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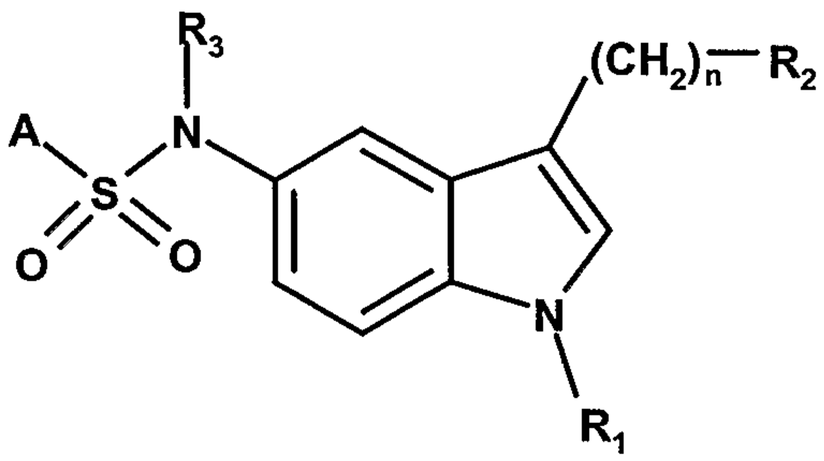
(54) Titre : UTILISATION DE DERIVES SULFAMIDES POUR LA FABRICATION D'UN MEDICAMENT POUR LA PROPHYLAXIE ET/OU LE TRAITEMENT DE TROUBLES D'INGESTION DES ALIMENTS

(54) Title: USE OF SULPHONAMIDE DERIVATIVES FOR THE MANUFACTURE OF A MEDICAMENT FOR THE PROPHYLAXIS AND/OR TREATMENT OF DISORDERS OF FOOD INGESTION



(1)

(57) Abrégé/Abstract:
The present invention relates to the use of sulphonamide derivatives of general formula (1), optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding physiologically acceptable salts or corresponding solvates, for the manufacture of a medicament for the prophylaxis and/or treatment of disorders of food ingestion.

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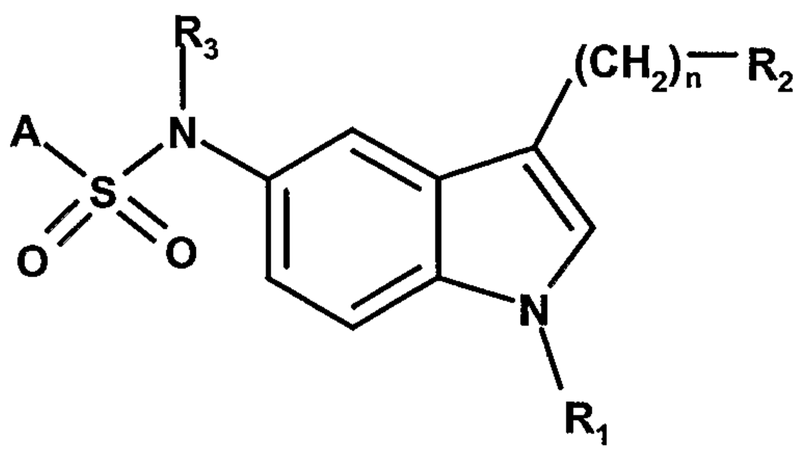
(54) Title: USE OF SULPHONAMIDE DERIVATIVES FOR THE MANUFACTURE OF A MEDICAMENT FOR THE PROPHYLAXIS AND/OR TREATMENT OF DISORDERS OF FOOD INGESTION

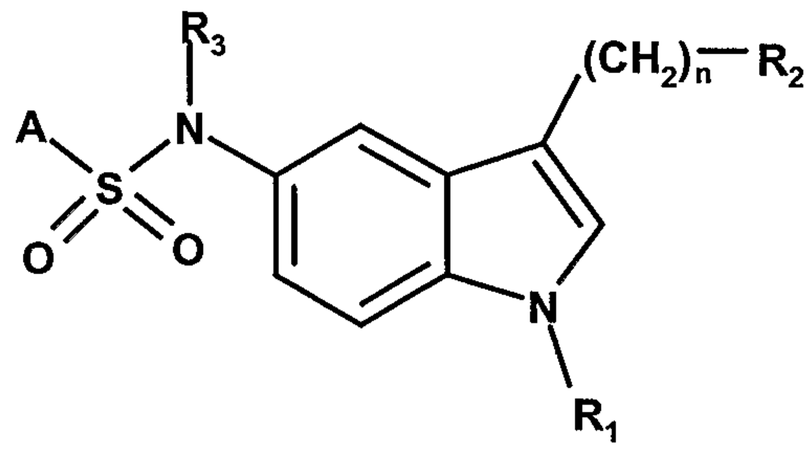


(1)

(57) Abstract: The present invention relates to the use of sulphonamide derivatives of general formula (1), optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding physiologically acceptable salts or corresponding solvates, for the manufacture of a medicament for the prophylaxis and/or treatment of disorders of food ingestion.

Use of sulphonamide derivatives for the manufacture of a medicament for the prophylaxis and/or treatment of disorders of food ingestion.

The present invention relates to the use of sulphonamide derivatives of general formula (I),



(I)

optionally in form of one of their stereoisomers, preferably enantiomers or diastereomers, their racemates or in form of a mixture of at least two of their stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or corresponding physiologically acceptable salts or corresponding solvates, for the manufacture of a medicament for the prophylaxis and/or treatment of disorders of food ingestion.

The superfamily of serotonin receptors (5-HT) includes 7 classes (5-HT_1-5-HT_7) encompassing 14 human subclasses [D. Hoyer, et al., *Neuropharmacology*, **1997**, *36*, 419]. The 5-HT_6 receptor is the latest serotonin receptor identified by molecular cloning both in rats [F.J. Monsma, et al., *Mol. Pharmacol.*, **1993**, *43*, 320; M. Ruat, et al., *Biochem. Biophys. Res. Commun.*, **1993**, *193*, 268] and in humans [R. Kohen, et al., *J. Neurochem.*, **1996**, *66*, 47]. Compounds with 5-HT_6 receptor affinity are useful for the treatment of various disorders of the Central Nervous System and of the gastrointestinal tract, such as irritable intestine syndrome. Compounds with 5-HT_6 receptor affinity are also useful in the treatment of anxiety, depression and cognitive memory disorders [M. Yoshioka, et al., *Ann. NY Acad. Sci.*, **1998**, *861*, 244; A. Bourson, et al., *Br. J. Pharmacol.*

, **1998**, *125*, 1562; D.C. Rogers, et al., *Br. J. Pharmacol. Suppl.*, **1999**, *127*, 22P; A. Bourson, et al., *J. Pharmacol. Exp. Ther.* , **1995**, *274*, 173; A.J. Sleight, et al., *Behav. Brain Res.* , **1996**, *73*, 245; T.A. Branchek, et al., *nnu. Rev. Pharmacol. Toxicol.* , **2000**, *40*, 319; C. Routledge, et al., *Br. J. Pharmacol.* , **2000**, *130*, 1606]. It has been shown that typical and atypical antipsychotic drugs for treating schizophrenia have a high affinity for 5-HT_6 receptors [B.L. Roth, et al., *J. Pharmacol. Exp. Ther.* , **1994**, *268*, 1403; C.E. Glatt, et al., *Mol. Med.* , **1995**, *1*, 398; F.J. Mosma, et al., *Mol. Pharmacol.* , **1993**, *43*, 320; T. Shinkai, et al., *Am. J. Med. Genet.* , **1999**, *88*, 120]. Compounds with 5-HT_6 receptor affinity are useful for treating infant hyperkinesia (ADHD, attention deficit / hyperactivity disorder) [W.D. Hirst, et al., *Br. J. Pharmacol.* , **2000**, *130*, 1597; C. Gérard, et al., *Brain Research* , **1997**, *746*, 207; M.R. Pranzatelli, *Drugs of Today* , **1997**, *33*, 379].

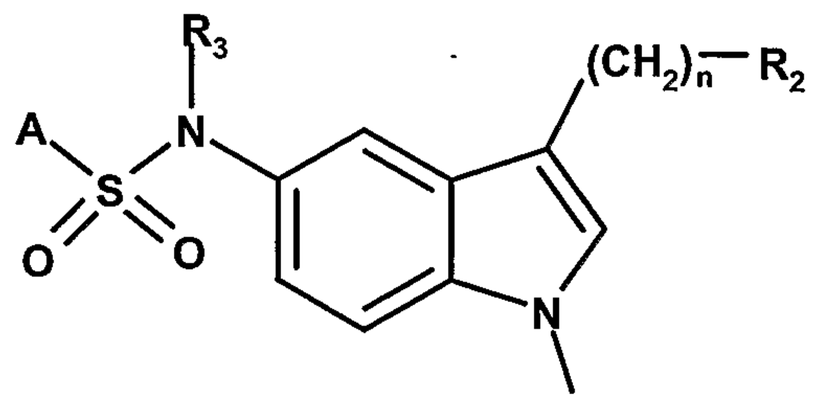
Moreover, it has been shown that the 5-HT_6 receptor also plays a role in food ingestion [Neuropharmacology, 41, 2001, 210-219].

Food ingestion disorders, particularly obesity, are a serious, fast growing threat to the health of humans of all age groups, since they increase the risk of developing other serious, even life-threatening diseases such as diabetes or coronary diseases.

Thus, the object of the present invention was to provide medicaments that comprise compounds with 5-HT_6 receptor affinity and which are suitable for the prophylaxis and/or treatment of food-ingestion related disorders.

It has been found that the sulphonamide derivatives of general formula (I) given below show affinity for the 5-HT_6-receptor. These compounds are therefore also suitable for the manufacture of a medicament for the prophylaxis and/or treatment of food ingestion (food intake) disorders, particularly for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (Non-Insulin Dependent Diabetes Mellitus), preferably type II diabetes, which is caused by obesity.

Thus, one aspect of the present invention is the use of at least one sulphonamide derivative of general formula (I),



(I)

wherein

R^1 represents hydrogen, an optionally at least mono-substituted, linear or branched alkyl radical, an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted benzyl radical,

R^2 represents a $-NR^4R^5$ moiety or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem,

R^3 represents hydrogen or an optionally at least mono-substituted, linear or branched alkyl radical,

R^4 and R^5, identical or different, represent hydrogen or an optionally at least mono-substituted, linear or branched alkyl radical, or

R^4 and R^5 together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated or unsaturated heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem,

A represents an optionally at least mono-substituted mono- or polycyclic aromatic ringsystem, which may be bonded via an optionally at least mono-substituted alkylene-, alkenylene- or alkynylene group and/or may contain at least one heteroatom as a ring member in one or more of its rings,

n represents 0, 1, 2, 3 or 4;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate,

for the manufacture of a medicament for the prophylaxis and/or treatment of a food ingestion disorder.

If one or more of the residues R^1, R^3, R^4 and R^5 represents an alkyl radical, which is substituted with one or more substituents, unless defined otherwise, each of the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine and trifluoromethyl.

If R^1 represents a phenyl radical or a benzyl radical, which is substituted with one or more substituents, unless defined otherwise, each of the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched C_1-C_4-alkyl, branched or unbranched C_1-C_4-alkoxy, branched or unbranched C_1-C_4-perfluoroalkyl and branched or unbranched C_1-C_4-perfluoroalkoxy.

If R^2 represents a saturated or unsaturated, optionally at least one heteroatom as ring member containing cycloaliphatic radical, which is substituted with one or more substituents and/or if it comprises a saturated or unsaturated, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem, which is substituted with one or more substituents, unless defined otherwise, each of the substituents may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched C_1-C_4-alkyl, branched or unbranched C_1-C_4-alkoxy, branched or unbranched C_1-C_4-perfluoroalkyl, branched or unbranched C_1-C_4-perfluoroalkoxy and benzyl, preferably from the group consisting of branched or unbranched C_1-C_4-alkyl and benzyl. The heteroatoms of the cycloaliphatic radical and/or of the mono- or bicyclic cycloaliphatic ringsystem may, independent from one another, preferably be selected from the group consisting of nitrogen, sulphur and oxygen, more preferably the heteroatom is nitrogen.

If R^4 and R^5 together with the bridging nitrogen atom form a saturated or unsaturated, optionally at least one further heteroatom as ring member containing heterocyclic ring, which is substituted with one or more substituents and/or which is condensed with a saturated or unsaturated, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem, which is substituted with one or more substituents, unless otherwise defined, each of the substituents, may preferably be selected from the group consisting of hydroxy, fluorine, chlorine, bromine, branched or unbranched C_1-C_4-alkyl, branched or unbranched C_1-C_4-alkoxy, branched or unbranched C_1-C_4-perfluoroalkyl, branched or unbranched C_1-C_4-perfluoroalkoxy and benzyl, preferably from the group consisting of branched or unbranched C_1-C_4-alkyl and

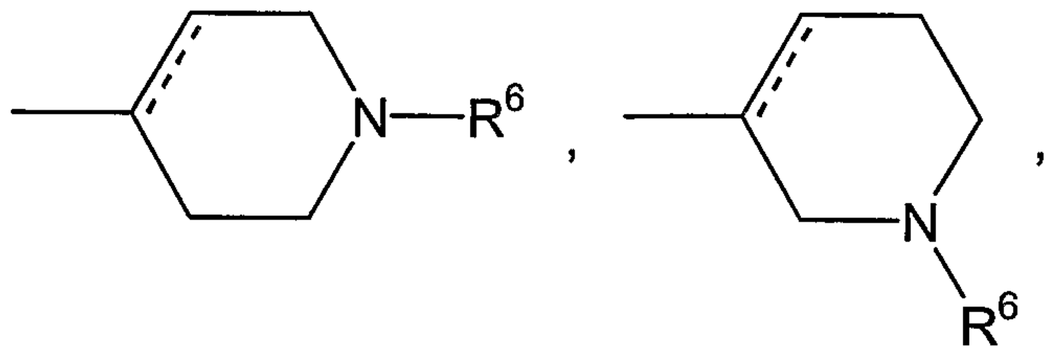
benzyl. If the hetereocyclic ring contains one or more further heteroatoms and/or one or both of the mono- or bicyclic rings contain one or more heteroatoms, these heteroatoms may, independent from one another, preferably be selected from the group consisting of nitrogen, sulphur and oxygen, more preferably the heteroatom is nitrogen.

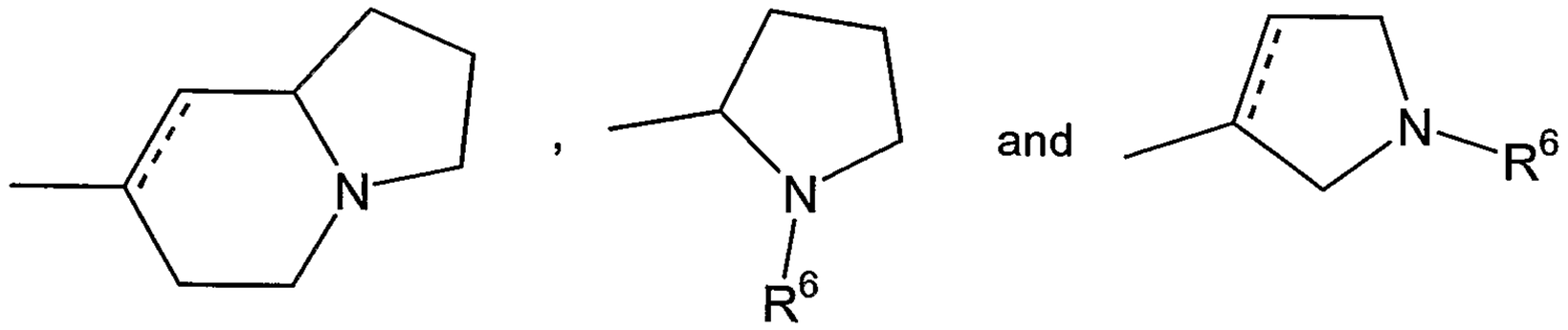
If A represents a mono- or polycyclic aromatic ringsystem, which is substituted with one or more substituents, and which may be bonded via an optionally at least mono-substituted alkylene-, alkenylene- or alkynylene group and/or may contain at least one heteroatom as a ring member, unless otherwise defined, each of the substituents, may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_1-C_4-alkyl, branched or unbranched C_1-C_4-alkoxy, branched or unbranched C_1-C_4-perfluoroalkyl, branched or unbranched C_1-C_4-perfluoroalkoxy, an optionally at least mono-substituted phenyl radical, an optionally at least mono-substituted phenoxy radical and 5-or 6 membered heteroaryl, preferably from the group consisting of halogen, branched or unbranched C_1-C_4-alkyl, an optionally at least mono-substituted phenyl radical, an optionally at least mono-substituted phenoxy radical and 5- or 6-membered heteroaryl, more preferably from the group consisting of fluorine, chlorine, branched or unbranched C_1-C_4-alkyl, an optionally at least mono-substituted phenyl radical, an optionally at least mono-substituted phenoxy radical and 5- or 6-membered heteroaryl selected from the group consisting of furyl, thienyl and pyridyl. If one or more of the rings of the mono- or polycyclic aromatic ringsystem contains one or more heteroatoms, these heteroatoms – like the heteroatoms of the afore mentioned 5-or 6 membered heteroaryl radical – may preferably be selected from the group consisting of oxygen, sulphur and nitrogen. If the afore mentioned phenyl radical is itself substituted with one or more substituents, each of the substituents may preferably be selected from the group consisting of fluorine, chlorine, bromine, linear or branched C_1-C_4-alkyl, linear or branched C_1-C_4-alkoxy, linear or branched C_1-C_4-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR^8R^9-moiety, wherein R^8 and R^9, identical or different, represent hydrogen or linear or branched C_1-C_4-alkyl.

If the afore mentioned alkylene-, alkenylene- or alkynylene group is substituted with one or more substituents, each of the substituents may preferably be selected from the group consisting of hydroxy, halogen, branched or unbranched C_1-C_4-alkyl, branched or unbranched C_1-C_4-alkoxy, branched or unbranched C_1-C_4-perfluoroalkyl, branched or unbranched C_1-C_4-perfluoroalkoxy or an optionally at least mono-substituted phenyl radical. If said phenyl radical is itself substituted by one or more substituents, each of the substituents may preferably be selected from the group consisting of fluorine, chlorine, bromine, linear or branched C_1-C_4-alkyl, linear or branched C_1-C_4-alkoxy, linear or branched C_1-C_4-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR^8R^9-moiety, wherein R^8 and R^9, identical or different, represent hydrogen or linear or branched C_1-C_4-alkyl.

