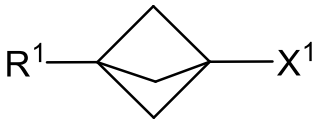
WHAT IS CLAIMED IS:

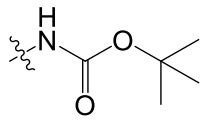
1. A method for preparing a substituted bicyclo[1.1.1]pentane compound of Formula (I), comprising:

reacting a compound of Formula (A) with a compound of Formula (B) in the presence of a first transition metal catalyst, optionally a second transition metal catalyst, and optionally a base, under conditions selected to form a compound of Formula (I);

wherein the compound of Formula (A) has the structure:



(A)

wherein R^1 is selected from the group consisting of hydrogen, an optionally substituted C_{1-30} alkyl, an optionally substituted C_{2-30} alkenyl, an optionally substituted C_{3-10} monocyclic cycloalkyl, an optionally substituted aryl, an optionally substituted heteroaryl, an optionally substituted heterocyclyl, an optionally substituted C-carboxy,  and a first boron-containing moiety, wherein the first boron-containing moiety is connected by the boron;

wherein the first boron-containing moiety is selected from the group consisting of a boronic ester, a boronic acid, a trifluoroborate salt and an *N*-coordinated boronate;

wherein X^1 is selected from the group consisting of a –C(=O)Y and a second boron-containing moiety;

wherein the second boron-containing moiety is selected from the group consisting of a boronic ester, a boronic acid and a trifluoroborate salt, wherein the second boron-containing moiety is connected by the boron;

wherein the compound of Formula (B) has the structure R^2-X^2;

wherein R^2 is selected from the group consisting of an optionally substituted C_{2-30} alkenyl, an optionally substituted C_{3-10} cycloalkenyl, an optionally substituted aryl, an optionally substituted heteroaryl and an optionally substituted heterocyclyl;

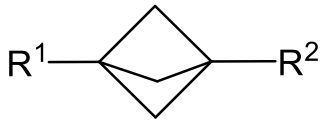
wherein X^2 is selected from the group consisting of a halide, a pseudohalide, a zinc halide, a zinc pseudohalide, a magnesium halide and a magnesium pseudohalide;

wherein the first transition metal catalyst is selected from the group consisting of a Pd catalyst and a Ni catalyst, wherein the Pd atom of the Pd catalyst is Pd(0) or Pd(II); wherein the

Pd atom of the Pd catalyst is ligated by one or more moieties selected from the group consisting of a halide, a sulfonate, a carboxylate, a phosphine, an imine, an aromatized N, an alkene, an amine, a nitrile, a carbanion, a carbene, a bidentate moiety and a tridentate moiety; wherein the Ni atom of the Ni catalyst is Ni(0) or Ni(II); and wherein the Ni atom is ligated by one or more moieties selected from the group consisting of a halide, a sulfonate, a carboxylate, a phosphine, an imine, an aromatized N, an alkene, an amine, a nitrile, a carbanion, a carbene, a bidentate moiety and a tridentate moiety, and wherein the first transition metal catalyst is a cross coupling catalyst;

wherein the second transition metal catalyst is an Ir catalyst, wherein the Ir atom of the Ir catalyst is ligated by one or more moieties selected from the group consisting of a halide, a sulfonate, a carboxylate, a phosphine, an imine, an aromatized N, an alkene, an amine, a nitrile, a carbanion, a carbene and a bidentate moiety; and wherein the Ir catalyst is a photoredox catalyst;

wherein the compound of Formula (I) has the structure:



(I)

wherein the bond between R^2 and the bicyclo[1.1.1]pentane in the compound of Formula (I) is a carbon-carbon bond;

wherein each Y is independently selected from the group consisting of OR^6 and OM;

wherein each R^6 is independently selected from the group consisting of a hydrogen, an optionally substituted heterocyclyl, an optionally substituted heteroaryl and an optionally substituted aryl; and

wherein each M is independently selected from the group consisting of a monovalent cation and a divalent cation;

provided that at least one of X^1 and X^2 is not a boron-containing moiety; and

provided that when the second transition metal catalyst is present, either X^1 or X^2 is a –C(=O)Y.

