DIHYDROBENZOFURANYL ALKANAMINE DERIVATIVES AS 5HT2C AGONISTS

CROSS-REFERENCE TO RELATED APPLICATIONS

[0001] The present invention claims priority benefit of U.S. Provisional Application Serial No. 60/514,454, filed October 24, 2003, which is incorporated herein by reference in its entirety for all purposes.

FIELD OF THE INVENTION

[0002] The present invention relates to novel 1-(2,3-dihydro-1-benzofuran-2-yl)alkanamine derivatives that act as agonists and partial agonists of the 5-HT_{2C} receptor, processes for their preparation, and their use in medicine.

BACKGROUND OF THE INVENTION

[0003] Schizophrenia affects approximately 5 million people. The most prevalent treatments for schizophrenia are currently the 'atypical' antipsychotics, which combine dopamine (D_2) and serotonin (5-HT_{2A}) receptor antagonism. Despite the reported improvements in efficacy and side-effect liability of atypical antipsychotics relative to typical antipsychotics, these compounds do not appear to adequately treat all the symptoms of schizophrenia and are accompanied by problematic side effects, such as weight gain (Allison, D. B., et. al., Am. J. Psychiatry, 156: 1686-1696, 1999; Masand, P. S., Exp. Opin. Pharmacother. I: 377-389, 2000; Whitaker, R., Spectrum Life Sciences. Decision Resources. 2:1-9, 2000).

[0004] Atypical antipsychotics also bind with high affinity to 5-HT_{2C} receptors and function as 5-HT_{2C} receptor antagonists or inverse agonists. Weight gain is a problematic side

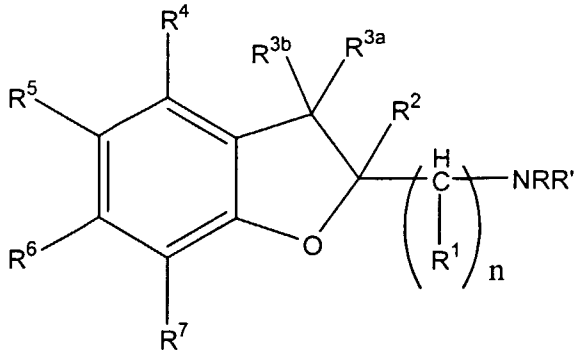
effect associated with atypical antipsychotics such as clozapine and olanzapine, and it has been suggested that 5-HT_{2C} antagonism is responsible for the increased weight gain. Conversely, stimulation of the 5-HT_{2C} receptor is known to result in decreased food intake and body weight (Walsh et. al., Psychopharmacology 124: 57-73, 1996; Cowen, P. J., et. al., Human Psychopharmacology 10: 385-391, 1995; Rosenzweig-Lipson, S., et. al., ASPET abstract, 2000).

[0005] Several lines of evidence support a role for 5-HT_{2C} receptor agonism or partial agonism as a treatment for schizophrenia. Studies suggest that 5-HT_{2C} antagonists increase synaptic levels of dopamine and may be effective in animal models of Parkinson's disease (Di Matteo, V., et. al., Neuropharmacology 37: 265-272, 1998; Fox, S. H., et. al., Experimental Neurology 151: 35-49, 1998). Since the positive symptoms of schizophrenia are associated with increased levels of dopamine, compounds with actions opposite to those of 5-HT_{2C} antagonists, such as 5-HT_{2C} agonists and partial agonists, should reduce levels of synaptic dopamine. Recent studies have demonstrated that 5-HT_{2C} agonists decrease levels of dopamine in the prefrontal cortex and nucleus accumbens (Millan, M. J., et. al., Neuropharmacology 37: 953-955, 1998; Di Matteo, V., et. al., Neuropharmacology 38: 1195-1205, 1999; Di Giovanni, G., et. al., Synapse 35: 53-61, 2000), brain regions that are thought to mediate critical antipsychotic effects of drugs like clozapine. However, 5-HT_{2C} agonists do not decrease dopamine levels in the striatum, the brain region most closely associated with extrapyramidal side effects. In addition, a recent study demonstrates that 5-HT_{2C} agonists decrease firing in the ventral tegmental area (VTA), but not in the substantia nigra. The differential effects of 5-HT_{2C} agonists in the mesolimbic pathway relative to the nigrostriatal pathway suggest that 5-HT_{2C} agonists have limbic selectivity, and will be less likely to produce extrapyramidal side effects associated with typical antipsychotics.

SUMMARY OF THE INVENTION

[0006] The present invention relates to certain dihydrobenzofuranyl alkanamine derivatives and to their use in medicine. In one aspect, the invention relates to novel 1-(2,3-dihydro-1-benzofuran-2-yl)alkanamine derivatives that act as agonists or partial agonists of the 5-HT_{2C} receptor. The compounds can be used, for example, to treat schizophrenia and the concomitant mood disorders and cognitive impairments of schizophrenia. Compounds of the present invention are preferably less likely to produce the body weight increases associated with current atypical antipsychotics. The compounds of the present invention can also be used for the treatment of obesity and its comorbidities.

[0007] In certain embodiments, the invention relates to compounds of Formula 1:



Formula 1

or pharmaceutically acceptable salts thereof;

wherein:

R and R′ are, independently, hydrogen, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, or alkylcycloalkyl of 4 to 12 carbon atoms having 3 to 6 carbons in the cycloalkyl ring;

alternatively R and R′ can be taken together with the nitrogen to which they are attached to form a ring containing 2-5 carbon atoms, wherein one of the ring carbon atoms is optionally replaced by nitrogen, sulfur or oxygen;

R^1 and R^2 are, independently, hydrogen, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, or perfluoroalkyl of 1 to 6 carbon atoms;

R^{3a} and R^{3b} are, independently, hydrogen, halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms;

R^4, R^5, R^6, and R^7 are, independently, hydrogen, halogen, cyano, hydroxyl, carboxyl, alkyl of 1 to 8 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, perfluoroalkoxy of 1 to 6 carbon atoms, aryl of 5 to 10 carbon atoms, aryloxy of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl having 1 to 3 heteroatoms each independently selected from nitrogen, oxygen or sulfur, alkenyl of 2 to 8 carbon atoms, alkanoyl of 2 to 6 carbon atoms, alkanoyloxy of 2 to 6 carbon atoms, carboalkoxy of 2 to 6 carbon atoms, carboxamido, alkanamido of 2 to 6 carbon atoms, alkanesulfonamido of 1 to 6 carbon atoms, amino, monoalkylamino of 1 to 6 carbon atoms, dialkylamino of 1 to 6 carbon atoms per alkyl moiety, cycloalkyl of 3 to 8 carbon atoms, or 3 to 8 membered heterocycloalkyl having 1 to 3 heteroatoms each independently selected from nitrogen, oxygen or sulfur, wherein the cycloalkyl and heterocycloalkyl groups are saturated or partially saturated; and

n is 1, 2 or 3;

wherein at least one of R^6, R^7, R^9 and R^{10} is branched alkyl of 3 to 8 carbon atoms, branched alkenyl of 3 to 8 carbon atoms, or -Y-R^8, wherein Y is selected from a direct bond, lower alkyl, lower ankenyl, O, and NH and R^8 is aryl of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl, cycloalkyl of 3 to 8 carbon atoms, or 3 to 8 membered heterocycloalkyl; and

wherein any aryl, heteroaryl, cycloalkyl or heterocycloalkyl may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, cyano, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms.

[0008] In certain other embodiments, the invention relates to methods for treating a patient suffering from schizophrenia, schizophreniform disorder, schizoaffective disorder, delusional disorder, substance-induced psychotic disorder, L-DOPA-induced psychosis, psychosis associated with Alzheimer's dementia, psychosis associated with Parkinson's disease, psychosis associated with Lewy body disease, dementia, memory deficit, intellectual deficit associated with Alzheimer's disease, bipolar disorders, depressive disorders, mood episodes, anxiety disorders, adjustment disorders, eating disorders, epilepsy, sleep disorders, migraines, sexual dysfunction, substance abuse, addiction to alcohol and various other drugs, including cocaine and nicotine, gastrointestinal disorders, obesity, or a central nervous system deficiency associated with trauma, stroke, or spinal cord injury that includes administering to the patient a therapeutically effective amount of a compound of formula 1, or a pharmaceutically acceptable salt thereof.

[0009] In still other embodiments, the invention relates to compositions comprising a compound of Formula 1 or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable carriers, excipients, or diluents.

DETAILED DESCRIPTION OF THE INVENTION

[0010] The present invention relates to novel 1-(2,3,-dihydro-1-benzofuran-2-yl)alkanamine derivatives that are agonists or partial agonists of the 2c subtype of brain serotonin receptors.

[0011] The term "alkyl," as used herein, refers to an aliphatic hydrocarbon chain having up to 8 carbon atoms, preferably 1 to 6 carbon atoms, and more preferably 1 to 4 carbon atoms. The term "alkyl" includes, but is not limited to, straight and branched chains such as methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, sec-butyl, t-butyl, n-pentyl, isopentyl, neo-pentyl, n-hexyl, and isohexyl. In some embodiments, the alkyl group is preferably branched having 3 to 8 carbon atoms. The term "lower alkyl" refers to an alkyl group having 1 to 3 carbon atoms.

[0012] The term "alkenyl," as used herein refers to an aliphatic straight or branched hydrocarbon chain having 2 to 8 carbon atoms that may contain 1 to 3 double bonds. Examples of alkenyl groups include vinyl, prop-1-enyl, allyl, methallyl, but-1-enyl, but-2-enyl, but-3-enyl, or 3,3-dimethylbut-1-enyl. In some embodiments, the alkenyl is preferably a branched alkenyl of 3 to 8 carbon atoms. The term "lower alkenyl" refers to an alkenyl group having 1 to 3 carbon atoms.

[0013] The term "cycloalkyl," as used herein, refers to a saturated or partially saturated, hydrocarbon ring containing 3 to 8 carbon atoms and more preferably 5 to 7 carbon atoms. Cycloalkyl groups may be monocyclic or bicyclic, and more preferably monocyclic. Bicyclic cycloalkyl groups are preferably bridged. "Bridged" refers to a cycloalkyl group that contains at least one carbon-carbon bond between two non-adjacent carbon atoms of the cycloalkyl ring. "Partially saturated" refers to a nonaromatic cycloalkyl group containing at least one double bond and preferably one double bond. Preferably, the cycloalkyl group is saturated. The cycloalkyl group may be unsubstituted or substituted as described hereinafter. The term "alkylcycloalkyl," as used herein, refers to the group –R-cycloalkyl, where cycloalkyl is as defined above and R is an alkyl moiety having 1 to 6, preferably 1 to 4, and more preferably 1 to 3 carbon atoms.

[0014] The term "heterocycloalkyl," as used herein, refers to a 3 to 8 membered, and more preferably 5 to 7 membered cycloalkyl group in which one to three carbon atoms of the cycloalkyl group are replaced with a heteroatom independently selected from oxygen, nitrogen, or sulfur. The heterocycloalkyl group may be saturated or partially saturated, and may be monocyclic or bicyclic (such as bridged). Preferably, the heterocycloalkyl is monocyclic. The heterocycloalkyl group may be unsubstituted or substituted as described hereinafter.

[0015] The term "aryl," as used herein refers to a 5 to 10 membered carbocyclic aromatic ring. The aryl may be monocyclic or bicyclic, and may be substituted or unsubstituted. Monocyclic aryl groups preferably have 5, 6, or 7 members and bicyclic aryl groups preferably have 8, 9 or 10 members. Exemplary aryl groups include phenyl and naphthyl.

[0016] The term "aryloxy," as used herein, refers to the group Ar-O-, where Ar is an aryl group of 5 to 10 carbon atoms as previously described.

[0017] The term "heteroaryl," as used herein, refers to a 5 to 10 membered monocyclic or bicyclic carbon containing aromatic ring having 1 to 3 of its ring members independently selected from nitrogen, sulfur or oxygen. Monocyclic rings preferably have 5 to 6 members and bicyclic rings preferably have 8 to 10 membered ring structures. The heteroaryl group may be unsubstituted or substituted as described hereinafter. Examples of heteroaryls include, but are

not limited to, thienyl, furyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, isobenzofuranyl, benzothienyl, isobenzothienyl, quinolyl, isoquinolyl, quinoxalinyl, or quinazolinyl.

[0018] The term "perfluoroalkyl," as used herein, refers to a straight or branched aliphatic hydrocarbon chain of 1 to 6 carbon atoms and preferably 1 to 3 carbon atoms, in which all hydrogens are replaced with fluorine.

[0019] The term "alkanamido," as used herein, refers to the group R-C(=O)-NH- where R is an alkyl group of 1 to 5 carbon atoms.

[0020] The term "alkanoyl," as used herein, refers to the group R-C(=O)- where R is an alkyl group of 1 to 5 carbon atoms.

[0021] The term "alkanoyloxy," as used herein, refers to the group R-C(=O)-O- where R is an alkyl group of 1 to 5 carbon atoms.

[0022] The term "alkanesulfonamido," as used herein, refers to the group R-$S(O)_2$-NH- where R is an alkyl group of 1 to 6 carbon atoms.

[0023] The term "alkoxy," as used herein, refers to the group R-O- where R is an alkyl group of 1 to 6 carbon atoms.

[0024] The term "perfluoroalkoxy," as used herein, refers to the group R-O where R is a perfluoroalkyl group of 1 to 6 carbon atoms.

[0025] The terms "monoalkylamino" and "dialkylamino," as used herein, respectively refer to -NHR and $-NRR_a$, where R and R_a are independently selected from an alkyl group of 1 to 6 carbon atoms.

[0026] The term "carboxamido," as used herein, refers to the group NH_2-C(=O)- .

[0027] The term "carboalkoxy," as used herein, refers to the group R-O-C(=O)- where R is an alkyl group of 1 to 5 carbon atoms.

[0028] The term "carboxy," as used herein, refers to the group –COOH.

[0029] The terms "halogen" or "halo," as used herein, refer to chlorine, bromine, fluorine or iodine.

[0030] The term "substituted," as used herein, refers to a moiety, such as an aryl, heteroaryl, cycloalkyl or heterocycloalkyl moiety having from 1 to about 5 substituents, and more preferably from 1 to about 3 substituents independently selected from a halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms. Preferred substituents are a halogen atom, a

lower alkyl, a perfluoroalkyl of 1 to 3 carbon atoms, an alkoxy group of 1 to 3 carbon atoms or a perfluoroalkoxy of 1 to 3 carbon atoms.

[0031] The terms "effective amount" and "therapeutically effective amount," as used herein, refer to the amount of a compound of Formula 1 that, when administered to a patient, is effective to at least partially treat a condition from which the patient is suffering from. Such conditions include, but are not limited to, schizophrenia, schizoaffective disorder, schizophreniform disorder, L-DOPA-induced psychosis, bipolar disorder, obesity, obsessive compulsive disorder, depression, panic disorder, sleep disorders, eating disorders, and epilepsy.

[0032] The term "pharmaceutically acceptable salts" or "pharmaceutically acceptable salt" refers to salts derived from treating a compound of Formula 1 with an organic or inorganic acid such as, for example, acetic, lactic, citric, cinnamic, tartaric, succinic, fumaric, maleic, malonic, mandelic, malic, oxalic, propionic, hydrochloric, hydrobromic, phosphoric, nitric, sulfuric, glycolic, pyruvic, methanesulfonic, ethanesulfonic, toluenesulfonic, salicylic, benzoic, or similarly known acceptable acids.

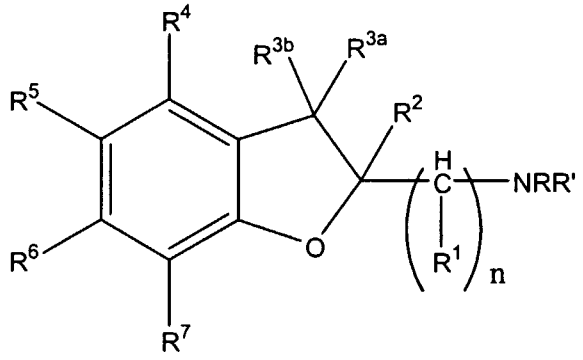
[0033] The term "patient," as used herein, refers to a mammal.

[0034] The terms "administer," "administering," or "administration," as used herein, refer to either directly administering a compound or composition to a patient, or administering a prodrug derivative or analog of the compound to the patient, which will form an equivalent amount of the active compound or substance within the patient's body.

[0035] The terms "treat" or "treating," as used herein, refers to partially or completely alleviating, inhibiting, preventing, ameliorating and/or relieving the condition.

[0036] The terms "suffer" or "suffering" as used herein refers to one or more conditions that a patient has been diagnosed with, or is suspected to have.

[0037] In certain embodiments, the invention relates to compounds of Formula 1:



Formula 1

or pharmaceutically acceptable salts thereof;

wherein:

R and R′ are, independently, hydrogen, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, or alkylcycloalkyl of 4 to 12 carbon atoms having 3 to 6 carbons in the cycloalkyl ring;

alternatively R and R′ can be taken together with the nitrogen to which they are attached to form a ring containing 2-5 carbon atoms, wherein one of the ring carbon atoms is optionally replaced by nitrogen, sulfur or oxygen;

R^1 and R^2 are each, independently, hydrogen, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, or perfluoroalkyl of 1 to 6 carbon atoms;

R^{3a} and R^{3b} are, independently, hydrogen, halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms;

R^4, R^5, R^6, and R^7 are, independently, hydrogen, halogen, cyano, hydroxyl, carboxyl, alkyl of 1 to 8 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, perfluoroalkoxy of 1 to 6 carbon atoms, aryl of 5 to 10 carbon atoms, aryloxy of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl having 1 to 3 heteroatoms each independently selected from nitrogen, oxygen or sulfur, alkenyl of 2 to 8 carbon atoms, alkanoyl of 2 to 6 carbon atoms, alkanoyloxy of 2 to 6 carbon atoms, carboalkoxy of 2 to 6 carbon atoms, carboxamido, alkanamido of 2 to 6 carbon atoms, alkanesulfonamido of 1 to 6 carbon atoms, amino, monoalkylamino of 1 to 6 carbon atoms, dialkylamino of 1 to 6 carbon atoms per alkyl moiety, cycloalkyl of 3 to 8 carbon atoms, or 3 to 8 membered heterocycloalkyl having 1 to 3 heteroatoms each independently selected from nitrogen, oxygen or sulfur, wherein the cycloalkyl and heterocycloalkyl groups are saturated or partially saturated; and

n is 1, 2 or 3;

wherein at least one of R^4, R^5, R^6 and R^7 is branched alkyl of 3 to 8 carbon atoms, branched alkenyl of 3 to 8 carbon atoms, or -Y-R^8, wherein Y is selected from a direct bond, lower alkyl, lower ankenyl, O, and NH and R^8 is aryl of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl, cycloalkyl of 3 to 8 carbon atoms, or 3 to 8 membered heterocycloalkyl; and

wherein any aryl, heteroaryl, cycloalkyl or heterocycloalkyl may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, cyano, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms.

[0038] As set forth above, R and R′ are, independently, hydrogen, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, or alkylcycloalkyl of 4 to 12 carbon atoms having 3 to

6 carbons in the cycloalkyl ring. Alternatively R and R′ can be taken together with the nitrogen to which they are attached to form a ring containing 2-5 carbon atoms, wherein one of the ring carbon atoms is optionally replaced by nitrogen, sulfur or oxygen. In some embodiments, R, R′, R^1, and R^2 are each, independently, hydrogen or alkyl of 1 to 6 carbon atoms. In certain embodiments, R′ is hydrogen, and R, R^1, and R^2 are each independently hydrogen or alkyl of 1 to 6 carbon atoms. In certain preferred embodiments, each of R, R′, R^1, and R^2 is hydrogen.

[0039] As also set forth above, R^{3a} and R^{3b} may each be selected, independently, from hydrogen, halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms. In certain embodiments, R^{3a} and R^{3b} are each independently hydrogen or alkyl of 1 to 3 carbon atoms and more preferably hydrogen.

[0040] R^4, R^5, R^6, and R^7 may each be selected, independently, from hydrogen, halogen, cyano, hydroxyl, carboxyl, alkyl of 1 to 8 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, perfluoroalkoxy of 1 to 6 carbon atoms, aryl of 5 to 10 carbon atoms, aryloxy of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl having 1 to 3 heteroatoms each independently selected from nitrogen, oxygen or sulfur, alkenyl of 2 to 8 carbon atoms, alkanoyl of 2 to 6 carbon atoms, alkanoyloxy of 2 to 6 carbon atoms, carboalkoxy of 2 to 6 carbon atoms, carboxamido, alkanamido of 2 to 6 carbon atoms, alkanesulfonamido of 1 to 6 carbon atoms, amino, monoalkylamino of 1 to 6 carbon atoms, dialkylamino of 1 to 6 carbon atoms per alkyl moiety, cycloalkyl of 3 to 8 carbon atoms, and 3 to 8 membered heterocycloalkyl having 1 to 3 heteroatoms each independently selected from nitrogen, oxygen or sulfur, wherein the cycloalkyl and heterocycloalkyl groups are saturated or partially saturated. Moreover, at least one of R^4, R^5, R^6 and R^7 is -Y-R^8, wherein Y is selected from a direct bond, lower alkyl, lower ankenyl, O, and NH, and R^8 is an aryl of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl, cycloalkyl of 3 to 8 carbon atoms, 3 to 8 membered heterocycloalkyl, branched alkyl of 3 to 8 carbon atoms, or branched alkenyl of 3 to 8 carbon atoms. Additionally, where any of R^4, R^5, R^6, and R^7 is aryl, heteroaryl, cycloalkyl or heterocycloalkyl, it may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms.

[0041] In certain preferred embodiments, Y is a direct bond.

[0042] In certain embodiments, R^4, R^5, R^6, and R^7 are preferably selected from hydrogen, halogen, alkyl of 1 to 8 carbon atoms, perfluoroalkyl of 1 to 3 carbon atoms, alkoxy of 1 to 3 carbon atoms, alkenyl of 2 to 8 carbon atoms, cycloalkyl of 3 to 8 carbon atoms, 3 to 8

membered heterocycloalkyl, aryl of 5 to 10 carbon atoms, or 5 to 10 membered heteroaryl, provided that at least one of R^4, R^5, R^6 and R^7 is an aryl of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl, cycloalkyl of 3 to 8 carbon atoms, 3 to 8 membered heterocycloalkyl, branched alkyl of 3 to 8 carbon atoms, or branched alkenyl of 3 to 8 carbon atoms, wherein any aryl, heteroaryl, cycloalkyl or heterocycloalkyl may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, cyano, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms. Preferably, at least one of R^4, R^5, R^6 and R^7 and more preferably at least one of R^4, R^5 and R^7 is an aryl of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl, cycloalkyl of 3 to 8 carbon atoms, or 3 to 8 membered heterocycloalkyl.

[0043] In certain preferred embodiments of the invention, R^4, R^5, and R^6 are, independently, hydrogen, halogen, alkyl of 1 to 3 carbon atoms, alkoxy of 1 to 3 carbon atoms, perfluoroalkyl of 1 to 3 carbon atoms, or perfluoroalkoxy of 1 to 3 carbon atoms, and R^7 is a branched alkyl of 3 to 8 carbon atoms, branched alkenyl of 3 to 8 carbon atoms, cycloalkyl of 3 to 8 carbon atoms, 3 to 8 membered heterocycloalkyl, aryl of 5 to 10 carbon atoms, or 5 to 10 membered heteroaryl, wherein any aryl, heteroaryl, cycloalkyl or heterocycloalkyl may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, cyano, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms. More preferably, R^7 is a branched alkyl of 3 to 6 carbon atoms, branched alkenyl of 3 to 6 carbon atoms, cycloalkyl of 3 to 8 carbon atoms, aryl of 5 to 10 carbon atoms, or 5 to 10 membered heteroaryl, each of which may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms.

[0044] In other preferred embodiments of the invention, each of R^4, R^5 and R^7 is, independently, aryl of 5 to 10 carbon atoms, cycloalkyl of 5 to 7 carbon atoms, or 5 to 10 membered heteroaryl, and more preferably is phenyl or napthyl, or a 5 to 10 membered heteroaryl selected from thienyl, furyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, isobenzofuranyl, benzothienyl, isobenzothienyl, quinolyl, isoquinolyl, quinoxalinyl, or quinazolinyl, each of which may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms.

[0045] In certain other preferred embodiments of the invention, R^7 is aryl of 5 to 10 carbon atoms, cycloalkyl of 5 to 7 carbon atoms, branched alkyl of 3 to 6 carbon atoms, branched alkenyl of 3 to 6 carbon atoms, or 5 to 10 membered heteroaryl, and more preferably is phenyl or napthyl, or a 5 to 10 membered heteroaryl selected from thienyl, furyl, pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, indazolyl, benzofuranyl, isobenzofuranyl, benzothienyl, isobenzothienyl, quinolyl, isoquinolyl, quinoxalinyl, or quinazolinyl, each of which may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms. In preferred compounds of this embodiment, R, R′, R^1, and R^2 are each, independently, hydrogen or alkyl of 1 to 6 carbon atoms.

[0046] In other preferred embodiments, R^7 is aryl of 5 to 10 carbon atoms, cycloalkyl of 5 to 7 carbon atoms, or 5 to 10 membered heteroaryl, or more preferably phenyl, wherein said aryl (including phenyl), cycloalkyl or heteroaryl may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms. In preferred compounds of this embodiment, at least one of R^4 and R^5 is halogen, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 3 carbon atoms, or alkoxy of 1 to 6 carbon atoms. Even more preferred compounds are those in which at least one of R^4 and R^5 is halogen, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 3 carbon atoms, or alkoxy of 1 to 6 carbon atoms, and R, R′, R^1, and R^2 are each, independently, hydrogen or alkyl of 1 to 6 carbon atoms. In other preferred embodiments, R^7 is aryl of 5 to 10 carbon atoms, optionally substituted with 1 to 3 substituents independently selected from a halogen atom, a lower alkyl, a perfluoroalkyl of 1 to 3 carbon atoms, an alkoxy group of 1 to 3 carbon atoms or a perfluoroalkoxy of 1 to 3 carbon atoms.

[0047] In certain embodiments, R^7 is selected from from the group consisting of:
4-methoxy-2-methylphenyl,
2-chloro-4-(trifluoromethyl)phenyl,
2-chloro-4-methoxyphenyl,
2-chloro-4-(trifluoromethoxy)phenyl,
({7-[4-methoxy-2-(trifluoromethyl)phenyl,
4-ethoxy-2-methylphenyl,
4-ethoxy-2-(trifluoromethyl)phenylamine,
4-chloro-2-(trifluoromethyl)phenyl,

4-fluoro-2-(trifluoromethyl)phenyl,

2-ethyl-4-methoxyphenyl,

2,4-dichlorophenyl,

2,4-dimethylphenyl,

4-isopropyl-2-methoxyphenyl,

4-isopropoxy-2-(trifluoromethyl)phenyl,

2-chloro-4-isopropoxyphenyl,

4-chloro-2-methylphenyl,

2,6-difluorophenyl,

2-chloro-6-fluorophenyl,

2-fluoro-6-(trifluoromethyl)phenyl,

2,6-bis(trifluoromethyl)phenyl,

2,3-dichlorophenyl,

3-chloro-2-fluorophenyl,

2-chloro-3-methylphenyl,

2,6-dichloro-4-methoxyphenyl, and

5-fluoro-2-methoxyphenyl.

[0048] In the compounds of the present invention, n is 1, 2 or 3, preferably 1 or 2, and more preferably 1.

[0049] In still further preferred embodiments of the invention, the compounds of Formula 1 are:

(±)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(-)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(+)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-*N*-[(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine,

(±)-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(-)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(+)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-(6-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-{7-[3,5-bis(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(1-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(3,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-(1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[7-(2-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(2',3'-dihydro-2,7'-bi-1-benzofuran-2'-yl)methanamine,

(±)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(-)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine,

(+)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine,

(-)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine,

(±)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[5-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[5-chloro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[5-chloro-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(-)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(+)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(+)-*N*-[(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine,

(-)-*N*-[(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine,

(±)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(4-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[4-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(5-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine ,

(±)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-1-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(5-chloro-2-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-(5-chloro-2-methyl-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-(5-chloro-2-methyl-7-thien-2-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(-)-1-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(3,3-dimethylbutyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[4-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(-)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(-)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine, or

(±)-1-[7-(2-phenylethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

or a pharmaceutically acceptable salt thereof.

[0050] In other preferred embodiments of the invention, the compounds of Formula 1 are:

(±)-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(+)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-phenylamine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-chlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methoxyphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-[4-(trifluoromethyl)phenyl]amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-fluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,4-dichlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(2,4-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,4-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-fluorophenyl)amine,

(±)-N-2-(aminomethyl)-N-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-7-amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methoxy-3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-difluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-trifluoromethoxy)phenyl]amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chloro-4-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-dichlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-chloro-3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chloro-4-fluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(2-fluorophenyl)amine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[5-fluoro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-pyridin-2-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(-)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-pyridin-4-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-[(5-fluoro-7-pyrimidin-5-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2,3-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,

(±)-{[7-(2,6-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-1-cyclopropyl-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}piperidine

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}morpholine

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

(±)-{[5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,

(±)-{[5-chloro-7-(3,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[(5-chloro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}(cyclopropylmethyl)amine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}piperidine

(±)-4-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}morpholine

(±)-4-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}thiomorpholine

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine,

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}piperazine

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

(±)-{[(5-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({5-methyl-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isopropyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isopropyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-cyclopentyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-cyclopentyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isocyano-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isocyano-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-butylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-4-[2-(aminomethyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-7-yl]benzonitrile

(±)-{[7-(3-furyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-thien-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-pyridin-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5,7-diphenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-methoxy-7-(3-thienyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[{[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-N-methyl-1-(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-[(N-methyl-1-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine,

(±)-[(5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(3.4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[5-chloro-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-difluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[N-methyl-1-[7-phenyl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3-methylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-N-methyl-1-[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-[3,5-bis(trifluoromethyl)phenyl]-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[2-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[4-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[4-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[4-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(+){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(R)-[7-(2-chloro-phenyl)-(5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl)methyl-amine,

(R)-[7-(2,6-dichloro-phenyl)-5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl]ethylamine,

(R)-[7-(2,6-dichloro-phenyl)-5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl]dimethylamine,

{[(2R)-7-(5-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

{[(2R)-7-(4-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6 dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{2-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,

(±)-{2-[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,

(±)-{2-[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,

(±)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine, (-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine, (-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine, or (+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine; or a pharmaceutically acceptable salt thereof.

[0051] The compounds of Formula 1 have affinity for and agonist or partial agonist activity at the 2c subtype of brain serotonin receptors and are thus of interest for the treatment of mental disorders, including psychotic disorders such as schizophrenia including paranoid type, disorganized type, catatonic type, and undifferentiated type, schizophreniform disorder, schizoaffective disorder, delusional disorder, substance-induced psychotic disorder, and psychotic disorder not otherwise specified; L-DOPA-induced psychosis; psychosis associated with Alzheimer's dementia; psychosis associated with Parkinson's disease; psychosis associated with Lewy body disease; bipolar disorders such as bipolar I disorder, bipolar II disorder, and cyclothymic disorder; depressive disorders such as major depressive disorder, dysthymic disorder, substance-induced mood disorder, and depressive disorder not otherwise specified; mood episodes such as major depressive episode, manic episode, mixed episode, and hypomanic episode; anxiety disorders such as panic attack, agoraphobia, panic disorder, specific phobia, social phobia, obsessive compulsive disorder, posttraumatic stress disorder, acute stress disorder, generalized anxiety disorder, separation anxiety disorder, substance-induced anxiety disorder, and anxiety disorder not otherwise specified; adjustment disorders such as adjustment disorders with anxiety and/or depressed mood; intellectual deficit disorders such as dementia, Alzheimer's disease, and memory deficit; eating disorders (e.g., hyperphagia, bulimia or anorexia nervosa) and combinations of these mental disorders that may be present in a mammal. For example, mood disorders such as depressive disorders or bipolar disorders often accompany psychotic disorders such as schizophrenia. A more complete description of the aforementioned mental disorders can be found in the Diagnostic and Statistical Manual of Mental Disorders, 4th edition, Washington, DC, American Psychiatric Association (1994), incorporated herein by reference in its entirety.

[0052] The compounds of formula 1 are also of interest for the treatment of epilepsy; migraines; sexual dysfunction; sleep disorders; substance abuse, including addiction to alcohol and various drugs, including cocaine and nicotine; gastrointestinal disorders, such as malfunction of gastrointestinal motility; and obesity, with its consequent comorbidities including Type II diabetes, cardiovascular disease, hypertension, hyperlipidemia, stroke, osteoarthritis, sleep apnea, gall bladder disease, gout, some cancers, some infertility, and early mortality.

[0053] The compounds of Formula 1 can also be used to treat central nervous system deficiencies associated, for example, with trauma, stroke, and spinal cord injuries. The compounds of Formula 1 can therefore be used to improve or inhibit further degradation of central nervous system activity during or following the malady or trauma in question. Included in these improvements are maintenance or improvement in motor and motility skills, control, coordination and strength.

[0054] In certain embodiments, the present invention therefore provides methods of treating, each of the conditions listed above in a patient, preferably in a human, the methods including administering a therapeutically effective amount of at least one compound of Formula 1 or a pharmaceutically acceptable salt thereof to a patient suffering from such a condition.

[0055] In other embodiments, the invention relates to compositions comprising at least one compound of Formula 1, or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable carriers, excipients, or diluents. Such compositions include pharmaceutical compositions for treating or controlling disease states or conditions of the central nervous system. In certain embodiments, the compositions comprise mixtures of one or more compounds of Formula 1.

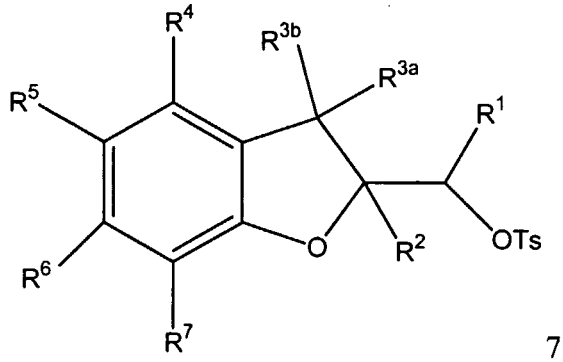
[0056] Certain of the compounds of Formula 1 contain stereogenic carbon atoms or other chiral elements (i.e. chirality axis) and thus give rise to stereoisomers, including enantiomers, diastereomers, and in the case of biphenyls, the formation of atropisomers. For definitions and an extensive discourse on atropisomers, see: Eliel, E.L. Stereochemistry of Organic Compounds (John Wiley & Sons, 1994, p 1142), which is incorporated herein by reference in its entirety. Although the stereochemistry is not shown in Formula 1, Formula 1 includes all of the stereoisomers of the 1-(2,3-dihydro-1-benzofuran-2-yl)alkanamine derivatives, as well as mixtures of the stereoisomers. Throughout this application, the name of the product, where the absolute configuration of an asymmetric center is not indicated, is intended to embrace the individual stereoisomers as well as mixtures of stereoisomers. When it is necessary to distinguish the enantiomers from one another and from the racemate, the sign of the optical rotation [(+), (-) and (±)] is utilized. Furthermore, throughout this application, the designations R* and S* are used to indicate relative stereochemistry, employing the Chemical Abstracts convention which automatically assigns R* to the lowest numbered asymmetric center.

[0057] Where a stereoisomer is preferred, it may, in some embodiments, be provided substantially free of the corresponding stereoisomer. Thus, a stereoisomer substantially free of the corresponding stereoisomer refers to a compound that is isolated or separated via separation techniques or prepared free of the corresponding stereoisomer. "Substantially free," as used

herein, means that the compound is made up of a significantly greater proportion of one stereoisomer. In preferred embodiments, the compound is made up of at least about 90% by weight of a preferred stereoisomer. In other embodiments of the invention, the compound is made up of at least about 99% by weight of a preferred stereoisomer. Preferred stereoisomers can be isolated from racemic mixtures by any method known to those skilled in the art, including high performance liquid chromatography (HPLC) and the formation and crystallization of chiral salts, or preferred stereoisomers can be prepared by methods described herein. Methods for the preparation of preferred stereoisomers are described, for example, in Jacques, et al., Enantiomers, Racemates and Resolutions (Wiley Interscience, New York, 1981); Wilen, S.H., et al., Tetrahedron 33:2725 (1977); Eliel, E.L. Stereochemistry of Carbon Compounds (McGraw-Hill, NY, 1962); and Wilen, S.H. Tables of Resolving Agents and Optical Resolutions p. 268 (E.L. Eliel, Ed., Univ. of Notre Dame Press, Notre Dame, IN 1972), each of which is hereby incorporated by reference in its entirety.

[0058] This invention alsoprovides processes for preparing compounds of formula I which processes include one of the following:

a) reacting a compound of formula 7



7

wherein R^1, R^2, R^3, R^4, R^5, R^6,and R^7 are as defined herein, with sodium azide and reducing the product to give a compound of formula 1 wherein n is 1 and R and R' are both H;

or

b) reacting a compound of formula 7 as defined above with an amine of formula NHRR' where R and R' are as defined herein to give a corresponding compound of formula 1 wherein n is 1;

or

c) reacting a compound of formula 7 as defined above with sodium cyanide followed by reduction to give a compound of formula 1 wherein n is 2 and R and R' are both H;

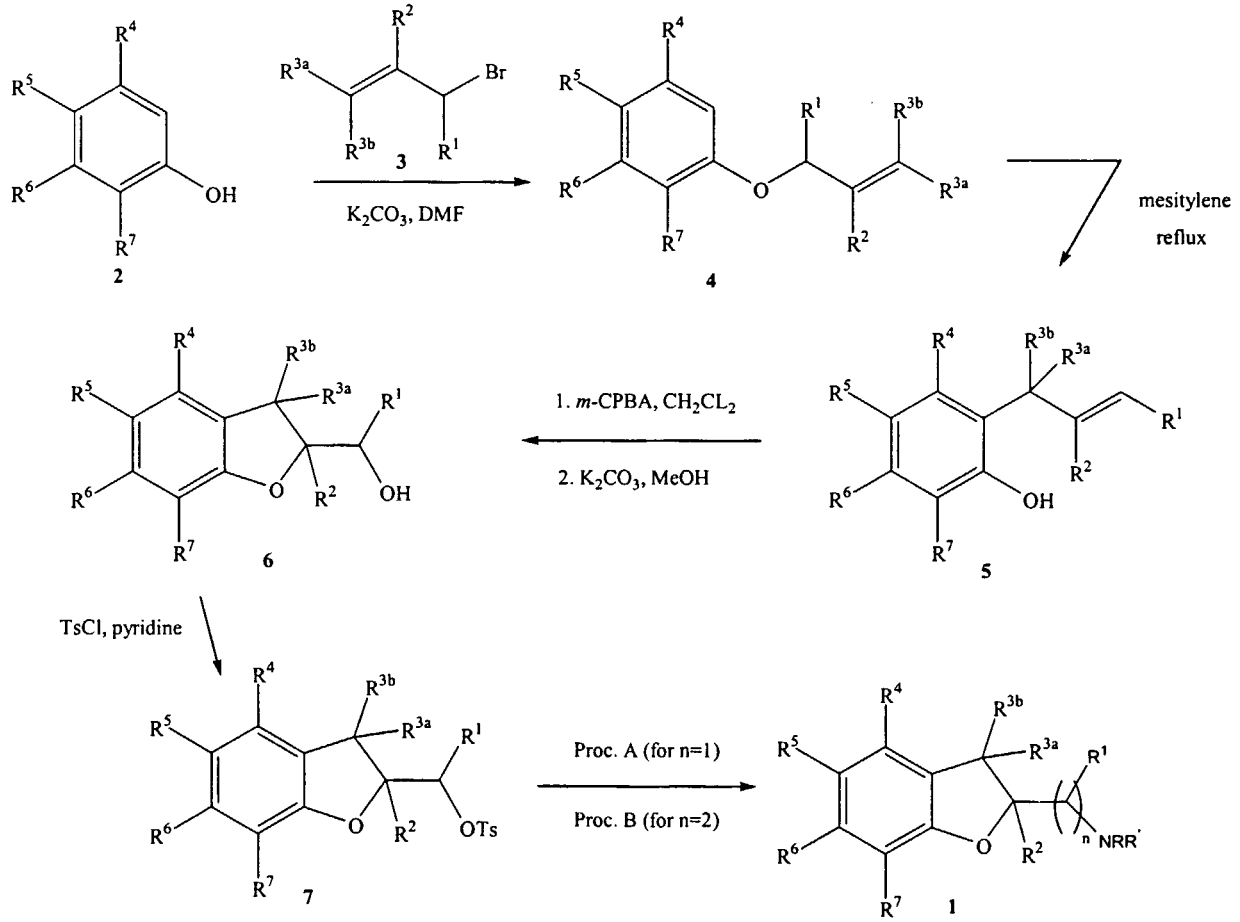
d) converting a compound of formula 1 as defined herein to a pharmaceutically acceptable salt or vice versa;

or

e) isolating a specific enantiomer or diastereomer of a compound of formula 1 or a pharmaceutically acceptable salt thereof as defined herein from a mixture thereof.

The 1-(2,3-dihydro-1-benzofuran-2-yl)alkanamine derivatives of Formula 1 may be prepared as illustrated in Scheme I.

Scheme I

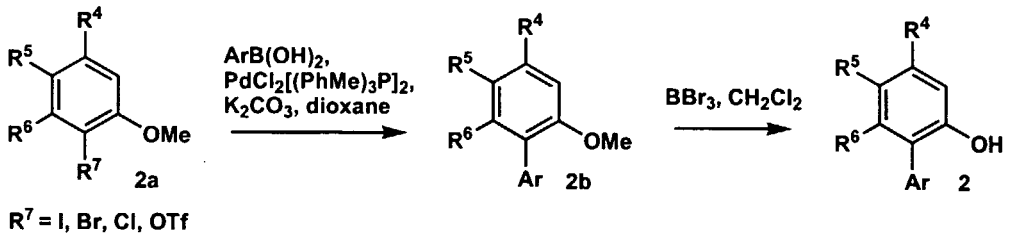


Proc. A: 1. a) NaN3, DMSO and b) reduction, or 2. NHRR'/DMSO

Proc. B: 1. a) NaCN/DMSO and b) H_2/5% Rh on Al_2O_3, NH_4OH

[0059] Variables used are as defined for Formula 1, unless otherwise noted. The appropriately substituted phenol (2) is alkylated with an appropriately substituted allyl bromide or alcohol (3) in the presence of a suitable base such as potassium carbonate in a solvent such as *N,N*-dimethylformamide. The phenols, allyl bromides, and allyl alcohols appropriate for the synthesis of the compounds of formula I are either commercially available or can readily be prepared by one skilled in the art. The resulting allyl ether (4) is treated in refluxing mesitylene or other suitable high boiling solvent to afford the desired Claisen rearrangement product. The 2-allyl phenol (5) intermediate is subjected to epoxidation of the double bond with 3-chloroperoxybenzoic acid in dichloromethane. The resulting epoxy phenol intermediate is treated with a suitable base such as potassium carbonate in a solvent such as methanol to induce cyclization to give the 2,3-dihydro-1-benzofuran-2-yl)methanol (6). Treatment of (6) with p-toluenesulfonyl chloride and a suitable base such as pyridine affords the tosylate (7). Conversion of (7) to the amine (1) can be accomplished, for example, by treatment with sodium azide in a solvent such as dimethylsulfoxide followed by reduction of the azide or direct treatment with an appropriately substituted amine to provide the compounds of Formula 1. Additionally, longer alkyl chains (i.e. 2-aminoethyl) may be prepared, for example, via treatment of (7) with sodium cyanide in a solvent such as dimethylsulfoxide followed by reduction of the nitrile.

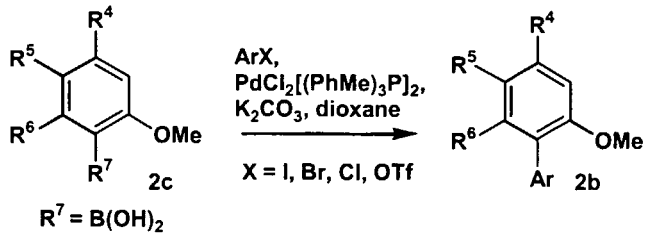
[0060] The preparation of appropriately substituted phenols (2) in Scheme I, in particular the 7-aryl substituted phenols, is illustrated in Scheme Ia.



Scheme Ia

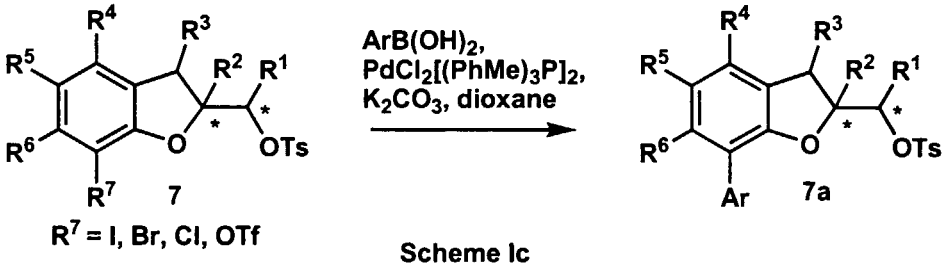
[0061] Utilization of a 2-halogenated methoxy benzene or a suitably protected 2-halogenated phenol (2a) permits the introduction of the aromatic substitutent through a palladium-catalyzed cross coupling reaction (i.e Suzuki reaction) with the desired boronic acid. Treatment of (2a) with a catalyst such as dichlorobis(tri-o-tolylphosphine)-palladium(II) in the presence of a suitable base such as potassium carbonate in a solvent such as dioxane provides the biaryl system. Subsequent removal of the protecting group, in this example demethylation of (2b) via reaction with borontribromide in dichloromethane affords the phenol (2).

[0062] Alternatively, the phenols (2) may be prepared by a reversal of the inherent reactivity associated with the partners in the cross-coupling reaction as shown in Scheme Ib.



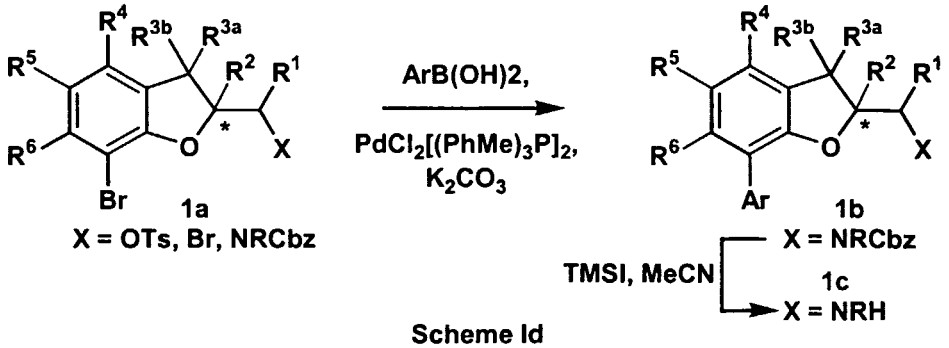
Scheme Ib

[0063] Installation of the biaryl system may also be accomplished via a palladium-catalyzed cross coupling reaction (i.e Suzuki reaction) of appropriate derivatives of 2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (7) with the desired boronic acid (Scheme Ic). Treatment of (7) with a catalyst such as dichlorobis(tri-o-tolylphosphine)-palladium(II) in the presence of a suitable base such as potassium carbonate in a solvent such as dioxane provides the biaryl system.



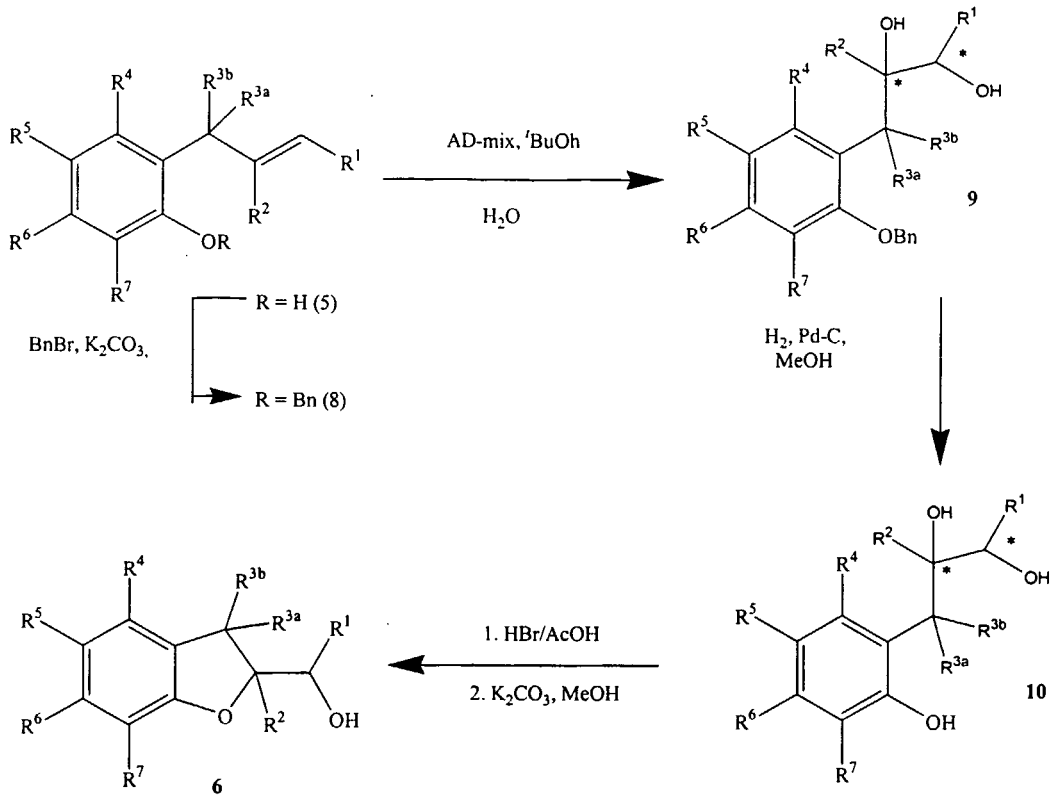
Scheme Ic

[0064] Alternatively, installation of the biaryl system may be accomplished via a palladium-catalyzed cross coupling reaction (i.e Suzuki reaction) of appropriate 1-(2,3-dihydro-1-benzofuran-2-yl) derivatives (1a) in either racemic or stereochemically pure form following separation of the enantiomers. For example, treatment of 1a and a boronic acid (Scheme Id) with a catalyst such as dichlorobis(tri-o-tolylphosphine)-palladium(II) in the presence of a suitable base such as potassium carbonate (as described previously) provides the desired biaryl system. Deprotection of the resultant product from the coupling procedure with, for example, iodotrimethylsilane in a solvent such as acetonitrile (foir X = NRCbz) then affords the title compounds of Formula 1.



Scheme Id

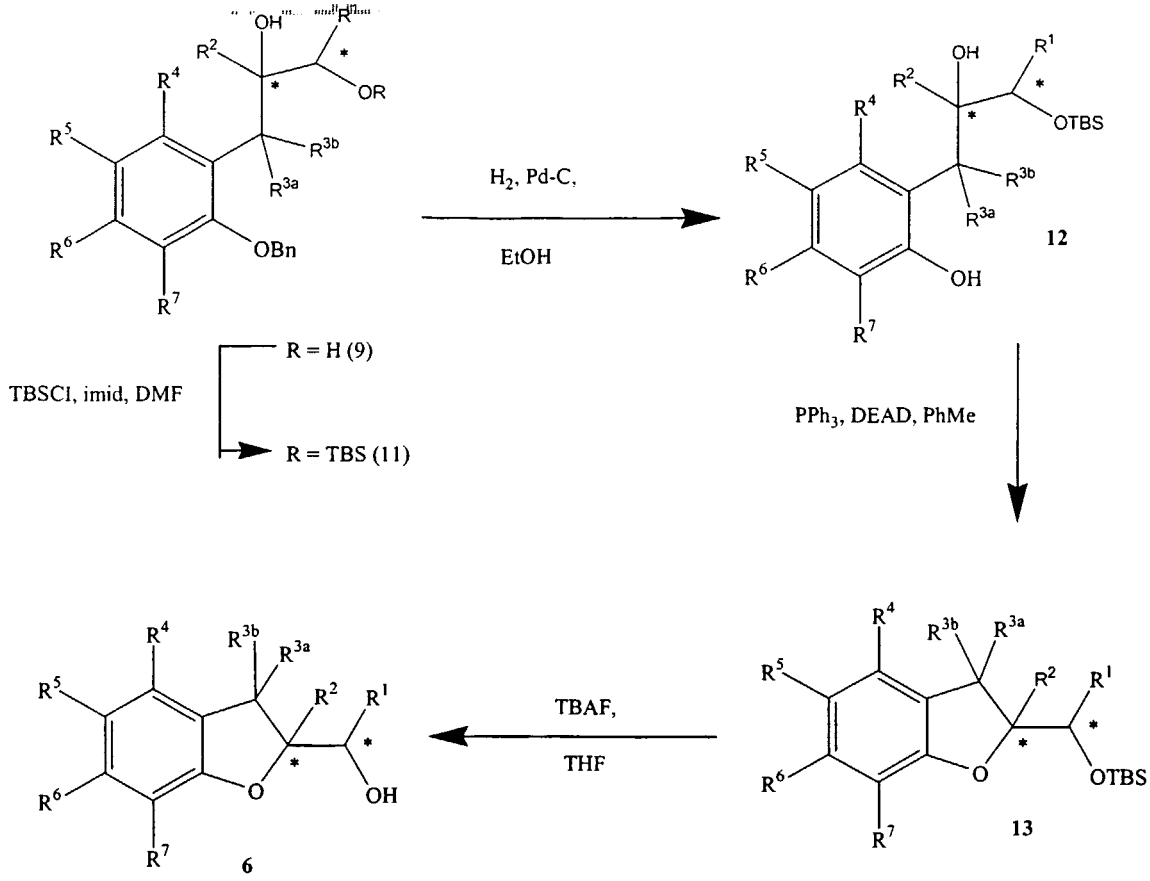
[0065] The compounds of Formula 1 can also be prepared in a stereoselective manner as illustrated in Scheme II.



Scheme II

[0066] Protection of the 2-allyl phenol (5) with a suitable protecting group such as benzyl by treatment with benzyl bromide in the presence of a suitable base such as potassium carbonate in a solvent such as N,N-dimethylformamide gives the benzyl ether (8). Treatment of (8) utilizing extant methodology known to one skilled in the art for the stereoselective oxidation of double bonds such as the Sharpless Asymmetric Dihydroxylation (A-D) provides the diol (9) in stereochemically enriched form. Many methods are available to one skilled in the art for the transfer of the stereochemical information present in (9) into the compounds of formula (1) with retention of stereochemical integrity. One such method involves deprotection of the benzyl ether with catalytic palladium on carbon under a hydrogen atmosphere (45 psi) in a solvent, such as methanol, to provide triol (10). Formation to the previously described 2,3-dihydro-1-benzofuran-2-yl)methanol (6) can be accomplished by treatment of (9) with hydrogen bromide in acetic acid to provide the intermediate vicinal acetoxy bromide followed by cyclization with a suitable base such as potassium carbonate in a solvent such as methanol.

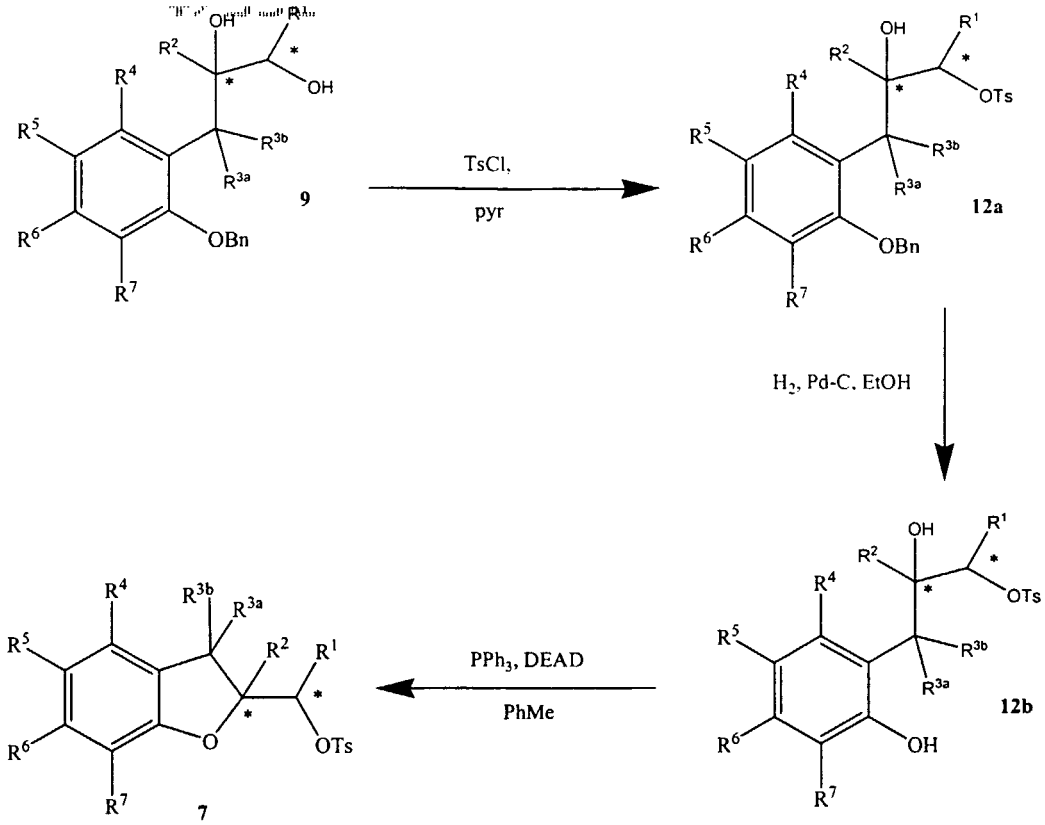
[0067] Alternatively, the compounds of Formula 1 can be prepared via selective mono-protection of diol (9) with a suitable protecting group as illustrated in Scheme III.



Scheme III

[0068] Treatment of (9) with *tert*-butyldimethylsilyl chloride in the presence of a suitable base such as imidazole in a solvent such as *N,N*,-dimethylformamide followed by deprotection of the benzyl ether (as previously described) with catalytic palladium on carbon under a hydrogen atmosphere gives phenol (12). Cyclodehydration of (12) using standard Mitsunobu conditions, such as triphenylphosphine in the presence of diethylazodicarboxylate in a solvent such as toluene, provides the 2,3-dihydro-1-benzofuran-2-yl)methanol (13) protected as the silyl ether. Removal of the silyl ether in (13) using standard conditions such as tetrabutylamonnium fluoride in a solvent such as tetrahydrofuran then provides the alcohol (6) which can be converted to the compounds of the current invention as previously described (Scheme I).

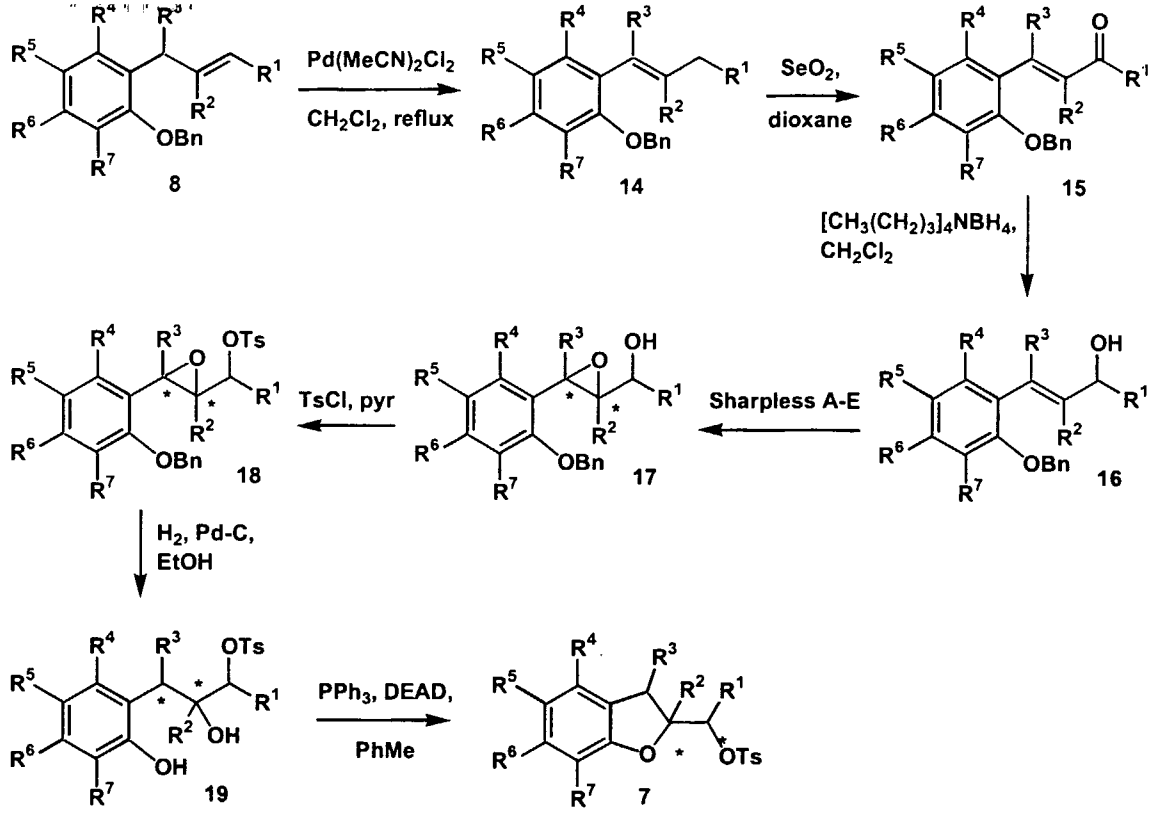
[0069] In lieu of a protecting group, diol (9) can be converted to the mono-tosylated derivative (12a) by treatment with *p*-toluenesulfonyl chloride and a suitable base such as pyridine to give the desired product, as illustrated in Scheme IV.



Scheme IV

[0070] Deprotection of the benzyl ether with catalytic palladium on carbon gives phenol (12b) followed by cyclodehydration with triphenylphosphine in the presence of diethylazodicarboxylate (as previously described) provides the aforementioned 2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (7).

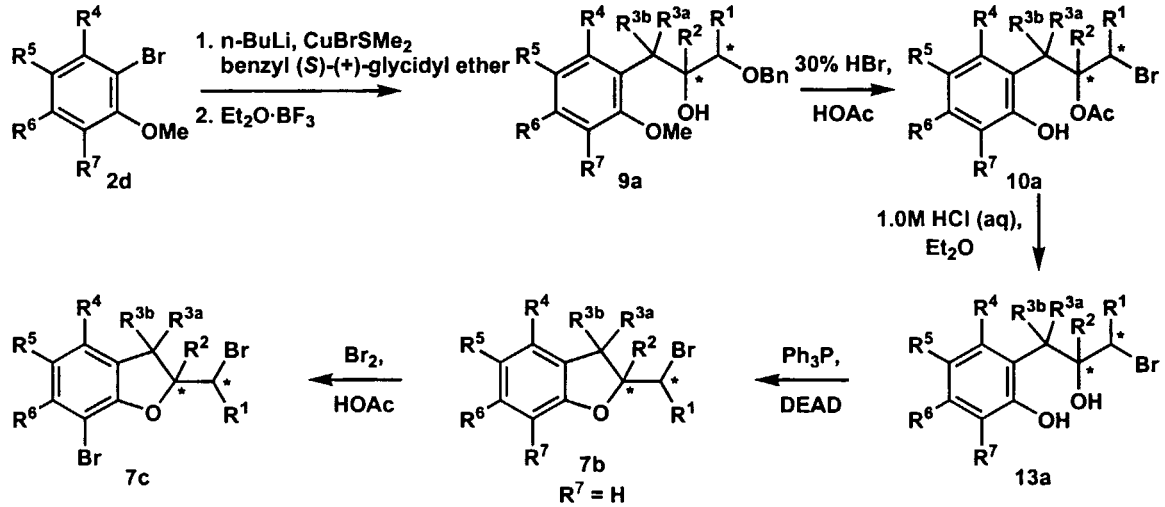
[0071] An additional route to the production of stereochemically enriched compounds of Formula 1 is illustrated in Scheme V.



