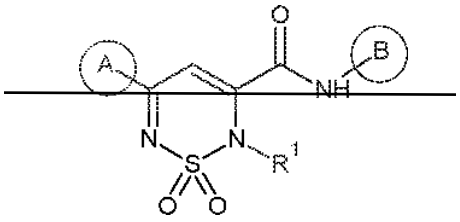
CLAIMS

1. A compound of formula (I).



(I)

wherein

A is saturated, unsaturated or aromatic, monocyclic or bycyclic, fused or bridged carbocyclyl, or a saturated, unsaturated or aromatic monocyclic or bycyclic, fused or bridged heterocyclyl, optionally substituted by one or more halogen atom or halogen atoms, C1-6alkyl, C1-6alkoxy, or haloC1-6alkyl;

B is saturated, unsaturated or aromatic, monocyclic or bycyclic, fused or bridged carbocyclyl, or a saturated, unsaturated or aromatic monocyclic or bycyclic, fused or bridged heterocyclyl, optionally substituted by one or more halogen atom or halogen atoms, C1-6alkyl, Ct-6alkoxy, haloC1-6alkyl, CN, C(0)CL-6alkyl, or haloCI-6alkoxy;

$\mathbf{R^1}$ is C1-6alkyl, C1-6alkenyl, haloC1-6alkyl, C3-8cycloalky1C1-6alkyl, CI-oalkoxyCl-6alkyl, or C4-6heterocycly1;

or pharmaceutically acceptable salts, biologically active metabolites, pro-drugs, racemates, enantiomers, diastereomers, solvates and hydrates thereof.

2. The compound according to claim 1, wherein

A is an optionally substituted saturated, unsaturated or aromatic, 4-9 membered monocyclic or bycyclic, fused or bridged carbocyclyl, or a saturated, unsaturated or aromatic 4-9 membered monocyclic or bycyclic, fused or bridged heterocyclyl containing 1-3 heteroatoms selected from the group of nitrogen, and oxygen;

B is an optionally substituted saturated, unsaturated or aromatic, 4-9 membered monocyclic or bycyclic, fused or bridged carbocyclyl, or a saturated, unsaturated or

aromatic 4-9 membered monocyclic or bycyclic, fused or bridged heterocyclyl containing 1-3 heteroatoms selected from the group of nitrogen, and oxygen;

R1 is Ci.oalkyl, Ci-6alkenyl, C3-scycloalky1C1-6alkyl, Ci-oalkoxyCi-6alkyl, or C4.6heterocyclyl.

3. The compound according to any one of claims 1 or 2, wherein

A is an optionally substituted cyclopentenyl, cyclohexyl, phenyl, cycloheptyl, bicyclo[3.1.0]hexanyl or indazolyl;

B is an optionally substituted phenyl, pyridyl, pyrazyl, pyrazinyl, pyrimidinyl, benzodioxolyl, 1,2,3,4 tetrahydro isoquinolinyl, or pyrazolo[1,5-a]pyridinyl;

R1 is CH3, C2H5, nPr, /Pr, nBu, *secBu,* allyl, CH2 CF3, CH2 cBu, CH2 cPr, C2H5 0 CH3, or tetrahydrofuryl.

4. The compound according to any one of claims 1 to 3, selected from the group of:

5 (3,4 dimethoxypheny1) 2 methyl N (3 methylpheny1) 1,1 dioxo 2H lk^{s},2,6 thiadiazine-3-carboxamide;

5 (1,3 dimethy1 1H indazol 5 y1) 2 methyl N (3 methylpheny1) 1,1 dioxo 2H 1X^{6},2,6 thiadiazine 3 carboxami de;

5 (3,4 dimethoxypheny1) 2 ethyl N (3 methylphenyl) 1,1 dioxo 2H 1X^{6},2,6 thiadiazine 3 carboxamide;

5 (3,4 dimethoxypheny1) 2 ethy1 1,1 dioxo N46 (trifluoromethyl)pyridin 2 y1] 2H 1X^{6},2,6 thiadiazine 3 carboxamide;