2. The method of Claim 1, wherein the first transition metal catalyst is selected to undergo oxidative addition to the compound of Formula (A) or the compound of Formula (B).

3. The method of Claim 1 or 2, wherein the Pd atom is ligated by one or more moieties selected from the group consisting of a halide, a sulfonate, a carboxylate, a phosphine, an imine, an aromatized N, an alkene, an amine, a nitrile, a carbanion and a carbene.

4. The method of Claim 1 or 2, wherein the Pd atom is ligated by a bidentate moiety.

5. The method of Claim 1 or 2, wherein the Pd atom is ligated by a tridentate moiety.

6. The method of any one of Claims 1-5, wherein the Pd catalyst comprises a Pd(0) atom.

7. The method of any one of Claims 1-5, wherein the Pd catalyst comprises a Pd(II) atom.

8. The method of Claim 1, wherein the Pd catalyst is selected from the group consisting of $PdCl_2$, $Pd(ACN)_2Cl_2$, $Pd(benzonitrile)_2Cl_2$, $Pd(1,5\text{-}COD)Cl_2$, allylpalladium chloride dimer, $Pd(dba)_2$, $Pd_2(dba)_3$, $Pd(OAc)_2$, $Pd(AmPhos)_2$, $Pd(P(tBu)_3)_2$, $Pd(AmPhos)_2Cl_2$, $Pd(P(o\text{-}tolyl)_3)_2$, $Pd(PPh_3)_4$, $Pd(QPhos)_2$, $PdCl_2(dtbpf)$, $Pd(PCy_3)_2$, bis(di-t-butyl-phenylphosphine)$Pd(Cl)_2$, $PdCl_2(PPh_3)_4$, $PdCl_2(dppf)$, Methanesulfonato(1,1-bis(di-t-butylphosphino)ferrocene)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-di-t-butylphosphino-3,4,5,6-tetramethyl-2',4',6'-tri-i-propylbiphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-di-t-butylphosphino-1,1'-binaphthyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[2-diethylphosphino-2',6'-bis(dimethylamino)-1,1-biphenyl](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Bis{[4-(N,N-dimethylamino)phenyl]di-t-butylphosphino}palladium(0), Bis(tri-t-butylphosphine)palladium(0), Bis(tri-o-tolylphosphine)palladium(0), Chloro(2-di-t-butylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl)[2-(2-aminoethyl)phenyl] palladium(II), Chloro(2-dicyclohexylphosphino-2',6'-dimethoxy-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Chloro(2-dicyclohexylphosphino-2',6'-dimethoxy-1,1'-biphenyl)[2-(2-aminoethylphenyl)]palladium(II), Chloro(2-dicyclohexylphosphino-3,6-dimethoxy-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Chloro[2-(dicyclohexylphosphino)-3,6-dimethoxy-2',4',6'-tri-i-propyl-1,1'-biphenyl][2-(2-aminoethyl)phenyl]palladium(II), Chloro[2-(dicyclohexylphosphino)-2'-(N,N-dimethylamino)-1,1'-biphenyl](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Chloro(2-dicyclohexylphosphino-2',6'-di-i-propoxy-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Chloro(2-dicyclohexylphosphino-2',6'-di-i-propoxy-1,1'-biphenyl)[2-(2-

