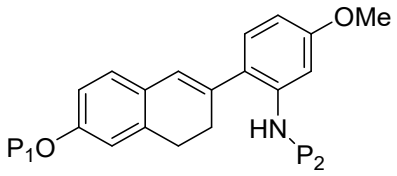
WHAT IS CLAIMED IS

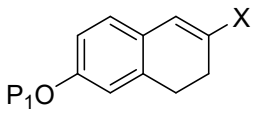
1. A process of preparing a compound of Formula (III),



(III)

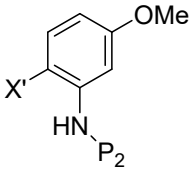
the process comprising:

reacting a compound of Formula (I):



(I)

with a compound of Formula (II):



(II)

in the presence of a transition metal catalyst, a base, and a solvent, wherein:

P_1 is H or a phenol protecting group;

P_2 is H, Et, or an amino protecting group;

X is $B(OH)_2$;

X' is a halogen; and

X and X' are suitable for cross-coupling of Formula (I) with Formula (II).

2. The process of claim 1, wherein:

P_1 is H or a phenol protecting group selected from (C=O)-C_1-C_8 alkyl, (C=O)-aryl, (C=O)-heteroaryl, Si(C_1-C_5 alkyl)$_3$, Si(aryl)$_2$(C_1-C_5 alkyl), or CH_2-aryl;

P_2 is H, Et, or an amino protecting group selected from (C=O)-C_1-C_8 alkyl, (C=O)-aryl, (C=O)-heteroaryl, (C=O)-O-C_1-C_8 alkylaryl, or (C=O-$(CH_2)_n$-C=O)-; and

X' is Cl, Br, or I.

3. The process of claim 1 or claim 2, wherein:

P_1 is CH_2-aryl;

P_2 is (C=O)-C_1 alkyl; and

X' is Cl, Br, or I.

4. The process of any one of claims 1-3, wherein the transition metal catalyst is a palladium-containing catalyst.

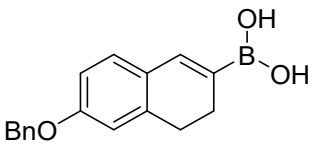
5. The process of any one of claims 1-4, wherein the transition metal catalyst comprises Pd(0) or Pd/C.

6. The process of any one of claims 1-5, wherein the base is an inorganic base, an organic base, or a combination thereof.

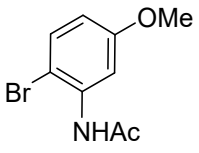
7. The process of any one of claims 1-6, wherein the base is selected from the group consisting of: K_2CO_3, KO*t*Bu, Cs_2CO_3, Na_3PO_4, K_3PO_4, KOH, NaOH, CsOH, LiOH, NEt_3, and combinations thereof.

8. The process of any one of claims 1-7, wherein the base is NEt_3.

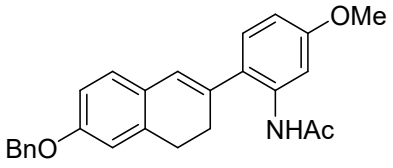
9. The process of any one of claims 1-8, wherein the compounds of Formulas (I), (II), and (III) are (a), (d) and (e):



(a) ,

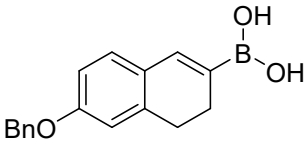


(d) ,



(e) .

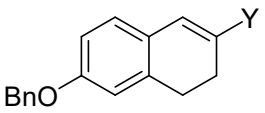
10. A process of preparing a compound of Formula (a):



(a) ,

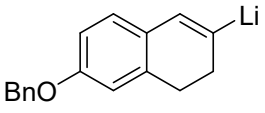
the process comprising:

reacting a compound of Formula (b):



(b)

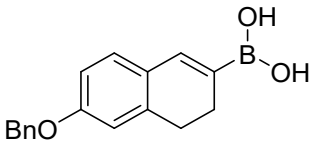
with a lithiation reagent to form a compound of Formula (c):



(c)

wherein the compound of Formula (c) is reacted with a borate ester and is hydrolyzed to form the compound of Formula (a), wherein Y is Br, Cl, or I.

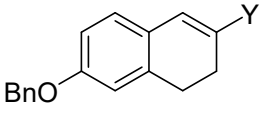
11. The process of any one of claims 1-10, wherein the compound of Formula (I) is a compound of Formula (a):



(a) ,

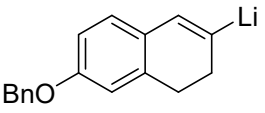
wherein the process further comprises preparing the compound of Formula (a) by a process comprising:

reacting a compound of Formula (b):



(b)

with a lithiation reagent to form a compound of Formula (c):



(c) ; and

wherein the process further comprises reacting the compound of Formula (c) with a borate ester and hydrolyzing to form the compound of Formula (a), wherein Y is Br, Cl, or I.

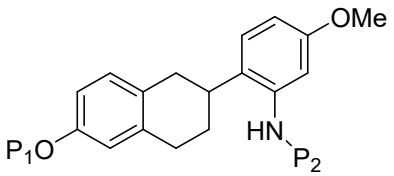
12. The process of any one of claims 10-11, wherein the lithiation reagent is *n*-butyl lithium.

13. The process of any one of claims 10-12, wherein the borate ester is triisopropyl borate.

14. The process of any one of claims 10-13, wherein the process of preparing the compound of Formula (a) is carried out in continuous-flow mode or in batch mode.