Scheme V

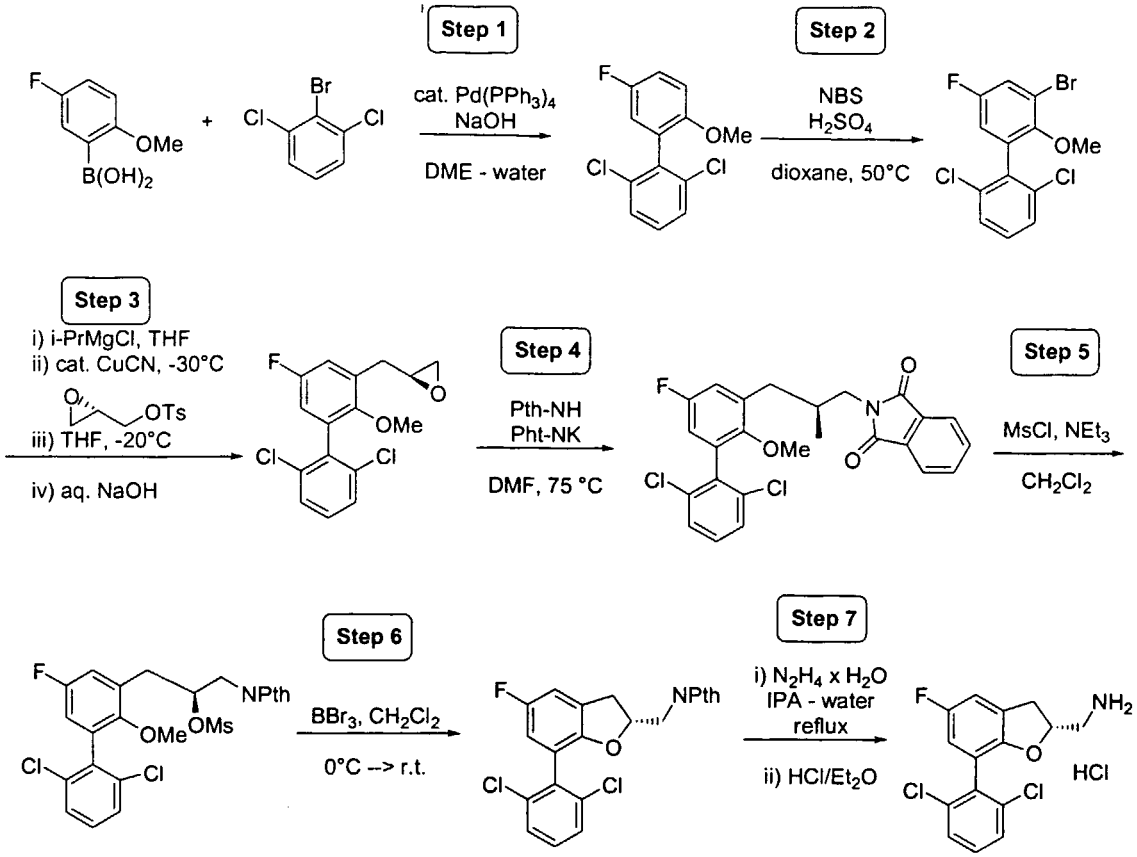
[0072] Palladium or transition metal catalyzed transposition of the double bond present in the previously described 2-allyl benzyl ether (8) using an appropriate catalyst such as dichlorobis(acetonitrile)palladium(II) in dichloromethane provides styrene derivative (14). Treatment of (14) with selenium dioxide in dioxane provides the carbonyl derivative (15). Reduction of the carbonyl to the allylic alcohol (16) can be accomplished by treatment with an appropriate reducing agent such as tetrabutylammonium borohydride in a solvent such as dichloromethane. The allylic alcohol (16) provides a suitable intermediate for the stereoselective introduction of oxygenation that permits transfer of this stereochemical integrity into the compounds of formula (1). The Sharpless Asymmetric Epoxidation (A-E) reaction is a general method for the stereoselective epoxidation of allylic alcohols and treatment of (16) under the appropriate conditions provides epoxy alcohol (17) with a high degree of stereoselectivity. The alcohol present in (17) can then be tosylated with p-toluenesulfonyl chloride as previously described to give derivative (18). Deprotection of the benzyl ether with concomitant regioselective opening of the epoxide maintaining the stereochemical information introduced by the Sharpless A-E is accomplished under the appropriate conditions by treatment of (18) with palladium on carbon under a hydrogen atmosphere in a solvent such as ethanol. Cyclodehydration using Mitsunobu conditions as previously described then affords 2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (7).

[0073] The preparation of compounds of Formula 1 can also be accomplished in a stereospecific manner utilizing an optically pure commercially available intermediate. This method is described in detail in a copending U.S. provisional patent application entitled "Process For Stereospecific Synthesis of Dihydrobenzofuran Derivatives," filed in the name of Dahui Zhou, et al. on the same date as the instant application. That application is incorporated herein by reference in its entirety for all purposes. As shown in Scheme VI, below, for example, reaction of benzyl (S)-(+)-glycidyl ether with the anion obtained by treatment of 2-bromoanisole with an alkyllithium such as n-butyllithium provides the resultant epoxy intermediate. Ring opening of the epoxide with a Lewis acid such as borontrifluoride diethyletherate provides diol (9a) with the primary alcohol protected as the benzyl ether. Deprotection of the methoxy group in 9a by treatment with 30% hydrogen bromide in acetic acid results in concomitant formation of intermediate vicinal acetoxy bromide (10a) followed by removal of the acetate with aqueous hydrogen chloride to provide diol (13a). Cyclodehydration with triphenylphosphine in the presence of diethylazodicarboxylate (as previously described) provides the desired 2-(bromomethyl)-2,3-dihydro-1-benzofuran (7b) that can be converted to the 7-bromo derivative (7c) by treatment with bromine in acetic acid.



Scheme VI

[0074] A further method for the synthesis of stereochemically enriched compounds of Formula 1 is described in detail in copending U.S. provisional patent application entitled "Asymmetric Synthesis of 2-(methylamino)dihydrobenzofurans," filed in the name of Alexander Gontcharov, et al. on the same date as the instant application. That application is incorporated herein by reference in its entirety for all purposes. That method is illustrated in the preparation of the compound 2R-(–)-7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-2-aminomethylbenzofuran hydrochloride shown in Scheme VII.



Scheme VII

[0075] In certain embodiments, the invention relates to compositions comprising at least one compound of Formula 1, or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable carriers, excipients, or diluents. Such compositions are prepared in accordance with acceptable pharmaceutical procedures, such as, for example, those described in *Remingtons Pharmaceutical Sciences*, 17th edition, ed. Alfonoso R. Gennaro, Mack Publishing Company, Easton, PA (1985), which is incorporated herein by reference in its entirety. Pharmaceutically acceptable carriers are those carriers that are compatible with the other ingredients in the formulation and are biologically acceptable.

[0076] The compounds of Formula 1 can be administered orally or parenterally, neat, or in combination with conventional pharmaceutical carriers. Applicable solid carriers can include one or more substances that can also act as flavoring agents, lubricants, solubilizers, suspending agents, fillers, glidants, compression aids, binders, tablet-disintegrating agents, or encapsulating materials. In powders, the carrier is a finely divided solid that is in admixture with the finely divided active ingredient. In tablets, the active ingredient is mixed with a carrier having the necessary compression properties in suitable proportions and compacted in the shape and size desired. The powders and tablets preferably contain up to 99% of the active ingredient. Suitable solid carriers include, for example, calcium phosphate, magnesium stearate, talc, sugars, lactose,

dextrin, starch, gelatin, cellulose, methyl cellulose, sodium carboxymethyl cellulose, polyvinylpyrrolidine, low melting waxes and ion exchange resins.

[0077] Liquid carriers can be used in preparing solutions, suspensions, emulsions, syrups and elixirs. The active ingredient can be dissolved or suspended in a pharmaceutically acceptable liquid carrier such as water, an organic solvent, a mixture of both, or a pharmaceutically acceptable oil or fat. The liquid carrier can contain other suitable pharmaceutical additives such as, for example, solubilizers, emulsifiers, buffers, preservatives, sweeteners, flavoring agents, suspending agents, thickening agents, colors, viscosity regulators, stabilizers or osmo-regulators. Suitable examples of liquid carriers for oral and parenteral administration include water (particularly containing additives as above, e.g. cellulose derivatives, preferably sodium carboxymethyl cellulose solution), alcohols (including monohydric alcohols and polyhydric alcohols e.g. glycols) and their derivatives, and oils (e.g. fractionated coconut oil and arachis oil). For parenteral administration, the carrier can also be an oily ester such as ethyl oleate and isopropyl myristate. Sterile liquid carriers are used in sterile liquid form compositions for parenteral administration. The liquid carrier for pressurized compositions can be halogenated hydrocarbon or other pharmaceutically acceptable propellant.

[0078] Liquid pharmaceutical compositions that are sterile solutions or suspensions can be administered by, for example, intramuscular, intraperitoneal or subcutaneous injection. Sterile solutions can also be administered intravenously. Compositions for oral administration can be in either liquid or solid form.

[0079] The compounds of Formula 1 can be administered rectally or vaginally in the form of a conventional suppository. For administration by intranasal or intrabronchial inhalation or insufflation, the compounds of Formula 1 can be formulated into an aqueous or partially aqueous solution, which can then be utilized in the form of an aerosol. The compounds of Formula 1 can also be administered transdermally through the use of a transdermal patch containing the active compound and a carrier that is inert to the active compound, is non-toxic to the skin, and allows delivery of the agent for systemic absorption into the blood stream via the skin. The carrier can take any number of forms such as creams and ointments, pastes, gels, and occlusive devices. The creams and ointments can be viscous liquid or semisolid emulsions of either the oil-in-water or water-in-oil type. Pastes comprised of absorptive powders dispersed in petroleum or hydrophilic petroleum containing the active ingredient can also be suitable. A variety of occlusive devices can be used to release the active ingredient into the blood stream such as a semipermeable membrane covering a reservoir containing the active ingredient with or

without a carrier, or a matrix containing the active ingredient. Other occlusive devices are known in the literature.

[0080] Preferably the pharmaceutical composition is in unit dosage form, e.g. as tablets, capsules, powders, solutions, suspensions, emulsions, granules, or suppositories. In such form, the composition is sub-divided in unit dose containing appropriate quantities of the active ingredient; the unit dosage forms can be packaged compositions, for example, packeted powders, vials, ampoules, prefilled syringes or sachets containing liquids. The unit dosage form can be, for example, a capsule or tablet itself, or it can be the appropriate number of any such compositions in package form.

[0081] The amount of compound of formula 1 provided to a patient will vary depending upon what is being administered, the purpose of the administration, such as prophylaxis or therapy, the state of the patient, the manner of administration, and the like. In therapeutic applications, compounds of formula 1 are provided to a patient suffering from a condition in an amount sufficient to treat or at least partially treat the symptoms of the condition and its complications. An amount adequate to accomplish this is a "therapeutically effective amount" as described previously herein. The dosage to be used in the treatment of a specific case must be subjectively determined by the attending physician. The variables involved include the specific condition and the size, age, and response pattern of the patient. The treatment of substance abuse follows the same method of subjective drug administration under the guidance of the attending physician. Generally, a starting dose is about 5 mg per day with gradual increase in the daily dose to about 150 mg per day, to provide the desired dosage level in the patient.

[0082] In certain embodiments, the present invention is directed to prodrugs of compounds of Formula 1. The term "prodrug," as used herein, means a compound that is convertible *in vivo* by metabolic means (e.g. by hydrolysis) to a compound of Formula 1. Various forms of prodrugs are known in the art such as those discussed in, for example, Bundgaard, (ed.), Design of Prodrugs, Elsevier (1985); Widder, et al. (ed.), Methods in Enzymology, vol. 4, Academic Press (1985); Krogsgaard-Larsen, et al., (ed). "Design and Application of Prodrugs, Textbook of Drug Design and Development, Chapter 5, 113-191 (1991), Bundgaard, et al., Journal of Drug Delivery Reviews, 8:1-38(1992), Bundgaard, J. of Pharmaceutical Sciences, 77:285 et seq. (1988); and Higuchi and Stella (eds.) Prodrugs as Novel Drug Delivery Systems, American Chemical Society (1975), each of which is hereby incorporated by reference in its entirety.

Intermediate 1: 1-allyl-2-(benzyloxy)-4-methoxybenzene

[0083] To a solution of 2-allyl-5-methoxyphenol (20.30 g, 0.124 mol) in DMF (500 mL) was added potassium carbonate (68.35 g, 0.495 mol) followed by benzyl bromide (23.26 g, 0.136 mol) and tetrabutylammonium iodide (4.57 g, 0.012 mol). The reaction mixture was allowed to stir at room temperature for 12 h. The reaction mixture was diluted with water (1000 mL) to dissolve any solids and extracted with diethyl ether (3 x 250 mL). The combined organic layers were washed with water (4 x 500 mL), saturated aqueous sodium chloride (400 mL), dried (magnesium sulfate) and the solvent removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:19) provided 28.44 g (90%) of 1-allyl-2-(benzyloxy)-4-methoxybenzene as a colorless oil. R_f= 0.88 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{17}H_{18}O_2$: C, 80.28; H, 7.13. Found: C, 82.43; H, 7.09.

Intermediate 2: (±)-3-[2-(benzyloxy)-4-methoxyphenyl]propane-1,2-diol

[0084] To a suspension of AD-mix-α (156.55 g) in water:*tert*-butyl alcohol (1:1, 800 mL) cooled to 0 °C was slowly added via an addition funnel a solution of 1-allyl-2-(benzyloxy)-4-methoxybenzene (28.44 g, 0.112 mol) in water:*tert*-butyl alcohol (1:1, 200 mL) and the reaction mixture was allowed to stir at 0 °C for 12 h. The reaction mixture was quenched by the addition of sodium sulfite. The reaction mixture was diluted with water (500 mL) and ethyl acetate (500 mL). The aqueous phase was separated and extracted with ethyl acetate (2 x 200 mL). The combined organic extracts were washed with saturated aqueous sodium chloride (400 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 3:2) gave 30.50 g (95%, 27% *ee*) of (±)-3-[2-(benzyloxy)-4-methoxyphenyl]propane-1,2-diol as a white crystalline solid. mp 82-86 °C; Anal. calcd. for $C_{17}H_{20}O_4$: C, 70.81; H, 6.99. Found: C, 70.78; H, 7.16.

Intermediate 3: (±)-3-[2-(benzyloxy)-4-methoxyphenyl]-2-hydroxypropyl 4-methylbenzenesulfonate

[0085] To a solution of (±)-3-[2-(benzyloxy)-4-methoxyphenyl]propane-1,2-diol (30.50 g, 0.106 mol) in anhydrous pyridine (600 mL) cooled to 0 °C under a nitrogen atmosphere was added p-toluenesulfonyl chloride (22.18 g, 0.116 mol). The reaction mixture was allowed to stir at 0 °C for 12 h. The reaction mixture was quenched by the addition of water (10 mL). The reaction mixture was diluted with ethyl acetate (750 mL) and the organic layer was washed with aqueous hydrogen chloride (6 N, 4 x 400 mL), saturated aqueous sodium chloride (300 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give a crude oil. Purification

by flash column chromatography (silica, ethyl acetate:hexanes 2:8) gave 42.84 g (91%) of (±)-3-[2-(benzyloxy)-4-methoxyphenyl]-2-hydroxypropyl 4-methylbenzenesulfonate as a colorless oil. R_f = 0.28 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{24}H_{26}O_6S$: C, 65.14; H, 5.92. Found: C, 64.59; H, 5.72.

Intermediate 4: (±)-2-hydroxy-3-(2-hydroxy-4-methoxyphenyl)propyl 4-methylbenzenesulfonate

[0086] To a solution of (±)-3-[2-(benzyloxy)-4-methoxyphenyl]-2-hydroxypropyl 4-methylbenzenesulfonate (42.84 g, 0.097 mol) in ethanol (600 mL) was added palladium on carbon (10 wt.%, 5.81 g) and the reaction mixture was shaken under an H_2 atmosphere (50 psi) for 6 h. The reaction mixture was filtered (celite) and the solvent removed *in vacuo* to provide 32.27 g (95%) of (±)-2-hydroxy-3-(2-hydroxy-4-methoxyphenyl)propyl 4-methylbenzenesulfonate as a colorless oil. R_f = 0.34 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{17}H_{18}O_5S$: C, 61.06; H, 5.43. Found: C, 60.70; H, 5.37.

Intermediate 5: (±)-(6-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0087] To a solution of (±)-2-hydroxy-3-(2-hydroxy-4-methoxyphenyl)propyl 4-methylbenzenesulfonate (32.27 g, 0.092 mol) in toluene (1000 mL) cooled to 0 °C was added triphenylphosphine (27.62 g, 0.105 mol) followed by dropwise addition of diethylazodicarboxylate (18.34 g, 0.105 mol) and the reaction mixture was allowed to stir at 0 °C for 15 min. The reaction mixture was quenched by the addition of water (10 mL). The solvent was removed *in vacuo* to give a crude solid. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:19) provided 22.53 g (74%) of (±)-(6-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. R_f = 0.67 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{17}H_{18}O_5S$: C, 61.06; H, 5.43. Found: C, 60.70; H, 5.37.

Intermediate 6: (±)-(6-hydroxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0088] To a solution of (±)-(5-methoxy-2,3-dihydro-1*H*-inden-2-yl)methyl 4-methylbenzenesulfonate (13.5 g, 40.5 mmol) in dichloromethane (250 mL) at –70 °C was added boron tribromide (27.0 mL, 1.0 N in dichloromethane) over 15 min. The reaction mixture was allowed to stir at –70 °C for an additional 15 minutes and allowed to warm to room temperature

over 6 h. The reaction mixture was quenched with ice water and the product extracted with ethyl acetate (600 mL). The organic layer dried (magnesium sulfate), and the solvent removed *in vacuo* to provide a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:4→ 1:1) afforded 10.15 g (79%) of (±)-(6-hydroxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a yellow solid. mp 107-110 °C; Anal. calcd. for $C_{16}H_{16}O_5S$: C, 59.99; H, 5.03. Found: C, 59.21; H, 5.05.

Intermediate 7: (±)-(6-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0089] To a solution of (±)-(6-hydroxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (8.67 g, 27.2 mmol) in anhydrous dichloromethane (300 mL) at 0 °C was added diisopropylethylamine (4.22 g, 32.6 mmol) followed by trifluoromethanesulfonic anhydride (8.45 g, 29.9 mmol) and the reaction mixture was allowed to stir at 0 °C for 1 h. The reaction mixture was quenched with water (300 mL) and diluted with dichloromethane (400 mL), The combined organic layers were washed with saturated aqueous sodium chloride, dried (magnesium sulfate), and the solvent removed *in vacuo* to provide a crude solid. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:4→ 2:3) afforded 10.3 g (84%) of (±)-(6-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a tan solid. To a solution of (±)-(6-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.0 g, 4.43 mmol) in dioxane (50 mL) was added phenylboronic acid (1.08 g, 8.86 mmol), tetrakis(triphenylphosphine)palladium(0) (1.0 g, 0.884 mmol), and lithium chloride (0.787 g, 17.43 mmol) and the reaction mixture was heated at 90 °C for 12 h. The reaction mixture was cooled to room temperature and diluted with water (500 mL) and ethyl acetate (500 mL). The organic layer was separated and washed with water (200 mL), saturated aqueous sodium chloride (200 mL), dried (magnesium sulfate) and the solvent removed *in vacuo* to give a crude solid. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:9) provided 0.170 g (10%) of (±)-(6-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as an oil. ^{1}H NMR (DMSO d_6) δ_H 7.75 (d, 2H); 7.56 (d, 2H); 7.42 (m, 4H); 7.28(t, 1H); 7.21(d, 1H); 7.08 (d, 1H); 6.92 (s, 1H); 4.98 (m, 1H); 4.24 (dd, 1H); 4.18 (q, 1H); 3.29 (dd, 1H); 2.88 (dd, 1H); 2.46 (s, 3H).

Intermediate 8: 2-allyl-6-chloro-3-(trifluoromethyl)phenol

[0090] To a solution of 2-chloro-5-trifluoromethyl-phenol (10.00 g, 0.05 mol) in *N,N*-dimethylformamide (500 mL) was added potassium carbonate (28.12 g, 0.209 mol) followed by allyl bromide (7.38 g, 0.061 mol) and the reaction was allowed to stir at room temperature for 12 h. The reaction mixture was diluted with water (500 mL) to dissolve any solids and extracted with ethyl acetate (3 x 250 mL). The combined organic layers were washed with water (4 x 500 mL), saturated aqueous sodium chloride (400 mL), dried (magnesium sulfate) and the solvent removed *in vacuo* to give 2-(allyloxy)-1-chloro-4-(trifluoromethyl)benzene as a colorless oil. The oil was re-dissolved in mesitylene (35 mL) and heated at reflux for 12 h. Removal of the solvent *in vacuo* provided a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 2:8) provided 9.6 g (96%) of 2-allyl-6-chloro-3-(trifluoromethyl)phenol as a amber oil. R_f= 0.66 (silica, ethyl acetate:hexanes 1:4); ^{1}H NMR (DMSO-d_6) δ_H 9.84 (s, 1H); 7.43 (d, 2H); 7.16 (d, 1H); 5.84 (m, 1H); 4.95 (d, 1H); 4.89 (d, 1H); 3.46 (d, 2H).

Intermediate 9: (±)-[7-chloro-4-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanol

[0091] To a solution of 6-chloro-3-(trifluoromethyl)-2-vinylphenol (9.67 g, 0.043 mol) in dichloromethane 225 mL) was added 3-chloroperoxybenzoic acid (77%, 21.15 g, 0.122 mol). The reaction mixture was allowed to stir at room temperature for 8 h. The reaction mixture was washed with a 1:1 solution of 10% sodium sulfite:saturated sodium bicarbonate (2 x 200 mL). The solvent was removed *in vacuo* to give crude yellow oil. The oil was diluted with methanol (100 mL) and added to a solution of potassium carbonate (16.5 g, 0.119 mol) and methanol (825 mL) and the solution was allowed to stir at room temperature 2 h. The solvent was removed *in vacuo*. The residue was washed with water (1000 mL) and ethyl acetate (500 mL). The aqueous layer was acidified with 1 N aqueous hydrogen chloride and washed with ethyl acetate (500 mL). The combined organics were washed with water (500 mL), saturated aqueous sodium chloride (500 mL), dried (magnesium sulfate) and the solvent removed *in vacuo* to provide a crude solid. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:4) provided 6.71 g (70%) of (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methanol as a yellow oil. R_f= 0.20 (silica, ethyl acetate:hexanes 1:4). Anal. calcd. for $C_{10}H_8ClF_3O_2$ C, 47.55; H, 3.19. Found C, 49.39; H, 3.57.

Intermediate 10: (±)-[7-chloro-4-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0092] To a solution of (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methanol (8.5, g, 0.034 mol) in pyridine (150 mL) cooled to 0 °C was added *p*-toluenesulfonyl chloride

(7.06 g, 0.037 mol) and the reaction mixture was allowed to stir at 0 °C for 12 h. The reaction mixture was quenched by the addition of water (75 mL), diluted with diethyl ether (600 mL), washed with aqueous hydrogen chloride (1.0 M, 750 mL), water (200 mL), saturated aqueous sodium chloride (200 mL), dried (magnesium sulfate) and the solvent removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 2:8) afforded 7.0g (51%) of (±)-[7-chloro-4-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid R_f= 0.60 (silica, ethyl acetate:hexanes 3:7); mp 89-92 °C; Anal. calcd. for $C_{17}H_{14}ClF_3O_4S$ C, 50.19; H, 3.47. Found C, 50.30; H, 3.35.

Intermediate 11: (±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methanol

[0093] Treatment of 2-allyl-6-cyclopentylphenol (6.97 g, 0.0344 mol) with 3-chloroperoxybenzoic acid (17.83 g, 0.1033 mol, 77%) and potassium carbonate (14.0 g, 0.1013 mol) generally according to the procedure described for Intermediate 9 afforded 4.1 g (54%) of (±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methanol as a yellow oil. R_f= 0.58 (silica, ethyl acetate:hexanes 3:7); Anal. calcd. for $C_{14}H_{18}O_2$ C, 77.03; H, 8.31. Found C, 76.5; H, 8.44.

Intermediate 12: (±)-benzyl (7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0094] To a suspension of (7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine (2.4g, 9.46 mmol) in tetrahydrofuran (100mL) cooled to 0 °C was added diisopropylethylamine (2.14 g, 16.58 mmol) followed by benzyl chloroformate (2.08g, 12.19 mmol) and the reaction mixture was allowed to stir for 15 min. The reaction mixture was quenched with water (100 mL). The aqueous layer was extracted with ethyl acetate (2 x 200 mL) and the combined organic extracts were washed with saturated aqueous sodium chloride (100 mL), dried (magnesium sulfate) and the solvent removed *in vacuo*. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:9) provided 2.52 g (76%) of (±)-benzyl (7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a yellow oil. R_f= 0.21 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{22}H_{25}NO_3$ C, 75.19; H, 7.17; N, 3.99. Found C, 74.74; H, 7.02; N, 3.85. Chiral HPLC separation of (±)-benzyl (7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol:hexane 1:1) provided two fractions. Fraction 1 (R_t = 9.678 min, Chiralcel OJ, ethanol:hexane 1:1); Fraction 2 (R_t = 12.824 min, Chiralcel OJ, ethanol:hexane 1:1).

Intermediate 13: (±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methanol

[0095] To a solution of 4-chloro-2-cyclohexylphenol (23.00 g, 0.109 mol) in *N,N*-dimethylformamide (600 mL) was added sodium hydride (4.56 g, 0.114 mol, 60 wt.%) followed by allyl bromide (14.51 g, 0.120 mol) and the reaction mixture was allowed to stir at room temperature for 5 h. The solvent was removed *in vacuo* and the residue diluted with water (500 mL) and extracted with ethyl acetate (2 x 300 mL). The combined organic layers were washed with water (500 mL), saturated aqueous sodium chloride (500 mL), dried (magnesium sulfate), and the solvent removed *in vacuo* to give 29.0 g of 1-(allyloxy)-4-chloro-2-cyclohexylbenzene as a brown oil. Treatment of the allyl ether in refluxing mesitylene generally according to the procedure described for Intermediate 8 provided 18.0 g of 2-allyl-4-chloro-6-cyclohexylphenol. Treatment of the phenol (6.5 g, 0.026 mol) with 3-chloroperoxybenzoic acid (9.88 g, 0.045 mol, 77%) followed by potassium carbonate (10.00 g, 0.072 mol) generally according to the procedure described for Intermediate 9 afforded 4.6 g (67%) of (±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methanol as a white solid. mp 67-69 °C; Anal. calcd. for $C_{15}H_{19}ClO_2$: C, 67.54; H, 7.18. Found: C, 67.81; H, 6.98.

Intermediate 14: (±)-methyl (5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0096] Treatment of (±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methylamine (2.20 g, 7.28 mmol) with diisopropylethylamine (2.94 g, 22.7 mmol) and methyl chloroformate (1.08 g, 11.4 mmol) generally according to the procedure described for Intermediate 12 provided 2.30 g (93%) of (±)-methyl (5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a white solid. mp 100-103 °C; Anal. calcd. for $C_{17}H_{22}ClNO_3$: C, 63.06; H, 6.85; N, 4.33. Found: C, 63.16; H, 6.3; N, 4.25.

Intermediate 15: (±)-3-[3-benzyl-2-(benzyloxy)phenyl]propane-1,2-diol

[0097] Treatment of 2-allyl-6-benzylphenol (12.11 g, 0.054 mol) with potassium carbonate (30.00 g, 0.217 mol), benzyl bromide (10.67 g, 0.062 mol), and tetrabutylammonium iodide (2.01 g, 0.005 mol) generally according to the procedure described for Intermediate 1 provided 1-allyl-3-benzyl-2-(benzyloxy)benzene. Treatment of 1-allyl-3-benzyl-2-(benzyloxy)benzene (16.35 g, 0.052 mol) with AD-mix-α (76.02 g) generally according to the procedure described for Intermediate 2 gave 9.82 (54%, 25% *ee*) of (±)-3-[3-benzyl-2-

(benzyloxy)phenyl]propane-1,2-diol as a white crystalline solid. mp 55-58 °C; Anal. calcd. for $C_{23}H_{24}O_3$: C, 79.28; H, 6.94. Found: C, 78.89; H, 6.96.

Intermediate 16: (±)-3-[3-benzyl-2-(benzyloxy)phenyl]-2-hydroxypropyl 4-methylbenzenesulfonate

[0098] Treatment of (±)-3-[3-benzyl-2-(benzyloxy)phenyl]propane-1,2-diol (9.76 g, 0.028 mol) with *p*-toluenesulfonyl chloride (5.87 g, 0.031 mol) in pyridine (250 mL) generally according to the procedure described for Intermediate 3 gave 9.88 g (70%) of (±)-3-[3-benzyl-2-(benzyloxy)phenyl]-2-hydroxypropyl 4-methylbenzenesulfonate as a colorless oil. Anal. calcd. for $C_{30}H_{30}O_5S$: C, 71.69; H, 6.02. Found: C, 70.41; H, 6.14.

Intermediate 17: (±)-3-(3-benzyl-2-hydroxyphenyl)-2-hydroxypropyl 4-methylbenzenesulfonate

[0099] Treatment of (±)-3-[3-benzyl-2-(benzyloxy)phenyl]-2-hydroxypropyl 4-methylbenzenesulfonate (9.72 g, 0.019 mol) with palladium on carbon (0.97 g, 10 wt.%) generally according to the procedure described for Intermediate 4 provided 7.34 g (92%) of (±)-3-(3-benzyl-2-hydroxyphenyl)-2-hydroxypropyl 4-methylbenzenesulfonate as a colorless oil. Anal. calcd. for $C_{23}H_{24}O_5S$: C, 66.97; H, 5.86. Found: C, 66.11; H, 5.95.

Intermediate 18: (±)-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0100] Treatment of (±)-3-(3-benzyl-2-hydroxyphenyl)-2-hydroxypropyl 4-methylbenzenesulfonate (7.29 g, 0.018 mol) with triphenylphosphine (5.10 g, 0.019 mol) and diethylazodicarboxylate (3.39 g, 0.019 mol) generally according to the procedure described for Intermediate 5 afforded 6.57 g (94%) of (±)-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. Anal. calcd. for $C_{23}H_{22}O_4S$: C, 70.03; H, 5.62. Found: C, 68.97; H, 5.42.

Intermediate 19: (±)-benzyl (7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0101] Treatment of (±)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine (2.0 g, 8.36 mmol) with diisopropylethylamine (1.62 g, 12.56 mmol) and benzyl chloroformate (1.64 g, 9.61 mmol) generally according to the procedure described for Intermediate 12 provided 2.96 g (95%) of (±)-benzyl (7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a colorless oil. Anal. calcd. for $C_{24}H_{23}NO_3$ C, 77.19; H, 6.21; N, 3.75. Found C, 75.58; H, 6.42; N, 3.55.

Chiral HPLC separation of (±)-benzyl (7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OD, methanol) provided two fractions. Fraction 1 (R_t = 12.085 min, Chiralcel OD, methanol); Fraction 2 (R_t = 17.945 min, Chiralcel OD, methanol).

Intermediate 20: (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0102] Treatment of 2-allyl-6-isopropylphenol (8.81 g, 0.05 mmol) with 3-chloroperoxybenzoic acid (22.43 g, 0.13 mol, 77%)) followed by potassium carbonate (13.82 g, 0.1 mol) generally according to the procedure described for Intermediate 9 provided (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methanol (3.45 g, 0.018 mol) with *p*-toluenesulfonyl chloride (3.92 g, 0.021 mol) generally according to the procedure described for Intermediate 10 afforded 4.91 g (79%) (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. Anal. calcd. for $C_{19}H_{22}O_4S$ C, 65.87; H, 6.4. Found C, 65.63; H, 6.32.

Intermediate 21: (±)-benzyl (7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0103] Treatment of (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine (2.2 g, 9.66 mmol) with diisopropylethylamine (3.12 g, 24.15 mmol) and benzyl chloroformate (1.81 g, 10.63 mmol) generally according to the procedure described for Intermediate 12 gave 1.2 g (59%) of (±)-benzyl (7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a colorless oil. Anal. calcd. for $C_{20}H_{23}NO_3$ C, 73.82; H, 7.12; N, 4.3. Found C, 73.32; H, 7.33; N, 4.15. Chiral HPLC separation of (±)-benzyl (7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol) provided two fractions. Fraction 1 (R_t = 9.319 min, Chiralcel OJ, ethanol); Fraction 2 (R_t = 11.868 min, Chiralcel OJ, ethanol).

Intermediate 22: 2-allyl-4-chloro-6-isopropyl-3-methylphenol

[0104] Treatment of 4-chloro-2-isopropyl-5-methylphenol (10.00 g, 0.054 mol) with potassium carbonate (29.94 g, 0.217 mol) and allyl bromide (7.86 g, 0.065 mol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 8.92 g (73%) of 2-allyl-4-chloro-6-isopropyl-3-methylphenol as a colorless oil. R_f = 0.85 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{13}H_{17}ClO$: C, 69.48; H, 7.62. Found: C, 69.87; H, 7.43.

Intermediate 23: (±)-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0105] Treatment of 2-allyl-4-chloro-6-isopropyl-3-methylphenol (8.88 g, 0.04 mmol) with 3-chloroperoxybenzoic acid (13.63 g, 0.079 mol, 77%)) followed by potassium carbonate (10.92 g, 0.079 mol) generally according to the procedure described for Intermediate 9 provided (±)-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanol (5.95 g, 0.025 mol) with *p*-toluenesulfonyl chloride (5.66 g, 0.03 mol) generally according to the procedure described for Intermediate 10 afforded 6.71 g (69%) (±)-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. mp 103-105 °C; Anal. calcd. for $C_{20}H_{23}ClO_4S$ C, 60.83; H, 5.87. Found C, 60.67; H, 5.88.

Intermediate 24: (±)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0106] Treatment of (±)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine (3.41 g, 12.3 mmol) with diisopropylethylamine (1.99 g, 14.2 mmol) and benzyl chloroformate (2.42 g, 14.2 mmol) generally according to the procedure described for Intermediate 12 gave 3.74 g (81%) of (±)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a colorless oil. Anal. calcd. for $C_{21}H_{24}ClNO_3$ C, 67.46; H, 6.47; N, 3.75. Found C, 67.01; H, 6.52; N, 3.56. Chiral HPLC separation of (±)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, ethanol) provided two fractions.

Intermediate 25: (-)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0107] Fraction 1 obtained as a white solid from the separation of (±)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (R_t = 4.132 min, Chiralpak AD, ethanol). $[\alpha]_D^{25}$ = -38.52 (*c* 10.0 in methanol); mp 59-62 °C; Anal. calcd. for $C_{21}H_{24}ClNO_3$ C, 67.46; H, 6.47; N, 3.75. Found C, 67.26; H, 6.41; N, 3.36.

Intermediate 26: (+)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0108] Fraction 2 obtained as a white solid from the separation of (±)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (R_t = 5.393 min, Chiralpak AD, ethanol). $[\alpha]_D^{25}$ = +37.84 (*c* 10.0 in methanol); mp 59-62 °C; Anal. calcd. for $C_{21}H_{24}ClNO_3$ C, 67.46; H, 6.47; N, 3.75. Found C, 67.2; H, 6.49; N, 3.58.

Intermediate 27: 1-allyl-2-(benzyloxy)-3-*tert*-butylbenzene

[0109] Treatment of 2-allyl-6-*tert*-butylphenol (12.5 g, 0.066 mol) with potassium carbonate (27.24 g, 0.197 mol), benzyl bromide (11.80 g, 0.069 mol), and tetrabutylammonium iodide (2.43 g, 6.57 mmol) generally according to the procedure described for Intermediate 1 provided 16.2 g (88%) of 1-allyl-2-(benzyloxy)-3-*tert*-butylbenzene as a colorless oil. Anal. calcd. for $C_{20}H_{24}O$: C, 85.67; H, 8.63. Found: C, 86.27; H, 8.77.

Intermediate 28: (±)-3-[2-(benzyloxy)-3-*tert*-butylphenyl]propane-1,2-diol

[0110] To a suspension of potassium ferricyanide (57.00g, 0.173 mol), potassium carbonate (24.00 g, 0.174 mol), hydroquinine anthraquinone-1,4-diyl diether (0.495 g, 0.578 mmol), and potassium osmate dihydrate (0.043 g, 0.117 mmol) in water:*tert*-butyl alcohol (1:1, 600 mL) cooled to 0 °C was slowly added via an addition funnel a solution of 1-allyl-2-(benzyloxy)-3-*tert*-butylbenzene (16.2 g, 0.058 mol) in *tert*-butyl alcohol (50 mL) and the reaction mixture was allowed to stir at 0 °C for 12 h. The reaction mixture was quenched by the addition of sodium sulfite. The reaction mixture was diluted with water (500 mL) and ethyl acetate (500 mL). The aqueous phase was separated and extracted with ethyl acetate (2 x 200 mL). The combined organic extracts were washed with saturated aqueous sodium chloride (400 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 3:2) gave 16.75 g (92%, 32% *ee*) of (±)-3-[2-(benzyloxy)-3-*tert*-butylphenyl]propane-1,2-diol as a white solid. mp 79-81 °C; Anal. calcd. for $C_{20}H_{26}O_3$: C, 76.4; H, 8.33. Found: C, 76.39; H, 8.22.

Intermediate 29: (±)-3-(3-*tert*-butyl-2-hydroxyphenyl)-2-hydroxypropyl 4-methylbenzenesulfonate

[0111] Treatment of (±)-3-[2-(benzyloxy)-3-*tert*-butylphenyl]propane-1,2-diol (16.50 g, 0.053 mol) with *p*-toluenesulfonyl chloride (10.51 g, 0.055 mol) in pyridine (400 mL) generally according to the procedure described for Intermediate 3 gave 42.84 g (91%) of (±)-3-[2-(benzyloxy)-4-methoxyphenyl]-2-hydroxypropyl 4-methylbenzenesulfonate. Treatment of the tosylate with palladium on carbon (2.4 g, 10 wt.%) generally according to the procedure

described for Intermediate 4 provided 14.71 g (74%) of (±)-3-(3-*tert*-butyl-2-hydroxyphenyl)-2-hydroxypropyl 4-methylbenzenesulfonate as a white solid. mp 98-101 °C; Anal. calcd. for $C_{20}H_{26}O_5S$: C, 63.47; H, 6.92. Found: C, 63.24; H, 6.99.

Intermediate 30: (±)-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0112] Treatment of (±)-3-(3-*tert*-butyl-2-hydroxyphenyl)-2-hydroxypropyl 4-methylbenzenesulfonate (14.66 g, 0.039 mol) with triphenylphosphine (11.18 g, 0.043 mol) and diethylazodicarboxylate (7.42 g, 0.043 mol) generally according to the procedure described for Intermediate 5 afforded 12.48 g (89%) of (±)-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. Anal. calcd. for $C_{20}H_{24}O_4S$: C, 66.64; H, 6.71. Found: C, 66.28; H, 6.95.

Intermediate 31: (±)-benzyl (7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0113] Treatment of (±)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine (3.25 g, 13.4 mmol) with diisopropylethylamine (4.34 g, 33.6 mmol) and benzyl chloroformate (2.64 g, 15.5 mmol) generally according to the procedure described for Intermediate 12 gave 4.37 g (96%) of (±)-benzyl (7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a white solid. mp 73-76 °C; Anal. Calcd. for $C_{21}H_{25}NO_3$ C, 74.31; H, 7.42; N, 4.13. Found C, 74.95; H, 7.51; N, 4.18. Chiral HPLC separation of (±)-benzyl (7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol) provided two fractions. Fraction 1 (R_t = 9.100 min, Chiralcel OJ, ethanol); Fraction 2 (R_t = 14.428 min, Chiralcel OJ, ethanol).

Intermediate 32: (±)-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0114] Treatment of 2-*tert*-butyl-4-chlorophenol (12.73 g, 0.070 mol) with allyl bromide (10.01 g, 0.083 mol) and potassium carbonate (38.11 g, 0.276 mol) followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 gave 2-allyl-6-*tert*-butyl-4-chlorophenol. Treatment of the phenol with 3-chloroperoxybenzoic acid (35.90 g, 0.146 mol, 77%) followed by potassium carbonate (28.18 g, 0.204 mol) generally according to the procedure described for Intermediate 9 provided (±)-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of the alcohol with with *p*-toluenesulfonyl chloride (10.27 g, 0.054 mol) generally according to the procedure described for Intermediate 10 afforded 13.84 g (51%) (±)-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-

2-yl)methyl 4-methylbenzenesulfonate as a white solid. mp 74-77 °C; Anal. calcd. for $C_{20}H_{23}ClO_4S$ C, 60.83; H, 5.87. Found C, 60.79; H, 5.80.

Intermediate 33: (±)-benzyl (7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0115] Treatment of (±)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine (1.80 g, 6.52 mmol) with diisopropylethylamine (2.11 g, 16.29 mmol) and benzyl chloroformate (1.28 g, 7.49 mmol) generally according to the procedure described for Intermediate 12 gave 2.33 g (95%) of (±)-benzyl (7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a colorless oil. Anal. calcd. for $C_{21}H_{24}ClNO_3$ C, 67.46; H, 6.47; N, 3.75. Found C, 67.27; H, 6.62; N, 3.66. Chiral HPLC separation of (±)-benzyl (7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, hexane:isopropanol 9:1) provided two fractions. Fraction 1 (R_t = 5.642 min, Chiralpak AD, hexane:isopropanol 9:1); Fraction 2 (R_t = 6.494 min, Chiralpak AD, hexane:isopropanol 9:1).

Intermediate 34: (±)-benzyl (7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0116] Treatment of (±)-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylamine (1.03 g, 3.8 mmol) with diisopropylethylamine (0.735 g, 5.7 mmol) and benzyl chloroformate (0.711 g, 4.2 mmol) generally according to the procedure described for Intermediate 12 gave 1.2 g (59%) of (±)-benzyl (7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a colorless oil. R_f = 0.51 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{22}H_{27}NO_4$ C, 71.52; H, 7.37; N, 3.79. Found C, 71.2; H, 7.44; N, 3.77.

Intermediate 35: 2-(2-isopropylphenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane

[0117] To a solution of dichloro[1,1'bis(diphenylphosphino)ferrocene] palladium(II)dichloromethane adduct (0.92 g, 1.12 mmol) and 1,1'-bis(diphenylphosphino) ferrocene (0.62 g, 1.12 mmol) in dioxane (275 mL) was added 2-isopropylphenyl trifluoromethanesulfonate (10.0 g, 37.5 mmol), bis(pinacolato)diboron (10.48 g, 41.26 mmol) and potassium acetate (10.96 g, 55.83 mmol) and the reaction mixture was heated to 90 °C and allowed to stir for 36 h. The reaction mixture was cooled to room temperature and diluted with water (300 mL) and extracted with diethyl ether (2 x 300 mL). The combined organic layers were washed with water (2 x 200 mL) and saturated aqueous sodium chloride (200 mL), were

dried (magnesium sulfate), and the solvent was removed in vacuo to provide a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexane 1:1) provided 3.9 g (43%) of 2-(2-isopropylphenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane as a pale yellow oil. ^{1}H NMR (DMSO-d_6) δ_H 7.57 (d, 1H); 7.38 (t, 1H); 7.30 (d, 1H); 7.13 (t, 1H); 3.57 (m, 1H); 1.27 (s, 12H); 1.14 (d, 6H).

Intermediate 36: (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0118] Treatment of 2-allyl-5-bromophenol (27.25 g, 0.128 mmol), with 3-chloroperoxybenzoic acid (66.20 g, 0.384 mol, 77%)) followed by potassium carbonate (44.18 g, 0.319 mol) generally according to the procedure described for Intermediate 9 provided (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methanol as a yellow oil. Treatment of the oil with *p*-toluenesulfonyl chloride (15.65 g, 0.082 mol) generally according to the procedure described for Intermediate 10 afforded 24.7 g (78%) of (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. mp 90-91 °C; Anal. calcd. for $C_{16}H_{15}BrO_4S$: C, 50.14; H, 3.94. Found: C, 50.09; H, 3.82.

Intermediate 37: (±)-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0119] To a solution of (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.05 g, 5.21 mmol) and phenylboronic acid (0.952 g, 7.81 mmol) in dioxane (50 mL) heated to 100 °C was added dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.205 g, 0.261 mmol) and potassium carbonate (1.80 g, 13.04 mmol) and the reaction mixture was allowed to stir at 100 °C for 12 h. The reaction mixture was cooled to room temperature, diluted with diethyl ether (250 mL), and filtered (celite). The organic layer was washed with water (2 x 100 mL) and saturated aqueous sodium chloride (100 mL), was dried (magnesium sulfate), and the solvent was removed *in vacuo* to provide a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:9) provided 1.45 g (73%) of (±)-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a clear oil. R_f= 0.34 (silica, ethyl acetate:hexanes 1:4); Anal. calcd. for $C_{22}H_{20}O_4S$: C, 69.45; H, 5.30. Found: C, 69.17; H, 5.30.

Intermediate 38: (±)-benzyl (4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0120] Treatment of (±)-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine (0.622 g, 2.38 mmol) with diisopropylethylamine (0.447 g, 3.57 mmol) and benzyl chloroformate (0.462 g, 2.62 mmol) generally according to the procedure described for Intermediate 12 provided 0.601 g (70%) of (±)-benzyl (4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a white solid. mp 132-134 °C; Anal. calcd. for $C_{23}H_{21}NO_3$: C, 76.86 H, 5.89; N, 3.90. Found: C, 75.98 H, 5.80; N, 3.72. Chiral HPLC separation of (±)-benzyl (4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OD, ethanol:water 15:85) provided two fractions. Fraction 1 (R_t = 6.651 min Chiralcel OD, ethanol:water 1:3); Fraction 2 (R_t = 7.395 min, Chiralcel OD, ethanol:water 1:3).

Intermediate 39: (±)-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0121] Treatment of (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.0 g, 5.21 mmol), 2-methylphenyl boronic acid (1.06 g, 7.82 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.205 g, 0.261 mmol), and potassium carbonate (1.80 g, 13.04 mmol) generally according to the procedure described for Intermediate 37 provided 1.41 g (69%) of (±)-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow oil. R_f= 0.42 (silica, ethyl acetate:hexanes 1:4); Anal. calcd. for $C_{23}H_{22}O_4S$: C, 70.03; H, 5.62. Found: C, 69.70; H, 5.45.

Intermediate 40: (±)-benzyl [4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0122] Treatment of (±)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.533 g, 1.94 mmol) with diisopropylethylamine (0.375 g, 2.90 mmol) and benzyl chloroformate (0.363 g, 2.13 mmol) generally according to the procedure described for Intermediate 12 provided 0.594 g (82%) of (±)-benzyl [4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as colorless oil. R_f= 0.42 (silica, ethyl acetate:hexanes1:4); Anal. calcd. for $C_{24}H_{23}NO_3$: C, 77.19 H, 6.21; N, 3.75. Found: C, 76.0 H, 6.01; N, 3.55. Chiral HPLC separation of (±)-benzyl [4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, methanol) provided two fractions. Fraction 1 (R_t = 5.952 min Chiralcel OD, methanol); Fraction 2 (R_t = 7.345 min, Chiralcel OD, methanol).

Intermediate 41: 1-allyl-2-(benzyloxy)-3-methoxybenzene

[0123] Treatment of guaiacol (25.00 g, 0.201 mol) with allyl bromide (29.24 g, 0.242 mol) and potassium carbonate (83.50 g, 0.604 mol) followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 afforded 2-allyl-6-methoxyphenol as a brown oil. Treatment of the phenol with potassium carbonate (83.5 g, 0.604 mol), benzyl bromide (36.19 g, 0.212 mol), and tetrabutylammonium iodide (7.42 g, 0.020 mol) generally according to the procedure described for Intermediate 1 gave 23.61 g (45%) of 1-allyl-2-(benzyloxy)-3-methoxybenzene as a pale yellow oil. R_f= 0.71 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{17}H_{18}O_2$: C, 80.28; H, 7.13. Found: C, 79.09; H, 6.93.

Intermediate 42: (±)-3-[2-(benzyloxy)-3-methoxyphenyl]propane-1,2-diol

[0124] Treatment of (4-methoxy-1,3-benzodioxol-2-yl)methanol (23.61 g, 0.093 mol) with AD-mix-α (129.97 g) generally according to the procedure described for Intermediate 2 provided 26.49 g (99%, 34% *ee*) of (±)-3-[2-(benzyloxy)-3-methoxyphenyl]propane-1,2-diol as a colorless oil. R_f= 0.63 (silica, ethyl acetate:hexanes 3:2); Anal. calcd. for $C_{17}H_{20}O_4$: C, 70.81; H, 6.99. Found: C, 69.33; H, 7.12.

Intermediate 43: (±)-1-[2-(benzyloxy)-3-methoxyphenyl]-3-{[*tert*-butyl(dimethyl)silyl]oxy}propan-2-ol

[0125] To a solution of (±)-3-[2-(benzyloxy)-3-methoxyphenyl]propane-1,2-diol (50.23 g, 0.174 mol) in *N,N*-dimethylformamide (600 mL) was added *tert*-butyldimethylsilyl chloride (28.88 g, 0.192 mol) followed by triethylamine (22.03 g, 0.218 mol) and 4-(dimethylamino)pyridine (2.12 g, 0.017 mol) and the reaction mixture was allowed to stir at room temperature for 6 h. The reaction mixture was quenched by the addition of water (1000 mL) and was extracted with ethyl acetate (3 x 300 mL). The combined organic extracts were washed with water (4 x 300 mL), aqueous hydrogen chloride (1.0 N, 400 mL), saturated aqueous sodium chloride (300 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 3:7) provided 58.14 g (83%) of (±)-1-[2-(benzyloxy)-3-methoxyphenyl]-3-{[*tert*-butyl(dimethyl)silyl]oxy}propan-2-ol as a colorless oil. R_f= 0.48 (silica, ethyl acetate:hexanes 3:7); Anal. calcd. for $C_{23}H_{34}O_4Si$: C, 68.62; H, 8.51. Found: C, 69.20; H, 8.91.

Intermediate 44: (±)-*tert*-butyl[(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methoxy]dimethylsilane

[0126] Treatment of (±)-1-[2-(benzyloxy)-3-methoxyphenyl]-3-{[*tert*-butyl(dimethyl)silyl]oxy}propan-2-ol (58.14 g, 0.144 mol) with palladium on carbon (5.81 g, 10 wt.%) generally according to the procedure described for Intermediate 4 provided (±)-2-(3-{[*tert*-butyl(dimethyl)silyl]oxy}-2-hydroxypropyl)-6-methoxyphenol as a crude oil. Treatment of the phenol with triphenylphosphine (44.52 g, 0.170 mol) and diethylazodicarboxylate (29.56 g, 0.170 mol) generally according to the procedure described for Intermediate 5 gave 34.12 g (80%) of (±)-*tert*-butyl[(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methoxy]dimethylsilane as a colorless oil. R_f= 0.67 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{16}H_{26}O_3Si$: C, 65.26; H, 8.90. Found: C, 64.26; H, 9.24.

Intermediate 45: (±)-(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0127] To a solution of (±)-*tert*-butyl[(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methoxy]dimethylsilane (34.12 g; 0.116 mol) in tetrahydrofuran (700 mL) cooled to 0 °C was added via an addition funnel tetrabutylammonium fluoride (140 mL, 1.0 M solution in tetrahydrofuran) and the reaction mixture was allowed to stir at room temperature for 6 h. The reaction was diluted with water (500mL) and extracted with ethyl acetate (2 x 300 mL). The combined organic extracts were washed with saturated aqueous sodium chloride (400 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give (±)-(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanol, a crude oil. The alcohol was dissolved in dichloromethane (700 mL) and *p*-toluenesulfonyl chloride (33.14 g, 0.174 mol) was added followed by triethylamine (21.11 g, 0.209 mol) and then 4-(dimethylamino)pyridine (2.12 g, 0.017 mol). The reaction mixture was allowed to stir at 50 °C for 12 h. The reaction mixture was cooled to room temperature and the solvent was removed *in vacuo* to give a crude solid. Purification by flash column chromatography (silica, ethyl acetate:hexanes 3:7) provided 26.45 g (68%) of (±)-(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white crystalline solid. R_f= 0.38 (silica, ethyl acetate:hexanes 3:7); mp 98-103 °C; Anal. calcd. for $C_{17}H_{18}O_5S$: C, 61.06; H, 5.43. Found: C, 60.80; H, 5.37.

Intermediate 46: (±)-(7-hydroxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0128] To (±)-(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (10.00 g, 0.030 mol) was added hydrogen bromide (20 mL, 30 wt.% in acetic acid) and the resulting solution was heated to 40 °C. The reaction mixture was allowed to

stir at 40 °C for 4 h. The reaction mixture was cooled to room temperature and was diluted with water (250 mL) and extracted with diethyl ether (3 x 200 mL). The combined organic extracts were washed with saturated sodium bicarbonate (3 x 300 mL), water (200 mL), and saturated aqueous sodium chloride (200 mL), were dried (magensium sulfate), and the solvent was removed in vacuo to provide a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:3) provided 7.34 g (77%) of (±)-(7-hydroxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as white solid. R_f= 0.31 (silica, ethyl acetate:hexanes 1:3); mp 122-125 °C; Anal. calcd. for $C_{16}H_{16}O_5S$: C, 59.99; H, 5.03. Found: C, 59.8; H, 4.73.

Intermediate 47: (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0129] Treatment of (±)-(7-hydroxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.00 g, 3.12 mmol) with diisopropylethylamine (0.44 g, 3.43 mmol) and trifluoromethanesulfonic anhydride (0.92 g, 3.28 mmol) generally according to the procedure described for Intermediate 7 gave 1.05 g (74%) of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.45 (silica, ethyl acetate:hexanes 1:3); mp 60-63 °C; Anal. calcd. for $C_{17}H_{15}F_3O_7S_2$: C, 45.13; H, 3.34. Found: C, 44.85; H, 3.04.

Intermediate 48: (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methanol

[0130] Treatment of 2-allyl-6-bromophenol (61.4 g 0.288 mol) with 3-chloroperoxybenzoic acid (77%, 149.18 g, 0.864 mol)) followed by potassium carbonate (99.56 g, 0.72 mol) generally according to the procedure described for Intermediate 9 provided 49.00 g (78%) (86%) of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methanol as an amber oil. R_f= 0.66 (silica, ethyl acetate:hexanes 1:9) ^{1}H NMR (DMSO-d_6) δ_H 7.23 (dd, 1H); 7.14 (dd, 1H); 6.71 (t, 1H); (5.01m, 1H); 4.85 (m, 1H); 4.99 (m, 2H); 3.36 (d, 2H).

Intermediate 49: (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0131] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methanol (49.0 g, 0.214 mol) with *p*-toluenesulfonyl chloride (44.9 g, 0.235 mol) generally according to the procedure described for Intermediate 10 gave 66.00 g (80%) (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.44 (silica, ethyl

acetate:hexanes 1:4); mp 120-122 °C; Anal. calcd. for $C_{16}H_{15}BrO_4S$: C, 50.14; H, 3.94. Found: C, 48.47; H, 4.03.

Intermediate 50: (±)-{7-[3,5-bis(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0132] To a solution of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.0 g, 2.21 mmol) and 3,5-bis(trifluoromethyl)phenylboronic acid (0.86 g, 3.32 mmol) in dioxane (25 mL) heated to 90 °C was added tetrakis(triphenylphosphine)palladium(0) (0.26 g, 0.22 mmol) and potassium phosphate (0.94 g, 4.42 mmol). The reaction mixture was allowed to stir at 90 °C for 12 h. The reaction mixture was allowed to cool and was diluted with diethyl ether (100 mL), filtered (celite), and the solvent was removed *in vacuo* to provide a crude solid. Purification by flash column chromatography (silica, ethylacetate:hexanes 1:9) afforded 0.75 g (66%) of (±)-{7-[3,5-bis(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.49 (silica, ethyl acetate:hexanes 1:3); mp 100-105 °C; Anal. calcd. for $C_{24}H_{18}F_6O_4S_2$: C, 55.82; H, 3.51. Found: C, 55.75; H, 3.35.

Intermediate 51: (±)-[7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0133] Treatment of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.32 mmol) with 3-chloro-4-fluorophenylboronic acid (0.87 g, 4.97 mmol), tetrakis(triphenylphosphine)palladium(0) (0.38 g, 0.33 mmol), and potassium phosphate (1.41 g, 6.64 mmol) generally according to the procedure described for Intermediate 50 provided 0.68 g (48%) of (±)-[7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:3); mp 104-108 °C; Anal. calcd. for $C_{22}H_{18}ClFO_4S_2$: C, 61.04; H, 4.19. Found: C, 60.74; H, 4.13.

Intermediate 52: (±)-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0134] Treatment of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.32 mmol) with phenylboronic acid (0.95 g, 4.97 mmol), tetrakis(triphenylphosphine)palladium(0) (0.38 g, 0.33 mmol), and potassium phosphate (1.41 g, 6.64 mmol) generally according to the procedure described for

Intermediate 50 provided 0.40 g (32%) of (±)-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.48 (silica, ethyl acetate:hexanes 1:3); Anal. calcd. for $C_{22}H_{20}O_4S \cdot 0.2H_2O$: C, 68.8; H, 5.35. Found: C, 68.78; H, 5.08.

Intermediate 53: (±)-benzyl (7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0135] Treatment of (±)-benzyl (7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine (1.5 g, 1.43 mmol) with diisopropylethylamine (0.277g, 2.14mmol) followed by benzyl chloroformate (0.268 g, 1.572 mmol) generally according to the procedure described for Intermediate 12 provided 1.64 (79%) of (±)-benzyl [7-phenyl-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a clear oil. R_f= 0.68 (silica, ethyl acetate:hexanes 1:2); Anal. calcd. for $C_{23}H_{21}NO_3$: C, 76.86; H, 5.89; N, 3.90. Found: C, 75.10 H, 5.71; N, 3.90. Chiral HPLC separation of (±)-benzyl [7-phenyl-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AD, ethanol:hexane 1:1) provided two fractions. Fraction 1 (R_t = 10.746 min, Chiralpak AD, ethanol:hexane 1:1); Fraction 2 (R_t = 13.433 min, Chiralpak AD ethanol:hexane 1:1).

Intermediate 54: (±)-[7-(2-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0136] Treatment of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.32 mmol) with 2-naphthaleneboronic acid (0.86 g, 4.97 mmol), tetrakis(triphenylphosphine)palladium(0) (0.38 g, 0.33 mmol), and potassium phosphate (1.41 g, 6.64 mmol) generally according to the procedure described for Intermediate 50 provided 0.513 g (36%) of (±)-[7-(2-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.42 (silica, ethyl acetate:hexanes 1:3); Anal. calcd. for $C_{26}H_{22}O_4S$: C, 72.54; H, 5.15. Found: C, 72.04; H, 5.04.

Intermediate 55: (±)-[7-(3,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0137] Treatment of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.32 mmol) with 3,5-dichlorophenylboronic acid (0.95 g, 4.97 mmol), tetrakis(triphenylphosphine)palladium(0) (0.38 g, 0.33 mmol), and potassium phosphate (1.41 g, 6.64 mmol) generally according to the procedure described for Intermediate 50 provided 0.22 g (15%) of (±)-[7-(3,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.48

(silica, ethyl acetate:hexanes 1:3); mp 113-115 °C; Anal. calcd. for $C_{22}H_{18}Cl_2O_4S$: C, 58.8; H, 4.04. Found: C, 58.73; H, 3.77.

Intermediate 56: (±)-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0138] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 2-methylphenyl boronic acid (0.266 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.358 g (70%) of (±)-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:3); mp 98-100 °C; Anal. calcd. for $C_{23}H_{22}O_4S$: C, 70.03; H, 5.62. Found: C, 69.83; H, 5.61.

Intermediate 57: (±)-benzyl [7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0139] Treatment of (±)-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (3.55 g, 12.9 mmol) with diisopropylethylamine (2.5 g, 19.4 mmol) and benzyl chloroformate (2.42 g, 14.2 mmol) generally according to the procedure described for Intermediate 12 provided 4.1 g (85%) of (±)-benzyl [7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a clear oil R_f= 0.64 (silica, ethyl acetate:hexanes 1:4); Anal. calcd. for $C_{24}H_{23}F_4NO_3$: C, 77.19; H, 6.21; N, 3.75. Found: C, 76.95; H, 6.18; N, 3.53. Chiral HPLC separation of (±)-benzyl [7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, isopropanol:hexane 1:4) provided two fractions. Fraction 1 (R_t = 7.285 min, isopropanol:hexane 1:4); Fraction 2 (R_t = 9.361 min, Chiralcel OD, isopropanol:hexane 1:4).

Intermediate 58: (±)-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0140] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 3-thiophene boronic acid (0.334 g, 2.61 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.389 g (77%) of (±)-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-

methylbenzenesulfonate as a yellow solid. mp 90-92 °C; Anal. calcd. for $C_{20}H_{18}O_4S_2$: C, 62.15; H, 4.69. Found: C, 62.2; H, 4.72.

Intermediate 59: (±)-benzyl (7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0141] Treatment of (±)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine (0.56 g, 2.09 mmol) with diisopropylethylamine (0.406 g, 3.14 mmol) and benzyl chloroformate (0.393 g, 2.30 mmol) generally according to the procedure described for Intermediate 12 provided 0.54 g (71%) of (±)-benzyl (7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a colorless oil. R_f= 0.49 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{21}H_{19}NO_3S \cdot 0.3\ H_2O$: C, 68.01; H, 5.33; N, 3.78. Found: C, 67.88; H, 5.18; N, 3.72. Chiral HPLC separation of (±)-benzyl (7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel AD, water:methanol 1:9) provided two fractions. Fraction 1 (R_t = 13.00 min, (Chiralcel AD, water:methanol 1:9); Fraction 2 (R_t = 14.1 min, (Chiralcel AD, water:methanol 1:9).

Intermediate 60: (±)-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0142] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 2-fluorophenylboronic acid (0.274 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.422 g (81%) of (±)-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow solid. mp 99-101 °C; Anal. calcd. for $C_{22}H_{19}FO_4S$: C, 66.32; H, 4.81. Found: C, 65.16; H, 4.86.

Intermediate 61: (±)-benzyl [7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0143] Treatment of (±)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.5 g, 5.36 mmol) with diisopropylethylamine (1.04 g, 8.04 mmol) and benzyl chloroformate (1.01 g, 5.89 mmol) generally according to the procedure described for Intermediate 12 afforded 1.7 g (84%) of (±)-benzyl [7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a colorless oil R_f= 0.68 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{22}H_{18}FNO_3$: C, 72.72; H, 4.99; N, 3.85. Found: C, 72.65 H, 5.41; N, 3.47.

Chiral HPLC separation of (±)-benzyl [7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, hexane:isopropanol 9:1) provided two fractions. Fraction 1 (R_t = 13.628 min, Chiralcel OJ, hexane:isopropanol 9:1); Fraction 2 (R_t = 17.247 min, Chiralcel OJ, hexane:isopropanol 9:1).

Intermediate 62: (±)-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0144] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (10.00 g, 26.10 mmol) with 2-(trifluoromethy)phenylboronic acid (7.43 g, 39.14 mmol), dichlorobis(tri-*o*-tolylphosphine)palladium(II) (0.786 g, 1.3 mmol), and potassium carbonate (9.01 g, 65.19 mmol) generally according to the procedure described for Intermediate 37 afforded 8.46 g (72%) of (±)-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a tan solid. mp 116-118 °C; Anal. calcd. for $C_{23}H_{19}F_3O_4S$: C, 61.6; H, 4.27. Found: C, 61.91; H, 4.23.