2 ethy1 544 methoxy 3 (trifluoromethypphenyl] N (3 methoxypheny1) 1,1 dioxo 2H 1X^{6},2,6 thiadiazine 3 carboxamide;

2 ethy1 5 [4 methoxy 3 (trifluoromethyl)pheny1] N (4 methoxyphenyl) 1,1 di oxo 211 1X^{6},2,6 thiadiazine 3 carboxamide;

2 ethy1 1,1 dioxo 5 [(1r,4r) 4 (trifluoromethyl)cyclohexyq N [6 (trifluoromethyppyridin 2 y1] 2H 1X6,2,6 thiadiazine 3 carboxamide;

N (6 cyanopyri di n 2 y1) 2 ethy1 1 , 1 di oxo 5 [(1r,4r) 4 (trifluoromethyl)cycl oh exyll 2H 1 A6,2,6 thi adi azi ne 3 carboxami de;

5 (3,4 dimethoxypheny1) 1, 1 di oxo 2 (propan 2 y1) N [6 (trifluoromethyppyri din 2 y1] 2H 1A6,2,6 thi adiazine 3 carboxami de;

5 (3,4 dimethoxypheny1) 1, 1 di oxo 2 propyl N [3 (trifl uoromethyl)pheny1] 2H 1A6,2,6 th i adi azine 3 carboxami de;

5 (3,4 di methoxypheny1) 1 , 1 di oxo 2 propyl N [6 (trifl uoromethyppyri din 2 y1] 21/1 A6,2,6 thi adi azi n e 3 carboxami de,

5 [4 methoxy 3 (trifluoromethyl)pheny1] 1 , 1 di oxo 2 propyl N [6 (trifluoromethyl)pyri din 2 y1] 2H 1A6,2,6 thi adi azine 3 carb oxami de;

5 (4 methoxy 3 methylpheny1) 1, 1 di oxo 2 propyl N [6 (trifl uoromethyl)pyri di n 2 y 1]2H 1A6,2,6 thi adi azine 3 carboxami de;

5 (3 chloro 4 methoxypheny1) 1, 1 di oxo 2 propyl N46 (trifluoromethyppyri din 2 y1] 2H 1A6,2,6 thi adi azine 3 carboxami de;

2 (cycl opropylmethyl) 1 , 1 di oxo 5 [(11.,4r) 4 (trifluoromethy pcycl ohexyl] N46 (trifl uoromethyppyri di n 2 y1] 2H 1A6,2,6 thi adi azine 3 carboxami de;

5 (3 ,4 dimethoxy pheny1) 1, 1 di oxo 2 (prop 2 en 1 y1) N [6 (trifl uoromethy Opyri di n2 y1] 2H 1 X6,2,6 thiadiazi ne 3 carboxam i de;

5 (3 ,4 dimethoxy pheny1) N (4 methoxypheny1) 1 , 1 di oxo 2 propy1 2H 1A6,2,6 thi adi azine 3 carboxam i de;

543 ,4 dimethoxy pheny1) N (3 m ethyl ph eny1) 1, 1 dioxo 2 propy1 2H 1 A6,2,6 thi adi azine 3 carboxami de;

5 [4 methoxy 3 (trifluoromethyl)phenyl] 1, 1 di oxo 2 propyl N [3 (trifluoromethyl)pheny1] 2H 1 A6,2,6 thi a di azi ne 3 carboxami de;

5 (3,4 dimethoxypheny1) N (6 fluoropy ni di n 2 y1) 1, 1 di oxo 2 (propan 2 y1) 2H 1 A6,2,6 thiadiazi ne 3 carboxamide;

N (6 fluoropyri di n 2 y1) 1 , 1 di oxo 2 (propan 2 yl) 5 [(1r,4r) 4 (trifluoromethyl)cycl ohexy1] 2H 1A6,2,6 thiadi azine 3 carboxami de;

2-(cyclopropylmethyl)-N-(6-fluoropyrazin-2-y1)-1,1-dioxo-5-[(1r,4r)-4-(trifluoromethyl)cyclohexyl]-2H-1X6,2,6-thiadiazine-3-carboxamide;