Preferably used are sulphonamide derivatives of general formula (I), wherein R^1 represents hydrogen, an optionally at least mono-substituted, linear or branched C_{1-4}-alkyl radical, an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted benzyl radical, preferably hydrogen, a linear or branched C_{1-4}-alkyl radical or a benzyl radical, more preferably hydrogen, and R^2 to R^5, A and n are as defined above, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, the racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate.

Preference is also given to the use of sulphonamide derivatives of general formula (I), wherein R^2 represents a $-NR^4R^5$ moiety or a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing 5- or 6-membered cycloaliphatic radical, which may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic cycloaliphatic ringsystem, wherein the ring(s) is/are 5- or 6-membered, preferably a $-NR^4R^5$ moiety or a moiety selected from the group consisting of





wherein, if present, the dotted line represents an optional chemical bond and R^6 represents hydrogen, a linear or branched C_1-C_4-alkyl radical or a benzyl radical, preferably hydrogen or a C_1-C_2 alkyl radical, and R^1, R^3-R^5, A and n are as defined above, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, the racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate.

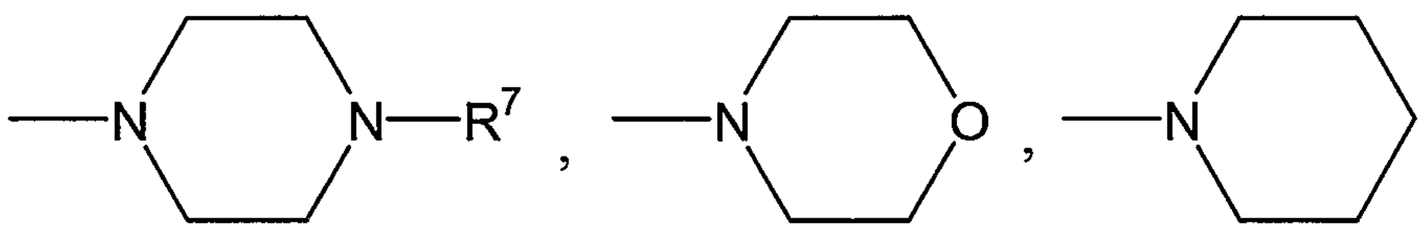
Also preferred is the use of sulphonamide derivatives of general formula (I), wherein R^3 represents hydrogen or an optionally at least mono-substituted, linear or branched C_1-C_4-alkyl radical, preferably hydrogen or a linear or branched C_1-C_4-alkyl radical, more preferably hydrogen or a C_1-C_2 alkyl radical, and R^1, R^2 R^4, R^5, A and n are as defined above, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, the racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate.

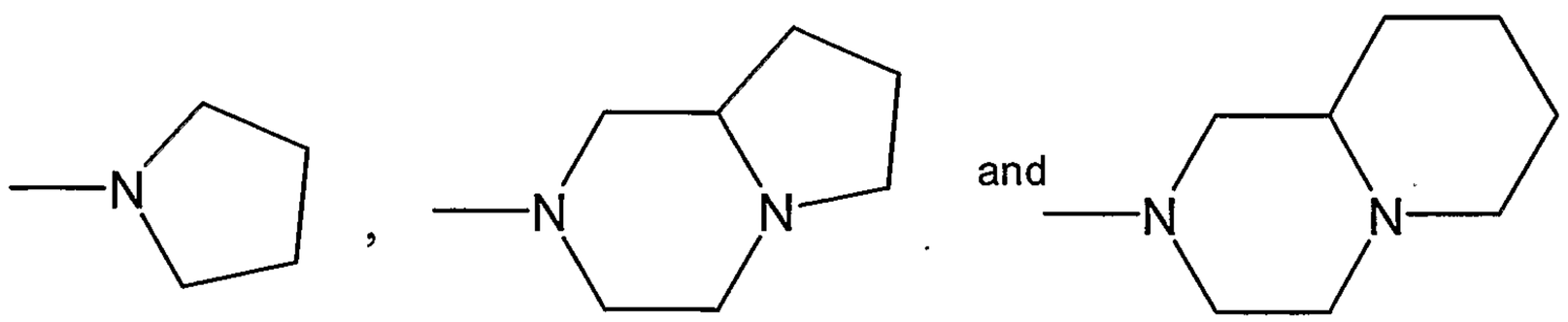
Furthermore, preference is also given to the use of sulphonamide derivatives of general formula (I), wherein R^4 and R^5, identical or different, represent hydrogen or an optionally at least mono-substituted, linear or branched C_1-C_4-alkyl radical, or

R^4 and R^5 together with the bridging nitrogen atom form an optionally at least mono-substituted, saturated or unsaturated, 5- or 6-membered heterocyclic ring, which may contain at least one further heteroatom as a ring member and/or may be condensed with a saturated or unsaturated, optionally at least mono-substituted, optionally at least one heteroatom as ring member containing mono- or bicyclic aliphatic ringsystem, wherein the ring(s) is/are 5-, 6- or 7-membered, and R^1, R^2, R^3, A and n are as defined above, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, the racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate.

Particularly preferred is the use of sulphonamide derivatives of general formula (I), wherein R^4 and R^5, identical or different, represent hydrogen or a linear or branched C_1-C_4-alkyl radical, preferably a linear or branched C_1-C_4-alkyl radical, or

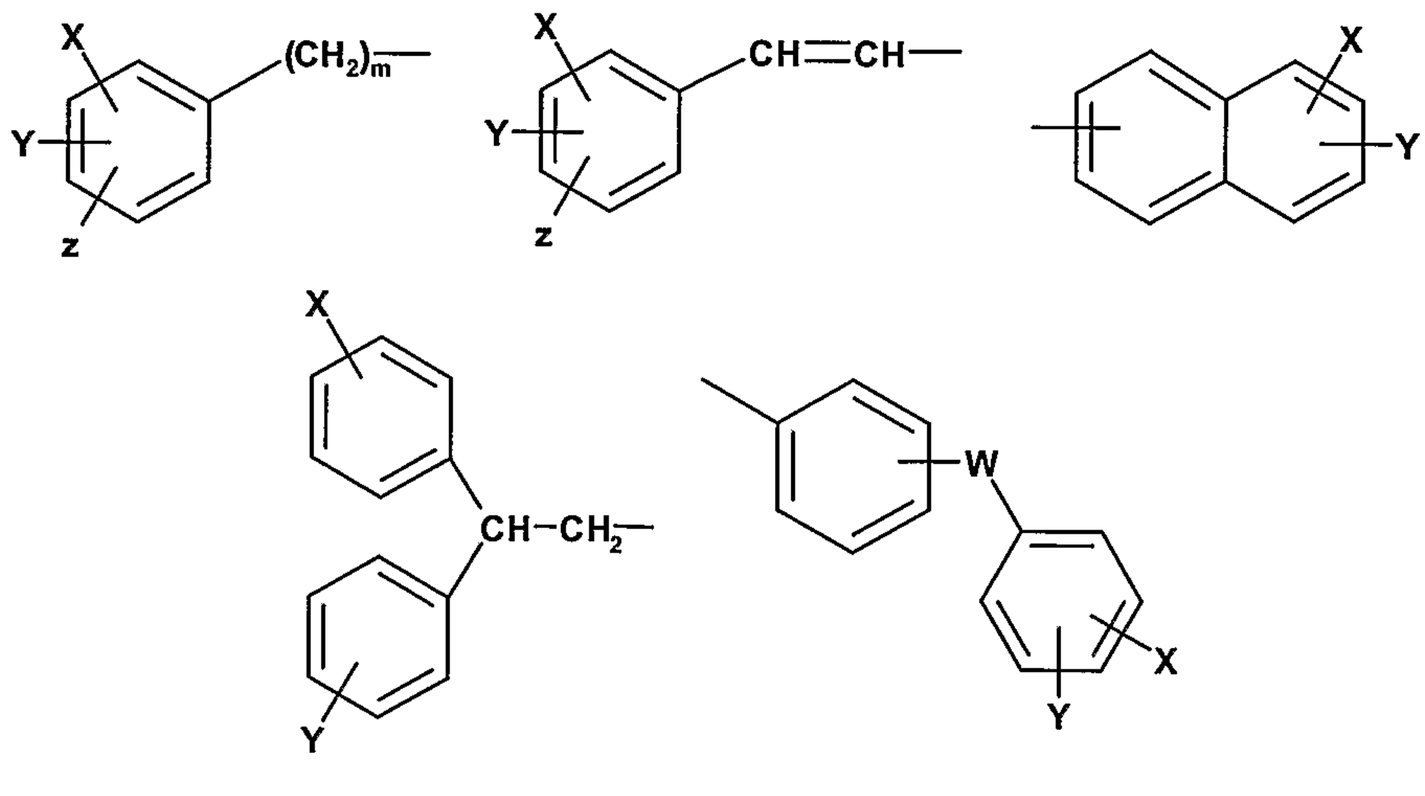
R^4 and R^5 together with the bridging nitrogen atom form a moiety selected from the group consisting of





wherein R^7 represents hydrogen, a linear or branched C_1-C_4-alkyl radical or a benzyl radical, preferably hydrogen or a C_1-C_2 alkyl radical, and R^1-R^3, A and n are as defined above, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, the racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate.

Moreover, the use of sulphonamide derivatives of general formula (I) is preferred, wherein A represents an optionally at least mono-substituted mono- or bicyclic aromatic ringsystem, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via a an optionally at least mono-substituted C_1-C_4-alkylene group, an optionally at least mono-substituted C_2-C_4-alkenylene or an optionally at least mono-substituted C_2-C_4-alkinylene group and/or may contain at least one heteroatom as a ring member, preferably an optionally at least mono-substituted mono- or bicyclic aromatic ringsystem, wherein the ring(s) is/are 5- or 6-membered and wherein one or both of the rings contain(s) at least one heteroatom, or a moiety selected from the group consisting of



wherein X, Y, Z are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C_1-C_4-alkyl, linear or branched C_1-C_4-alkoxy, linear or branched C_1-C_4-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR^8R^9-moiety, wherein R^8 and R^9, identical or different, represent hydrogen or linear or branched C_1-C_4-alkyl,

W represents a single chemical bond between the two rings, a CH_2-group, O, S or a NR^{10}-moiety, wherein R^{10} is hydrogen or linear or branched C_1-C_4-alkyl and

m is 0, 1, 2, 3 or 4.

and R^1-R^5 and n are as defined above, optionally in form of one of the stereoisomers, preferably enantiomers or diastereomers, the racemate or in form of a mixture of at least two of the stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate.

Most preferred is the use of one or more sulphonamide derivatives selected from the group consisting of:

[1] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[2] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[3] Hydrochloride N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,

[4] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-3,5-dichlorobenzenesulphonamide,

[5] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,

[6] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-5-chlorothiophene-2-sulphonamide,

[7] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[8] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,

[9] N-[3-(2-dimethylamino-ethyl)-1*H*-indol-5-yl]-6-chloroimidazo[2,1-b]thiazol-5-sulphonamide,

[10] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[11] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide hydrochloride,

[12] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,

[13] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride,

[14] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-5-chlorothiophene-2-sulphonamide,

[15] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,

[16] N-[3-(1-methylpiperidin-4-yl)-1*H*-indol-5-yl]quinoline-8-sulphonamide,

[17] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-2-sulphonamide,

[18] N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,

[19] N-[3-(4-methylpiperazin-1-yl)methyl-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[20] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-(2-pyridil)thiophene-2-sulphonamide,

[21] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-2,1,3- benzothiadiazol-4-sulphonamide,

[22] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]quinoline-8-sulphonamide,

[23] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloronaphthalene-2-sulphonamide,

[24] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-4-phenoxybenzenesulphonamide,

[25] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,

[26] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide,

[27] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[28] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}naphthalene-1-sulphonamide,

[29] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[30] N-[3-dimethylaminomethyl-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[31] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[32] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[33] N-[3-(2-dibutylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[34] N-[3-(2-dibutylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[35] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide,

[36] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-trans-β-styrenesulphonamide,

[37] N-[3-(4-methylpiperazin-1-yl)methyl-1*H*-indol-5-yl]-trans-β-styrenesulphonamide,

[38] N-[3-(octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[39] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-6-chloroimidazo[2,1-b]thiazol-5-sulphonamide,

[40] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}naphthalene-2-sulphonamide,

[41] N-[3-(4-methylpiperazin-1-yl)methyl-1*H*-indol-5-yl]-α-toluenesulphonamide,

[42] N-[3-(3-diethylaminopropyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[43] N-[3-(3-diethylaminopropyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[44] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[45] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}naphthalene-1-sulphonamide,

[46] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}naphthalene-2-sulphonamide,

[47] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[48] N-[3-(2-dimethylaminoethyl)-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide,

[49] N-[3-(2-dimethylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[50] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}quinoline-8-sulphonamide,

[51] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}-4-phenylbenzenesulphonamide,

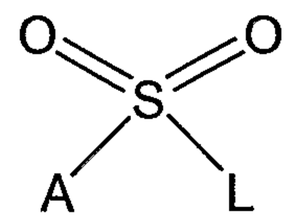
[52] N-[3-(4-methylpiperazin-1-yl)ethyl-1*H*-indol-5-yl]naphthalene-2-sulphonamide and

[53] N-[3-(4-methylpiperazin-1-yl)ethyl-1*H*-indol-5-yl]-5-chloronaphthalene-1-sulphonamide.

The sulphonamide derivatives of general formula (I), wherein R_1, R_2, R_3, n and A have the above defined meaning, may preferably be prepared according to the following methods:

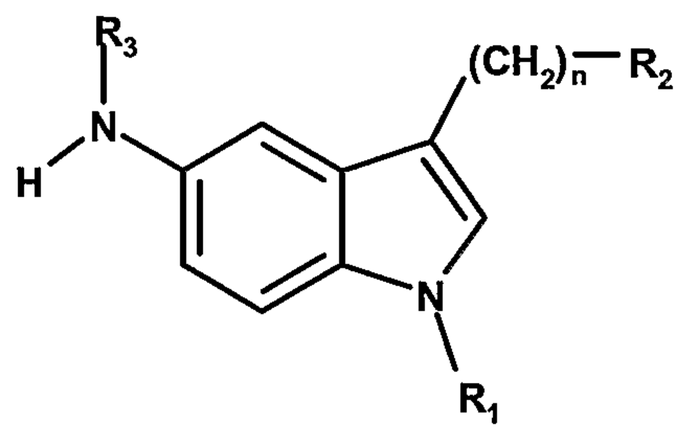
METHOD A:

At least one compound of general formula (II),



(II),

wherein A has the meaning as defined above and L is a suitable leaving group, preferably a halogen atom, particularly preferably chlorine; is reacted with at least one substituted 5-aminoindol of general formula (III)



(III)

wherein R_1, R_2, R_3 and n have the meaning as defined above, or a suitably protected derivative thereof, and, if present, the protective groups are removed, in order to obtain the corresponding sulphonamide derivative of general formula (I), which may be purified and/or may be isolated by conventional methods known to those skilled in the art.

The reaction between the compounds of general formulas (II) and (III) is usually carried out in the presence of an organic reaction medium, such as an dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofurane or dioxane, a halogenated organic hydrocarbon, particularly methylene chloride or chloroform, an alcohol, particularly methanol or ethanol, an aprotic dipolar solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other

suitable reaction medium. Mixtures of at least two of the above mentioned classes of compounds or of at least two compounds of one class may, of course, also be used.

The reaction is preferably carried out in the presence of a suitable base, e.g. an inorganic base such as hydroxides and/or carbonates of alkali metals, or an organic base, particularly triethylamine or pyridine.

The most suitable reaction temperatures range from 0° C to ambient temperature, i.e. approximately 25 °C, and the reaction time is preferably from 5 minutes to 24 hours.

The resulting sulphonamide derivative of general formula (I) may be purified and/or isolated according to conventional methods known to those skilled in the art.

Preferably the sulphonamide derivatives of general formula (I) can be isolated by evaporating the reaction medium, adding water and eventually adjusting the pH so that it is obtained as a solid that can be isolated by filtration; or it can be extracted by a solvent immiscible with water, such as chloroform, and purified by chromatography or recrystallisation from a suitable solvent.

The compounds of general formula (II) are commercially available or can be prepared according to standard methods known to those skilled in the art, e.g. by methods analogous to those described in the literature [E.E. Gilbert, *Synthesis*, **1969**, *1*, 3]. The compounds of general formula (III) may also be prepared according to standard methods known to those skilled in the art, e.g. by methods analogous to those described in the literature [J.E. Macor, R. Post and K. Ryan, *Synt Comm.*, **1993**, *23*, 1, 65-72.; J. Guillaume, C. Dumont, J. Laurent and N. Nédélec, *Eur. J. Med. Chem.*, **1987**, *22*, 33-43; M.L. Saccarello, R. Stradi, *Synthesis*, **1979**, 727]. The respective literature descriptions are incorporated by reference and form part of the disclosure.

METHOD B

The sulphonamide derivatives of general formula (I), wherein R_1, R_2, n and A are as defined above and R_3 represents an optionally at least mono-substituted, linear or branched C_1-C_4 alkyl radical, may also be prepared by alkylation of a corresponding sulphonamide derivative of general formula (I), wherein R_1, R_2, n and A are as defined above and R_3 represents a hydrogen atom, with an alkyl halogenide or a dialkyl sulphate.

The alkylation reaction is preferably carried out in the presence of a suitable base, such as hydroxides and/or carbonates of alkali metals, metal hydrides, alkoxides such as sodium methoxide or potassium tert-butoxide, organometallic compounds such as butyl lithium or tert.-butyl lithium, in the presence of an organic reaction medium, such as dialkyl ether, particularly diethyl ether, or a cyclic ether, particularly tetrahydrofurane or dioxane, a hydrocarbon, particularly toluene, an alcohol, particularly methanol or ethanol, an aprotic dipolar solvent, particularly acetonitrile, pyridine or dimethylformamide, or any other suitable reaction medium. Mixtures of at least two of the above mentioned classes of compounds and/or of at least two compounds of one class may, of course, also be used.