Intermediate 63: (±)-benzyl {7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate

[0145] Treatment of (±)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine (0.813 g, 2.46 mmol) with diisopropylethylamine (0.478 g, 3.69 mmol) and benzyl chloroformate (0.462 g, 2.71 mmol) generally according to the procedure described for Intermediate 12 gave 0.99 g (94%) of (±)-benzyl {7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate as a pale yellow oil R_f= 0.60 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{24}H_{20}F_3NO_3$: C, 67.44; H, 4.71; N, 3.15. Found: C, 67.43 H, 4.76; N, 3.15. Chiral HPLC separation of (±)-benzyl [7-(2-(trifluoromethyl))-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, isopropanol:carbon dioxide 3:17) provided two fractions. Fraction 1 (R_t = 5.252 min, Chiralcel OJ, isopropanol:carbon dioxide 3:17); Fraction 2 (R_t = 6.280 min, Chiralcel OJ, isopropanol:carbon dioxide 3:17).

Intermediate 64: (±)-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0146] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.00 g, 2.61 mmol) with 2,6-dimethylphenylboronic acid (0.783 g, 5.22 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.103 g, 0.135 mmol), and potassium carbonate (0.90 g, 6.52 mmol) generally according to the procedure described for Intermediate

37 provided 0.192 g (18%) of (±)-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow oil. Anal. calcd. for $C_{24}H_{24}O_4S$: C, 70.56; H, 5.92. Found: C, 68.01; H, 5.6.

Intermediate 65: (±)-benzyl [7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0147] Treatment of (±)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.947 g, 3.73 mmol) with diisopropylethylamine (0.725 g, 5.60 mmol) and benzyl chloroformate (0.7647 g, 4.48 mmol) generally according to the procedure described for Intermediate 12 gave 1.26 g (87%) of (±)-benzyl [7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a colorless oil R_f = 0.56 (silica, ethyl acetate:hexanes 1:4); Anal. calcd. for $C_{25}H_{25}NO_3$: C, 77.49; H, 6.50; N, 3.61. Found: C, 77.42 H, 6.57; N, 3.62. Chiral HPLC separation of (±)-benzyl [7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, methanol:carbon dioxide 4:6) provided two fractions. Fraction 1 (R_t = 2.89 min, Chiralcel OJ, methanol:carbon dioxide 4:6); Fraction 2 (R_t = 3.84 min, Chiralcel OJ, methanol:carbon dioxide 4:6).

Intermediate 66: (±)-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0148] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.5 g, 1.31 mmol) with 2-methoxyphenylboronic acid (0.297 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 gave 0.399 g (74%) of (±)-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a tan solid. mp 100-103 °C; Anal. calcd. for $C_{23}H_{22}O_5S$: C, 67.3; H, 5.4. Found: C, 66.95; H, 5.43.

Intermediate 67: (±)-benzyl [7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0149] Treatment of (±)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.296 g, 1.01 mmol) with diisopropylethylamine (1.52 g, 1.5 mmol) and benzyl chloroformate (0.190 g, 1.11 mmol) generally according to the procedure described for Intermediate 12 afforded 0.33 g (84%) (±)-benzyl [7-(2-methoxyphenyl)-2,3-dihydro-1-

benzofuran-2-yl]methylcarbamate oil a colorless oil R_f= 0.72 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{24}H_{23}NO_4$: C, 74.02; H, 5.95; N, 3.6. Found: C, 73.68 H, 5.81; N, 3.43.

Intermediate 68: (±)-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0150] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol)with 2-chlorophenylboronic acid (0.306 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.380 g (70%) of (±)-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a tan solid. mp 100-103 °C; Anal. calcd. for $C_{22}H_{19}ClO_4S$: C, 63.69; H, 4.62. Found: C, 63.43; H, 4.69.

Intermediate 69: (±)-benzyl [7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0151] Treatment of (±)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.743 g 2.52 mmol) with diisopropylethylamine (0.488 g, 3.77 mmol) and benzyl chloroformate (0.472 g, 2.77 mmol) generally according to the procedure described for Intermediate 12 afforded 0.749 g (76%) (±)-benzyl [7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a white solid. mp 155-157 °C; Anal. calcd. for $C_{23}H_{20}ClNO_3$: C, 70.14; H, 5.12; N, 3.56. Found: C, 70.1; H, 5.15; N, 3.37. Chiral HPLC separation of (±)-benzyl [7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, hexane:ethanol 1:1) provided two fractions. Fraction 1 (R_t = 9.655 min, Chiralcel OJ, hexane:ethanol 1:1); Fraction 2 (R_t = 16.300 min, Chiralcel OJ, hexane:ethanol 1:1).

Intermediate 70: (±)-benzyl [7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0152] Treatment of (±)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine (1.69 g, 5.56 mmol) with diisopropylethylamine (1.08 g, 8.34 mmol) and benzyl chloroformate (1.04 g, 6.12 mmol) generally according to the procedure described for Intermediate 12 gave 1.92 (89%) of (±)-benzyl [7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a yellow oil. R_f= 0.66 (silica, ethyl acetate:hexanes 1:4); Anal. calcd. for $C_{26}H_{27}NO_3$: C, 77.78; H, 6.78; N, 3.49. Found: C, 77.5; H, 6.7; N, 3.33. Chiral

HPLC separation of (±)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, hexane:isopropanol 9:1) provided two fractions. Fraction 1 (R_t = 8.131 min, Chiralcel OD, hexane:isopropanol 9:1); Fraction 2 (R_t = 11.048 min, Chiralcel OD, hexane:isopropanol 9:1).

Intermediate 71: (±)-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0153] Treatment of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.32 mmol) with 3-methylbenzeneboronic (0.68 g, 4.97 mmol), tetrakis(triphenylphosphine)palladium(0) (0.38 g, 0.33 mmol), and potassium phosphate (1.41 g, 6.64 mmol) generally according to the procedure described for Intermediate 50 provided 0.899 g (69%) of (±)-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f = 0.44 (silica, ethyl acetate:hexanes 1:3); mp 81-82 °C; Anal. calcd. for $C_{23}H_{22}O_4S \cdot 0.2H_2O$: C, 69.39; H, 5.67. Found: C, 69.42; H, 5.49.

Intermediate 72: (±)-benzyl [7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0154] Treatment of (±)-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.76 g, 6.38 mmol) with diisopropylethylamine (2.47 g, 19.17mmol) followed by benzyl chloroformate (1.19 g, 7.02 mmol) generally according to the procedure described for Intermediate 12 provided 1.4 g (58%) of (±)-benzyl [7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a clear oil. R_f = 0.68 (silica, ethyl acetate:hexanes 1:2); Anal. calcd. for $C_{24}H_{23}NO_3$: C, 77.19; H, 6.20; N, 3.75. Found: C, 76.88 H, 6.25; N, 3.51. Chiral HPLC separation of (±)-benzyl [7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AD, ethanol:hexane 1:1) provided two fractions. Fraction 1 (R_t = 10.439 min, Chiralpak AD, ethanol:hexane 1:1); Fraction 2 (R_t = 11.833 min, Chiralpak AD ethanol:hexane 1:1).

Intermediate 73: (±)-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0155] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 3-fluorophenylboronic acid (0.274 g, 1.96

mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.392 g (75%) of (±)-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a light yellow solid. mp 88-90 °C; Anal. calcd. for $C_{22}H_{19}FO_4S$: C, 66.32; H, 4.81. Found: C, 65.63; H, 4.84.

Intermediate 74: (±)-benzyl [7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0156] Treatment of (±)-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.798 g, 2.856 mmol) with diisopropylethylamine (0.554 g, 4.286 mmol) and benzyl chloroformate (0.536 g, 3.143 mmol) generally according to the procedure described for Intermediate 12 afforded 1.01 g (94%) of (±)-benzyl [7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a white solid. R_f= 0.40 (silica, ethyl acetate:hexanes 1:4); Anal. Calcd. for $C_{23}H_{20}FNO_3$: C, 73.2; H, 5.34; N, 3.71. Found: C, 92.96; H, 5.38; N, 3.59.

Intermediate 75: (±)-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0157] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 3-chlorophenylboronic acid (0.306 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.404 g (75%) of (±)-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a light yellow solid. mp 101-103 °C; Anal. calcd. for $C_{22}H_{19}ClO_4S$: C, 63.69; H, 4.62. Found: C, 63.59; H, 4.52.

Intermediate 76: (±)-benzyl [7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0158] Treatment of (±)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.6 g, 5.4 mmol) with diisopropylethylamine (1.197 g, 9.26 mmol) and benzyl chloroformate (1.02 g, 5.96 mmol) generally according to the procedure described for Intermediate 12 afforded 1.59 g (75%) of (±)-benzyl [7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a colorless oil. R_f= 0.56 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{23}H_{20}ClNO_3$: C, 70.14; H, 5.12; N, 3.56. Found: C, 69.11; H, 5.07; N, 3.37.

Chiral HPLC separation of (±)-benzyl [7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, hexane:isopropanol 9:1) provided two fractions. Fraction 1 (R_t = 15.935 min Chiralcel OD, hexane:isopropanol 9:1); Fraction 2 (R_t = 18.546 min, Chiralcel OD, hexane:isopropanol 9:1).

Intermediate 77: (±)-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0159] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.00 g, 13.04 mmol) with 3-methoxyphenylboronic acid (2.97 g, 19.57 mmol), dichlorobis(tri-*o*-tolylphosphine)palladium(II) (0.512 g, 0.652 mmol) and potassium carbonate (4.51 g, 32.62 mmol) generally according to the reaction conditions described for Intermediate 37 gave 4.48 g (84%) of (±)-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. mp 141-142 °C; Anal. calcd. for $C_{23}H_{22}O_5S$: C, 67.3; H, 5.4. Found: C, 66.51; H, 5.41.

Intermediate 78: (±)-benzyl [7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0160] Treatment of (±)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (2.4 g, 9.4 mmol) with diisopropylethylamine (1.82 g, 14.10 mmol) and benzyl chloroformate (1.92 g, 11.28 mmol) generally according to the reaction conditions described for Intermediate 12 afforded 3.42 g (93%) of (±)-benzyl [7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a colorless oil. R_f = 0.78 (silica, ethyl acetate:hexanes 1:4); Anal. calcd. for $C_{24}H_{23}NO_4$·: C, 74.02; H, 5.95; N, 3.6. Found: C, 73.52; H, 6.06; N, 3.28.

Intermediate 79: (±)-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0161] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 3-(trifluoromethyl)phenylboronic acid (0.372 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.383 g (65%) of (±)-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a white solid. mp 90-93 °C; Anal. calcd. for $C_{23}H_{19}F_3O_4S$: C, 61.6; H, 4.27. Found: C, 61.52; H, 4.21.

Intermediate 80: (±)-benzyl {7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate

[0162] Treatment of (±)-[7-(3-trifluoromethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.67 g, 5.06 mmol) with diisopropylethylamine (0.98 g, 7.59 mmol) and benzyl chloroformate (1.04 g, 6.07 mmol) generally according to the procedure described for Intermediate 12 provided 2.1 g (97%) of (±)-benzyl {7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate as a colorless oil. R_f = 0.51; Anal. calcd. for $C_{24}H_{20}F_3NO_3$: C, 67.44; H, 4.72; N, 3.28. Found: C, 67.08; H, 5.05; N, 3.22.

Intermediate 81: (±)-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0163] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (11.2 g, 29.22 mmol) with 4-methylphenylboronic acid (5.96 g, 43.84 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (1.148 g, 1.46 mmol), and potassium carbonate (10.10 g, 73.07 mmol) generally according to the procedure described for Intermediate 37 afforded 9.8 g (85%) of (±)-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate a white solid. mp 145-147 °C; Anal. calcd. for $C_{23}H_{22}O_4S$: C, 70.03; H, 5.62. Found: C, 69.91; H, 5.70.

Intermediate 82: (±)-benzyl [7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0164] Treatment of (±)-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.385 g, 1.396 mmol) with diisopropylethylamine (0.270 g, 2.09 mmol) and benzyl chloroformate (0.285 g, 1.675 mmol) generally according to the procedure described for Intermediate 12 provided 0.483 g (93%) of (±)-benzyl [7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as colorless oil. R_f = 0.47 (silica, ethyl acetate:hexane 2:8); mp 83-86 °C; Anal. calcd. for $C_{24}H_{23}NO_3$: C, 77.19; H, 6.21; N, 3.75. Found: C, 76.97; H, 5.99; N, 3.68. Chiral HPLC separation of (±)-benzyl [7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak OD, methanol:carbon dioxide 1:1) provided two fractions. Fraction 1 (R_t = 3.788 min Chiralpak OD, methanol:carbon dioxide 1:1); Fraction 2 (R_t = 4.398 min, Chiralpak OD, methanol:carbon dioxide 1:1).

Intermediate 83: (±)-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0165] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (3.0 g, 7.82 mmol) with 4-methoxyphenylboronic acid (1.78 g, 11.74 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.307 g, 0.391 mmol), and potassium carbonate (2.70 g, 19.57 mmol) generally according to the procedure described for Intermediate 37 provided 2.2 g (68%) of (±)-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow solid. mp 116-117 °C; Anal. calcd. for $C_{23}H_{22}O_5S$: C, 67.30; H, 5.40. Found: C, 67.31; H, 5.35.

Intermediate 84: (±)-benzyl [7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0166] Treatment of 1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.727 g, 2.49 mmol) with diisopropylethylamine (0.483 g, 3.73) and benzyl chloroformate (0.510 g, 2.99 mmol) generally according to the procedure described for Intermediate 12 provided 0.820 g of (±)-benzyl [7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a colorless oil. R_f= 0.48 (silica, ethyl acetate:hexanes 1:5); Anal. calcd. for $C_{24}H_{23}NO_4$: C, 74.02; H, 5.95; N, 3.60. Found: C, 73.66 H, 6.13; N, 3.42. Chiral HPLC separation of (±)-benzyl [7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel AD, methanol) provided two fractions. Fraction 1 (R_t = 7.386 min, Chiralcel AD, methanol); Fraction 2 (R_t = 10.882 min, Chiralcel AD, methanol).

Intermediate 85: (±)-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0167] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 4-(trifluoromethyl)phenylboronic acid (0.372 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.435 g (74%) of (±)-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a light yellow solid. mp 116-118 °C; Anal. calcd. for $C_{23}H_{19}F_3O_4S$: C, 61.6; H, 4.27. Found: C, 61.37; H, 4.36.

Intermediate 86: (±)-benzyl {7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate

[0168] Treatment of (±)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine (1.8 g, 6.14 mmol) with diisopropylethylamine (1.06 g, 8.20) and benzyl chloroformate (1.12 g, 6.56 mmol) generally according to the procedure described for Intermediate 12 afforded 2.38 g (91%) of (±)-benzyl {7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate as a white solid. R_f = 0.48 (silica, ethyl acetate:hexanes 1:4); mp 100-102 °C; Anal. calcd. for $C_{24}H_{20}F_3NO_3$: C, 67.44; H, 4.72; N, 3.28. Found: C, 67.37; H, 4.69; N, 3.15.

Intermediate 87: (±)-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0169] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 4-fluorophenylboronic acid (0.274 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.408 g (78%) of (±)-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a light yellow solid. mp 83-86 °C; Anal. calcd. for $C_{22}H_{19}FO_4S$: C, 66.32; H, 4.81. Found: C, 66.11; H, 4.61.

Intermediate 88: (±)-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0170] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 4-chlorophenylboronic acid (0.306 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.367 g (68%) of (±)-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as an orange solid. mp 130-133 °C; Anal. calcd. for $C_{22}H_{19}ClO_4S$: C, 63.69; H, 4.62. Found: C, 62.82; H, 4.56.

Intermediate 89: (±)-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0171] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.0 g, 13.05 mmol) with 2,4-dichlorophenylboronic acid (3.73 g, 19.57

mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.512 g, 0.652 mmol), and potassium carbonate (4.51 g, 32.62 mmol) generally according to the procedure described for Intermediate 37 provided 4.5 g (75%) of (±)-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow oil. Anal. calcd. for $C_{22}H_{18}Cl_2O_4S$: C, 58.8; H, 4.04. Found: C, 59.01; H, 4.09.

Intermediate 90: (±)-benzyl [7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0172] Treatment of (±)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.9 g, 5.75 mmol) with diisopropylethylamine (1.11 g, 8.62) and benzyl chloroformate (1.18 g, 6.89 mmol) generally according to the procedure described for Intermediate 12 afforded 2.14 g (87%) of (±)-benzyl [7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a white solid. mp 87-89 °C; Anal. calcd. for $C_{23}H_{19}Cl_2NO_3$: C, 64.5; H, 4.47; N, 3.27. Found: C, 64.65; H, 4.78; N, 3.08.

Intermediate 91: (±)-3-[2-(benzyloxy)-5-chloro-3-methoxyphenyl]propane-1,2-diol

[0173] Treatment of 4-chloro-2-methoxyphenol (30.0 g, 0.19 mol) with sodium hydride (9.1 g, 0.23 mol, 60 wt.%) and allyl bromide (27.46 g, 0.23 mol) followed by treatment of the resultant allyl ether in refluxing mesitylene generally according to the procedure described for Intermediate 8 gave 2-allyl-4-chloro-6-methoxyphenol as a yellow oil. Treatment of 2-allyl-4-chloro-6-methoxyphenol with sodium hydride (7.08 g, 0.177 mol, 60 wt.%) and benzyl bromide (30.27 g, 0.177 mol) generally according to the procedure described for Intermediate 13 provided 1-allyl-2-(benzyloxy)-5-chloro-3-methoxybenzene as a pale yellow oil. Treatment of the olefin (35.5 g, 0.123 mol) of with AD-mix-α (132.0 g) generally according to the procedure described for Intermediate 2 gave 35 g (54%) of (±)-3-[2-(benzyloxy)-5-chloro-3-methoxyphenyl]propane-1,2-diol as a white solid. mp. 65-68 °C. Anal. calcd. for $C_{17}H_{19}ClO_4$: C, 63.26; H, 5.93. Found: C, 65.29; H, 6.23.

Intermediate 92: (±)-(5-chloro-7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0174] Treatment of (±)-3-[2-(benzyloxy)-5-chloro-3-methoxyphenyl]-1,2-propanediol (32 g, 0.1 mol) with *p*-toluenesulfonyl chloride (21 g, 0.11 mol) in pyridine generally according to the procedure described for intermediate 3 provided (±)-3-[2-(benzyloxy)-5-chloro-3-methoxyphenyl]-2-hydroxypropyl 4-methylbenzenesulfonate. Treatment of the tosylate with

palladium on carbon (2.32 g, 5 wt.%) generally according to the procedure described for Intermediate 4 afforded (±)-3-(5-chloro-2-hydroxy-3-methoxyphenyl)-2-hydroxypropyl 4-methylbenzenesulfonate. Treatment of the phenol with triphenylphosphine (23.6 g, 0.09 mol) and diisopropyl azodicarboxylate (18.2 g, 0.09 mol) generally according to the procedure described for Intermediate 5 afforded 28 g (76%) of (±)-(5-chloro-7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a pale yellow solid. mp 99-102 °C; Anal. calcd. for $C_{17}H_{17}ClO_5S$: C, 55.36; H, 4.65. Found: C, 55.35; H, 4.62.

Intermediate 93: (±)-(5-chloro-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0175] Treatment of (±)-(5-chloro-7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (22.1 g, 0.06 mol) with hydrogen bromide (400 mL, 30 wt.% in acetic acid) generally according to the procedure described for Intermediate 46 gave 14.6 g of (±)-(5-chloro-7-hydroxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. Treatment of (±)-(5-chloro-7-hydroxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.5 g, 12.68 mmol) with trifluoromethanesulfonic anhydride (2.34 mL, 13.9 mmol) and diisopropylethylamine (2.43 mL, 13.9 mmol) generally according to the procedure described for Intermediate 7 provided 6.27 g (99%) of (±)-(5-chloro-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a light yellow solid. mp 55-57 °C; Anal. calcd. for $C_{17}H_{14}ClF_3O_7S_2$: C, 41.94; H, 2.90. Found: C, 42.10; H, 2.76.

Intermediate 94: (±)-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0176] Treatment of (±)-(5-chloro-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.50 g, 3.10 mmol) with phenyl boronic acid (0.564 g, 4.60mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.252 g, 0.30 mmol), and potassium carbonate (0.829 g, 6.0 mmol) generally according to the procedure described for Intermediate 35 provided 0.94 g of a white paste. Re-crystallization from methanol afforded 0.6 g (47%) of (±)-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. mp 127-130 °C; Anal. calcd. for $C_{22}H_{19}ClO_4S$: C, 63.69; H, 4.62. Found: C, 62.51; H, 4.48.

Intermediate 95: (±)-[5-chloro-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0177] Treatment of (±)-(5-chloro-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.50 g, 3.10 mmol) with 3-chlorophenylboronic acid (0.72 g, 4.60 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.252 g, 0.30 mmol), and potassium carbonate (0.829 g, 6.0 mmol) generally according to the procedure described for Intermediate 35 gave 1.1 g (80%) of (±)-[5-chloro-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. mp 80-82 °C. Anal. calcd. for $C_{22}H_{18}Cl_2O_4S$: C, 58.8; H, 4.04. Found: C, 56.91; H, 3.82.

Intermediate 96: (±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0178] Treatment of (±)-(5-chloro-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.50 g, 3.10 mmol) with thiophene-3-boronic acid (0.62 g, 4.88 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.265 g, 0.325 mmol), and potassium carbonate (0.898 g, 6.5 mmol) generally according to the procedure described for Intermediate 35 provided 0.22 g (17%) of (±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. mp 115-117 °C; Anal. calcd. for $C_{20}H_{17}ClO_4S_2$: C, 57.07; H, 4.07. Found: C, 56.17; H, 3.85.

Intermediate 97: (±)-2-(azidomethyl)-5-chloro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran

[0179] Treatment of (±)-(5-chloro-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.50 g, 3.10 mmol) with 3-methylphenylboronic acid (0.63 g, 4.60 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.252 g, 0.30 mmol), and potassium carbonate (0.829 g, 6.0 mmol) generally according to the procedure described for Intermediate 35 gave (±)-[5-chloro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a colorless oil. Treatment of the tosylate with sodium azide (0.31 g, 4.78 mmol) generally according to the procedure described for Intermediate 98 afforded 0.32 g (34%) of (±)-2-(azidomethyl)-5-chloro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran as a tan

solid. mp 48-50 °C; Anal. calcd. for $C_{16}H_{14}ClN_3O$: C, 64.11; H,4.71; N, 14.02. Found: C, 62.95; H, 4.62; N, 13.72.

Intermediate 98: (±)-2-(azidomethyl)-5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran

[0180] To a solution of (±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.5 g, 10.69 mmol) in dimethylsulfoxide (150 mL) was added sodium azide (3.6 g, 55.38 mmol) and the reaction mixture was heated to 70 °C and allowed to stir for 6 h. The reaction mixture was cooled to room temperature and diluted with water (300 mL) and diethyl ether (200 mL). The aqueous layer was separated and extracted with diethyl ether (200 mL). The combined organic layers were washed with water (3 x 200 mL), saturated aqueous sodium chloride (100 mL), dried (magnesium sulfate), and the solvent removed *in vacuo* to afford 2.6 g (83%) of (±)-2-(azidomethyl)-5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran as a white solid. mp 50-52 °C; Anal. calcd. for $C_{13}H_{10}ClN_3OS$: C, 53.52; H, 3.45; N, 14.40. Found: C, 53.50; H, 3.33; N, 14.26.

Intermediate 99: (±)-benzyl (5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate

[0181] Treatment of (±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine (2.45 g, 8.11 mmol) with benzyl chloroformate (2.07 g, 12.15 mmol) and diisopropylethylamine (3.43 g, 24.32 mmol) generally according to the procedure described for Intermediate 12 provided 2.6 g (81%) of (±)-benzyl (5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate as a white solid. mp 90-92 °C; Anal. calcd. for $C_{21}H_{18}ClNO_3S$: C, 63.07; H, 4.54; N, 3.50. Found: C, 63.44; H, 4.51; N, 3.43. Chiral HPLC separation of (±)-benzyl (5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, hexane:ethanol 1:1) provided two fractions. Fraction 1 (R_t = 11.538 min, (Chiralpak AD, hexane:ethanol 1:1); Fraction 2 (R_t = 17.694 min, (Chiralpak AD, hexane:ethanol 1:1).

Intermediate 100: 2-(allyloxy)-1-bromo-4-fluorobenzene

[0182] Treatment of 2-bromo-5-fluorophenol (10.00 g, 0.052 mol) with potassium carbonate (29.30 g, 0.209 mol) and allyl bromide (7.60 g, 0.063 mol) generally according to the reaction procedure described for Intermediate 8 provided 12.1 g (99%) of 2-(allyloxy)-1-bromo-4-fluorobenzene as a colorless oil. R_f= 0.37 (silica, ethyl acetate:hexanes 1:9); ^{1}H NMR

(DMSO-d_6) δ_H 7.58 (dd, 1H); 7.05 (dd, 1H); 6.75 (dt, 1H); 6.02 (m, 1H); 5.43 (d, 1H); 5.27 (d, 1H); 4.65 (m, 2H).

Intermediate 101: 2-allyl-6-bromo-3-fluorophenol

[0183] Treatment of 2-(allyloxy)-1-bromo-4-fluorobenzene (12.00 g, 0.052 mol) in refluxing mesitylene generally according to the reaction procedure described for Intermediate 8 provided 11.5 g (95%) of 2-allyl-6-bromo-3-fluorophenol as a pale yellow oil. R_f= 0.48 (silica, ethyl acetate:hexanes 1:4); Anal. calcd. for C_9H_8BrFO: C, 46.78; H, 3.49. Found: C, 47.59; H, 3.47.

Intermediate 102: (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methanol

[0184] Treatment of 2-allyl-6-bromo-3-fluorophenol (9.01 g, 0.039 mol) with 3-chloroperoxybenzoic acid (77%, 13.46 g, 0.06 mol) followed by potassium carbonate (13.82 g, 0.10 mol) generally according to the reaction procedure described for Intermediate 9 gave 6.71 g (70%) of (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methanol as a white solid. R_f= 0.20 (silica, ethyl acetate:hexanes 1:4); mp 40-43 °C; Anal. calcd. for $C_9H_8BrFO_2 \cdot 0.2\ H_2O$: C, 43.13; H, 3.38. Found: C, 42.94; H, 3.15.

Intermediate 103: (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0185] Treatment of (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methanol (6.21 g, 0.025 mol) with *p*-toluenesulfonyl chloride (5.26 g, 0.028 mol) in pyridine (120 mL) generally according to the procedure described for Intermediate 10 afforded 8.85 g (88%) of (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.60 (silica, ethyl acetate:hexanes 1:1); mp 100–103 °C; Anal. calcd. for $C_{16}H_{14}BrFO_4S$: C, 47.89; H, 3.52. Found: C, 47.89; H, 3.68.

Intermediate 104: (±)-(4-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0186] Treatment of (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.0 g, 4.98 mmol) with phenyl boronic acid (0.91 g, 7.22 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.392 g, 0.498 mmol), and potassium carbonate (1.72 g, 12.46 mmol) acording to the procedure described for Intermediate 37 gave 1.07 g (54%)

of (±)-(4-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.46 (silica, ethyl acetate:hexanes 1:4); ^{1}H NMR (DMSO-d_6) δ_H 7.70 (d, 2H); 7.53 (d, 2H); 7.40 (t, 2H); 7.32 (m, 4H); 6.77 (t, 1H); 5.15 (m, 1H); 4.31 (dd, 1H); 4.22 (dd, 1H); 3.30 (dd, 1H, obscured by H_2O peak); 3.01 (dd, 1H).

Intermediate 105: (±)-[4-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0187] Treatment of (±)-(7-bromo-4-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.05 g, 5.11 mmol) with 2-methylphenyl boronic acid (1.04 g, 7.66 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.201 g, 0.255 mmol), and potassium carbonate (1.77 g, 12.77 mmol) generally according to the procedure described for Intermediate 37 provided 1.38 g (65%) of (±)-[4-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.34 (silica, ethyl acetate:hexanes 1.5:8.5); Anal. calcd. for $C_{23}H_{21}FO_4S$: C, 66.97; H, 5.13. Found: C, 66.95; H, 4.76.

Intermediate 106: 2-allyl-6-bromo-4-fluorophenol

[0188] Treatment of 1-(allyloxy)-2-bromo-4-fluorobenzene (23.90 g, 0.103 mol) in refluxing mesitylene (50 mL) generally according to the procedure described for Intermediate 8 provided 23.44 g (99%) 2-allyl-6-bromo-4-fluorophenol as a brown oil. R_f= 0.64 (silica, ethyl acetate:hexanes 1:19); Anal. calcd. for C_9H_8BrFO: C, 46.78; H, 3.49. Found: C, 49.19; H, 3.59.

Intermediate 107: (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methanol

[0189] Treatment of 2-allyl-6-bromo-4-fluorophenol (25.1 g, 0.109 mol) with 3-chloroperoxybenzoic acid (77%, 56.24 g, 0.326 mol) followed by potassium carbonate (62.19 g, 0.45 mol) generally according to the procedure described for Intermediate 9 provided 20.98 g (78%) of (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methanol as a white solid. R_f= 0.54 (silica, ethyl acetate:hexanes 1:1); mp 53-57 °C; Anal. calcd. for $C_9H_8BrFO_2 \cdot 0.1\ C_4H_8O_2$: C, 44.13; H, 3.47. Found: C, 44.17; H, 3.16.

Intermediate 108: (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0190] Treatment of (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methanol (11.5 g, 0.047 mol) with *p*-toluenesulfonyl chloride (9.76 g, 0.051 mol) generally according to

the procedure described for intermediate 10 gave 12.50 g (66%) (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.44 (silica, ethyl acetate:hexanes 1:4); mp 84-86 °C; Anal. calcd. for $C_{16}H_{14}BrFO_4S$: C, 47.89; H, 3.52. Found: C, 47.65; H, 3.63.

Intermediate 109: (±)-(5-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0191] Treatment of (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.00 g, 4.98 mmol) with phenyl boronic acid (0.912 g, 7.48 mmol), dichlorobis(tri-*o*-tolylphosphine)palladium(II) (0.196 g, 0.249 mmol), and potassium carbonate (1.72 g, 12.46 mmol) generally according to the procedure described for Intermediate 37 gave 1.62 g (82%) of (±)-(5-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a yellow oil. R_f= 0.54 (silica, ethyl acetate:hexanes 1:4); Anal. calcd. for $C_{22}H_{19}FO_4S \cdot 0.2\ C_4H_8O_2$: C, 65.82; H, 4.99. Found: C, 65.77; H, 4.99.

Intermediate 110: (±)-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0192] Treatment of (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.00 g, 4.98 mmol) with 2-methylphenyl boronic acid (1.017 g, 7.48 mmol), dichlorobis(tri-*o*-tolylphosphine)palladium(II) (0.196 g, 0.249 mmol), and potassium carbonate (1.72 g, 12.46 mmol) generally according to the procedure described for Intermediate 37 provided 1.58 g (77%) of (±)-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a colorless oil. R_f= 0.47 (silica, ethyl acetate:hexanes 3:17); Anal. calcd. for $C_{22}H_{19}FO_4S \cdot 0.2\ C_4H_8O_2$: C, 66.46; H, 5.30. Found: C, 66.25; H, 4.98.

Intermediate 111: (±)-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0193] Treatment of (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.00 g, 4.98 mmol) with 2-chlorophenyl boronic acid (1.17 g, 7.48 mmol), dichlorobis(tri-*o*-tolylphosphine)palladium(II) (0.196 g, 0.249 mmol), and potassium carbonate (1.72 g, 12.46 mmol) generally according to the procedure described for Intermediate 37 afforded 1.44 g (67%) of (±)-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-

yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.26 (silica, ethyl acetate:hexanes 3:17); Anal. calcd. for $C_{22}H_{18}ClFO_4S$: C, 61.04; H, 4.19. Found: C, 61.02; H, 3.95.

Intermediate 112: (±)-[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0194] Treatment of (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.00 g, 4.98 mmol) with 2-fluorophenyl boronic acid (1.05 g, 7.48 mmol), dichlorobis(tri-*o*-tolylphosphine)palladium(II) (0.196 g, 0.249 mmol), and potassium carbonate (1.72 g, 12.46 mmol) generally according to the procedure described for Intermediate 37 gave 1.94 g (94%) of (±)-[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow oil. R_f= 0.38 (silica, ethyl acetate:hexanes 3:17); Anal. calcd. for $C_{22}H_{18}F_2O_4S$: C, 63.45; H, 4.36. Found: C, 63.13; H, 4.19.

Intermediate 113: (±)-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0195] Treatment of (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.01 g, 10.0 mmol) with 2-(trifluoromethyl)-phenyl boronic acid (2.85 g, 15.0 mmol), dichlorobis(tri-*o*-tolylphosphine)palladium(II) (0.786 g, 1.00 mmol), and potassium carbonate (3.46 g, 25.00 mmol) generally according to the procedure described for Intermediate 37 provided 4.34 g (93%) of (±)-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a yellow oil. R_f= 0.54 (silica, ethyl acetate:hexanes 3:7); Anal. calcd. for $C_{23}H_{18}F_4O_4S$: C, 59.22; H, 3.89. Found: C, 60.19; H, 4.29.

Intermediate 114: (±)-benzyl [5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0196] Treatment of (±)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (3.11 g, 12.1 mmol) with diisopropylethylamine (2.34 g, 18.1 mmol) and benzyl chloroformate (2.27 g, 13.3 mmol) generally according to the procedure described for Intermediate 12 gave 4.35 (92%) of (±)-benzyl [5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a colorless oil. R_f= 0.83 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{24}H_{22}FO_3 \cdot 0.2\ H_2O$: C, 72.97; H, 5.72; N, 3.55. Found: C, 72.8; H, 5.72; N, 3.48. Chiral HPLC separation of (±)-benzyl [5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-

benzofuran-2-yl]methylcarbamate (Chiralcel OD, hexane:isopropanol 8:2) provided two fractions. Fraction 1 (R_t = 7.269 min, Chiralcel OD, hexane:isopropanol 8:2); Fraction 2 (R_t = 8.449 min, Chiralcel OD, hexane:isopropanol 8:2).

Intermediate 115: (±)-benzyl [7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate

[0197] Treatment of (±)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine (2.92 g, 10.5 mmol) with diisopropylethylamine (1.70 g, 13.1 mmol) and benzyl chloroformate (1.97 g, 11.6 mmol) generally according to the procedure described for Intermediate 12 provided 3.02 (70%) of (±)-benzyl [7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a colorless oil. R_f= 0.76 (silica, ethyl acetate:hexanes 1:9); Anal. calcd. for $C_{23}H_{19}ClFNO_3 \cdot 0.5\ H_2O$: C, 65.64; H, 4.79; N, 3.33. Found: C, 65.28; H, 4.73; N, 3.18. Chiral HPLC separation of (±)-benzyl [7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, hexane:ethanol 1:1) provided two fractions. Fraction 1 (R_t = 9.322 min, Chiralcel OJ, hexane:ethanol 1:1); Fraction 2 (R_t = 13.646 min, Chiralcel OJ, hexane:ethanol 1:1).

Intermediate 116: (±)-benzyl {5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate

[0198] Treatment of (±)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine (1.87 g, 5.38 mmol) with diisopropylethylamine (1.74 g, 13.4 mmol) and benzyl chloroformate (1.01 g, 5.92 mmol) following the procedure described for Intermediate 12 provided 2.03 (85%) of (±)-benzyl {5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate as a white solid. R_f= 0.69 (silica, ethyl acetate:hexanes 1:9); mp 76-80 °C; Anal. calcd. for $C_{24}H_{19}F_4NO_3$: C, 64.72; H, 4.3; N, 3.14. Found: C, 65.01; H, 4.3; N, 2.99.

Intermediate 117: (±)-(7-bromo-4,5-difluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0199] Treatment of 2-allyl-6-bromo-3,4-difluorophenol (5.0 g, 0.020 mol) with 3-chloroperoxybenzoic acid (77%, 8.1 g, 0.036 mol) followed by potassium carbonate (6.94 g, 0.050 mol) generally according to the procedure described for Intermediate 9 afforded (±)-(7-bromo-4,5-difluoro-2,3-dihydro-1-benzofuran-2-yl)methanol a brown oil. Treatment of the

alcohol with diisopropylethylamine (2.57 g, 0.020 mol), *p*-toluenesulfonyl chloride (2.28 g, 0.012 mol), and 4-(dimethylamino)pyridine (0.29 g, 2.375 mmol) generally according to the procedure described for Intermediate 45 gave 2.9 g (35%) of (±)-(7-bromo-4,5-difluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.46 (silica, ethyl acetate:hexanes 1:3); ^{1}H NMR (DMSO-d_6) δ_H 7.73 (d, 2H); 7.50 (dd, 1H); 7.43 (d, 2H); 5.15 (m, 1H); 4.3 (dd, 1H); 4.22 (dd, 1H); 3.45 (dd, 1H); 3.08 (dd, 1H).

Intermediate 118: (±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0200] To a solution of (±)-(7-bromo-4,5-difluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.59 mmol) in dioxane (30 mL) was added phenylboronic acid (0.656 g, 5.38 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II)dichloromethane adduct (0.293 g, 0.359 mmol), and potassium carbonate (0.993 g, 7.18 mmol) and the reaction mixture was heated at reflux for 48 h. The reaction mixture was filtered (celite), rinsed (ethyl acetate), and the combined organic layers were washed with water (100 mL), aqueous sodium chloride (75 mL), dried (sodium sulfate) and the solvent was removed *in vacuo* to provide a crude solid. Purification by column chromatography (silica, ethyl acetate:hexane 1:9) afforded 1.19 g (80%) of (±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.76 (silica, ethyl acetate:hexanes 1:9); ^{1}H NMR (DMSO-d_6) δ_H 7.69 (d, 2H); 7.50 (dd, 1H); 7.56 (d, 2H); 7.35 (m, 6H); 5.14 (m, 1H); 4.30 (dd, 1H); 4.20 (dd, 1H); 3.39 (dd, 1H); 3.05 (dd, 1H).

Intermediate 119: (±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl azide

[0201] To a solution of (±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.0 g, 2.40 mmol) in *N,N*-dimethylformamide (25 mL) was added sodium azide (0.781 g, 12.02 mmol) and the reaction mixture was heated to 70 °C and allowed to stir for 3 h. The reaction mixture was allowed to cool and the solvent was removed *in vacuo* to provide a crude solid. The residue was suspended in ethyl acetate (100 mL) and washed with water (50 mL) and aqueous sodium chloride (50 mL), was dried (sodium sulfate) and the solvent was removed *in vacuo* to give a crude solid. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:9) provided 0.68 g (99%) of (±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl azide as a white solid. R_f= 0.93 (silica, ethyl acetate:hexanes 1:9); ^{1}H NMR (DMSO-d_6) δ_H 7.65 (d, 2H); 7.41 (m, 3H); 7.31 (t, 1H); 5.15 (m, 1H); 3.64 (m, 2H); 3.46 (dd, 1H); 3.10 (dd, 1H).

Intermediate 120: (±)-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0202] Treatment of (±)-(7-bromo-4,5-difluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.59 mmol) with 2-methylphenyl boronic acid (0.732 g, 5.38 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II)dichloromethane adduct (0.293 g, 0.359 mmol), and potassium carbonate (0.993 g, 7.18 mmol) generally according to the procedure described for Intermediate 118 afforded 1.3 g (84%) of (±)-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.72 (silica, ethyl acetate:hexanes 1:4); ^{1}H NMR (DMSO-d_6) δ_H 7.67 (d, 2H); 7.38 (d, 2H); 7.20 (m, 3H); 7.05 (m, 2H); 5.05 (m, 1H); 4.22 (dd, 2H); 4.13 (dd, 1H); 3.40 (dd, 1H); 3.02 (dd, 1H); 2.05 (s, 3H).

Intermediate 121: (±)-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl azide

[0203] Treatment of (±)-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.3 g, 3.02 mmol) with sodium azide (0.983 g, 15.11 mmol) generally according to the procedure described for intermediate 98 gave 0.82 g (90%) of (±)-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl azide as a colorless oil. R_f= 0.69 (silica, ethyl acetate:hexanes 1:4); ^{1}H NMR (DMSO-d_6) δ_H 7.25 (d, 2H); 7.14 (m, 3H); 5.06 (m, 1H); 3.67 (dd, 1H); 3.55 (dd, 1H); 3.46 (dd, 1H); 3.11 (dd, 1H); 2.15 (s, 3H).

Intermediate 122: (±)-(5-chloro-7-methoxy-2-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0204] Treatment of 4-chloro-2-methoxyphenol (15.00 g, 0.10 mol) with sodium hydride (4.4 g, 0.11 mol, 60 wt.%) and 3-chloro-2-methylpropene (12.00 g, 0.12 mol) generally according to the procedure described for Intermediate 13 provided 19.3 g (91%) of 4-chloro-2-methoxy-1-[(2-methylprop-2-enyl)oxy]benzene as a colorless oil. Treatment of the allyl ether in refluxing mesitylene generally according to the procedure described for Intermediate 8 afforded 15.5 g (78%) of 4-chloro-2-methoxy-6-(2-methylprop-2-enyl)phenol as a pale yellow oil. Treatment of 4-chloro-2-methoxy-6-(2-methylprop-2-enyl)phenol (10.00 g, 0.047 mol) with 3-chloroperoxybenzoic acid (20.00 g, 0.089 mol, 77%) followed by potassium carbonate (20.00 g, 0.145 mol) generally according to the Procedure described for Intermediate 9 provided 8.00 g (74%) of (±)-(5-chloro-7-methoxy-2-methyl-2,3-dihydro-1-benzofuran-2-yl)methanol as a light

yellow oil. Treatment of (±)-(5-chloro-7-methoxy-2-methyl-2,3-dihydro-1-benzofuran-2-yl)methanol (10.8 g, 0.047 mol) with *p*-toluenesulfonyl chloride (13.5 g, 0.071 mol), diisopropylethylamine (12.15 g, 0.094 mol), and 4-(dimethylamino)pyridine (0.35 g, 2.83 mmol) generally according to the procedure described for Intermediate 45 gave 13.8 g (76%) of (±)-(5-chloro-7-methoxy-2-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. mp 113-115 °C; Anal. calcd. for $C_{18}H_{19}ClO_5S$: C, 56.47; H, 5.00. Found: C,55.82; H, 4.94.

Intermediate 123: (±)-(5-chloro-7-hydroxy-2-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0205] Treatment of (±)-(5-chloro-7-methoxy-2-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (13.80 g, 0.036 mol) with hydrogen bromide (200 mL, 30 wt.% in acetic acid) generally according to the procedure described for Intermediate 46 afforded 11.7 g (80%) of (±)-(5-chloro-7-hydroxy-2-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. mp 135-137 °C; Anal. calcd. for $C_{17}H_{17}ClO_5S$: C, 55.36; H, 4.65. Found: C, 54.35; H, 4.52.

Intermediate 124: (±)-(5-chloro-2-methyl-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0206] Treatment of (±)-(5-chloro-7-hydroxy-2-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (11.7g, 0.032 mol) with trifluoromethanesulfonic anhydride (10.34 g, 0.037 mol) and diisopropylethylamine (4.74 g, 0.037 mol) generally according to the procedure described for Intermediate 7 provided 13.0 g (82%) of (±)-(5-chloro-2-methyl-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. mp 100-102 °C; Anal. calcd. for $C_{18}H_{16}ClF_3O_7S_2$: C, 43.16; H, 3.22. Found: C, 43.07; H, 3.04.

Intermediate 125: (-)-benzyl [(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl]carbamate

[0207] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl (7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (R_t = 4.39 min, Chiralpak OD, 2-butanol:carbon dioxide 2:8). $[\alpha]_D^{25}$ = -17.46 (*c* 10.0 in methanol); Anal. calcd. for $C_{22}H_{27}NO_4$ C, 71.52; H, 7.37; N, 3.79. Found C, 71.2; H, 7.61; N, 3.62.

Intermediate 126: (+)-benzyl [(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl]carbamate

[0208] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl [(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl]carbamate (R_t = 5.07 min, Chiralpak OD, 2-butanol:carbon dioxide 2:8). $[\alpha]_D^{25}$ = +22.18 (*c* 10.0 in methanol); Anal. calcd. for $C_{22}H_{27}NO_4$ C, 71.52; H, 7.37; N, 3.79. Found C, 70.33; H, 7.49; N, 3.5.

Intermediate 127: (±)-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0209] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (8.0 g, 20.87 mmol) with [*E*]-2-*tert*-butylvinylboronic acid (4.01 g, 31.31 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.82 g, 1.04 mmol), and potassium carbonate (7.21 g, 52.19 mmol) generally according to the procedure described for Intermediate 37 provided 6.54 g (81%) of (±)-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a white solid. mp 85-88 °C; Anal. calcd. for $C_{22}H_{26}O_4S$: C, 68.37; H, 6.78. Found: C, 68.27; H, 6.86.

Intermediate 128: (±)-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0210] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (8.0 g, 20.9 mmol) with *trans*-2-phenylvinylboronic acid (4.63 g, 31.3 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.82 g, 1.04 mmol), and potassium carbonate (7.21 g, 52.2 mmol) generally according to the procedure described for Intermediate 37 provided 6.59 g (78%) of (±)-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a light yellow solid. mp 120-122 °C; Anal. calcd. for $C_{24}H_{22}O_4S$: C, 70.91; H, 5.45. Found: C, 70.78; H, 5.56.

Intermediate 129: (±)-benzyl {[4-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0211] Treatment of (±)-1-[4-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.94 g, 7.03 mmol) with diisopropylethylamine (1.36 g, 10.55 mmol) followed by benzyl chloroformate (1.32 g, 7.74 mmol) generally according to the procedure described for Intermediate 12 provided 2.36 g (90%) of (±)-benzyl {[4-(4-methylphenyl)-2,3-dihydro-1-

benzofuran-2-yl]methyl}carbamate as a white solid. mp 134-136 °C; Anal. calcd. for $C_{24}H_{23}NO_3$: C, 77.19; H, 6.21; N, 3.75. Found: C, 77.08; H, 6.3; N, 3.69.

Intermediate 130: (±)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate

[0212] Treatment of (±)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine (2.21 g, 6.7 mmol) with diisopropylethylamine (1.3 g, 10.05 mmol) followed by benzyl chloroformate (1.25 g, 7.37 mmol) generally according to the procedure described for Intermediate 12 provided 2.6 g (91%) of (±)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate as a colorless oil. Anal. calcd. for $C_{24}H_{20}F_3NO_3$: C, 67.44; H, 4.72; N, 3.28. Found: C, 67.5; H, 4.7; N, 3.13. Chiral HPLC separation of (±)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (Chiralcel OJ, ethanol:hexane 1:1) provided two fractions. Fraction 1 (R_t = 5.701 min, Chiralcel OJ, ethanol:hexane 1:1); Fraction 2 (R_t = 7.122 min, Chiralcel OJ, ethanol:hexane 1:1).

Intermediate 131: (-)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate

[0213] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (R_t = 5.701 min, Chiralcel OJ, ethanol:hexane 1:1). $[\alpha]_D^{25}$ = -61.46 (*c* 10.0 in methanol); Anal. calcd. for $C_{24}H_{20}F_3NO_3$: C, 67.44; H, 4.72; N, 3.28. Found C, 67.52; H, 4.67; N, 3.11.

Intermediate 132: (+)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate

[0214] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (R_t = 7.122 min, Chiralcel OJ, ethanol:hexane 1:1). $[\alpha]_D^{25}$ = +60.44 (*c* 10.0 in methanol); Anal. calcd. for $C_{24}H_{20}F_3NO_3$: C, 67.44; H, 4.72; N, 3.28. Found C, 67.03; H, 4.62; N, 3.2.

Intermediate 133: (±)-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0215] Treatment of (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.32 mmol) with 2,6-dimethylphenylboronic acid (2.35 g, 15.66

mmol), tetrakis(triphenylphosphine)palladium(0) (0.452 g, 0.394 mmol), and barium hydroxide octahydrate (6.17 g, 19.57 mmol) generally according to the procedure described for Intermediate 50 provided 2.27 g (71%) of (±)-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a colorless oil. Anal. calcd. for $C_{24}H_{24}O_4S$: C, 70.56; H, 5.92. Found: C, 69.72; H, 5.87.

Intermediate 134: (±)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0216] Treatment of (±)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.2 g, 4.14 mmol) with diisopropylethylamine (0.803 g, 6.21 mmol) followed by benzyl chloroformate (0.848 g, 4.97 mmol) generally according to the procedure described for Intermediate 12 provided 1.52 g (95%) of (±)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil. Anal. calcd. for $C_{25}H_{25}NO_3$: C, 77.49; H, 6.5; N, 3.61. Found: C, 76.66; H, 6.31; N, 3.44. Chiral HPLC separation of (±)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralcel OD, ethanol) provided two fractions. Fraction 1 (R_t = 4.818 min, Chiralcel OD, ethanol); Fraction 2 (R_t = 6.985 min, Chiralcel OD, ethanol).

Intermediate 135: (+)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0217] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 4.818 min, Chiralcel OD, ethanol). $[\alpha]_D^{25}$ = +60.96 (*c* 10.0 in methanol); Anal. calcd. for $C_{25}H_{25}NO_3$: C, 77.49; H, 6.5; N, 3.61. Found: C, 77.11; H, 6.26; N, 3.38.

Intermediate 136: (-)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0218] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 6.985 min, Chiralcel OD, ethanol). $[\alpha]_D^{25}$ = -59.24 (*c* 10.0 in methanol); Anal. calcd. for $C_{25}H_{25}NO_3$: C, 77.49; H, 6.5; N, 3.61. Found: C, 76.91; H, 6.37; N, 3.46.

Intermediate 137: (±)-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0219] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 3-thiopheneboronic acid (0.334 g, 2.61 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.389 g (77%) of (±)-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a light yellow solid. mp 90-92 °C; Anal. calcd. for $C_{20}H_{18}O_4S_2$: C, 62.15; H, 4.69. Found: C, 62.2; H, 4.72.

Intermediate 138: (±)-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0220] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (10.0 g, 26.10 mmol) with 2-(trifluoromethyl)phenylboronic acid (7.43 g, 39.12 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (1.02 g, 1.30 mmol), and potassium carbonate (9.01 g, 65.19 mmol) generally according to the procedure described for Intermediate 37 provided 8.46 g (75%) of (±)-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a tan solid. mp 116-118 °C; Anal. calcd. for $C_{23}H_{19}F_3O_4S$: C, 61.60; H, 4.27. Found: C, 61.91; H, 4.23.

Intermediate 139: (±)-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0221] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (3.00 g, 7.83 mmol) with 3-chlorophenylboronic acid (1.84 g, 11.74 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.308 g, 0.391 mmol), and potassium carbonate (2.70 g, 19.57 mmol) generally according to the procedure described for Intermediate 37 provided 2.35 g (72%) of (±)-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a tan solid. mp 100-103 °C; Anal. calcd. for $C_{22}H_{19}ClO_4S$: C, 63.69; H, 4.62. Found: C, 63.43; H, 4.69.

Intermediate 140: (+)-benzyl {[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0222] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 9.655 min,

Chiralcel OJ, hexane:ethanol 1:1). $[\alpha]_D^{25}$ = +10.48 (*c* 10.0 in methanol); Anal. calcd. for $C_{23}H_{20}ClNO_3$: C, 70.14; H, 5.12; N, 3.56. Found C, 69.45; H, 4.92; N, 2.94.

Intermediate 141: (-)-benzyl {[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0223] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 16.300 min, Chiralcel OJ, hexane:ethanol 1:1). $[\alpha]_D^{25}$ = -9.64 (*c* 10.0 in methanol); Anal. calcd. for $C_{23}H_{20}ClNO_3$: C, 70.14; H, 5.12; N, 3.56. Found C, 69.43; H, 5.06; N, 3.19.

Intermediate 142: (±)-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0224] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 3-methylphenylboronic acid (0.266 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium *tert*-butoxide (0.366 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.358 g (70%) of (±)-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. mp 98-100 °C; Anal. calcd. for $C_{23}H_{22}O_4S$: C, 70.03; H, 5.62. Found: C, 69.83; H, 5.61.

Intermediate 143: (±)-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0225] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.500 g, 1.305 mmol) with 2-fluorophenylboronic acid (0.274 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.422 g (81%) of (±)-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow solid. mp 99-101 °C; Anal. calcd. for $C_{22}H_{19}FO_4S$: C, 66.32; H, 4.81. Found: C, 65.16; H, 4.86.

Intermediate 144: (±)-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0226] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.00 g, 13.04 mmol) with 2-methoxyphenylboronic acid (3.96 g, 26.09 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.532 g, 0.652 mmol), and potassium carbonate (4.5 g, 32.62 mmol) generally according to the procedure described for Intermediate 37 provided 3.63 g (68%) of (±)-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow solid. mp 151-153 °C; Anal. calcd. for $C_{23}H_{22}O_5S$: C, 67.3; H, 5.4. Found: C, 66.95; H, 5.43.

Intermediate 145: (±)-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0227] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 3-fluorophenylboronic acid (0.274 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.392 g (75%) of (±)-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a light yellow solid. mp 88-90 °C; Anal. calcd. for $C_{22}H_{19}FO_4S$: C, 66.32; H, 4.81. Found: C, 65.63; H, 4.84.

Intermediate 146: (±)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0228] Treatment of (±)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (798 g, 6.382.86 mmol) with diisopropylethylamine (0.554 g, 4.29 mmol) followed by benzyl chloroformate (0.536 g, 3.14 mmol) generally according to the procedure described for Intermediate 12 provided 1.01 g (94%) of (±)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a white solid. R_f = 0.41 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{23}H_{20}FNO_3$: C, 73.2; H, 5.34; N, 3.71. Found: C, 72.96 H, 5.38; N, 3.59. Chiral HPLC separation of (±)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralpak OD, isopropanol:hexane 2:8) provided two fractions. Fraction 1 (R_t = 7.675 min, Chiralpak OD, isopropanol:hexane 2:8); Fraction 2 (R_t = 9.182 min, Chiralpak OD, isopropanol:hexane 2:8).

Intermediate 147: (+)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0229] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 7.675 min, Chiralpak OD, isopropanol:hexane 2:8). $[\alpha]_D^{25}$ = +41.76 (*c* 10.0 in methanol); Anal. calcd. for $C_{23}H_{20}FNO_3$: C, 73.2; H, 5.34; N, 3.71. Found C, 73.01; H, 5.28; N, 3.75.

Intermediate 148: (-)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0230] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 9.182 min, Chiralpak OD, isopropanol:hexane 2:8). $[\alpha]_D^{25}$ = -34.44 (*c* 10.0 in methanol); Anal. calcd. for $C_{23}H_{20}FNO_3$: C, 73.2; H, 5.34; N, 3.71. Found C, 73.2; H, 5.39; N, 3.62.

Intermediate 149: (±)-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0231] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.00 g, 13.05 mmol) with 3-methoxyphenylboronic acid (2.97 g, 19.57 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.512 g, 0.652 mmol), and potassium carbonate (4.51 g, 32.62 mmol) generally generally according to the procedure described for Intermediate 37 provided 4.48 g (84%) of (±)-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. mp 141-142 °C; Anal. calcd. for $C_{23}H_{22}O_5S$: C, 67.3; H, 5.4. Found: C, 66.51; H, 5.41.

Intermediate 150: (±)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0232] Treatment of (±)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (2.4 g, 9.4 mmol) with diisopropylethylamine (1.82 g, 14.10 mmol) followed by benzyl chloroformate (1.92 g, 11.28 mmol) generally according to the procedure described for Intermediate 12 provided 3.28 g (90%) of (±)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil. R_f = 0.51 (silica, ethyl acetate:hexanes 2:8); Anal. calcd. for $C_{24}H_{23}NO_4$: C, 74.02; H, 5.95; N, 3.6. Found: C, 73.52; H, 6.06; N, 3.28. Chiral HPLC separation of (±)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralpak OD, ethanol) provided two fractions. Fraction 1 (R_t = 6.220 min, Chiralpak OD, ethanol); Fraction 2 (R_t = 8.373 min, Chiralpak OD ethanol).

Intermediate 151: (+)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0233] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 6.220 min, Chiralpak OD, ethanol). $[\alpha]_D^{25}$ = +26.94 (*c* 10.0 in methanol); Anal. calcd. for $C_{24}H_{23}NO_4$: C, 74.02; H, 5.95; N, 3.6. Found: C, 73.48; H, 5.98; N, 3.46.

Intermediate 152: (-)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0234] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 8.373 min, Chiralpak OD ethanol). $[\alpha]_D^{25}$ = -26.96 (*c* 10.0 in methanol); Anal. calcd. for $C_{24}H_{23}NO_4$: C, 74.02; H, 5.95; N, 3.6. Found: C, 73.52; H, 6.01; N, 3.45.

Intermediate 153: (±)-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0235] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 3-chlorophenylboronic acid (0.306 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.404 g (75%) of (±)-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a light yellow solid. mp 101-103 °C; Anal. calcd. for $C_{22}H_{19}ClO_4S$: C, 63.69; H, 4.62. Found: C, 63.59; H, 4.52.

Intermediate 154: (±)-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0236] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.0 g, 13.05 mmol) with 3-(trifluoromethyl)phenylboronic acid (3.72 g, 19.57 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.512 g, 0.652 mmol), and potassium carbonate (4.5 g, 32.62 mmol) generally according to the procedure described for Intermediate 37 provided 5.28 g (90%) of (±)-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-

benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a white solid. mp 90-93 °C; Anal. calcd. for $C_{23}H_{19}F_3O_4S$: C, 61.6; H, 4.27. Found: C, 61.52; H, 4.21.

Intermediate 155: (±)-benzyl ({7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate

[0237] Treatment of (±)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine (1.67 g, 5.06 mmol) with diisopropylethylamine (0.98 g, 7.59 mmol) followed by benzyl chloroformate (1.04 g, 7.59 mmol) generally according to the procedure described for Intermediate 12 provided 2.1 g (97%) of (±)-benzyl ({7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate as a colorless oil. Anal. calcd. for $C_{24}H_{20}F_3NO_3$: C, 67.44; H, 4.72; N, 3.28. Found: C, 67.08; H, 5.05; N, 3.22. Chiral HPLC separation of (±)-benzyl ({7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (Chiralpak OJ, isopropanol:carbon dioxide 15:85) provided two fractions. Fraction 1 (R_t = 6.12 min, Chiralpak OJ, isopropanol:carbon dioxide 15:85); Fraction 2 (R_t = 7.17 min, Chiralpak OJ, isopropanol:carbon dioxide 15:85).

Intermediate 156: (±)-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0238] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (11.2 g, 29.22 mmol) with 4-methylphenylboronic acid (5.96 g, 43.84 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (1.15 g, 1.46 mmol), and potassium carbonate (10.10 g, 73.07 mmol) generally according to the procedure described for Intermediate 37 provided 9.8 g (85%) of (±)-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. mp 145-147 °C; Anal. calcd. for $C_{23}H_{22}O_4S$: C, 70.03; H, 5.62. Found: C, 69.91; H, 5.7.

Intermediate 157: (±)-benzyl {[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0239] Treatment of (±)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (5.8 g, 24.24 mmol) with diisopropylethylamine (4.69 g, 36.35 mmol) followed by benzyl chloroformate (5.17 g, 30.30 mmol) generally according to the procedure described for Intermediate 12 provided 5.05 g (56%) of (±)-benzyl {[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a clear oil. Anal. calcd. for $C_{24}H_{23}NO_3$: C, 77.19; H,

6.21; N, 3.75. Found: C, 76.97; H, 5.99; N, 3.68. Chiral HPLC separation of (±)-benzyl {[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralpak OD, methanol:carbon dioxide 1:1) provided two fractions. Fraction 1 (R_t = 3.735 min, Chiralpak OD, methanol:carbon dioxide 1:1); Fraction 2 (R_t = 4.381 min, Chiralpak OD, methanol:carbon dioxide 1:1).

Intermediate 158: (±)-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0240] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 4-fluorophenylboronic acid (0.274 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.408 g (78%) of (±)-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a light yellow solid. mp 83-86 °C; Anal. calcd. for $C_{22}H_{19}FO_4S$: C, 66.32; H, 4.81. Found: C, 66.11; H, 4.61.

Intermediate 159: (±)-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0241] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 4-chlorophenylboronic acid (0.306 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.367 g (68%) of (±)-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as an orange solid. mp 130-133 °C; Anal. calcd. for $C_{22}H_{19}ClO_4S$: C, 63.69; H, 4.62. Found: C, 62.82; H, 4.56.

Intermediate 160: (±)-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0242] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with 4-(trifluoromethyl)phenylboronic acid (0.372 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.051 g, 0.065 mmol), and potassium carbonate (0.45 g, 3.26 mmol) generally according to the procedure described for Intermediate 37 provided 0.435 g (74%) of (±)-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-

benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a light yellow solid. mp 116-118 °C; Anal. calcd. for $C_{23}H_{19}F_3O_4S$: C, 61.6; H, 4.27. Found: C, 61.37; H, 4.36.

Intermediate 161: (±)-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0243] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.0 g, 2.61 mmol) with 2,6-dimethylphenylboronic acid (0.783 g, 5.22 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.103 g, 0.131 mmol), and potassium carbonate (0.902 g, 6.52 mmol) generally according to the procedure described for Intermediate 37 provided 0.192 g (18%) of (±)-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow oil. Anal. calcd. for $C_{24}H_{24}O_4S$: C, 70.56; H, 5.92. Found: C, 68.01; H, 5.6.

Intermediate 162: (±)-benzyl {[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0244] Treatment of (±)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.947 g, 3.73 mmol) with diisopropylethylamine (0.725 g, 5.60 mmol) followed by benzyl chloroformate (0.765 g, 4.48 mmol) generally according to the procedure described for Intermediate 12 provided 1,26 g (87%) of (±)-benzyl {[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil. Anal. calcd. for $C_{25}H_{25}NO_3$: C, 77.49; H, 6.5; N, 3.61. Found: C, 77.42; H, 6.57; N, 3.62. Chiral HPLC separation of (±)-benzyl {[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralcel OJ, methanol:carbon dioxide 4:6) provided two fractions. Fraction 1 (R_t = 3.12 min, Chiralcel OJ, methanol:carbon dioxide 4:6); Fraction 2 (R_t = 4.28 min, Chiralcel OJ methanol:carbon dioxide 4:6).

Intermediate 163: 2',6'-difluoro-1,1'-biphenyl-2-ol

[0245] Treatment of 2,6-difluorobromobenzene (8.9 g, 46.1 mmol) with 2-methoxybenzeneboronic acid (10.51 g, 69.2 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (1.81 g, 2.3 mmol), and potassium carbonate (15.9 g, 115.3 mmol) generally according to the procedure described for Intermediate 37 provided 4.6 g (45%) of 2',6'-difluoro-1,1'-biphenyl-2-yl methyl ether. To a solution of 2',6'-difluoro-1,1'-biphenyl-2-yl methyl ether (4.5 g, 20.4 mmol) in dichloromethane (100 mL) cooled to –78 °C was added boron tribromide (5.11 g, 1.0 M in dichloromethane) and the reaction mixture was allowed to stir for 30 min. The

reaction mixture was allowed to warm to room temperature and was quenched by the addition of ice (150 mL). The organic layer was separated and the aqueous layer was extracted with dichloromethane (500 mL). The combined organic layers were washed with water (400 mL), saturated aqueous sodium chloride (100 mL), dried (magnesium sulfate), and the solvent removed *in vacuo* to provide a crude oil. Purification by flash column chromatography (silica, hexanes:ethyl acetate 95:5) provided 3.95 g (94%) of 2',6'-difluoro-1,1'-biphenyl-2-ol as a colorless oil. Anal. calcd. for $C_{12}H_8F_2O$: C, 69.9; H, 3.91. Found: C, 68.51; H, 4.06.

Intermediate 164: (±)-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol

[0246] Treatment of 2',6'-difluoro-1,1'-biphenyl-2-ol (3.8 g, 18.43 mmol) with potassium carbonate (10.19 g, 73.72 mmol) and allyl bromide (2.67 g, 22.11 mol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-2',6'-difluoro-1,1'-biphenyl-2-ol. Treatment of the 3-allyl-2',6'-difluoro-1,1'-biphenyl-2-ol (3.41 g, 13.85 mmol) with 3-chloroperoxybenzoic acid (7.25 g, 41.54 mmol, 77%) followed by potassium carbonate (4.78 g, 34.62 mmol) generally according to the procedure described for Intermediate 9 afforded 3.5 g (72%) of (±)-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol as an amber oil. Anal. calcd. for $C_{15}H_{12}F_2O_2$: C, 68.7; H, 4.61. Found C, 67.26; H, 4.5.

