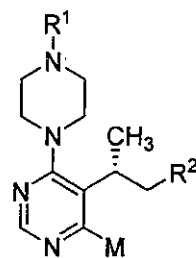


CLAIMS

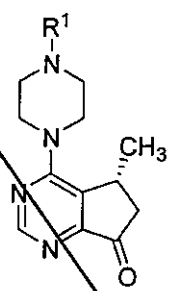
We claim:

1. A process comprising cyclizing a compound of formula II:



II

or a salt thereof, to form a compound of formula I



I

or a salt thereof, wherein:

R^1 is hydrogen or an amino protecting group;

R^2 is $-\text{CN}$, $-\text{COOR}^a$ or $-\text{CONR}^a\text{R}^b$;

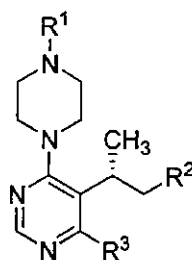
R^a and R^b are independently hydrogen, $-\text{OR}^c$, substituted or unsubstituted C_{1-12} alkyl, substituted or unsubstituted C_{2-12} alkenyl, substituted or unsubstituted C_{2-12} alkynyl, substituted or unsubstituted C_{3-8} cycloalkyl, substituted or unsubstituted phenyl or substituted or unsubstituted 3 to 12 membered heterocyclyl; or

R^a and R^b are taken together with the atom to which they are attached to form a 3-7 membered heterocyclyl;

R^c is independently hydrogen or optionally substituted C_{1-12} alkyl; and

M is Li or Mg.

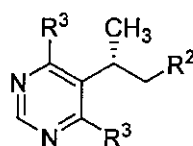
2. The process of claim 1, further comprising, contacting a compound of formula III, or a salt thereof, with a magnesium or lithium metalating agent:



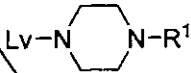
III

wherein R^3 is bromo or iodo; to form the compound of formula I or a salt thereof.

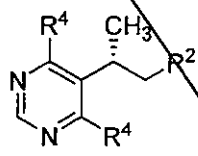
3. The process of claims 1-2, further comprising contacting a compound of formula IV:



IV

or a salt thereof, with a compound  or salt thereof, wherein Lv is a leaving group, and each R^3 is independently iodo or bromo, to form the compound of formula III or a salt thereof.

4. The process of claims 1-3, further comprising brominating or iodinating a compound of formula V:

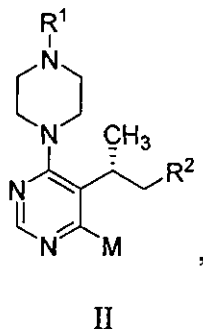


V

or a salt thereof, wherein R^4 is $-Cl$ or $-OH$, to form a compound of formula IV.

5. The process of claims 1-4, wherein M is Mg.
6. The process of claims 1-5, wherein the metalating agent is an alkylmagnesium halide.
7. The process of claims 1-6, wherein the metalating agent is $iPrMgCl$.
8. The process of claims 1-7, wherein R^1 is $-C(O)OR^d$.
9. The process of claims 1-8, wherein R^1 is a Boc group.
10. The process of claims 1-9, wherein R^2 is $-COOR^a$ or $-CONR^aR^b$.
11. The process of claims 1-10, wherein R^2 is $-C(O)N(R^a)OR^b$.
12. The process of claims 1-11, wherein R^2 is $-C(O)N(CH_3)OCH_3$.
13. The process of claims 1-12, wherein R^3 is iodo.
14. The process of claims 1-13, wherein Lv is hydrogen and R^1 is an amino protecting group.

15. The process of claims 1-14, wherein R^1 is selected from acetyl, trifluoroacetyl, phthalimidyl, benzyl, triphenylmethyl, benzylidenyl, p-toluenesulfonyl, p-methoxybenzyl, *tert*-butyloxycarbonyl, 9-fluorenylmethyloxycarbonyl and carbobenzyloxy.
16. A compound of formula II:



or a salt thereof, wherein:

R^1 is hydrogen or an amino protecting group;

R^2 is $-\text{CN}$, $-\text{COOR}^a$ or $-\text{CONR}^a\text{R}^b$;

R^a and R^b are independently hydrogen, $-\text{OR}^c$, substituted or unsubstituted C_{1-12} alkyl, substituted or unsubstituted C_{2-12} alkenyl, substituted or unsubstituted C_{2-12} alkynyl, substituted or unsubstituted C_{3-8} cycloalkyl, substituted or unsubstituted phenyl or substituted or unsubstituted 3 to 12 membered heterocyclyl; or

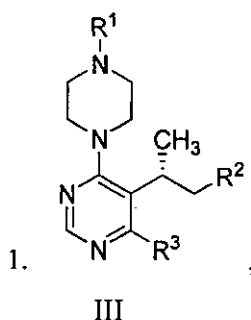
R^a and R^b are taken together with the atom to which they are attached to form a 3-7 membered heterocyclyl;

R^c is independently hydrogen or optionally substituted C_{1-12} alkyl; and

M is Li or Mg.