2-[(2R)-butan-2-y1]-5-(3,4-di methoxypheny1)-1,1-di oxo-N46-(trifluoromethy Opyri di n-2-y1]-2H-1X6,2,6-thiadiazine-3-carboxamide;

2-ethy1-544-methoxy-3-(trifluoromethyl)phenyl]-1,1-dioxo-N-[6-(trifluoromethyppyridin-2-y1]-2H-1X6,2,6-thiadiazine-3-carboxamide;

1,1-dioxo-2-(propan-2-y1)-5-[(1r,40-4-(trifluoromethypcyclohexyll-N46-(trifluoromethyppyrazin-2-y11-2H-1X6,2,6-thiadiazine-3-carboxamide;

2-(cyclopropylmethyl)-5-(4,4-difluorocyclohexyl)-1,1-dioxo-N-[6-(trifluoromethyl)pyridin-2-y1]-2H-1k6,2,6-thiadiazine-3-carboxamide;

2-(cyclopropylmethyl)-1,1-dioxo-5-[(1r,40-4-(trifluoromethyl)cyclohexyl]-N42-(trifluoromethyppyrimidin-4-y1]-2H-1k6,2,6-thiadiazine-3-carboxamide;

or pharmaceutically acceptable salts, biologically active metabolites, pro-drugs, racemates, enantiomers, diastereomers, solvates and hydrates thereof.

5. A compound according to any one of claims 1 to 4, for use in the treatment or prevention of a disease associated with a7 nicotinic acetylcholine receptor activity.

6. A compound according to claim 5, wherein the disease is selected from the group of psychotic disorders, including, but not limited to, schizophrenia, schizophreniform disorder, schizoaffective disorder, delusional disorder, brief psychotic disorder,
psychotic disorder due to a general medical condition, substance-induced psychotic disorder or psychotic disorder not otherwise specified, cognitive impairment, including, but not limited to, cognitive impairment as a result of stroke, Alzheimer's disease, Huntington's disease, Pick disease, HIV associated dementia, frontotemporal dementia, Lewy body dementia, vascular dementia, cerebrovascular disease or other dementia states
and dementia associated to other degenerative disorders, including, but not limited to, amyotrophic lateral sclerosis, other acute or sub-acute conditions that may cause cognitive decline, including, but not limited to, delirium, traumatic brain injury, senile dementia, mild cognitive impairment, Down's syndrome, depression and cognitive deficit related to other diseases, and dyskinetic disorders including, but not limited to,

Parkinson's disease, neuroleptic-induced parkinsonism, or tardive dyskinesias, depression and mood disorders, including, but not limited to, depressive disorders and episodes, bipolar disorders, cyclothymic disorder, and bipolar disorder not otherwise specified, other mood disorders, substance-induced mood disorder and mood disorder not otherwise specified, anxiety disorders, panic disorder and panic attacks, obsessive compulsive disorder, posttraumatic stress disorder, acute stress disorder, generalized anxiety disorder, anxiety disorder due to a general medical condition, substance-induced anxiety disorder, phobias, and anxiety disorder not otherwise specified, substance related disorders, including, but not limited to, substance use or substance-induced disorders, including, but not limited to, alcohol , nicotine , amphetamine , phencyclidine , opioid , cannabis , cocaine , caffeine , hallucinogen , inhalant , sedative , hypnotic , anxiolytic , polysubstance or other substance related disorders, sleep disorders, including, but not limited to, narcolepsy, dyssomnias, primary hypersomnia, breathing related sleep disorders, circadian rhythm sleep disorder and dyssomni a not otherwise specified, parasomnias, sleep terror disorder, sleepwalking disorder and parasomnia not otherwise specified, sleep disorders related to another mental disorder, sleep disorder due to a general medical condition and substance-induced sleep disorder, metabolic and eating disorders, including, but not limited to, anorexia nervosa, bulimia nervosa, obesity, compulsive eating disorder, binge eating disorder and eating disorder not otherwise specified, diabetes mellitus, ulcerative colitis, Crohn's disease, irritable bowel syndrome, autism spectrum disorders, including, but not limited to, autistic disorder, Asperger's disorder, Rett's disorder, childhood disintegrative disorder and pervasive developmental disorder not otherwise specified, attention deficit hyperactivity disorder, disruptive behaviour disorders, oppositional defiant disorder and disruptive behaviour disorder not otherwise specified, and tic disorders, including, but not limited to, Tourette's disorder, personality disorders, sexual dysfunctions such as sexual desire disorders, sexual arousal disorders, orgasmic disorders, sexual pain disorder, sexual dysfunction not otherwise specified, paraphilias, gender identity disorders, infertility, premenstrual syndrome and sexual disorders not otherwise specified, disorders of the respiratory system like cough, asthma, chronic obstructive pulmonary disease, lung inflammation, disorders of the cardiovascular system such as cardiac failure, heart arrhythmia, hypertension, inflammation, inflammatory and neuropathic pain, rheumatoid arthritis, osteoarthritis,