Intermediate 165: (±)-benzyl {[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0247] Treatment of (±)-1-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.54 g, 5.17 mmol) with diisopropylethylamine (1.02 g, 7.76 mmol) and benzyl chloroformate (0.971 g, 5.69 mmol) generally according to the procedure described for Intermediate 12 gave 2.02 g (98%) of (±)-benzyl {[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil. Anal. calcd. for $C_{23}H_{19}F_2NO_3$: C, 69.87; H, 4.84; N, 3.54. Found C, 69.54; H, 4.87; N, 3.31.

Intermediate 166: 2',6'-dichloro-1,1'-biphenyl-2-ol

[0248] Treatment of 2,6-dichlorobromobenzene (25.0 g, 0.110 mol) with 2-methoxybenzeneboronic acid (25.22 g, 0.166 mol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (2.33 g, 2.96 mmol), and potassium carbonate (34.15 g, 0.247 mmol) generally according to the procedure described for Intermediate 37 provided 21.5 g (77%) of 2',6'-dichloro-1,1'-biphenyl-2-yl methyl ether. Treatment of 2',6'-dichloro-1,1'-biphenyl-2-yl methyl ether (19.0

g, 75.06 mmol) with boron tribromide (18.78 g, 1.0 M in dichloromethane) generally according to the procedure described for Intermediate 163 provided 17.89 g (99%) of 2',6'-dichloro-1,1'-biphenyl-2-ol as a light yellow solid. mp 100-103 °C; Anal. calcd. for $C_{12}H_8Cl_2O$: C, 60.28 H, 3.37. Found: C, 60.29; H, 3.13.

Intermediate 167: (±)-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0249] Treatment of 2',6'-dichloro-1,1'-biphenyl-2-ol (17.95 g, 75.06 mmol) with potassium carbonate (41.38 g, 299.43 mmol) and allyl bromide (10.89 g, 90.08 mol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-2',6'-dichloro-1,1'-biphenyl-2-ol. Treatment of 3-allyl-2',6'-dichloro-1,1'-biphenyl-2-ol (16.5 g, 59.10 mmol) with 3-chloroperoxybenzoic acid (30.59 g, 177.3 mmol, 77%) followed by potassium carbonate (20.41 g, 14.77 mmol) generally according to the procedure described for Intermediate 9 afforded 11.2 g (64%) of (±)-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol. Treatment of (±)-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol (11.2 g, 37.94 mmol) with *p*-toluenesulfonyl chloride (8.68 g, 45.53 mol) generally according to the procedure described for Intermediate 10 gave 15.2 g (89%) of (±)-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow oil. Anal. calcd. for $C_{22}H_{18}Cl_2O_4S$: C, 58.8; H, 4.04. Found: C, 58.1; H, 4.05.

Intermediate 168: (±)-benzyl {[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0250] Treatment of (±)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.290 g, 0.877 mmol) with diisopropylethylamine (0.170 g, 1.315 mmol) followed by benzyl chloroformate (0.165 g, 0.965 mmol) generally according to the procedure described for Intermediate 12 provided 0.352 g (94%) of (±)-benzyl {[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a white solid. mp 198-200 °C; Anal. calcd. for $C_{23}H_{19}Cl_2NO_3$: C, 64.5; H, 4.47; N, 3.27. Found: C, 64.2; H, 4.43; N, 3.21. Chiral HPLC separation of (±)-benzyl {[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralcel AD, ethanol:hexane 1:1) provided two fractions. Fraction 1 (R_t = 5.174 min, Chiralcel AD, ethanol:hexane 1:1); Fraction 2 (R_t = 6.229 min, Chiralcel AD, ethanol:hexane 1:1).

Intermediate 169: (±)-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0251] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.0 g, 13.05 mmol) with 2,4-dichlorophenylboronic acid (3.73 g, 19.57 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.512 g, 0.652 mmol), and potassium carbonate (4.51 g, 32.62 mmol) generally according to the procedure described for Intermediate 37 provided 4.5 g (75%) of (±)-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow oil. Anal. calcd. for $C_{22}H_{18}Cl_2O_4S$: C, 58.8; H, 4.04. Found: C, 59.01; H, 4.09.

Intermediate 170: (±)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0252] Treatment of (±)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.9 g, 5.75 mmol) with diisopropylethylamine (1.11 g, 8.62 mmol) followed by benzyl chloroformate (1.18 g, 6.89 mmol) generally according to the procedure described for Intermediate 12 gave 2.14 g (87%) of (±)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a white solid. mp 87-89 °C; Anal. calcd. for $C_{23}H_{19}Cl_2NO_3$: C, 64.5; H, 4.47; N, 3.27. Found: C, 64.65; H, 4.78; N, 3.08. Chiral HPLC separation of (±)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralcel AD, methanol:water 95:5) provided two fractions. Fraction 1 (R_t = 8.094 min, Chiralcel AD, methanol:water 95:5); Fraction 2 (R_t = 9.152 min, Chiralcel AD methanol:water 95:5).

Intermediate 171: (+)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0253] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 8.094 min, Chiralcel AD, methanol:water 95:5). $[\alpha]_D^{25}$ = +14.36 (*c* 10.0 in methanol); Anal. calcd. for $C_{23}H_{19}Cl_2NO_3$: C, 64.5; H, 4.47; N, 3.27. Found: C, 64.71; H, 4.76; N, 3.34.

Intermediate 172: (-)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0254] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 9.152 min, Chiralcel AD methanol:water 95:5). $[\alpha]_D^{25}$ = -14.66 (*c* 10.0 in methanol); Anal. calcd. for $C_{23}H_{19}Cl_2NO_3$: C, 64.5; H, 4.47; N, 3.27. Found: C, 63.95; H, 4.68; N, 3.27.

Intermediate 173: (±)-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0255] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.0 g, 13.05 mmol) with 2,4-dimethoxyphenylboronic acid (3.56 g, 19.57 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.512 g, 0.652 mmol), and potassium carbonate (4.5 g, 32.62 mmol) generally according to the procedure described for Intermediate 37 provided 3.3 g (57%) of (±)-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a light yellow solid. mp 120-123 °C; Anal. calcd. for $C_{24}H_{24}O_6S$: C, 65.44; H, 5.49. Found: C, 64.99; H, 5.46.

Intermediate 174: (±)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0256] Treatment of (±)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.42 g, 4.41 mmol) with diisopropylethylamine (0.855 g, 6.62 mmol) followed by benzyl chloroformate (0.828 g, 4.85 mmol) generally according to the procedure described for Intermediate 12 provided 1.58 g (85%) of (±)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil. Anal. calcd. for $C_{25}H_{25}NO_5$: C, 71.58; H, 6.01; N, 3.34. Found: C, 71.24; H, 5.92; N, 3.09. Chiral HPLC separation of (±)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralcel OD, ethanol) provided two fractions. Fraction 1 (R_t = 5.107 min, Chiralcel OD, ethanol); Fraction 2 (R_t = 6.134 min, Chiralcel OD, ethanol).

Intermediate 175: (+)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0257] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 5.107 min, Chiralcel OD, ethanol). $[\alpha]_D^{25}$ = +21.96 (*c* 10.0 in methanol); Anal. calcd. for $C_{25}H_{25}NO_5$: C, 71.58; H, 6.01; N, 3.34. Found: C, 70.12; H, 6.11; N, 3.12.

Intermediate 176: (-)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0258] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 6.134 min, Chiralcel OD, ethanol). $[\alpha]_D^{25}$ = -23.20 (*c* 10.0 in methanol); Anal. calcd. for $C_{25}H_{25}NO_5$: C, 71.58; H, 6.01; N, 3.34. Found: C, 70.22; H, 6.1; N, 3.28.

Intermediate 177: (±)-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0259] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.0 g, 13.05 mmol) with 2,4-difluorophenylboronic acid (3.09 g, 19.57 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.512 g, 0.651 mmol), and potassium carbonate (4.51 g, 32.62 mmol) generally according to the procedure described for Intermediate 37 afforded 4.43 g (82%) of (±)-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. mp 116-118 °C; Anal. calcd. for $C_{22}H_{18}F_2O_4S$: C, 63.45; H, 4.36. Found: C, 63.3; H, 4.11.

Intermediate 178: (±)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0260] Treatment of (±)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (2.0 g, 6.72 mmol) with diisopropylethylamine (1.30 g, 10.07 mmol) followed by benzyl chloroformate (1.26 g, 7.37 mmol) generally according to the procedure described for Intermediate 12 gave 2.14 g (81%) of (±)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a white solid. mp 78-80 °C; Anal. calcd. for $C_{23}H_{19}F_2NO_3$: C, 69.87; H, 4.84; N, 3.54. Found: C, 69.76; H, 4.8; N, 3.35. Chiral HPLC separation of (±)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralpak AD, ethanol:hexane 1:1) provided two fractions. Fraction 1 (R_t = 9.117 min, Chiralpak AD, ethanol:hexane 1:1); Fraction 2 (R_t = 9.424 min, Chiralpak AD ethanol:hexane 1:1).

Intermediate 179: (+)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0261] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 9.117 min, Chiralpak AD, ethanol:hexane 1:1). $[\alpha]_D^{25}$ = +13.0 (*c* 10.0 in methanol); Anal. calcd. for $C_{23}H_{19}F_2NO_3$: C, 69.87; H, 4.84; N, 3.54. Found: C, 69.28; H, 5.23; N, 3.47.

Intermediate 180: (-)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0262] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 9.424 min, Chiralpak AD ethanol:hexane 1:1). $[\alpha]_D^{25}$ = -13.68 (*c* 10.0 in methanol); Anal. calcd. for $C_{23}H_{19}F_2NO_3$: C, 69.87; H, 4.84; N, 3.54. Found: C, 69.65; H, 5.06; N, 3.57.

Intermediate 181: 4'-chloro-2'-methyl-1,1'-biphenyl-2-ol

[0263] Treatment of 2-bromo-5-chlorotoluene (5.0 g, 24.33 mmol) with 2-methoxybenzeneboronic acid (4.8 g, 31.63 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.478 g, 0.608 mmol), and potassium carbonate (8.41 g, 60.83 mmol) generally according to the procedure described for Intermediate 37 provided 5.05 g (89%) of 4'-chloro-2'-methyl-1,1'-biphenyl-2-yl methyl ether. Treatment of 4'-chloro-2'-methyl-1,1'-biphenyl-2-yl methyl ether (5.05 g, 21.48 mmol) with boron tribromide (5.37 g, 1.0 M in dichloromethane) generally according to the procedure described for Intermediate 163 provided 4.58 g (97%) of 4'-chloro-2'-methyl-1,1'-biphenyl-2-ol as a yellow oil. Anal. calcd. for $C_{13}H_{11}ClO$: C, 71.4; H, 5.07. Found: C, 71.03; H, 4.84.

Intermediate 182: (±)-[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol

[0264] Treatment of 4'-chloro-2'-methyl-1,1'-biphenyl-2-ol (4.54 g, 20.78 mmol) with potassium carbonate (11.47 g, 83.04 mmol) and allyl bromide (3.01 g, 24.91 mol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-4'-chloro-2'-methyl-1,1'-biphenyl-2-ol. Treatment of 3-allyl-4'-chloro-2'-methyl-1,1'-biphenyl-2-ol (4.5 g, 17.39 mmol) with 3-chloroperoxybenzoic acid (12.0 g, 69.57 mmol, 77%) followed by potassium carbonate (6.0 g, 43.48 mmol) generally according to the procedure described for Intermediate 9 afforded 2.9 g (61%) of (±)-[7-(4-chloro-

2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol as a colorless oil. Anal. calcd. for $C_{16}H_{15}ClO_2$: C, 69.95; H, 5.5. Found: C, 69.23; H, 5.42.

Intermediate 183: (±)-[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0265] Treatment of (±)-[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol (2.78 g, 10.11 mmol) with *p*-toluenesulfonyl chloride (2.31 g, 12.14 mol) generally according to the procedure described for Intermediate 10 gave 4.04 g (93%) of (±)-[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a yellow oil. Anal. calcd. for $C_{23}H_{21}ClO_4S$: C, 64.4; H, 4.93. Found: C, 64.24; H, 4.93.

Intermediate 184: No compound.

Intermediate 185: (+) -[-7-bromo-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0266] Fraction 1 obtained as a white solid from the chiral HPLC separation of 7-bromo-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (R_t = 6.220 min, Chiraicel AD, ethanol). $[\alpha]_D^{25}$ = +23.4 (*c* 10.0 in methanol); mp 96-99 °C.

Intermediate 186: (-)-[-7-bromo-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0267] Fraction 2 obtained as a white solid from the chiral HPLC separation of 7-bromo-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (R_t = 6.220 min, Chiraicel AD, ethanol). $[\alpha]_D^{25}$ = -22.00 (*c* 10.0 in methanol); mp 96-99 °C.

Intermediate 187: (±)-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0268] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with (2,3-dimethylphenyl)boronic acid (0.294 g, 1.96 mmol) generally according to the procedure described for Intermediate 184 provided 0.335 g (62%) of (±)-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f = 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 188: (±)-[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0269] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.783 mmol) with (2,3-dimethoxylphenyl)boronic acid (0.427 g, 2.35 mmol) generally according to the procedure described for Intermediate 184 provided 0.283 g (82%) of (±)-[7-(2,3-dimethoxylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 189: (±)-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0270] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with (2,3-difluorophenyl)boronic acid (0.618 g, 3.91 mmol) generally according to the procedure described for Intermediate 184 provided 0.090 g (77%) of (±)-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 190: (±)-[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0271] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with (2,5-dimethylphenyl)boronic acid (0.294 g, 1.96 mmol) generally according to the procedure described for Intermediate 184 provided 0.430 g (81%) of (±)-[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 191: (±)-[7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0272] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with (2,5-difluorophenyl)boronic acid (0.309 g, 1.96 mmol) generally according to the procedure described for Intermediate 184 provided 0.360 g (66%) of (±)-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 192: [7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0273] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.43 g, 3.73 mmol) with (2,5-dichlorophenyl)boronic acid (1.07 g, 5.59 mmol) generally according to the procedure described for Intermediate 184 provided 1.49 g (88%) of (±)[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4.

Intermediate 193: (±)-[7-(2,5-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0274] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with (2,5-dimethoxyphenyl)boronic acid (0.356 g, 1.96 mmol) generally according to the procedure described for Intermediate 184 provided 0.291 g (51%) of (±)-[7-(2,5-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 194: (±)-[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0275] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with (5-chloro-2-methylphenyl)boronic acid (0.334 g, 1.96 mmol) generally according to the procedure described for Intermediate 184 provided 0.451 g (81%) of (±)-[7-(5-chloro-2methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 195: (±)-[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0276] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with (5-chloro-2-methoxyphenyl)boronic acid (0.365 g, 1.96 mmol generally according to the procedure described for Intermediate 184 provided 0.382 g (66%) of (±)-[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 196: (±)-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0277] Treatment of 2,4,6-trichlorobromobenzene (14.5 g, 55.69 mmol) with 2-methoxybenzeneboronic acid (12.69 g, 83.54 mol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.656 g, 0.835 mmol), and potassium carbonate (19.21 g, 139.22 mmol) generally according to the procedure described for Intermediate 37 provided 9.8 g (61%) of 2' 4',6'-trichloro-1,1'-biphenyl-2-yl methyl ether. To a solution of 2' 4',6'-trichloro-1,1'-biphenyl-2-yl methyl ether (9.8 g, 34.08 mmol) in dichloromethane (100 mL) cooled to –78 °C was added boron tribromide (9.38 g, 1.0 M in dichloromethane) generally according to the procedure described for Intermediate 163 provided provided 9.2 g of a yellow solid. Treatment of 2',4',6'-trichloro-1,1'-biphenyl-2-ol (9.17 g, 33.52 mmol) with potassium carbonate (18.53 g, 134.1 mmol) and allyl bromide (4.46 g, 36.87 mol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-2',4',6'-trichloro-1,1'-biphenyl-2-ol. Treatment of 3-allyl-2',4',6'-trichloro-1,1'-biphenyl-2-ol. (10.35g, 33.00 mmol) with 3-chloroperoxybenzoic acid (17.08 g, 99.00 mmol, 77%) followed by potassium carbonate (11.40 g, 82.51 mmol) generally according to the procedure described for Intermediate 9 afforded 10.4 g (95%) of (±)-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol. Treatment of (±)-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol (10.38 g, 31.49 mmol) with *p*-toluenesulfonyl chloride (7.20 g, 37.79 mol) generally according to the procedure described for Intermediate 10 gave 10.5 g (68%) of (±)-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. mp 178-180 °C.

Intermediate 197: (±)-(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0278] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.0 g, 10.44 mmol) with pyridin-3-ylboronic acid (3.85 g, 31.31 mmol), tetrakis tri-phenylphosphine palladium (0.362 g, 0.052 mmol), and potassium carbonate (3.61 g, 26.09 mmol) generally according to the procedure described for Intermediate 184 provided 2.47 g (62%) of (±)-(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonates a light yellow solid. R_f= 0.43 (silica, ethyl acetate:hexanes 1:4).

Intermediate 198: (±)-(7-nitro-2,3-dihydro-1-benzofuran-2-yl)methanol

[0279] To a solution of 2-nitrophenol (13.9 g, 100 mmol) in *N,N*-dimethylformamide (300 mL) was added with sodium hydride (4.2 g, 100 mmol 60%) followed by allyl bromide (13.3 g, 110 mmol) and the reaction was allowed to stir at room temperature for 2 hours The reaction mixture was diluted with water (500 mL) to dissolve any solids and extracted with ethyl acetate (3 x 250 mL). The combined organic layers were washed with water (4 x 500 mL), saturated aqueous sodium chloride (400 mL), dried (magnesium sulfate) and the solvent removed *in vacuo* to give 1-(allyloxy)-2-nitrobenzene. The oil was re-dissolved in mesitylene (500 mL) and heated at reflux for 3 d. Removal of the solvent *in vacuo* provided a crude oil. Purification by flash column chromatography (silica, dichloromethane:hexanes 0.5:9.5) provided 6.8 g, (50%) of 2-allyl-6-nitrophenol as a yellow oil. To a solution of 2-allyl-6-nitrophenol (6.6 g, 36.84 mmol) in dichloromethane (300 mL) was added 3-chloroperoxybenzoic acid (77%, 16.5 g, 73.67 mmol) The reaction mixture was allowed to stir at room temperature for 8 h. The reaction mixture was washed with a 1:1 solution of 10% sodium sulfite:saturated sodium bicarbonate (2 x 300 mL). The solvent was removed *in vacuo* to give crude yellow oil. The oil was diluted with methanol (300 mL) and added to a solution of potassium carbonate (15.0 g, 108.5 mmol) the solution was allowed to stir at room temperature 2 h. The solvent was removed *in vacuo*. The residue was washed with water (1000 mL) and ethyl acetate (500 mL). The aqueous layer was acidified with 1 N aqueous hydrogen chloride and washed with ethyl acetate (500 mL). The combined organics were washed with water (500 mL), saturated aqueous sodium chloride (500 mL), dried (magnesium sulfate) and the solvent removed *in vacuo* to provide a crude solid. Purification by flash column chromatography (silica, dichloromethane:hexanes 4:10) provided 3.18 g (44%) of (±)-(7-nitro-2,3-dihydro-1-benzofuran-2-yl)methanol as yellow solid. mp 63-65 °C.

Intermediate 199: (±)-(7-nitro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0280] To a solution of (±)-(7-nitro-2,3-dihydro-1-benzofuran-2-yl)methanol (3.14 g, 16.09 mol) in dichloromethane (100 mL) was added diisopropylethyl amine (4.16 g, 32.18 mmol), N,*N*-dimethylaminopyridine (0.39 g, 3.2 mmol), and *p*-toluenesulfonyl chloride (4.6 g, 24.13 mmol) the reaction was allowed to stir at room temperature for 12 h. The reaction was diluted with dichloromethane (500 mL), washed with saturated aqueous sodium bicarbonate (200 mL), saturated aqueous sodium chloride (200 mL), dried (magnesium sulfate) and the solvent removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica,

dichloromethane: hexanes 3:10) afforded 5.2 g (94%) of (±)-(7-nitro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as off-white solid. mp 129-131°C.

Intermediate 200: (±)-(7-amino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate

[0281] A solution of (±)-(7-amino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.8 g, 13.74 mmol) in ethanol (400 mL) and palladium on carbon (1.4 g, 5 wt.%) was shaken under an H_2 atmosphere (50 psi) for 12 h. The reaction mixture was filtered (celite) and the solvent removed *in vacuo* provided 4.4 g (99%) of (±)-(7-amino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a light brown oil.

Intermediate 201: (±)-{7-[(4-methylphenyl)amino]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0282] Treatment of (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96 g, 3.0 mmol) in toluene (20 mL) with 1-bromo-4-methylbenzene (0.513 g, 3.0 mmol)dichloro [1,1'-bis(diphenylphosphino)ferrocene]palladium(II)dichloro-methane (0.061 g, .075 mmol), 1,1'-bis(diphenylphosphino)ferrocene (0.125 g, 0.225 mmol), sodium *tert*-butoxide (0.18 g, 1.875 mmol) the reaction was allowed to reflux 3 h. The solvent was removed *in vacuo*. The residue was washed with water (100mL) and ethyl acetate (50mL). The combined organic layers were washed with saturated aqueous sodium chloride, dried (magnesium sulfate), and the solvent removed *in vacuo* to provide a crude oil. Purification by flash column chromatography (silica, ethyl acetate: hexanes 3:10) afforded 0.36 g (29%) of (±)-{7-[(4-methylphenyl)amino]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a yellow solid. mp 118-120 °C.

Intermediate 202: (±)-{7-[(4-chlorophenyl)amino]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0283] Treatment of (±)-(7-amino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96 g, 3.0 mmol) with 1-bromo-4-chlorobenzene (0.570 g, 3.0 mmol) generally according to the procedure described for Intermediate 37 provided 0.77 g (57%) of (±)-{7-[(4-chlorophenyl)amino]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a white solid. mp 132-134 °C.

Intermediate 203: (±)-{7-[(3,4-dimethylphenyl)amino]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate

[0284] Treatment of (±)-(7-amino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96 g, 3.0 mmol) with 4-bromo-1,2-dimethylbenzene (0.558 g, 3.0 mmol), generally according to the procedure described for Intermediate 202 provided 0.51 g (38%) (±)-{7-[(3,4-dimethylphenyl)amino]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a yellow solid. mp 88-90 °C.

Intermediate 204: (±)-benzyl {[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0285] Treatment of (±)-benzyl [7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl] methanamine (2.7 g, 8.39 mmol) with diisopropylethylamine (1.63 g, 12.59 mmol) and benzyl chloroformate (1.72 g, 10.07 mmol) generally according to the procedure described for Intermediate 12 provided 3.21 g (91%) of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil.

Intermediate 205: (±)-benzyl {[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0286] Treatment of (±)-benzyl [7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl] methanamine (0.979 g, 3.15 mmol) with diisopropylethylamine (0.612 g, 4.73 mmol) and benzyl chloroformate (0.646 g, 3.79 mmol) generally according to the procedure described for Intermediate 12 provided 1.2 g (96%) of (±)-benzyl [7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]carbamate as a colorless oil.

Intermediate 206: (+)-benzyl {[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0287] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl [7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]carbamate (R_t = 7.725 min, Chiralcel AD, ethanol:hexane 1:1). $[\alpha]_D^{25}$ = +12.8 (*c* 10.0 in methanol).

Intermediate 207: (-)-benzyl {[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0288] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl [7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]carbamate (R_t = 9.542 min, Chiralcel AD, ethanol:hexane 1:1). $[\alpha]_D^{25}$ = -4.8 (*c* 10.0 in methanol).

Intermediate 208: (+)-benzyl {[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0289] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl [7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]carbamate (R_t = 4.340 min, Chiralcel AD, isopropanol:hexane 2:8). $[\alpha]_D^{25}$ = +18.8 (*c* 10.0 in methanol).

Intermediate 209: (-)-benzyl {[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0290] Fraction 2 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl [7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]carbamate (R_t = 5.251 min, Chiralcel AD, isopropanol:hexane 2:8). $[\alpha]_D^{25}$ = -16.8 (*c* 10.0 in methanol).

Intermediate 210: (±)-benzyl {[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0291] Treatment of (±)-benzyl [7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl] methanamine (3.1 g, 11.32 mmol) with diisopropylethylamine (2.19 g, 16.98 mmol) and benzyl chloroformate (2.37 g, 12.45 mmol) generally according to the procedure described for Intermediate 12 provided 4.12 g (89%) of (±)-benzyl {[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil.

Intermediate 211: (±)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0292] Treatment of (±)-benzyl [7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl] methanamine (2.83 g, 8.61 mmol) with diisopropylethylamine (1.67 g, 12.92 mmol) and benzyl chloroformate (1.76 g, 10.33 mmol) generally according to the procedure described for Intermediate 12 provided 3.46 g (87%) of (±)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil.

Intermediate 212: (±)-benzyl [(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]carbamate

[0293] Treatment of (±)-1-(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine (0.770 g, 3.40 mmol) with diisopropylethylamine (0.660 g, 5.1 mmol) and benzyl chloroformate (0.778 g, 4.08 mmol) generally according to the procedure described for Intermediate 12 provided 0.702 g (57%) of (±)-benzyl [(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]carbamate as an amber oil.

Intermediate 213: (±)-benzyl{[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate

[0294] Treatment of (±)-[(N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.932 g, 3.4 mmol) with diisopropylethylamine (0.66 g, 5.11 mmol) and benzyl chloroformate (0.778 g, 4.08 mmol) generally according to the procedure described for Intermediate 12 provided 1.25 g (86%) of (±)-benzyl {[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate as a colorless oil.

Intermediate 214: (±)-benzyl{[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate

[0295] Treatment of (±)-[(N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.67 g, 32.64 mmol) with diisopropylethylamine (0.512 g, 3.97 mmol) and benzyl chloroformate (0.605 g, 3.17 mmol) generally according to the procedure described for Intermediate 12 provided 0.790 g (77%) of (±)-benzyl {[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate as a colorless oil.

Intermediate 215: (±)-benzyl{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate

[0296] Treatment of (±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.1 g, 3.57 mmol) with diisopropylethylamine (0.69 g, 5.35 mmol) and benzyl chloroformate (0.0.82 g, 4.28 mmol) generally according to the procedure described for Intermediate 12 provided 1.6 g (99%) of (±)-benzyl {[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate as a colorless oil.

Intermediate 216: (±)-benzyl{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate

[0297] Treatment of (±)-(7-bromo-2,3-dihydro-benzofuran-2-ylmethyl)carbamate (0.80 g, 2.21 mmol) with pyridine-3-boronic acid (0.407 g, 3.31 mmol) generally according to the procedure described for Intermediate 37 provided 0.213 g (27%) of (±)-benzyl {[7-pyridine-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate as a colorless oil.

Intermediate 217: (±)-benzyl{[7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0298] Treatment of (±)-(7-bromo-2,3-dihydro-benzofuran-2-ylmethyl)carbamate (1.3 g, 3.59 mmol) with 2,3-dichlorophenylboronic acid (1.03 g, 5.38 mmol) generally according to the procedure described for Intermediate 37 provided 0.93 g (63%) of (±)-benzyl{[7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate as a yellow oil.

Intermediate 218: (±)-benzyl{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0299] Treatment of (±)-(7-bromo-2,3-dihydro-benzofuran-2-ylmethyl)carbamate (3.2 g, 8.83 mmol) with 2,5-dichlorophenylboronic acid (2.54 g, 13.24 mmol) generally according to the procedure described for Intermediate 37 provided 0.299 g (27%) of (±)-benzyl {[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a yellow oil.

Intermediate 219: (±)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0300] Treatment of (±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine (1.1 g, 3.57 mmol) with diisopropylethylamine (0.69 g, 5.35 mmol) and benzyl chloroformate (0.0.82 g, 4.28 mmol) generally according to the procedure described for Intermediate 12 provided 1.6 g (99%) of (±)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil.

Intermediate 220: (±)-benzyl methyl{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0301] Treatment of (±)-*N*-methyl-1-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (1.1 g, 3.57 mmol) with diisopropylethylamine (0.69 g, 5.35 mmol) and benzyl chloroformate (0.0.82 g, 4.28 mmol) generally according to the procedure

described for Intermediate 12 provided 1.6 g (99%) of (±)-benzyl methyl{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate as a colorless oil.

Intermediate 221: (+)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0302] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate. $[\alpha]_D^{25}$ = +7.8 (*c* 10.0 in methanol).

Intermediate 222: (-)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

[0303] Fraction 1 obtained as a colorless oil from the chiral HPLC separation of (±)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate. $[\alpha]_D^{25}$ = -6.2 (*c* 10.0 in methanol).

Intermediate 223: (±)-[7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0304] Treatment of (±)-[7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanol (3.59 g, 12.1 mmol) with *p*-toluenesulfonyl chloride (3.6 g, 18.2 mmol) generally according to described for Intermediate 10 provided 3.82 g (70%) (±)-[7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. mp 95-97 °C.

Intermediate 224: (-)- [7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate

[0305] Treatment of (-)-[7-bromo-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (2.0 g, 5.22 mmol) with 2-methylphenylboronic acid (1.06 g, 7.83 mmol) generally according to described for Intermediate 37 provided 1.71 g (83%) (-)- [7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. $[\alpha]_D^{25}$ = -44.6 (*c* 10.0 in methanol).

Intermediate 225: (*S*)-1-Benzyloxy-3-(5-fluoro-2-methoxy-phenyl)propan-2-ol

[0306] To a solution of 4-fluoro-2-bromanisole (12.6 ml, 0.1 mol) in anhydrous tetrahydrofuran at –78 °C was added n-butyllithium (39 ml, 2.5 M in hexane) and the resulting

mixture was allowed to stir at –78° C for 3 h. Copper(I) bromide-dimethylsulfide (10.0 g, 0.05 mol) was then added at –78 °C and the reaction mixture was allowed to warm to –40 °C over 2 h. Benzyl (*S*)-(+)-glycidyl ether (3.71 ml, 0.025 mol) was added at –60°C followed by boron trifluoride diethyl etherate (0.15 ml, 1.2 mmol) and the reaction mixture was allowed to warm to room temperature over 12 h. The solvent was removed *in vacuo* and purification by flash column chromatography (silica, ethyl acetate:hexanes 3:7) afforded 5.0 g (70%) of (*S*)-1-benzyloxy-3-(5-fluoro-2-methoxy-phenyl)propan-2-ol as a colorless oil. HRMS ESI *m/e* 308.1666 $[M+NH4]^+$, Calc'd *m/e* 308.1662 $[M+NH4]^+$; $[\alpha]_D^{25}$ = +8.1 (*c* 0.89% in methanol).

Intermediate 226: (*S*)-1-Benzyloxy-3-(5-chloro-2-methoxy-phenyl)propan-2-ol

[0307] Treatment of 4-chloro-2-bromanisole (21.5 g, 0.1 mol) generally according to the procedure described for Intermediate 225 provided 5.1 g (67%) of (*S*)-1-benzyloxy-3-(5-chloro-2-methoxy-phenyl)propan-2-ol as a colorless oil. HRMS ESI *m/e* 307.1096 $[M+H]^+$, Calc'd 307.1101; $[\alpha]_D^{25}$ = +6.6 (*c* 1% in methanol).

Intermediate 227: (*S*)-1-Benzyloxy-3-(2-methoxy-5-methyl-phenyl)propan-2-ol

[0308] Treatment of 2-bromo-4-methylanisole (14.05 ml, 0.1 mol) generally according to the procedure described for Intermediate 225 afforded 6.74 g (96%) of (*S*)-1-benzyloxy-3-(2-methoxy-5-methyl-phenyl)propan-2-ol as a colorless oil. HRMS EI *m/e* 286.1565 $(M)^+$, Calc'd. 286.1569; $[\alpha]_D^{25}$ = +15.67 (*c* 9.57 in methanol).

Intermediate 228: (S)-1-Benzyloxy-3-(2-methoxy-phenyl)propan-2-ol

[0309] Treatment of 2-bromoanisole (12.1 ml, 0.1 mol) generally according to the procedure described for Intermediate 225 gave 5.4 g (82%) of (S)-1-benzyloxy-3-(2-methoxy-phenyl)propan-2-ol as a colorless oil. HRMS EI *m/e* 272.1413 $(M)^+$, Calc'd. 272.1412. $[\alpha]_D^{25}$ = +18.07 (*c* 7.86 in methanol).

Intermediate 229: (*S*)-1-Benzyloxy-3-(2', 6'-dichlor-5-fluoro-2-methoxybiphenyl-3-yl)propan-2-ol

[0310] To a solution of 3-bromo-2',6'-dichloro-5-fluoro-2-methoxy-biphenyl (2.2 g, 6.3 mmol) in anhydrous tetrahydrofuran at 0 °C was added isopropylmagnesium chloride (3.45 ml, 2.0 M in hexane) and the resulting mixture was allowed to stir at 0 °C for 4 h. The reaction mixture was cooled to –30 °C and copper(I) cyanide (0.28 g, 3.1 mmol) in tetrahydrofuran was

added and the reaction mixture was allowed to stir at –30 °C for 1 h. Benzyl (*S*)-(+)-glycidyl ether (0.48 ml, 3.1 mmol) was then added at –30 °C and the reaction mixture was allowed to warm to room temperature for 12 h. The solvent was removed *in vacuo* and purification by flash column chromatography (silica, ethyl acetate:hexanes 3:7) provided 1.28 g (94%) of (*S*)-1-benzyloxy-3-(2', 6'-dichlor-5-fluoro-2-methoxybiphenyl-3-yl)propan-2-ol as a colorless oil. HRMS ESI *m/e* 435.0946 $[M - H]^-$, Calc'd 435.0930; $[\alpha]_D^{25}$ = +2.8 (*c* 8.14 in dimethylsulfoxide).

Intermediate 230: (1*S*)-2-bromo-1-(5-fluoro-2-hydroxybenzyl)ethyl acetate

[0311] A solution of (*S*)-1-benzyloxy-3-(5-fluoro-2-methoxy-phenyl)propan-2-ol (5.17 g, 17.8 mmol) in hydrogen bromide (40 ml, 30 wt.% in acetic acid) was heated to 70 °C and allowed to stir for 12 h. The solvent was removed *in vacuo* and the residue was dissolved in dichloromethane and washed with ammonium hydroxide. The solvent was removed *in vacuo* and purification by flash column chromatography (silica, ethyl acetate:hexanes 3:7) afforded 3.60 g (70%) of (1*S*)-2-bromo-1-(5-fluoro-2-hydroxybenzyl)ethyl acetate as a light brown oil. Elemental Analysis for: $C_{11}H_{12}BrFO_3$ Theory: C, 45.38 H, 4.15 Found: C, 45.24 H, 4.09.

Intermediate 231: (1*S*)-2-bromo-1-(5-chloro-2-hydroxybenzyl)ethyl acetate

[0312] Treatment of (*S*)-1-benzyloxy-3-(5-chloro-2-methoxy-phenyl)propan-2-ol (5.4 g, 17.6 mmol) generally according to the procedure described for Intermediate 230 gave 3.8 g (70%) of (1*S*)-2-bromo-1-(5-chloro-2-hydroxybenzyl)ethyl acetate as a light brown oil. HRMS EI *m/e* 305.9647 $(M)^+$.

Intermediate 232: (1*S*)-2-bromo-1-(2-hydroxy-5-methylbenzyl)ethyl acetate

[0313] Treatment of (*S*)-1-benzyloxy-3-(2-methoxy-5-methyl-phenyl)propan-2-ol (6.7 g, 23.3mmol) generally according to the procedure described for Intermediate 230 provided 6.24g (93%) of (1*S*)-2-bromo-1-(2-hydroxy-5-methylbenzyl)ethyl acetate as a yellow oil. MS EI *m/e* 286 $(M)^+$; $[\alpha]_D^{25}$ = -2.41 (*c* 8.29 in methanol).

Intermediate 233: (1*S*)-2-bromo-1-(2-hydroxybenzyl)ethyl acetate

[0314] Treatment of (*S*)-1-benzyloxy-3-(2-methoxy-phenyl)propan-2-ol (5.40 g, 19.8 mmol) generally according to the procedure described for Intermediate 230 provided 3.42 g (63%) of (1*S*)-2-bromo-1-(2-hydroxybenzyl)ethyl acetate as a yellow oil. $[\alpha]_D^{25}$ = -12.2 (*c* 1% in methanol). Elemental Analysis for: $C_{16}H_{15}BrO_3$ Theory: C, 48.37 H, 4.80 Found: C, 48.48 H, 4.78.

Intermediate 234: 3-[(2*S*)-2-(acetyloxy)-3-bromopropyl]-2',6'-dichloro-5-fluorobiphenyl-2-yl acetate

[0315] Treatment of (*S*)-1-benzyloxy-3-(2', 6'-dichlor-5-fluoro-2-methoxybiphenyl-3-yl)propan-2-ol (1.28 g, 2.9 mmol) generally according to the procedure described for Intermediate 230 provided 1.12 g (80%) of 3-[(2*S*)-2-(acetyloxy)-3-bromopropyl]-2',6'-dichloro-5-fluorobiphenyl-2-yl acetate as a light yellow oil. HRMS ESI *m/e* 476.9686 $[M+H]^+$, Calc'd. 476.9671; $[\alpha]_D^{25}$ = +13.2 (*c* 1% in methanol).

Intermediate 235: (*S*)-2-(3-Bromo-2-hydroxy-propyl)-4-fluoro-phenol

[0316] To a solution of (1*S*)-2-bromo-1-(5-fluoro-2-hydroxybenzyl)ethyl acetate (3.57 g, 12.2 mmol) in methanol was added hydrogen chloride (1.0 M in diethylether, 49 ml) and the reaction mixture was allowed to stir at room temperature for 12 h. The solvent was removed *in vacuo* and purification by flash column chromatography (silica, ethyl acetate:hexanes 3:7) afforded 2.95 g (97%) of (*S*)-2-(3-bromo-2-hydroxy-propyl)-4-fluoro-phenol as a colorless oil. HRMS ESI *m/e* 246.9761 $[M-H]^+$; Calc'd 246.9755. $[\alpha]_D^{25}$ = +8.2° (*c* 0.71% in methanol).

Intermediate 236: (*S*)-2-(3-Bromo-2-hydroxy-propyl)-4-chloro-phenol

[0317] Treatment of (1*S*)-2-bromo-1-(5-chloro-2-hydroxybenzyl)ethyl acetate (2.47 g, 3.2 mmol) generally according to the procedure described for Intermediate 235 gave 1.68 g (79%) of (*S*)-2-(3-bromo-2-hydroxy-propyl)-4-chloro-phenol as a yellow oil. $[\alpha]_D^{25}$ = +9.8° (c 1% in methanol), HRMS EI *m/e* 263.956 $(M)^+$.

Intermediate 237: (*S*)-2-(3-Bromo-2-hydroxy-propyl)-4-methyl-phenol

[0318] Treatment of (1*S*)-2-bromo-1-(2-hydroxy-5-methylbenzyl)ethyl acetate (6.24 g, 22 mmol) generally according to the procedure described for Intermediate 235 afforded 5.0 g (94%) of (*S*)-2-(3-bromo-2-hydroxy-propyl)-4-methyl-phenol as a colorless oil. $[\alpha]_D^{25}$ = +13.8 (*c* 1% in methanol), HRMS ESI *m/e* 243.0020 $[M-H]^-$, Calc'd. 243.0021.

Intermediate 238: (*S*)-2-(3-Bromo-2-hydroxy-propyl)-phenol

[0319] Treatment of (1*S*)-2-bromo-1-(2-hydroxybenzyl)ethyl acetate (3.42 g, 12.5 mmol) generally according to the procedure described for Intermediate 235 provided 2.71 g (93%) of (S)-2-(3-bromo-2-hydroxy-propyl)-phenol as a light yellow oil. MS ES *m/e* 229.0 $[M-H]^-$; $[\alpha]_D^{25}$ = +16.46 (*c* 8.14 in methanol).

Intermediate 239: (*S*)-3-(3-Bromo-2-hydroxy-propyl)-2',6',-dichloro-5-fluoro-biphenyl-2-ol

[0320] Treatment of 3-[(2*S*)-2-(acetyloxy)-3-bromopropyl]-2',6'-dichloro-5-fluorobiphenyl-2-yl acetate (1.6 g, 33.4 mmol) generally according to the procedure described for Intermediate 235 gave 1.48 g (99%) of (S)-3-(3-bromo-2-hydroxy-propyl)-2',6',-dichloro-5-fluoro-biphenyl-2-ol as a light yellow oil. HRMS EI *m/e* 391.9391 $(M)^+$, Calc'd. 391.9391; $[\alpha]_D^{25}$ = -4.76 (*c* 7.14 in methanol).

Intermediate 240: (*R*)-2-Bromomethyl-5-fluoro-2,3-dihydro-benzofuran

[0321] Treatment of (*S*)-2-(3-bromo-2-hydroxy-propyl)-4-fluoro-phenol (1.97 g, 8 mmol), triphenylphosphine (5.2 g, 20 mmol), and diethylazodicarboxylate (3.11 ml, 20 mmol) generally according to the procedure described for Intermediate 18 afforded 1.40 g (76%) of (*R*)-2-bromomethyl-5-fluoro-2,3-dihydro-benzofuran as a colorless oil. HRMS ESI *m/e* 228.9661 $[M-H]^-$. $[\alpha]_D^{25}$ = -33.0 (*c* 1% in methanol).

Intermediate 241: (*R*)-2-Bromomethyl-5-methyl-2,3-dihydro-benzofuran

[0322] Treatment of (*S*)-2-(3-bromo-2-hydroxy-propyl)-4-methyl-phenol (5.0 g, 20 mmol) generally according to the procedure described for Intermediate 18 gave 3.04 g (70%) of (*R*)-2-bromomethyl-5-methyl-2,3-dihydro-benzofuran as a yellow oil. HRMS EI *m/e* 225.9998 $(M)^+$; $[\alpha]_D^{25}$ = -41.13 (*c* 8.86 in methanol).

Intermediate 242: (*R*)-2-Bromomethyl-2,3-dihydro-benzofuran

[0323] Treatment of (*S*)-2-(3-bromo-2-hydroxy-propyl)-phenol (2.71 g, 12 mmol) generally according to the procedure described for Intermediate 18 provided 1.62g (65%) of (*R*)-2-bromomethyl-2,3-dihydro-benzofuran as a yellow oil. $[\alpha]_D^{25}$ = -37 (*c* 1% in methanol); HRMS EI *m/e* 211.9840 $(M)^+$, Calc'd. 211.9837.

Intermediate 243: (*R*)-2-Bromomethyl-7-(2',6'-dichloro-phenyl)-5-fluoro-2,3-dihydro-benzofuran

[0324] Treatment of (*S*)-3-(3-bromo-2-hydroxy-propyl)-2',6',-dichloro-5-fluoro-biphenyl-2-ol (1.48 g, 3.7 mmol) generally according to the procedure described for Intermediate 18 afforded 1.16 g (82%) of (*R*)-2-bromomethyl-7-(2',6'-dichloro-phenyl)-5-fluoro-2,3-dihydro-

benzofuran as a colorless oil. HRMS EI *m/e* 373.9277 $(M)^+$, Calc'd. 373.9277; $[\alpha]_D^{25}$ = -15.75 (*c* 8.0 in methanol).

Intermediate 244: (*R*)-7-Bromo-2-bromomethyl-5-fluoro-2,3-dihydrobenzofuran

[0325] To a solution of (*R*)-2-bromomethyl-5-fluoro-2,3-dihydro-benzofuran (3.20 g, 14 mmol) in acetic acid was added bromine (2.2 ml, 42 mmol) and the reaction mixture was allowed to stir at room temperature for 12 h. The solvent was removed *in vacuo* and the residue dissolved in dichloromethane and washed with saturated aqueous sodium sulfite. The solvent was removed *in vacuo* and purification by flash column chromatography (silica, ethyl acetate:hexanes 1:19) afforded 3.16 g (74%) of as a light yellow oil. HRMS EI *m/e* 307.8846 $(M)^+$, Calc'd. 307.8848. $[\alpha]_D^{25}$ = +24.8 (*c* 1% in methanol).

Intermediate 245: (*R*)-2-Bromomethyl-5-fluoro-7-o-toly-2,3-dihydrobenzofuran

[0326] Treatment of (*R*)-7-bromo-2-bromomethyl-5-fluoro-2,3-dihydrobenzofuran (2.57 g, 8.2 mmol) and o-tolyboronic acid (3.4 g, 24 mmol) generally according to the procedure described for Intermediate 37 afforded 2.54 g (95%) of (*R*)-2-Bromomethyl-5-fluoro-7-o-toly-2,3-dihydrobenzofuran as a colorless oil. HRMS EI *m/e* 320.0224 $(M)^+$; $[\alpha]_D^{25}$ = +35.00 (*c* 1% in methanol).

Intermediate 246: (*R*)-2-Bromomethyl-7-(2-chloro-phenyl)-5-fluoro-2,3-dihydrobenzofuran

[0327] Treatment of (*R*)-7-bromo-2-bromomethyl-5-fluoro-2,3-dihydrobenzofuran (0.5 g, 1.6 mmol) and 2-chlorobenzene boronic acid (0.76 g, 4.8 mmol) generally according to the procedure described for Intermediate 37 gave 0.55 g (99%) (*R*)-2-bromomethyl-7-(2-chloro-phenyl)-5-fluoro-2,3-dihydrobenzofuran as a colorless oil. HRMS EI M^+ 339.9657; $[\alpha]_D^{25}$ = +29.6 (*c* 8.14 in methanol).

Intermediate 247: (*R*)-2-Bromomethyl-7-(2-methyl-5-chloro -phenyl)-5-fluoro-2,3-dihydrobenzofuran

[0328] Treatment of (*R*)-7-bromo-2-bromomethyl-5-fluoro-2,3-dihydrobenzofuran (0.40 g, 1.3 mmol) and 5-chloro-o-toluene boronic acid (0.88 g, 5.2 mmol) generally according to the procedure described for Intermediate 37 provided 0.41 g (90%) of (*R*)-2-bromomethyl-7-(2-methyl-5-chloro -phenyl)-5-fluoro-2,3-dihydrobenzofuran as a colorless oil. HRMS EI M^+ 353.9829; $[\alpha]_D^{25}$ = +47.38 (*c* 9.29 in methanol).

Intermediate 248: (*R*)-2-Bromomethyl-7-(2-methyl-4-chloro -phenyl)-5-fluoro-2,3-dihydrobenzofuran

[0329] Treatment of (*R*)-7-bromo-2-bromomethyl-5-fluoro-2,3-dihydrobenzofuran (0.42 g, 1.3 mmol) and 4-chloro-o-toluene boronic acid (0.88 g, 5.2 mmol) generally according to the procedure described for Intermediate 37 provided 0.43 g (95%) of (*R*)-2-bromomethyl-7-(2-methyl-4-chloro -phenyl)-5-fluoro-2,3-dihydrobenzofuran as a colorless oil. HRMS EI M^+ 353.9825, Calc'd. 353.9825; $[\alpha]_D^{25}$ = +39.14 (*c* 7.0 in methanol).

Intermediate 249: (*R*)-2-Azidomethyl-7-(4-chloro-2-methyl-phenyl)-5-fluoro-2,3-dihydrobenzofuran

[0330] Treatment of (*R*)-2-Bromomethyl-7-(4-chloro-2-methyl-phenyl)-5-fluoro-2,3-dihydrobenzofuran (0.4 g, 1.1 mmol) generally according to the procedure described for Intermediate 98 gave 0.30 g (85%) of (*R*)-2-azidomethyl-7-(4-chloro-2-methyl-phenyl)-5-fluoro-2,3-dihydrobenzofuran as a colorless oil. HRMS EI *m/e* 317.0719 $(M)^+$, Calc'd. 317.0718; $[\alpha]_D^{25}$ = +16.76 (*c* 8.71 in methanol).

Intermediate 250: (*R*)-2-Azidomethyl-7-(5-chloro-2-methyl-phenyl)-5-fluoro-2,3-dihydrobenzofuran

[0331] Treatment of 2-bromomethyl-7-(5-chloro-2-methyl-phenyl)-5-fluoro-2,3-dihydroben-zofuran (0.41 g, 1.2 mmol) generally according to the procedure described for Intermediate 98 gave 0.31 g (85%) of (*R*)-2-azidomethyl-7-(5-chloro-2-methyl-phenyl)-5-fluoro-2,3-dihydrobenzofuran as a colorless oil. HRMS EI *m/e* 317.0734 $(M)^+$, Calc'd. 317.0733; $[\alpha]_D^{25}$ = +3.12 (*c* 7.71 in methanol).

Example 1: (±)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0332] Treatment of (±)-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.36 g, 3.57 mmol) with sodium azide (0.929 g, 14.29 mmol) generally according to the procedure described for intermediate 98 afforded (±)-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl azide. The azide was dissolved in ethanol (50 mL) and palladium on carbon (0.083 g, 10 wt.%) was added and the reaction mixture was shaken under an H_2 atmosphere (50 psi) for 6 h. The reaction mixture was filtered (celite) and the solvent removed *in vacuo* to provide a colorless oil. The oil was re-dissolved in isopropanol (3 mL) and hydrogen chloride (1.0 N in diethyl ether, 10.0 mL) was added. The resulting precipitate was filtered,

washed (diethyl ether), and dried to afford 0.700 g (94%) of (±)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 229-230 °C; Anal. calcd. for $C_{15}H_{15}NOHCl$: C, 68.83; H, 6.16; N, 5.35. Found: C, 66.11; H, 6.25; N, 5.02.

Example 2: (+)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0333] Fraction 1 (0.206 g) obtained from the chiral HPLC separation of (±)-benzyl (4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OD, ethanol:water 15:85) was treated with hydrogen bromide (3 mL, 30 wt.% in acetic acid) and the reaction mixture was allowed to stir at room temperature for 30 min. Diethyl ether (20 mL) was added to the reaction mixture and the resulting precipitate was filtered, washed with diethyl ether, and dried to afford 0.082g (46%) of (+)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a tan solid, hydrobromide salt. $[\alpha]_D^{25}$ = +86.92 (*c* 10.0 in methanol); mp 225-226 °C; Anal. calcd. for $C_{15}H_{15}NOHBr$: C, 58.84; H, 5.27; N, 4.57. Found: C, 57.02; H, 4.96; N, 4.3.

Example 3: (-)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0334] Treatment of 0.197 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl (4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate, (Chiralcel OD, ethanol:water 15:85) with hydrogen bromide (3 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.091 g (54%) of (-)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a tan solid, hydrobromide salt. $[\alpha]_D^{25}$ = -84.76 (*c* 10.0 in methanol); mp 227-228 °C; Anal. calcd. for $C_{15}H_{15}NOHBr$: C, 58.84; H, 5.27; N, 4.57. Found: C, 57.19; H, 5.19; N, 4.18.

Example 4: (±)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0335] Treatment of (±)-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.31 g, 3.32 mmol) with sodium azide (0.863 g, 13.28 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-4-(2-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.082 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.689 g (85%) of (±)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 231-234 °C; Anal. calcd. for $C_{16}H_{17}NOHCl \cdot 0.2\ H_2O$: C, 68.79; H, 6.64; N, 5.01. Found: C, 68.49; H, 6.50; N, 4.87.

Example 5: (+)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0336] Treatment of 0.236 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl [4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, methanol) with hydrogen bromide (3 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.167 g (83%) of (+)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = +89.44 (*c* 10.0 in methanol); mp 232-233 °C; Anal. calcd. for $C_{16}H_{17}NOHBr$: C, 60.01; H, 5.67; N, 4.37. Found: C, 59.28; H, 5.36; N, 3.8.

Example 6: (-)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0337] Treatment of 0.229 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, methanol) with hydrogen bromide (3 mL, 30 wt.% in acetic acid) according the procedure described for Example 2 afforded 0.190 g, (97%) of (-)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -83.96 (*c* 10.0 in methanol); mp 231-233 °C; Anal. calcd. for $C_{16}H_{17}NOHBr$: C, 60.01; H, 5.67; N, 4.37. Found: C, 59.37; H, 5.64; N, 3.98.

Example 7: (±)-1-(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0338] Treatment of (±)-(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.00 g, 2.99 mmol) with sodium azide (0.78 g, 11.96 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-7-methoxy-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.06 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.465 g (54%) (±)-1-(7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 168-171 °C; Anal. calcd. for $C_{10}H_{13}NO_2HCl$: C, 55.69; H, 6.54; N, 6.49. Found: C, 55.68; H, 6.52; N, 6.5.

Example 8: (±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0339] Treatment of (±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methanol (4.08 g, 18.7 mmol) with *p*-toluenesulfonyl chloride (3.92 g, 21.9 mmol) in anhydrous pyridine (76 mL) generally according to the procedure described for Intermediate 10 gave (±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a tan oil. Treatment of the tosylate with sodium azide (4.39 g, 67.57 mmol) generally according to the procedure described

for Intermediate 98 afforded 4.1 g of (±)-2-(azidomethyl)-7-cyclopentyl-2,3-dihydro-1-benzofuran as a yellow oil. Treatment of the azide with palladium on carbon (0.41 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 2.5 g (58%) of (±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrochloride salt. mp 174 °C; Anal. calcd. for $C_{14}H_{19}ON_2HCl$: C, 66.26; H, 7.94; N, 5.52. Found C, 66.13; H, 7.71; N, 5.5.

Example 9: (-)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0340] Treatment of 0.833 g of fraction 1 obtained from the chiral HPLC separation of(±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol:hexane 1:1) with hydrogen bromide (12.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.541 g (76%) of (-)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a tan solid, hydrobromide salt. $[\alpha]_D^{25}$ = -13.4 (*c* 10.0 in methanol); mp 208-211 °C; Anal. calcd. for $C_{14}H_{19}NOHBr$: C, 56.39; H, 6.76; N, 4.7. Found: C, 55.83; H, 6.54; N, 4.41.

Example 10: (+)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0341] Treatment of 0.760 g of fraction 2 obtained from the chiral HPLC separation of(±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol:hexane 1:1) with hydrogen bromide (11.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 afforded 0.468 g (72%) of (+)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a tan solid, hydrobromide salt. $[\alpha]_D^{25}$ = +11.5 (*c* 10.0 in methanol); Anal. calcd. for $C_{14}H_{19}NOHBr$: C, 56.39; H, 6.76; N, 4.7. Found: C, 56.03; H, 6.71; N, 4.63.

Example 11: (±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0342] Treatment of (±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methanol (4.5 g, 0.017 mol) with *p*-toluenesulfonyl chloride (4.8 g, 0.025 mol), diisopropylethylamine (4.36 g, 0.034 mol), and 4-(dimethylamino)pyridine (0.12 g, 0.98 mmol) generally according to the procedure described for Intermediate 45 gave (±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. Treatment of the tosylate with sodium azide (4.03 g, 61.99 mmol) generally according to the procedure described for Intermediate 98 provided 3.45 g of (±)-2-(azidomethyl)-5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon

(0.75 g, 5 wt.%) generally according to the procedure described for Example 1 afforded 2.70 g (60%) of (±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrochloride salt. mp 210-213 °C; Anal. calcd for $C_{15}H_{20}ClNOHCl$: C, 59.61; H, 7.00; N, 4.63. Found: C, 57.29; H, 7.14; N, 4.78.

Example 12: (±)-*N*-[(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine

[0343] To a suspension of lithium aluminum hydride (0.114 g, 3.0 mmol) in tetrahydrofuran (30 mL) was added (±)-methyl (5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (0.65 g, 2.0 mmol) and the reaction mixture was allowed to stir at room temperature for 30 h. The reaction mixture was quenched with saturated ammonium chloride (50 mL), diluted with tetrahydrofuran (70 mL), and the aqueous layer was extracted with tetrahydrofuran (2 x 50 mL). The combined organic layers were washed with saturated aqueous sodium bicarbonate (100 mL) and saturated aqueous sodium chloride (100 mL), were dried (sodium sulfate), and the solvent was removed in vacuo to provide a crude oil. Purification by flash column chromatography (silica, dichloromethane:methanol 97:3) gave a light brown oil. The oil was re-dissolved in tetrahydrofuran (50 mL) and aqueous hydrogen chloride (1 N, 3 mL) was added. The resulting precipitate was filtered and washed with diethyl ether to provide 0.28 g (44%) of (±)-*N*-[(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine as a white solid, hydrochloride salt. mp 125-128 °C; Anal. calcd. for $C_{16}H_{22}ClNOHCl$: C, 60.76; H, 7.33; N, 4.43. Found: C, 60.92; H, 7.46; N, 4.09.

Example 13: (±)-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0344] Treatment of 2-*tert*-butyl-4-methoxyphenol and 3-*tert*-butyl-4-methoxyphenol (18.25 g, 0.101 mol) with potassium carbonate (55.28 g, 0.400 mol) and allyl bromide (14.69 g, 0.121 mol) followed by treatment of the resultant allyl ether in refluxing mesitylene generally according to the procedure described for Intermediate 8 provided 2-allyl-6-*tert*-butyl-4-methoxyphenol and 2-allyl-5-*tert*-butyl-4-methoxyphenol. Treatment of the phenol with 3-chloroperoxybenzoic acid (49.58 g, 0.287 mol, 77%) and potassium carbonate (33.0 g, 0.238 mol) generally according to the procedure described for Intermediate 9 gave 3.23 g (14%) of (±)-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanol as a white solid. Treatment of the benzofuran with *p*-toluenesulfonyl chloride (2.86 g, 0.015 mol) generally according to the procedure described for Intermediate 10 afforded (±)-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide

(1.46 g, 22.5 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.14 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 1.5 g (40%) of (±)-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylamine) as a white solid, hydrochloride salt. mp 174-176 °C; Anal calcd. for $C_{14}H_{21}O_2NHCl$: C, 61.87; H, 8.16; N, 5.15. Found C, 61.67; H, 8.37; N, 4.93.

Example 14: (±)-[7-chloro-4-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0345] Treatment of (±)-[7-chloro-4-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.0 g, 2.46 mmol) with sodium azide (0.64 g, 9.83 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-7-chloro-4-(trifluoromethyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.060 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.350 g (64%) of (±)-1-[7-chloro-4-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp >250 °C; Anal. calcd. for $C_{10}H_9F_3ClNOHCl$: C, 41.69; H, 3.5; N, 4.86. Found: C, 41.78; H, 3.43; N, 4.77.

Example 15: (±)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0346] Treatment of (±)-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (6.53 g, 16.6 mmol) with sodium azide (4.30 g, 66.2 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methyl azide. Treatment of the azide with palladium on carbon (0.40 g, 10 wt.%) generally according to the procedure described for Example 1 gave 3.62 g (79%) of (±)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 107-111 °C (dec); Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 68.56; H, 6.66; N, 4.92.

Example 16: (+)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0347] Treatment of 1.528 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl (7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OD, methanol) with palladium on carbon (0.15 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.781 g (69%) of (+)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = +15.1$ (*c* 10.0 in methanol); mp 128-

131 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 69.14; H, 6.51; N, 5.03.

Example 17: (-)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0348] Treatment of 0.792 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl (7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OD, methanol) with palladium on carbon (0.08 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.415 g (71%) of (-)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -15.3 (*c* 10.0 in methanol); mp 128-131 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 69.29; H, 6.59; N, 5.06.

Example 18: (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0349] Treatment of (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.15 g, 14.9 mmol) with sodium azide (3.87 g, 59.5 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methyl azide. Treatment of the azide with palladium on carbon (0.30 g, 10 wt.%) generally according to the procedure described for Example 1 gave 2.35 g (69%) of (±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrochloride salt. mp 160-164 °C (dec); Anal. calcd. for $C_{12}H_{17}NOHCl$: C, 63.29; H, 7.97; N, 6.15. Found: C, 63.33; H, 7.98; N, 6.15.

Example 19: (-)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0350] Treatment of 0.891 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl (7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol) with palladium on carbon (0.09 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.475 g (76%) of (-)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -34.09 (*c* 10.0 in methanol); mp 176-178 °C; Anal. calcd. for $C_{12}H_{17}NOHCl$: C, 63.29; H, 7.97; N, 6.15. Found: C, 63.32; H, 8.07; N, 6.14.

Example 20: (+)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0351] Treatment of 0.776 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl (7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol) with palladium on carbon (0.09 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.445 g (82%) of (+)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +32.18 (*c* 10.0 in methanol); mp 176-178 °C; Anal. calcd. for $C_{12}H_{17}NOHCl$: C, 63.29; H, 7.97; N, 6.15. Found: C, 63.86; H, 8.06; N, 6.00.

Example 21: (±)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0352] Treatment of (±)-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (6.64 g, 16.8 mmol) with sodium azide (3.28 g, 50.4 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran. To a solution of (±)-2-(azidomethyl)-5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran (4.44 g, 16.71 mmol) in tetrahydrofuran (100 mL) was added triphenylphoshine (5.25 g, 20.05 mmol) followed by water (10 mL) and the reaction mixture was allowed to stir at room temperature for 12 h. The solvent was removed in vacuo to provide a crude solid. Purification by flash column chromatography (silica, 10% ammonium hydroxide in methanol:ethyl acetate 1:9) provided a colorless oil. The oil was re-dissolved in isopropanol (5 mL) and hydrogen chloride (20.0 mL, 1.0 N in diethyl ether) was added. The resulting precipitate was filtered, washed (diethyl ether), and dried to afford 4.08 g (88%) of (±)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp >225 °C (dec); Anal. calcd. for $C_{13}H_{18}ClNOHCl$: C, 56.53; H, 6.93; N, 5.07. Found: C, 56.56; H, 6.91; N, 4.94.

Example 22: (-)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0353] To 1.61 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, ethanol) was added hydrogen bromide (20 mL, 30 wt.% in acetic acid) and the resulting solution was allowed to stir at room temperature for 3 h. The reaction mixture was diluted with water and neutralized with 2.0 N aqueous sodium hydroxide. The reaction mixture was extracted with ethyl acetate (2 x 100 mL), the combined organic layers were washed with water (100 mL) and saturated aqueous sodium chloride (100 mL), were dried (magensium sulfate), and the solvent

was removed in vacuo to provide a crude oil. Purification by flash column chromatography (silica, 10% aqueous ammonium hydroxide in methanol:ethyl acetate 1:9) provided a colorless oil. The oil was re-dissolved in isopropanol (2 mL) and hydrogen chloride (6 mL, 1.0 M in diethyl ether) was added. The rsulting precipitate was filtered, washed (diethyl ether), and dried to give 0.52 g (44%) of (-)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -53.20 (*c* 10.0 in methanol); mp >225 °C; Anal. calcd. for $C_{13}H_{18}ClNOHCl$: C, 56.53; H, 6.93; N, 5.07. Found: C, 56.61; H, 7.06; N, 5.07.

Example 23: (+)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0354] Treatment of 1.49 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl (5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, ethanol) with hydrogen bromide (20 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 22 afforded 0.456 g (42%) of (+)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +51.30 (*c* 10.0 in methanol); mp >225 °C; Anal. calcd. for $C_{13}H_{18}ClNOHCl$: C, 56.53; H, 6.93; N, 5.07. Found: C, 56.52; H, 7.06; N, 5.03.

Example 24: (±)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0355] Treatment of (±)-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (12.43 g, 0.035 mol) with sodium azide (6.73 g, 0.103 mol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-*tert*-butyl-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.750 g, 10 wt.%) generally according to the procedure described for Example 1 gave 5.56 g (67%) of (±)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 177-180 °C (dec); Anal. Calcd. for $C_{13}H_{19}NOHCl$: C, 64.59; H, 8.34; N, 5.79. Found: C, 64.34; H, 9.19; N, 5.73.

Example 25: (-)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0356] Treatment of 1.31 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl (7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol) with palladium on carbon (0.13 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.747 g (80%) of (-)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-

yl)methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -25.4 (*c* 10.0 in methanol); mp 178-180 °C; Anal. calcd. for $C_{13}H_{19}NOHCl$: C, 64.59; H, 8.34; N, 5.79. Found: C, 64.23; H, 8.75; N, 5.44.