The most suitable reaction temperatures range from 0° C to the boiling point of the reaction medium, and reaction times preferably range from 1 to 24 hours.

The resulting sulphonamide derivative of general formula (I) can preferably be isolated by filtration, concentrating the filtrate at reduced pressure, adding water and eventually adjusting the pH so that it is obtained as a solid that can be isolated by filtration, or it can be extracted with a solvent immiscible in water such as chloroform and purified by chromatography or recrystallisation from a suitable solvent.

METHOD C

By condensation of a compound of general formula (I), wherein R_1, R_3, and A are as defined above, n is 0 and R_2 represents a hydrogen atom, with a suitably substituted 4-piperidone the corresponding compound of general formula (I) is obtained, wherein R_1, R_3 and A are as defined above, n is 0 and R_2 represents a suitably substituted 1,2,3,6-tetrahydropyridine-4-yl radical.

The reaction can take place in both an acid and a basic reaction medium, preferably in a suitable solvent, preferably at temperatures ranging from 25 to 150°C.

Suitable basic conditions may be provided by the use of inorganic bases such as sodium or potassium hydroxide, or organic bases such as pyrrolidine or triethylamine in solvents such as methanol or ethanol. Preferably, solutions of sodium methoxide in methanol under reflux are used.
Reaction times range from 1 to 48 hours.

Suitable acidic conditions may be provided by the use of hydrochloric acid in ethanol or trifluoroacetic acid in acetic acid at temperatures ranging preferably from 50 to 100 °C and reaction times ranging from 1 to 48 hours.

The resulting sulphonamide derivative of general formula (I) can be isolated by dilution in water, eventually adjusting the pH, to obtain a solid that can be isolated by filtration; or it can be extracted with a solvent immiscible in water such as chloroform and purified by chromatography or by recrystallisation from a suitable solvent.

The compounds of general formula (I) wherein R_1, R_3 and A are as defined above, n is 0 and R_2 represents a hydrogen atom, can be prepared according to the method A from a corresponding 5-aminoindol.

METHOD D

The compound of general formula (I) wherein R_1, R_3 and A are as defined above, n is 0 and R_2 represents a suitably substituted 4-piperidinyl radical, can be prepared by reducing a compound of general formula (I) wherein R_1, R_3 and A are as defined above, n is 0 and R_2 represents a suitably substituted 1,2,3,6-tetrahydropyridin-4-yl radical prepared according to the method C.

Hydrogenation preferably takes place with the aid of a metallic catalyst such as palladium, platinum or rhodium on a suitable support such as carbon, aluminum oxide or barium sulphate, preferably palladium on carbon, with an initial hydrogen pressure of between 1 and 10 atmospheres, preferably between 2 and 5 atmospheres, in a solvent such as methanol or ethanol. The reaction time ranges from 1 hour to 3 days.

The resulting sulphonamide can be isolated by filtering the catalyst and concentrating the filtrate at reduced pressure. The product recovered can be used as is or it can be purified by chromatography or by recrystallisation from a suitable solvent.

METHOD E

The pharmacologically acceptable salts of compounds with the general formula (I) can be prepared by conventional methods known to those skilled in the art, preferably by reaction with a mineral acid, such as hydrochloric, hydrobromic, phosphoric, sulphuric, nitric acids or with organic acids such as citric, maleic, fumaric, tartaric acids or their derivatives, *p*-toluensulphonic acid, methansulphonic acid, etc., in a suitable solvent such as methanol, ethanol, diethyl ether, ethyl acetate, acetonitrile or acetone and obtained with the usual techniques of precipitation or crystallisation of the corresponding salts.

Preferred physiologically acceptable salts of the sulphonamide derivatives of general formula (I) are the additions salts of mineral acids, such as hydrochloric acid, hydrobromic acid, phosphoric acid, sulphuric acid, nitric acid, and of organic acids, such as citric acid, maleic acid, tartaric acid or derivatives thereof, p-toluenesulphonic acid, methansulphonic acid, camphorsulphonic acid, etc.

The physiologically acceptable solvates, particularly hydrates, of the sulphonamide derivatives of general formula (I) or of the corresponding physiologically acceptable salts may be prepared by conventional methods known to those skilled in the art.

During one of the synthesis sequences described above, or in the preparation of suitable reactands used it may be necessary and/or desirable to protect sensitive or reactive groups in some of the molecules employed. This can be performed by means of conventional protective groups such as those described in the literature [Protective groups in Organic Chemistry, ed J. F.W. McOmie, Plenum Press, **1973**; T.W. Greene & P.G.M. Wuts, Protective Groups in Organic Chemistry, John Wiley & sons, **1991**]. The protective groups can be eliminated in a suitable latter stage by methods known to those skilled in the art. The respective literature descriptions are hereby incorporated by reference and form part of the disclosure.

If the sulphonamide derivatives of general formula (I) are obtained in form of a mixture of stereoisomers, particularly enantiomers or diastereomers, said mixtures may be separated by standard procedures known to those skilled in the art, e.g. chromatographic methods or crystallization with chiral reagents.

The medicament obtained according to the present invention is particularly suitable for the administration to mammals, including humans.The medicament may preferably be administered to humans of all age groups, i.e. children, adolescents as well as adults.

A further aspect of the present invention is the use of at least one sulphonamide derivative of above given general formula (I), optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate, for the manufacture of a medicament for the regulation of appetite, for the reduction, increase or maintenance of body weight, for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes, preferably type II diabetes caused by obesity.

Particularly preferred is the use of at least one sulphonamide derivative of above given general formula (I), optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate, for the manufacture of a medicament for the prophylaxis and/or treatment of obesity.

The preparation of corresponding pharmaceutical compositions as well as of the formulated medicaments may be carried out by conventional methods known to those skilled in the art, e.g. from the tables of contents from „Pharmaceutics: the Science of Dosage Forms“, Second Edition, Aulton, M.E. (Ed.) Churchill Livingstone, Edinburgh (2002); „Encyclopedia of Pharmaceutical Technology“, Second Edition, Swarbrick, J. and Boylan J.C. (Eds.), Marcel Dekker, Inc. New York (2002); „Modern Pharmaceutics“, Fourth Edition, Banker G.S. and Rhodes C.T. (Eds.) Marcel Dekker, Inc. New York 2002 and „The Theory and Practice of Industrial Pharmacy“, Lachman L., Lieberman H. and Kanig J. (Eds.), Lea & Febiger, Philadelphia (1986). The respective literature descriptions are incorporated by reference and are part of the disclosure.

The pharmaceutical compositions as well as the formulated medicaments prepared according to the present invention may in addition to at least one sulphonamide derivative of general formula (I), optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate, comprise further conventional auxiliary substances known to those skilled in the art, such as carriers, fillers, solvents, diluents, colouring agents, coating agents, matrix agents and/or binders. As is also known to those skilled in the art, the choice of the auxiliary substances and the amounts thereof to be used are dependent on the intended route of administration, e.g. oral, rectal, intravenous, intraperitoneal, intramuscular, intranasal, buccal or topical route.

Medicaments suitable for oral administration are for example, tablets, sugar-coated pills, capsules or multiparticulates, such as granules or pellets, optionally compressed into tablets, filled into capsules or suspended in a suitable liquid, solutions or suspensions.

Medicaments suitable for parenteral, topical or inhalatory administration may preferably be selected from the group consisting of solutions, suspensions, readily reconstitutable dry preparations and also sprays.

Suitable medicaments, e.g. medicaments for oral or percutaneous use may release the sulphonamide compounds of general formula (I) in a delayed manner, whereby the preparation of these delayed release medicaments is generally known to those skilled in the art.

Suitable delayed-release forms as well as materials and methods for their preparation are known to those skilled in the art, e.g. from the tables of contents from „Modified-Release Drug Delivery Technology“, Rathbone, M.J. Hadgraft, J. and Roberts, M.S. (Eds.), Marcel Dekker, Inc., New York (2002); „Handbook of Pharmaceutical Controlled Release Technology“, Wise, D.L. (Ed.), Marcel

Dekker, Inc. New York, (2000);"Controlled Drug Delivery", Vol. I, Basic Concepts, Bruck, S.D. (Ed.), CRC Press Inc., Boca Raton (1983) and from Takada, K. and Yoshikawa, H., „Oral Drug delivery", Encyclopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 728-742; Fix, J., „Oral drug delivery, small intestine and colon", Encylopedia of Controlled Drug Delivery, Mathiowitz, E. (Ed.), John Wiley & Sons, Inc., New York (1999), Vol. 2, 698-728. The respective descriptions are incorporated by reference and are part of the disclosure.

The medicament of the present invention may also have at least one enteric coating which dissolves as a function of pH. Because of this coating, the medicament can pass through the stomach undissolved and the compounds of general formula I are only released in the intestinal tract. The enteric coating preferably dissolves at a pH of between 5 and 7.5. Suitable materials and methods for the preparation of enteric coatings are also known to those skilled in the art

Typically the pharmaceutical compositions and medicaments comprise 1 to 60 % by weight of one or more sulphonamide derivatives of general formula (I) and 40 to 99 % by weight of one or more excipients.

The amount of active ingredient to be administered to the patient varies in dependence on the weight of the patient, the route of administration, the indication and the degree of severity of the disorder. Usually 1 to 5000, preferably 1 to 2500, more preferably 1 to 500 mg of at least one sulphonamide derivative of general formula (I) are administered to the patient in need of treatment per day. The total daily dose may be administered to the patient in one or more portions.

Pharmacological Methods:

BINDING TO SEROTONIN RECEPTOR $5HT_6$

Cell membranes of HEK-293 cells expressing the $5HT_6$-human recombinant receptor were supplied by Receptor Biology. In said membranes the receptor concentration is 2.18 pmol/mg protein and the protein concentration is 9.17 mg/ml. The experimental protocol follows the method of B. L. Roth et al. [B. L. Roth, S. C. Craigo, M. S. Choudhary, A. Uluer, F. J. Monsma, Y. Shen, H. Y. Meltzer, D. R. Sibley: Binding of Typical and Atypical Antipsychotic Agents to 5-Hydroxytryptamine-6 and Hydroxytriptamine-7 Receptors. *The Journal of Pharmacology and Experimental Therapeutics*, **1994**, *268*, 1403] with the following slight changes. The respective part of the literature description is hereby incorporated by reference and forms part of the disclosure.
The commercial membrane is diluted (1:40 dilution) with the binding buffer: 50 mM Tris-HCl, 10 mM $MgCl_2$, 0.5 mM EDTA (pH 7.4). The radioligand used is [^{3}H]-LSD at a concentration of 2.7 nM with a final volume of 200 µl. incubation is initiated by adding 100 µl of membrane suspension, (≈ 22.9 µg membrane protein), and is prolonged for 60 minutes at a temperature of 37 °C. The incubation is ended by fast filtration in a Brandel Cell Harvester through fiber glass filters made by Schleicher & Schuell GF 3362 pretreated with a solution of polyethylenimine at 0.5 %. The filters are washed three times with three milliliters of buffer Tris-HCl 50 mM pH 7.4. The filters are transferred to flasks and 5 ml of Ecoscint H liquid scintillation cocktail are added to each flask. The flasks are allowed to reach equilibrium for several hours before counting with a Wallac Winspectral 1414 scintillation counter. Non-specific binding is determined in the presence of 100 µM of serotonin. Tests were made in triplicate. The inhibition constants (K_i, nM) were calculated by non-linear regression analysis using the program EBDA/LIGAND described in Munson and Rodbard, *Analytical Biochemistry*, **1980**, *107*, 220, which is hereby incorporated by reference and forms part of the disclosure.

FOOD INTAKE MEASUREMENT (BEHAVIOURAL MODEL):

Male W rats (200-270 g) obtained from Harlan, S.A. are used. The animals are acclimatized to the animal facility for at least 5 days before they are subjected to any treatment. During this period the animals are housed (in groups of five) in translucid cages and provided with food and water ad libitum. At least 24 hours before the treatment starts, the animals are adapted to single-housing conditions.

The acute effect of the inventively used sulphonamide derivatives of general formula (I) on food intake in fasted rats is then determined as follows:

The rats were fasted for 23 hours in their single homecages. After this period, the rats are orally or intraperitoneally dosed with a composition comprising a sulphonamide derivative of general formula (I) or a corresponding composition (vehicle) without said sulphonamide derivative. Immediately afterwards, the rat is left with preweighed food and cumulative food intake is measured after 1, 2, 4 and 6 hours.

Said method of measuring food intake is also described in the literature publications of Kask et al., European Journal of Pharmacology 414 (2001), 215-224 and of Turnbull et al., Diabetes, Vol. 51, August 2002. The respective parts of the descriptions are hereby incorporated by reference and form part of the disclosure.

The present invention is illustrated below with the aid of examples. These illustrations are given solely by way of example and do not limit the general spirit of the present invention.

Examples:

METHOD A

Example 7:

Preparation of N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulphonamide.

To a solution of 3.05 g (15 mMol) of 5-amino-3-(2-dimethylaminoethyl)-1*H*-indol in 100 ml of pyridine is added dropwise at ambient temperature a solution of 4.21 g (15 mMol) of 5-chloro-3-methyl-benzo[b]thiophene-2-sulphonyl chloride in 20 ml of pyridine. The reaction mixture is stirred at ambient temperature for 20 hours. It is then evaporated to dryness, slightly alkalinised with diluted ammonia and dissolved in ethyl acetate. The organic phase is washed with water and a saturated solution of sodium bicarbonate, it is separated and dried with anhydrous sodium sulphate. The organic solution is evaporated to dryness and the resulting solid is repeatedly washed with ethyl ether, to yield 5.5 g (82%) of N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methyl-benzo[b]thiophene-2-sulphonamide as a solid with m.p. = 226-227°C.

METHOD B

Example 26:

Preparation of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide.

To a mixture of 285 mg (0.7 mMol) of N-[3-(2-diethylaminoethyl)-1H-indol-5yl]naphthalene-2-sulphonamide (example 17) and 80 mg (0.7 mMol) of potassium t-butoxide in 3 ml of DMSO are stirred for 30 minutes at ambient temperature.

Then are added 105 mg (0.7 mMol) of ethyl iodide and left with stirring for 3 hours. Water is added and is extracted with ethyl acetate. The organic solution is evaporated to dryness and the resulting crude is purified by chromatography on silica gel, using as an eluent mixtures of methylene chloride / methanol /ammonia, yielding N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide as a solid with m.p. = 49-50ºC.

METHOD C

Example 18:

Preparation of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide.

To a solution of 712 mg (13.2 mMol) of sodium methoxide in 100 ml of methanol are added 850 mg (2.64 mMol) of N-[1*H*-indol-5-yl]naphthalene-1-sulphonamide followed by 596 mg (5.28 mMol) of 1-methyl-4-piperidone and the resulting solution is heated to reflux for 48 hours. The reaction mixture is concentrated at reduced pressure and the residue obtained is purified by chromatography over silica gel, using as eluent mixtures of methylene chloride/ methanol /ammonia, to yield 573 mg (52%) of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide as a solid with m.p. = 244-245ºC.

METHOD D

Example 12:

Preparation of N-[3-(1-methyl-piperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide.

To a solution of 417 mg (1 mMol) of N-[3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide in 50 ml of methanol are added 100 mg of 5% palladium on carbon. The mixture is hydrogenated at ambient temperature at an initial hydrogen pressure of 3 atmospheres for 20 hours. The reaction mixture is filtered and the filtrate is concentrated at reduced pressure to provide a crude that is suspended in ethyl ether, yielding 272 mg (65%) of N-[3-(1-methyl-piperidin-4-yl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide as a solid with m.p.= 254-256ºC

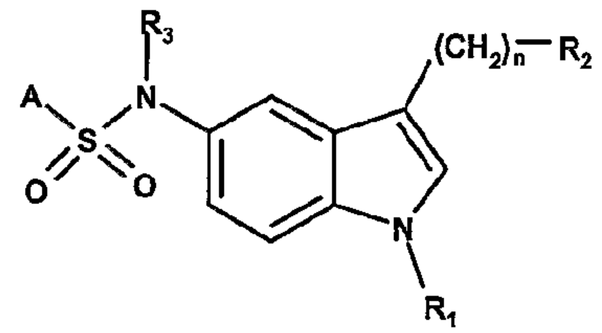
METHOD E

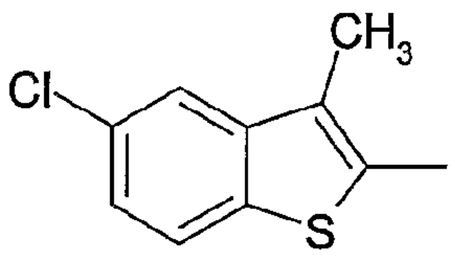
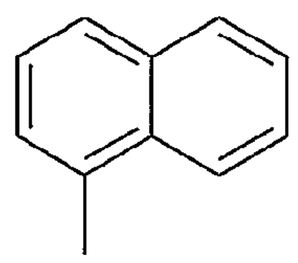
Example 3:

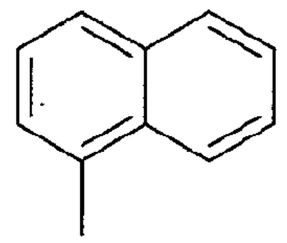
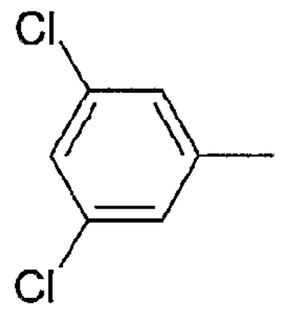
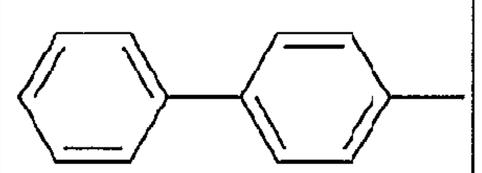
Preparation of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride.