allergy, sarcoidosis, psoriasis, ataxia, dystonia, systemic lupus erythematosus, mania, restless legs syndrome, progressive supranuclear palsy, epilepsy, myoclonus, migraine, amnesia, chronic fatigue syndrome, cataplexy, brain ischemia, multiple sclerosis, encephalomyelitis, jetlag, cerebral amyloid angiopathy, and sepsis.

7. A compound according to claim 6, wherein the disease is selected from the group of cognitive impairment, schizophrenia, and autism.

8. Use of compound according to any one of claims 1 to 4, for the manufacture of a medicament for the treatment or prevention of a disease associated with a7 nicotinic acetylcholine receptor activity.

9. Use according to claim 8, wherein the disease is selected from the group of psychotic disorders, including, but not limited to, schizophrenia, schizophreniform disorder, schizoaffective disorder, delusional disorder, brief psychotic disorder, psychotic disorder due to a general medical condition, substance-induced psychotic disorder or psychotic disorder not otherwise specified, cognitive impairment, including, but not limited to, cognitive impairment as a result of stroke, Alzheimer's disease, Huntington's disease, Pick disease, HIV associated dementia, frontotemporal dementia, Lewy body dementia, vascular dementia, cerebrovascular disease or other dementia states and dementia associated to other degenerative disorders, including, but not limited to, amyotrophic lateral sclerosis, other acute or sub-acute conditions that may cause cognitive decline, including, but not limited to, delirium, traumatic brain injury, senile dementia, mild cognitive impairment, Down's syndrome, depression and cognitive deficit related to other diseases, and dyskinetic disorders including, but not limited to, Parkinson's disease, neuroleptic-induced parkinsonism, or tardive dyskinesias, depression and mood disorders, including, but not limited to, depressive disorders and episodes, bipolar disorders, cyclothymic disorder, and bipolar disorder not otherwise specified, other mood disorders, substance-induced mood disorder and mood disorder not otherwise specified, anxiety disorders, panic disorder and panic attacks, obsessive compulsive disorder, posttraumatic stress disorder, acute stress disorder, generalized anxiety disorder, anxiety disorder due to a general medical condition, substance-induced anxiety disorder, phobias, and anxiety disorder not otherwise specified, substance