Example 26: (+)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0357] Treatment of 2.2 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl (7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel OJ, ethanol) with palladium on carbon (0.22 g, 10 wt.%) generally according to the procedure described for Example 1 gave 1.40 g (89%) of (+)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +24.99 (*c* 10.0 in methanol); mp 177-179 °C; Anal. calcd. for $C_{13}H_{19}NOHCl$: C, 64.59; H, 8.34; N, 5.79. Found: C, 64.87; H, 8.72; N, 5.51.

Example 27: (±)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0358] Treatment of (±)-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (13.74 g, 34.8 mmol) with sodium azide (9.05 g, 0.139 mol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran. Treatment of the azide with triphenylphoshine (9.13 g, 34.8 mmol) generally according to the procedure described for Example 21 afforded 4.43 (46 of (±)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 229-231 °C; Anal. calcd. for $C_{13}H_{18}ClNOHCl$: C, 56.53; H, 6.93; N, 5.07. Found: C, 56.49; H, 6.71; N, 4.86.

Example 28: (-)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0359] Treatment of 0.888 g of fraction 1 obtained from the (±)-benzyl (7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, hexane:isopropanol 9:1) with hydrogen bromide (20 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.594 g (78%) of (-)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -33.16 (*c* 10.0 in methanol); mp 219-222 °C; Anal. calcd. for $C_{13}H_{18}ClNOHBr$: C, 48.69; H, 5.97; N, 4.37. Found: C, 48.81; H, 6.01; N, 4.24.

Example 29: (+)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0360] Treatment of 0.855 g of fraction 2 obtained from the (±)-benzyl (7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, hexane:isopropanol 9:1) with hydrogen bromide (20 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 provided 0.286 g (39%) of (+)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = +35.32 (*c* 10.0 in methanol); mp 219-222 °C; Anal. calcd. for $C_{13}H_{18}ClNOHBr$: C, 48.69; H, 5.97; N, 4.37. Found: C, 48.78; H, 5.97; N, 4.28.

Example 30: (±)-1-(6-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0361] Treatment of (±)-(6-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.00 g, 2.99 mmol) with sodium azide (0.78 g, 11.96 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-6-methoxy-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.06 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.442 g (69%) of (±)-1-(6-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp > 220 °C; Anal. calcd. for $C_{10}H_{13}NO_2HCl$: C, 55.69; H, 6.54; N, 6.49. Found: C, 55.29; H, 6.48; N, 6.38.

Example 31: (±)-(6-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0362] Treatment of (±)-(6-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.280 g, 0.736 mmol) with sodium azide (0.191 g, 2.94 mmol) generally according to the procedure described for Intermediate 98 gave (±)-(6-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl azide. Treatment of the azide with palladium on carbon (0.026 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.190 g (90%) of (±)-(6-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a tan solid, hydrobromide salt. mp 218-221 °C. Anal. calcd. for $C_{15}H_{15}NOHBr$: C, 58.84; H, 5.27; N, 4.57. Found: C, 54.80; H, 4.88; N, 4.18.

Example 32: (±)-1-{7-[3,5-bis(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0363] Treatment of {7-[3,5-bis(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.75 g, 1.45 mmol) with sodium azide (0.24 g, 3.62 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-7-[3,5-bis(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran. Treatment of the

azide with palladium on carbon (10%, 0.075 g) generally according to the procedure described for Example 1 afforded 0.270 g (47%) of (±)-1-{7-[3,5-bis(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. mp 174-175 °C (dec.); Anal. calcd. for $C_{17}H_{13}F_6NOHCl$: C, 51.34; H, 3.55; N, 3.52. Found: C, 51.25; H, 3.57; N, 3.68.

Example 33: (±)-1-[7-(1-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0364] Treatment of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.32 mmol) with 1-naphthaleneboronic acid (0.86 g, 4.97 mmol), tetrakis(triphenylphosphine)palladium(0) (0.38 g, 0.33 mmol), and potassium phosphate (1.41 g, 6.63 mmol) generally according to the procedure described for Intermediate 50 provided (±)-[7-(1-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.10 g, 1.49 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(1-naphthyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.03 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.10 g (10%) of (±)-1-[7-(1-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 120-124 °C; Anal. calcd. for $C_{19}H_{17}NOHCl{\cdot}0.5H_2O$: C, 71.13; H, 5.97; N, 4.37. Found: C, 70.93; H, 5.74; N, 4.58.

Example 34: (±)-1-[7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0365] Treatment of (±)-[7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.68 g, 1.57 mmol) with sodium azide (0.25 g, 3.92 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.035 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.186 g (38%) of (±)-1-[7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp >210 °C; Anal. calcd. for $C_{15}H_{13}ClFNOHCl$: C, 57.34; H, 4.49; N, 4.46. Found: C, 57.38; H, 4.32; N, 4.55.

Example 35: (±)-1-[7-(3,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0366] Treatment of (±)-[7-(3,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.22 g, 0.498 mmol) with sodium azide (0.08 g, 1.25 mmol)

generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(3,5-dichlorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with triphenylphosphine (0.26 g, 0.997 mol) generally according to the procedure described for Example 21 afforded 0.08 g (49%) of (±)-1-[7-(3,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 165-168 °C; Anal. calcd. for $C_{15}H_{13}Cl_2NOHCl$: C, 54.49; H, 4.27; N, 4.24. Found: C, 54.27; H, 3.95; N, 4.23.

Example 36: (±)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0367] Treatment of (±)-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.06 mmol) with sodium azide (0.17 g, 2.65 mmol) generally according to the procedure described for Intermediate 98 provided (±)-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl azide. Treatment of the azide with palladium on carbon (0.025 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.181 g (65%) of (±)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp >200 °C (dec); Anal. calcd. for $C_{15}H_{15}NOHCl \cdot 0.2H_2O$: C, 67.90; H, 6.23; N, 5.28. Found: C, 67.69; H, 6.16; N, 5.3.

Example 37: (+)-(1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0368] Treatment of 0.40 g of fraction 1 obtained from the chiral HPLC separation of(±)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine (Chiralpak AD, ethanol:hexane 1:1) with palladium on carbon (0.040 g, 10 wt.%) generally according to the procedure described for Example 1 gave (+)-(1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine 0.235 g (37%) as a white solid, hydrochloride salt. $[\alpha]_D^{25} = +14.6$ (*c* 10.0 in methanol); Anal. calcd. for $C_{15}H_{15}NOHCl$: C, 68.83; H, 6.16; N, 5.35. Found: C, 67.54; H, 5.97; N, 5.03.

Example 38: (-)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0369] Treatment of 0.40 g of fraction 2 obtained from the chiral HPLC separation of(±)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine (Chiralpak AD, ethanol:hexane 1:1) with palladium on carbon (0.040 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.212 g (33%) of (-)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = -17.9$ (*c* 10.0 in methanol); mp 220-222 °C; Anal. calcd. for $C_{15}H_{15}NOHCl$: C, 68.83; H, 6.16; N, 5.35. Found: C, 67.68; H, 6.07; N, 5.15.

Example 39: (±)-1-[7-(2-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0370] Treatment of (±)-[7-(2-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.51 g, 1.23 mmol) with sodium azide (0.20 g, 3.08 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-7-(2-naphthyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.050 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.183 g (48%) of (±)-1-[7-(2-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 135-140 °C; Anal. calcd. for $C_{19}H_{17}NOHCl \cdot 0.3H_2O$: C, 71.94; H, 5.91; N, 4.42. Found: C, 71.67; H, 5.95; N, 4.25.

Example 40: (±)-1-(2',3'-dihydro-2,7'-bi-1-benzofuran-2'-yl)methanamine

[0371] Treatment of (±)-(7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.32 mmol) with 2-benzofuranboronic acid (0.81 g, 4.97 mmol), tetrakis(triphenylphosphine)palladium(0) (0.38 g, 0.33 mmol), and potassium phosphate (1.41 g, 6.63 mmol) generally according to the procedure described for Intermediate 50 provided (±)-2',3'-dihydro-2,7'-bi-1-benzofuran-2'-ylmethyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.09 g, 1.32 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2'-(azidomethyl)-2',3'-dihydro-2,7'-bi-1-benzofuran. Treatment of the azide with palladium on carbon (0.03 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.12 g (12%) of (±)-1-(2',3'-dihydro-2,7'-bi-1-benzofuran-2'-yl)methanamine as a white solid, hydrochloride salt. mp >220 °C (dec); Anal. calcd. for $C_{19}H_{17}NOHCl \cdot 1.0H_2O$: C, 63.85; H, 5.67; N, 4.38. Found: C, 63.54; H, 5.1; N, 4.3.

Example 41: (±)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0372] Treatment of (±)-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.90 g, 2.27 mmol) with sodium azide (0.44 g, 6.84 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (10%, 0.060 g) generally according to the procedure described for Example 1 afforded 0.444 g (71%) of (±)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp >210 °C (dec); Anal. calcd. for $C_{16}H_{17}NOHCl \cdot 0.2H_2O$: C, 68.79; H, 6.64; N, 5.01. Found: C, 68.54; H, 6.57; N, 4.9.

Example 42: (+)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0373] Treatment of 0.390 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AD, ethanol:hexane 1:1) with palladium on carbon (0.039 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.189g (66%) of (+)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +28.4 (*c* 10.0 in methanol); mp 196-198 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 68.44; H, 6.71; N, 4.81.

Example 43: (-)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0374] Treatment of 0.290 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AD, ethanol:hexane 1:1) with palladium on carbon (0.030 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.178g (83%) of (-)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -25.6 (*c* 10.0 in methanol); mp 194-196 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 68.92; H, 6.62; N, 4.94.

Example 44: (±)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0375] Treatment of (±)-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.2 g, 5.64 mmol) with sodium azide (1.48 g, 22.77 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl azide. Treatment of the azide with palladium on carbon (0.130 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.838 g (55%) of (±)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp >200 °C; Anal. calcd. for $C_{13}H_{13}FNOSHCl$: C, 58.31; H, 5.27; N, 5.23. Found: C, 56.4; H, 5.23; N, 4.95.

Example 45: (+)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0376] Treatment of 0.219 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl (7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel AD, water:methanol 1:9) with hydrogen bromide (3.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 afforded 0.120 g (64%) of (+)-1-(7-thien-3-

yl-2,3-dihydro-1-benzofuran-2-yl)methanamineas a tan solid, hydrobromide salt. $[\alpha]_D^{25}$ = +13.8 (*c* 10.0 in methanol); mp 234-236 °C; Anal. calcd. for $C_{13}H_{13}NOSHBr$: C, 50.01; H, 4.52; N, 4.49. Found: C, 49.37; H, 4.45; N, 4.41.

Example 46: (-)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0377] Treatment of 0.211 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl (7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralcel AD, water:methanol 1:9) with hydrogen bromide (3.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.130 g (72%) of (-)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -14.5 (*c* 10.0 in methanol); mp 234-235 °C; Anal. calcd. for $C_{13}H_{13}NOSHBr$: C, 50.01; H, 4.52; N, 4.49. Found: C, 49.15; H, 4.49; N, 4.38.

Example 47: (±)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0378] Treatment of (±)-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (7.79 g, 19.74 mmol) with sodium azide (5.13 g, 78.99 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.5 g, 10 wt.%) generally according to the procedure described for Example 1 provided 3.63 g (67%) of (±)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp >200 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 69.83; H, 6.64; N, 5.

Example 48: (+)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0379] Treatment of 1.61 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, ethanol:hexane 1:1) with palladium on carbon (0.161 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.951g (80%) of (+)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +16.9 (*c* 10.0 in methanol); mp 211-212 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 68.88; H, 6.72; N, 4.92.

Example 49: (-)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0380] Treatment of 1.68 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, ethanol:hexane 1:1) with palladium on carbon (0.169 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 1.04g (84%) of (-)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -16.4 (*c* 10.0 in methanol); mp 208-209 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 69.19; H, 6.62; N, 4.91.

Example 50: (±)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0381] Treatment of (±)-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (3.13 g, 7.85 mmol) with sodium azide (2.04 g, 31.42 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.21 g, 5 wt.%) generally according to the procedure described for Example 1 provided 1.81 g (83%) of (±)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 244-246 °C; Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.4; N, 5.01. Found: C, 63.98; H, 5.4; N, 4.89.

Example 51: (+)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0382] Treatment of 0.542 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, hexane:isopropanol 9:1) with palladium on carbon (0.054 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.337 g (84%) of (+)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +7.14 (*c* 10.0 in dimethylsulfoxide); mp 227-228 °C; Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.4; N, 5.01. Found: C, 63.96; H, 5.4; N, 4.84.

Example 52: (-)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0383] Treatment of 0.509 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, hexane:isopropanol 9:1) with palladium on carbon (0.050 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.318g (84%) of (-)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -9.48 (*c*

10.0 in dimethylsulfoxide); mp 224-225 °C; Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.4; N, 5.01. Found: C, 63.74; H, 5.21; N, 4.91.

Example 53: (±)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0384] Treatment of (7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.0 g, 10.44 mmol) with 2-(trifluoromethyl)phenylboronic acid (2.57 g, 13.6 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.426 g, 0. 542 mmol), and potassium carbonate (3.61 g, 26.09 mmol) generally according to the procedure described for Intermediate 37 provided (±)-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (1.31 g, 20.25 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.160 g, 10 wt.%) generally according to the procedure described for Example 1 provided 1.05 g (65%) of (±)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. mp 204-205 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.25; H, 4.59; N, 4.25. Found: C, 57.57; H, 4.52; N, 4.08.

Example 54: (-)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0385] Treatment of 0.350 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl {7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate (Chiralcel OJ, ethanol:hexane 1:1) with palladium on carbon (0.035 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.200 g (74%) of (-)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -23.10 (*c* 10.0 in methanol); mp 109-111 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 58.09; H, 4.35; N, 4.21.

Example 55: (+)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0386] Treatment of 0.343 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl {7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methylcarbamate (Chiralcel OJ, ethanol:hexane 1:1) with palladium on carbon (0.034 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.165 g (62%) of (+)-1-{7-[2-

(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +25.12 (*c* 10.0 in methanol); mp 97-100 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 57.82; H, 4.35; N, 4.15.

Example 56: (±)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0387] Treatment of (±)-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.646 g, 1.58 mmol) with sodium azide (0.411 g, 6.33 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.057 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.383g (84%) of (±)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp >250 °C; Anal. calcd. for $C_{17}H_{19}NOHCl$: C, 70.46; H, 6.96; N, 4.83. Found: C, 69.06; H, 7.01; N, 4.21.

Example 57: (-)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0388] Treatment of 0.524 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, methanol:carbon dioxide 3:7) with palladium on carbon (0.052 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.183 g (47%) of (-)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -3.98 (*c* 10.0 in methanol); mp 244-247 °C; Anal. calcd. for $C_{17}H_{19}NOHCl$: C, 70.46; H, 6.96; N, 4.83. Found: C, 69.61; H, 7.00; N, 4.60.

Example 58: (+)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0389] Treatment of 0.530 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, methanol:carbon dioxide 3:7) with palladium on carbon (0.053 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.224g (57%) of (+)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +4.28 (*c* 10.0 in methanol); mp 244-247 °C; Anal. calcd. for $C_{17}H_{19}NOHCl$: C, 70.46; H, 6.96; N, 4.83. Found: C, 69.61; H, 6.87; N, 4.65.

Example 59: (±)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0390] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.0 g, 13.05 mmol) with 2-methoxyphenylboronic acid (2.57 g, 16.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.532 g, 0. 677 mmol), and potassium carbonate (4.51 g, 32.62 mmol) generally according to the procedure described for Intermediate 37 provided (±)-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.76 g, 11.69 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.072 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.453 g (12%) of (±)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp >250 °C; Anal. calcd. for $C_{16}H_{17}NO_2HCl$: C, 65.86; H, 6.22; N, 4.8. Found: C, 65.72; H, 6.15; N, 4.86.

Example 60: (±)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0391] Treatment of (±)-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (2.6 g, 6.26 mmol) with sodium azide (1.63 g, 25.05 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.17 g, 5 wt.%) generally according to the procedure described for Example 1 provided 1.05 g (57%) of (±)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp >250 °C; Anal. calcd. for $C_{15}H_{14}ClNOHCl$: C, 60.83; H, 5.1; N, 4.73. Found: C, 60.71; H, 5.48; N, 4.55.

Example 61: (±)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine

[0392] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.81 g, 12.56 mmol) with 2-(2-isopropylphenyl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (4.63 g, 18.84 mmol, Intermediate 35), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.493 g, 0.627 mmol), and potassium carbonate (4.34 g, 31.38 mmol) generally according to the procedure described for Intermediate 37 provided (±)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (2.10 g, 32.37 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.22 g, 10 wt.%) generally according to the procedure described for Example 1 provided 2.15 g (56%) of (±)-[7-(2-isopropylphenyl)-2,3-

dihydro-1-benzofuran-2-yl]methylamine as a white solid, hydrochloride salt. mp 202-204 °C; Anal. calcd. for $C_{18}H_{21}NOHCl$: C, 71.16; H, 7.30; N, 4.61. Found: C, 70.83; H, 7.34; N, 4.48.

Example 62: (+)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine

[0393] Treatment of 0.760 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, hexane:isopropanol 9:1) with palladium on carbon (0.076 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.388 g (63%) of (+)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = +15.7$ (*c* 10.0 in methanol); mp 205-206 °C; Anal. calcd. for $C_{18}H_{21}NOHCl$: C, 71.16; H, 7.3; N, 4.61. Found: C, 70.78; H, 7.42; N, 4.47.

Example 63: (-)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine

[0394] Treatment of 0.749 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, hexane:isopropanol 9:1) with palladium on carbon (0.075 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.376g (66%) of (-)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = -16.0$ (*c* 10.0 in methanol); mp 205-206 °C; Anal. calcd. for $C_{18}H_{21}NOHCl$: C, 71.16; H, 7.3; N, 4.61. Found: C, 70.54; H, 7.37; N, 4.61.

Example 64: (±)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0395] Treatment of (±)-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.68 g, 4.22 mmol) with sodium azide (1.09 g, 16.88 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.107 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.95 g (81%) of (±)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 200-202 °C, Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.4; N, 5.01. Found: C, 63.93; H, 5.48; N, 4.73.

Example 65: (±)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0396] Treatment of (±)-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (3.6 g, 8.66 mmol) with sodium azide (2.25 g, 34.65 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.125 g, 5 wt.%) generally according to the procedure described for Example 1 provided 1.91 g (74%) of (±)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a tan solid, hydrochloride salt. mp 150-154 °C; Anal. calcd. for $C_{15}H_{14}ClNOHCl$: C, 60.83; H, 5.1; N, 4.73. Found: C, 59.17; H, 5.12; N, 4.38.

Example 66: (+)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0397] Treatment of 0.495 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AS, hexane:isopropanol 9:1) with hydrogen bromide (6.2 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.150g (28%) of (+)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a tan solid, hydrobromide salt. $[\alpha]_D^{25}$ = +35.7 (*c* 10.0 in methanol); mp 187-189 °C; Anal. calcd. for $C_{15}H_{14}ClNOHBr$: C,52.89; H, 4.44; N, 4.11. Found: C, 52.4; H, 4.47; N, 3.97.

Example 67: (-)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0398] Treatment of 0.542 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AS, hexane:isopropanol 9:1) with hydrogen bromide (6.8 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 afforded 0.232 g (49%) of (-)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -34.6 (*c* 10.0 in methanol); mp 189-190 °C; Anal. calcd. for $C_{15}H_{14}ClNOHBr$: C, 52.89; H, 4.44; N, 4.11. Found: C, 52.83; H, 4.47; N, 3.97.

Example 68: (±)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0399] Treatment of (±)-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (3.0 g, 7.82 mmol) with sodium azide (0.309 g, 4.48 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.030 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.25 g (80%) of (±)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white

solid, hydrochloride salt. mp 152-154 °C; Anal. calcd. for $C_{16}H_{17}NO_2HCl$: C, 65.86; H, 6.22; N, 4.8. Found: C, 65.21; H, 6.17; N, 4.46.

Example 69: (±)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0400] Treatment of (±)-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (5.2 g, 11.57 mmol) with sodium azide (3.01 g, 46.3 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.375 g, 10 wt.%) generally according to the procedure described for Example 1 provided 2.64 g (69%) of (±)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. mp 172-174 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 58.09; H, 4.6; N, 4.03.

Example 70: (±)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0401] Treatment of (±)-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.01 g, 2.56 mmol) with sodium azide (0.664 g, 10.24 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.03 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.528 g (75%) of (±)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 231-232 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 66.26; H, 7.0; N, 4.73.

Example 71: (+)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0402] Treatment of 0.198 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AD, methanol:water 19:1)) with hydrogen bromide (3.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 afforded 0.143 g (84%) of (+)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a tan solid, hydrobromide salt. $[\alpha]_D^{25} = +17.42$ (*c* 10.0 in methanol); mp >250 °C; Anal. calcd. for $C_{16}H_{17}NOHBr$: C, 60.01; H, 5.67; N, 4.37. Found: C, 59.58; H, 5.57; N, 4.26.

Example 72: (-)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0403] Treatment of 0.167 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AD, methanol:water 19:1) with hydrogen bromide (3.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.067 g (47%) of (-)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -17.02 (*c* 10.0 in methanol); mp >250 °C; Anal. calcd. for $C_{16}H_{17}NOHBr$: C, 60.01; H, 5.67; N, 4.37. Found: C, 59.5; H, 5.67; N, 4.23.

Example 73: (±)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0404] Treatment of (±)-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.1 g, 3.01 mmol) with sodium azide (0.784 g, 12.04 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.074 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.542 g (64%) of (±)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 237-240 °C; Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.4; N, 5.01. Found: C, 64.26; H, 5.33; N, 4.85.

Example 74: (+)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0405] Treatment of 0.184 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, methanol:water 19:1) with hydrogen bromide (2.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.08 g (55%) of (+)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = +13.26 (*c* 10.0 in methanol); mp 207-208 °C; Anal. calcd. for $C_{15}H_{14}FNOHBr$: C, 55.57; H, 4.66; N, 4.32. Found: C, 55.10; H, 4.59; N, 4.22.

Example 75: (-)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0406] Treatment of 0.173 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, methanol:water 19:1) with hydrogen bromide (2.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 provided 0.108 g (73%) of (-)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt.

$[\alpha]_D^{25}$ = -12.24 (*c* 10.0 in methanol); mp 208-210 °C; Anal. calcd. for $C_{15}H_{14}FNOHBr$: C, 55.57; H, 4.66; N, 4.32. Found: C, 55.12; H, 4.62; N, 4.21.

Example 76: (±)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0407] Treatment of (±)-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.2 g, 2.89 mmol) with sodium azide (0.752 g, 11.57 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.082 g, 5 wt.%) generally according to the procedure described for Example 1 provided 0.592 g (69%) of (±)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 212-214 °C; Anal. calcd. for $C_{15}H_{14}ClNOHCl$: C, 60.83; H, 65.10; N, 4.73. Found: C, 59.99; H, 5.00; N, 4.47.

Example 77: (+)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0408] Treatment of 0.226 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel AD, methanol) with hydrogen bromide (5.7 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.113 g (58%) of (+)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = +15.72 (*c* 10.0 in methanol); mp 229-231 °C; Anal. calcd. for $C_{15}H_{14}ClNOHBr$: C, 52.89; H, 4.44; N, 4.11. Found: C, 52.98; H, 4.43; N, 4.05.

Example 78: (-)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0409] Treatment of 0.229 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel AD, methanol) with hydrogen bromide (5.8 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 provided 0.121 g (61%) of (-)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -18.40 (*c* 10.0 in methanol); mp 233-235 °C; Anal. calcd. for $C_{15}H_{14}ClNOHBr$: C, 52.89; H, 4.44; N, 4.11. Found: C, 52.78; H, 4.4; N, 3.98.

Example 79: (±)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0410] Treatment of (±)-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (2.1g, 5.11 mmol) with sodium azide (1.33 g, 20.96 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.125 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.860 g (58%) of (±)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 176-178 °C; Anal. calcd. for $C_{16}H_{17}NO_2HCl$: C, 65.86; H, 6.22; N, 4.80. Found: C, 65.02; H, 6.13; N, 4.57.

Example 80: (+)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0411] Treatment of 0.314 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel AD, methanol) with palladium on carbon (0.031 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.160g (68%) of (+)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +20.34 (*c* 10.0 in methanol); mp 183-186 °C; Anal. calcd. for $C_{16}H_{17}NO_2HCl$: C, 65.86; H, 6.22; N, 4.8. Found: C, 62.45; H, 6.11; N, 4.38.

Example 81: (-)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0412] Treatment of 0.312 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate with hydrogen bromide (12.0 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 provided 0.156 g (58%) of (-)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -14.66 (*c* 10.0 in methanol); mp 185-188 °C; Anal. calcd. for $C_{16}H_{17}NO_2HBr$: C, 57.16; H, 5.4; N, 4.17. Found: C, 56.53; H, 5.48; N, 4.01.

Example 82: (±)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0413] Treatment of (±)-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (3.3 g, 7.34 mmol) with sodium azide (1.91 g, 29.38 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.205 g, 10 wt.%) generally according to the procedure described for Example 1

provided 1.82 g (75%) of (±)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. mp >250 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 57.47; H, 4.82; N, 3.65.

Example 83: (±)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0414] Treatment of (±)-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (4.2 g, 9.34 mmol) with sodium azide (2.4 g, 37.38 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.32 g, 5 wt.%) generally according to the procedure described for Example 1 provided 2.16 g (72%) of (±)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 198-200 °C; Anal. calcd. for $C_{15}H_{13}Cl_2NOHCl$: C, 54.49; H, 4.27; N, 4.24. Found: C, 54.5; H, 4.39; N, 4.16.

Example 84: (±)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0415] Treatment of (±)-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.55 g, 1.33 mmol) with sodium azide (0.345 g, 5.31 mmol) generally according to the procedure described for Intermediate 98 provided 0.35 g of (±)-2-(azidomethyl)-5-chloro-7-phenyl-2,3-dihydro-1-benzofuran as a colorless oil. Treatment of the azide with sulfided platinum on carbon (90 mg, 5 wt.%) generally according to the procedure described for Example 1 provided 0.14 g (40%) of (±)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 258 °C (dec); Anal. calcd. for $C_{15}H_{14}ClNOHCl$: C, 60.83; H, 5.1; N, 4.73. Found: C, 60.13; H, 4.95; N, 4.6.

Example 85: (+)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0416] Treatment of (±)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine (0.8 g, 2.70 mmol) with diisopropylethylamine (1.05 g, 8.10) and benzyl chloroformate (0.83 g, 4.86 mmol) generally according to the procedure described for Intermediate 12 afforded (±)-benzyl (5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate. Chiral HPLC separation of (±)-benzyl (5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, ethanol) provided two fractions. Fraction 1 (R_t = 10.875 min, (Chiralpak AD, ethanol); Fraction 2 (R_t = 15.590 min, (Chiralpak AD, ethanol). Treatment of 0.40 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl (5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD,

ethanol) with hydrogen bromide (5 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.27 g (77%) of (+)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = +0.7 (*c* 10.0 in methanol); mp 201-203 °C; Anal. calcd. for $C_{15}H_{14}ClNOHBr$: C, 52.89; H, 4.44; N, 4.11. Found: C, 52.96; H, 4.25; N, 3.88.

Example 86: (-)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0417] Treatment of 0.23 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl (5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, ethanol) with hydrogen bromide (3 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.14 g (70%) of (-)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -0.6 (*c* 10.0 in methanol); mp 201-203 °C; Anal. calcd. for $C_{15}H_{14}ClNOHBr$: C, 52.89; H, 4.44; N, 4.11. Found: C, 52.76; H, 4.38; N, 4.06.

Example 87: (±)-1-[5-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0418] Treatment of (±)-(5-chloro-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.40 g, 2.88 mmol) with 2-chlorophenylboronic acid (0.67 g, 1.49 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.25 g, 0.30 mmol), and potassium carbonate (0.83 g, 6.0 mmol) generally according to the procedure described for Intermediate 35 provided (±)-[5-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (1.5 g, 23.1 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (120 mg, 5 wt.%) generally according to the procedure described for Example 1 gave 0.70 g (80%) of (±)-1-[5-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a yellow solid, hydrochloride salt. mp 231-234 °C; Anal. calcd. for $C_{15}H_{13}Cl_2NOHCl$: C, 54.49; H, 4.27; N, 4.24. Found: C, 46.01; H, 4.17; N, 3.25.

Example 88: (±)-1-[5-chloro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0419] Treatment of (±)-2-(azidomethyl)-5-chloro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran (0.26g, 0.87 mmol) with sulfided platinum on carbon (85 mg, 5 wt.%) generally according to the procedure described for Example 1 afforded 0.14 g (59%) of (±)-1-[5-chloro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 268-271 °C; Anal. calcd. for $C_{16}H_{16}ClNOHCl$: C, 61.95; H, 5.52; N, 4.52. Found: C, 61.01; H, 5.44; N, 4.35.

Example 89: (±)-1-[5-chloro-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0420] Treatment of (±)-[5-chloro-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.00 g, 2.24 mmol) with sodium azide (0.93 g, 14.3 mmol) generally according to the procedure described for Intermediate 98 provided 0.41 g of (±)-2-(azidomethyl)-5-chloro-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (100 mg, 5 wt.%) generally according to the procedure described for Example 1 provided 0.31 g (50%) of (±)-1-[5-chloro-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 195 °C (dec); Anal. calcd for $C_{15}H_{13}Cl_2NOHCl$: C, 54.49; H, 4.27; N, 4.24. Found: C, 51.59; H, 4.21; N, 4.02.

Example 90: (±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0421] Treatment of (±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.18 g, 0.43 mmol) with sodium azide (0.11 g, 1.72 mmol) generally according to the procedure described for Intermediate 98 provided 0.13 g of (±)-2-(azidomethyl)-5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (120 mg, 5 wt.%) generally according to the procedure described for Example 1 gave 0.11 g (85%) of (±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a light yellow solid, hydrochloride salt. mp 230 °C (dec); Anal. calcd. for $C_{13}H_{12}ClNOSHCl$: C, 51.66; H, 4.34; N, 4.63. Found: C, 45.27; H, 4.19; N, 3.93.

Example 91: (-)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0422] Treatment of 0.44 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl (5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, hexane:ethanol 1:1) with hydrogen bromide (5 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.20 g (52%) of (-)-(5-chloro-7-thien-

3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -6.00 (*c* 10.0 in dimethylsulfoxide); mp 277-280 °C; Anal. calcd. for $C_{13}H_{12}ClNOSHBr$: C, 45.04; H, 3.78; N, 4.04. Found: C, 44.67; H, 3.64; N, 3.84.

Example 92: (+)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0423] Treatment of 0.40 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl (5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (Chiralpak AD, hexane:ethanol 1:1) with hydrogen bromide (5 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.31 g (89%) of (+)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = +5.01 (*c* 10.0 in dimethylsulfoxide); mp 277-280 °C; Anal. calcd. for $C_{13}H_{12}ClNOSHBr$: C, 45.04; H, 3.78; N, 4.04. Found: C, 44.88; H, 3.69; N, 3.86.

Example 93: (+)-*N*-[(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine

[0424] To a solution of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl (5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (0.50 g, 1.25 mmol) in tetrahydrofuran (20 mL) was added lithium aluminum hydride (0.30 g, 7.5 mmol, 95 wt.%) and the reaction mixture was allowed to stir at room temperature for 5 h. The reaction mixture was quenched with ethyl aceate (5 mL) and partitioned between tetrahydrofuran (50 mL) and water (20 mL). The organic layer was separated and washed with saturated aqueous sodium bicarbonate (50 mL) and saturated aqueous sodium chloride (50 mL), was dried (magnesium sulfate), and the solvent was removed *in vacuo* to provide a crude oil. Purification by flash column chromatography (silica, dichloromethane:methanol 39:1) provided a light brown oil. The oil was re-dissolved in THF (50 mL), aqueous hydrogen chloride (1.0 N, 1.5 mL) was added, and the resulting precipitate was filtered and washed with diethyl ether (15 mL) to afford 0.14 g (35%) of (+)-*N*-[(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +6.6 (*c* 10.0 in methanol); mp 263-266 °C; Anal. calcd. for $C_{14}H_{14}ClNOSHCl$: C, 53.17; H, 4.78; N, 4.43. Found: C, 52.5; H, 4.88; N, 4.26.

Example 94: (-)-*N*-[(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine

[0425] Treatment of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl (5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (0.57 g, 1.43 mmol) with lithium aluminum hydride (0.30 g, 7.5 mmol, 95 wt.%) generally according to the procedure described for Example 93 afforded 0.26 g (58%) of (-)-*N*-[(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -8.2 (*c* 10.0 in methanol); mp 263-266 °C; Anal. calcd. for $C_{14}H_{14}ClNOSHCl$: C, 53.17; H, 4.78; N, 4.43. Found: C, 53.8; H, 4.85; N, 4.25.

Example 95: (±)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0426] Treatment of (±)-(5-chloro-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.37 g, 2.81 mmol) with 2-methylphenylboronic acid (0.65 g, 4.60 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.25 g, 0.30 mmol), and potassium carbonate (0.83 g, 6.0 mmol) generally according to the procedure described for Intermediate 35 provided (±)-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (1.04 g, 16.1 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (200 mg, 5 wt.%) generally according to the procedure described for Example 1 gave 0.70 g (80%) of (±)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a light yellow solid, hydrochloric salt. mp 160-163 °C; Anal. calcd. for $C_{16}H_{16}ClNOHCl$: C, 61.95; H, 5.52; N, 4.52. Found: C, 57.75; H, 5.4; N, 3.95.

Example 96: (+)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0427] Treatment of (±)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine (0.65 g, 2.10 mmol) with diisopropylethylamine (0.813 g, 6.29) and benzyl chloroformate (0.71 g, 4.19 mmol) generally according to the procedure described for Intermediate 12 afforded (±)-benzyl [5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate. Chiral HPLC separation of (±)-benzyl [5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate. (Chiralpak AD, ethanol) provided two fractions. Fraction 1 (R_t = 9.114 min, (Chiralpak AD, ethanol); Fraction 2 (R_t = 11.426 min, (Chiralpak AD, ethanol). Treatment of 0.27 g of fraction 1 obtained from the chiral HPLC separation of(±)-

benzyl [5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AD, ethanol) with hydrogen bromide (5 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.22 g (94%) of (+)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = +1.5 (*c* 10.0 in methanol); mp 170-172 °C; Anal. calcd. for $C_{16}H_{16}ClNOHBr$: C, 54.18; H, 4.83; N, 3.95. Found: C, 53.28; H, 4.77; N, 3.66.

Example 97: (-)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0428] Treatment of 0.25 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralpak AD, ethanol) with hydrogen bromide (4 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.17 g (78%) of (-)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrobromide salt. $[\alpha]_D^{25}$ = -1.6 (*c* 10.0 in methanol); mp 170-172 °C; Anal. calcd. for $C_{16}H_{16}ClNOHBr$: C, 54.18; H, 4.83; N, 3.95. Found: C, 53.01; H, 4.76; N, 3.78.

Example 98: (±)-1-(4-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0429] Treatment of (±)-(4-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.03 g, 5.09 mmol) with sodium azide (1.32 g, 20.38 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-4-fluoro-7-phenyl-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.137 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.610 g (43%) of (±)-1-(4-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 254-257 °C; Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.40; N, 5.01. Found: C, 64.98; H, 5.48; N, 4.79.

Example 99: (±)-1-[4-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0430] Treatment of (±)-[4-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.38 g, 3.35 mmol) with sodium azide (0.87 g, 13.38 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-4-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.083 g, 10 wt.%) generally according to the procedure described for

Example 1 provided 0.561 g (57%) of (±)-1-[4-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 228-231 °C; Anal. calcd. for $C_{16}H_{16}FNOHCl$: C, 65.42; H, 5.83; N, 4.77. Found: C, 66.01; H, 5.88; N, 4.51.

Example 100: (±)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0431] Treatment of (±)-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (6.65 g, 0.015 mol) with sodium azide (3.99 g, 0.061 mol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran. Treatment of the azide with triphenylphosphine (4.18 g, 0.16 mol) generally according to the procedure described for Example 21 provided 3.37 g (70%) of (±)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp >250 °C (dec); Anal. calcd. for $C_{15}H_{13}ClFNOHCl$: C, 57.34; H, 4.49; N, 4.46. Found: C, 57.45; H, 4.75; N, 4.22.

Example 101: (+)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0432] Treatment of 1.22 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, hexane:ethanol 1:1) with hydrogen bromide (20 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 22, afforded 0.319 (34%) of (+)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +1.18 (*c* 10.0, methanol); mp 206-209 °C; Anal. calcd. for $C_{15}H_{13}ClFNOHCl$: C, 57.34; H, 4.49; N, 4.46. Found: C, 57.52; H, 4.67; N, 4.44.

Example 102: (-)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0433] Treatment of 1.19 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OJ, hexane:ethanol 1:1) with hydrogen bromide (20 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 22 provided 0.108 (12%) of (-)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid,

hydrochloride salt. mp 206-209 °C; FAB HRMS calcd. for $C_{15}H_{14}ClFNO$ $[M + H]^+$: 278.0748. Found *m/z* 278.0730.

Example 103: (±)-1-(5-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0434] Treatment of (±)-(5-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.50 g, 3.76 mmol) with sodium azide (0.979 g, 15.06 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-5-fluoro-7-phenyl-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.101 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.719 g (68%) of (±)-1-(5-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 255-260 °C; Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.4; N, 5.01. Found: C, 64.16; H, 5.54; N, 4.73.

Example 104: (±)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0435] Treatment of (±)-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.48 g, 3.59 mmol) with sodium azide (0.933 g, 14.35 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.101 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.555 g (53%) of (±)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 229-234 °C; Anal. calcd. for $C_{16}H_{16}FNOHCl \cdot 0.1\ H_2O$: C, 65.02; H, 5.87; N, 4.74. Found: C, 64.99; H, 5.83; N, 4.77.

Example 105: (+)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0436] Treatment of 1.51 g of fraction 1 obtained from the chiral HPLC separation of(±)-benzyl [5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, hexane:isopropanol 8:2) with palladium on carbon (0.151 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.981 g (87%) of (+)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = +12.18$ (*c* 10.0, methanol); mp 227-230 °C; Anal. calcd. for $C_{16}H_{16}FNOHCl$: C, 65.42; H, 5.83; N, 4.77. Found: C, 65.11; H, 5.78; N, 4.62.

Example 106: (-)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0437] Treatment of 1.65 g of fraction 2 obtained from the chiral HPLC separation of(±)-benzyl [5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, hexane:isopropanol 4:1) with palladium on carbon (0.133 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.966 g (78%) of (-)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -11.4 (*c* 10.0, methanol); mp 227-230 °C; Anal. calcd. for $C_{16}H_{16}FNOHCl$: C, 65.42; H, 5.83; N, 4.77. Found: C, 65.28; H, 5.78; N, 4.66.

Example 107: (±)-1-[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0438] Treatment of (±)-[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.84 g, 4.42 mmol) with sodium azide (1.15 g, 17.67 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.111 g, 10 wt.%) generally according to the procedure described for Example 1 provided 1.02 g (77%) of (±)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 240-247 °C (dec); Anal. calcd. for $C_{15}H_{13}F_2NOHCl$: C, 60.51; H, 4.74; N, 4.7. Found: C, 60.33; H, 4.69; N, 4.4.

Example 108: (±)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0439] Treatment of (±)-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (5.34 g, 0.011 mol) with sodium azide (2.60 g, 0.04 mol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran. Treatment of the azide with triphenylphosphine (2.89 g, 0.011 mol) generally according to the procedure described for Example 21 afforded 3.25 g (89%) of (±)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. mp 196-198 °C (dec); Anal. calcd. for $C_{16}H_{13}F_4NOHCl$: C, 55.26; H, 4.06; N,4.03. Found: C, 55.88; H, 4.26; N, 3.77.

Example 109: (±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0440] Treatment of (±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl azide (0.60 g, 2.09 mmol) in methanol (40 mL) with sulfided platinum on carbon (0.15 g, 5 wt.%) generally according to the procedure described for Example 1 provided 0.60 g (96%) of (±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a pink solid, hydrochloride salt. mp >240 °C; Anal. calcd. for $C_{15}H_{13}F_2NOHCl \cdot 0.5\ H_2O$: C, 58.74; H, 4.93; N, 4.57. Found: C, 58.6; H, 4.56; N, 4.33.

Example 110: (±)-1-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0441] Treatment of (±)-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl azide (0.85 g, 2.82 mmol) with sulfided platinum on carbon (0.30 g, 5 wt.%) generally according to the procedure described for Example 1 gave 0.78 g (67%) of (±)-1-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a grey solid, hydrochloride salt. mp >224-227 °C; Anal. calcd. for $C_{16}H_{15}F_2NOHCl \cdot 0.4\ H_2O$: C, 60.25; H, 5.31; N, 4.39. Found: C, 59.98; H, 5.33; N, 4.39.

Example 111: (±)-1-(5-chloro-7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0442] Treatment of (±)-(5-chloro-7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.10 g, 2.98 mmol) with sodium azide (1.5 g, 23.1 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-5-chloro-7-methoxy-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.080 g, 5 wt.%) generally according to the procedure described for Example 1 afforded 0.415 g (56%) of (±)-1-(5-chloro-7-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 195-198 °C; Anal. calcd. for $C_{10}H_{12}ClNO_2HCl$: C, 48.02; H, 5.24; N, 5.6. Found: C, 46.41; H, 5.09; N, 5.31.

Example 112: (±)-1-(5-chloro-2-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0443] Treatment of (±)-(5-chloro-2-methyl-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.00 g, 10.0 mmol) with phenylboronic acid (1.83 g, 15.0 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.82 g, 1.0 mmol), and potassium carbonate (2.76 g, 20.0 mmol) generally according to the procedure described for Intermediate 35 gave (±)-(5-chloro-2-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-

methylbenzenesulfonate. Treatment of (±)-(5-chloro-2-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.1 g, 9.5 mmol) with sodium azide (3.9 g, 60.0 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-5-chloro-2-methyl-7-phenyl-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.350 g, 5 wt.%) generally according to the procedure described for Example 1 provided 2.0 g (64%) of (±)-1-(5-chloro-2-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 222-225 °C; Anal. calcd. for $C_{16}H_{16}ClNOHCl$: C, 61.95; H, 5.52; N, 4.52. Found: C, 60.82; H, 5.67; N, 4.37.

Example 113: (±)-(5-chloro-2-methyl-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0444] Treatment of (±)-(5-chloro-2-methyl-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.00 g, 10.0 mmol), thiophene-3-boronic acid (1.92 g, 15.0 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.82 g, 1.0 mmol), and potassium carbonate (2.76 g, 20.0 mmol) generally according to the procedure described for Intermediate 35 provided (±)-(5-chloro-2-methyl-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (2.00 g, 30.7 mmol) generally according to the procedure described for Intermediate 98 gave (±)-2-(azidomethyl)-5-chloro-2-methyl-7-thien-3-yl-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.250 g, 5 wt.%) generally according to the procedure described for Example 1 afforded 0.7 g (22%0 of (±)-(5-chloro-2-methyl-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrochloride salt. mp 295-298 °C (dec); Anal. calcd. for $C_{14}H_{14}ClNOSHCl$: C, 53.17; H, 4.78; N; 4.43. Found: C, 52.80; H, 4.74; N, 4.24.

Example 114: (±)-(5-chloro-2-methyl-7-thien-2-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine

[0445] Treatment of (±)-(5-chloro-2-methyl-7-{[(trifluoromethyl)sulfonyl]oxy}-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (2.90 g, 5.8 mmol), thiophene-2-boronic acid (1.11 g, 8.7 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.47 g, 0.58 mmol), and potassium carbonate (1.6 g, 11.6 mmol) generally according to the procedure described for Intermediate 35 gave (±)-(5-chloro-2-methyl-7-thien-2-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatment of the

tosylate with sodium azide (2.00 g, 30.7 mmol) generally according to the procedure described for Intermediate 98 provided (±)-2-(azidomethyl)-5-chloro-2-methyl-7-thien-2-yl-2,3-dihydro-1-benzofuran. Treatment of the azide with sulfided platinum on carbon (0.250 g, 5 wt.%) generally according to the procedure described for Example 1 afforded 0.58 g of (±)-(5-chloro-2-methyl-7-thien-2-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine as a white solid, hydrochloride salt. mp 251-252 °C; Anal. calcd. for $C_{14}H_{14}ClNOSHCl$: C, 53.17; H, 4.78; N, 4.43. Found: C, 51.17; H, 4.48; N, 4.32.

Example 115: (-)-1-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0446] Treatment of 0.211 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl (7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylcarbamate (R_t = 4.39 min, Chiralpak OD, 2-butanol:carbon dioxide 2:8) with palladium on carbon (0.021 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.098 g (63%) of (-)-1-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. mp 157-159 °C; $[\alpha]_D^{25}$ = -32.46 (*c* 10.0 in methanol); Anal. calcd. for $C_{14}H_{21}NO_2HCl$: C, 61.87; H, 8.16; N, 5.15. Found: C, 59.03; H, 7.86; N, 4.77.

Example 116: (+)-1-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0447] Treatment of 0.264 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl [(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl]carbamate (R_t = 5.07 min, Chiralpak OD, 2-butanol:carbon dioxide 2:8) with palladium on carbon (0.026 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.098 g (50%) of (+)-1-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +31.9 (*c* 10.0 in methanol); mp 157-159 °C; Anal. calcd. for $C_{14}H_{21}NO_2HCl$: C, 61.87; H, 8.16; N, 5.15. Found: C, 60.04; H, 8.09; N, 4.78.

Example 117: (±)-1-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0448] Treatment of (±)-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (6.54 g, 16.92 mmol) with sodium azide (4.37 g, 67.68 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methyl azide. Treatment of the azide (1.78 g, 6.92 mmol) with triphenylphosphine (1.81 g, 6.92 mmol) generally according to the

procedure described for Example 21 afforded 0.454 g (28%) of (±)-1-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. mp 216-218 °C; Anal. calcd. for $C_{15}H_{21}NOHCl$: C, 67.28; H, 8.28; N, 5.23. Found: C, 66.19; H, 8.27; N, 5.14.

Example 118: (±)-1-[7-(3,3-dimethylbutyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0449] Treatment of (±)-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methyl azide (1.82 g, 7.07 mmol) with palladium on carbon (0.18 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.642 g (34%) of (±)-1-[7-(3,3-dimethylbutyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 158-160 °C; Anal. calcd. for $C_{15}H_{23}NOHCl$: C, 66.77; H, 8.97; N, 5.19. Found: C, 66.31; H, 8.56; N, 5.09.

Example 119: (±)-1-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0450] Treatment of (±)-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (6.53 g, 16.06 mmol) with sodium azide (4.17 g, 64.25 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methyl azide. Treatment of (±)-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methyl azide (2.2 g, 7.9 mmol) with triphenylphosphine (2.08 g, 7.9 mmol) generally according to the procedure described for Example 21 afforded 0.675 g (34%) of (±)-1-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. mp 209-211 °C; Anal. calcd. for $C_{17}H_{17}NOHCl$: C, 70.95; H, 6.3; N, 4.87. Found: C, 69.63; H, 6.46; N, 4.72.

Example 120: (±)-1-[7-(2-phenylethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0451] Treatment of (±)-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methyl azide (2.2 g, 7.9 mmol) with palladium on carbon (0.22 g, 10 wt.%) generally according to the procedure described for Example 1 provided 1.1 g (48%) of (±)-1-[7-(2-phenylethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 155-157 °C; Anal. calcd. for $C_{17}H_{19}NOHCl$: C, 70.46; H, 6.96; N, 4.83. Found: C, 70.11; H, 7.08; N, 4.62.

Example 121: (±)-1-[4-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0452] Treatment of (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.0 g, 13.0 mmol), *o*-tolylboronic acid (2.66 g, 19.57 mmol),

dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.512 g, 0.651 mmol), and potassium carbonate (4.51 g, 32.63 mmol) generally according to the procedure described for Intermediate 37 provided 4.0 g (77%) of (±)-1-[4-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a yellow oil. Treatment of (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (3.8 g, 9.63 mmol) with sodium azide (2.5 g, 38.53 mmol) generally according to the procedure described for Intermediate 98 gave 2.39 g (94%) of [4-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl azide. Treatment of the azide with palladium on carbon (0.239 g, 10 wt.%) generally according to the procedure described for Example 1 provided 2.3 g (93%) of (±)-1-[4-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 239-241 °C; Anal. calcd. for $C_{16}H_{17}NOHCl$: C, 69.69; H, 6.58; N, 5.08. Found: C, 69.36; H, 6.64; N, 4.93.

Example 122: (±)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0453] Treatment of (±)-(4-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (5.0 g, 13.05 mmol) with 2-(trifluoromethyl)phenylboronic acid (3.72 g, 17.57 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.512 g, 0.652 mmol), and potassium carbonate (4.5 g, 32.62 mmol) generally according to the procedure described for Intermediate 37 provided 4.5 g (77%) of (±)-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate as a yellow oil. Treatment of (±)-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (4.3 g, 9.59 mmol) with sodium azide (2.5 g, 38.46 mmol) generally according to the procedure described for Intermediate 98 gave 2.88 g (94%) of (±)-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl azide. Treatment of the azide with palladium on carbon (0.28 g, 10 wt.%) generally according to the procedure described for Example 1 provided 2.46 g (83%) of (±)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. mp 213-215 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 58.13; H, 4.65; N, 4.13.

Example 123: (-)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0454] Treatment of 0.825 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (R_t = 5.701 min, Chiralcel OJ, ethanol:hexane 1:1) with palladium on carbon (0.082 g, 10 wt.%)

generally according to the procedure described for Example 1 gave 0.480 g (76%) of (-)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -81.36 (*c* 10.0 in methanol); mp 203-206 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 58.12; H, 5.15; N, 3.92.

Example 124: (+)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0455] Treatment of 0.800 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl ({4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (R_t = 7.122 min, Chiralcel OJ, ethanol:hexane 1:1) with palladium on carbon (0.080 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.334 g (54%) of (-)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +79.42 (*c* 10.0 in methanol); mp 203-206 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 57.98; H, 5.36; N, 3.85.

Example 125: (±)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0456] Treatment of (±)-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (2.27 g, 5.55 mmol) with sodium azide (1.44 g, 22.23 mmol) generally according to the procedure described for Intermediate 98 gave 1.41 g (91%) of (±)-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl azide. Treatment of the azide with palladium on carbon (0.141 g, 10 wt.%) generally according to the procedure described for Example 1 provided 1.36 g (93%) of (±)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 254-256 °C; Anal. calcd. for $C_{17}H_{19}NOHCl$: C, 70.46; H, 6.96; N, 4.83. Found: C, 69.03; H, 7.05; N, 4.66.

Example 126: (+)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0457] Treatment of 0.564 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 4.818 min, Chiralcel OD, ethanol) with palladium on carbon (0.056 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.321 g (76%) of (+)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +89.54 (*c* 10.0 in methanol); mp >250 °C; Anal. calcd. for $C_{17}H_{19}NOHCl$: C, 70.46; H, 6.96; N, 4.83. Found: C, 68.75; H, 7.1; N, 4.32.

Example 127: (-)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0458] Treatment of 0.372 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (R_t = 6.985 min, Chiralcel OD, ethanol) with palladium on carbon (0.037 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.275 g (99%) of (-)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -91.76 (*c* 10.0 in methanol); mp >250 °C; Anal. calcd. for $C_{17}H_{19}NOHCl$: C, 70.46; H, 6.96; N, 4.83. Found: C, 68.59; H, 6.85; N, 4.48.

Example 128: (+)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0459] Treatment of 0.928 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl [7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylcarbamate (Chiralcel OD, hexane:isopropanol 9:1) with palladium on carbon (0.092 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.549 g (75%) of (+)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +9.90 (*c* 10.0 in methanol); mp 180-184 °C; Anal. calcd. for $C_{16}H_{17}NO_2HCl$: C, 65.86; H, 6.22; N, 4.8. Found: C, 64.46; H, 6.24; N, 4.63.

Example 129: (-)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0460] To a solution of (+)-benzyl {[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.530 g, 1.34 mmol) in acetonitrile (25 mL) cooled to 0 °C was added iodotrimethylsilane (1.07 g, 5.38 mmol) and the reaction mixture was allowed to stir for 90 min. The reaction mixture was quenched by the addition of aqueous hydrogen chloride (25 mL, 2.0 N) and washed with diethyl ether (50 mL). The aqueous layer was neutralized with aqueous sodium hydroxide (50 mL, 2.5 N) and extracted with dichloromethane (2 x 100 mL). The combined organic fractions were washed with water (75 mL), saturated aqueous sodium chloride (50 mL), dried (magnesium sulfate), and the solvent removed *in vacuo* to provide a crude oil. The oil was re-dissolved in isopropanol (2 mL) and hydrogen chloride (5.0 mL, 1.0 M in diethyl ether) was added. The resulting precipitate was filtered, washed (diethyl ether), and dried to afford 0.229 g (58%) of (-)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -11.06 (*c* 10.0 in dimethylsulfoxide); mp 186-188 °C; Anal. calcd. for $C_{15}H_{14}ClNOHCl$: C, 60.83; H, 5.1; N, 4.73. Found C, 59.59; H, 5.13; N, 4.48.

Example 130: (+)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0461] Treatment of (-)-benzyl {[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.480 g, 1.22 mmol) with iodotrimethylsilane (0.975 g, 4.87 mmol) generally according to the procedure described for Example 129 afforded 0.272 g (75%) of (+)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +9.90 (*c* 10.0 in dimethylsulfoxide); mp 186-188 °C; Anal. calcd. for $C_{15}H_{14}ClNOHCl$: C, 60.83; H, 5.1; N, 4.73. Found C, 60.53; H, 5.39; N, 4.62.

Example 131: (+)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0462] Treatment of (+)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.326 g, 0.864 mmol) with palladium on carbon (0.033 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.195 g (81%) of (+)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +18.32 (*c* 10.0 in methanol); mp 186-188 °C; Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.4; N, 5.01. Found: C, 63.32; H, 5.1; N, 4.86.

Example 132: (-)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0463] Treatment of (-)-benzyl {[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.330 g, 0.874 mmol) with palladium on carbon (0.033 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.135 g (55%) of (-)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -19.00 (*c* 10.0 in methanol); mp 186-188 °C; Anal. calcd. for $C_{15}H_{14}FNOHCl$: C, 64.4; H, 5.4; N, 5.01. Found: C, 63.52; H, 5.16; N, 4.91.

Example 133: (+)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0464] Treatment of (+)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (1.36 g, 3.49 mmol) with palladium on carbon (0.136 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.70 g (79%) of (+)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +32.44 (*c* 10.0 in methanol); mp 156-158 °C; Anal. calcd. for $C_{16}H_{17}NO_2HCl$: C, 65.86; H, 6.22; N, 4.8. Found: C, 65.25; H, 6.18; N, 4.69.

Example 134: (-)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0465] Treatment of (-)-benzyl {[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (1.38 g, 3.54 mmol) with palladium on carbon (0.138 g, 10 wt.%) generally according to the procedure described for Example 1 provided 0.558 g (62%) of (-)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -32.14 (*c* 10.0 in methanol); mp 156-158 °C; Anal. calcd. for $C_{16}H_{17}NO_2HCl$: C, 65.86; H, 6.22; N, 4.8. Found: C, 65.03; H, 6.22; N, 4.7.

Example 135: (-)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0466] Treatment of 0.680 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl ({7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (Chiralpak OJ, isopropanol:carbon dioxide 15:85) with palladium on carbon (0.068 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.301 g (67%) of (-)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -31.74 (*c* 10.0 in methanol); mp 184-186 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 58.15; H, 4.57; N, 4.21.

Example 136: (+)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0467] Treatment of 0.700 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl ({7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (Chiralpak OJ, isopropanol:carbon dioxide 15:85) with palladium on carbon (0.070 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.328 g (61%) of (+)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +31.66 (*c* 10.0 in methanol); mp 184-186 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 57.96; H, 4.44; N, 4.16.

Example 137: (+)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0468] Treatment of 0.720 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl ({7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (Chiralpak AD, methanol:water 95:5) with palladium on carbon (0.072 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.411 g (74%) of (+)-1-{7-[4-

(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +15.90 (*c* 10.0 in methanol); mp 226-229 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 57.31; H, 4.84; N, 4.09.

Example 138: (-)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0469] Treatment of 0.740 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl ({7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (Chiralpak AD, methanol:water 95:5) with palladium on carbon (0.074 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.425 g (74%) of (-)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -14.98 (*c* 10.0 in methanol); mp 226-229 °C; Anal. calcd. for $C_{16}H_{14}F_3NOHCl$: C, 58.28; H, 4.59; N, 4.25. Found: C, 57.48; H, 4.51; N, 4.09.

Example 139: (±)-1-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0470] Treatment of (±)-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol (3.5 g, 13.35 mmol) with *p*-toluenesulfonyl chloride (3.05 g, 16.01 mol) generally according to the procedure described for Intermediate 10 gave 3.5 g (64%) of (±)-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of (±)-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (3.0 g, 7.20 mmol) with sodium azide (1.87 g, 28.8 mmol) generally according to the procedure described for Intermediate 98 gave (±)-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl azide. Treatment of the azide with palladium on carbon (0.20 g, 10 wt.%) generally according to the procedure described for Example 1 provided 1.69 g (90%) of (±)-1-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 219-222 °C; Anal. calcd. for $C_{15}H_{13}F_2NOHCl$: C, 60.51; H, 4.74; N, 4.7. Found: C, 60.34; H, 4.87; N, 4.58.

Example 140: (±)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0471] Treatment of (±)-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.75 g, 1.67 mmol) with sodium azide (0.43 g, 6.67 mmol) generally according to the procedure described for Intermediate 98 afforded 0.48 g (90%) of (±)-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl azide. Treatment of the azide with triphenylphosphine (0.393 g, 1.49 mmol) generally according to the procedure described for

Example 21 afforded 0.348 g (71%) of (±)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 206-208 °C; Anal. calcd. for $C_{15}H_{13}Cl_2NOHCl$: C, 54.49; H, 4.27; N, 4.24. Found: C, 54.38; H, 4.36; N, 4.12.

Example 141: (-)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0472] Treatment of 0.081 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralpak AD, ethanol:hexane 1:1) with iodotrimethylsilane (0.153 g, 0.766 mmol) generally according to the procedure described for Example 129 gave 0.039 g (58%) of (-)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -29.8 (*c* 10.0 in dimethylsulfoxide); mp 207-209 °C; Anal. calcd. for $C_{15}H_{13}Cl_2NOHCl$: C, 54.49; H, 4.27; N, 4.24. Found: C, 54.74; H, 3.97; N, 4.23.

Example 142: (+)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0473] Treatment of 0.132 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralpak AD, ethanol:hexane 1:1) with iodotrimethylsilane (0.247 g, 1.23 mmol) generally according to the procedure described for Example 129 gave 0.036 g (56%) of (+)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +30.0 (*c* 10.0 in dimethylsulfoxide); mp 207-209 °C; Anal. calcd. for $C_{15}H_{13}Cl_2NOHCl$: C, 54.49; H, 4.27; N, 4.24. Found: C, 54.43; H, 4.017; N, 4.19.

Example 143: (±)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0474] Treatment of (±)-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (3.0 g, 6.81 mmol) with sodium azide (1.77 g, 27.26 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran. Treatment of the azide with palladium on carbon (0.215 g, 10 wt.%) generally according to the procedure described for Example 1 provided 1.57 g (72%) of (±)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 175-178 °C; Anal. calcd. for $C_{17}H_{19}NO_3HCl$: C, 63.45; H, 6.26; N, 4.35. Found: C, 62.59; H, 6.25; N, 4.01.

Example 144: (-)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0475] Treatment of (+)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.660 g, 1.57 mmol) with palladium on carbon (0.066 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.242 g (48%) of (-)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a pale yellow solid, hydrochloride salt. $[\alpha]_D^{25}$ = -4.7 (*c* 10.0 in methanol); mp 166-168 °C; Anal. calcd. for $C_{17}H_{19}NO_3HCl$: C, 63.45; H, 6.26; N, 4.35. Found: C, 60.84; H, 6.51; N, 3.98.

Example 145: (+)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0476] Treatment of (-)-benzyl {[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.638 g, 1.52 mmol) with palladium on carbon (0.066 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 0.357 g (73%) of (+)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a pale yellow solid, hydrochloride salt. $[\alpha]_D^{25}$ = +1.16 (*c* 10.0 in methanol); mp 166-168 °C; Anal. calcd. for $C_{17}H_{19}NO_3HCl$: C, 63.45; H, 6.26; N, 4.35. Found: C, 61.94; H, 6.85; N, 3.76.

Example 146: (±)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0477] Treatment of (±)-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (4.3 g, 10.37 mmol) with sodium azide (3.03 g, 46.68 mmol) generally according to the procedure described for Intermediate 98 gave 2.91 g (98%) of (±)-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl azide. Treatment of the azide with palladium on carbon (0.29 g, 10 wt.%) generally according to the procedure described for Example 1 afforded 2.3 g (76%) of (±)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp 222-224 °C; Anal calcd. for $C_{15}H_{13}F_2NOHCl$: C, 60.51; H, 4.74; N, 4.7. Found C, 60.65; H, 4.76; N, 4.51.

Example 147: (+)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0478] Treatment of (+)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (1.1 g, 2.78 mmol) with palladium on carbon (0.135 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.312 g (43%) of (+)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride

salt. $[\alpha]_D^{25}$ = +3.58 (*c* 10.0 in dimethylsulfoxide); mp 222-224 °C; Anal. calcd. for $C_{15}H_{13}F_2NOHCl$: C, 60.51; H, 4.74; N, 4.7. Found: C, 59.98; H, 4.7; N, 4.64.

Example 148: (-)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0479] Treatment of (-)-benzyl {[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.612 g, 1.55 mmol) with palladium on carbon (0.061 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.268 g (66%) of (-)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -4.66 (*c* 10.0 in dimethylsulfoxide); mp 222-224 °C; Anal. calcd. for $C_{15}H_{13}F_2NOHCl$: C, 60.51; H, 4.74; N, 4.7. Found: C, 60.34; H, 4.58; N, 4.48.

Example 149: (-)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0480] Treatment of (+)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (2.06 g, 4.81 mmol) with iodotrimethylsilane (3.85g, 19.24 mmol) generally according to the procedure described for Example 129 gave 0.933g (85%) of (-)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -3.34 (*c* 10.0 in dimethylsulfoxide); mp 203-206 °C; Anal. calcd. for $C_{15}H_{13}Cl_2NOHCl$: C, 54.59; H, 4.27; N, 4.24. Found: C, 53.69; H, 3.96; N, 3.99.

Example 150: (+)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0481] Treatment of (-)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (1.85 g, 4.32 mmol) with iodotrimethylsilane (3.45 g, 17.28 mmol) generally according to the procedure described for Example 129 gave 1.04 g (82%) of (+)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +2.7 (*c* 10.0 in dimethylsulfoxide); mp 201-204 °C; Anal. calcd. for $C_{15}H_{13}Cl_2NOHCl$: C, 54.59; H, 4.27; N, 4.24. Found: C, 54.25; H, 4.12; N, 4.16.

Example 151: (-)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0482] Treatment of 0.837 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl ({5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (R_t = 4.965 min, Chiralcel AD, methanol) with iodotrimethylsilane (1.50 g, 7.51 mmol) generally according to the procedure described for Example 129 gave 0.301 g (51%)

of (-)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -20.00 (*c* 10.0 in methanol); mp 183-188 °C; Anal. calcd. for $C_{16}H_{13}F_4NOHCl$: C, 55.26; H, 4.06; N, 4.03. Found: C, 53.42; H, 4.1; N, 4.4.

Example 152: (+)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine

[0483] Treatment of 0.751 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl ({5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)carbamate (R_t = 6.877 min, Chiralcel AD, methanol) with iodotrimethylsilane (0.675 g, 3.37 mmol) generally according to the procedure described for Example 129 gave 0.211 g (36%) of (+)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +18.98 (*c* 10.0 in methanol); mp 193-197 °C; Anal. calcd. for $C_{16}H_{13}F_4NOHCl$: C, 55.26; H, 4.06; N, 4.03. Found: C, 51.01; H, 3.76; N, 4.14.

Example 153: No compound.