aminoethylphenyl)]palladium(II), Chloro(2-dicyclohexylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl) palladium(II), Chloro(2-dicyclohexylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl)[2-(2-aminoethyl)phenyl] palladium(II), Chloro{[4-(N,N-dimethylamino)phenyl]di-t-butylphosphino}(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Chloro[9,9-dimethyl-4,5-bis(diphenylphosphino)xanthene][2'-amino-1,1'-biphenyl]palladium(II), Chloro(di-2-norbornylphosphino)(2'-dimethylamino-1,1'-biphenyl-2-yl)palladium(II), Chloro(di-2-norbornylphosphino)(2-dimethylaminomethylferrocen-1-yl)palladium(II), Chloro(tri-t-butylphosphine)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Diacetato[1,3-bis(diphenylphosphino)propane]palladium(II), trans-Di(μ-acetato)bis[o-(di-o-tolylphosphino)benzyl]dipalladium(II), Di-μ-bromobis(tri-t-butylphosphino)dipalladium(I), Dichlorobis(acetonitrile)palladium(II), Dichlorobis(benzonitrile)palladium(II), Dichlorobis(di-t-butylphenylphosphino)palladium(II), Dichloro[1,1'-bis(dicyclohexylphosphino)ferrocene]palladium(II), Dichloro[(R)-(+)-2,2'-bis(di-2-furanylphosphino)-6,6'-dimethoxy-1,1'-biphenyl]palladium(II), Dichlorobis{[4-(N,N-dimethylamino)phenyl]di-t-butylphosphino}palladium(II), Dichloro[(R)-(+)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl]palladium(II), Dichloro[(S)-(-)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl]palladium(II), Dichloro[1,4-bis(diphenylphosphino)butane]palladium(II), Dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II), Dichloro{bis[2-(diphenylphosphino)phenyl]ether}palladium(II), Dichloro[1,1'-bis(di-i-propylphosphino)ferrocene]palladium(II), trans-Dichlorobis(triphenylphosphine)palladium(II), Dichloro[9,9-dimethyl-4,5-bis(diphenylphosphino)xanthene]palladium(II), Dichloro(norbornadiene)palladium(II), Methanesulfonato[2,2'-bis(diphenylphosphino)-1,1'-binaphthyl](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[1,1'-bis(diphenylphosphino)ferrocene)](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[4,6-bis(diphenylphosphino)phenoxazine](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[2-bis(3,5-di(trifluoromethyl)phenylphosphino)-3,6-dimethoxy-2',4',6'-tri-i-propyl-1,1'-biphenyl](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(diadamantyl-n-butylphosphino)-2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[2-(di-1-adamantylphosphino)-3,6-dimethoxy-2',4',6'-tri-i-propyl-1,1'-biphenyl](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[di-t-butyl(n-

butyl)phosphine](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(di-t-butylneopentylphosphine)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[2-(di-t-butylphosphino)-2'-(N,N-dimethylamino)-1,1'-biphenyl](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-(di-t-butylphosphino)-3,6-dimethoxy-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-(di-t-butylphosphino)-3-methoxy-6-methyl-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-di-t-butylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-di-t-butylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-methylamino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-2',6'-bis(dimethylamino)-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-2',6'-dimethoxy-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-2',6'-dimethoxy-1,1'-biphenyl)(2'-methylamino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-3,6-dimethoxy-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-3,6-dimethoxy-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-methylamino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[2-(dicyclohexylphosphino)-2'-(N,N-dimethylamino)-1,1'-biphenyl](2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-2',6'-di-i-propoxy-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-2',6'-di-i-propoxy-1,1'-biphenyl)(2'-methylamino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato{(R)-(-)-1-[(S)-2-(dicyclohexylphosphino)ferrocenyl]ethyldi-t-butylphosphine}(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(2-dicyclohexylphosphino-2',4',6'-tri-i-propyl-1,1'-biphenyl)(2'-methylamino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato{[4-(N,N-dimethylamino)phenyl]di-t-butylphosphino}(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato[9,9-dimethyl-4,5-bis(diphenylphosphino)xanthene][2'-amino-1,1'-biphenyl]palladium(II), Methanesulfonato[9,9-dimethyl-4,5-bis(diphenylphosphino)xanthene](2'-methylamino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(tri-t-butylphosphino)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(tri-t-butylphosphino)(2'-methylamino-1,1'-biphenyl-2-yl)palladium(II),

Methanesulfonato(tricyclohexylphosphine)(2'-amino-1,1'-biphenyl-2-yl)palladium(II), Methanesulfonato(tricyclohexylphosphino)(2'-methylamino-1,1'-biphenyl-2-yl)palladium(II), (2'-Methylamino-1,1'-biphenyl-2-yl)methanesulfonatopalladium(II) dimer, Tris{tris[3,5-bis(trifluoromethyl)phenyl]phosphine}palladium(0), chloro(η^2-P,C-tris(2,4-di-*tert*-butylphenyl)phosphite)(tricyclohexylphosphine)palladium(II) and 2-(2′-di-*tert*-butylphosphine)biphenylpalladium(II) acetate.