related disorders, including, but not limited to, substance use or substance-induced disorders, including, but not limited to, alcohol , nicotine , amphetamine , phencyclidine , opioid , cannabis , cocaine , caffeine , hallucinogen , inhalant , sedative , hypnotic , anxiolytic , polysubstance or other substance related disorders, sleep disorders, including, but not limited to, narcolepsy, dyssomnias, primary hypersomnia, breathing-related sleep disorders, circadian rhythm sleep disorder and dyssomnia not otherwise specified, parasomnias, sleep terror disorder, sleepwalking disorder and parasomnia not otherwise specified, sleep disorders related to another mental disorder, sleep disorder due to a general medical condition and substance-induced sleep disorder, metabolic and eating disorders, including, but not limited to, anorexia nervosa, bulimia nervosa, obesity, compulsive eating disorder, binge eating disorder and eating disorder not otherwise specified, diabetes mellitus, ulcerative colitis, Crohn's disease, irritable bowel syndrome, autism spectrum disorders, including, but not limited to, autistic disorder, Asperger's disorder, Rett's disorder, childhood disintegrative disorder and pervasive developmental disorder not otherwise specified, attention deficit hyperactivity disorder, disruptive behaviour disorders, oppositional defiant disorder and disruptive behaviour disorder not otherwise specified, and tic disorders, including, but not limited to, Tourette's disorder, personality disorders, sexual dysfunctions such as sexual desire disorders, sexual arousal disorders, orgasmic disorders, sexual pain disorder, sexual dysfunction not otherwise specified, paraphilias, gender identity disorders, infertility, premenstrual syndrome and sexual disorders not otherwise specified, disorders of the respiratory system like cough, asthma, chronic obstructive pulmonary disease, lung inflammation, disorders of the cardiovascular system such as cardiac failure, heart arrhythmia, hypertension, inflammation, inflammatory and neuropathic pain, rheumatoid arthritis, osteoarthritis, allergy, sarcoidosis, psoriasis, ataxia, dystonia, systemic lupus erythematosus, mania, restless legs syndrome, progressive supranuclear palsy, epilepsy, myoclonus, migraine, amnesia, chronic fatigue syndrome, cataplexy, brain ischemia, multiple sclerosis, encephalomyelitis, jetlag, cerebral amyloid angiopathy, and sepsis.

10. Use according to claim 9, wherein the disease is selected from the group of cognitive impairment, schizophrenia, and autism.

11. A method for the treatment or prevention of a disease associated with a7 nicotinic acetylcholine receptor activity comprising administering to a mammal in need of such treatment or prevention an effective amount of at least one compound compound according to any one of claims 1 to 4.

12. A method according to claim 11, wherein the disease is selected from the group of psychotic disorders, including, but not limited to, schizophrenia, schizophreniform disorder, schizoaffective disorder, delusional disorder, brief psychotic disorder, psychotic disorder due to a general medical condition, substance induced psychotic disorder or psychotic disorder not otherwise specified, cognitive impairment, including, but not limited to, cognitive impairment as a result of stroke, Alzheimer's disease, Huntington's disease, Pick disease, HIV associated dementia, frontotemporal dementia, Lewy body dementia, vascular dementia, cerebrovascular disease or other dementia states and dementia associated to other degenerative disorders, including, but not limited to, amyotrophic lateral sclerosis, other acute or sub acute conditions that may cause cognitive decline, including, but not limited to, delirium, traumatic brain injury, senile dementia, mild cognitive impairment, Down's syndrome, depression and cognitive deficit related to other diseases, and dyskinetic disorders including, but not limited to, Parkinson's disease, neuroleptic induced parkinsonism, or tardive dyskinesias, depression and mood disorders, including, but not limited to, depressive disorders and episodes, bipolar disorders, cyclothymic disorder, and bipolar disorder not otherwise specified, other mood disorders, substance induced mood disorder and mood disorder not otherwise specified, anxiety disorders, panic disorder and panic attacks, obsessive compulsive disorder, posttraumatic stress disorder, acute stress disorder, generalized anxiety disorder, anxiety disorder due to a general medical condition, substance induced anxiety disorder, phobias, and anxiety disorder not otherwise specified, substance related disorders, including, but not limited to, substance use or substance induced disorders, including, but not limited to, alcohol , nicotine , amphetamine , phencyclidine , opioid , cannabis , cocaine , caffeine , hallucinogen , inhalant , sedative , hypnotic , anxiolytic , polysubstance or other substance related disorders, sleep disorders, including, but not limited to, narcolepsy, dyssomnias, primary hypersomnia, breathing related sleep disorders, circadian rhythm sleep