Example 154: (±)-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0484] Treatment of (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.305 mmol) with (2,3-dimethyl-phenyl)boronic acid (0.294 g, 1.96 mmol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.041 g, 0.052 mmol), and potassium carbonate (0.41 g, 3.25 mmol) generally according to the procedure described for Intermediate 37 provided 0.335 g (62%) of (±)-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of (±)-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate with sodium azide (0.134 g, 2.06 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-7 amine. To a solution of (±)-2-(azidomethyl)-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-7 amine (0.135 g, 1.483 mmol) in tetrahydrofuran (5 mL) was added polymer supported triphenyl phosphine (0.152 g, 0.58 mmol) and the reaction mixture was allowed to stir at room temperature for 12 h. The reaction mixture was filtered (celite) and the solvent removed *in vacuo* to provide a colorless oil. The oil was re-dissolved in isopropanol (3 mL) and hydrogen chloride (1.0 N in diethyl ether, 10.0 mL) was added. The resulting precipitate was filtered, washed (diethyl ether), and dried to afford

0.075 g (54%) of (±)-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. mp > 225 °C:

Example 155: (±)-{[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0485] The title compound was prepared following the general procedure of Example 154 as a light yellow solid (2.91g, 58%) from (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (6.0 g, 15.65 mmol) and (2,3-dimethoxyphenyl)boronic acid (4.27 g, 23.49 mmol). mp 219-222 °C.

Example 156: (-)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0486] Treatment of 1.46 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate Chiralcel OD, 2-butanol:carbon dioxide 3:7 with palladium on carbon (0.146 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.767 g (69%) of (-)-{[(-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a tan solid, hydrochloride salt. $[\alpha]_D^{25}$ = -65.6 (*c* 10.0 in methanol); mp 146-148 °C.

Example 157: (+)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0487] Treatment of 1.45 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate Chiralcel OD, 2-butanol:carbon dioxide 3:7 with palladium on carbon (0.146 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.86 g (77%) of (+)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +61.6 (*c* 10.0 in methanol); mp 146-148 °C.

Example 158: (+)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0488] Treatment of fraction 1 (0.437 g) obtained from the chiral HPLC separation of (±)-benzyl {[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (Chiralcel AD, ethanol:hexane 1:10) in acetonitrile (25 mL) was cooled to 0 °C and treated with iodotrimethylsilane (0.883 g, 4.413 mmol) and the reaction mixture was allowed to stir at for 1h. The solvent was removed *in vacuo* and the residue quenched with aqueous hydrogen chloride (2.0 N) (300 mL) and washed with diethyl ether (300 mL). The aqueous layer was separated,

treated with 10% potassium hydroxide and dichloromethane (600 mL). The combined organic layers were washed with water (200 mL) and saturated aqueous sodium chloride (200 mL), was dried (magnesium sulfate), and the solvent was removed *in vacuo* to provide 0.20 g (60%) of (+){[-7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine (0.437 g, 1.07 mmol) as a white solid, hydrochloride salt. $[\alpha]_D^{25} = +10.2$ (*c* 10.0 in methanol); mp 190-191 °C.

Example 159: (-)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0489] The title compound was prepared (0.224 g, 74%) following the general procedure of Example 158 as a white solid, hydrochloride salt from (-)-benzyl {[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.40 g, 0.981 mmol) and iodotrimethylsilane (0.785 g, 3.92 mmol). $[\alpha]_D^{25} = -13.0$ (*c* 10.0 in methanol); mp 190-191 °C.

Example 160: (±)-{[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0490] The title compound was prepared (0.051 g, 26%) following the general procedure of Example 154 as a light yellow solid, hydrochloride salt from (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.31 mmol) and (2,3-difluoroyphenyl)boronic acid (0.618 g, 3.91 mmol). mp 219-222 °C.

Example 161: (±)-{[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0491] The title compound was prepared (0.075 g, 40%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.31 mmol) and (2,5-dimethylphenyl)boronic acid (0.618 g, 3.91 mmol). mp > 225 °C.

Example 162: (±)-{[7-(2,5-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0492] The title compound was prepared (0.043 g, 35%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.31 mmol) and (2,5-dimethoxyphenyl)boronic acid (0.356 g, 1.96 mmol). mp 128-132 °C.

Example 163: (±)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0493] The title compound was prepared (0.56 g, 45%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-2,3-dihydro-1-

benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.43 g, 1.31 mmol) and (2,5-dichlorophenyl)boronic acid (1.07 g, 5.59 mmol). mp 203-205 °C.

Example 164: (+)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0494] Treatment of 0.771 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.44 g, 7.20 mmol) generally according to the procedure described for Example 158 gave 0.202 g (59%) of (+)-{[(7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt $[\alpha]_D^{25}$ = +16.0 (*c* 10.0 in methanol); mp 181-183 °C.

Example 165: (-)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0495] Treatment of 0.710 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.33 g, 6.63 mmol) generally according to the procedure described for Example 158 gave 0.202 g (45%) of (-)-{[(-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -14.4 (*c* 10.0 in methanol); mp 184-186 °C.

Example 166: (±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0496] Treatment of 2,4,6-trichlorobromobenzene (14.5 g, 55.69 mmol) with 2-methoxybenzeneboronic acid (12.69 g, 83.54 mol), dichlorobis(tri-*o*-tolylphosphine)-palladium(II) (0.656 g, 0.835 mmol), and potassium carbonate (19.21 g, 139.22 mmol) generally according to the procedure described for Intermediate 37 provided 9.8 g (61%) of 2' 4',6'-trichloro-1,1'-biphenyl-2-yl methyl ether. To a solution of 2' 4',6'-trichloro-1,1'-biphenyl-2-yl methyl ether (9.8 g, 34.08 mmol) in dichloromethane (100 mL) cooled to –78 °C was added boron tribromide (9.38 g, 1.0 M in dichloromethane) generally according to the procedure described for Intermediate 163 provided provided 9.2 g of 2',4',6'-trichlorobiphenyl-2-ol as a yellow solid. Treatment of 2',4',6'-trichloro-1,1'-biphenyl-2-ol (9.17 g, 33.52 mmol) with potassium carbonate (18.53 g, 134.1 mmol) and allyl bromide (4.46 g, 36.87 mol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-2',4',6'-trichloro-1,1'-biphenyl-2-ol. Treatment of 3-allyl-2',4',6'-trichloro-1,1'-biphenyl-2-ol. (10.35g, 33.00 mmol) with 3-chloroperoxybenzoic acid (17.08 g, 99.00 mmol, 77%) followed by potassium carbonate (11.40 g, 82.51 mmol) generally

according to the procedure described for Intermediate 9 afforded 10.4 g (95%) of (±)-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol. Treatment of (±)-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol (10.38 g, 31.49 mmol) with *p*-toluenesulfonyl chloride (7.20 g, 37.79 mol) generally according to the procedure described for Intermediate 10 gave 10.5 g (68%) of (±)-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. Treatment of (±)-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (1.38 g, 2.85 mmol) with sodium azide (0.74g, 11.4 mmol) generally according to the procedure described for Intermediate 98 afforded 0.93 g, (92%) of (±)-2-(azidomethyl)-7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran. To a solution of (±)-2-(azidomethyl)-7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran in tetrahydrofuran (75mL) was added polymer-supported triphenylphosphine (1.36 g, 5.24 mmol) and the reaction was allowed to stir at room temperature 12 h. The reaction mixture was filtered (celite) and the solvent removed *in vacuo* to provide a crude oil. Purification by flash column chromatography (silica, 10% aqueous ammonium hydroxide in methanol:ethyl acetate 1:9) provided a colorless oil. The oil was re-dissolved in isopropanol (2 mL) and hydrogen chloride (6 mL, 1.0 M in diethyl ether) was added. The resulting precipitate was filtered, washed (diethyl ether), and dried to give 0.53 g (56%) of (±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp >225 °C.

Example 167: (±)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0497] The title compound was prepared (1.01 g, 13%) following the general procedure of Example 154 as a white solid, hydrochloride salt from 1-bromo-4-chloro-2-methyl-benzene (5.0 g, 24.33 mmol) and (2-methoxyphenyl)boronic acid (4.8 g , 31.63 mmol). mp 175-177 °C.

Example 168: (±)-{[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0498] The title compound was prepared (0.68 g, 31%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.31 mmol) and (5-chloro-2-methylphenyl)boronic acid (0.334 g, 1.96 mmol). mp 146-150 °C.

Example 169: (±)-{[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0499] The title compound was prepared(0.68 g, 35%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.31 mmol) and (5-chloro-2-methyoxlphenyl)boronic acid (0.365 g, 1.96 mmol). mp 149-152 °C.

Example 170: (±)-[(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0500] The title compound was prepared (0.770 g, 69%) following the general procedure of Example 154 as a light brown solid, hydrochloride salt from (±)-(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (4.0 g, 10.44 mmol) and pyridine-3-ylboronic acid (3.85 g, 31.31 mmol). mp 158-162 °C.

Example 171: (+)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0501] The title compound was prepared (0.17 g, 78%) following the general procedure of Example 129 as a yellow solid, hydrochloride salt from (+)-benzyl {[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.30 g, 0.832 mmol) and trimethylsilyl iodide (0.66g, 3.33 mmol). $[\alpha]_D^{25}$ = +27.2 (*c* 10.0 in methanol); mp 168-171 °C.

Example 172: (-)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0502] The title compound was prepared (0.172 g, 78%) following the general procedure of Example 129 as a light yellow solid, hydrochloride salt from (+)-benzyl {[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate (0.33 g, 0.91 mmol) and trimethylsilyl iodide (0.73g, 3.64 mmol). $[\alpha]_D^{25}$ = -20.4 (*c* 10.0 in methanol); mp 168-171 °C.

Example 173: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-phenylamine

[0503] To a solution of (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.5 g, 1.5 mmol) in toluene (20 mL) was added bromobenzene (0.23 g, 1.5 mmol), dichloro[1,1'-bis(diphenylphosphino)ferrocene]palladium(II) dichloromethane adduct (0.061 g, .075 mmol), 1,1'-bis(diphenylphosphino)ferrocene (0.125 g, 0.225 mmol), and sodium *tert*-butoxide (0.18 g, 1.875 mmol) and the reaction mixture was allowed to reflux 3 h. The solvent was removed *in vacuo*. The residue was washed with water (100mL) and ethyl acetate (50mL). The combined organic layers were washed with saturated aqueous sodium chloride, dried (magnesium sulfate), and the solvent removed *in vacuo* to provide a crude oil.

Purification by flash column chromatography (silica, ethyl acetate:hexanes 3:10) afforded (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatement of (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate with sodium azide (0.18 g, 2.78 mmol) generally according to the procedure described for Intermediate 98 afforded (±)-2-(azidomethyl)-*N*-phenyl-2,3-dihydro-1-benzofuran-7-amine. Treatment of the azide with polymer-supported triphenylphosphine (1.09 g, 4.17 mmol) generally according to the procedure described for Example 21 provided 0.042 g, (46%) of (±)-2-(aminomethyl)-*N*-phenyl-2,3-dihydro-1-benzofuran-7-amine as a white solid, fumarate salt. mp 216-218 °C.

Example 174: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methylphenyl)amine

[0504] The title compound was prepared (0.171 g, 15%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 4-bromotoluene (0.51 g, 3.0 mmol). mp 226-228 °C.

Example 175: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-chlorophenyl)amine

[0505] The title compound was prepared (0.158 g, 18%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mol) and 1-bromo-4-chlorobenzene (0.57 g, 3.0 mmol). mp 223-224 °C.

Example 176: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methoxyphenyl)amine

[0506] The title compound was prepared (0.048 g, 5%) following the general procedure of Example 173 as a yellow solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-4-methoxybenzene (0.896 g, 3.0 mmol). mp 178-180 °C.

Example 177: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-[4-(trifluoromethyl)phenyl]amine

[0507] The title compound was prepared (0.227g, 18%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-

benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-4-(trifluoromethyl)benzene (0.675 g, 3.0 mmol). mp 218-220 °C.

Example 178: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-fluorophenyl)amine

[0508] The title compound was prepared (0.066 g, 7%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-4-fluorobenzene (0.52 g, 3.0 mmol). mp 234-236 °C.

Example 179: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,4-dichlorophenyl)amine

[0509] The title compound was prepared (0.171 g, 15%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-3,4-dichlorobenzene (0.68 g, 3.0 mmol). mp 229-231 °C.

Example 180: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(2,4-dimethylphenyl)amine

[0510] The title compound was prepared (0.234 g, 24%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-2,4-dimethylbenzene (0.55 g, 3.0 mmol). mp 232-234 °C.

Example 181: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,4-dimethylphenyl)amine

[0511] The title compound was prepared (0.115 g, 12%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-3,4-dimethylbenzene (0.55 g, 3.0 mmol). mp 232-234 °C.

Example 182: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-methylphenyl)amine

[0512] The title compound was prepared (0.16 g, 17%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 3-bromotoluene (0.51 g, 3.0 mmol). mp 217-218 °C.

Example 183: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-fluorophenyl)amine

[0513] The title compound was prepared (0.266 g, 28%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 4-bromo-3-fluorobenzene (0.525 g, 3.0 mmol). mp 219-221 °C.

Example 184: (±)-N-2-(aminomethyl)-*N*-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-7-amine

[0514] The title compound was prepared (0.195 g, 18%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-3-(trifluoromethyl)benzene (0.675 g, 3.0 mmol). mp 212-214 °C.

Example 185: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methoxy-3-methylphenyl)amine

[0515] The title compound was prepared (0.03 g, 3%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 5-bromo-2-methoxytoluene (0.603 g, 3.0 mmol). mp 205-207 °C.

Example 186: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-difluorophenyl)amine

[0516] The title compound was prepared (0.185 g, 19%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-3,5-difluorobenzene (0.57 g, 3.0 mmol). mp 229-231°C.

Example 187: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-trifluoromethoxy)phenyl]amine

[0517] The title compound was prepared (0.144 g, 11%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-3-(trifluoromethoxy)benzene (0.723 g, 3.0 mmol). mp 199-201 °C.

Example 188: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chloro-4-methylphenyl)amine

[0518] The title compound was prepare(0.282 g, 27%) d following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 4-bromo-2-chlorotoluene (0.63 g, 3.0 mmol). mp 225-227 °C.

Example 189: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-dichlorophenyl)amine

[0519] The title compound was prepared (0.065 g, 6%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-3,5-dichlorophenylbenzene (0.678 g, 3.0 mmol). mp >250 °C.

Example 190: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chlorophenyl)amine

[0520] The title compound was prepared (0.284 g, 28%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 4-bromo-3-chlorophenylbenzene (0.57 g, 3.0 mmol). mp 220-222 °C.

Example 191: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-chloro-3-methylphenyl)amine

[0521] The title compound was prepared (0.298 g, 28%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-

benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 5-bromo-3-chlorotoluene (0.629 g, 3.0 mmol). mp 225-227 °C.

Example 192: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-dimethylphenyl)amine

[0522] The title compound was prepared (0.178 g, 18%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-3,5-dimethylbenzene (0.57 g, 3.0 mmol). mp >250 °C.

Example 193: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chloro-4-fluorophenyl)amine

[0523] The title compound was prepared (0.167 g, 16%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-3-chloro-4-fluorobenzene (0.63 g, 3.0 mmol). mp 244-245 °C.

Example 194: (±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(2-fluorophenyl)amine

[0524] The title compound was prepared(0.070g, 7%) following the general procedure of Example 173 as a white solid, fumarate salt from (±)-(7-anilino-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.96g, 3.0 mmol) and 1-bromo-2-fluorobenzene (0.52 g, 3.0 mmol). mp 203-205 °C.

Example 195: (±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0525] The title compound was prepared (0.16 g, 88%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate 1.0 g, 2.5 mmol) and (2-methoxyphenyl)boronic acid (0.57 g, 3.7 mmol). mp 219-220 °C.

Example 196: (±)-{[5-fluoro-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0526] The title compound was prepared (0.136 g, 46%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate 0.40 g, 1.0 mmol) and (3-fluorophenyl)boronic acid (0.22 g, 1.50 mmol). mp 193-194 °C.

Example 197: (±)-{[5-fluoro-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0527] The title compound was prepared (0.175 g, 64%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate 0.4 g, 0.996 mmol) and (3-methoxyphenyl)boronic acid (0.23 g, 1.51 mmol). mp 172-175 °C.

Example 198: (±)-{[5-fluoro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0528] The title compound was prepared (0.178 g, 68%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.4 g, 0.996 mmol) and (3-methylphenyl)boronic acid (0.21 g, 1.51 mmol). mp 228-230 °C.

Example 199: (±)-{[5-fluoro-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0529] The title compound was prepared (0.160 g, 54%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.0 mmol) and (4-fluorophenyl)boronic acid (0.22 g, 1.50 mmol). mp 241-243 °C.

Example 200: (±)-{[5-fluoro-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0530] The title compound was prepared (0.173 g, 55%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.0 mmol) and (4-chlorophenyl)boronic acid (0.25 g, 1.50 mmol). mp 221-225 °C.

Example 201: (±)-{[5-fluoro-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0531] The title compound was prepared (0.211 g, 72%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.4 g, 0.996 mmol) and (4-methylphenyl)boronic acid (0.20 g, 1.51 mmol). mp 180-183 °C.

Example 202: (±)-{[5-fluoro-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0532] The title compound was prepared (0.209 g, 68%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate 0.4 g, 0.996 mmol) and (4-methoxyphenyl)boronic acid (0.23 g, 1.51 mmol). mp 175-176 °C.

Example 203: (±)-[(5-fluoro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0533] The title compound was prepared (0.233 g, 82%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.4 g, 0.996 mmol) and 3-thienylboronic acid (0.19 g, 1.51 mmol). mp 272-274 °C.

Example 204: (±)-{[5-fluoro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0534] The title compound was prepared (0.076 g, 33%) following the general procedure of Example 154 as a yellow solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.4 g, 0.996 mmol) and 3-furylboronic acid (0.18 g, 1.51 mmol). mp 236-239 °C.

Example 205: (±)-[(5-fluoro-7-pyridin-2-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0535] The title compound was prepared (0.060 g, 11%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.60 g, 1.49 mmol) and 2-(tri-tert-butylstannyl)pyridine (2.6 g, 7.0 mmol 85%). mp 196-198 °C.

Example 206: (±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0536] The title compound was prepared (0.072 g, 13%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.60 g, 1.49 mmol) and pyridin-3-ylboronic acid (0.55 g, 4.5 mmol). mp 173-175 °C.

Example 207: (-)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0537] Treatment of 0.58 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (6 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.181 g (15%) of (-)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -16.74 (*c* 10.0 in methanol); mp 88-90 °C.

Example 208: (+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0538] Treatment of 0.59 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (6 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave 0.181 g (15%) of (+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +13.71 (*c* 10.0 in methanol); mp 86-89 °C.

Example 209: (±)-[(5-fluoro-7-pyridin-4-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0539] The title compound was prepared (0.029 g, 5%) following the general procedure of Example 154 as a off-white solid, fumarate salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and pyridin-4-ylboronic acid (0.18 g, 1.5 mmol). mp 170-171 °C.

Example 210: (±)-[(5-fluoro-7-pyrimidin-5-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0540] The title compound was prepared (0.010 g, 5%) following the general procedure of Example 154 as a white solid, fumarate salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-

benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and pyrimidin-5-ylboronic acid (0.52 g, 4.0 mmol). mp 65 °C (dec).

Example 211: (±)-{[7-(2,3-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0541] The title compound was prepared (0.076 g, 35%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and 2,3-dichlorophenylboronic acid (0.856 g, 4.5 mmol). mp 185-187 °C.

Example 212: (±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0542] The title compound was prepared (0.143 g, 40%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.25 mmol) and 2,3-dimethoxyphenylboronic acid (0.68 g, 3.0 mmol). mp 90-93 °C.

Example 213: (-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0543] Treatment of 0.60 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.10 g, 5.6 mmol) generally according to the procedure described for Example 129 gave 0.341 g (73%) of (-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -54.27 (*c* 10.0 in methanol); mp 173-175 °C.

Example 214: (+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0544] Treatment of 0.80 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.44 g, 7.2 mmol) generally according to the procedure described for Example 129 gave 0.253 g (41%) of (+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-

benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +53.38 (*c* 10.0 in methanol); mp 166-167 °C.

Example 215: (±)-{[7-(2,4-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0545] The title compound was prepared (0.163 g, 52%) following the general procedure of Example 154 as a off-white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and 2,4-difluorophenylboronic acid (0.708 g, 4.5 mmol). mp 218-220 °C.

Example 216: (±)-{[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0546] The title compound was prepared (0.049 g, 14%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and 2,4-dichlorophenylboronic acid (0.856 g, 4.5 mmol). mp 107-109 °C.

Example 217: (-)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0547] Treatment of 0.50 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dichloroyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.12 g, 4.40 mmol) generally according to the procedure described for Example 129 gave 0.234 g (60%) of (-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl] methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -2.07 (*c* 10.0 in methanol); mp 175-178 °C.

Example 218: (+)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0548] Treatment of 0.50 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.12 g, 4.40 mmol) generally according to the procedure described for Example 129 gave 0.220 g (56%) of (+)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-

benzofuran-2-yl] methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = +1.80$ (*c* 10.0 in methanol); mp 203-205 °C.

Example 219: (±)-{[7-(2,4-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0549] The title compound was prepared (0.068 g, 20%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and 2,4-dimethoxyphenylboronic acid (0.819 g, 4.5 mmol). mp 141-143 °C.

Example 220 (±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0550] The title compound was prepared (0.068 g, 20%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and 2,5-difluorophenylboronic acid (0.473 g, 3.0 mmol). mp 203-205 °C.

Example 221: (±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0551] The title compound was prepared (0.098 g, 28%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and 2,5-dichlorophenylboronic acid (0.57 g, 3.0 mmol). mp 165-166 °C.

Example 222: (±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0552] The title compound was prepared (0.026 g, 9%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and 2,5-dimethylphenylboronic acid (0.45 g, 3.0 mmol). mp 153-155 °C.

Example 223: (±)-{[7-(2,5-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0553] The title compound was prepared (0.064 g, 19%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 0.996 mmol) and 2,5-dimethoxyphenylboronic acid (0.54 g, 3.0 mmol). mp 120-122 °C.

Example 224: (±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0554] The title compound was prepared (0.083 g, 26%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.41 g, 1.0 mmol) (5-methoxy-2-methylphenyl)boronic acid (0.5 g, 3.0 mmol). mp 233-235 °C.

Example 225: (±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0555] The title compound was prepared (0.017 g, 5%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-fluoro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40g, 1.0 mmol) (2-methoxy-5-methylphenyl)boronic acid (0.51 g, 3.0 mmol). mp 110-111 °C.

Example 226: (±)-{[7-(2,6-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0556] The title compound was prepared (0.140 g, 9%) following the general procedure of Example 166 as a white solid, hydrochloride salt from (2,6-difluorophenyl)boronic acid (4.0 g, 23.5 mmol) and (2-bromo-1,3-difluorobenzene (3.1 g, 15.7 mmol). mp 235-237 °C.

Example 227: (±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0557] The title compound was prepared (0.109 g, 3%) following the general procedure of Example 166 as a white solid, hydrochloride salt from (2,6-dimethylphenyl)boronic acid (4.0 g, 23.0 mmol) and 2-bromo-1,3-dimethylbenzene (2.9 g, 15.6 mmol). mp 241-243 °C.

Example 228: (+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0558] Treatment of 0.50 g of fraction 1 obtained from the chiral HPLC separation of (±)-[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate with sodium azide (0.38 g, 58.5 mmol) generally according to the procedure described for Example 1 gave 0.28 g (80%) of (+)-2-(azidomethyl)-7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran as a colorless oil. Treatment of the azide with triphenyl phosphine (0.74 g, 2.82 mmol) generally according to the procedure described for Example 154 afforded a white solid, hydrochloride salt; $[\alpha]_D^{25}$ = +10.83 (*c* 10.0 in methanol); mp 192-194 °C.

Example 229: (-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0559] Treatment of 0.50 g of fraction 2 obtained from the chiral HPLC separation of (±)-[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate with sodium azide (0.38 g, 58.5 mmol) generally according to the procedure described for Example 1 gave 0.22 g (63%) of (-)-2-(azidomethyl)-7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran as a colorless oil. Treatment of the azide with triphenyl phosphine (0.58 g, 2.22 mmol) generally according to the procedure described for Example 154 afforded a white solid, hydrochloride salt; $[\alpha]_D^{25}$ = -6.6 (*c* 10.0 in methanol); mp 180-183 °C.

Example 230: (±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0560] The title compound was prepared (0.21 g, 5%) following the general procedure of Example 166 as a white solid, hydrochloride salt from (5-fluoro-2-methoxyphenyl)boronic acid (3.0 g, 17.6 mmol) and 2-bromo-1,3-dichlorobenzene (2.65 g, 12.0 mmol). mp 204-205 °C.

Example 231: (±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine

[0561] The title compound was prepared (0.035 g, 30%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-

dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.14 g, 0.30 mmol) and cyclopropylamine (0.17 g, 3.0 mmol). mp 112-113 °C.

Example 232: (±)-1-cyclopropyl-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methanamine

[0562] The title compound was prepared (0.066 g, 54%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.14 g, 0.30 mmol) and (aminomethyl)cyclopropane (0.21 g, 3.0 mmol). mp 130-133 °C.

Example 233 (±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine

[0563] The title compound was prepared (0.074 g, 61%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.14 g, 0.30 mmol) and cyclobutylamine (0.21 g, 3.0 mmol). mp 128-130 °C.

Example 234: (±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine

[0564] The title compound was prepared (0.068 g, 56%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.32 mmol) and ethylamine (0.138 g, 3.2 mmol). mp 138.140 °C.

Example 235: (±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine

[0565] The title compound was prepared (0.092 g, 84%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.13 g, 0.28 mmol) and propylamine (0.165 g, 2.80 mmol). mp >250 °C.

Example 236: (±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine

[0566] The title compound was prepared (0.065 g, 66%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.14 g, 0.3 mmol) and isopropylamine (0.18 g, 3.0 mmol). mp 134-135 °C.

Example 237 (±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine

[0567] The title compound was prepared (0.069 g, 61%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.14 g, 0.3 mmol) and dimethylamine (0.14 g, 3.0 mmol). mp 199-201 °C.

Example 238: (±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}piperidine

[0568] The title compound was prepared (0.074 g, 69%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.12 g, 0.26 mmol) and piperidine (0.22 g, 2.6 mmol). mp 184-186 °C.

Example 239: (±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}morpholine

[0569] The title compound was prepared (0.069 g, 61%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.14 g, 0.30 mmol) and morpholine (0.26 g, 3.0 mmol). mp 196-199 °C.

Example 240: (±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

[0570] The title compound was prepared (0.069 g, 61%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-fluoro-7-(2,6-

dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.13 g, 0.28 mmol) and pyrrolidine (0.20 g, 2.8 mmol). mp 65-67 °C.

Example 241: (±)-{[5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0571] The title compound was prepared (0.017 g, 39%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and (2-fluorophenyl)boronic acid (0.6 g, 4.3 mmol). mp 235-237 °C.

Example 242: (±)-{[5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0572] The title compound was prepared (0.017 g, 10%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.1 g, 2.42 mmol) and (2-methoxyphenyl)boronic acid (1.55 g, 9.68 mmol). mp 240-242 °C.

Example 243: (±)-{[5-chloro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0573] The title compound was prepared (0.017 g, 9%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 3-furylboronic acid (0.54 g, 4.82 mmol). mp >250 °C.

Example 244: (±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0574] The title compound was prepared (0.131 g, 56%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,3-difluorophenylboronic acid (0.76 g, 4.81 mmol). mp 216-218 °C.

Example 245: (-)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0575] Treatment of 0.66 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

with hydrogen bromide (15 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 2 gave a crude salt. The salt was washed with saturated sodium bicarbonate (200 mL) and extracted with ethyl acetate (2 x 200 mL). The combined organic layers were washed with saturated aqueous sodium chloride (100 mL), dried (sodium sulfate), and the solvent was removed *in vacuo* to provide a colorless oil. The oil was re-dissolved in isopropanol (3 mL) and hydrogen chloride (1.0 N in diethyl ether, 10.0 mL) was added. The resulting precipitate was filtered, washed (diethyl ether), and dried to afford 0.391 g (76%) of (-)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -13.8 (*c* 10.0 in methanol); mp 225-227 °C.

Example 246: (+)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0576] Treatment of 0.63 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (15 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.387 g (66%) of (+)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +10.6 (*c* 10.0 in methanol); mp 225-227 °C.

Example 247: (±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0577] The title compound was prepared (0.160 g, 55%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,3-dichlorophenylboronic acid (0.92 g, 4.81 mmol). mp 245-246 °C.

Example 248: (±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0578] The title compound was prepared (0.072 g, 31%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,3-dimethylphenylboronic acid (0.70 g, 4.81 mmol). mp 223-225 °C.

Example 249: (±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0579] The title compound was prepared (0.137 g, 47%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,3-dimethoxyphenylboronic acid (0.88 g, 4.81 mmol). mp 120-122 °C.

Example 250: (±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0580] The title compound was prepared (0.134 g, 57%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,4-difluorophenylboronic acid (0.76 g, 4.81 mmol). mp 216-218 °C.

Example 251: (±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0581] The title compound was prepared (0.10 g, 42%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,4-dichlorophenylboronic acid (0.92 g, 4.81 mmol). mp 202-204 °C.

Example 252: (-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0582] Treatment of 0.67 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl} carbamate with hydrogen bromide (15 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.465 g (88%) of (-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = -8.5$ (*c* 10.0 in methanol); mp 237-239 °C.

Example 253: (+)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0583] Treatment of 0.42 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl} carbamate

with hydrogen bromide (15 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.275 g (83%) of (+)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt; $[\alpha]_D^{25} = +10.1$ (*c* 10.0 in methanol); mp 240-242 °C.

Example 254: (±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0584] The title compound was prepared (0.075 g, 45%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,4-dimethoxyphenylboronic acid (0.88 g, 4.81 mmol). mp 220-222 °C.

Example 255: (±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0585] The title compound was prepared (0.118 g, 42%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,5-difluorophenylboronic acid (0.76 g, 4.81 mmol). mp 242-244 °C.

Example 256: (±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0586] The title compound was prepared (0.137 g, 52%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,5-dichlorophenylboronic acid (0.92 g, 4.81 mmol). mp 160-162 °C.

Example 257: (±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0587] The title compound was prepared (0.159 g, 56%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and (5-chloro-2-methoxyphenyl)boronic acid (0.90 g, 4.81 mmol). mp 174-176 °C.

Example 258: (±)-{[5-chloro-7-(3,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0588] The title compound was prepared (0.121 g, 44%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 3,4-difluorophenylboronic acid (0.76 g, 4.81 mmol). mp >250 °C.

Example 259 (±)-{[5-chloro-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0589] The title compound was prepared (0.068 g, 47%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 3-chloro-4-fluorophenylboronic acid (0.84 g, 4.81 mmol). mp 214-243 °C.

Example 260: (±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0590] The title compound was prepared (0.246 g, 53%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.505 g, 1.21 mmol) and 2,6-dimethylphenylboronic acid (2.8 g, 18.66 mmol). mp 173-175 °C.

Example 261: (-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0591] Treatment of 0.67 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (15 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 provided 0.226 g (44%) of (-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = -16.9$ (*c* 10.0 in methanol); mp 200-202 °C.

Example 262: (+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0592] Treatment of 0.66 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate

with hydrogen bromide (15 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 afforded 0.339 g (67%) of (+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +14.9 (*c* 10.0 in methanol); mp 204-206 °C.

Example 263: (±)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0593] The title compound was prepared (0.072 g, 8%) following the general procedure of Example 166 as a white solid, hydrochloride salt from (5-chloro-2-methoxyphenyl)boronic acid (5.0 g, 26.88 mmol) and 2-bromo-1,3-dichlorobenzene (12.14 g, 53.76 mmol). mp 234-236 °C.

Example 264: (+)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0594] Treatment of 0.80 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.46g, 7.20 mmol) generally according to the procedure described for Example 158 afforded 0.341 g (56%) of (+)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +33.61 (*c* 10.0 in methanol); mp >250 °C.

Example 265: (-)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0595] Treatment of 0.60 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.09 g, 5.60 mmol) generally according to the procedure described for Example 158 gave 0.253 g (55%) of (-)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -31.76 (*c* 10.0 in methanol); mp >250 °C.

Example 266: (±)-{[(5-chloro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0596] The title compound was prepared (0.162 g, 45%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-chloro-2,3-

dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.20 mmol) and pyridin-3-ylboronic acid (0.50 g, 3.86 mmol). mp >250 °C.

Example 267: (±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine

[0597] The title compound was prepared (0.056 g, 34%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and cyclopropylamine (0.39 g, 6.77 mmol). mp 214-216 °C.

Example 268: (±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}(cyclopropylmethyl)amine

[0598] The title compound was prepared (0.058 g, 45%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and (aminomethyl)cyclopropane (0.50 g, 6.77 mmol). mp 203-205 °C.

Example 269: (±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine

[0599] The title compound was prepared (0.027 g, 21%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and cyclobutylamine (0.49 g, 6.77 mmol). mp 203-205 °C.

Example 270: No compound

Example 271: (±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine

[0600] The title compound was prepared (0.079 g, 66%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and ethylamine (0.14 g, 3.38 mmol). mp 230-232 °C.

Example 272: (±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine

[0601] The title compound was prepared (0.064 g, 52%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and isopropylamine (0.40 g, 6.76 mmol). mp 213-215 °C.

Example 273: No compound.

Example 274: (±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine

[0602] The title compound was prepared (0.068 g, 57%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and dimethylamine (0.18 g, 6.76 mmol). mp 220-222 °C.

Example 275: (±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}piperidine

[0603] The title compound was prepared (0.095 g, 72%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and piperidine (0.58 g, 6.76 mmol). mp > 235 °C.

Example 276: (±)-4-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}morpholine

[0604] The title compound was prepared (0.09 g, 68%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and morpholine (0.58 g, 6.76 mmol). mp 228-230 °C.

Example 277: (±)-4-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}thiomorpholine

[0605] The title compound was prepared (0.55 g, 39%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-

2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and thiomorpholine (0.72 g, 6.76 mmol). mp 224-226 °C.

Example 278: (±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine

[0606] The title compound was prepared (0.094 g, 76%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and propylamine (0.40 g, 6.76 mmol) mp 200-202 °C.

Example 279: (±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}piperazine

[0607] The title compound was prepared (0.118 g, 81%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and piperazine (0.58 g, 6.76 mmol). mp 190-192 °C.

Example 280: (±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

[0608] The title compound was prepared (0.094 g, 73%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-([5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.338 mmol) and pyrrolidine (0.48 g, 6.76 mmol). mp 245-247 °C.

Example 281: (±)-{[(5-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0609] Treatment of 2-bromo-4-methylphenol (19.09 g, 102 mmol) with potassium carbonate (56.0 g, 400 mmol) and allyl bromide (15.96 g, 130 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 2-allyl-6-bromo-4-methylphenol. Treatment of 2-allyl-6-bromo-4-methylphenol (5.21 g, 23.0 mmol) with 3-chloroperoxybenzoic acid (9.13 g, 34.50 mmol, 77%) followed by potassium carbonate (7.9 g, 57.5 mmol) generally according to the procedure described for Intermediate 9 afforded 2.14 g (43%) of (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methanol (2.41 g, 10.0 mmol) with *p*-toluenesulfonyl chloride (2.1 g, 11.0 mol) generally

according to the procedure described for Intermediate 10 gave 3.31 g (84%) of (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a yellow oil. Treatment of (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.25 g, 0.63 mmol) and phenylboronic acid (0.23 g, 1.89 mmol) generally according to the procedure described for Intermediate 154 afforded 0.23 g, (93%) of (±)-(5-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.19 g, 3.0 mmol) generally according to the procedure described for Intermediate 98 afforded 0.15g (97%) of (±)-2-(azidomethyl)-5-methyl-7-phenyl-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-5-methyl-7-phenyl-2,3-dihydro-1-benzofuran with polymer-supported triphenylphosphine (0.297 g, 1.13 mmol) according to the procedure described in Example 21 afforded 0.106 g (61%) of (±)-[(5-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine as a white solid, hydrochloride salt. mp 227-228 °C.

Example 282: (±)-{[7-(2-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0610] The title compound was prepared (0.111 g, 49%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.76 mmol) and 2-methylphenylboronic acid (0.32 g, 3.00 mmol). mp 260-263 °C.

Example 283: (±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0611] The title compound was prepared (0.136 g, 46%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.00 mmol) and 2-fluorophenylboronic acid (0.42 g, 3.00 mmol). mp 232-234 °C.

Example 284: (±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0612] The title compound was prepared (0.136 g, 44%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-

dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.00 mmol) and 2-methoxyphenylboronic acid (0.46 g, 3.00 mmol). mp 194-195 °C.

Example 285: No compound.

Example 286: (±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0613] The title compound was prepared (0.135 g, 58%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.76 mmol) and 2-chlorophenylboronic acid (0.35 g, 2.28 mmol). mp 260-263 °C.

Example 287: (±)-({5-methyl-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine

[0614] The title compound was prepared (0.135 g, 58%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.31 g, 0.76 mmol) and 2-(trifluoromethyl)phenylboronic acid (0.36 g, 2.28 mmol). mp 211-213 °C.

Example 288: (±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0615] The title compound was prepared (0.028 g, 9%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.00 mmol) and 3-chlorophenylboronic acid (0.47 g, 3.00 mmol). mp 90-93 °C.

Example 289: (±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0616] The title compound was prepared (0.107 g, 37%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.00 mmol) and 3-methylphenylboronic acid (0.41 g, 3.00 mmol). mp 235-237 °C.

Example 290: (±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0617] The title compound was prepared (0.116 g, 38%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.00 mmol) and 4-methylphenylboronic acid (0.46 g, 3.00 mmol). mp 172-173 °C.

Example 291: (±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0618] The title compound was prepared (0.112 g, 39%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.00 mmol) and 4-fluorophenylboronic acid (0.42 g, 3.00 mmol). mp 225-227 °C.

Example 292: (±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0619] The title compound was prepared (0.097 g, 31%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.00 mmol) and 4-chlorophenylboronic acid (0.47 g, 3.00 mmol). mp 250-252 °C.

Example 293: (±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0620] The title compound was prepared (0.116 g, 38%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.40 g, 1.00 mmol) and 4-methoxyphenylboronic acid (0.49 g, 3.00 mmol). mp 207-209 °C.

Example 294: (±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0621] The title compound was prepared (0.164 g, 44%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,3 dimethoxyphenylboronic acid (0.69 g, 3.75 mmol). mp 97-99 °C.

Example 295: (-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0622] Treatment of 0.59 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.08 g, 5.44 mmol) generally according to the procedure described for Example 158 gave 0.316 g (69%) of (-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -73.6 (*c* 10.0 in methanol); mp 120-123 °C.

Example 296: (+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0623] Treatment of 0.52 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (0.956 g, 4.80 mmol) generally according to the procedure described for Example 158 afforded 0.127 g (32%) of (+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +74.51 (*c* 10.0 in methanol); mp 98-100 °C.

Example 297: (±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0624] The title compound was prepared (0.124 g, 29%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,4 dichlorophenylboronic acid (0.72 g, 3.8 mmol). mp 172-173 °C.

Example 298: (-)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0625] Treatment of 0.50 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (0.905g, 4.52 mmol) generally according to the procedure described for Example 129 provided 0.275 g (71%) of (-)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -8.0 (*c* 10.0 in methanol); mp 173-176°C.

Example 299: (+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0626] Treatment of 0.48 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (0.868 g, 4.34 mmol) generally according to the procedure described for Example 129 afforded 0.254 g (68%) of (+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +7.25 (*c* 10.0 in methanol); mp 173-176 °C.

Example 300: (±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0627] The title compound was prepared (0.121 g, 28%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,5-dichlorophenylboronic acid (0.72 g, 3.8 mmol). mp 155-156 °C.

Example 301: (±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0628] The title compound was prepared (0.030 g, 11%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,6 dimethylphenylboronic acid (0.76 g, 4.00 mmol). mp 234-235 °C.

Example 302: (±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0629] The title compound was prepared (0.030 g, 21%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.56 g, 1.41 mmol) and 2,6 dichlorophenylboronic acid (2.17 g, 14.10 mmol). mp 193-195 °C.

Example 303: (-)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0630] Treatment of 0.72 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.28g, 7.20 mmol) generally according to the procedure described for Example 158 gave 0.227 g (41%) of (-)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -28.9 (*c* 10.0 in methanol); mp 222-224°C.

Example 304: (+)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0631] Treatment of 0.65 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (1.18g, 6.00 mmol) generally according to the procedure described for Example 158 gave 0.259 g (51%) of (+)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride; $[\alpha]_D^{25}$ = +33.82 (*c* 10.0 in methanol); salt. mp 222-224°C.

Example 305: (±)-{[5-ethyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0632] To a solution of 4-ethylphenol (10.0 g, 82.0 mmol) in acetonitrile (250 mL) cooled to 0 °C was slowly added N-bromosuccinimide (16.0 g, 90 mmol) and the reaction mixture was allowed to stir at 0 °C for 1 h. The solvent was removed *in vacuo* and the reaction mixture was diluted with ice water (500 mL) and diethyl ether (500 mL). A solid precipitate was removed via filtration and the aqueous phase was separated and extracted with ethyl ether (2 x

200 mL). The combined organic extracts were washed with saturated aqueous sodium chloride (400 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:9) gave 8.35 g (51%). Treatment of 2-bromo-4-ethylphenol (8.35 g, 41.0 mmol) with potassium carbonate (14.3 g, 100 mmol) and allyl bromide (6.57 g, 130 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 2-allyl-6-bromo-4-ethylphenol. Treatment of 2-allyl-6-bromo-4-ethylphenol (5.18 g, 22.0 mmol) with 3-chloroperoxybenzoic acid (8.60 g, 33.0 mmol, 77%) followed by potassium carbonate (7.4 g, 55.0 mmol) generally according to the procedure described for Intermediate 9 afforded 3.94 g (70%) of (±)-(7-bromo-5-ethyl-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(7-bromo-5-ethyl-2,3-dihydro-1-benzofuran-2-yl)methanol (3.94 g, 15.0 mmol) with *p*-toluenesulfonyl chloride (3.5 g, 18.0 mol) generally according to the procedure described for Intermediate 10 gave 5.78 g (92%) of (±)-(7-bromo-5-ethyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. Treatment of (±)-(7-bromo-5-ethyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.73 mmol) and 2-methylphenylboronic acid (0.30 g, 2.19 mmol) generally according to the procedure described for Intermediate 35 afforded 0.30 g, (97%) of (±)-(5-ethyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.23 g, 3.55 mmol) generally according to the procedure described for Intermediate 98 afforded 0.16g (77%) of (±)-2-(azidomethyl)-5-ethyl-7-phenyl-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-5-ethyl-7-phenyl-2,3-dihydro-1-benzofuran with polymer-supported triphenylphosphine (0.786 g, .869 mmol) according to the procedure described in Example 154 afforded 0.009 g (4%) of (±)-[(5-ethyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine as a white solid, hydrochloride salt. mp 198 °C (dec).

Example 306: (±)-{[5-ethyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0633] The title compound was prepared (0.174 g, 74%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-ethyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.3 g, 0.73 mmol) and 2-chlorophenylboronic acid (0.34 g, 2.19 mmol). mp 268-271 °C.

Example 307: (±)-{[5-isopropyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0634] To a solution of 4- isopropylphenol (13.38 g, 98.0 mmol) with N-bromosuccinimide (17.5 g, 98 mmol) generally according to the procedure described for Example 305 afforded 13.78 g (65%) of 2-bromo-4-isopropylphenol. Treatment of 2-bromo-4-isopropylphenol (13.74 g, 41.0 mmol) with potassium carbonate (22.0 g, 160 mmol) and allyl bromide (9.23 g, 76.8 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 2-allyl-6-bromo-4-isopropylphenol. Treatment of 2-allyl-6-bromo-4-isopropylphenol (6.85 g, 27.0 mmol) with 3-chloroperoxybenzoic acid (7.72 g, 27.0 mmol, 77%) followed by potassium carbonate (9.3 g, 67.5.0 mmol) generally according to the procedure described for Intermediate 9 afforded 1.12 g, (17%) of (±)-(7-bromo-5-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(7-bromo-5-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methanol (1.12 g, 4.6 mmol) with *p*-toluenesulfonyl chloride (1.32 g, 6.9 mmol) generally according to the procedure described for Intermediate 10 gave 1.90 g (97%) of (±)-(7-bromo-5-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. Treatment of (±)-(7-bromo-5-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.4 g, 0.94 mmol) and 2-methylphenylboronic acid (0.38 g, 2.82 mmol) generally according to the procedure described for Intermediate 35 afforded 0.19 g, (46%) of (5-isopropyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.141 g, 2.17 mmol) generally according to the procedure described for Intermediate 98 afforded 0.11g (83%) of (±)-2-(azidomethyl)-5-isopropyl-7-phenyl-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-5-isopropyl-7-phenyl-2,3-dihydro-1-benzofuran with polymer-supported triphenylphosphine (0.188 g, .716 mmol) according to the procedure described in Example 154 afforded 0.055 g (48%) of (±)-[(5-isopropyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine as a white solid, hydrochloride salt. mp 221-222 °C (dec).

Example 308: (±)-{[5-isopropyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0635] The title compound was prepared (0.096 g, 30%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.4 g, 0.94 mmol) and 2-chlorophenylboronic acid (0.44 g, 2.81 mmol). mp 257-260 °C.

Example 309: (±)-{[5-cyclopentyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0636] To a solution of 4-cyclopentylphenol (3.0 g, 18.0 mmol) in acetonitrile (30 mL) cooled to 0 °C was slowly added N-bromosuccinimide (3.29 g, 18 mmol) generally according to the procedure described for Example 309 afforded 3.75 g (84%) of 2-bromo-4-cyclopentylphenol Treatment of 2-bromo-4-cyclopentylphenol (3.75 g, 16.0 mmol) with potassium carbonate (5.4.0 g, 40 mmol) and allyl bromide (2.38 g, 20.8 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 2-allyl-6-bromo-4-cyclopentylphenol. Treatment of 2-allyl-6-bromo-4-cyclopentylphenol (3.0 g, 10.0 mmol) with 3-chloroperoxybenzoic acid (3.49 g, 12.0 mmol, 77%) followed by potassium carbonate (3.7 g, 25.0 mmol) generally according to the procedure described for Intermediate 9 afforded 1.68 g, (53%) of (±)-(7-bromo-5-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(7-bromo-5-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methanol (1.68 g, 4.6 mmol) with *p*-toluenesulfonyl chloride (0.96 g, 5.0 mmol) generally according to the procedure described for Intermediate 10 gave 1.78 g (70%) of (±)-(7-bromo-5-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a colorless oil. Treatment of (±)-(7-bromo-5-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.5 g, 1.1 mmol) and 2-methylphenylboronic acid (0.45 g, 3.3 mmol) generally according to the procedure described for Intermediate 35 afforded 0.51 g, (99%) of (5-cyclopentyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.239 g, 3.67 mmol) generally according to the procedure described for Intermediate 98 afforded 0.16g (65%) of (±)-2-(azidomethyl)-5-isopropyl-7-phenyl-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-5-cyclopentyl-7-phenyl-2,3-dihydro-1-benzofuran with polymer-supported triphenylphosphine (0.24 g, 0.48 mmol) according to the procedure described in Example 154 afforded 0.126 g (50%) of (±)-[(5-cyclopentyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine as a white solid, hydrochloride salt. mp 190-193 °C (dec).

Example 310: (±)-{[5-cyclopentyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0637] The title compound was prepared (0.171 g, 42%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.10 mmol) and 2-chlorophenylboronic acid (0.52 g, 3.30 mmol). mp 268-271 °C.

Example 311: (±)-2-(aminomethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-5-carbonitrile

[0638] Treatment of 3-bromo-4-hydroxybenzonitrile (10.0 g, 50.0 mmol) with potassium carbonate (27.9 g, 200 mmol) and allyl bromide (7.96 g, 66.0 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-5-bromo-4-hydroxybenzonitrile. Treatment of 3-allyl-5-bromo-4-hydroxybenzonitrile (4.63 g, 19.0 mmol) with 3-chloroperoxybenzoic acid (6.2 g, 35.93 mmol, 77%) followed by potassium carbonate (6.56g, 47.5 mmol) generally according to the procedure described for Intermediate 9 afforded 1.30 g (426) of (±)-7-bromo-2-(hydroxymethyl)-2,3-dihydro-1-benzofuran-5-carbonitrile. Treatment of (±)-7-bromo-2-(hydroxymethyl)-2,3-dihydro-1-benzofuran-5-carbonitrile (1.3 g, 5.0 mmol) with *p*-toluenesulfonyl chloride (1.02 g, 5.4 mol) generally according to the procedure described for Intermediate 10 gave 1.5 g (72%) of (±)-(7-bromo-5-cyano-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. Treatment of (±)-(7-bromo-5-cyano-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.73 mmol) and 2-methylphenylboronic acid (0.3 g, 2.20 mmol) generally according to the procedure described for Intermediate 35 afforded 0.33 g, (99%) of (±)-[5-cyano-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.26 g, 4.0 mmol) generally according to the procedure described for Intermediate 98 afforded 0.17 g (74%) of (±)-2-(azidomethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-5-carbonitrile. Treatment of the azide with polymer-supported triphenylphosphine (0.24 g, 0.67 mmol) according to the procedure described in Example 154 afforded 0.118 g (53%) of (±)-2-(aminomethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-5-carbonitrile as a white solid, hydrochloride salt. mp 127-129 °C.

Example 312: (±)-2-(aminomethyl)-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-5-carbonitrile

[0639] The title compound was prepared (0.27 g, 57%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-cyano-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.60 g, 1.50 mmol) and 2-chlorophenylboronic acid (0.69 g, 4.50 mmol). mp 173-175 °C.

Example 313: (±)-{[5-(trifluoromethyl)-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0640] To a solution of 4-(trifluoromethyl)phenol (5.0 g, 30.86 mmol) in carbon tetrachloride (100 mL) cooled to 0 °C was added dropwise over 4 hours bromine (4.94 g, 30.86 mmol) in carbon tetrachloride (25 mL) and the reaction mixture was allowed to stir at 0 °C for 24

h. The reaction mixture was washed with 10% aqueous sodium bisulfite (100 mL) and dichloromethane (300 mL). The aqueous phase was separated and extracted with dichloromethane (2 x 100 mL). The combined organic extracts were washed with saturated aqueous sodium chloride (400 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 2:8) gave 4.69 g (63%). Treatment of 2-bromo-4-(trifluoromethyl)phenol (4.69 g, 19.5 mmol) with sodium hydride (0.86g, 21.0 mmol 60%) and allyl bromide (2.5 g, 21.0 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 2-allyl-6-bromo-4-(trifluoromethyl)phenol. Treatment of 2-allyl-6-bromo-4-(trifluoromethyl)phenol (3.66 g, 13.0 mmol) with 3-chloroperoxybenzoic acid (5.84 g, 26.0 mmol, 77%) followed by potassium carbonate (2.5 g, 19.5 mmol) generally according to the procedure described for Intermediate 9 afforded 3.50g (91%) of (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(7-bromo-5-(trifluoromethyl -2,3-dihydro-1-benzofuran-2-yl)methanol (3.5 g, 11.78 mmol) with *p*-toluenesulfonyl chloride (3.6 g, 17.67 mol) generally according to the procedure described for Intermediate 10 gave 5.0 g (94%) of (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. Treatment of (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2-fluorophenylboronic acid (0.26 g, 2.13 mmol) generally according to the procedure described for Intermediate 35 afforded 0. 11 g, (81%) of (±)-[7-(2-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.21 g, 3.2 mmol) generally according to the procedure described for Intermediate 98 afforded 0.16g (88%) of (±)-2-(azidomethyl)-5-(trifluoromethyl)-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-5-(trifluoromethyl)-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran with polymer-supported triphenylphosphine (0.20 g, 0.76 mmol) according to the procedure described in Example 154 afforded 0.053 g (24%) of (±)-[(5-(trifluoromethyl)-7-(phenyl)-l-2,3-dihydro-1-benzofuran-2-yl)methyl]amine as a white solid, hydrochloride salt. mp >250 °C.

Example 314: (±)-{[5-(trifluoromethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0641] The title compound was prepared (0.148 g, 65%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-

(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2-methylphenylboronic acid (0.36 g, 2.64 mmol). mp 253-255 °C.

Example 315: (±)-{[5-(trifluoromethyl)-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0642] The title compound was prepared (0.27 g, 57%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.325 g, 0.72 mmol) and 2-chlorophenylboronic acid (0.169 g, 2.88 mmol). mp 192-194 °C.

Example 316: (±)-{[5-(trifluoromethyl)-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0643] The title compound was prepared (0.21 g, 87%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2-methoxyphenylboronic acid (0.40 g, 2.64 mmol). mp 203-205 °C.

Example 317: (±)-{[5-(trifluoromethyl)-7-(2-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0644] The title compound was prepared (0.088 g, 33%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2-(trifluoromethyl)phenylboronic acid (0.50 g, 2.64 mmol). mp 195-197 °C.

Example 318: (±)-{[5-(trifluoromethyl)-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0645] The title compound was prepared (0.092 g, 40%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3-methylphenylboronic acid (0.50 g, 2.64 mmol). mp >250 °C.

Example 319: (±)-{[5-(trifluoromethyl)-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0646] The title compound was prepared (0.068 g, 30%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3-fluorophenylboronic acid (0.50 g, 2.64 mmol). mp >250 °C.

Example 320: (±)-{[5-(trifluoromethyl)-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0647] The title compound was prepared (0.102 g, 42%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3-chlorophenylboronic acid (0.41 g, 2.64 mmol). 238-240 mp °C.

Example 321: (±)-{[5-(trifluoromethyl)-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0648] The title compound was prepared (0.125 g, 52%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3-methoxyphenylboronic acid (0.40 g, 2.64 mmol). mp 202-204 °C.

Example 322: (±)-{[5-(trifluoromethyl)-7-(3-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0649] The title compound was prepared (0.038 g, 14%) following the general procedure of Example 154 as a off-white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3-(trifluoromethyl)phenylboronic acid (0.50 g, 2.64 mmol). mp 225-227 °C.

Example 323: (±)-{[5-(trifluoromethyl)-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0650] The title compound was prepared (0.102 g, 46%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 4-methylphenylboronic acid (0.36 g, 2.64 mmol). mp 248-250 °C.

Example 324: (±)-{[5-(trifluoromethyl)-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0651] The title compound was prepared (0.119 g, 52%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 4-fluorophenylboronic acid (0.37 g, 2.64 mmol). mp >250 °C.

Example 325: (±)-{[5-(trifluoromethyl)-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0652] The title compound was prepared (0.036 g, 15%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 4-chlorophenylboronic acid (0.42 g, 2.64 mmol). mp >250 °C.

Example 326: (±)-{[5-(trifluoromethyl)-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0653] The title compound was prepared (0.130 g, 54%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 4-methoxyphenylboronic acid (0.40 g, 2.64 mmol). mp 248-250 °C.

Example 327: (±)-{[5-(trifluoromethyl)-7-(4-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0654] The title compound was prepared (0.105 g, 40%) following the general procedure of Example 154 as white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 4-(trifluoromethyl)phenylboronic acid (0.50 g, 2.64 mmol). mp >250 °C.

Example 328: (±)-{[7-(2,3-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0655] The title compound was prepared (0.124 g, 58%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,3-dimethylphenylboronic acid (0.40 g, 2.64 mmol). mp 198-200 °C.

Example 329: (±)-{[7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0656] The title compound was prepared (0.059 g, 25%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,3-difluorophenylboronic acid (0.30 g, 1.90 mmol). mp 217-218 °C.

Example 330: (±)-{[7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0657] The title compound was prepared (0.045 g, 17%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,3-dichlorophenylboronic acid (0.50 g, 2.64 mmol). mp 152-155 °C.

Example 331: (±)-{[7-(2,3-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0658] The title compound was prepared (0.080 g, 31%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,3-dimethoxyphenylboronic acid (0.48 g, 2.64 mmol). mp 178-180 °C.

Example 332: (±)-{[7-(2,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0659] The title compound was prepared (0.163 g, 67%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,4-difluorophenylboronic acid (0.30 g, 1.90 mmol). mp 237-239 °C.

Example 333: (±)-{[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0660] The title compound was prepared (0.098 g, 38%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-

(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,4-dimethoxyphenylboronic acid (0.48 g, 2.64 mmol). mp 210-212 °C.

Example 334: (±)-{[7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0661] The title compound was prepared (0.063 g, 26%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3,4-difluorophenylboronic acid (0.42 g, 2.64 mmol). mp 237-239 °C.

Example 335: (±)-{[7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0662] The title compound was prepared (0.044 g, 19%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3-chloro-4-fluorophenylboronic acid (0.465 g, 2.64 mmol). mp >250 °C.

Example 336: (±)-{[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0663] The title compound was prepared (0.063 g, 26%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,5-difluorophenylboronic acid (0.42 g, 2.64 mmol). mp >250 °C.

Example 337: (±)-{[7-(2,5-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0664] The title compound was prepared (0.128 g, 48%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,5-dichlorophenylboronic acid (0.503 g, 2.64 mmol). mp 203-205 °C.

Example 338: (±)-{[7-(2,6-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0665] The title compound was prepared (0.012 g, 4%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 2,6-dimethylphenylboronic acid (0.40 g, 2.64 mmol). mp 198-200 °C.

Example 339: (±)-{[7-(4-butylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0666] The title compound was prepared (0.122 g, 48%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 4-butylphenylboronic acid (0.28 g, 1.57 mmol). mp 190-192 °C.

Example 340: (±)-4-[2-(aminomethyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-7-yl]benzonitrile

[0667] The title compound was prepared (0.102 g, 35%) following the general procedure of Example 154 as a white solid from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 4-cyanophenylboronic acid (0.23 g, 1.57 mmol). mp 238-239 °C.

Example 341: (±)-{[7-(3-furyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0668] The title compound was prepared (0.053 g, 25%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3-furylphenylboronic acid (0.22 g, 1.96 mmol). mp >250 °C.

Example 342: (±)-{[7-thien-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0669] The title compound was prepared (0.164 g, 73%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and 3-thienylboronic acid (0.34 g, 2.64 mmol). mp >250 °C.

Example 343: (±)-{[7-pyridin-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0670] The title compound was prepared (0.081 g, 30%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.30 g, 0.66 mmol) and pyridine-3-ylboronic acid (0.24 g, 1.95 mmol). mp 200-202 °C.

Example 344: (±)-[(5,7-diphenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine

[0671] Treatment of 3-bromo-4-hydroxybiphenyl (15.7 g, 63.0 mmol) with potassium carbonate (34.84 g, 252.0 mmol) and allyl bromide (9.15 g, 75.63 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-5-bromobiphenyl-4-ol. Treatment of 3-allyl-5-bromobiphenyl-4-ol (17.8 g, 61.5 mmol) with 3-chloroperoxybenzoic acid (31.87 g, 184.67 mmol, 77%) followed by potassium carbonate (21.27 g, 153.89 mmol) generally according to the procedure described for Intermediate 9 afforded 15.8 g (84%) of (±)-(7-bromo-5-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(7-bromo-5-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanol (15.8 g, 51.77 mmol) with *p*-toluenesulfonyl chloride (14.79 g, 77.65 mmol) generally according to the procedure described for Intermediate 10 gave 18.8 g (79%) of (±)-(7-bromo-5-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. Treatment of (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.5 g, 3.26 mmol) and phenylboronic acid (0.59 g, 4.89 mmol) generally according to the procedure described for Intermediate 35 afforded 1.17 g, (78%) of (±)-(5,7-diphenyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.342 g, 5.26 mmol) generally according to the procedure described for Intermediate 98 afforded 0.39g (91%) of (±)-2-(azidomethyl)-5,7-diphenyl-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-5,7-diphenyl-2,3-dihydro-1-benzofuran with polymer-supported triphenylphosphine (0.314 g, 1.21 mmol) according to the procedure described in Example 154 afforded 0.34 g (99%) of (±)-(5,7-diphenyl-2,3-dihydro-1-benzofuran-2-yl)methyl amine as a white solid, hydrochloride salt. mp >250 °C.

Example 345: (±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0672] The title compound was prepared (0.157 g, 39%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-

dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 2-chlorophenylboronic acid (0.255 g, 1.63 mmol). mp >250 °C.

Example 346: (±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0673] The title compound was prepared (0.166 g, 41%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 3-chlorophenylboronic acid (0.255 g, 1.63 mmol). mp 240-242 °C.

Example 347: (±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0674] The title compound was prepared (0.092 g, 22%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 4-chlorophenylboronic acid (0.255 g, 1.63 mmol). mp 200-203 °C.

Example 348: (±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0675] The title compound was prepared (0.153 g, 39%) following the general procedure of Example 154 as a light yellow solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 2-fluorophenylboronic acid (0.228 g, 1.63 mmol). mp >250 °C.

Example 349: (±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0676] The title compound was prepared following the general procedure of Example 154 as a light yellow solid, hydrochloride salt (0.107 g, 28%) from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 3-fluorophenylboronic acid (0.228 g, 1.63 mmol). mp >250 °C.

Example 350: (±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0677] The title compound was prepared (0.106 g, 27%) following the general procedure of Example 154 as a light yellow solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 4-fluorophenylboronic acid (0.228 g, 1.63 mmol). mp >250 °C.

Example 351: (±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0678] The title compound was prepared (0.148 g, 39%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 2-methylphenylboronic acid (0.222 g, 1.63 mmol). mp 225-227 °C.

Example 352: (±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0679] The title compound was prepared (0.080 g, 21%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 3-methylphenylboronic acid (0.222 g, 1.63 mmol). mp 246-249 °C.

Example 353: (±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0680] The title compound was prepared (0.094 g, 25%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and 4-methylphenylboronic acid (0.222 g, 1.63 mmol). mp 159-162 °C.

Example 354: (±)-{[7-(2,4-difluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0681] The title compound was prepared (0.157 g, 38%) following the general procedure of Example 154 as white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and (2,4-difluorophenyl)boronic acid (0.258 g, 1.63 mmol). mp 159-162 °C.

Example 355: (±)-{[7-(2,5-dichlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0682] The title compound was prepared (0.168 g, 38%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methyl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.09 mmol) and (2,5-dichlorophenyl)boronic acid (0.312 g, 1.63 mmol). mp 159-162 °C.

Example 356: (±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0683] The title compound was prepared (0.121 g, 49%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.21 mmol) and 2-fluorophenylboronic acid (0.68 g, 4.84 mmol). mp >250 °C.

Example 357: (±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0684] The title compound was prepared (0.121 g, 46%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.21 mmol) and 2-chlorophenylboronic acid (0.75 g, 4.84 mmol). mp 179-181 °C.

Example 358: (±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0685] The title compound was prepared (0.118 g, 48%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.21 mmol) and 2-methylphenylboronic acid (0.66 g, 4.84 mmol). mp 187-189 °C.

Example 359: (±)-{[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0686] The title compound was prepared (0.181 g, 33%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (1.0 g, 2.42 mmol) and 2-methoxyphenylboronic acid (1.55 g, 9.68 mmol). mp 190-192 °C.

Example 360: (±)-{[5-methoxy-7-(3-thienyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0687] The title compound was prepared (0.110 g, 46%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.21 mmol) and 3-thienylboronic acid (0.62 g, 4.84 mmol). mp 230-232 °C.

Example 361: (±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0688] The title compound was prepared (0.141 g, 54%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,3 difluorophenylboronic acid (0.76 g, 4.84 mmol). mp 224-226 °C.

Example 362: (±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0689] The title compound was prepared (0.087 g, 30%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,3 dichlorophenylboronic acid (0.92 g, 4.84 mmol). mp 159-161 °C.

Example 363: (±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0690] The title compound was prepared (0.132 g, 52%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,3 dimethylphenylboronic acid (0.70 g, 4.84 mmol). mp 129-130 °C.

Example 364: (±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0691] The title compound was prepared (0.164 g, 63%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,4 difluorophenylboronic acid (0.76 g, 4.84 mmol). mp 226-228 °C.

Example 365: (±)-{[7-(2,4-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0692] The title compound was prepared (0.091 g, 32%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,4 dichlorophenylboronic acid (0.92 g, 4.84 mmol). mp 180-182 °C.

Example 366: (±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0693] The title compound was prepared (0.148 g, 56%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,5 difluorophenylboronic acid (0.76 g, 4.84 mmol). mp 118-120 °C.