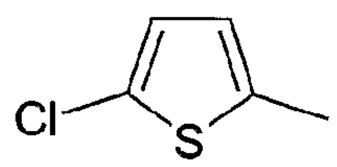
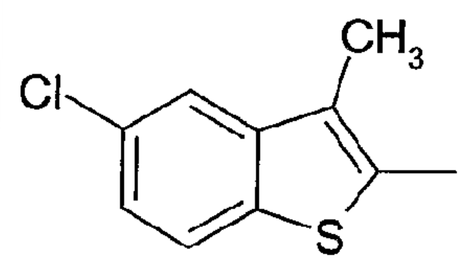
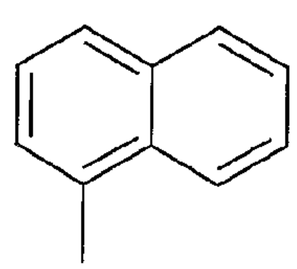
1.05 g (2.5 mMol) of N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide (example 2) are dissolved in 10 ml of ethanol and 0.6 ml are added of a 4.2 N solution of hydrochloric acid in ethanol. It is allowed to crystallise at ambient temperature. N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide hydrochloride is obtained as a solid with m.p.= 255-257ºC.

The melting point and spectroscopic data for identifying some of the compounds used according to the present invention are shown in the following table:



Ex	R_1	R_2	n	R_3	A	Salt	m.p. ºC	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
1	H	$(CH_3CH_2)_2N$-	2	H		–	170-173	3387, 2970, 2931, 1466, 1236, 1158, 1107, 1080, 993, 862, 805, 657, 565.	0.88(t, 6H, J=7.1 Hz); 2.28(s, 3H); 2.30-2.46(m, 6H); 2.58(m, 2H); 6.85(dd, 1H, J=8.6, 2.0 Hz); 7.10(m, 2H); 7.20(d, 1H, J=8.6 Hz); 7.50(dd, 1H, J=8.7, 2.0 Hz); 7.90(d, 1H, J=2.0 Hz); 7.98(d, 1H, J=8.7 Hz); 10.10 (bb, 1H); 10.80(s, 1H). (DMSO-d6)
2	H	$(CH_3CH_2)_2N$-	2	H		–	170	3451, 3337, 2972, 1466, 1319, 1237, 1157, 1132, 1091, 991, 770, 675, 583, 481.	0.90(t, 6H, J=7.1 Hz); 2.33-2,55(m, 8H); 6.69(dd, 1H, J=8.7, 1.8 Hz); 6, 95(s, 1H); 7,02(d, 1H, J=1,8 Hz); 7.05(d, 1H, J=8.7 Hz); 7.47(t, 1H, J=7.7 Hz); 7.63(m, 1H); 7.70(m, 1H); 8.01(m, 2H); 8.12(d, 1H, J=7.5 Hz); 8.77(d, 1H, J=8.1 Hz); 10.10(bb, 1H); 10,66(s, 1H) (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
3	H	$(CH_3CH_2)_2N$-	2	H		HCl	255-257	3378, 3065, 2558, 2489, 1460, 1317, 1162, 1143, 1131, 811, 687, 602, 588.	1.22(t, 6H, J=7.2 Hz); 2.91-3.18(m, 8H); 6.65(d, 1H, J=8.6 Hz); 7.08(d, 1H, J=8.6 Hz); 7.17(s, 1H); 7.20(d, 1H, J=1.8 Hz); 7.54(t, 1H, J=7.8 Hz); 7.63(m, 1H); 7.70(m, 1H); 8.03(d, 1H, J=7.8 Hz); 8.08(d, 1H, J=7.1 Hz); 8.14(d, 1H, J=8.2 Hz); 8.79(d, 1H, J=8.4 Hz); 10.26(s, 1H); 10.90(bb, 1H); 11.01(s, 1H). (DMSO-d6)
4	H	$(CH_3CH_2)_2N$-	2	H		–	168-170	3309, 3047, 2974, 1566, 1467, 1235, 1167, 1143, 1116, 1001, 910, 799, 672, 587.	0.95(t, 6H, J=7.1 Hz); 2.44-2.58(m, 6H); 2.66(m, 2H); 6.79(dd, 1H, J=8.6, 1.7 Hz); 7.08(d, 1H, J=0.9 Hz); 7.13(d, 1H, J=1.7 Hz); 7.23(d, 1H, J=8.6 Hz); 7.58 (m, 2H); 7.87(m, 1H); 9,95(bb, 1H); 10.82(s, 1H). (DMSO-d6)
5	H	$(CH_3CH_2)_2N$-	2	H		–	161-163	3387, 2971, 1323, 1157, 1095, 765, 670, 590	0.89(t, 6H, J=7.1 Hz); 2.32-2.55(m, 6H); 2.62(m, 2H); 6.85(d, 1H, J=8.6 Hz); 7.08(d, 1H, J=2.0 Hz); 7.13(s, 1H); 7.18(d, 1H, J=8.6 Hz); 7.33-750 (m, 3H); 7.64(d, 2H, J=7.5 Hz); 7.72(sys AB, 2H, J=8.6 Hz); 7,78(sys AB, 2H, J=8.6 Hz); 9.80(bb, 1H); 10.75(s, 1H). (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
6	H	$(CH_3CH_2)_2N$-	2	H		–	180-181	3375, 2978, 1467, 1417, 1236, 1212, 1115, 994, 624.	0.96(t, 6H, J=7.1 Hz); 2.52(m, 4H); 2.57(m, 2H); 2.66(m, 2H); 6.83(dd, 1H, J=8.6, 1.9 Hz); 7.11(d, 1H, J=4.0 Hz); 7.14(d, 1H, J=1.9 Hz); 7.17(d, 1H, J=1.9 Hz); 7.20-7.24(m, 2H); 10.01(bb, 1H); 10.81(s, 1H). (DMSO-d6)
7	H	$(CH_3)_2N$-	2	H		–	226-227	3422, 3238, 1332, 1155, 1114, 1079, 986, 861, 803, 655, 564.	2.04(s, 6H); 2.23(m, 2H); 2.28(s, 3H), 2.59(m, 2H); 6.83(dd, 1H, J=8.4, 1.5 Hz); 7,09 (s, 2H); 7.19(d, 1H, J=8.4 Hz); 7.49(dd, 1H, J=8.7, 1.6 Hz); 7.91(d, 1H, J=1.6 Hz); 7.99(d, 1H, J=8.7 Hz); 10,13(bb, 1H), 10,79 (s, 1H) (DMSO-d6)
8	H	$(CH_3)_2N$-	2	H		–	203-205	3357, 1475, 1282, 1157, 1127, 990, 957, 809, 773, 613, 587, 557, 498.	2.09(s, 6H); 2.21(m, 2H); 2.54(m, 2H); 6.69(dd, 1H, J=8.6, 1.7 Hz); 6,94 (s, 1H); 7.03 (s, 1H); 7.06(d, 1H, J=8.1 Hz); 7.49(t, 1H, J=7.8 Hz); 7.64(m, 1H); 7.71(m, 1H); 8.02 (m, 2H); 8.13(d, 1H, J=8.1 Hz); 8.79(d, 1H, J=8.4 Hz); 10.10(bb, 1H); 10.68(s, 1H) (DMSO-d6)

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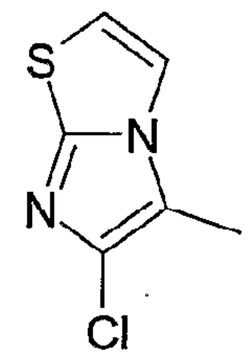
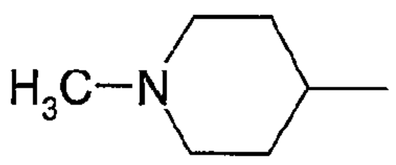
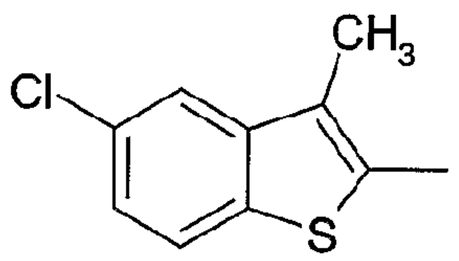
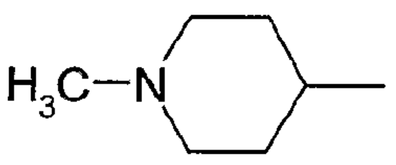
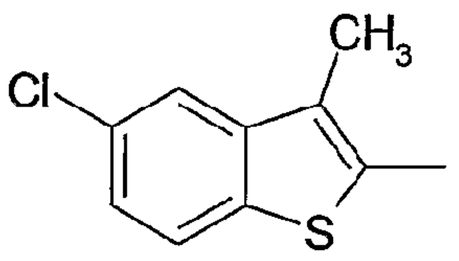
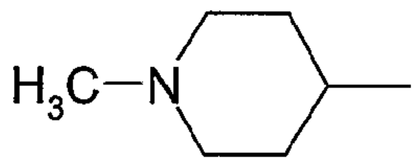
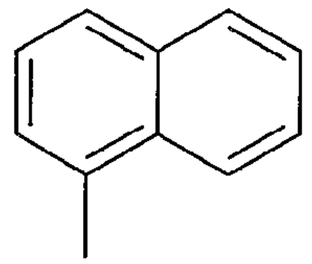
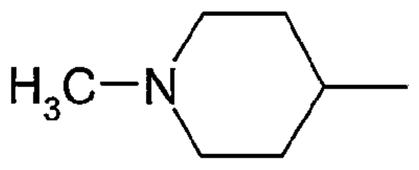
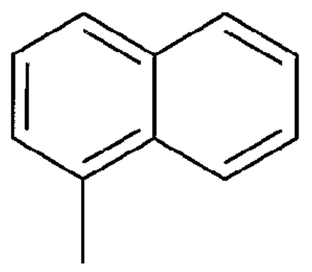
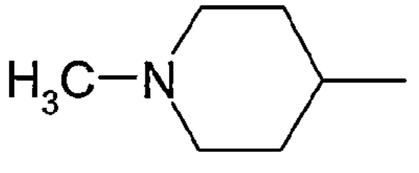
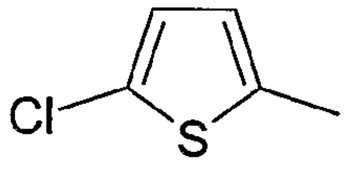
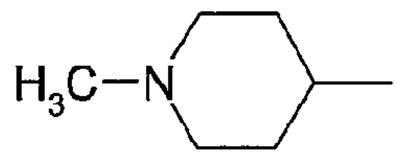
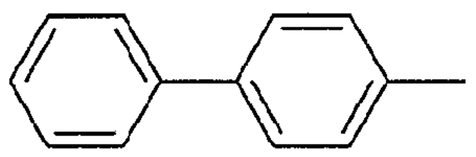
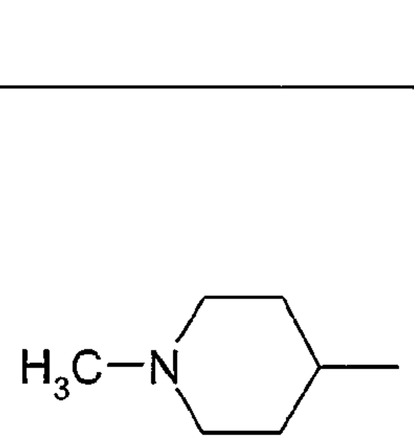
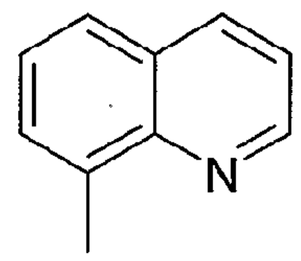
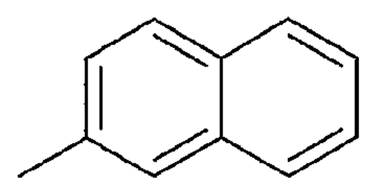
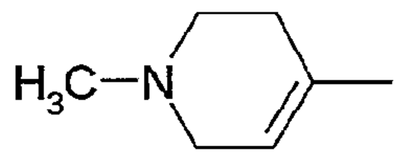
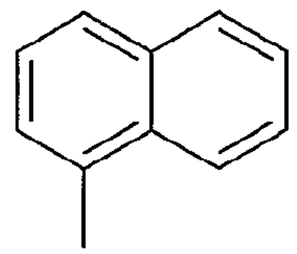
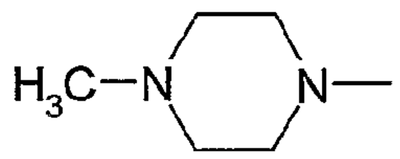
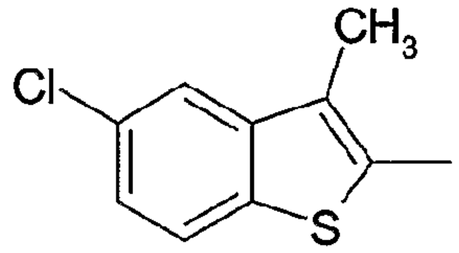
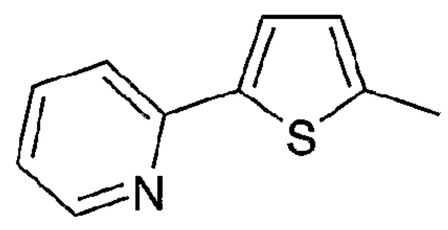
Ex	R_1	R_2	n	R_3	A	Salt	m.p. ºC	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
9	H	$(CH_3)_2N$-	2	H		–	215 (desc)	3247, 3094, 1467, 1272, 1261, 1230, 625	2.17(s, 6 H); 2.36(m, 2 H); 2.65(m, 2 H); 6.77(dd, J=8.6, 1.7 Hz, 1 H); 7.07(s, 1 H); 7.09(s, 1H); 7.18(d, J=8.6 Hz, 1 H); 7.51(d, J=4.5 Hz, 1 H); 7.81(d, J=4.5 Hz, 1 H); 10.80 (s, 1 H). (DMSO-d6).
10	H		0	H		–	250 (desc)	3407, 2390, 1466, 1334, 1156, 113, 1080, 651, 565.	1.53-1.80(m, 4H); 2.26(s, 3H); 2.39-2.71(m, 6H); 3.02(d, 2H, J=8.8 Hz); 6.76(d, 1H, J=8.8 Hz); 7.05(s, 1H); 7.11(s, 1H); 7.19(d, 1H, J=8.8 Hz); 7.51(d, 1H, J=8.7 Hz); 7.91(s, 1H); 8.00(d, 1H, J=8.7 Hz); 10.10(bb, 1H); 10.90(s, 1H). (DMSO-d6)
11	H		0	H		HCl	220 (desc)	3423, 3214, 3043, 2942, 2688, 1464, 1317, 1149, 1114, 1080, 748, 670, 646	1.75-1.92(m, 4H); 2.31(s, 3H); 2.66(s, 3H); 2.80(m, 1H); 2.95(m, 2H); 3.24(d, 2H, J=11.4 Hz); 6.76(d, 1H, J=8.7 Hz); 7.07(s, 1H); 7.19(m, 2H); 7.50(d, 1H, J=8.6 Hz); 7.93(s, 1Hz); 8.01(d, 1H, J=8.6 Hz); 8,34 (s, 1H); 10.90(bb, 1H 11.01(s, 1H). (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
12	H		0	H		–	254-256	3343, 2938, 2929, 1470 1154, 1121, 1108, 988, 947, 805, 769, 589.	1.49(m, 2H); 1.61(m, 2H); 2.14(m, 2H); 2.30(s, 3H); 2.40(m, 1H); 2,90 (d, 2H, J=10.6 Hz) ; 6.65(d, 1H, J=8.6 Hz); 6.90(s, 1H); 6.96(s, 1H); 7.05(d, 1H, J=8.6Hz); 7.46(dt, 1H, J=7.51, 1.83 Hz); 7.64(m, 1H); 7.71(m, 1H); 7.99(d, 1H, J=8.6 Hz); 8.03(d, 1H, J=8.6Hz); 8.12(d, 1H, J=8.2 Hz); 8.77(d, 1H, J=8.6 Hz); 10.07(bb, 1H); 10.71(s, 1H). (DMSO-d6)
13	H		0	H		HCl	212 (desc)	3423, 3269, 3114, 2955, 2733, 1469, 1321,1155, 1133, 947, 769.	1.80(m, 4H); 2.74(m, 4H); 3.04(m, 2H); 3.39(m, 2H); 6.63(d, 1H, J=8.6 Hz); 7.00(s, 2H); 7.08(d, 1H, J=8.6 Hz);7.49(t, 1H, J=7.7 Hz); 7.60-7.77(m, 2H); 8.04(d, 2H, J=7.5 Hz); 8.13(d, 1H, J=8.2 Hz); 8.79(d, 1H, J=8.2 Hz); 10.16(s, 1H); 10.66(bb, 1H); 10.88(s, 1H). (DMSO-d6)
14	H		0	H		–	284 (desc)	3371, 2943, 1468, 1410, 1324, 1148, 993, 604.	1.62(m, 2H); 1.78(d, 2H, J=11.7 Hz); 1.99(m, 2H); 2.18(s, 3H); 2.55(m, 1H); 2.84(d, 2H, J=10.6 Hz); 6.81(d, 1H, J=8.6 Hz); 7.07(s, 1H); 7.13(m 1H); 7.16(s, 1H); 7.20-7.26 (m, 1H); 9.90 (bb, 1H); 10.83 (s, 1H). (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
15	H		0	H		–	247-248	3361, 2936, 1318, 1155, 1095, 767, 670, 587.	1.52(s, 2H); 1.67(m, 2H); 1.85(m, 2H) 2.08(s, 3H); 2.44(m, 1H); 2.67(d, 2H, 10.25Hz); 6.83(d, 1H, J=8.4 Hz); 7.01(s, 1H); 7,03(s, 1H); 7.19(d, 1H, J=8.4 Hz); 7.35-7.50(m, 3H); 7.63-7.73(m, 4H); 7.79(sys AB, 2H, J=7.6 Hz); 9.71(bb, 1H); 10.76(s, 1H) (DMSO-d6).
16	H		0	H		–	280 (desc)	3398, 3257, 2933, 1161, 1143, 789, 589.	1.25-1.52(m, 4 H); 1.85(m, 2 H); 2.18(s, 3 H); 2.27(m, 1 H); 2.74 (d, J=11.4 Hz, 2 H); 6.72(dd, J=8.6, 2.0 Hz, 1 H); 6.83(d, J=1.5 Hz, 1 H); 6.90(d, J=2.0 Hz, 1 H); 7.02(d, J=8.6 Hz, 1 H); 7.57(m, 1 H); 7.74(dd, J=8.4, 4.3 Hz, 1 H); 8.12 (dd, J=7.3, 1.3 Hz, 1 H); 8.19(dd, J=8.2, 1.3 Hz, 1 H); 8.52(dd, J=8.4, 1.7 Hz, 1 H); 9.21(dd, J=4.3, 1.7 Hz, 1 H); 9.36(s, 1 H); 10.64(s, 1 H). (DMSO-d6).
17	H	$(CH_3CH_2)_2N$-	2	H		–	172-173	3199, 2970, 2930, 2870, 1327, 1153, 1130, 1110, 1075, 956, 676, 658, 551, 476.	0.87(t, J=7.1 Hz, 6 H); 2.39(m, 6 H); 2.55 (m, 2 H);); 6.82(d, J=8.6 Hz, 1 H); 7.05 (s, 1 H); 7.09(s, 1 H); 7.13(d, J=8.6 Hz, 1 H); 7.60(m, 2 H); 7.73 (d, J=8.6 Hz, 1 H); 7.95(d, J=7.9 Hz, 1 H) 8.01 (m, 2 H); 8.26 (s, 1 H); 9.86(bb, 1 H); 10.71(s, 1 H). (DMSO-d6).

Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
18	H		0	H		–	244-245 (desc)	3346, 2943, 1474, 1283, 1261, 1156, 1123, 801, 771, 589, 503.	2.25(s, 3 H); 2.31(m, 2 H); 2.46(m, 2 H); 2.90(m, 2 H); 5.34(s, 1 H); 6.78(dd, J=8.6, 2.0 Hz, 1 H); 7.09(d, J=1.5 Hz, 1 H); 7.14 (d, J=8.6 Hz, 1 H); 7.25 (d, J=2.0 Hz, 1 H); 7.49(t, J=7.8 Hz, 1 H), 7.66(m, 1 H); 7.75(m, 1 H); 8.04(m, 2H); 8.14(d, J=8.2 Hz, 1 H); 8.83(d, J=8.6 Hz, 1 H); 10.14(bb, 1 H); 11.03(s, 1 H). (DMSO-d6).
19	H		1	H		–	230 (desc)	2796, 1452, 1316, 1149, 1114, 1080, 1001, 810, 646, 559.	1.80-2.26(m, 8 H); 2.04(s, 3 H); 2.30(s, 3 H); 3.41(s, 2 H); 6.89(dd, J=8.6, 1.56 Hz, 1 H); 7.16(s, 1 H); 7.22(d, J=8.6 Hz, 1 H); 7.29(s, 1 H); 7.49(dd, J=8.7, 1.7 Hz, 1 H); 7.90(d, J=1.7 Hz, 1 H); 7.98(d, J=8.7 Hz, 1 H); 10.13(bb, 1 H); 10.93(s, 1 H). (DMSO-d6).
20	H	$(CH_3)_2N$-	2	H		–	209-211	3377, 2951,2798, 1469, 1429, 1321, 1158, 777, 594.	2.05(s, 6 H); 2.32(m, 2 H); 2.65(m, 2 H); 6.86(dd, J=8.6, 1.8 Hz, 1 H); 7.10(d, J=1.8 Hz, 1 H); 7.18(d, J=1.8 Hz, 1 H); 7.21 (d, J=8.6 Hz, 1 H); 7.32(dd, J=7.5, 4.6 Hz, 1 H); 7.36(d, J=3.9 Hz, 1 H); 7.71(d, J=3.9 Hz, 1 H); 7.83(m, 1 H); 7.93(m, 1 H); 8.49(d, J=4.6 Hz, 1 H); 9.97(bb, 1 H); 10.79(s, 1 H). (DMSO-d6).

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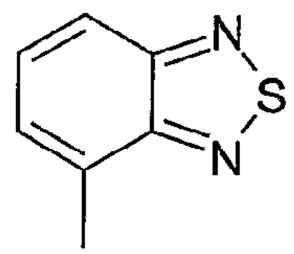
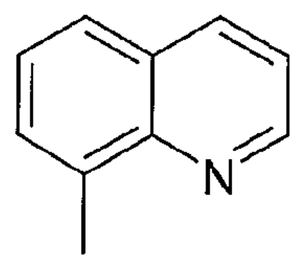
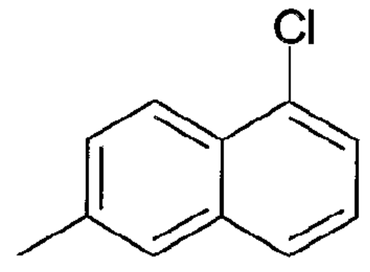
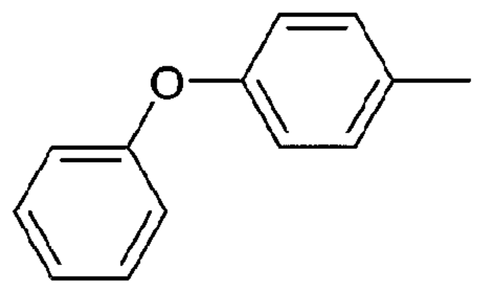
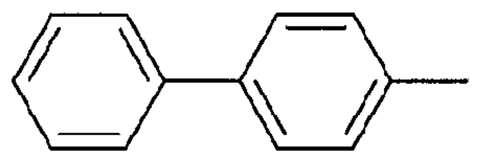
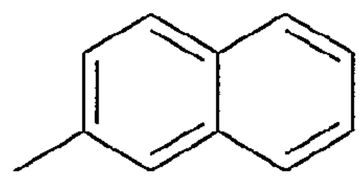
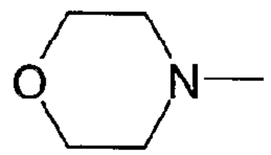
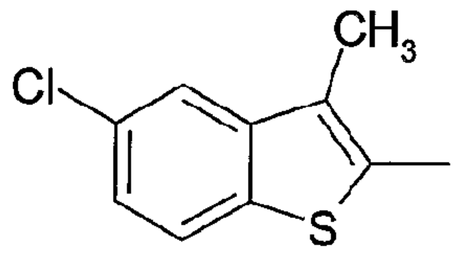
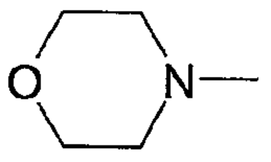
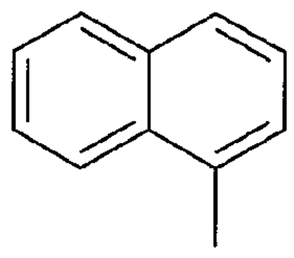
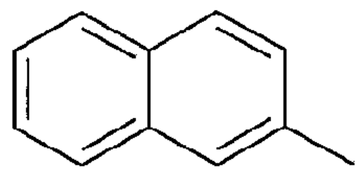
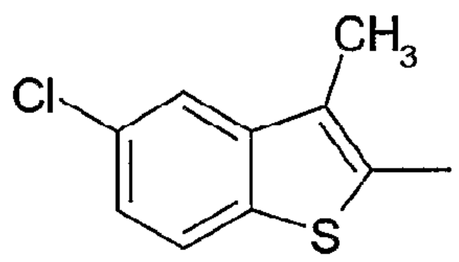
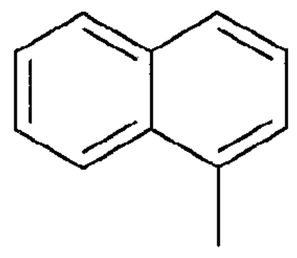
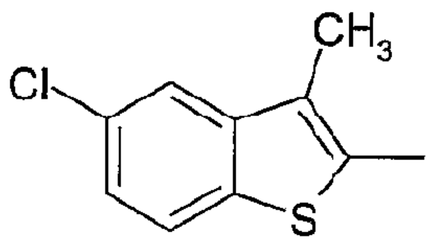
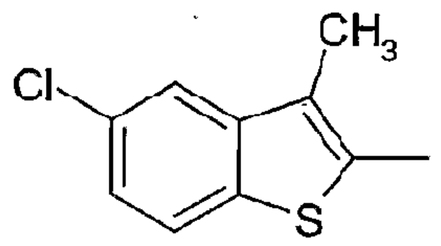
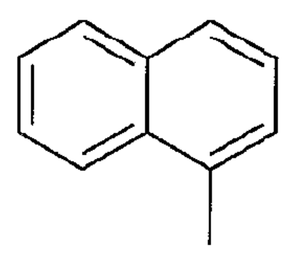
Ex	R_1	R_2	n	R_3	A	Salt	m.p. ºC	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
21	H	$(CH_3)_2N$-	2	H		–	192	3321, 2949, 1474, 1327, 1152, 1138, 1104, 981, 614.	2.10(s, 6 H); 2.21(m, 2 H); 2.56(m, 2 H); 6.72(d, J=8.6 Hz, 1 H); 6.96(s, 1 H); 7.03 (s, 1 H); 7.07(d, J=8.6 Hz, 1 H); 7.70(m, 1 H); 8.07(d, J=7.0 Hz, 1 H); 8.29(d, J=8.8 Hz, 1 H); 10.14(bb, 1 H), 10.69(s, 1 H). (DMSO-d6).
22	H	$(CH_3)_2N$-	2	H		–	250 (desc)	3252, 2857, 1459, 1426, 1333, 1161, 1144, 789, 680, 589.	2.07(s, 6 H); 2.16(m, 2 H); 2.51(m, 2 H); 6.73(dd, J=8.6, 1.8 Hz, 1 H); 6.94(s, 1 H) 6.99(s, 1 H); 7.02(d, J=8.6 Hz, 1 H); 7.59(t, J=7.8 Hz, 1 H); 7.73(dd, J=8.4, 4.1 Hz, 1 H); 8.18(m, 2 H); 8.50(dd, J=8.4, 1.5 Hz, 1 H); 9.20(dd, J=4.1, 1.5 Hz, 1 H); 9.45(bb, 1 H); 10.64(s, 1 H). (DMSO-d6).
23	H	$(CH_3)_2N$-	2	H		–	230-240 (desc)	3404, 2944, 2918,2855, 1465, 1332, 1157, 1140, 1080, 650, 639, 526.	2.01(s, 6 H); 2.18(m, 2 H); 2.57(m, 2 H); 6.81 (dd, J=8.6, 1.7 Hz, 1 H); 7.02 (s, 1 H); 7.05(d, J=1.7 Hz, 1 H); 7.15(d, J=8.6 Hz, 1 H); 7.57(m, 1 H); 7.82(d, J=7.5 Hz, 1 H); 7.91(d, J=8.9 Hz, 1 H); 8.06(d, J=8.2 Hz, 1 H); 8.29(d, J=8.9 Hz, 1 H); 8.35(s, 1 H); 9.94(bb, 1 H); 10.74(s, 1 H). (DMSO-d6).

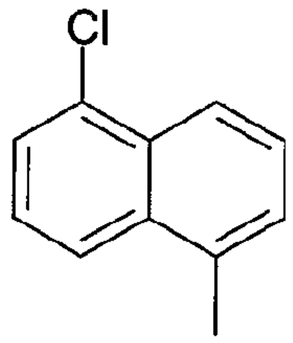
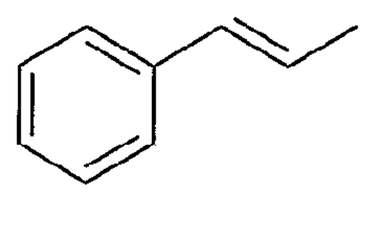
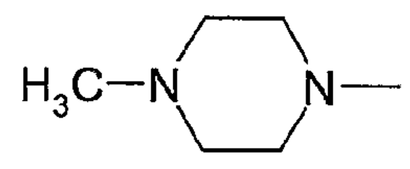
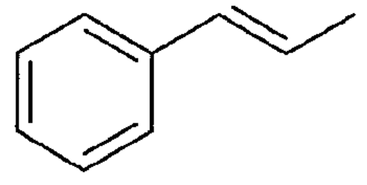
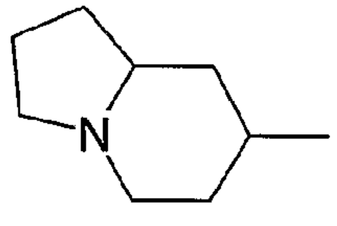
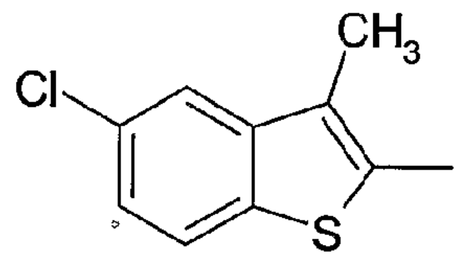
Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
24	H	$(CH_3)_2N$-	2	H		–	152-154	3232, 2862, 2827, 2785, 1583, 1488, 1333, 1248, 1155, 1091, 755, 693, 571, 541.	2.16(s, 6 H); 2.37(m, 2 H); 2.66 (m, 2 H); 6.80 (d, J=8.6 Hz, 1 H); 6.96-7.12 (m, 6 H); 7.14-7.25 (m, 2 H); 7.41(m, 2 H); 7.64 (dd, J=8.5, 1.9 Hz, H); 9.69(bb, 1 H); 10.75 (s, 1 H). (DMSO-d6).
25	H	$(CH_3)_2N$-	2	H		–	184-186	3451, 3388, 2950, 2775, 1466, 1322, 1159, 1095, 763, 670, 591.	2.08(s, 6 H); 2.32(m, 2 H); 2.64(m, 2 H); 6.83(dd, J=8.6, 1.9 Hz, 1 H); 7.08(d, J=2.0 Hz, 1 H); 7.11(d, J=1.9 Hz, 1 H); 7.17(d, J=8.6 Hz, 1 H); 7.34-7.50(m, 3H); 7.66(d, J=7.5 Hz, 2 H); 7.72(AB sys, J=8.6 Hz, 2 H); 7.79(AB sys, J=8.6 Hz, 2 H); 9.79(s, 1 H); 10.75(s, 1 H). (DMSO-d6).
26	H	$(CH_3CH_2)_2N$-	2	Et		–	49-50	3386, 2970, 2931, 1474, 1337, 1167, 1151, 1130, 1073, 661,550	0.82(t, J=7.0 Hz, 6 H); 0.98(t, J=7.0 Hz, 3 H); 2.37(q, J=7.0 Hz, 4 H); 2.49(m, 2 H); 2.54(m, 2H); 3.66(q, J=7.1 Hz, 2 H); 6.73 (dd, J=8.61, 1.6 Hz, 1 H); 6.98(s, 1 H); 7.17 (d, J=1.6 Hz, 1 H); 7.26(d, J=8.61 Hz, 1 H); 7.56-7.72 (m, 3 H); 7.99-8.11(m, 3H); 8.26 (s, 1 H); 10.97(s, 1 H). (DMSO-d6).

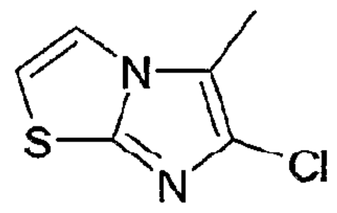
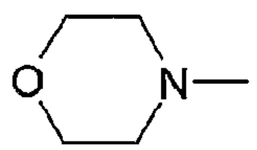
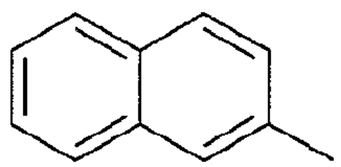
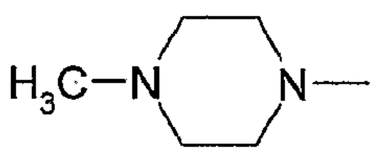
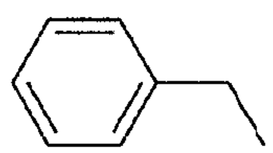
Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)

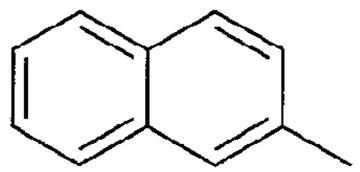
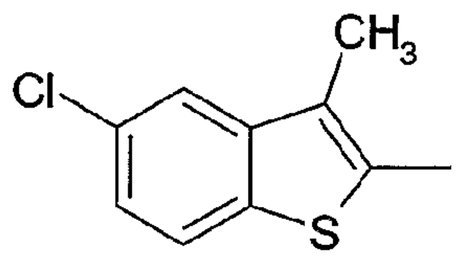
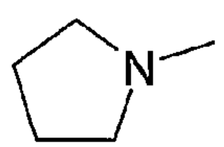
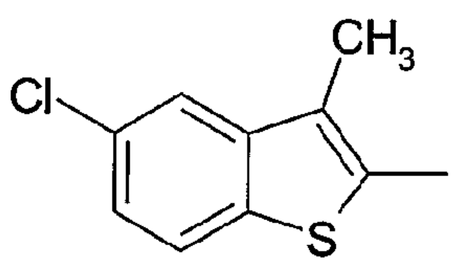
Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
27	H		2	H		–	200-201	3366, 2951, 2816, 1460, 1421, 1319, 1283, 1157, 1114, 1078, 865, 651, 561	2.25(m, 6H); 2.27(s, 3H); 2.62(t, J=7.9 Hz, 2H); 3.52(m, 4H); 6.84(d, J=8.2 Hz, 1H); 7.06(s, 1H); 7.10(s, 1H); 7.20(d, J=8.6 Hz, 1H); 7.50(d, J=8.6 Hz, 1H); 7.92(s, 1H); 8.00 (d, J=8.6 Hz, 1H); 10.13(s, 1H); 10.80(s, 1H). (DMSO-d6)
28	H		2	H		–	218-220	3389, 3152, 2916, 2819, 1466, 1313, 1157, 1129, 1108, 771, 587	2.30(m, 6H); 2.56(m, 2H); 3.56(m, 4H); 6.69(d, J=8.4 Hz, 1H); 6.93(s, 1H); 7.06(m, 2H); 7.48(t, J=7.3 Hz, 1H); 7.67(m, 2H); 8.02(m, 2H); 8.13 (d, J=8.1 Hz, 1H); 8.78 (d, J=8.1 Hz, 1H); 10.10(s, 1H); 10.68(s, 1H). (DMSO-d6)