17. The compound of claim 16, wherein R^1 is a Boc group, R^2 is $-\text{C}(\text{O})\text{N}(\text{R}^a)\text{OR}^b$, and M is Mg.

18. A compound of formula III:



or a salt thereof, wherein:

R^1 is hydrogen or an amino protecting group;

R^2 is $-\text{CN}$, $-\text{COOR}^a$ or $-\text{CONR}^a\text{R}^b$;

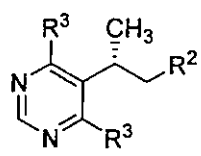
R^a and R^b are independently hydrogen, $-OR^b$, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted phenyl or substituted or unsubstituted heterocyclyl; or

R^a and R^b are taken together with the atom to which they are attached to form a 3-7 membered heterocyclyl; and

R^3 is bromo or iodo.

19. The compound of claim 18, wherein R^1 is hydrogen or a Boc group, R^2 is $-NR^a(OR^b)$, and R^3 is iodo.

20. A compound of formula IV:



IV

or a salt thereof, wherein:

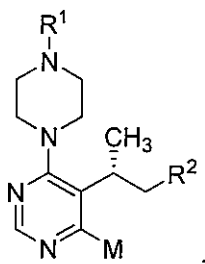
R^2 is $-CN$, $-COOR^a$ or $-CONR^aR^b$, and each R^3 is independently iodo or bromo.

21. The compound of claim 20, wherein R^2 is $-C(O)N(R^a)OR^b$.

Claims

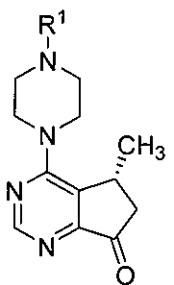
We claim:

1. A process comprising cyclizing a compound of formula II:



II

or a salt thereof, to form a compound of formula I



I

or a salt thereof, wherein:

R^1 is hydrogen or an amino protecting group;

R^2 is $-CN$, $-COOR^a$ or $-CONR^aR^b$;

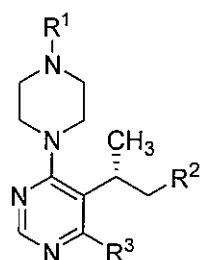
R^a and R^b are independently hydrogen, $-OR^c$, substituted or unsubstituted C_{1-12} alkyl, substituted or unsubstituted C_{2-12} alkenyl, substituted or unsubstituted C_{2-12} alkynyl, substituted or unsubstituted C_{3-8} cycloalkyl, substituted or unsubstituted phenyl or substituted or unsubstituted 3 to 12 membered heterocyclyl; or

R^a and R^b are taken together with the atom to which they are attached to form a 3-7 membered heterocyclyl;

R^c is independently hydrogen or optionally substituted C_{1-12} alkyl; and

M is Li or Mg.

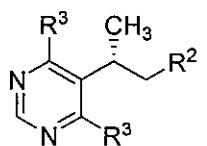
2. The process of claim 1, further comprising, contacting a compound of formula III, or a salt thereof, with a magnesium or lithium metalating agent:



III

wherein R³ is bromo or iodo; to form the compound of formula I or a salt thereof.

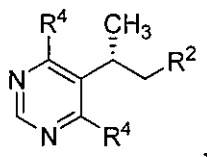
3. The process of claims 1-2, further comprising contacting a compound of formula IV:



IV

or a salt thereof, with a compound $\text{Lv}-\text{N} \begin{array}{c} \diagup \quad \diagdown \\ | \quad | \\ \text{---} \quad \text{---} \\ | \quad | \\ \text{N}-\text{R}^1 \end{array}$ or salt thereof, wherein Lv is a leaving group, and each R³ is independently iodo or bromo, to form the compound of formula III or a salt thereof.

4. The process of claims 1-3, further comprising brominating or iodinating a compound of formula V:

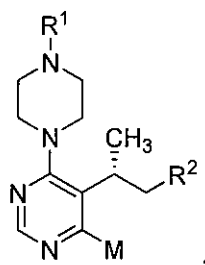


V

or a salt thereof, wherein R⁴ is -Cl or -OH, to form a compound of formula IV.