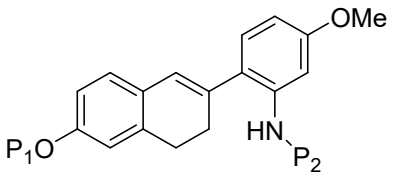
15. The process of any one of claims 10-14, wherein the process of preparing the compound of Formula (a) is carried out in continuous-flow mode.

16. A process of preparing a compound of Formula (IV),



(IV)

the process comprising reducing a compound of Formula (III):



(III)

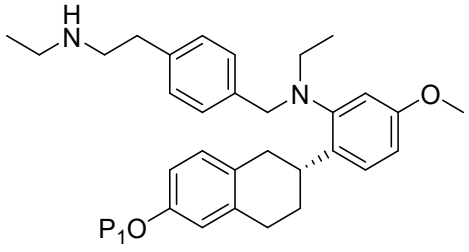
in the presence of a transition metal catalyst comprising Pd(0),

wherein:

P_1 is H or a phenol protecting group; and

P_2 is H, Et, or an amino protecting group.

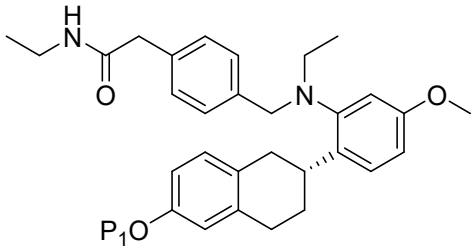
17. A process of preparing a compound of Formula (VIII),



(VIII)

the process comprising:

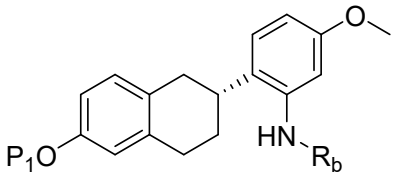
reducing a compound of Formula (VII):



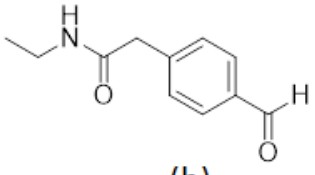
(VII)

,

wherein the process further comprises preparing the compound of Formula (VII) by reductive amination of a compound of Formula (VI) in the presence of a compound of Formula (h):

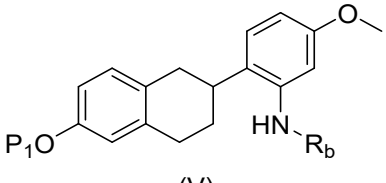


(VI)



(h)

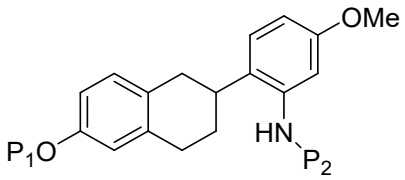
wherein the process further comprises preparing the compound of Formula (VI) by reacting a compound of Formula (V)



(V)

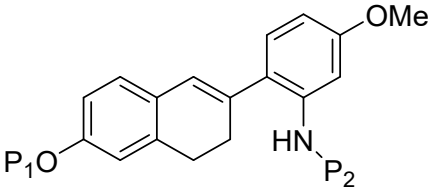
with a chiral acid to form an enantiomerically enriched salt, crystallizing the enantiomerically enriched salt, and freeing the compound of Formula (VI),

wherein the process further comprises preparing the compound of Formula (V) by treating a compound of Formula (IV) with a deprotecting agent:



(IV)

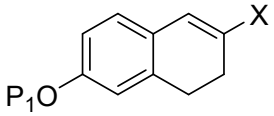
wherein the process further comprises preparing the compound of Formula (IV) by reducing a compound of Formula (III):



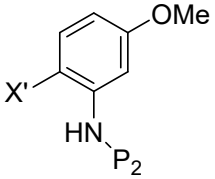
(III)

wherein the reduction of the compound of Formula (III) is carried out using a Pd(0) catalyst;

wherein the process further comprises preparing the compound of Formula (III) by coupling a compound of Formula (I) with a compound of Formula (II):



(I)

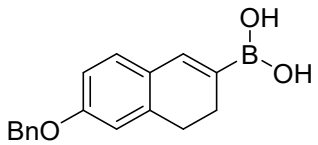


(II)

and wherein P_1 is H or a phenol protecting group; R_b is H or Et; P_2 is (C=O)-C_1 alkyl; X is a boronic acid; X' is a halogen; and

wherein X and X' are suitable for cross-coupling the compound of Formula (I) with the compound of Formula (II).

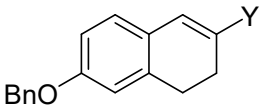
18. The process of claim 17, wherein the compound of Formula (I) is the compound of Formula (a):



(a) .

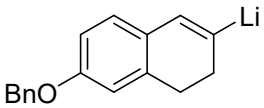
19. The process of claim 18 wherein the process further comprises preparing the compound of Formula (a) by a process comprising:

reacting a compound of Formula (b):



(b)

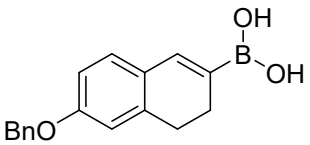
with a lithiation reagent to form a compound of Formula (c):



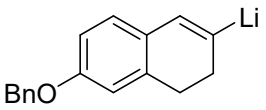
(c) ; and

wherein the process further comprises reacting the compound of Formula (c) with a borate ester and hydrolyzing to form the compound of Formula (a), wherein Y is Br, Cl, or I.

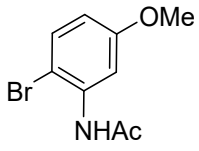
20. A compound selected from:



(a) ,



(c) , or



(d) .