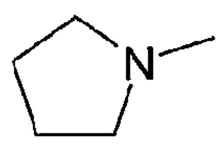
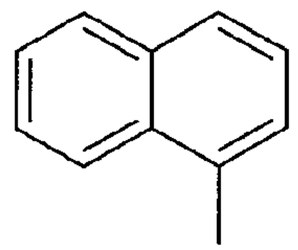
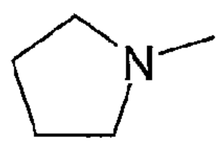
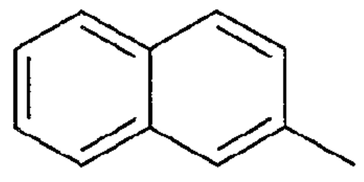
Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
29	H	$(CH_3CH_2)_2N$-	2	CH_3		–	134-136	2968, 2930, 1488, 1329, 1159, 1131, 1074, 660, 550	0.98(t, J=7.1 Hz, 6H); 2.55(m, 6H); 2.70(m, 2H); 3.67(s, 3H); 6.84 (s. 1H); 6.93(dd, J=8.6, 2 Hz, 1H); 7.10(d, J=8.7 Hz, 1H); 7.18(d, J=1.7 Hz, 1H); 7.26(s, 1H); 7.57 (m, 2H); 7.67(dd, J=8.7, 1.8 Hz, 1H); 7.84(m, 3H); 8.27(d, J= 1.7 Hz, 1H). (DMSO-d6)
30	H	$(CH_3)_2N$-	1	H		–	148-152	3398, 2930, 1467, 1158, 1113, 1079, 861, 803, 651, 561	1.89(m, 6H); 2.29(s, 3H); 2.48(s, 2H); 6.83(m, 1H); 7.18(m, 3H); 7.50(m, 1H); 7.91(m, 1H); 8.00 (m, 1H); 10.13(b, 1H); 10.92(s, 1H). (DMSO-d6)
31	H	$(CH_3CH_2CH_2)_2N$-	2	H		–	76-80	3399, 2959, 2931, 1466, 1159, 1132, 802, 770, 588	0.82(t, J=6.7 Hz, 6H); 1.34(q, J=6,71 Hz, 4H); 2.31(m, 4H); 2.40(m, 2H); 2.52(m, 2H); 6.69(d, J=8.6 Hz, 1H); 7.04(m, 3H); 7.47(m, 1H); 7.66(m, 2H); 8.02(m, 2H); 8.11(d, J=8.1 Hz, 1H); 8.78(d, J=8.4 Hz, 1H); 10.12(s, 1H); 10.67(s, 1H). (DMSO-d6)

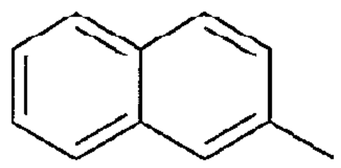
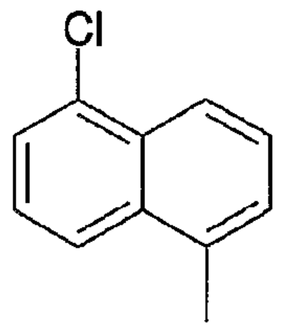
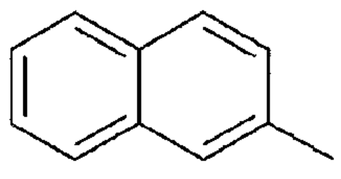
Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
32	H	$(CH_3CH_2CH_2)_2N$-	2	H		–	90-95	3406, 2959, 2932, 2872, 1466, 1157, 1079, 861, 652, 561	0.80(t, J=7.3 Hz, 6H); 1.31(q, J=7.3 Hz, 4H); 2.26(m, 7H); 2.38(m, 2H); 2.56(m, 2H); 6.83(dd, J=8.4, 1.8 Hz, 1H); 7.08(s, 2H); 7.20(d, J=8.6 Hz, 1H); 7.50(dd, J=8. 2.0 Hz, 1H); 7.90(d, J=2.0 Hz, 1H); 7.99(d, J=8.6 Hz, 1H); 10.12(b, 1H); 10.79(s, 1H). (DMSO-d6)
33	H	$(CH_3CH_2CH_2CH_2)_2N$-	2	H		–	79-80	3398, 2956, 2930, 2870, 1466, 1158, 1080, 862, 801, 653, 562	0.84(t, J=6.8 Hz, 6H); 1.24(m, 8H); 2.26(s, 3H); 2.28(m, 4H); 2.39(m, 2H); 2.57(m, 2H); 6.82(dd, J=8.6, 1.9 Hz, 1H); 7.09(d, J=1.8 Hz, 2H); 7.18(d, J=8.6 Hz, 1H); 7.50(dd, J=8.6, 1.9 Hz, 1H); 7.89(d, J=1.8 Hz, 1H); 7.98(d, J=8.6 Hz, 1H); 10.14(b, 1H); 10.78(s, 1H). (DMSO-d6)
34	H	$(CH_3CH_2CH_2CH_2)_2N$-	2	H		–	111-113	3291, 2955, 2926, 2870, 1327, 1158, 1136, 772, 676, 611, 585	0.86(t, J=7.0 Hz, 6H); 1.29(m, 8H); 2.35(m, 4H); 2.41(m, 2H); 2.53(m, 2H); 6.67(dd, J=8.5, 1.9 Hz, 1H); 7.09(m, 3H); 7.48(t, J=7.9 Hz, 1H); 7.68(m, 2H); 8.01(s, , 1H,, 8.04(s, 1H); 8.12(d, J=8.2 Hz, 1H); 8.78(d, J=8.2 Hz, 1H); 10.13(s, 1H); 10.67(s, 1H). (DMSO-d6)

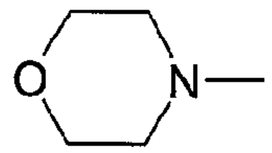
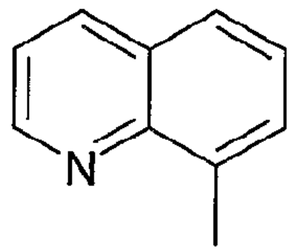
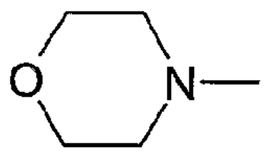
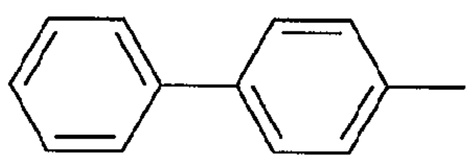
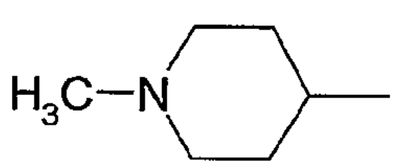
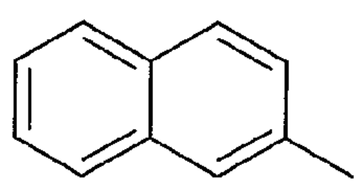
Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
35	H	$(CH_3CH_2)_2N$-	2	H		–	154-156	3402, 2978, 1471, 1285, 1162, 1135, 1018, 780, 629, 606	0.88(t, J=6.7 Hz, 6H); 2.41(m, 6H); 2.49(m, 2H); 6.71(d, J=8.1 Hz, 1H); 6.88(s, 1H); 7.07(m, 2H); 7.66(m, 2H); 7.84(d, J=7.0 Hz, 1H); 8.09(d, J=7.0 Hz, 1H); 8.41(d, J=8.2 Hz, 1H); 8.79(d, J=8.6 Hz, 1H); 10.17(b, 1H); 10.71(s, 1H). (DMSO-d6)
36	H	$(CH_3CH_2)_2N$-	2	H		–	125-130	3404, 2972, 1473, 1319, 1142, 967, 745, 541	0.94(t, J=7.1 Hz, 6H); 2.50(q, J=7.1 Hz, 4H); 2.59(m, 2H); 2.68(m, 2H); 6.94(dd, J=8.6, 1.8 Hz, 1H); 7.26(m, 8H); 7.59(m, 2H); 9.54(b, 1H); 10.77(s, 1H). (DMSO-d6)
37	H		1	H		–	203 (desc)	2809, 1340, 1150, 746, 542	2.06(s, 3H); 2.22(m, 6H); 3.36(m 2H); 3.49 (s, 2H); 6.95(dd, J=8.6, 1.8 Hz, 1H); 7.18(s, 2H); 7.24(m, 2H); 7.37(m, 3H); 7.45(d, J=1.8 Hz, 1H); 7.61(m, 2H); 9.53(s 1H); 10.90(s, 1H). (DMSO-d6)
38	H		0	H		–	142-144	3413, 2929, 1157, 1113, 1080, 862, 651, 564	1.12(m, 3H); 1.81(m, 9H); 2.22(s, 3H); 2.93(m, 2H); 6.84(dd, J=8.5, 1.7 Hz, 1H); 6.99(s, 1H); 7.03(s, 1H); 7,20(d, J=8.6 Hz, 1H); 7.52(dd, J=8.6, 2.0 Hz, 1H); 7.90(d, J=1.7 Hz, 1H); 8.00(d, J=8.6 Hz, 1H); 10.01(b, 1H); 10.61(s, 1H). (DMSO-d6)

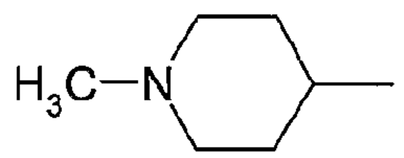
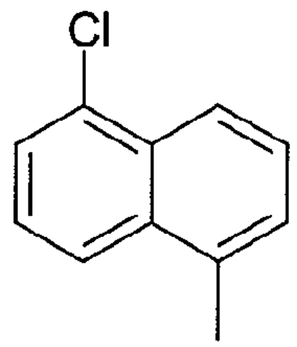
Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
39	H	$(CH_3CH_2)_2N$-	2	H		–	197-198	3338, 1466, 1270, 1237, 117, 986, 626	0.96(t, J=7.1 Hz, 6H); 2.53(m, 6H); 2.63(m, 2H); 6.78(dd, J=8.5, 1.6 Hz, 1H); 7.10(s, 2H); 7.18(d, J=8.6 Hz, 1H); 7.51(d, J=4.6 Hz, 1H); 7.80(d, J=4.6 Hz, 1H); 10.78(s, 1H). (DMSO-d6)
40	H		2	H		–	85-90	3399, 3257, 2920, 2855, 2814, 1460, 1330, 1157, 1131, 1113, 1074, 659, 551, 477	2.27(m, 6H); 2.61(t, J=7.9 Hz, 2H); 3.52(t, J=4.6 Hz, 4H); 6.82(dd, J=8.6, 2.0 Hz, 1H); 7.06(s, 1H); 7.07(s, 1H); 7.15(d, J=8.6 Hz, 1H); 7.61(m, 2H); 7.74(dd, J=8.8, 1.8 Hz, 1H); 7.96(d, J=8.1 Hz, 1H); 8.03(m, 2H); 8.27 (s, 1H); 9.87(s, 1H); 10.74(s, 1H). (DMSO-d6)
41	H		1	H		–	99-102	3398, 2934, 2806, 1458, 1331, 1284, 1153, 1127, 700, 542	2.11(s, 3H); 2.32(m, 6H); 3.35(m, 2H); 3.56(s, 2H); 4.29(s, 2H); 6.98(d, J=8.2 H 1H); 7.29(m, 7H); 7.53(s, 1H); 9.40(s, 1H); 10.94(s, 1H). (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
42	H	$(CH_3CH_2)_2N$-	3	H		–	128-130	3259, 2973, 2939, 2827, 1468, 1332, 1159, 1131, 1075, 670, 555	0.86(t, J=7.0 Hz, 6H); 1.51(t, J=6.9 Hz, 2H); 2.27(t, J=6.9 Hz, 2H); 2.35(q, J=7.0 Hz, 4H); 2.46(m, 2H); 6.77(d, J=8.6Hz, 1H); 7.00(s, 1H); 7.10(m, 2H); 7.60(m, 2H); 7.72(d, J=8.8 Hz, 1H); 7.95(d, J=7.9 Hz, 1H); 8.02(m, 2H); 8.26(s, 1H); 9.86 (b, 1H); 10.67(s, 1H). (DMSO-d6)
43	H	$(CH_3CH_2)_2N$-	3	H		–	156-158	3247, 2969, 2938, 1467, 1340, 1159, 1113, 1080, 862, 666, 558	0.88(t, J=7.0 Hz, 6H); 1.52(m, 2H); 2.29(m, 5H); 2,37(q, J=7.0 Hz, 4H); 2.47(m, 2H); 6.81(dd, J=8.6, 1.5 Hz, 1H); 7.06(d, J=1.6 Hz, 1H); 7.12(d, J=1.5 Hz, 1H); 7.18(d, J=8.6 Hz, 1H); 7.51(dd, J=8.6, 2.0 Hz, 1H);); 7.91(d, J=2.0 Hz, 1H); 7.99(d, J=8.6 Hz, 1H);10.06(b, 1H); 10.76(s, 1H). (DMSO-d6)
44	H		2	H		–	201-203	3386, 2929, 1466, 1157, 1106, 1080, 992, 861, 650, 564	1.62(m, 4H); 2.29(s, 3H); 2.30(m, 4H); 2.36(m, 2H); 2.63(m, 2H); 6.86(d, J=8.6 H 1H); 7.05(s, 1H); 7.09(s, 1H); 7.21(dd, J=8.6, 2.2 Hz, 1H); 7.50(dd, J=8.7, 2.0 Hz, 1H); 7.92(s, 1H); 7.99(dd, J=8.7, 2.2 Hz, 1H); 10,10(b, 1H); 10.81(s, 1H). (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. ºC	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
45	H		2	H		–	212-214	3354, 2964, 2812, 1466, 1201, 1157, 1124, 808, 773, 593	1.66(m, 4H); 2.36(m, 6H); 2.58(m, 2H); 6.71(d, J=8.6 Hz, 1H); 6.93(s, 1H); 7.02(s, 1H); 7.07(d, J=8.6 Hz, 1H); 7.48 (m, 1H) 7,68(m, 2H); 8.02(dd, J=7.2, 1.2 Hz, 2H) 8.12(d, J=8.2 Hz, 1H); 8.79(d, J=8.6 Hz, 1H); 10.10(b, 1H); 10.68(s, 1H). (DMSO-d6)
46	H		2	H		–	180-182	3375, 2968, 2821, 1467, 1323, 1313, 1146,1139, 1131, 1079, 972, 654, 549	1.60(m, 4H); 2.26(m, 4H); 2.35(m, 2H); 2.61(m, 2H); 6.82(dd, J=8.6, 2.0 Hz, 1H); 7.05(m, 2H); 7.14(d, J=8.6 Hz, 1H); 7.61(m, 2H); 7.74(dd, J=8.6, 1.8 Hz, 1H); 7.95(d, J=7.9 Hz, 1H); 8.02(m, 2H); 8.27(s, 1H); 9.86(b, 1H); 10.72(s, 1H). (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
47	H	$(CH_3CH_2CH_2)_2N$-	2	H		–	58-64 (desc)	3398, 3255, 2958, 2931, 2872, 1466, 1330, 1156, 1130, 1074, 659, 551	0.79(t, J=7.3 Hz, 6H); 1.31(q, J=7.3 Hz, 4H); 2.28(t, J=7.3 Hz, 4H); 2.42(m, 2H); 2.57(m, 2H); 6.80(dd, J=8.6, 1.7 Hz, 1H), 7.04(d, J=1.7 Hz, 1H); 7.12(m 2H); 7.60(m, 2H); 7.72(dd, J=8.6, 1.7 Hz, 1H); 7.98(m, 3H); 8.25(s, 1H); 9.87(b, 1H); 10.70(s, 1H). (DMSO-d6)
48	H	$(CH_3)_2N$-	2	H		–	201-203	3369, 1473, 1161, 1125, 1017, 789, 619	2.06(s, 6H); 2.15(t, J=8.2 Hz, 2H); 2.52(t, J=8.2 Hz, 2H); 6.69(d, J=8.7 Hz, 1H); 6.85(s, 1H); 7.02(s, 1H); 7.08(d, J=8.7 Hz, 1H); 7.67(m, 2H); 7.84(d, J=7.3 Hz, 1H); 8.10(d, J=7.3 Hz, 1H); 8.41(d, J=8.4 Hz, 1H); 8.79(d, J=8.7 Hz, 1H); 10.15(b, 1H); 10.70(s, 1H). (DMSO-d6)
49	H	$(CH_3)_2N$-	2	H		–	180-190	3399, 3255, 2943, 1466, 1330, 1156, 1131, 1075, 659, 550	2.03(s, 6H); 2.22(t, J=8.2 Hz, 2H); 2.58(t, J=8.2 Hz, 2H); 6.80(d, J=8.4 Hz, 1H); 7.04(s, 1H); 7.07(s, 1H); 7.13(d, J=8.6 Hz, 1H); 7.60(m, 2H); 7.74(d, J=8.6 Hz, 1H); 7.95(d, J=7.7 Hz, 1H); 8.02(m, 2H); 8.26(s, 1H); 9.86(b, 1H); 10.71(s, 1H). (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. °C	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
50	H		2	H		–	234-235	3400, 3279, 2913, 2852, 1464, 1420, 1315, 1163, 1118, 951, 592	2.29(m, 6H); 2.54(m, 2H); 3.57(m, 4H); 6.72(d, J=8.1 Hz, 1H); 7.01(m, 3H); 7.60(J=7.7 Hz, 1H); 7.74(d, J=8.4 Hz, 1H); 8.19(m, 2H); 8.52(d, J=8.4 Hz, 1H); 9.21(s, 1H); 9.44(s, 1H); 10.65(s, 1H). (DMSO-d6)
51	H		2	H		–	225-228	3340, 2857, 1479, 1324, 1153, 1116, 1094, 768, 670, 588	2.29(m, 6H); 2.66(m, 2H); 3.47(m, 4H); 6.84(d, J=8.6 Hz, 1H); 7.07(s, 1H); 7.09(s, 1H); 7.18(d, J=8.4 Hz, 1H); 7.45(m, 3H); 7.70(m, 4H); 7.79(m, 2H); 9.79(s, 1H); 10.77(s, 1H). (DMSO-d6)
52	H		2	H		–	129-131	3367, 2924, 2852, 2799, 1465, 1311, 1154, 1130, 1077, 666, 557	1.40-1.60(m, 4H); 1.83(m, 2H); 2.14(s, 3H); 2.36(m, 1H); 2.67(d, J=11.2 Hz, 2H); 6 .78(d, J=8.4 Hz, 1H); 6.97(s, 1H); 7.00(s, 1H); 7.12(d, J=8.6 Hz, 1H); 7.50-7.68(m, 2H); 7.73(d, J=9.0 Hz, 1H); 8.00(m, 3H); 8.23(s, 1H); 9.78(b, 1H); 10.71(s, 1H). (DMSO-d6)

Ex	R_1	R_2	n	R_3	A	Salt	m.p. ºC	IR cm^{-1}	^{1}H-RMN (300 MHz),δ (solvent)
53	H		2	H		–	246-249	3329, 2940, 2916, 1470, 1158, 1125, 1110, 1015, 791, 598	1.35-1.47(m, 4H); 1.86(m, 2H); 2.17(s, 3H); 2.28(m, 1H); 2.76(d, J=10.6 Hz, 2H); 6 .68(d, J=8.8 Hz, 1H); 6.75(s, 1H); 6.94(s 1H); 7.08(d, J=9.0 Hz, 1H); 7.60-7.73(m, 2H); 7.85(d, J=7.1 Hz, 1H); 8.06(d, J=7.1 Hz, 1H); 8.40(d, J=7.9 Hz, 1H); 8.79(d, J=9.0 Hz, 1H); 10.20(b, 1H); 10.68(s, 1H). (DMSO-d6)

Example 54:

Tablet comprising an inventively used sulphonamide compound of general formula I

Formula per tablet:

Compound according to example 1	5 mg
Lactose	60 mg
Crystalline cellulose	25 mg
K 90 Povidone	5 mg
Pregelatinised starch	3 mg
Colloidal silicon dioxide	1 mg
Magnesium stearate	1 mg
Total weight per tablet	100 mg

Pharmacological data:

The binding of the inventively used sulphonamide derivatives of general formula (I) was determined as described above.