5. The process of claims 1-4, wherein M is Mg.
6. The process of claims 1-5, wherein the metalating agent is an alkylmagnesium halide.
7. The process of claims 1-6, wherein the metalating agent is iPrMgCl.

8. The process of claims 1-7, wherein R^1 is $-C(O)OR^d$, wherein R^d is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted phenyl or substituted or unsubstituted heterocyclyl.
9. The process of claims 1-8, wherein R^1 is a Boc group.
10. The process of claims 1-9, wherein R^2 is $-COOR^a$ or $-CONR^aR^b$.
11. The process of claims 1-10, wherein R^2 is $-C(O)N(R^a)OR^b$.
12. The process of claims 1-11, wherein R^2 is $-C(O)N(CH_3)OCH_3$.
13. The process of claims 1-12, wherein R^3 is iodo.
14. The process of claims 1-13, wherein Le is hydrogen and R^1 is an amino protecting group.
15. The process of claims 1-14, wherein R^1 is selected from acetyl, trifluoroacetyl, phthalimidyl, benzyl, triphenylmethyl, benzylidenyl, p-toluenesulfonyl, p-methoxybenzyl, *tert*-butyloxycarbonyl, 9-fluorenylmethyloxycarbonyl and carbobenzyloxy.
16. A compound of formula II:



II

or a salt thereof, wherein:

R^1 is hydrogen or an amino protecting group;

R^2 is $-CN$, $-COOR^a$ or $-CONR^aR^b$;

R^a and R^b are independently hydrogen, $-OR^c$, substituted or unsubstituted C_{1-12} alkyl, substituted or unsubstituted C_{2-12} alkenyl, substituted or unsubstituted C_{2-12} alkynyl, substituted or unsubstituted C_{3-8} cycloalkyl, substituted or unsubstituted phenyl or substituted or unsubstituted 3 to 12 membered heterocyclyl; or

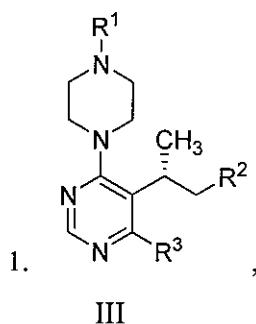
R^a and R^b are taken together with the atom to which they are attached to form a 3-7 membered heterocyclyl;

R^c is independently hydrogen or optionally substituted C_{1-12} alkyl; and

M is Li or Mg.

17. The compound of claim 16, wherein R^1 is a Boc group, R^2 is $-C(O)N(R^a)OR^b$, and M is Mg.

18. A compound of formula III:



or a salt thereof, wherein:

R^1 is hydrogen or an amino protecting group;

R^2 is $-CN$, $-COOR^a$ or $-CONR^aR^b$;

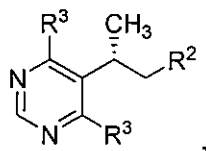
R^a and R^b are independently hydrogen, $-OR^b$, substituted or unsubstituted alkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkynyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted phenyl or substituted or unsubstituted heterocyclyl; or

R^a and R^b are taken together with the atom to which they are attached to form a 3-7 membered heterocyclyl; and

R^3 is bromo or iodo.

19. The compound of claim 18, wherein R^1 is hydrogen or a Boc group, R^2 is $-CONR^a(OR^b)$, and R^3 is iodo.

20. A compound of formula IV:



or a salt thereof, wherein:

R^2 is $-\text{CN}$, $-\text{COOR}^a$ or $-\text{CONR}^a\text{R}^b$;

R^a and R^b are independently hydrogen, $-\text{OR}^c$, substituted or unsubstituted C_{1-12} alkyl, substituted or unsubstituted C_{2-12} alkenyl, substituted or unsubstituted C_{2-12} alkynyl, substituted or unsubstituted C_{3-8} cycloalkyl, substituted or unsubstituted phenyl or substituted or unsubstituted 3 to 12 membered heterocyclyl; or

R^a and R^b are taken together with the atom to which they are attached to form a 3-7 membered heterocyclyl;

R^c is independently hydrogen or optionally substituted C_{1-12} alkyl; and

each R^3 is independently iodo or bromo.

21. The compound of claim 20, wherein R^2 is $-\text{C}(\text{O})\text{N}(\text{R}^a)\text{OR}^b$.
22. The process of claims 1-7, wherein R^1 is an amino protecting group.
23. The process of claims 1-7, wherein R^1 is $-\text{C}(\text{O})\text{OR}^d$, wherein R^d is C_{1-6} alkyl wherein said alkyl is optionally substituted by oxo, halo or phenyl.