9. The method of Claim 1 or 2, wherein the Ni atom is ligated by one or more moieties selected from the group consisting of a halide, a sulfonate, a carboxylate, a phosphine, an imine, an aromatized N, an alkene, an amine, a nitrile, a carbanion and a carbene.

10. The method of any one of Claims 1 or 2, wherein the Ni atom is ligated by a bidentate moiety.

11. The method of any one of Claims 1 or 2, wherein the Ni atom is ligated by a tridentate moiety.

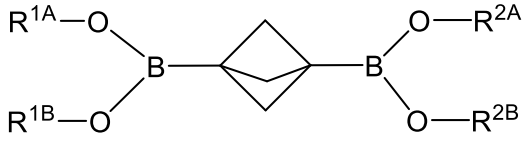
12. The method of any one of Claims 9-11, wherein the Ni catalyst comprises a Ni(0) atom.

13. The method of any one of Claims 9-11, wherein the Ni catalyst comprises a Ni(II) atom.

14. The method of Claim 1, wherein the Ni catalyst is selected from the group consisting of $NiCl_2$(dtbpy), $Ni(acac)_2$, $NiCl_2(PCy_3)_2$, $Ni(1,5\text{-}COD)_2$, $NiCl_2$•dimethoxyethane, $NiCl_2$-glyme, $NiCl_2$, Nickel(II) acetate, Nickel(II) acetylacetonate, Nickel(II) bis(trifluoromethanesulfonimide), Nickel(II) bromide, Nickel(II) hexafluoroacetylacetonate and Nickel(II) trifluoromethanesulfonate.

15. The method of any one of Claims 1-14, wherein the Ir catalyst is selected from the group consisting of $Ir[dF(CF_3)ppy]_2(bpy)PF_6$, $Ir[dF(Me)ppy]_2(dtbpy)PF_6$, $Ir[dF(CF_3)ppy]_2(dtbpy)PF_6$, $Ir(ppy)_2(dtbpy)PF_6$, $Ir(ppy)_3$, $Ir[p\text{-}F(t\text{-}Bu)ppy]_3$, $Ir(dFppy)_3$ and $Ir(Fppy)_3$.

16. The method of any one of Claims 1-15, wherein the compound of Formula (A) has the structure: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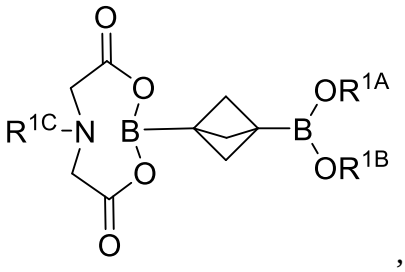
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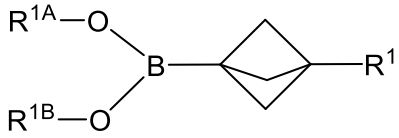
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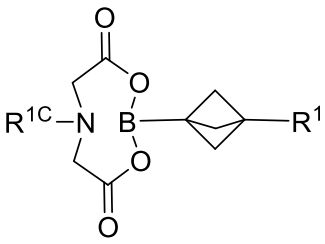
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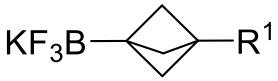
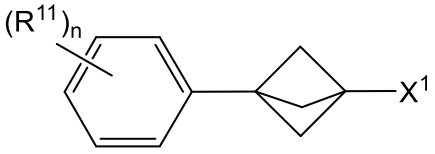
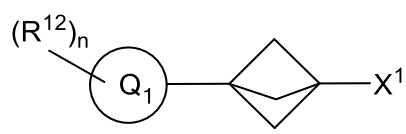

;

wherein:

R^{1A} and R^{1B} are each hydrogen, or OR^{1A}, OR^{1B} and the boron atom to which they attach are taken together to form a 5 or 6 membered heterocyclyl that is optionally substituted with one or more unsubstituted C_{1-4} alkyls;

each R^{1C} is independently hydrogen, an optionally substituted C_{1-30} alkyl or an optionally substituted C_{3-10} cycloalkyl;