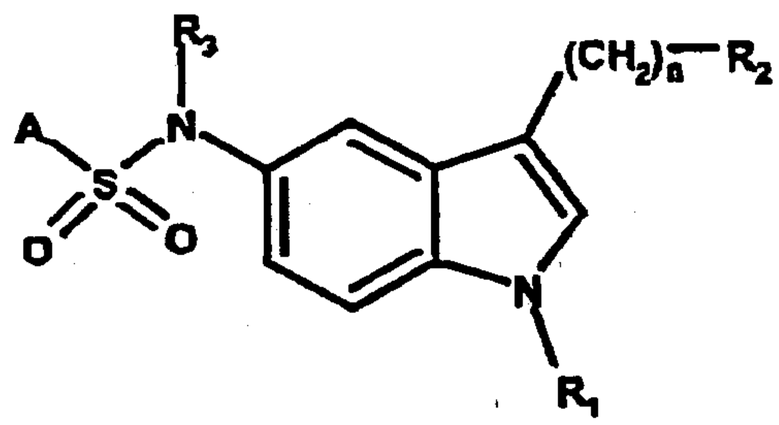
The binding results of some sulphonamide derivatives are given in the following table 1:

Table 1:

Compound according to example:	% Inhibition 10^{-6} M	K_i (nM)
1	98.1 ± 4.0	0.28
3	96.6 ± 5.2	3.5
4	96.2 ± 0.6	9.3
5	101.2 ± 0.1	1.0
6	97.6 ± 1.8	8.7
7	103.0 ± 7.9	0.13
8	94.5 ± 7.0	0.76
9	96.8 ± 3.7	2.2
11	101.3	0.98
13	98.3	4.7
14	95.7 ± 3.4	24.3
15	97.4 ± 0.8	6.8
16	94.4 ± 8.6	21.2
17	102.0	5.3

CLAIMS

1. Use of at least one sulphonamide derivative of general formula (I),



(I)

wherein

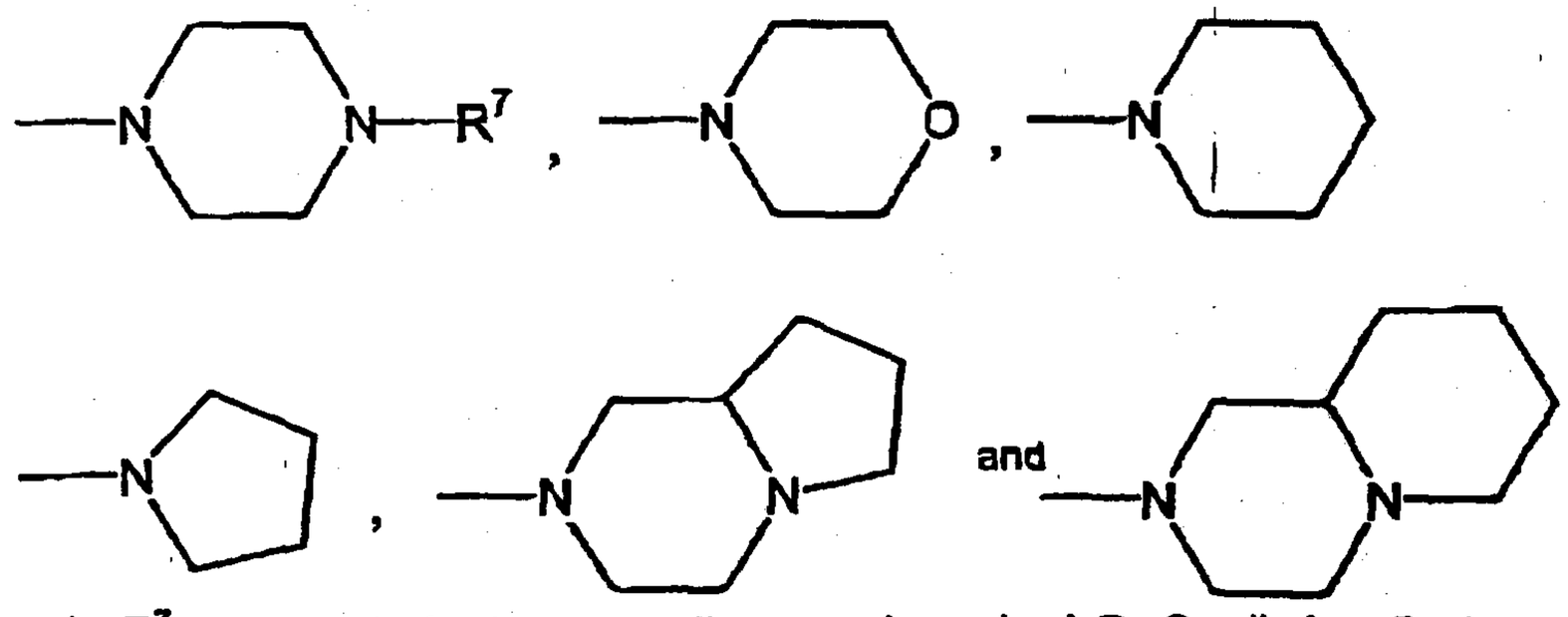
R^1 represents hydrogen, an optionally at least mono-substituted, linear or branched alkyl radical, an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted benzyl radical,

R^2 represents a $-NR^4R^5$ moiety,

R^3 represents hydrogen or an optionally at least mono- substituted, linear or branched alkyl radical,

R^4 and R^5, identical or different, represent hydrogen or a linear or branched C_1-C_4-alkyl radical, or

R^4 and R^5 together with the bridging nitrogen atom form a moiety selected from the group consisting of



wherein R^7 represents hydrogen, a linear or branched C_1-C_4-alkyl radical or a benzyl radical,

A represents an optionally at least mono-substituted mono- or polycyclic aromatic ringsystem, which may be bonded via an optionally at least mono-substituted alkylene-, an optionally at least mono-substituted alkenylene- or an optionally at least mono-substituted alkynylene group and/or may contain at least one heteroatom as a ring member in one or more of its rings,

n represents 0, 1, 2, 3 or 4;

optionally in form of one of its stereoisomers, preferably enantiomers or diastereomers, its racemate or in form of a mixture of at least two of its stereoisomers, preferably enantiomers or diastereomers, in any mixing ratio, or a corresponding physiologically acceptable salt or a corresponding solvate,

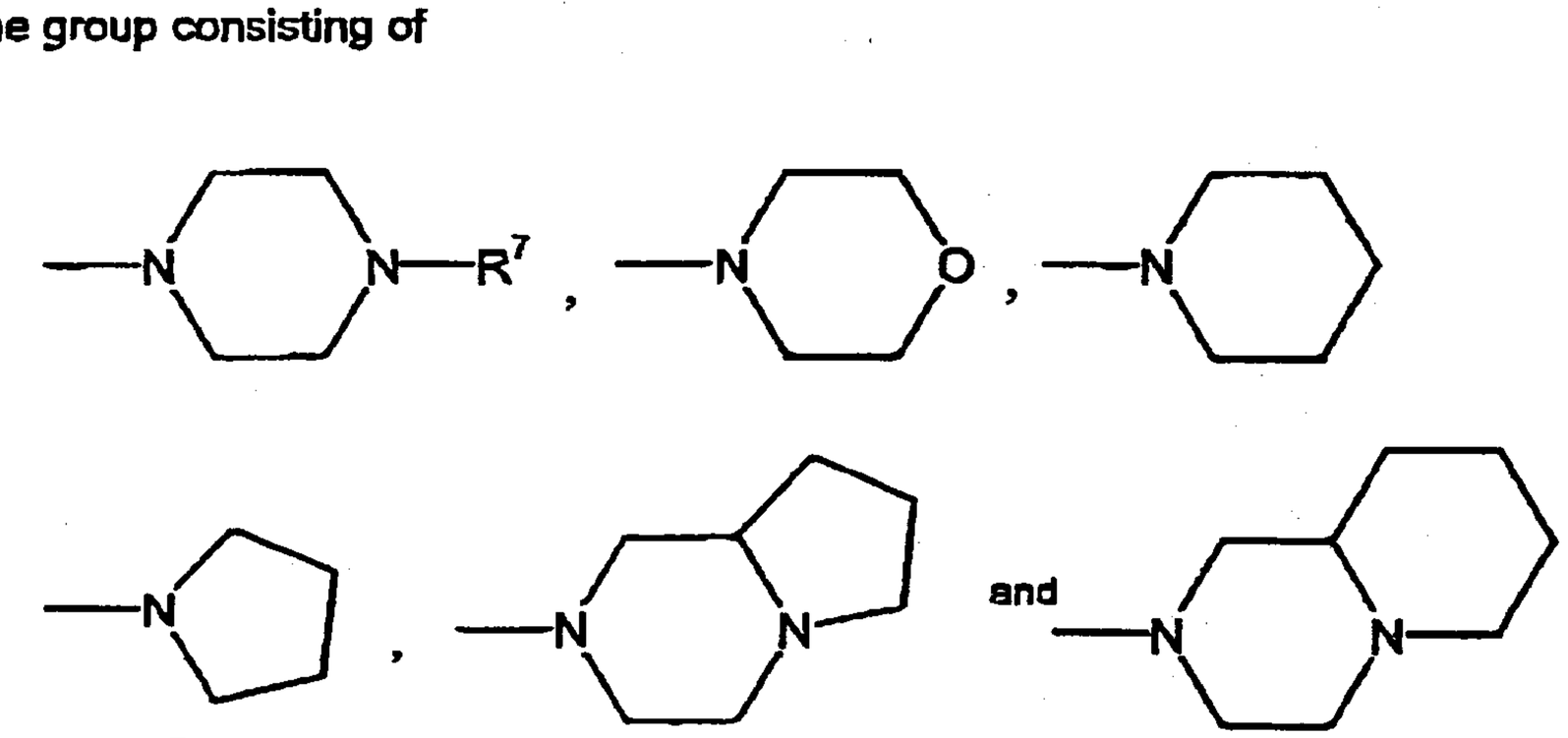
for the manufacture of a medicament for the prophylaxis and/or treatment of a food ingestion disorder.

2. Use according to claim 1, characterized in that R^1 represents hydrogen, an optionally at least mono-substituted, linear or branched C_{1-4}-alkyl radical, an optionally at least mono-substituted phenyl radical or an optionally at least mono-substituted benzyl radical, preferably hydrogen, a linear or branched C_1-$_4$-alkyl radical or a benzyl radical, more preferably hydrogen.

3. Use according to claim 1 or 2, characterized in that R^3 represents hydrogen or an optionally at least mono-substituted, linear or branched C_1-C_4-alkyl radical, preferably hydrogen or a linear or branched C_1-C_4-alkyl radical, more preferably hydrogen or a C_1-C_2 alkyl radical.

4. Use according to any one of claims 1-3, characterized in that R^4 and R^5, identical or different, represent a linear or branched C_1-C_4-alkyl radical, or

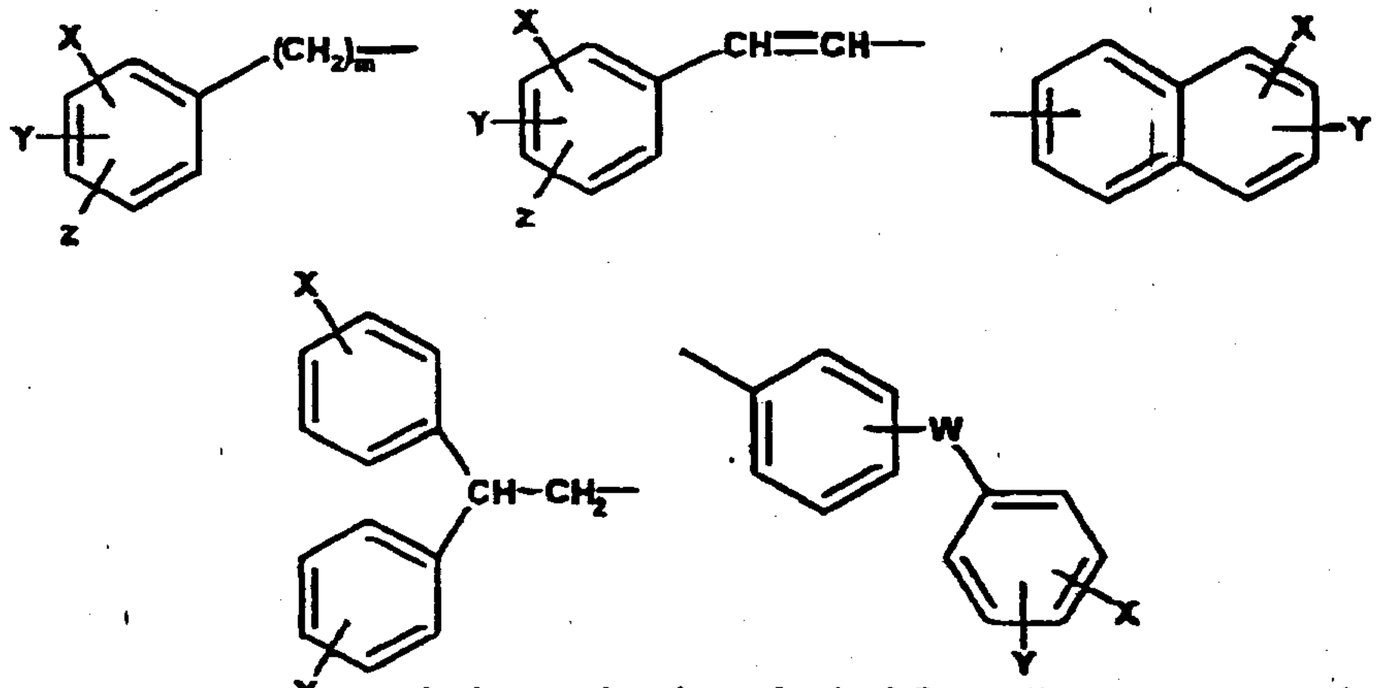
R^4 and R^5 together with the bridging nitrogen atom form a moiety selected from the group consisting of



wherein R^7 represents hydrogen or a C_1-C_2 alkyl radical.

5. Use according to any one of claims 1-4, characterized in that A represents an optionally at least mono-substituted mono- or bicyclic aromatic ringsystem, wherein the ring(s) is/are 5- or 6-membered, which may be bonded via a an optionally at least mono-substituted C_1-C_4-alkylene group, C_2-C_4-alkenylene or C_2-C_4-alkinylene group and/or may contain at least one heteroatom as a ring member, preferably an optionally at least mono-substituted mono- or bicyclic aromatic ringsystem, wherein the ring(s) is/are 5- or 6-membered and wherein

one or both of the rings contain(s) at least one heteroatom, or a moiety selected from the group consisting of

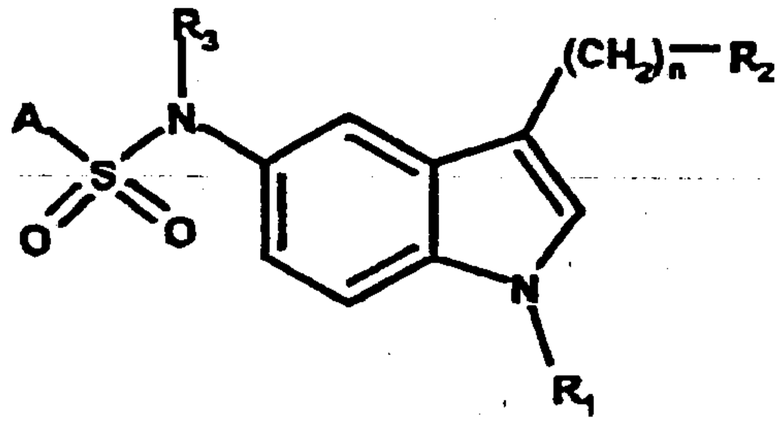


wherein X, Y, Z are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine, linear or branched C_1-C_4-alkyl, linear or branched C_1-C_4-alkoxy, linear or branched C_1-C_4-alkylthio, a trifluoromethyl moiety, a cyano moiety and a NR^8R^9-moiety, wherein R^8 and R^9, identical or different, represent hydrogen or linear or branched C_1-C_4-alkyl,

W represents a single chemical bond between the two rings, a CH_2-group, O, S or a NR^{10}-moiety, wherein R^{10} is hydrogen or linear or branched C_1-C_4-alkyl and

m is 0, 1, 2, 3 or 4.