disorder and dyssomnia not otherwise specified, parasomnias, sleep terror disorder, sleepwalking disorder and parasomnia not otherwise specified, sleep disorders related to another mental disorder, sleep disorder due to a general medical condition and substance-induced sleep disorder, metabolic and eating disorders, including, but not limited to, anorexia nervosa, bulimia nervosa, obesity, compulsive eating disorder, binge eating disorder and eating disorder not otherwise specified, diabetes mellitus, ulcerative colitis, Crohn's disease, irritable bowel syndrome, autism spectrum disorders, including, but not limited to, autistic disorder, Asperger's disorder, Rett's disorder, childhood disintegrative disorder and pervasive developmental disorder not otherwise specified, attention deficit hyperactivity disorder, disruptive behaviour disorders, oppositional defiant disorder and disruptive behaviour disorder not otherwise specified, and tic disorders, including, but not limited to, Tourette's disorder, personality disorders, sexual dysfunctions such as sexual desire disorders, sexual arousal disorders, orgasmic disorders, sexual pain disorder, sexual dysfunction not otherwise specified, paraphilias, gender identity disorders, infertility, premenstrual syndrome and sexual disorders not otherwise specified, disorders of the respiratory system like cough, asthma, chronic obstructive pulmonary disease, lung inflammation, disorders of the cardiovascular system such as cardiac failure, heart arrhythmia, hypertension, inflammation, inflammatory and neuropathic pain, rheumatoid arthritis, osteoarthritis, allergy, sarcoidosis, psoriasis, ataxia, dystonia, systemic lupus erythematosus, mania, restless legs syndrome, progressive supranuclear palsy, epilepsy, myoclonus, migraine, amnesia, chronic fatigue syndrome, cataplexy, brain ischemia, multiple sclerosis, encephalomyelitis, jetlag, cerebral amyloid angiopathy, and sepsis.

13. A method according to claim 12, wherein the disease is selected from the group of cognitive impairment, schizophrenia, and autism.

14. A pharmaceutical composition comprising as active ingredient a compound according to any one of claims 1 to 4 and at least one pharmaceutically acceptable excipient.

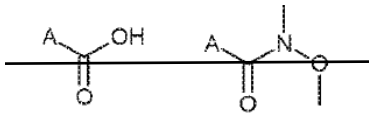
15. A pharmaceutical composition according to claim 14, wherein the composition further comprises at least one other active ingredient.

16. A pharmaceutical composition according to claim 15, wherein the other active ingredient(s) are selected from the group of acetylcholinesterase inhibitors, NMDA receptor antagonists, beta- secretase inhibitors, antipsychotics, GABAA receptor alpha5 subunit NAMs or PAMs, histamine H3 receptor antagonists, 5-HT6 receptor antagonists, M1 or M4 mAChR agonists or PAMs, mGluR2 antagonists or NAMs or PAMs, and levodopa.

17. Combination of a compound according to any one of claims 1 to 4 and at least one other active ingredient for use in the treatment or prevention of a disease associated with a7 nicotinic acetylcholine receptor activity.

18. Combination according to claim 17, wherein the other active ingredient(s) are selected from the group of acetylcholinesterase inhibitors, NMDA receptor antagonists, beta-secretase inhibitors, antipsychotics, GABAA receptor alpha5 subunit NAMs or PAMs, histamine H3 receptor antagonists, 5-HT6 receptor antagonists, M1 or M4 mAChR agonists or PAMs, mGluR2 antagonists or NAMs or PAMs, and levodopa.

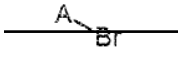
19. Process for the manufacture of compounds of formula (I) according to claim 1, characterized by, reacting formula (11a) or formula (11b)



(IIa) (IIb)

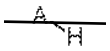
or

wherein the meaning of A is a saturated, unsaturated or aromatic, monocyclic or bycyclic, fused or bridged carbocyclyl or is saturated, unsaturated or aromatic, monocyclic or bycyclic, fused or bridged heterocyclyl, with methyl lithium, or reacting compound of formula (IIc)



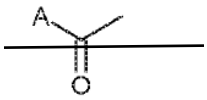
(IIc)

— wherein the meaning of A is an aromatic, monocyclic or bycyclic, fused or bridged carbocyclyl or an aromatic, monocyclic or bycyclic, fused or bridged heterocyclyl, optionally substituted by one or more C1 6allcyl, Ci oalkoxy, haloCi oalkyl — with tributyl(1 ethoxyvinyl)tin, or reacting compound of formula (Ild)