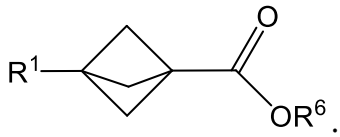
OR^{2A}, OR^{2B} and the boron atom to which they attach can be taken together to form a 5 or 6 membered heterocyclyl that is optionally substituted with one or more unsubstituted C_{1-4} alkyls; and

17. The method of any one of Claims 1-15, wherein the compound of Formula (A) has the structure:  or  ;

wherein Q_1 is an optionally substituted monocyclic or bicyclic heteroaryl or an optionally substituted monocyclic or bicyclic heterocyclyl including 1 to 2 heteroatom moieties selected from –N=, –O– and –S–;

wherein each R^{11} and each R^{12} is independently selected from the group consisting of C_{1-4} alkoxy, C_{1-4} alkyl and C_{3-10} cycloalkyl; and

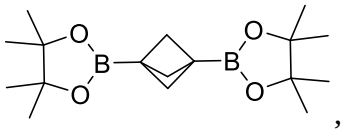
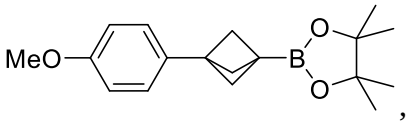
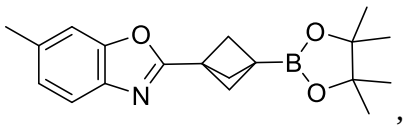
wherein each n is independently an integer from 0 to 2.

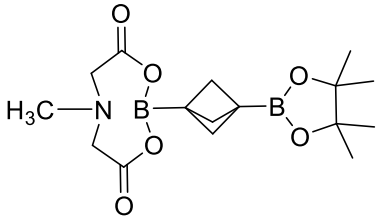
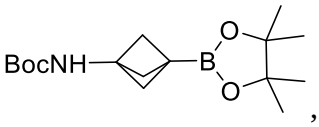
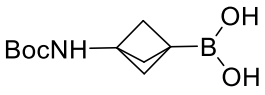
18. The method of any one of Claims 1-15, wherein the compound of Formula (A) has the structure:  .

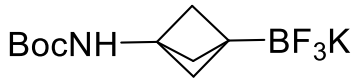
19. The method of Claim 18, wherein R^6 is hydrogen.

20. The method of Claim 18, wherein R^6 is an unsubstituted heterocyclyl.

21. The method of any one of Claims 1-15, wherein the compound of Formula (A) is selected from the group consisting of:

 ,  ,  ,

 ,  ,  and

 .

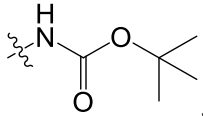
22. The method of any one of Claims 1-21, wherein X^2 is a halide selected from the group consisting of a chloride, a bromide and an iodide.

23. The method of any one of Claims 1-21, wherein X^2 is selected from the group consisting of a zinc halide and a zinc pseudohalide.

24. The method of any one of Claims 1-21, wherein X^2 is selected from the group consisting of a magnesium halide and a magnesium pseudohalide.

25. The method of any one of Claims 1-16 or 18-24, wherein R^1 is hydrogen.

26. The method of any one of Claims 1-16 or 18-24, wherein R^1 is an optionally substituted C_{3-10} monocyclic cycloalkyl, an optionally substituted aryl, an optionally substituted heteroaryl and an optionally substituted heterocyclyl.

27. The method of any one of Claims 1-16 or 18-24, wherein R^1 is  .

28. The method of any one of Claims 1-16 or 18-24, wherein R^1 is a first boron-containing moiety, wherein the first boron-containing moiety is connected by the boron.

29. The method of any one of Claims 1-28, wherein said reacting is in the presence of a ligand, wherein the ligand is selected from the group consisting of DPPF, PPh_3, 4,4'-di-tert-butyl-2,2'-dipyridyl, 2,2'-bipyridyl and 4,4'-dimethoxy-2,2'-bipyridyl.

30. The method of any one of Claims 1-29, wherein the method utilizes a base selected from the group consisting of Cs_2CO_3, Na_2CO_3, K_2CO_3, Li_2CO_3, $NaHCO_3$, $KHCO_3$, $CsHCO_3$, $LiHCO_3$, KOtBu, NaOtBu and LiOtBu.