6. Use according to one or more of claims 1-5 of at least one sulphonamide derivative of general formula (I).



(I)

wherein

n represents 0, 1, 2, 3 or 4;

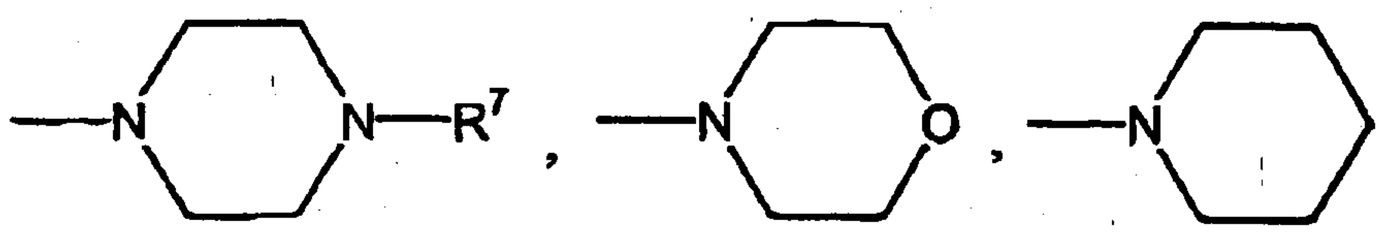
R^1 represents hydrogen,

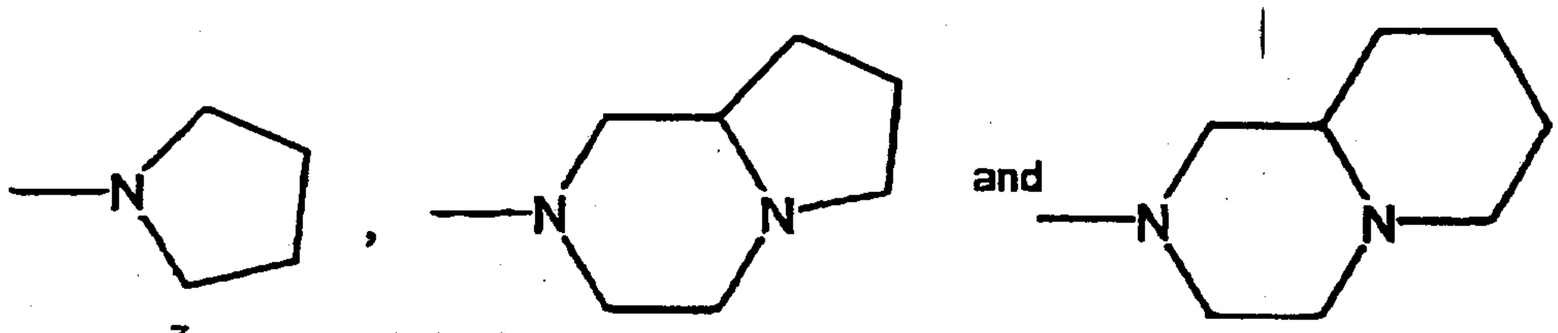
R^2 represents a $-NR^4R^5$ moiety,

R^3 represents hydrogen, a methyl group or an ethyl group,

R^4 and R^5, identical or different, represent a methyl group, an ethyl group, an n-propyl group, an iso-propyl group, an n-butyl group, an iso-butyl group, a sec-butyl group, or a tert.-butyl group, or

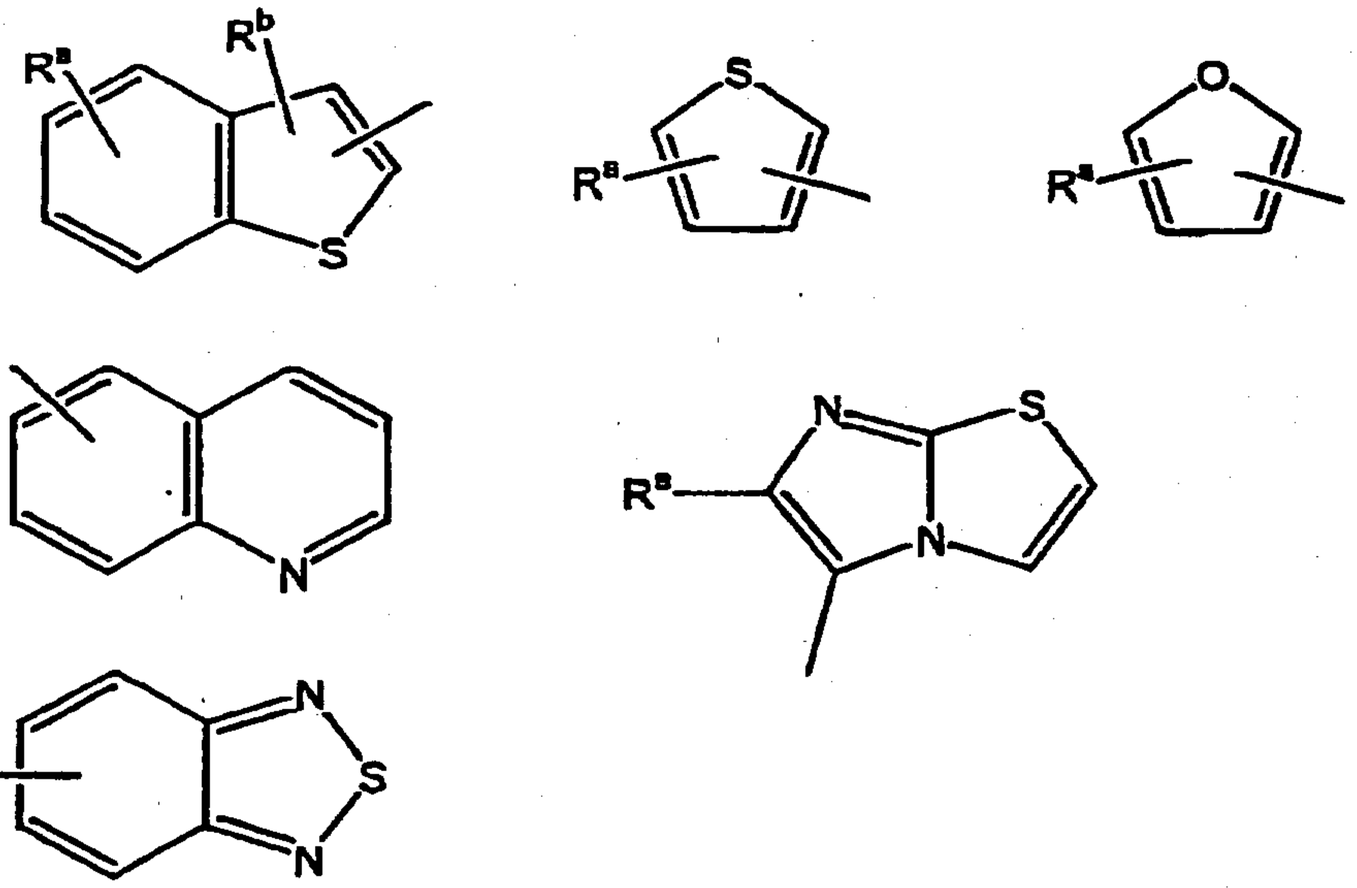
R^4 and R^5 together with the bridging nitrogen atom form a moiety selected from the group consisting

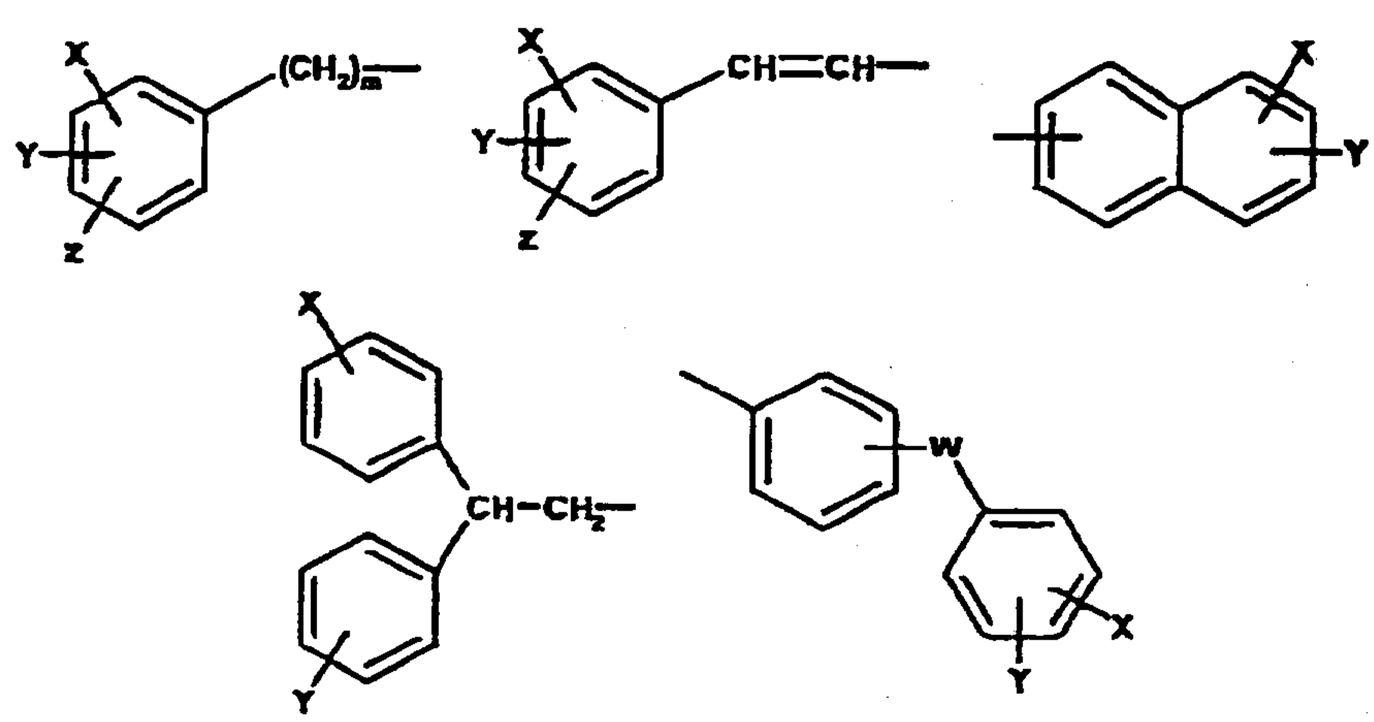




wherein R^7 represents hydrogen, a methyl group or an ethyl group,

A represents a moiety selected from the group consisting of





wherein

R^A and R^B are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine, methyl, ethyl, pyridyl, thienyl and furyl,

X, Y, Z are each independently selected from the group consisting of hydrogen, fluorine, chlorine, bromine, methyl, ethyl, methoxy, ethoxy and $-CF_3$,

W represents a single chemical bond between the two rings, a CH_2-group, O, S or a NR^{10}-moiety, wherein R^{10} is hydrogen, methyl or ethyl,

m is 0, 1, 2, 3 or 4.

7. Use according to any one of claims 1-6, characterized in that the compound is selected from the group consisting of:

[1] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[2] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[3] Hydrochloride N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,

[4] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-3,5-dichlorobenzenesulphonamide,

[5] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,

[6] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-5-chlorothiophene-2-sulphonamide,

[7] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[8] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]naphthalene-1-sulphonamide,

[9] N-[3-(2-dimethylamino-ethyl)-1*H*-indol-5-yl]-6-chloroimidazo[2,1-b]thiazol-5-sulphonamide,

[17] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]naphthalene-2-sulphonamide,

[19] N-[3-(4-methylpiperazin-1-yl)methyl-1*H*-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[20] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-(2-pyridil)thiophene-2-sulphonamide,

[21] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-2,1,3-benzothiadiazol-4-sulphonamide,

[22] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]quinoline-8-sulphonamide,

[23] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-5-chloronaphthalene-2-sulphonamide,

[24] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-4-phenoxybenzenesulphonamide,

[25] N-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-4-phenylbenzenesulphonamide,

[26] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-N-ethyl-naphthalene-2-sulphonamide,

[27] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[28] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}naphthalene-1-sulphonamide,

[29] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[30] N-[3-dimethylaminomethyl-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[31] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[32] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[33] N-[3-(2-dibutylaminoethyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[34] N-[3-(2-dibutylaminoethyl)-1H-indol-5-yl]naphthalene-1-sulphonamide,

[35] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide,

[36] N-[3-(2-diethylaminoethyl)-1H-indol-5-yl]-trans-β-styrenesulphonamide,

[37] N-[3-(4-methylpiperazin-1-yl)methyl-1*H*-indol-5-yl]-trans-β-styrenesulphonamide,

[39] N-[3-(2-diethylaminoethyl)-1*H*-indol-5-yl]-6-chloroimidazo[2,1-b]thiazol-5-sulphonamide,

[40] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}naphthalene-2-sulphonamide,

[41] N-[3-(4-methylpiperazin-1-yl)methyl-1*H*-indol-5-yl]-α-toluenesulphonamide,

[42] N-[3-(3-diethylaminopropyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[43] N-[3-(3-diethylaminopropyl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[44] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}-5-chloro-3-methylbenzo[b]thiophene-2-sulphonamide,

[45] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}naphthalene-1-sulphonamide,

[46] N-{3-[2-(pyrrolidin-1-yl)ethyl]-1H-indol-5-yl}naphthalene-2-sulphonamide,

[47] N-[3-(2-dipropylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[48] N-[3-(2-dimethylaminoethyl)-1H-indol-5-yl]-5-chloronaphthalene-1-sulphonamide,

[49] N-[3-(2-dimethylaminoethyl)-1H-indol-5-yl]naphthalene-2-sulphonamide,

[50] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}quinoline-8-sulphonamide,

[51] N-{3-[2-(morpholin-4-yl)ethyl]-1H-indol-5-yl}-4-phenylbenzenesulphonamide.

8. Use according to any one of claims 1-7 for the regulation of appetite.

9. Use according to any one of claims 1-7 for the reduction, increase or maintenance of body weight.

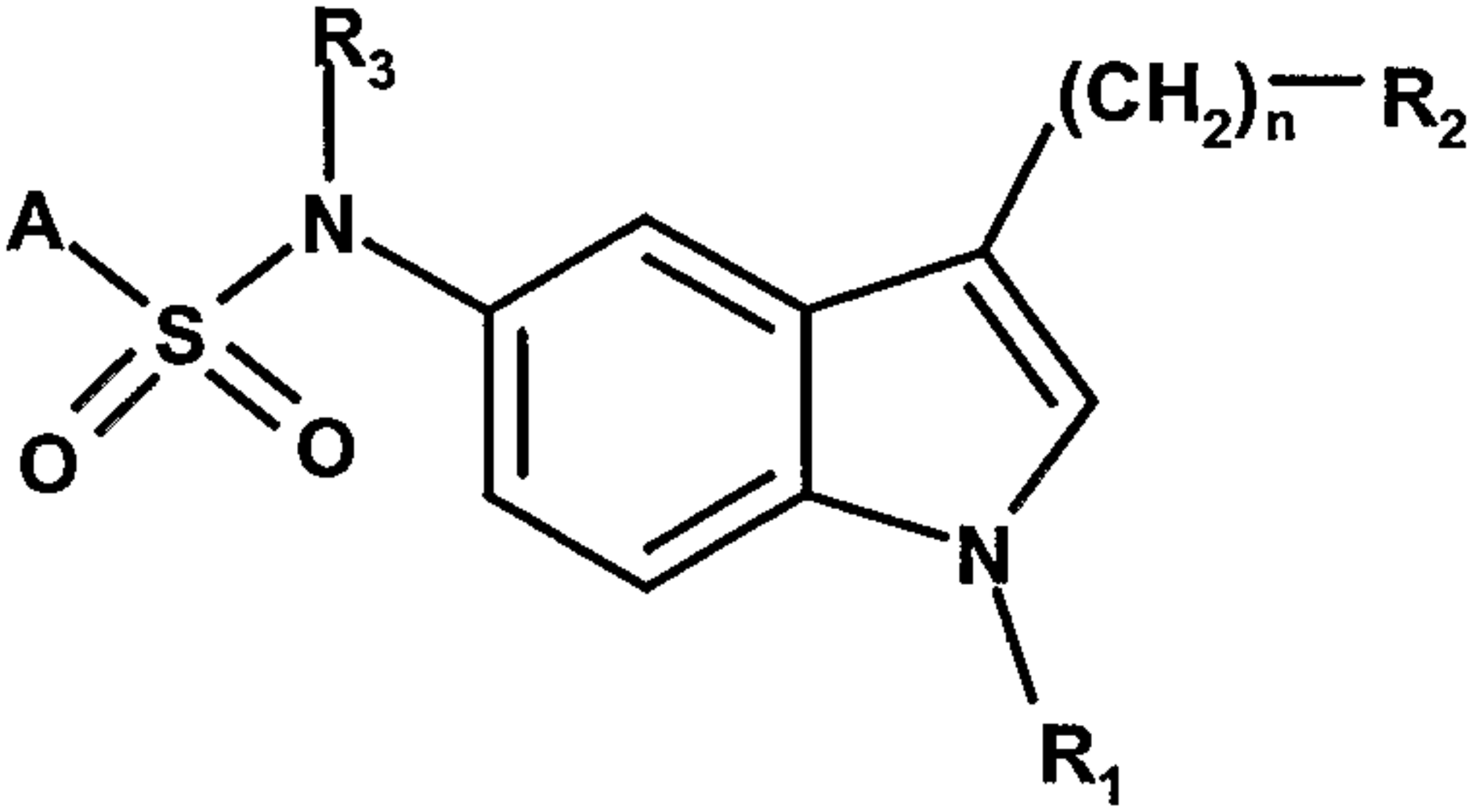
10. Use according to any one of claims 1-7 for the prophylaxis and/or treatment of obesity.

11. Use according to any one of claims 1-7 for the prophylaxis and/or treatment of bulimia.

12. Use according to any one of claims 1-7 for the prophylaxis and/or treatment of anorexia.

13. Use according to any one of claims 1-7 for the prophylaxis and/or treatment of cachexia.

14. Use according to any one of claims 1-7 for the prophylaxis and/or treatment of type II diabetes.



(1)