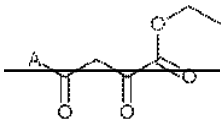
(Ild)

with acetyl chloride — wherein the meaning of A is an aromatic, monocyclic or bycyclic, fused or bridged carbocyclyl or an aromatic, monocyclic or bycyclic, fused or bridged heterocyclyl, optionally substituted by one or more C1 6alkyl, C1 6alkoxy, haloCt.oalkyl, halogen — to obtain the ketone derivative of formula (III)



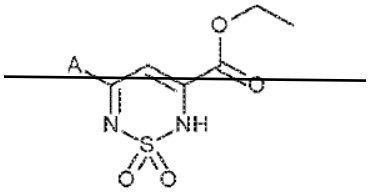
(III)

— wherein the meaning of A is as described above for formula (I) — which is reacted with diethyl oxalate to provide 2,4 dioxo ester derivative of formula (IV)



(IV)

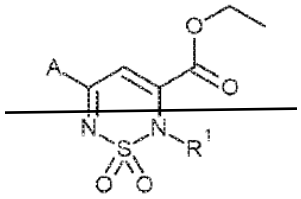
— wherein the meaning of A is as described above for formula (I) — which is reacted with sulfamide, and then the obtained 1,1 dioxo 1,3 thiadiazine carboxylic acid ester derivative of formula (V)



(V)

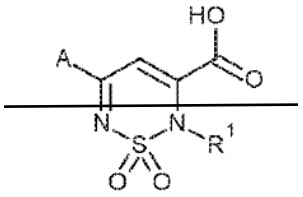
wherein the meaning of A is as described above for formula **(I)** — is transformed to the desired end product of the formula **(I)** in different ways:

ROUTE A) the compound of formula (V) is alkylated to furnish N-alkyl thiadiazine derivative of formula (VI)



(VI)

wherein the meaning of A and Rl is as described above for formula **(I)** — which is hydrolysed leading to carboxylic acid derivative of formula (VII)

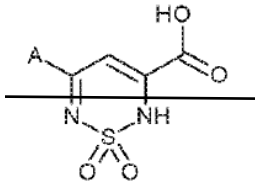


(VII)

— wherein the meaning of A and IV is as described above for formula **(1)** — which is coupled with an appropriate amine (B-NH2) — wherein the meaning of B is as described above for formula **(I)** — to provide the desired amide of formula (1)

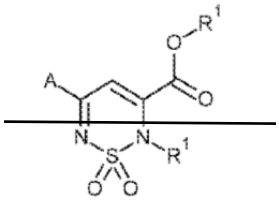
or

ROUTE B) the ester derivative of formula (V) is hydrolysed to furnish the carboxylic acid derivative of formula **(VIII)**



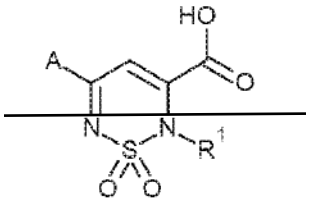
(VIII)

wherein the meaning of A is as described above for the formula (I) - which is then N,O dialkylated in one step resulting the corresponding ester compound of formula (X)



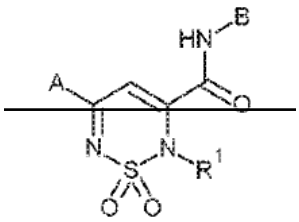
(X)

wherein the meaning of A and $\mathbf{R^1}$ is as described above for the formula (I) - which is either hydrolysed to derivative of formula (VII)



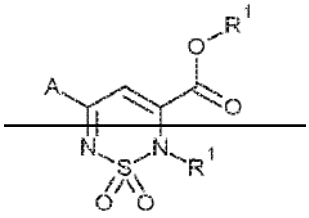
(VII)

wherein the meaning of A and IV is as described above for formula (I) - and then reacted with the appropriate amine **(B-NH2)** resulting in the targeted amide derivative of formula (I),



(I)

or compound of formula (X)



(X)

wherein the meaning of A and R^1 is as described above for the formula (I) is transformed directly to the amide derivative of the formula (I) by reaction with the appropriate amine (B-NH2).

1. An invention not claimed in any divisional application.