Example 367: (±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0694] The title compound was prepared (0.048 g, 16%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,5 dichlorophenylboronic acid (0.92 g, 4.84 mmol). mp 140-142 °C.

Example 368: (+)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0695] Treatment of 0.50 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (14 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.297 g (75%) of (+)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25} = +6.62$ (*c* 10.0 in methanol); mp 148-150 °C.

Example 369: (-)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0696] Treatment of 0.135 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (3 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.047g (44%) of (-)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -6.73 (*c* 10.0 in methanol); mp 148-150 °C.

Example 370: (±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0697] The title compound was prepared (0.134 g, 53%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,5 dimethylphenylboronic acid (0.70 g, 4.84 mmol). mp 214-216 °C.

Example 371: (±)-{[7-(2,5-dimethoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0698] The title compound was prepared (0.070 g, 24%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,5 dimethoxyphenylboronic acid (0.88 g, 4.84 mmol). mp 128-130 °C.

Example 372: (±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0699] The title compound was prepared (0.169 g, 60%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 5-chloro-2-methoxyphenylboronic acid (0.90 g, 4.84 mmol). mp 172-174 °C.

Example 373: (±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0700] The title compound was prepared (0.178 g, 65%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 3-chloro-4-fluorophenylboronic acid (0.84 g, 4.84 mmol). mp 220-222 °C.

Example 374: (±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0701] The title compound was prepared (0.170 g, 67%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-(7-bromo-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.50 g, 1.26 mmol) and 2,6 dimethylphenylboronic acid (0.92 g, 4.84 mmol). mp 212-214 °C.

Example 375: (±)-{[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0702] Treatment of 4-bromo-2-fluorophenol (25.0 g, 130.9 mmol) with potassium carbonate (72.35 g, 523.53 mmol) and allyl bromide (19.00 g, 157.06 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 2-allyl-4-bromo-6-fluorophenol. Treatment of 2-allyl-4-bromo-6-fluorophenol (25.6 g, 110.8 mmol) with 3-chloroperoxybenzoic acid (57.36 g, 332.38 mmol, 77%) followed by potassium carbonate (38.28 g, 277.0 mmol) generally according to the procedure described for Intermediate 9 afforded 19.1 g (70%) of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methanol. Treatment of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methanol (18.61 g, 75.3 mmol) with *p*-toluenesulfonyl chloride (17.22 g, 90.34 mmol) generally according to the procedure described for Intermediate 10 gave 22.6 g (75%) of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate as a white solid. Treatment of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.5 g, 1.25 mmol) and 2-methylphenylboronic acid (0.254 g, 1.87 mmol) generally according to the procedure described for Intermediate 35 afforded 0.282 g, (55%) of (±)-([7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate. Treatment of the tosylate with sodium azide (0.19 g, 3.0 mmol) generally according to the procedure described for Intermediate 98 afforded 0.17g (99%) of (±)-2-(azidomethyl)-7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran with polymer-supported triphenylphosphine (0.30 g, 3.0 mmol) according to the procedure described in Example 154 afforded 0.038 g (22%) of (±)-([7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl]amine as a white solid, hydrochloride salt. mp >250 °C.

Example 376: (±)-{[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0703] The title compound was prepared (0.026 g, 24%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 2-chlorophenylboronic acid (0.292 g, 1.89 mmol). mp >250 °C.

Example 377: (±)-{[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0704] The title compound was prepared (0.055 g, 38%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 2-fluorophenylboronic acid (0.292 g, 1.89 mmol). mp >250 °C.

Example 378: (±)-({7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine

[0705] The title compound was prepared (0.059 g, 38%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and [2-(trifluoromethyl)phenyl]boronic acid (0.355 g,1.89 mmol). mp 189-194 °C (dec).

Example 379: (±)-{[7-fluoro-5-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0706] The title compound was prepared (0.050 g, 38%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 2-methoxyphenylboronic acid (0.284 g, 1.89 mmol). mp 203-207 °C (dec).

Example 380: (±)-{[7-fluoro-5-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0707] The title compound was prepared (0.057 g, 40%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 3-methylphenylboronic acid (0.254 g, 1.89 mmol). mp >250 °C.

Example 381: (±)-{[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0708] The title compound was prepared (0.071 g, 52%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 3-fluorophenylboronic acid (0.292 g, 1.89 mmol). mp >250 °C.

Example 382: (±)-{[7-fluoro-5-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0709] The title compound was prepared (0.065 g, 44%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 3-chlorophenylboronic acid (0.292 g, 1.89 mmol). mp >250 °C.

Example 383: (±)-({7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine

[0710] The title compound was prepared (0.055 g, 37%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 3-(trifluoromethyl)phenylboronic acid (0.355 g, 1.89 mmol). mp >250 °C.

Example 384: (±)-{[7-fluoro-5-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0711] The title compound was prepared (0.042 g, 32%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 3-methoxyphenylboronic acid (0.284 g, 1.89 mmol). mp >250 °C.

Example 385: (±)-{[7-fluoro-5-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0712] The title compound was prepared (0.061 g, 50%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-

2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 4-methylphenylboronic acid (0.254 g, 1.89 mmol). mp >250 °C.

Example 386: (±)-{[7-fluoro-5-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0713] The title compound was prepared (0.085 g, 55%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 4-chlorophenylboronic acid (0.292 g, 1.89 mmol). mp >250 °C.

Example 387: (±)-{[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0714] The title compound was prepared (0.060 g, 47%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 4-fluorophenylboronic acid (0.292 g, 1.89 mmol). mp >250 °C.

Example 388: (±)-({7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine

[0715] The title compound was prepared (0.041 g, 26%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and [4-(trifluoromethyl)phenyl]boronic acid (0.355 g, 1.89 mmol). mp >250 °C.

Example 389: (±)-{[7-fluoro-5-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0716] The title compound was prepared (0.66 g, 51%) following the general procedure of Example 154 as a white solid, hydrochloride salt from of (±)-(7-fluoro-5-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate. (0.50 g, 1.25 mmol) and 4-methoxyphenylboronic acid (0.284 g, 1.89 mmol). mp >250 °C.

Example 390: (±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine

[0717] To a solution of (±)-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl 4-methylbenzenesulfonate (0.2 g, 0.46 mmol) in dimethylsulfoxide (5 mL) was added ethylamine (0.20 g, 4.4 mmol) and the reaction mixture was allowed to stir at 60 °C for 12 h. The reaction was diluted with water (10 mL) and ethyl acetate (2 x 10 mL). The combined organic layers were washed with water (3 x 20 mL) and saturated aqueous sodium chloride (20 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give an oil. The oil was re-dissolved in isopropanol (0.5 mL) and hydrogen chloride (0.5 mL, 1.0 M in diethyl ether) was added. The resulting precipitate was filtered, washed (diethyl ether), to give 0.084 g (57%) of (±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine as a white solid, hydrochloride salt. mp 195-197 °C.

Example 391: (±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine

[0718] The title compound was prepared (0.057 g, 39%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl 4-methylbenzenesulfonate (0.2 g, 0.46 mmol) and cyclopropylamine (0.254 g, 4.40 mmol). mp 182-184 °C.

Example 392: (±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine

[0719] The title compound was prepared (0.077 g, 39%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl 4-methylbenzenesulfonate (0.2 g, 0.46 mmol) and cyclobutylamine (0.317 g, 4.40 mmol). mp 185-188 °C.

Example 393: (±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine

[0720] The title compound was prepared (0.054 g, 35%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl 4-methylbenzenesulfonate (0.2 g, 0.46 mmol) and isopropylamine (0.258g, 4.40 mmol). mp 182-184 °C.

Example 394: No compound

Example 395: (±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0721] Treatment of 1-bromo-2-methylbenzene (10.06 g, 58.84 mmol) with (2-fluoro-6-methyoxyphenyl)boronic acid (5.0 g, 29.42 mol tetrakis(triphenylphosphine)palladium(0) (2.5 g, 2.16 mmol), and sodium carbonate (6.2 g, 58.84 mmol) generally according to the procedure described for Intermediate 37 provided 2.35 g (37%) of 6-fluoro-2'-methylbiphenyl-2-yl methyl ether. A solution of 6-fluoro-2'-methylbiphenyl-2-yl methyl ether (2.35 g, 10.86 mmol) in hydrogen bromide (40 mL, 30 wt.% in acetic acid) was heated to 55 °C for 12h. The reaction mixture was concentrated under *in vacuo* and the crude residue diluted with ethyl acetate (200 mL). The organic layer was carefully extracted with saturated bicarbonate solution (3 X 200 mL) was dried (magnesium sulfate), and the solvent was removed *in vacuo* to provide a crude oil. Treatment of 6-fluoro-2'-methybiphenyl –2-ol (2.17 g, 10.84 mmol) with sodium hydride (0.65 g, 16.26 mmol, 60 wt. %) and allyl bromide (0.96 g, 16.26 mmol), followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-6-fluoro-2'-methylbiphenyl-2-ol. Treatment of 3-allyl-6-fluoro-2'-methylbiphenyl-2-ol (1.77g, 7.3 mmol) with 3-chloroperoxybenzoic acid (3.2 g, 10.96 mmol, 77%) followed by potassium carbonate (1.2 g, 8.76 mmol) generally according to the procedure described for Intermediate 9 afforded 1.5 g (80%) of (±)-[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol. Treatment of (±)-[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol (1.5g, 5.81 mmol) with *p*-toluenesulfonyl chloride (1.66 g, 8.71 mol) generally according to the procedure described for Intermediate 10 gave 2.17 g (90%) of (±)-[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. Treatment of (±)-[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.23 g, 0.56 mmol) with sodium azide (0.23 g, 3.54 mmol) generally according to the procedure described for Intermediate 98 afforded 0.135 g, (86%) of (±)-2-(azidomethyl)- 6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)- 6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran (0.135 g, 0.4 mmol) in tetrahydrofuran (10 mL) with polymer-supported triphenylphosphine (0.30 g, 0.9 mmol) generally according to the procedure described for Example 154 provided 0.11 g (67%) of (±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp 216-218 °C.

Example 396: (+)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0722] Treatment of 0.66 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (5 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.276 g (76%) of (+)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp 216-218 °C.

Example 397: (-)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0723] Treatment of 0.66 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[(6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (5 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.192 g (52%) of (-)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp 216-218 °C.

Example 398: (±)-{[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0724] Treatment of 1-bromo-2-chlorobenzene (5.63 g, 29.4 mmol) with (2-fluoro-6-methyoxyphenyl)boronic acid (5.0 g, 29.42 mol) generally according to the procedure described for Intermediate 37 afforded 2.0g (29%) of 6-fluoro-2'-chlorobiphenyl-2-yl methyl ether. Treatment of 6-fluoro-2'-chlorobiphenyl-2-yl methyl ether with hydrogen bromide (50 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 395 afforded a brown oil. The oil was reacted with sodium hydride (0.34 g, 14.35 mmol) and allyl bromide (1.74 g, 14.35 mmol) followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 to provide 3-allyl-6-chloro-2'-chlorobiphenyl-2-ol. Treatment of 3-allyl-6-fluoro-2'-methylbiphenyl-2-ol (1.2 g, 4.56 mmol) with *m*-chloroperoxybenzoic acid (2.36 g, 13.68 mmol, 77%) and potassium carbonate (1.575 g, 11.4 mmol) generally according to the procedure described for Intermediate 9 afforded 0.7 g (55%) of (±)-[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanol. Treatment (±)-[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanol (1.5g, 5.81 mmol) with *p*-toluenesulfonyl chloride (1.66 g, 8.71 mol) generally according to the procedure described for Intermediate 10 gave 0.9 g (82%) of (±)-[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a white solid. Treatment of (±)-[6-

fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.50 g, 1.15 mmol) with sodium azide (0.4 g, 6.15 mmol) generally according to the procedure described for Intermediate 98 afforded 0.35 g, (99%) of (±)-2-(azidomethyl)-7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran (0.35g, 1.15 mmol) in tetrahydrofuran (10 mL) with polymer-supported triphenylphosphine (0.60 g, 2.3 mmol) generally according to the procedure described for Example 154 provided 0.170g (47%) of (±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp 248-250 °C.

Example 399: (±)-{[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0725] Treatment of 1-bromo-2-methylbenzene (5.0 g, 26.88 mmol) with (2-chloro-6-methyoxyphenyl)boronic acid (13.8 g, 80.6 mol) generally according to the procedure described for Intermediate 37 afforded 3.85g (62%) of 6-chloro-2'-methylbiphenyl-2-yl methyl ether. Treatment of 6-chloro-2'-methylbiphenyl-2-yl methyl ether with hydrogen bromide (100 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 395 afforded brown oil. The oil was reacted with sodium hydride (0.61 g, 25.38 mmol) and allyl bromide (3.07 g, 25.38 mmol) followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-6-chloro-2'-methylbiphenyl-2-ol. Treatment of 3-allyl-6-chloro-2'-methylbiphenyl-2-ol (4.38 g, 16.92 mmol) with *m*-chloroperoxybenzoic acid (4.38 g, 25.38 mmol, 77%) and potassium carbonate (2.81 g, 20.30 mmol) generally according to the procedure described for Intermediate 9 afforded 2.4 g (52%) of (±)-[7-(2-methylphenyl)-6-chloro-2,3-dihydro-1-benzofuran-2-yl]methanol. Treatment (±)-[7-(2-methylphenyl)-6-chloro-2,3-dihydro-1-benzofuran-2-yl]methanol (2.4 g, 8.73 mmol) with *p*-toluenesulfonyl chloride (2.50 g, 13.1 mmol) generally according to the procedure described for Intermediate 10 gave 3.2 g (85%) of (±)-[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a colorless oil. Treatment of (±)-[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.21 g, 0.49 mmol) with sodium azide (0.35 g, 5.38 mmol) generally according to the procedure described for Intermediate 98 afforded 0.14 g, (99%) of (±)-2-(azidomethyl)-7-(2-methylphenyl)-6-chloro-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-7-(2-methylphenyl)-6-chloro-2,3-dihydro-1-benzofuran (0.14g, 0.468 mmol) in tetrahydrofuran (10 mL) with polymer-supported triphenylphosphine (0.24 g, 0.936 mmol) generally according to the procedure described for Example 154 provided 0.028 g (18%) of (±)-

{[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp 204-206 °C.

Example 400: (±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0726] Treatment of 1-bromo-2-chlorobenzene (5.0 g, 26.88 mmol) with (2-chloro-6-methyoxyphenyl) boronic acid (15.6 g, 80.64 mol) generally according to the procedure described for Intermediate 37 afforded 5.0 g (73%) of 6-chloro-2'-chlorobiphenyl-2-yl methyl ether. Treatment of 6-chloro-2'-methylbiphenyl-2-yl methyl ether with hydrogen bromide (60 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 395 afforded a brown oil. The oil was reacted with sodium hydride (1.05 g, 26.35 mmol) and allyl bromide (3.19 g, 26.35 mmol) followed by refluxing the resultant allyl ether in mesitylene generally according to the procedure described for Intermediate 8 provided 3-allyl-6-chloro-2'-chlorobiphenyl-2-ol. Treatment of 3-allyl-6-chloro-2'-chlorobiphenyl-2-ol (2.8 g, 10.03 mmol) with *m*-chloroperoxybenzoic acid (4.6 g, 15.0 mmol, 77%) and potassium carbonate (1.6 g, 12.0 mmol) generally according to the procedure described for Intermediate 9 afforded 2.2 g (74%) of (±)-[7-(2-chlorophenyl)-6-chloro-2,3-dihydro-1-benzofuran-2-yl]methanol. Treatment (±)-[7-(2-chlorophenyl)-6-chloro-2,3-dihydro-1-benzofuran-2-yl]methanol (1.6 g, 5.42 mmol) with *p*-toluenesulfonyl chloride (1.55 g, 8.13 mmol) generally according to the procedure described for Intermediate 10 gave 2.1 g (86%) of (±)-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate as a colorless oil. Treatment of (±)-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.2 g, 0.44 mmol) with sodium azide (0.2 g, 3.08 mmol) generally according to the procedure described for Intermediate 98 afforded 0.14 g, (99%) of (±)-2-(azidomethyl)-7-(2-chlorophenyl)-6-chloro-2,3-dihydro-1-benzofuran. Treatment of (±)-2-(azidomethyl)-7-(2-chlorophenyl)-6-chloro-2,3-dihydro-1-benzofuran (0.14g, 0 .43 mmol) in tetrahydrofuran (10 mL) with polymer-supported triphenylphosphine (0.3 g, 1.14 mmol) generally according to the procedure described for Example 154 provided 0.036 g (24%) of (±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp 221-223 °C.

Example 401: (±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0727] The title compound was prepared (0.053 g, 72%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[6-fluoro-7-(2-

methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.1 g, 0.24 mmol) and methylamine (0.31 g, 10.0 mmol). mp 200-202 °C.

Example 402: (±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0728] The title compound was prepared (0.12 g, 40%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.4 g, 0.92 mmol) and methylamine (0.55 g, 17.7 mmol). mp 170-173 °C.

Example 403: (±)-{[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0729] The title compound was prepared (0.02 g, 27%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.1 g, 0.23 mmol) and methylamine (0.24 g, 7.8 mmol). mp 158-160 °C.

Example 404: (±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0730] The title compound was prepared (0.056g, 73%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.1 g, 0.23 mmol) and methylamine (0.24 g, 7.8 mmol). mp 155-157 °C.

Example 405: No compound

Example 406: (±)-[(N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0731] The title compound was prepared (0.055g, 24%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.20 g, 0.826 mmol) and 2-methylphenylboronic acid (0.168 g, 1.24 mmol). mp 166-169 °C.

Example 407: (-)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0732] Treatment of 0.325 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl methyl{[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (0.671 g, 3.3 mmol) generally according to the procedure described for Example 158 gave 0.193 g (80%) of (-)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -22.2 (*c* 10.0 in methanol); mp 182-185 °C.

Example 408: (+)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0733] Treatment of 0.32 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl methyl{[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (0.67 g, 3.3 mmol) generally according to the procedure described for Example 158 gave 0.192 g (80%) of (+)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +26.4 (*c* 10.0 in methanol); mp 182-185 °C.

Example 409: (±)-[(N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0734] The title compound was prepared (0.078 g, 40%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.20 g, 0.826 mmol) and 2-chlorophenylboronic acid (0.194 g, 1.24 mmol). mp 163-165 °C.

Example 410: (+)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0735] Treatment of 2.71 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl methyl{[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (5.32 g, 26.57 mmol) generally according to the procedure described for Example 158 gave 1.23 g (60%) of (+)-N-methyl-1-[7-(2-chlorolphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +13.2 (*c* 10.0 in methanol); mp 154-157 °C.

Example 411: (-)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0736] Treatment of 3.01 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl methyl{[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with trimethylsilyl iodide (5.91 g, 29.52 mmol) generally according to the procedure described for Example 158 gave 1.80 g (76%) of (+)-N-methyl-1-[7-(2-chlorolphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -13.2 (*c* 10.0 in methanol); mp 154-157 °C.

Example 412: (±)-[(N-methyl-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0737] The title compound was prepared (0.147g, 66%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.300 g, 0.753 mmol) and methylamine (0.92 g, 29.5 mmol). mp 148-150 °C.

Example 413: (±)-[(N-methyl-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0738] The title compound was prepared (0.250 g, 76%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.501 g, 1.22 mmol) and methylamine (4.56 g, 150.0 mmol). mp 157-159 °C.

Example 414: (±)-[(N-methyl-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0739] The title compound was prepared (0.059 g, 26%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.200 g, 0.826 mmol) and 3-methylphenylboronic acid (0.169 g, 1.24 mmol). mp 157-159 °C.

Example 415: (±)-[(N-methyl-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0740] The title compound was prepared (0.38 g, 53%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-

benzofuran-2-yl)methyl]methylamine (0.200 g, 0.826 mmol) and 3-fluorophenylboronic acid (0.173 g, 1.24 mmol). mp 160-163 °C.

Example 416: (±)-[(N-methyl-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0741] The title compound was prepared (0.59 g, 53%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.200 g, 0.826 mmol) and 3-chlorophenylboronic acid (0.194 g, 1.24 mmol). mp 177-178 °C.

Example 417: (±)-[(N-methyl-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0742] The title compound was prepared (0.41 g, 49%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.200 g, 0.826 mmol) and 3-methoxyphenylboronic acid (0.188 g, 1.24 mmol). mp 148-151 °C.

Example 418: (±)-[(N-methyl-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0743] The title compound was prepared (0.071 g, 34%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.200 g, 0.826 mmol) and 4-methylphenylboronic acid (0.168 g, 1.24 mmol). mp 210-213 °C.

Example 419: (±)-[(N-methyl-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0744] The title compound was prepared (0.049 g, 21%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.200 g, 0.826 mmol) and 4-fluorophenylboronic acid (0.173 g, 1.24 mmol). mp 209-211 °C.

Example 420: (±)-[(N-methyl-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0745] The title compound was prepared (0.037 g, 16%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.200 g, 0.826 mmol) and 4-chlorophenylboronic acid (0.193 g, 1.24 mmol). mp 227-230 °C.

Example 421: (±)-[(N-methyl-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0746] The title compound was prepared (0.052 g, 23%) following the general procedure of Example 154 as a white solid, hydrochloride salt from (±)-[(7-bromo-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine (0.200 g, 0.826 mmol) and 4-methoxyphenylboronic acid (0.188 g, 1.24 mmol). mp 214-217 °C.

Example 422: (±)-[(N-methyl-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0747] The title compound was prepared (0.046 g, 65%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.095 g, 0.23 mmol) and methylamine (0.072 g, 2.3 mmol). mp 197-199 °C.

Example 423: (±)-[(N-methyl-1-[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0748] The title compound was prepared (0.137 g, 63%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.283 g, 0.64 mmol) and methylamine (0.199 g, 6.42 mmol). mp 163-166 °C.

Example 424: (±)-[(N-methyl-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0749] The title compound was prepared (0.137 g, 68%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.366 g, 0.88 mmol) and methylamine (0.273 g, 8.80 mmol). mp 156-160 °C.

Example 425: (±)-[(N-methyl-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0750] The title compound was prepared (0.137 g, 47%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.125 g, 0.278 mmol) and methylamine (0.086 g, 2.78 mmol). mp 190-192 °C.

Example 426: (±)-[(N-methyl-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0751] The title compound was prepared (0.272 g, 82%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.435 g, 0.987 mmol) and methylamine (0.306 g, 9.87 mmol). mp 185-188 °C.

Example 427: (±)-[(N-methyl-1-[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0752] The title compound was prepared (0.091 g, 65%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.091 g, 0.22 mmol) and methylamine (0.069 g, 2.22 mmol). mp 186-189 °C.

Example 428: (±)-[(N-methyl-1-[7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0753] The title compound was prepared (0.027 g, 60%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.060 g, 0.14 mmol) and methylamine (0.045 g, 1.4 mmol). mp 172-174 °C.

Example 429: (±)-[(N-methyl-1-[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0754] The title compound was prepared (0.068 g, 83%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,5-dichlorophenyl)-

2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.120 g, 0.26 mmol) and methylamine (1.24 g, 40.0 mmol). mp 147-149 °C.

Example 430: (±)-[(N-methyl-1-[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0755] The title compound was prepared(0.058 g, 79%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.095 g, 0.213 mmol) and methylamine (0.045 g, 2.1 mmol). mp 201-203 °C.

Example 431: (±)-[(N-methyl-1-[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0756] The title compound was prepared (0.051 g, 65%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.103 g, 0.24 mmol) and methylamine (0.074 g, 2.4 mmol). mp 178-182 °C.

Example 432: (±)-[(N-methyl-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0757] The title compound was prepared (0.039 g, 63%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.084 g, 0.205 mmol) and methylamine (1.86 g, 60.0 mmol). mp >250 °C.

Example 433: (±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0758] The title compound was prepared (0.351g, 77%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.595 g, 1.324 mmol) and methylamine (1.86 g, 60.0 mmol). 190-192 mp °C.

Example 434: (-)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0759] Treatment of 0.607 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (1.09 g, 5.49 mmol) generally according to the procedure described for Example 158 gave 0.409 g (86%) of (-)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -11.6 (*c* 10.0 in methanol); mp 195-197 °C.

Example 435: (+)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0760] Treatment of 0.625 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (1.131 g, 5.65 mmol) generally according to the procedure described for Example 158 gave 0.369 g (76%) of (+)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine. $[\alpha]_D^{25}$ = +11.2 (*c* 10.0 in methanol); mp 195-197 °C.

Example 436: (±)-N-methyl-1-(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine

[0761] The title compound was prepared (0.367g, 70%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl 4-methylbenzenesulfonate (0.730 g, 1.91 mmol) and methylamine (1.14 g, 36.7 mmol). mp 212-215 °C.

Example 437: (±)-[(N-methyl-1-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0762] The title compound was prepared (0.094 g, 84%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.095 g, 0.23 mmol) and methylamine (0.072 g, 2.3 mmol); mp 166-168 °C.

Example 438: (±)-{[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0763] The title compound was prepared (0.060 g, 40%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.2 g, 0.48 mmol) and methylamine (0.149 g, 4.80 mmol). mp 140-141 °C.

Example 439: (±)-{[5-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0764] The title compound was prepared (0.075 g, 52%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.19 g, 0.44 mmol) and methylamine (0.136 g, 4.40 mmol). mp 141-143.

Example 440: (±)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0765] The title compound was prepared (0.038 g, 34%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.36 mmol) and methylamine (0.112 g, 3.60 mmol). mp 102-104 °C.

Example 441: (-)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0766] Treatment of 0.22 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (0.416g, 2.08 mmol) generally according to the procedure described for Example 158 gave 0.125 g (79%) of (-)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -18.58 (*c* 10.0 in methanol); mp 123-124 °C.

Example 442: (+)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0767] Treatment of 0.28 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-

yl]methyl}methylcarbamate with trimethylsilyl iodide (0.528g, 2.64 mmol) generally according to the procedure described for Example 158 gave 0.124 g (61%) of (+)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +14.25 (*c* 10.0 in methanol); mp 123-124 °C.

Example 443: (±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0768] The title compound was prepared (0.075 g, 37%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.27 g, 0.6 mmol) and methylamine (0.198 g, 6.0 mmol). mp 175-176 °C.

Example 444: (±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0769] The title compound was prepared (0.103 g, 74%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.18 g, 0.39 mmol) and methylamine (0.139 g, 3.9 mmol). mp 85-89 °C.

Example 445: (±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0770] The title compound was prepared (0.041 g, 40%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.13 g, 0.278 mmol) and methylamine (0.086 g, 2.78 mmol). mp 146-148 °C.

Example 446: (-)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0771] Treatment of 0.48 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (0.834 g, 4.17 mmol) generally according to the procedure described for Example 158 gave 0.200 g (53%) of (-)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -8.87 (*c* 10.0 in methanol); mp 162-163 °C.

Example 447: (+)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0772] Treatment of 0.48 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamatewith trimethylsilyl iodide (0.834 g, 4.17 mmol) generally according to the procedure described for Example 158 gave 0.200 g (53%) of (+)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +8.61 (*c* 10.0 in methanol); mp 161-163 °C.

Example 448: (±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0773] The title compound was prepared (0.73 g, 44%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.22 g, 0.51 mmol) and methylamine (0.155 g, 5.1 mmol). mp 185-187 °C.

Example 449: (±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0774] The title compound was prepared (0.123 g, 80%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.2 g, 0.43 mmol) and methylamine (0.133 g, 4.3 mmol). mp 166-168 °C.

Example 450: (+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0775] Treatment of 0.53 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (0.92 g, 4.60 mmol) generally according to the procedure described for Example 158 gave 0.204 g (49%) of (+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +14.00 (*c* 10.0 in methanol); mp 118-120 °C.

Example 451: (-)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0776] Treatment of 0.54 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (0.96 g, 4.80 mmol) generally according to the procedure described for Example 158 gave 0.275 g (65%) of (-)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -22.30 (*c* 10.0 in methanol); mp 110-112 °C.

Example 452: (±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0777] The title compound was prepared (0.76 g, 48%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.21 g, 0.49 mmol) and methylamine (0.152 g, 4.9 mmol). mp 186-188 °C.

Example 453: (±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0778] The title compound was prepared (0.148 g, 65%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,6 -dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.3 g, 0.70 mmol) and methylamine (0.22 g, 7.0 mmol). mp 175-178 °C.

Example 454: (±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0779] The title compound was prepared (0.081 g, 52%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,6 -dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.2 g, 0.43 mmol) and methylamine (0.133 g, 4.3 mmol). mp 196-198 °C.

Example 456: (±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0780] The title compound was prepared (0.73 g, 50%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-fluoro-7-(5-methoxy-2-

methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.19 g, 0.40 mmol) and methylamine (0.124 g, 4.0 mmol). mp 173-174 °C.

Example 457: (±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0781] The title compound was prepared (0.77 g, 48%)following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.21 g, 0.45 mmol) and methylamine (0.139 g, 4.5 mmol). mp 197-199 °C.

Example 458: (±)-{[7-(5-chloro-2-methoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0782] The title compound was prepared (0.62 g, 38%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(5-chloro-2-methoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.21 g, 0.45 mmol) and methylamine (0.139 g, 4.5 mmol). mp 189-190 °C.

Example 459: (±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine

[0783] The title compound was prepared (0.056 g, 34%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.20 g, 0.50 mmol) and methylamine (0.155 g, 5.0 mmol). mp 255-257 °C.

Example 460: (±)-[(5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0784] The title compound was prepared (0.037 g, 29%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.39 g, 0.88 mmol) and methylamine (0.271 g, 8.8 mmol). mp 100-102 °C.

Example 461: (±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0785] The title compound was prepared (0.155 g, 62%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.333 g, 0.74 mmol) and methylamine (0.231 g, 7.4 mmol). mp 229-231 °C.

Example 462: (±)-[(5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0786] The title compound was prepared (0.037 g, 34%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.142 g, 0.33 mmol) and methylamine (0.102 g, 3.3 mmol). mp 159-161 °C.

Example 463: (±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0787] The title compound was prepared (0.068 g, 60%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.148 g, 0.329 mmol) and methylamine (0.102 g, 3.29 mmol). mp 177-179 °C.

Example 464: (±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0788] The title compound was prepared (0.051 g, 40%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.165 g, 0.341 mmol) and methylamine (0.106 g, 3.41 mmol). mp 219-221 °C.

Example 465: (±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0789] The title compound was prepared (0.054 g, 47%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.149 g, 0.33 mmol) and methylamine (0.102 g, 3.3 mmol). mp 148-150 °C.

Example 466: (±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0790] The title compound was prepared (0.046 g, 34%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.172 g, 0.36 mmol) and methylamine (0.112 g, 3.6 mmol). mp 105-107 °C.

Example 467: (±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0791] The title compound was prepared (0.059 g, 48%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.162 g, 0.36 mmol) and methylamine (0.112 g, 3.6 mmol). mp 163-165 °C.

Example 468: (±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0792] The title compound was prepared (0.059 g, 57%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.135 g, 0.28 mmol) and methylamine (0.086 g, 2.8 mmol). mp 202-204 °C.

Example 469: (±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0793] The title compound was prepared (0.052 g, 68%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.099 g, 0.21 mmol) and methylamine (0.065 g, 2.1 mmol). mp 206-208 °C.

Example 470: (±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0794] The title compound was prepared (0.090 g, 67%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,5-

difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.175 g, 0.39 mmol) and methylamine (0.120 g, 3.9 mmol). mp 189-191 °C.

Example 471: (±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0795] The title compound was prepared (0.027 g, 23%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.152 g, 0.31 mmol) and methylamine (0.086 g, 3.1 mmol). mp 185-187 °C.

Example 472: (±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0796] The title compound was prepared (0.027 g, 21%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.165 g, 0.34 mmol) and methylamine (0.107 g, 3.4 mmol). mp 193-195 °C.

Example 473: (±)-{[5-chloro-7-(3.4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0797] The title compound was prepared (0.09 g, 79%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(3,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.149 g, 0.33 mmol) and methylamine (0.102 g, 3.3 mmol). mp 235-237 °C.

Example 474: (±)-[5-chloro-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0798] The title compound was prepared (0.032 g, 48%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[5-chloro-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.086 g, 0.18 mmol) and methylamine (0.057 g, 1.8 mmol). mp 202-204 °C.

Example 475: (±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0799] The title compound was prepared (0.03 g, 28%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2-fluorophenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.14 g, 0.34 mmol) and methylamine (0.105 g, 3.4 mmol). mp 153-155 °C.

Example 476: (±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0800] The title compound was prepared (0.060 g, 28%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2-chlorophenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.22 g, 0.50 mmol) and methylamine (0.155 g, 5.0 mmol). mp 194-196 °C.

Example 477: (±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0801] The title compound was prepared (0.041 g, 32%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2-methoxyphenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.17 g, 0.40 mmol) and methylamine (0.124 g, 4.0 mmol). mp 165-166 °C.

Example 478: (±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0802] The title compound was prepared (0.075 g, 60%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(3-methylphenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.17 g, 0.42 mmol) and methylamine (0.129 g, 4.2 mmol). mp 165-167 °C.

Example 479: (±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0803] The title compound was prepared (0.016 g, 13%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(3-chlorophenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.16 g, 0.37 mmol) and methylamine (0.115 g, 3.7 mmol). mp 181-182 °C.

Example 480: (±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0804] The title compound was prepared (0.049 g, 44%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(4-methylphenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.37 mmol) and methylamine (0.114 g, 3.7 mmol). mp 184-185 °C.

Example 481: (±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0805] The title compound was prepared (0.026 g, 21%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(4-chlorophenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.16 g, 0.37 mmol) and methylamine (0.115 g, 3.7 mmol). mp 210-213 °C.

Example 482: (±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0806] The title compound was prepared (0.028 g, 25%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(4-fluorophenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.15 g, 0.36 mmol) and methylamine (0.112 g, 3.6 mmol). mp 206-208 °C.

Example 483: (±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0807] The title compound was prepared (0.075 g, 52%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(4-methoxyphenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.19 g, 0. 45 mmol) and methylamine (0.112 g, 4.5 mmol). mp 235-238 °C.

Example 484: (±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0808] The title compound was prepared (0.094 g, 44%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,3-dimethoxyphenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.18 g, 0.40 mmol) and methylamine (0.123 g, 4.0 mmol). mp 85-89 °C.

Example 485: (±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0809] The title compound was prepared (0.029 g, 14%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,4-dichlorophenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.26 g, 0.56 mmol) and methylamine (0.174 g, 5.6 mmol). mp 169-171 °C.

Example 486: (±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0810] The title compound was prepared (0.034 g, 27%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,5-dichlorophenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.16 g, 0.34 mmol) and methylamine (0.107 g, 3.4 mmol). mp 158-160 °C.

Example 487: (+)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0811] Treatment of 0.51 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (0.88 g, 4.4 mmol) generally according to the procedure described for Example 158 gave 0.256 g (64%) of (+)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +14.0 (*c* 10.0 in methanol); mp 192-194 °C.

Example 488: (-)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0812] Treatment of 0.50 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (0.88 g, 4.4 mmol) generally according to the procedure described for Example 158 gave 0.132 g (33%) of (-)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -12.99 (*c* 10.0 in methanol); mp 192-194 °C.

Example 489: (±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0813] The title compound was prepared (0.035 g, 46%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,6-dimethylphenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.10 g, 0.24 mmol) and methylamine (0.073 g, 2.4 mmol). mp 204-205 °C.

Example 490: (±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0814] The title compound was prepared (0.073 g, 78%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,6-dichlorophenyl) 5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.12 g, 0.26 mmol) and methylamine (0.080 g, 2.6 mmol). mp 192-195 °C.

Example 491: (±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0815] The title compound was prepared (0.039 g, 51%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.102 g, 0.24 mmol) and methylamine (0.074 g, 2.4 mmol). mp 110-112 °C.

Example 492: (±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0816] The title compound was prepared (0.040 g, 52%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.102 g, 0.23 mmol) and methylamine (0.071 g, 2.3 mmol). mp 185-186 °C.

Example 493: (±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0817] The title compound was prepared (0.055 g, 54%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.135 g, 0.32 mmol) and methylamine (0.099 g, 3.2 mmol). mp 167-169 °C.

Example 494: (±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0818] The title compound was prepared (0.017 g, 18%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.12 g, 0.27 mmol) and methylamine (0.082 g, 2.7 mmol). mp 148-150°C.

Example 495: (±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0819] The title compound was prepared (0.053 g, 68%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.135 g, 0.28 mmol) and methylamine (0.087 g, 2.8 mmol). mp 178-180 °C.

Example 496: (±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0820] The title compound was prepared (0.064 g, 64%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.132 g, 0.30 mmol) and methylamine (0.093 g, 3.0 mmol). mp 177-179 °C.

Example 497: (±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0821] The title compound was prepared (0.062 g, 61%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.132 g, 0.29 mmol) and methylamine (0.092 g, 2.9 mmol). mp 179-181 °C.

Example 498: (±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0822] The title compound was prepared (0.027 g, 27%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,5-difluorophenyl)-

5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.129 g, 0.29 mmol) and methylamine (0.090 g, 2.9 mmol). mp 163-165 °C.

Example 499: (±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0823] The title compound was prepared (0.061 g, 56%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.139 g, 0.29 mmol) and methylamine (0.090 g, 2.9 mmol). mp 179-181 °C.

Example 500: (±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0824] The title compound was prepared (0.064 g, 62%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.135 g, 0.31 mmol) and methylamine (0.096 g, 3.1 mmol). mp 202-204 °C.

Example 501: (±)-{[7-(2,5-dimethoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0825] The title compound was prepared (0.032 g, 27%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,5-dimethoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.152 g, 0.32 mmol) and methylamine (0.100 g, 3.2 mmol). mp 144-145 °C.

Example 502: (±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0826] The title compound was prepared (0.067 g, 58%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.148 g, 0.31 mmol) and methylamine (0.097 g, 3.1 mmol). mp 169-171 °C.

Example 503: (±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0827] The title compound was prepared (0.052 g, 46%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.14 g, 0.31 mmol) and methylamine (0.097 g, 3.1 mmol). mp 197-199 °C.

Example 504: (±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0828] The title compound was prepared (0.076 g, 57%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.175 g, 0.40 mmol) and methylamine (0.12 g, 4.0 mmol). mp 170-172 °C.

Example 505: (±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0829] The title compound was prepared (0.066 g, 84%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.20 mmol) and methylamine (0.30 g, 9.8 mmol). 192-194 mp °C.

Example 506: (±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0830] The title compound was prepared (0.055 g, 69%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.20 mmol) and methylamine (0.30 g, 9.8 mmol). mp 211-214 °C.

Example 507: (±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0831] The title compound was prepared (0.056 g, 71%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.20 mmol) and methylamine (0.30 g, 9.8 mmol). mp >250 °C.

Example 508: (±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0832] The title compound was prepared (0.065 g, 83%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.30 g, 9.8 mmol). mp 204-206 °C.

Example 509: (±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0833] The title compound was prepared (0.058 g, 74%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.30 g, 9.8 mmol). mp >250 °C.

Example 510: (±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0834] The title compound was prepared (0.040 g, 51%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.30 g, 9.8 mmol). mp >250 °C.

Example 511: (±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0835] The title compound was prepared (0.055 g, 70%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.30 g, 9.8 mmol). mp 232-235 °C.

Example 512: (±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0836] The title compound was prepared (0.055 g, 70%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.30 g, 9.8 mmol). mp 230-234 °C.

Example 513: (±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0837] The title compound was prepared (0.051 g, 65%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.213 mmol) and methylamine (0.30 g, 9.8 mmol). mp >250 °C.

Example 514: (±)-{[7-(2-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0838] The title compound was prepared (0.060 g, 76%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.30 g, 9.8 mmol). mp 140-143 °C.

Example 515: (±)-{[7-(3-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0839] The title compound was prepared (0.053 g, 67%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(3-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.30 g, 9.8 mmol). mp 206-209 °C.

Example 516: (±)-{[7-(4-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0840] The title compound was prepared (0.081 g, 99%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(4-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.30 g, 9.8 mmol). mp >250 °C.

Example 517: (±)-{[7-(2,4-difluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0841] The title compound was prepared (0.047 g, 59%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-{[7-(2,4-difluorophenyl)-

5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}4-methylbenzenesulfonate (0.10 g, 0.20 mmol) and methylamine (0.30 g, 9.8 mmol). mp 188-191 °C.

Example 518: (±)-{[N-methyl-1-[7-phenyl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0842] The title compound was prepared (0.031 g, 67%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-phenyl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.060 g, 0.13 mmol) and methylamine (0.12 g, 3.9 mmol). mp 189-190 °C.

Example 519: (±)-N-methyl-1-[7-(3-methylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0843] The title compound was prepared (0.026 g, 67%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(3-methylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.050 g, 0.11mmol) and methylamine (0.12 g, 3.9 mmol). mp 228-230 °C.

Example 520: (±)-N-methyl-1-[7-(3-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0844] The title compound was prepared (0.026 g, 60%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(3-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.055 g, 0.18 mmol) and methylamine (0.12 g, 3.9 mmol). mp 238-240 °C.

Example 521: (±)-N-methyl-1-[7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0845] The title compound was prepared (0.015 g, 19%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.12 g, 3.9 mmol). mp 123-125 °C.

Example 522: (±)-N-methyl-1-[7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0846] The title compound was prepared (0.035 g, 48%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.093 g, 0.19 mmol) and methylamine (0.12 g, 3.9 mmol). mp 235-237 °C.

Example 523: (±)-N-methyl-1-[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0847] The title compound was prepared (0.045 g, 58%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.10 g, 0.21 mmol) and methylamine (0.12 g, 3.9 mmol). mp 138-140 °C.

Example 524: (±)-N-methyl-1-[7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0848] The title compound was prepared f(0.039 g, 49%) ollowing the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.10 g, 0.19 mmol) and methylamine (0.12 g, 3.9 mmol). mp 238-240 °C.

Example 525: (±)-{[7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0849] The title compound was prepared (0.014 g, 19%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.095 g, 0.19 mmol) and methylamine (0.12 g, 3.9 mmol). mp 229-230 °C.

Example 526: (±)-N-methyl-1-[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0850] The title compound was prepared (0.048 g, 76%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-(2,4-dimethoxyphenyl)-5-

(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.080 g, 0.16 mmol) and methylamine (0.12 g, 3.9 mmol). mp 234-236 °C.

Example 527: (±)-{[7-[3,5-bis(trifluoromethyl)phenyl]-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0851] The title compound was prepared (0.033 g, 58%) following the general procedure of Example 390 as a white solid, hydrochloride salt from [7-[3,5-bis(trifluoromethyl)phenyl]-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.070 g, 0.12 mmol) and methylamine (0.12 g, 3.9 mmol). mp 205-207 °C.

Example 528: (±)-{[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0852] The title compound was prepared (0.075 g, 75%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.141 g, 0.32 mmol) and methylamine (0.20 g, 6.4 mmol). mp 212-217 °C (dec).

Example 529: (±)-{[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0853] The title compound was prepared (0.068 g, 47%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.199 g, 0.46 mmol) and methylamine (0.28 g, 9.2 mmol). mp 217-222 °C (dec).

Example 530: (±)-{[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0854] The title compound was prepared (0.132 g, 92%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.20 g, 0.48 mmol) and methylamine (0.30 g, 9.6 mmol). mp >250 °C (dec).

Example 531: (±)-{7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0855] The title compound was prepared (0.02 g, 18%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.21 g, 0.45 mmol) and methylamine (0.28 g, 9.0 mmol). mp 196-200 °C (dec).

Example 532: (±)-{7-fluoro-5-[2-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0856] The title compound was prepared (0.13 g, 92%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[2-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.18 g, 0.42 mmol) and methylamine (0.26 g, 8.4 mmol). mp 223-226 °C (dec).

Example 533: (±)-{7-fluoro-5-[3-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0857] The title compound was prepared (0.14 g, 99%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[3-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.20 g, 0.48 mmol) and methylamine (0.30 g, 9.6 mmol). mp 245-250 °C.

Example 534: (±)-{7-fluoro-5-[3-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0858] The title compound was prepared (0.11 g, 81%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[3-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.21 g, 0.49 mmol) and methylamine (0.30 g, 9.6 mmol). mp 225-232 °C.

Example 535: (±)-{[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0859] The title compound was prepared (0.12 g, 88%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.19 g, 0.45 mmol) and methylamine (0.28 g, 9.1 mmol). mp >250 °C.

Example 536: (±)-{7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0860] The title compound was prepared (0.034 g, 23%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.19 g, 0.42 mmol) and methylamine (0.26 g, 8.5 mmol). mp 215-219 °C.

Example 537: (±)-{7-fluoro-5-[3-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0861] The title compound was prepared (0.13 g, 99%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[3-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.18 g, 0.42 mmol) and methylamine (0.26 g, 8.3 mmol). mp 214-217 °C.

Example 538: (±)-{7-fluoro-5-[4-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0862] The title compound was prepared (0.11 g, 88%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[4-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.17 g, 0.41 mmol) and methylamine (0.26 g, 8.3 mmol). mp >250 °C.

Example 539: (±)-{7-fluoro-5-[4-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0863] The title compound was prepared (0.14 g, 91%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[4-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.21 g, 0.49 mmol) and methylamine (0.30 g, 9.8 mmol). mp >250 °C.

Example 540: (±)-{[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0864] The title compound was prepared (0.12 g, 96%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.18 g, 0.43 mmol) and methylamine (0.27 g, 8.6 mmol). mp >250 °C.

Example 541: (±)-{7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0865] The title compound was prepared (0.14 g, 87%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.21g, 0.45 mmol) and methylamine (0.28 g, 9.0 mmol). mp >250 °C.

Example 542: (±)-{7-fluoro-5-[4-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine

[0866] The title compound was prepared (0.12 g, 92%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[{7-fluoro-5-[4-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl 4-methylbenzenesulfonate (0.18 g, 0.42 mmol) and methylamine (0.26 g, 8.4 mmol). mp >250 °C.

Example 543: (+){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0867] Treatment of 0.71 g of fraction 1 obtained from the chiral HPLC separation of (±)-[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate with methylamine (0.47 g, 15.0 mmol) generally according to the procedure described for Example 390 gave 0.42 g (76%) of (+)-{[(7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +7.89 (*c* 10.0 in methanol); mp 140-142 °C.

Example 544: (-){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0868] Treatment of 0.79 g of fraction 2 obtained from the chiral HPLC separation of (±)-[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate with methylamine (0.52 g, 16.9 mmol) generally according to the procedure described for Example 390 gave 0.39 g (64%) of (-)-{[(7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -9.02 (*c* 10.0 in methanol); mp 140-142 °C.

Example 545: (*R*)-[7-(2-chloro-phenyl)-5-fluoro-2,3-dihydro-benzofuran-2-ylmethyl]-methyl-amine

[0869] Treatment of (*R*)-2-bromomethyl-7-(2-chloro-phenyl)-5-fluoro-2,3-dihydrobenzofuran (0.55 g, 1.6 mmol) generally according to the procedure described for Example 390 gave 0.36 g (77%) of (*R*)-[7-(2-chloro-phenyl)-5-fluoro-2,3-dihydro-benzofuran-2-ylmethyl]-methyl-amine as a white foam, hydrochloride salt. $[\alpha]_D^{25} = +11.57$ (*c* 7.43 in methanol); Anal. calcd. for $C_{16}H_{15}ClFNOHCl$: C, 58.55; H, 4.91; N, 4.27; Found: C, 56.86; H, 5.27; N, 3.91.

Example 546: (*R*)-[7-(2,6-dichloro-phenyl)-5-fluoro-2,3-dihydro-benzofuran-2-ylmethyl]ethylamine

[0870] Treatment of (*R*)-2-bromomethyl-7-(2,6-dichloro-phenyl)-5-fluoro-2,3-dihydrobenzofuran (0.42 g, 1.1 mmol) generally according to the procedure described for Example 390 afforded 0.28 g (74%) of (*R*)-[7-(2,6-dichloro-phenyl)-5-fluoro-2,3-dihydro-benzofuran-2-ylmethyl]ethylamine as a white foam, hydrochloride salt. MS ES $[M+H]^+$ 340.1; $[\alpha]_D^{25} = -7.12$ (*c* 7.86 in methanol); Anal. calcd. for $C_{17}H_{16}Cl_2FNOHCl$: C, 54.21; H, 4.55; N, 3.72. Found: C, 51.85; H, 4.88; N, 3.50.

Example 547: (*R*)-[7-(2,6-dichloro-phenyl)-5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl]dimethylamine

[0871] Treatment of (*R*)-2-bromomethyl-7-(2,6-dichloro-phenyl)-5-fluoro-2,3-dihydrobenzofuran (0.41 g, 1.1 mmol) and *N,N*-dimethylamine (2.0 M in tetrahydrofuran, 5.4 ml) generally according to the procedure described for Example 390 afforded 0.29 g (80%) of (*R*)-[7-(2,6-dichloro-phenyl)-5-fluoro-2,3-dihydro-benzofuran-2-ylmethyl]-dimethyl-amine as a white solid, hydrochloride salt. mp156-158 °C; $[\alpha]_D^{25} = -21.04$ (*c* 7.71 in methanol); Anal. calcd. for $C_{17}H_{16}Cl_2FNOHCl$: C, 54.21; H, 4.55; N, 3.72. Found: C, 53.98; H, 4.62; N, 3.56.

Example 548: {[(2*R*)-7-(5-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0872] Treatment of (*R*)-2-azidomethyl-7-(5-chloro-2-methyl-phenyl)-5-fluoro-2,3-dihydro-benzofuran (0.40 g, 1.2 mmol) generally according to the procedure described for Example 21 gave {[(2*R*)-7-(5-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp 148-150°C; $[\alpha]_D^{25} = +1.45$ (*c* 8.29 in

methanol); Anal. calcd. for $C_{16}H_{15}ClFNOHCl$: C, 58.55; H, 4.91; N, 4.27. Found: C, 58.55; H, 4.78; N, 3.88.

Example 549: {[(2*R*)-7-(4-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0873] Treatment of (*R*)-2-azidomethyl-7-(4-chloro-2-methyl-phenyl)-5-fluoro-2,3-dihydrobenzo-furan (0.40 g, 1.2 mmol) generally according to the procedure described for Example 21 provided 0.29 g (80%) of {[(2*R*)-7-(4-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. mp 183-185 °C; $[\alpha]_D^{25}$ = +7.22 (*c* 9.14 in methanol); Anal. calcd. for $C_{16}H_{15}ClFNOHCl$: C, 58.55; H, 4.91; N, 4.27. Found: C, 58.55; H, 4.87; N, 4.52.

Example 550: (-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0874] Treatment of 0.95 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate with hydrogen bromide (20 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.38 g (57%) of (-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -21.12 (*c* 10.0 in methanol); mp 228-230 °C.

Example 551: (+)-{[7-(2,6 dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine

[0875] Treatment of 1.3 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}carbamate trimethylsilyl iodide (2.33 g, 11.6 mmol) generally according to the procedure described for Example 158 gave 0.78 g (77%) of (+)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = 16.46 (*c* 10.0 in methanol); mp 217-220 °C.

Example 552: (±)-{2-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine

[0876] To a solution of (±)-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanol (0.5 g, 1.69 mmol) in toluene (10 mL) was added triphenylphosphine (0.66 g, 2.54 mmol), diethyl azodicarboxylate (0.44 g, 2.54 mmol), and 2-hydroxy-2-methylpropanenitrile (0.21 g, 2.53 mmol) and the reaction mixture was allowed to stir at room temperature for 48 h. The solvent was removed *in vacuo* to provide a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 1:9-3:7) provided 0.22 g (43%) of (±)-{2-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]propanenitrile. To a solution of the nitrile in tetrahydrofuran (10 mL) was added borane-tetrahydrofuran (8 mL) and the reaction mixture was heated to reflux for 3 h. The reaction mixture was quenched with 1.0 N aqueous hydrogen chloride (100 mL) and then neutralized with 1.0 N aqueous sodium hydroxide (100 mL). The aqueous layer was extracted with ethyl acetate (2 x 200 mL) and the combined organic extracts were washed with saturated aqueous sodium chloride (100 mL), dried (magnesium sulfate) and the solvent removed *in vacuo*. Purification by flash column chromatography (silica, 10% ammonium hydroxide in methanol:dichloromethane 1:9) provided 0.1 g (19%) of (±)-{2-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine as a white solid, hydrochloride salt. mp 211-213 °C.

Example 553: (±)-{2-[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine

[0877] Treatment of (±)-[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanol (0.5 g, 1.9 mmol) with triphenylphosphine (1.23 g, 4.67 mmol), diethyl azodicarboxylate (0.82 g, 4.68 mmol), and 2-hydroxy-2-methylpropanenitrile (0.40 g, 4.68 mmol) generally according to the procedure described for Example 552 afforded 0.106 g (15%) of (±)-{2-[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine as a white solid, hydrochloride salt. mp 212-213 °C.

Example 554: (±)-{2-[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine

[0878] To a solution of (±)-[5-methoxy-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.25 g, 0.57 mmol) in dimethylsulfoxide (20 mL) was added sodium cyanide (0.07 g, 1.43 mmol) and the reaction mixture was allowed to stir at 50 °C for 1 h. The reaction was quenched by the addition of water (10 mL) and extracted with

ethyl acetate (2 x 10 mL). The combined organics were washed with water (3 x 20 mL), saturated aqueous sodium chloride (20 mL), dried (magnesium sulfate) and the solvent was removed *in vacuo* to give a crude oil. Purification by flash column chromatography (silica, ethyl acetate:hexanes 2:8) gave (±)-[5-methoxy-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]acetonitrile as a colorless oil. The oil was dissolved in ethanol (30 mL), 28% aqueous ammonium hydroxide (20 mL), and treated with rhodium on alumina (0.1 g, 5 wt. %) generally according to procedure described for Example 1 to afford 0.025 g (13%) of (±)-{2-[5-methoxy-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine as a yellow solid, hydrochloride salt. mp 240-242 °C.

Example 555: (±)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0879] The title compound was prepared (0.424 g, 80%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (±)-[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.68 g, 1.4 mmol) and methylamine (3.1 g, 50.0 mmol). mp 169-172 °C.

Example 556: (+)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0880] Treatment of 1.48 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (2.48 g, 12.4 mmol) generally according to the procedure described for Example 158 provided 0.125 g (11%) of (+)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +7.8 (*c* 10.0 in methanol); mp 93-98 °C.

Example 557: (-)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine

[0881] Treatment of 1.41 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (2.36 g, 11.8 mmol) generally according to the procedure described for Example 158 gave 0.17 g (15%) of (-)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -6.2 (*c* 10.0 in methanol); mp 93-98 °C.

Example 558: (+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0882] The title compound was prepared (0.147 g, 65%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (+)-[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.50 g, 1.2 mmol) and methylamine (0.372 g, 12.0 mmol). $[\alpha]_D^{25}$ = +1.6 (*c* 10.0 in methanol); mp 169-170 °C.

Example 559: (-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0883] The title compound was prepared (0.298 g, 79%) following the general procedure of Example 390 as a white solid, hydrochloride salt from (-)- [7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl 4-methylbenzenesulfonate (0.50 g, 1.2 mmol) and methylamine (0.372 g, 12.0 mmol). $[\alpha]_D^{25}$ = –3.0 (*c* 10.0 in methanol); mp 171-173 °C.

Example 560: (-)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0884] Treatment of 0.56 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with palladium on carbon (0.1 g, 10 wt. %) generally according to the procedure described for Example 1 gave 0.323 g (74%) of (-)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -5.9 (*c* 10.0 in methanol); mp 158-160 °C.

Example 561: (+)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0885] Treatment of 0.55 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with palladium on carbon (0.1 g, 10 wt.%) generally according to the procedure described for Example 1 gave 0.225 g (53%) of (+) {[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +4.51 (*c* 10.0 in methanol); mp 158-160 °C.

Example 562: (+)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0886] Treatment of 0.9 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-5-chloro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with hydrogen bromide (20 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.598 g (84%) of (+)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +14.27 (*c* 10.0 in methanol); mp 181-183 °C.

Example 563: (-)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0887] Treatment of 0.9 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,5-dichlorophenyl)-5-chloro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with hydrogen bromide (20 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.49 g (68%) of (-)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -7.8 (*c* 10.0 in methanol); mp 187-189 °C.

Example 564: (-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0888] Treatment of 0.65 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,6-dimethylphenyl)- 2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with hydrogen bromide (15 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.395 g (78%) of (-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = -8.4 (*c* 10.0 in methanol); mp 229-231 °C.

Example 565: (+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0889] Treatment of 0.65 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[5-chloro-7-(2,6-dimethylphenyl)- 2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with hydrogen bromide (15 mL, 30 wt.% in acetic acid) generally according to the procedure described for Example 245 gave 0.37 g (74%) of (+)-{[5-chloro-7-

(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a white solid, hydrochloride salt. $[\alpha]_D^{25}$ = +11.6 (*c* 10.0 in methanol); mp 229-231 °C.

Example 566: (+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0890] Treatment of 0.8 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (1.05 g, 5.2 mmol) generally according to the procedure described for Example 158 gave 0.16 g (28%) of (+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine as a light yellow foam, hydrochloride salt. $[\alpha]_D^{25}$ = +38.89 (*c* 10.0 in methanol).

Example 567: (-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0891] Treatment of 0.67 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (1.05 g, 5.2 mmol) generally according to the procedure described for Example 158 gave 0.14 g (29%) of (-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a light yellow foam, hydrochloride salt. $[\alpha]_D^{25}$ = -38.0 (*c* 10.0 in methanol).

Example 568: (-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0892] Treatment of 0.88 g of fraction 1 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylcarbamate with trimethylsilyl iodide (1.55 g, 7.7 mmol) generally according to the procedure described for Example 158 gave 0.37 g (54%) of (-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a light yellow foam, hydrochloride salt; $[\alpha]_D^{25}$ = -26.4 (c 10.0 in methanol).

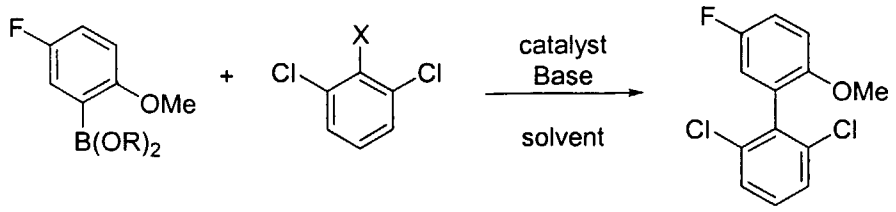
Example 569: (+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine

[0893] Treatment of 1.4 g of fraction 2 obtained from the chiral HPLC separation of (±)-benzyl {[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-

yl]methyl}methylcarbamate with trimethylsilyl iodide (2.53 g, 12.6 mmol) generally according to the procedure described for Example 158 gave 0.53 g (48%) of (+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine as a light yellow foam, hydrochloride salt; $[\alpha]_D^{25}$ = 25.2 (c 10.0 in methanol).

Example 570: Alternative synthesis of 2R-(–)-7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-2-aminomethylbenzofuran hydrochloride

1-Methoxy-4-fluoro-2',6'-dichlorobiphenyl

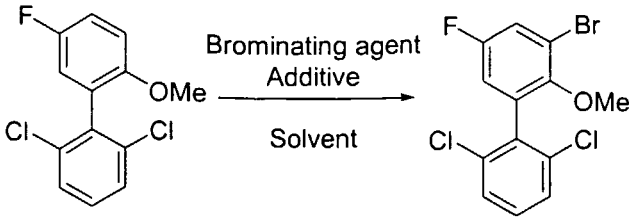


R = H, Alkyl X = Br, I

[0894] To a solution of NaOH (54 g, 1.35 mol) in water (400 mL) heated to 60 °C was added dimethoxyethane (400 mL), then dichlorobromobenzene (Aldrich, 60 g, 0.267 mol) and boronic acid (50 g, 0.294 mol). To the resulting stirred emulsion, solid $Pd(PPh_3)_4$ (9.5 g, 8.2 mmol) was added and washed down with 100 mL of DME. The greenish mixture was heated at reflux (ca. 80 °C) while stirred mechanically. The course of reaction was monitored by HPLC. After 2 hr, 9.0 g (0.053 mol) of additional boronic acid and 2.0 g (1.7 mmol) of the catalyst were added to the reaction mixture and the heating was continued for 16 hr longer. More boronic acid (5.8 g, 0.034 mol) and the catalyst (0.5 g, 0.4 mmol) were added at that point and the mixture was kept at reflux for 7 hr longer (23 hr was total reaction time).

[0895] The heating was stopped and 600 mL of heptane and 300 mL of water were added. The mixture was allowed to cool to room temperature and then was filtered through Celite. The layers were separated, the organic layer was washed with water, three times with brine, dried with $MgSO_4$ and filtered through a pad of Magnesol. The clear colorless solution was concentrated on a rotary evaporator to a colorless oil (weight 72 g). The oil was triturated with 120 mL of heptane which caused crystallization of a white solid. The mixture was left in a refrigerator overnight, the separated crystals were filtered and dried in air. Yield 51 g, 93% pure. The major impurity was determined to be the homo-coupling product 13. Additional recrystallization of the material from heptane gave crystals of 98% purity. Yield 45 g (62%) as white crystals.

1-Methoxy-2-bromo-4-fluoro-2',6'-dichlorobiphenyl



[0896] To a magnetically stirred solution of the arene (38.0 g, 0.140 mol) in 190 mL of dioxane placed into a 500-mL round-bottom flask equipped with a temperature probe, cone, sulfuric acid (38 mL) was added slowly (exothermic mixing, temperature rose to 37 °C, the solution turned yellow). To the warm solution (the arene would crystallize out of the mixture if it was allowed to cool down), solid NBS (26.7 g, 0.150 mol) was added in one portion (no exothermic heating was observed here). The resulting solution was heated in a mantle at 50 °C. The reaction progress monitored by HPLC. After 18 hr, only trace amount of the starting arene was detected.

[0897] The reaction mixture was allowed to cool to room temperature (r.t.), then it was poured onto 400 g of ice (could use lesser amount as it did not melt completely). Heptane (100 mL) was added and the mixture was transferred to the separatory funnel. The aqueous layer was separated and extracted with additional portions of heptane (2 x 100 mL) (toluene could be used instead of heptane as the product started to crystallize; toluene was added to the organic solution to get the product back into the solution). Combined organic solutions were washed once with water (30 mL), then aq. $Na_2S_2O_3$ solution (to remove unreacted NBS, reaction with KI-starch indicator paper), and, finally, with 1 M aq. NaOH solution (2 x 30 mL) (upon NaOH treatment the mixture turned from yellow to dark-brown but all the color went into the aqueous phase). Light-yellow clear organic solution was dried with $MgSO_4$, filtered through a cotton plug and evaporated in vacuum (bath temp. 60 °C). The resulting yellow oil was re-dissolved in 55 mL of heptane.

[0898] The first batch of crystals (25.5 g) slowly separated from the heptane solution at r.t. and was filtered and dried in air. Purity 98% (HPLC @ 215 nm), white crystals. M.p. 67-69 °C.

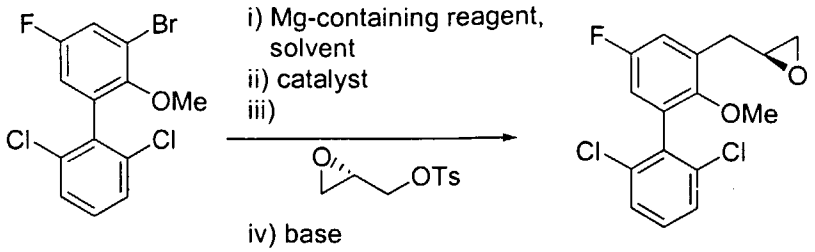
[0899] The second batch of the product (13.9 g) was isolated from the mother liquor by chilling it in a dry-ice-acetone bath, filtering off the precipitated solid and drying it in a vacuum desiccator over $CaSO_4$. Purity 97% (HPLC area% at 215 nm), white amorphous powder. M.p. 47-56 °C.

Total yield 39.4 g (80%).

^{1}H NMR (300 MHz, $CDCl_3$) 6: 7.42 (m, J = 8.1 Hz, 2H)[10], 7.39 (dd, J = 3.0, 7.7 Hz, 1H), 7.30 (dd, J = 8.1 Hz, 1H), 6.86 (dd, J = 3.0, 8.0 Hz, 1H), 3.56 (s, 3H). Protons at 7.42 and 7.30 ppm form a second-order A2B spin system with JAB = 8.1 Hz (determined by NMR simulation).