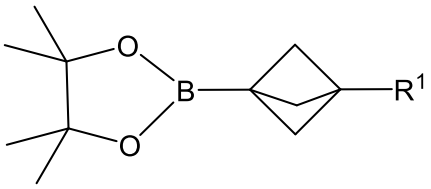
31. , The method of any one of Claims 1-30, wherein M is a monovalent cation selected from the group consisting of Li^+, Na^+, K^+, Rb^+, Cs^+ and a quaternary nitrogen.

32. The method of any one of Claims 1-30, wherein M is a divalent cation selected from the group consisting of Mg^{+2}, Ca^{+2}, Sr^{+2} and Ba^{+2}.

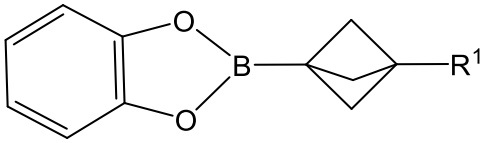
33. The method of any one of Claims 1-32, wherein said reacting is in the presence of visible light.

34. The method of any one of Claims 1-33, wherein the compound of Formula (I) is prepared as a salt.

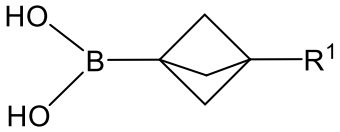
35. A compound selected from the group consisting of Formula (A1a), Formula (A1b), Formula (A1c) and Formula (A1d):



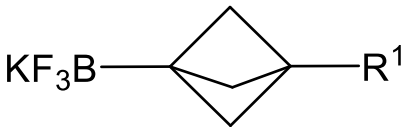
Formula (A1a)



Formula (A1b)

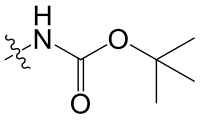


Formula (A1c)

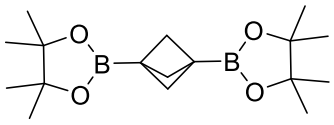
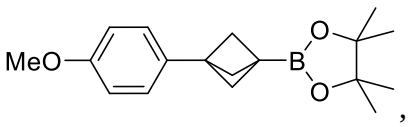
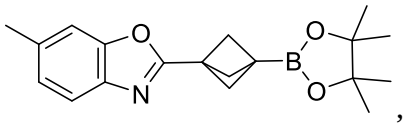


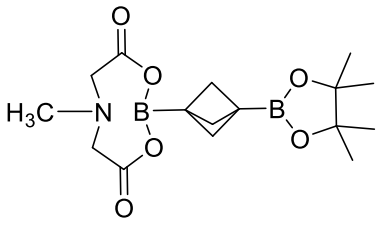
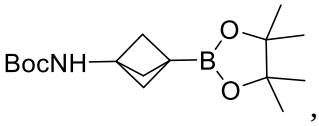
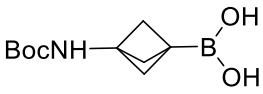
Formula (A1d)

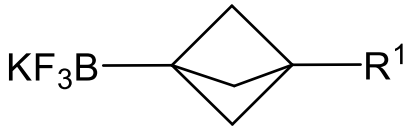
wherein R^1 is selected from the group consisting of an optionally substituted C_{3-10} monocyclic cycloalkyl, an optionally substituted aryl, an optionally substituted heteroaryl, an

optionally substituted heterocyclyl,  and a first boron-containing moiety, wherein the first boron-containing moiety is connected by the boron.

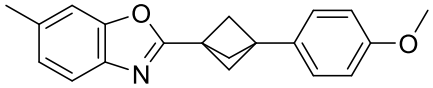
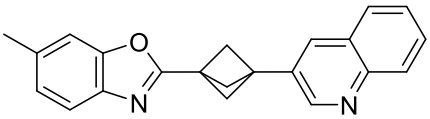
36. The compound of Claim 35 selected from the group consisting of:

 ,  ,  ,

 ,  ,  and

 .

37. A compound selected from the group consisting of:

 and  , or a pharmaceutically acceptable salt of any of the foregoing.