted cycloalkyl, substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl and substituted or unsubstituted heteroaryl; and

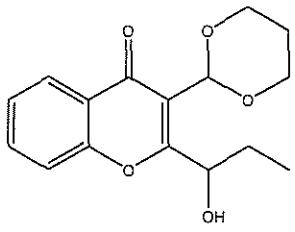
n is an integer selected from 0, 1, 2, 3 or 4,

wherein the compound is not selected from



,


,


and


or a salt thereof.