EI MS, m/z.

2-[5-Fluoro-3-(2,6-dichlorophenyl)-2-methoxybenzyl]oxirane



[0900] *Generation of the Grignard reagent.* Aryl bromide (25.0 g, 71.4 mmol) was placed into a 500-mL flask equipped with a magnetic stirrer, nitrogen inlet, temperature probe and a rubber septum. The flask was purged excessively with nitrogen, then left under positive nitrogen pressure. Dry THF (100 mL) was transferred into the flask via a syringe. The solution was chilled in an ice bath to 2 °C.

[0901] A solution of i-PrMgCl in THF (1.9 M, Aldrich, 39.5 mL, 75 mmol) was added slowly to the solution in the flask via a syringe (20 min addition time, the temperature was maintained between *2* and 6 °C). The resulting yellowish solution was left in the bath for 18 hr allowing it to reach room temperature. (The reaction is monitored by HPLC analysis of an aliquote quenched by water. Care should be taken not to introduce oxygen into the reaction flask while sampling the solution.)

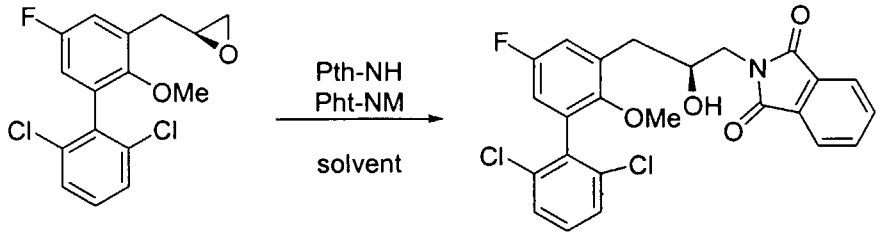
[0902] *Reaction with glycidyl tosylate.* The solution of the Grignard reagent was chilled to -30 °C by placing the flask in a bath with partially frozen dichloroethane (M.p. -45 °C). CuCN (0.45 g, 5.0 mmol, 7 mol%; Aldrich) was added to the flask via syringe as a slurry in dry THF. The resulting mixture was stirred for 1 hr at -30 °C, then (S)-(+)-glycidyl tosylate (15.5 g, 68 mmol, Aldrich) dissolved in 10 mL of dry THF was added to the solution (addition time 30 min, reaction mixture temperature was maintained between -22 and -29 °C). The reaction was left stirring at -31 °C for 2 hr, then the DCE bath was replaced with a partially frozen o-xylene bath (o-xylene M.p. -25 °C). Over the next 3 hr the temperature was allowed to reach -18 °C. HPLC analysis of the quenched aliquot showed complete disappearance of glycidyl tosylate.

[0903] To the cold reaction mixture, 100 mL of aq. NH_4Cl solution (prepared by 1:1 dilution of the saturated solution with water) was added. The phases were separated. The aqueous layer was extracted with 50 mL of MTBE. Combined organic solutions were washed with 30 mL of brine.

[0904] *Closure of the epoxide.* To the solution of the intermediate hydroxytosylate was added aq. solution of NaOH prepared by mixing 20 mL of 10 M stock solution (200 mmol) with 30 mL of water. The resulting bi-phasic mixture was stirred rapidly with a magnetic stirrer so that the mixture was broken into fine emulsion. After 18 hr at room temp, (checked by HPLC) the mixture

was transferred to a separatory funnel and the phases were separated. The aqueous phase was extracted with 100 mL of MTBE, combined organic solutions were washed with brine and dried with $MgSO_4$. After filtration through a paper filter, light-yellow solution was evaporated in vacuum to give a mixture the epoxide and des-bromo-arene as a light-yellow oil which solidified upon cooling to room temp. Weight 23.06 g. The mixture was used in the subsequent step without purification.

2*S*-3-[5-Fluoro-3-(2,6-dichlorophenyl)-2-methoxyphenyl]-1-*N*-phthalimidopropan-2-ol



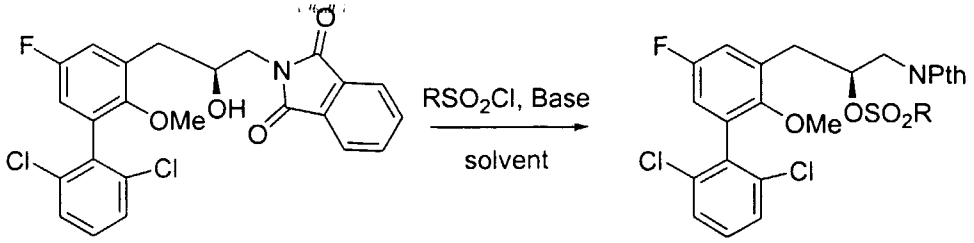
[0905] The epoxide (22.6 g of the crude mixture from the previous step, ca. 67 mmol), phthalimide (10.3 g, 70 mmol) and its potassium salt (12.9 g, 70 mmol) were placed in a 250 round-bottom flask equipped with a magnetic stirrer, a nitrogen inlet, and a temperature probe. Dry DMF (100 mL) was added to the mixture. The reaction flask was briefly purged with nitrogen and then was being heated at 75 °C with stirring for 20 hr (the progress was monitored by HPLC). Once no starting epoxide was detected, the mixture was allowed to cool to room temp, and then mixed with 200 mL of ice-water slush. The product was extracted with MTBE (2 x 100 mL). The organic solution was washed with solution prepared from 2 parts of 1 M aq. NaOH, 3 parts brine, and 5 parts water (2 x 100 mL), then with brine until neutral pH (Note: The product may start crystallizing during the extractions and washes. In that case it was brought back into solution by adding THF to the mixture). The resulting organic solution was dried with $MgSO_4$, filtered through a paper filter and evaporated in vacuum. The product started to crystallize during the evaporation. The volume of the solvent was reduced to ca. 40 mL, then the residue was triturated with 200 mL of hexanes. The white solid was filtered, washed with hexanes and dried in air.

Yield 23.25 g (74% over 3 steps, based on the amount of glycidyl tosylate). M.p. 165-168 °C.

^{1}H NMR (300 MHz, $CDCl_3$) 6: 7.86 (m, 2H), 7.72 (m, 2H), 7.43 (m, IH), 7.41 (m, IH), 7.27 (m, IH), 7.08 (dd, *J*= 3.0, 8.8 Hz, IH), 6.79 (dd, *J*= 3.0 Hz, 8.1 Hz, IH), 4.23 (d^5, *J*= 3.3,4.3, 5.7, 7.9, 8.5 Hz, IH), 3.85 (dd, *J*= 3.3,14.1 Hz, IH), 3.80 (dd, *J*= 8.5, 14.1 Hz, IH), 3.42 (s, 3H), 2.96 (dd, J = 4.3, 13.9 Hz, IH), 2.92 (dd, *J*= 7.9, 13.9 Hz, IH), 2.80 (d, *J*= 5.7 Hz, IH).

ES MS, m/z: 474 $(M+H)^+$, Cl_2 isotope pattern. Analytical purity: 97% (HPLC area% at 215 nm).

2*S*-3-[5-Fluoro-3-(2,6-dichlorophenyl)-2-methoxyphenyl]-1-*N*-phthalimidopropan-2-yl methanesulfonate



[0906] In a 500 mL Erlenmeyer flask equipped with a magnetic stirrer, temperature probe and an addition funnel (suspended over the flask without attaching) was placed the product of the preceding step, 2*S*-3-[5-Fluoro-3-(2,6-dichlorophenyl)-2-methoxyphenyl]-1-*N*-phthalimidopropan-2-ol, (22.0 g, 46.4 mmol), CH_2Cl_2 (200 mL) and triethylamine (9.7 mL, 70 mmol). Into the addition funnel was placed CH_2Cl_2 (20 mL) and methanesulfonyl chloride (5.4 mL, 70 mL). The solution of MsCl was added dropwise (addition time 10 min) to the stirred solution in the flask (exothermic reaction, temp, rose to 32 °C by the end of addition). The reaction mixture was allowed to stir at room temp, for 2 hr (checked by HPLC). White solid separated from the solution over that time.

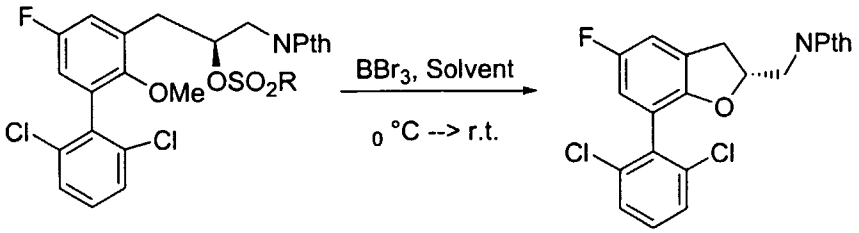
[0907] Water (100 mL) was added to the reaction mixture while stirring it rapidly. About 120 mL of DCM was distilled off on a rotary evaporator. The residue was triturated with 200 mL of hexanes. The solid was filtered and washed excessively with water and hexanes. The cake was dried on the filter for 1 hr then overnight in a vacuum desiccator oven.

Yield 25.2 g (98%) as a white fluffy crystals. M.p. >200 °C (decomp.)

^{1}H NMR (300 MHz, $CDCl_3$) 8: 7.86 (m, 2H), 7.72 (m, 2H), 7.43 (m, 2H), 7.29 (m, IH), 7.09 (dd, J = 3.1, 8.5 Hz, IH), 6.82 (dd, J = 3.1, 8.3 Hz, IH), 5.28 (m, IH), 4.09 (dd, J = 8.6, 14.6 Hz, IH), 3.90 (dd, J = 3.3, 14.6 Hz, IH), 3.45 (s, 3H), 3.18 (dd, J = 5.4, 14.0 Hz, IH), 3.09 (dd, J - 7.8, 14.0 Hz, 1H), 2.65 (s, 3H). ^{13}C NMR (100 MHz, dmso-J_6) 5: 167.6, 157.6 (d, *J*= 242 Hz), 152.4 (d, *J*--2 Hz), 134.8, 134.4, 134.3 (d, *J*= 16 Hz), 131.6, 131.4 (d, J=20 Hz), 131.4, 130.8, 128.3, 123.1, 118.7 (d, *J*= *22* Hz), 116.7 (d, *J*= *24* Hz), 78.5, 60.5, 40.8, 37.6, 33.2.

ES MS, m/z: 552 $(M+H)^+$,Cl_2 isotope pattern. Analytical purity 99.6% (HPLC area% at 215 nm).

2*R*-7-(2,6-Dichlorophenyl)-5-fluoro-2,3-dihydro-2-(N-phthalimidomethyl)benzofuran



[0908] The product of the preceding step, 2*S*-3-[5-Fluoro-3-(2,6-dichlorophenyl)-2-methoxyphenyl]-1-*N*-phthalimidopropan-2-yl methanesulfonate, (22.1 g, 40.0 mmol) and dichloromethane (200 mL) were placed into a 500-mL flask equipped with a magnetic stirrer, a

temperature probe, a nitrogen inlet and a 50-mL addition runnel. The flask and the addition runnel were purged briefly with nitrogen (just in case). The slurry in the flask was chilled in an ice bath to 4 °C. A 1M solution of BBr_3 in CH_2Cl_2 (Aldrich, 42 mL, 42 mmol) was placed into the addition runnel and was added dropwise to the stirred contents of the flask (addition time 12 min, temp, drifted from 4 to 10 °C). The stirring was continued allowing the temperature of the reaction mixture to reach 16 °C over 2-hr period and then at room temp (19°C) for 3 hr longer. The reaction progress was monitored by HPLC (1% of unreacted starting material remained, area % at 215 nm). 1M

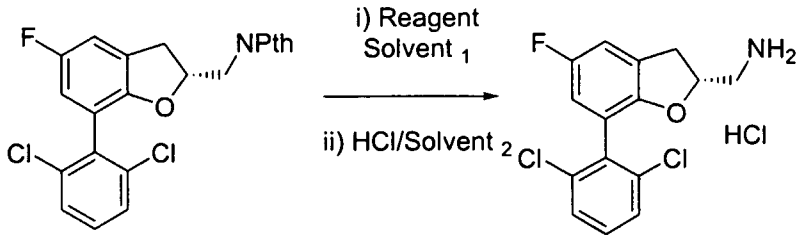
[0909] The reaction mixture was quenched by slowly pouring it into the solution prepared from $NaHCO_3$ (11 g, 131 mmol) and 200 mL of water (the reaction went fairly slow, no exotherm was observed, no excessive foaming either). Precipitate formed initially in the organic layer but dissolved after ca. 20 min of rapid stirring. After 30 min of stirring, the layers were separated. Aqueous layer was extracted with dichloromethane (2 x 50 mL). Combined organic solutions were washed with 100 mL of water, then dried with $MgSO_4$ The drying agent was filtered off and washed with ethyl acetate. The volume of the filtrate was reduced to about 50 mL on rotary evaporator. The product separated as white or light-yellow solid. The slurry was triturated with 40 mL of a 50:50 hexanes-MTBE mixture, the solid was filtered, washed with the above mixture of solvents and dried on the filter.

Yield 14.4 g (82%) as a light-yellow solid. M.p. 222.5-224.5 °C.

'H NMR (400 MHz, dmso-J_6) 5: 7.85 (m, 4H), 7.53 (m, 2H), 7.41 (m, 1H), 7.19 (dd, *J*= 2.7, 8.2 Hz, 1H), 6.86 (dd, 7 = 2.7, 9.3 Hz, 1H), 5.09 (m, 1H), 3.79 (m, 2H), 3.43 (dd, *J*= 9.3, 16.6 Hz, 1H), 3.15 (dd, *J*= 5.9, 16.6 Hz, 1H). ^{13}C NMR (100 MHz, dmso-4) 6: 167.8, 156.4 (d, *3* = 237 Hz), 152.2, 134.5,134.4 (d, J = 30 Hz), 133.5,131.5,130.6, 128.6 (d, J - 9.4 Hz), 128.1 (d, J - 3.6 Hz), 123.1, 118.2, 118.1, 114.9 (d, J = 9.3 Hz), 112.9 (d, J = 25 Hz), 80.0, 41.1, 33.2.

ES MS, m/z: 442 MH^+, Cl_2 isotope pattern. Analytical purity: 99.9% (HPLC area % at 215 nm).

2*R*-7-(2,6-Dichlorophenyl)-5-fluoro-2,3-dihydro-2-aminomethylbenzofurane hydrochloride



[0910] The product of the preceding step, 2*R*-7-(2,6-Dichlorophenyl)-5-fluoro-2,3-dihydro-2-(N-phthalimidomethyl) benzofuran, (12.9 g, 29.2 mmol) was mixed with 70 mL of isopropanol and 15 mL of water. Hydrazine hydrate (55% hydrazine content, Aldrich, 5 mL, 90 mmol) was then added and the reaction mixture was magnetically stirred and heated at gentle reflux for 2 hr. (In case by-product phthalyl hydrazide crystallizes out and gets in a way of stirring it is re-dissolved by

adding 3:1 mixture of isopropanol-water. It is very little soluble in isopropanol alone.) Dissolution of the staring material and formation of a clear solution was an indication that the reaction is done. It was confirmed by HPLC analysis before working up the reaction mixture.

[0911] To the hot solution was added 40 mL of 1 M aqueous NaOH and 100 mL of water . The product was extracted with MTBE (3 x 50 mL). Combined extracts were washed with 60 mL of 0.2 M aq. NaOH, then with water (2 x 50 mL) and finally with brine (50 mL). Resulting clear solution was dried over Na_2SO_4 for 1 hr, filtered through a paper filter and evaporated in vacuum to afford a light-yellow oil (it was slightly opalescent).

[0912] The oil was dissolved in 50 mL of EtOAc and to the solution was added rapidly 2 M solution of HCl in diethyl ether (Aldrich, 15 mL, 30 mmol). The salt precipitated rapidly (exothermic) and froze in a single chunk. It was broken up by shaking it with 100 mL of ether, then the slurry was stirred for 30 min in an ice bath. The salt was filtered, washed with 100 mL of ether, dried first on the filter in the stream of air until the filter reached room temp, and then overnight in a vacuum desiccator over $CaSO_4$.

Yield 9.4 g (92%) as white crystals. M.p. 231-233 °C.

'H NMR (400 MHz, dmso-d^6) 6: 8.25 (broad s, 3H), 7.57 (m, J = 8.1 Hz, 2H) , 7.45 (dd, J = 8.1 Hz, 1H), 7.24 (dd, J = 2.6, 8.1 Hz, 1H), 6.90 (dd, J = 2.6, 9.6 Hz, 1H), 5.05 (d^4, J - 9.2, 7.9, 7.0, 4.5 Hz, 1H), 3.45 (dd, J = 9.2, 16.6 Hz, 1H), 3.17 (dd, J = 7.0, 16.6 Hz), 3.10 (dd, J = 13.4, 4.5 Hz, 1H), 3.04 (dd, J = 13.4, 7.9 Hz, 1H). Protons at 7.57 and 7.45 ppm form a second-order A_2B spin system with J_{AB} = 8.1 Hz (determined by NMR simulation).

^{13}C NMR (400 MHz, dmso-J_6) 6: 156.4 (d, J = 257Hz), 151.9, 134.5, 134.2, 133.5, 130.5, 128.7 (d, J = 11 Hz), 128.2 (d, J = 21 Hz), 118.3 (d, J = 9 Hz), 115.0 (d, J = 25 Hz), 112.9 (d, J = 25 Hz), 80.0,42.1,32.8.

ES MS, m/z: 312 (M+H), Cl_2 isotope pattern.

Enantiomeric purity: 99.4% ee (chiral HPLC on Chiracel OD-H 0.46 x 25 cm, 1 mL/min 90% heptane/DIEA, 10% ethanol, area% at 280 nm).

Analytical purity: 99.8% (HPLC on Prodigy ODS3 0.46 x 15 cm, 1 mL/min water/TFA - MeCN/TFA 100 min gradient 0-100%, area % at 215 nm). Seventeen impurities in the range of 0.003-0.06 area% were detected totaling 0.19%.

For $C_{15}H_{13}Cl_3FNO$ found C 51.59%, H 3.81%, N 3.87%, anionic Cl 10.49%; calc'd C 51.68%, H 3.76%, N 4.02%, anionic Cl 10.17%.

Example 571: Determination of Binding Affinity and Agonist Activity of Compounds of Formula 1

[0913] The ability of the compounds of this invention to act as $5HT_{2C}$ agonists and partial agonists was established using several standard pharmacological test procedures; the procedures used and results obtained are provided below. In the test procedures, 5-HT stands for 5-hydroxytryptamine, mCPP stands for meta-chlorophenylpiperazine, and DOI stands for 1-(2,5-dimethoxy-4-iodophenyl)isopropylamine.

[0914] To evaluate the affinity of various compounds of Formula 1 for activity at the 5-HT_{2C} receptor, a CHO (Chinese Hamster Ovary) cell line transfected with the cDNA expressing the human 5-hydroxytryptamine-2C (h5-HT_{2C}) receptor was maintained in DMEM (Dulbecco's Modified Eagle Media) supplied with fetal calf serum, glutamine, and the markers: guaninephosphoribosyl transferase (GTP) and hypoxanthinethymidine (HT). The cells were allowed to grow to confluence in large culture dishes with intermediate changes of media and splitting. Upon reaching confluence, the cells were harvested by scraping. The harvested cells were suspended in half volume of fresh physiological phosphate buffered saline (PBS) solution and centrifuged at low speed (900 x g). This operation was repeated once. The collected cells were then homogenized with a polytron at setting #7 for 15 sec in ten volumes of 50 mM Tris.HCl, pH 7.4 and 0.5 mM EDTA. The homogenate was centrifuged at 900 x g for 15 min to remove nuclear particles and other cell debris. The pellet was discarded and the supernatant fluid recentrifuged at 40,000 x g for 30 min. The resulting pellet was resuspended in a small volume of Tris.HCl buffer and the tissue protein content was determined in aliquots of 10-25 μL volumes. Bovine Serum Albumin (BSA) was used as the standard in the protein determination by the method of Lowry et al., (J. Biol. Chem., 193:265 (1951). The volume of the suspended cell membranes was adjusted with 50 mM Tris.HCl buffer containing: 0.1% ascorbic acid, 10 mM pargyline and 4 mM $CaCl_2$ to give a tissue protein concentration of 1-2 mg per ml of suspension. The preparation membrane suspension (many times concentrated) was aliquoted in 1 ml volumes and stored at -70 C until used in subsequent binding experiments.

[0915] Binding measurements were performed in a 96 well microtiter plate format, in a total volume of 200 μL. To each well was added: 60 μL of incubation buffer made in 50 mM Tris.HCl buffer, pH 7.4 and containing 4 mM $CaCl_2$; 20 μL of [^{125}I] DOI (S.A., 2200 Ci/mmol, NEN Life Science).

[0916] The dissociation constant, KD of [^{125}I] DOI at the human serotonin 5-HT_{2C} receptor was 0.4 nM by saturation binding with increasing concentrations of [^{125}I] DOI. The

reaction was initiated by the final addition of 100 μL of tissue suspension containing 50 μg of receptor protein. Nonspecific binding is measured in the presence of 1 μM unlabeled DOI added in 20.0 μL volume. Test compounds were added in 20.0 μL. The mixture was incubated at room temperature for 60 min. The incubation was stopped by rapid filtration. The bound ligand-receptor complex was filtered off on a 96 well unifilter with a Packard ®Filtermate 196 Harvester. The bound complex caught on the filter disk was dried in a vacuum oven heated to 60° C and the radioactivity measured by liquid scintillation with 40 μL Microscint-20 scintillant in a Packard TopCount® equipped with six (6) photomultiplier detectors.

[0917] Specific binding is defined as the total radioactivity bound less the amount bound in the presence of 1 μM unlabeled DOI. Binding in the presence of varying concentrations of test drugs is expressed as percent of specific binding in the absence of drug. These results are then plotted as log% bound vs log concentration of test drug. Non linear regression analysis of data points yields both the IC_{50} and the K_i values of test compounds with 95% confidence limits. Alternatively, a linear regression line of decline of data points is plotted, from which the IC_{50} value can be read off the curve and the K_i value determined by solving the following equation:

$$K_i = \frac{IC_{50}}{1+L/K_D}$$

where L is the concentration of the radioactive ligand used and the K_D is the dissociation constant of the ligand for the receptor, both expressed in nM.

[0918] The following K_i's (95% confidence interval) are provided for various reference compounds:

Compound	K_i
Ritanserin	2.0 (1.3 - 3.1) nM
Ketanserin	94.8 (70.7 - 127.0) nM
Mianserin	2.7 (1.9 - 3.8) nM
Clozapine	23.2 (16.0 - 34.0) nM
Methiothepin	4.6 (4.0 - 6.0) nM
Methysergide	6.3 (4.6 - 8.6) nM
Loxapine	33.0 (24.0 - 47.0) nM
mCPP	6.5 (4.8 - 9.0) nM
DOI	6.2 (4.9 - 8.0) nM

[0919] The ability of the compounds of Formula 1 to produce an agonist response at brain 5-HT_{2C} was assessed by determining their effect on calcium mobilization using the following procedure: CHO cells stably expressing the human 5-HT_{2C} receptor were cultured in Dulbecco's modified Eagle's medium (DMEM) supplemented with 10% fetal bovine serum and non-essential amino acids. Cells were plated at a density of 40K cells/well in 96-well clear-bottom black-wall plates 24 hours prior to the evaluation of 5-HT_{2C} receptor-stimulated calcium mobilization. For calcium studies, cells were loaded with the calcium indicator dye Fluo-3-AM in Hank's buffered saline (HBS) for 60 minutes at 37 °C. Cells were washed with HBS at room temperature and transferred to the fluorometric imaging plate reader (FLIPR, Molecular Devices, Sunnyvale, CA) for acquisition of calcium images. Excitation at 488 nm was achieved with an Argon ion laser and a 510-560 nm emission filter was used. Fluorescence images and relative intensities were captured at 1 second intervals and cells were stimulated by addition of agonist after 10 baseline measurements using the internal fluidics module of the FLIPR. An increase in fluorescence counts corresponds to an increase in intracellular calcium.

[0920] For the evaluation of agonist pharmacology the calcium changes in response to different concentrations of agonist were determined using a maximum minus minimum calculation of the raw fluorescence count data. Calcium changes were then expressed as a percentage of the response observed with a maximally effective concentration of 5-HT. EC_{50} values were estimated by non-linear regression analysis of the log-concentration% maximum 5-HT response curves using the 4-parameter logistic function. Preferred compounds are those with an EC_{50} of $\leq$ about 1000 nM, preferably $\leq$ about 100 nM, more preferably $\leq$ about 20 nM, still more preferably $\leq$ about 5 nM, and most preferably $\leq$ about 2 nM.

[0921] The following EC_{50}'s are provided for various reference compounds:

Compound	EC_{50}
5-HT	0.5 nM
DOI	0.5 nM
mCPP	5.4 nM

[0922] The results of the standard experimental test procedures described in the preceding paragraphs were as follows:

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 1	43	926	90
Example 2	16	61	90

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 3	204		
Example 4	26	562	100
Example 5	9	208	90
Example 6	84		
Example 7	160		
Example 8	9	188	85
Example 9	10	194	85
Example 10	42	179	60
Example 11	106		
Example 12	127		
Example 13	133		
Example 14	78		
Example 15	222		
Example 16	274	451	65
Example 17	66	100	70
Example 18	5	9.6	100
Example 19	2	66	100
Example 20	24	178	70
Example 21	9	86	85
Example 22	5	25	90
Example 23	9	73	80
Example 24	36		
Example 25	18	10	90
Example 26	71	79	100
Example 27	39	2015	80
Example 28	16	77	90
Example 29	35	229	80
Example 30	191		
Example 31	1372		
Example 32	419		
Example 33	32		

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 34	37		
Example 35	141		
Example 36	15		
Example 37	1	1	100
Example 38	56	540	100
Example 39	43		
Example 40	122		
Example 41	25		
Example 42	17	162	100
Example 43	100	748	80
Example 44	3	7	100
Example 45	2	5	90
Example 46	54		
Example 47	0.4	5.4	100
Example 48	0.3	2.4	100
Example 49	10	132	80
Example 50	1	14	100
Example 51	1		
Example 52	13		
Example 53	1	45	80
Example 54	0.5	9	85
Example 55	3	48	70
Example 56	1	60	100
Example 57	1	12	80
Example 58	9	313	60
Example 59	2	127	100
Example 60	0.3	12	100
Example 61	37	1092	30
Example 62	40	130	70
Example 63	52		
Example 64	13	70	100

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 65	11	187	100
Example 66	5	250	100
Example 67	83	5763	70
Example 68	5	144	90
Example 69	96		
Example 70	2	22	100
Example 71	1	1.4	100
Example 72	33	511	85
Example 73	5	41	100
Example 74	3	11	100
Example 75	103		
Example 76	3	25	90
Example 77	2	8	100
Example 78	41	161	90
Example 79	2	24	95
Example 80	1	17	90
Example 81	24	294	50
Example 82	15	275	95
Example 83	1	7.9	100
Example 84	11		
Example 85	5	557	100
Example 86	75	963	90
Example 87	0.8	20	90
Example 88	48		
Example 89	40		
Example 90	8		
Example 91	5	45	100
Example 92	62		
Example 93	13	874	80
Example 94	176		
Example 95	1	65	100

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 96	1	27	100
Example 97	4	577	60
Example 98	60		
Example 99	21	838	60
Example 100	0.2	120	100
Example 101	0.2	0.32	100
Example 102	8	32	65
Example 103	7	996	80
Example 104	1	241	100
Example 105	1	4	95
Example 106	16	91	50
Example 107	1	93	100
Example 108	4	39	95
Example 109	25	3220	40
Example 110	9	1002	70
Example 111	26		
Example 112	55		
Example 113	130		
Example 114	471		
Example 115	79		
Example 116	527		
Example 117	263		
Example 118	319		
Example 119	78		
Example 120	128		
Example 121	44	681	60
Example 122	95	931	70
Example 123	207		
Example 124	53		
Example 125	276		
Example 126	17	2715	40

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 127	20		
Example 128	41	24	70
Example 129	0.3	0.2	100
Example 130	4.8	54	80
Example 131	1.5	34	90
Example 132	20	1484	50
Example 133	3.6	66	80
Example 134	483		
Example 135	131		
Example 136	124		
Example 137	1.2	473	90
Example 138	7.4	3802	60
Example 139	2.5	6	90
Example 140	0.3	0.72	90
Example 141	0.07	0.03	90
Example 142	1.6	101	80
Example 143	6.3	1	90
Example 144	6.1	4	90
Example 145	91		
Example 146	2.4	5	90
Example 147	1.3	7	90
Example 148	12	290	70
Example 149	0.15	0.97	100
Example 150	4.1	74	100
Example 151	1.1	27	100
Example 152	6.6	202	70
Example 154	6.2	65	90
Example 155	9	43	90
Example 156	4.7	6	90
Example 157	58		
Example 158	1.1	42	100

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 159	12	636	80
Example 160		32	100
Example 161	8	32	90
Example 162	13	24	100
Example 163	0.23	2	90
Example 164	0.28	0.3	100
Example 165	18	80	70
Example 166	8	0.2	90
Example 167	3.1	21	90
Example 168	2	1	100
Example 169	14	50	100
Example 170	3.3	14	90
Example 171	0.88	0.7	100
Example 172	185		
Example 173	326		
Example 174	203		
Example 175	384		
Example 176	488		
Example 177	353		
Example 178	310		
Example 179	435		
Example 180	290		
Example 181	146		
Example 182	279		
Example 183	178		
Example 184	185		
Example 185	159		
Example 186	171		
Example 187	247		
Example 188	579		
Example 189	363		

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 190	186		
Example 191	270		
Example 192	142		
Example 193	246		
Example 194	127		
Example 195	0.48	9	80
Example 196	108		
Example 197	63		
Example 198	17	903	60
Example 199	19	416	80
Example 200	12	369	80
Example 201	8	396	80
Example 202	11	105	80
Example 203	8.5	187	80
Example 204	1.3	336	90
Example 205	3	280	80
Example 206	1.7	4	90
Example 207	128	112	80
Example 208	1.9	0.36	100
Example 209	2.3	57	90
Example 210	36		
Example 211	19	672	70
Example 212	2.4	11	90
Example 213		9	100
Example 214		79	70
Example 215	5	80	80
Example 216	0.7	0.2	100
Example 217	0.4	143	70
Example 218	0.7	0.2	90
Example 219	7	79	90
Example 220	8	30	90

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 221	1.4	2	90
Example 222	18	120	80
Example 223	10	30	80
Example 224	18	455	70
Example 225	1.2	3	90
Example 226	1.3	30	90
Example 227	1.5	3	95
Example 228		313	70
Example 229	0.4	6	100
Example 230	0.6	48	90
Example 231		0.4	80
Example 232		114	50
Example 233		614	20
Example 234		11	90
Example 235		49	50
Example 236		123	70
Example 237	0.57	3	80
Example 238		529	40
Example 239		1433	40
Example 240		89	70
Example 241	0.3	29	90
Example 242	3	48	90
Example 243	0.25	50	90
Example 244	0.74	52	90
Example 245	2	57	100
Example 246	23	1491	90
Example 247	15	164	90
Example 248	9	225	80
Example 249	4	21	90
Example 250	2.5	72	90
Example 251	0.38	8	90

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 252	1.1	3	100
Example 253	8.6	251	90
Example 254	0.89	11	90
Example 255	5	79	90
Example 256	0.74	4	100
Example 257	28	194	80
Example 258	42	734	80
Example 259	69		
Example 260	0.13	22	90
Example 261	0.5	67	90
Example 262		471	70
Example 263	1.8	0.8	100
Example 266	4.9	3	90
Example 267		730	80
Example 268		961	50
Example 269		5124	20
Example 271		594	90
Example 272		845	70
Example 274		330	70
Example 278		726	60
Example 279		155	70
Example 280		288	70
Example 281	3.8	35	90
Example 282	1.7	43	90
Example 283	1.2	6	100
Example 284	0.8	7	100
Example 286	0.76	6	100
Example 287	0.13	21	90
Example 288	7.1	38	100
Example 289	1	44	100
Example 290	1.7	58	80

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 291	0.4	22	100
Example 292	4.9	66	100
Example 293	3.8	7	90
Example 294	0.11	8	90
Example 295		8	90
Example 296		50	80
Example 297	0.56	0.73	100
Example 298	11	30	90
Example 299	0.19	0.1	100
Example 300	0.1	3	90
Example 301	2	31	90
Example 302	0.3	2	100
Example 303	0.2		
Example 304	1.7		
Example 305	1.1		
Example 306	0.58	0.64	100
Example 307	1	49	90
Example 308	0.9	24	90
Example 309	8	107	90
Example 310	13	137	90
Example 311	25	63	90
Example 312	15	25	90
Example 313	164	70	90
Example 314	18	42	90
Example 315	25	19	90
Example 316	64		
Example 317	84		
Example 318	98		
Example 319	83		
Example 320	107		
Example 321	59		

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 322	427		
Example 323	161		
Example 324	60		
Example 325	320		
Example 326	34	228	80
Example 327	255		
Example 328	61		
Example 329	87		
Example 330	88		
Example 331	38	271	80
Example 332	37	111	80
Example 333	13	70	90
Example 334	324		
Example 335	192		
Example 336	45	123	90
Example 337	34	48	90
Example 338	14	44	90
Example 339	328		
Example 340	88		
Example 341	36	231	90
Example 342	28	590	80
Example 343	12	49	90
Example 344	275	2916	60
Example 345	98		
Example 346	5000		
Example 347	5000		
Example 348	529		
Example 349	760		
Example 350	497		
Example 351	87		
Example 352	876		

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 353	845		
Example 354	610		
Example 355	385		
Example 356	0.63	63	100
Example 357	18	2	100
Example 358	7		
Example 359	10	8	90
Example 361	33	73	90
Example 362	36	343	70
Example 363	26	225	70
Example 364	0.9	62	85
Example 365	24	15	100
Example 366	13	394	80
Example 367	0.21	3	100
Example 368	1.4	4	90
Example 369		283	90
Example 370	30	190	80
Example 371	14	64	80
Example 372	23	224	80
Example 373	61	859	60
Example 374	0.6	19	100
Example 375	71		
Example 376	88		
Example 377	194		
Example 378	201		
Example 379	453		
Example 380	424		
Example 381	923		
Example 382	801		
Example 383	1758		
Example 384	1255		

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 385	1354		
Example 386	1025		
Example 387	1549		
Example 388	1580		
Example 389	1620		
Example 390	1.8	2	80
Example 391		0.2	80
Example 393	21.5	45	60
Example 395	0.3	0.4	90
Example 396	0.3		
Example 397	1.6		
Example 398	0.04	0.1	100
Example 400	0.5		
Example 401	0.38	0.7	90
Example 402	0.24	0.1	90
Example 404	0.4		
Example 406	0.51	9	100
Example 407	8.5	149	60
Example 408	0.46	6	90
Example 409	3	22	90
Example 410	0.08	0.05	90
Example 411	2.6	57	80
Example 412	5.3	34	90
Example 413	21	8	90
Example 414	3.4	781	60
Example 415	1.1	109	70
Example 416	1.6	467	70
Example 417	3.7	183	60
Example 418	1.1	59	80
Example 419	3.8	1359	80
Example 420	7.0	504	80

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 421	1.5	93	70
Example 422		443	80
Example 423	6.1	28	70
Example 424		49	90
Example 425		9	100
Example 426		17	100
Example 427		298	80
Example 428		69	80
Example 429	2.8	16	92
Example 430		448	80
Example 431		63	90
Example 432		72	80
Example 433	3.5	1	100
Example 434	0.03	7	90
Example 435		82	80
Example 436		55	90
Example 437		30	80
Example 438	2.4	68	70
Example 439	0.45	6	90
Example 440	1.2	9	100
Example 441	10	531	70
Example 442	0.2	6	90
Example 443	4.8	5	90
Example 444		37	80
Example 445	0.48	1	90
Example 446		460	70
Example 447		3	90
Example 448	7	78	70
Example 449	1	2	90
Example 450	0.27	0.8	100
Example 451	0.31	137	80

	5-HT_{2C} Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 452	24	153	80
Example 453		24	80
Example 454	0.26	0.39	90
Example 456	4.1	50	100
Example 457	38	740	50
Example 458	17	212	80
Example 459	24	16	90
Example 460		215	90
Example 461		34	80
Example 462		79	80
Example 463	21	89	80
Example 464		462	70
Example 465		496	60
Example 466		55	70
Example 467		257	80
Example 468		73	80
Example 469		21	80
Example 470		289	70
Example 471		14	90
Example 472		353	80
Example 475	3.2	25	80
Example 476	0.2	5	100
Example 477	6.7	9	80
Example 478	11	225	70
Example 479	0.5	71	80
Example 480	4.4	251	70
Example 481	1.5	75	80
Example 482	7	143	80
Example 483	5	58	80
Example 484	0.51	43	70
Example 485	3	32	90

	5-HT Affinity	5-HT_{2C} Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 486	0.2	5	90
Example 487	0.06	3	100
Example 488	0.34	132	90
Example 489	3	39	90
Example 490	1	6	100
Example 491	22	200	80
Example 492	3.1	7	80
Example 493	11	8	90
Example 494	35	475	60
Example 495	41	338	60
Example 496	46	510	60
Example 497	37	315	70
Example 498	35	326	70
Example 499	3.6	57	90
Example 500	86	256	80
Example 501	45	70	70
Example 502	60		
Example 503	78		
Example 504	1.2	27	90
Example 505	371		
Example 506	1601		
Example 507	2726		
Example 508	1795		
Example 509	5000		
Example 510	5000		
Example 511	248		
Example 512	810		
Example 513	1148		
Example 514	242		
Example 515	965		
Example 516	1581		

	5-HT Affinity	5-HT$_{2C}$ Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 517	2140		
Example 518	40	384	80
Example 519	488		
Example 520	372		
Example 521	246		
Example 522	474		
Example 523	61		
Example 524	136		
Example 525	559		
Example 526	25	50	90
Example 527	4744		
Example 528	1093		
Example 529	616		
Example 530	915		
Example 531	409		
Example 532	3355		
Example 533	1516		
Example 534	1049		
Example 535	1091		
Example 536	1119		
Example 537	1695		
Example 538	380		
Example 539	209		
Example 540	486		
Example 541	316		
Example 542	372		
Example 543		0.2	100
Example 544		73	70
Example 545	0.14	0.1	100
Example 546	2.3		
Example 547	1.8		

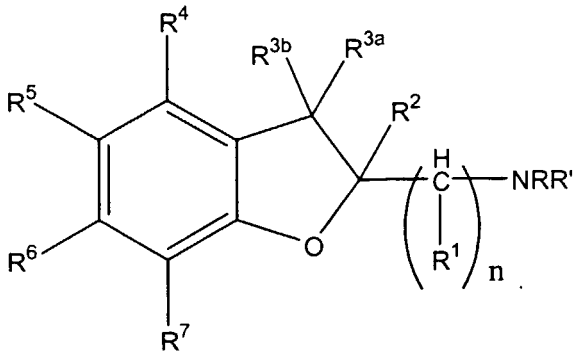
	5-HT Affinity	5-HT$_{2C}$ Function	
Compound	**K_i (nM)**	**EC_{50} (nM)**	**Emax (%)**
Example 548	2.3		
Example 549	3.1		
Example 550	0.37	0.4	100
Example 551	0.55	17	90
Example 552	0.3		
Example 554	41	2	70
Example 555	0.6	4	80
Example 558		265	70
Example 559	0.3	7	90
Example 560	0.35	2	100
Example 561	2.7	21	80
Example 562	0.48	2	90
Example 563		135	70
Example 564		43	90
Example 565		287	70
Example 566		3	70
Example 567		9	60
Example 568		4	80
Example 569		6	60

[0923] The compounds of this invention thus have affinity for and agonist or partial agonist activity at brain serotonin 5HT$_{2c}$ receptors. They are therefore of interest for the treatment of the central nervous system conditions described previously herein.

[0924] The entire disclosure of each patent, patent application, and publication cited or described in this document is hereby incorporated by reference.

CLAIMS:

1. A compound of Formula 1:



Formula 1

or pharmaceutically acceptable salt thereof;

wherein:

R and R′ are, independently, hydrogen, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, or alkylcycloalkyl of 4 to 12 carbon atoms having 3 to 6 carbons in the cycloalkyl ring;

alternatively R and R′ can be taken together with the nitrogen to which they are attached to form a ring containing 2-5 carbon atoms, wherein one of the ring carbon atoms is optionally replaced by nitrogen, sulfur or oxygen;

R^1 and R^2 are, independently, hydrogen, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, or perfluoroalkyl of 1 to 6 carbon atoms;

R^{3a} and R^{3b} are, independently, hydrogen, halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, cycloalkyl of 3 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms;

R^4, R^5, R^6, and R^7 are, independently, hydrogen, halogen, cyano, hydroxyl, carboxyl, alkyl of 1 to 8 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, perfluoroalkoxy of 1 to 6 carbon atoms, aryl of 5 to 10 carbon atoms, aryloxy of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl having 1 to 3 heteroatoms each independently selected from nitrogen, oxygen or sulfur, alkenyl of 2 to 8 carbon atoms, alkanoyl of 2 to 6 carbon atoms, alkanoyloxy of 2 to 6 carbon atoms, carboalkoxy of 2 to 6 carbon atoms, carboxamido, alkanamido of 2 to 6 carbon atoms, alkanesulfonamido of 1 to 6 carbon atoms, amino, monoalkylamino of 1 to 6 carbon atoms, dialkylamino of 1 to 6 carbon atoms per alkyl moiety, cycloalkyl of 3 to 8 carbon atoms, or 3 to 8 membered heterocycloalkyl having 1 to 3

heteroatoms each independently selected from nitrogen, oxygen or sulfur, wherein the cycloalkyl and heterocycloalkyl groups are saturated or partially saturated; and

n is 1, 2 or 3;

wherein at least one of R^4, R^5, R^6 and R^7 is branched alkyl of 3 to 8 carbon atoms, branched alkenyl of 3 to 8 carbon atoms, or -Y-R^8, wherein Y is selected from a direct bond, lower alkyl, lower ankenyl, O, and NH and R^8 is aryl of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl, cycloalkyl of 3 to 8 carbon atoms, or 3 to 8 membered heterocycloalkyl; and

wherein any aryl, heteroaryl, cycloalkyl or heterocycloalkyl may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, cyano, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms.

2. A compound according to claim 1 wherein R′ is hydrogen or alkyl of 1 to 6 carbon atoms.

3. A compound according to claim 1 wherein R′ is hydrogen.

4. A compound according to any one of claims 1 to 3 wherein R is hydrogen, alkyl of 1 to 6 carbon atoms, or perfluoroalkyl of 1 to 6 carbon atoms.

5. A compound according to any one of claims 1 to 3 wherein R is hydrogen, alkyl of 1 to 6 carbon atoms.

6. A compound according to any one of claims 1 to 5 wherein R^1 is hydrogen, alkyl of 1 to 6 carbon atoms, or perfluoroalkyl of 1 to 6 carbon atoms.

7. A compound according to any one of claims 1 to 5 wherein R^1 is hydrogen or alkyl of 1 to 6 carbon atoms.

8. A compound according to any one of claims 1 to 7 wherein R^2 is independently hydrogen, alkyl of 1 to 6 carbon atoms, or perfluoroalkyl of 1 to 6 carbon atoms.

9. A compound according to any one of claims 1 to 7 wherein R^2 is hydrogen or alkyl of 1 to 6 carbon atoms.

10. A compound according to any one of claims 1 to 9 wherein R^{3a} and R^{3b} are, independently, hydrogen, halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms.

11. A compound according to any one of claims 1 to 9 wherein R^{3a} and R^{3b} are, independently, hydrogen or alkyl of 1 to 6 carbon atoms.

12. A compound according to any one of claims 1 to 9 wherein R^{3a} and R^{3b} are both hydrogen.

13. A compound according to any one of claims 1 to 12 wherein at least one of R^4, R^5, R^6 and R^7 is branched alkyl of 3 to 8 carbon atoms, branched alkenyl of 3 to 8 carbon atoms, or -Y-R^8, wherein Y is selected from a direct bond, lower alkyl, lower ankenyl, O, and NH and R^8 is aryl of 5 to 10 carbon atoms, 5 to 10 membered heteroaryl, cycloalkyl of 3 to 8 carbon atoms, or 3 to 8 membered heterocycloalkyl as defined in claim 1, and the remaining R^4, R^5, R^6, and R^7 are, independently, hydrogen, halogen, alkyl of 1 to 8 carbon atoms, perfluoroalkyl of 1 to 3 carbon atoms, alkoxy of 1 to 3 carbon atoms, alkenyl of 2 to 8 carbon atoms, cycloalkyl of 3 to 8 carbon atoms, 3 to 8 membered heterocycloalkyl, aryl of 5 to 10 carbon atoms, or 5 to 10 membered heteroaryl, and wherein any aryl, heteroaryl, cycloalkyl or heterocycloalkyl may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, cyano, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms.

14. A compound according to any one of claims 1 to 13 wherein R^4, R^5, R^6 are, independently, hydrogen, halogen, alkyl of 1 to 3 carbon atoms, alkoxy of 1 to 3 carbon atoms, perfluoroalkyl of 1 to 3 carbon atoms, or perfluoroalkoxy of 1 to 3 carbon atoms, and R^7 is –Y-R^8.

15. A compound according to any one of claims 1 to 13 wherein R^4 or R^5 is aryl of 5 to 10 carbon atoms, said aryl optionally substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, or perfluoroalkoxy of 1 to 6 carbon atoms.

16. A compound according to any one of claims 1 to 13 wherein at least one of R^4 and R^3 is halogen, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 3 carbon atoms, or alkoxy of 1 to 6 carbon atoms.

17. A compound according to any one of claims 1 to 13 wherein R^5 is halo.

18. A compound according to any one of claims 1 to 17 wherein R^7 is $-Y-R^8$ and Y is a direct bond.

19. A compound according to any one of claims 1 to 17 wherein R^7 is aryl of 5 to 10 carbon atoms, cycloalkyl of 5 to 7 carbon atoms, or 5 to 10 membered heteroaryl, wherein said aryl, cycloalkyl or heteroaryl may optionally be substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms.

20. A compound according to any one of claims 1 to 17 wherein R^7 is phenyl, optionally substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms.

21. A compound according to any one of claims 1 to 17 wherein R^7 is phenyl, optionally substituted with 1 to 5 substituents independently selected from halogen, hydroxyl, alkyl of 1 to 6 carbon atoms, perfluoroalkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, and perfluoroalkoxy of 1 to 6 carbon atoms.

22. A compound according to any one of claims 1 to 17 wherein R^7 is phenyl, substituted with 1 to 3 substituents independently selected from halogen, alkyl of 1 to 3 carbon atoms, perfluoroalkyl of 1 to 3 carbon atoms, and alkoxy of 1 to 3 carbon atoms.

23. A compound according to any one of claims 1 to 17 wherein R^7 is phenyl, substituted with 1 to 3 substituents selected from fluoro, chloro, methyl, methoxy and trifluoromethyl.

24. A compound according to any one of claims 1 to 17 wherein R^7 is selected from the group consisting of:

4-methoxy-2-methylphenyl,

2-chloro-4-(trifluoromethyl)phenyl,

2-chloro-4-methoxyphenyl,

2-chloro-4-(trifluoromethoxy)phenyl,

({7-[4-methoxy-2-(trifluoromethyl)phenyl,

4-ethoxy-2-methylphenyl,

4-ethoxy-2-(trifluoromethyl)phenylamine,

4-chloro-2-(trifluoromethyl)phenyl,

4-fluoro-2-(trifluoromethyl)phenyl,

2-ethyl-4-methoxyphenyl,

2,4-dichlorophenyl,

2,4-dimethylphenyl,

4-isopropyl-2-methoxyphenyl,

4-isopropoxy-2-(trifluoromethyl)phenyl,

2-chloro-4-isopropoxyphenyl,

4-chloro-2-methylphenyl,

2,6-difluorophenyl,

2-chloro-6-fluorophenyl,

2-fluoro-6-(trifluoromethyl)phenyl,

2,6-bis(trifluoromethyl)phenyl,

2,3-dichlorophenyl,

3-chloro-2-fluorophenyl,

2-chloro-3-methylphenyl,

2,6-dichloro-4-methoxyphenyl, and

5-fluoro-2-methoxyphenyl.

25. A compound according to any one of claims 1 to 24 wherein n is 1.

26. A compound according to any one of claims 1 to 25 wherein Y is a direct bond.

27. A compound of claim 1 which is:

(±)-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(+)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-phenylamine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-chlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methoxyphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-[4-(trifluoromethyl)phenyl]amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-fluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,4-dichlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(2,4-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,4-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-fluorophenyl)amine,

(±)-N-2-(aminomethyl)-N-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-7-amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methoxy-3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-difluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-trifluoromethoxy)phenyl]amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chloro-4-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-dichlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-chloro-3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chloro-4-fluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(2-fluorophenyl)amine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[5-fluoro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-pyridin-2-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(-)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-pyridin-4-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-[(5-fluoro-7-pyrimidin-5-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2,3-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,

(±)-{[7-(2,6-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-1-cyclopropyl-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}piperidine

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}morpholine

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

(±)-{[5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,

(±)-{[5-chloro-7-(3,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[(5-chloro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}(cyclopropylmethyl)amine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}piperidine

(±)-4-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}morpholine

(±)-4-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}thiomorpholine

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine,

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}piperazine

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

(±)-{[(5-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({5-methyl-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isopropyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isopropyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-cyclopentyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-cyclopentyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isocyano-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isocyano-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-(trifluoromethyl)-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-(trifluoromethyl)-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-(trifluoromethyl)-7-(3-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-(trifluoromethyl)-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-(trifluoromethyl)-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-(trifluoromethyl)-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-(trifluoromethyl)-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-(trifluoromethyl)-7-(4-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,3-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,3-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,6-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(4-butylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-4-[2-(aminomethyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-7-yl]benzonitrile
(±)-{[7-(3-furyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-thien-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-pyridin-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-[(5,7-diphenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,
(±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-methoxy-7-(3-thienyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[{[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-N-methyl-1-(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-[(N-methyl-1-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine,

(±)-[(5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(3.4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[5-chloro-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-difluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[N-methyl-1-[7-phenyl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3-methylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-N-methyl-1-[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-[3,5-bis(trifluoromethyl)phenyl]-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[2-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[4-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[4-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[4-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(+){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(R)-[7-(2-chloro-phenyl)-(5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl)methyl-amine,

(R)-[7-(2,6-dichloro-phenyl)-5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl]ethylamine,

(R)-[7-(2,6-dichloro-phenyl)-5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl]dimethylamine,

{[(2R)-7-(5-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

{[(2R)-7-(4-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6 dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{2-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,

(±)-{2-[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,

(±)-{2-[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,

(±)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine, or

(+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine;

or a pharmaceutically acceptable salt thereof.

28. A compound of claim 1 which is:

(±)-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(+)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-phenylamine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-chlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methoxyphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-[4-(trifluoromethyl)phenyl]amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-fluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,4-dichlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(2,4-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,4-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-fluorophenyl)amine,

(±)-N-2-(aminomethyl)-N-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-7-amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-methoxy-3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-difluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-trifluoromethoxy)phenyl]amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chloro-4-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-dichlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chlorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(4-chloro-3-methylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3,5-dimethylphenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(3-chloro-4-fluorophenyl)amine,

(±)-N-[2-(aminomethyl)-2,3-dihydro-1-benzofuran-7-yl]-N-(2-fluorophenyl)amine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[5-fluoro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-pyridin-2-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(-)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-pyridin-4-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-[(5-fluoro-7-pyrimidin-5-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2,3-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,

(±)-{[7-(2,6-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-1-cyclopropyl-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}piperidine

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}morpholine

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

(±)-{[5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,

(±)-{[5-chloro-7-(3,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[(5-chloro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}(cyclopropylmethyl)amine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine,

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}piperazine

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

(±)-{[(5-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({5-methyl-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isopropyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isopropyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-cyclopentyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-cyclopentyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isocyano-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isocyano-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(3-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-(trifluoromethyl)phenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-butylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-4-[2-(aminomethyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-7-yl]benzonitrile

(±)-{[7-(3-furyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-thien-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-pyridin-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5,7-diphenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-fluoro-5-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[{[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(-)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-N-methyl-1-(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,
(±)-[(N-methyl-1-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-{[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[5-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine,

(±)-[(5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-chlorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-fluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methylphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(3-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(4-methoxyphenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,4-difluorophenyl)-5-phenyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[N-methyl-1-[7-phenyl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3-methylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,3-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(3,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-N-methyl-1-[7-(2,3-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-(3-chloro-4-fluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-N-methyl-1-[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[7-[3,5-bis(trifluoromethyl)phenyl]-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-fluoro-5-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[2-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{[7-fluoro-5-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[3-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[4-methylphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{7-fluoro-5-[4-chlorophenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,

(±)-{[7-fluoro-5-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{7-fluoro-5-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,
(±)-{7-fluoro-5-[4-methoxyphenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)methylamine,
(+){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(R)-[7-(2-chloro-phenyl)-(5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl)methyl-amine,
(R)-[7-(2,6-dichloro-phenyl)-5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl]ethylamine,
(R)-[7-(2,6-dichloro-phenyl)-5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl]dimethylamine,
{[(2R)-7-(5-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
{[(2R)-7-(4-chloro-2-methylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-(2,6 dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{2-[6-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,
(±)-{2-[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,
(±)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine, or
(+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine;
or a pharmaceutically acceptable salt thereof.

29. A compound of claim 1 which is:
(±)-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-{[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(-)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(+)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[5-fluoro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-pyridin-2-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(-)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-[(5-fluoro-7-pyridin-4-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2,3-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,

(±)-{[7-(2,6-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-1-cyclopropyl-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}piperidine

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}morpholine

(±)-1-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

(±)-{[5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(3-furyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,

(±)-{[5-chloro-7-(3,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[(5-chloro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}(cyclopropylmethyl)amine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclobutanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-N-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-1-amine,

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}piperazine

(±)-1-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}pyrrolidine

(±)-{[(5-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-({5-methyl-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methyl)amine,

(±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isopropyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isopropyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-cyclopentyl-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-cyclopentyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isocyano-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-isocyano-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-difluorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,6-dimethylphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(3-furyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-thien-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-pyridin-3-yl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-[(5,7-diphenyl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,
(±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,4-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(-)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dimethoxylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(5-chloro-2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(3-chloro-4-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,
(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,
(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}propan-2-amine,
(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[{[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-[(N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(-)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-[(N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-N-methyl-1-(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-[(N-methyl-1-[7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-{[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-difluorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(5-methoxy-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(5-chloro-2-methoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine,

(±)-[(5-chloro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-chloro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,5-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-chloro-7-(5-chloro-2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(3-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(3-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(4-methylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(4-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(4-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,6-dimethylphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2-fluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,3-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,3-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,3-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,4-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,5-difluorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,5-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,5-dimethoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(±)-{[N-methyl-1-[7-phenyl-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(±)-N-methyl-1-[7-(2,4-dimethoxyphenyl)-5-(trifluoromethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-){[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(R)-[7-(2-chloro-phenyl)-(5-Fluoro-2,3-dihydro-benzofuran-2-ylmethyl)methyl-amine,
(-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-(2,6 dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{2-[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,
(±)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[5-chloro-7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine, or
(+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine;
or a pharmaceutically acceptable salt thereof.

30. A compound of claim 1 which is:
(-)-{[(7-(2,3-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-[(7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,
(+)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,
(+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,
(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,

(±)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[(5-chloro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,

(±)-{[7-(2-fluorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(4-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-(trifluoromethyl)-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[{[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]methylamine,

(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-methylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,6 dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{2-[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,

(±)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,
(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine, or
(+)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine;
or a pharmaceutically acceptable salt thereof.

31. A compound of claim 1 which is:
(±)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-[(5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,
(+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-fluoro-7-(2-methoxy-5-methylphenyl)-2,3-dihydro-1-benzofuran-2- yl]methyl}amine,
(±)-{[7-(2,6-dimethylphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,
(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}dimethylamine,
(-)-{[5-chloro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[(5-chloro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]amine,
(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,6-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[5-ethyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2-chlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(±)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,
(+)-{[7-(2,5-dichlorophenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}ethanamine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[{[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[5-fluoro-7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2-chlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,5-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{2-[7-(2-methoxyphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]ethyl}amine,

(±)-{N-methyl-1-[(7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-{[7-(2,6-dimethylphenyl)-5-methoxy-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-chloro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[7-(2,3-dimethoxyphenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

or

(-)-{[7-(2,3-dimethoxyphenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine;

or a pharmaceutically acceptable salt thereof.

32. A compound of claim 1 which is:

(+)-{[7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4,6-trichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(5-chloro-2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-fluoro-7-pyridin-3-yl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[5-fluoro-7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-{[5-chloro-7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(+)-{[7-(2,4-dichlorophenyl)-5-methyl-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[5-ethyl-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-N-{[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}cyclopropanamine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[{[7-(2-chlorophenyl)-6-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine,

(±)-{[6-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[6-fluoro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-N-methyl-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[(N-methyl-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[7-(2,4-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(+)-{[5-fluoro-7-(2,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine,

(±)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}methylamine, or

(-)-{[7-(2,6-dichlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methyl}amine;

or a pharmaceutically acceptable salt thereof.

33. A compound of claim 1 which is:

(±)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-(6-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-{7-[3,5-bis(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(1-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(3-chloro-4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(3,5-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[7-(2-naphthyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(2',3'-dihydro-2,7'-bi-1-benzofuran-2'-yl)methanamine,

(±)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine,

(±)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{7-[(1*E*)-3,3-dimethylbut-1-enyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[7-(3,3-dimethylbutyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[4-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2,6-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(7-benzyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-{7-[(*E*)-2-phenylvinyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine, or

(±)-1-[7-(2-phenylethyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine;

or a pharmaceutically acceptable salt thereof.

34. A compound of claim 1 which is:

(-)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-*N*-[(5-chloro-7-cyclohexyl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine,

(±)-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[5-chloro-7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[5-chloro-7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[5-chloro-7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(4-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[4-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(5-fluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-[5-fluoro-7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(±)-(4,5-difluoro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-1-[4,5-difluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(±)-1-(5-chloro-2-methyl-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(±)-(5-chloro-2-methyl-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(±)-(5-chloro-2-methyl-7-thien-2-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine, or

(+)-1-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine;

or a pharmaceutically acceptable salt thereof.

35. A compound of claim 1 which is:

(+)-1-(4-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-[4-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(+)-(7-cyclopentyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(-)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(+)-(7-isopropyl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(-)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(5-chloro-7-isopropyl-4-methyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(7-*tert*-butyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-(7-*tert*-butyl-5-chloro-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine,

(-)-[7-(2-isopropylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methylamine,

(-)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(-)-*N*-[(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine,

(-)-1-(7-*tert*-butyl-5-methoxy-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-{4-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[4-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-{7-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine, or

(-)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine;

or a pharmaceutically acceptable salt thereof.

36. A compound of claim 1 which is:

(-)-1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-[7-(3-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-(7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(3-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-(5-chloro-7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(-)-(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methylamine,

(+)-*N*-[(5-chloro-7-thien-3-yl-2,3-dihydro-1-benzofuran-2-yl)methyl]-*N*-methylamine,

(-)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(3-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(3-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-{7-[4-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(+)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine, or

(+)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine;

or a pharmaceutically acceptable salt thereof.

37. A compound of claim 1 which is:

(+)-(1-(7-phenyl-2,3-dihydro-1-benzofuran-2-yl)methanamine,

(+)-1-[7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(2-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(-)-1-{7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine,

(-)-1-[7-(2,6-dimethylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(4-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(4-fluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(4-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,

(+)-1-[7-(4-methoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+)-1-[5-chloro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+)-1-[7-(2-chlorophenyl)-5-fluoro-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+)-1-[5-fluoro-7-(2-methylphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(-)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+)-1-[7-(2-chlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(-)-1-[7-(2,6-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(-)-1-[7-(2,4-dimethoxyphenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(+)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(-)-1-[7-(2,4-difluorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine,
(-)-1-[7-(2,4-dichlorophenyl)-2,3-dihydro-1-benzofuran-2-yl]methanamine, or
(-)-1-{5-fluoro-7-[2-(trifluoromethyl)phenyl]-2,3-dihydro-1-benzofuran-2-yl}methanamine;
or a pharmaceutically acceptable salt thereof.

38. A method for treating a patient suffering from schizophrenia, schizophreniform disorder, schizoaffective disorder, delusional disorder, substance-induced psychotic disorder, L-DOPA-induced psychosis, psychosis associated with Alzheimer's dementia, psychosis associated with Parkinson's disease, psychosis associated with Lewy body disease, dementia, memory deficit, or intellectual deficit disorder associated with Alzheimer's disease comprising administering to the patient a therapeutically effective amount of a compound of Formula I as claimed in any one of claims 1 to 37.

39. A method according to claim 38 wherein the patient is suffering from schizophrenia.

40. A method for treating a patient suffering from bipolar disorders, depressive disorders, mood episodes, anxiety disorders, adjustment disorders, or eating disorders comprising comprising administering to the patient a therapeutically effective amount of a compound of Formula I as claimed in any one of claims 1 to 37.

41. A method according to Claim 40 wherein the bipolar disorder is bipolar I disorder, bipolar II disorder, or cyclothymic disorder; the depressive disorder is major depressive disorder, dysthymic disorder, or substance-induced mood disorder; the mood episode is major depressive episode, manic episode, mixed episode, or hypomanic episode; the anxiety disorder is panic attack, agoraphobia, panic disorder, specific phobia, social phobia, obsessive compulsive

disorder, posttraumatic stress disorder, acute stress disorder, generalized anxiety disorder, separation anxiety disorder, or substance-induced anxiety disorder.

42. A method according to Claim 41 wherein the condition is depressive disorder, bipolar disorder or mood episode.

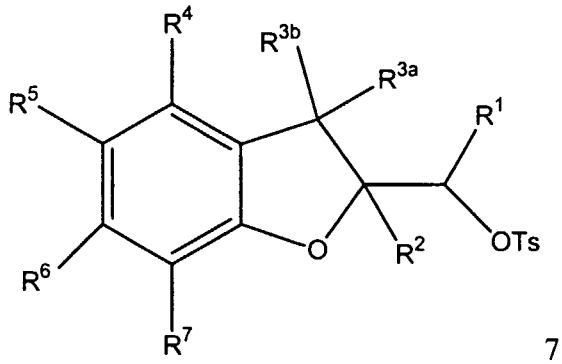
43. A method for treating a patient suffering from epilepsy, sleep disorders, migraines, sexual dysfunction, drug addiction, alcohol addiction, gastrointestinal disorders, or obesity comprising administering to the patient a therapeutically effective amount of a compound of Formula I as claimed in any one of claims 1 to 37.

44. A method for treating a patient suffering from a central nervous system deficiency associated with trauma, stroke, or spinal cord injury comprising administering to the patient a therapeutically effective amount of a compound of Formula I as claimed in any one of claims 1 to 37.

45. A composition comprising a compound of Formula I as claimed in any one of claims 1 to 37 or a pharmaceutically acceptable salt thereof and one or more pharmaceutically acceptable carriers.

46. A process for preparing a compound of formula 1 or a pharmaceutically acceptable salt thereof as claimed in claim 1 which comprises one of the following:

a) reacting a compound of formula 7



7

wherein R^1, R^2, R^3, R^4, R^5, R^6,and R^7 are as defined in claim 1, with sodium azide and reducing the product to give a compound of formula 1 wherein n is 1 and R and R' are both H;

or

b) reacting a compound of formula 7 as defined above with an amine of formula NHRR' where R and R' are as defined in claim 1 to give a corresponding compound of formula 1 wherein n is 1;

or

c) reacting a compound of formula 7 as defined above with sodium cyanide followed by reduction to give a compound of formula 1 wherein n is 2 and R and R' are both H;

d) converting a compound of formula 1 as defined in claim 1 to a pharmaceutically acceptable salt or vice versa;

or

e) isolating a specific enantiomer or diastereomer of a compound of formula 1 or a pharmaceutically acceptable salt thereof as defined in claim 1 from a mixture thereof.