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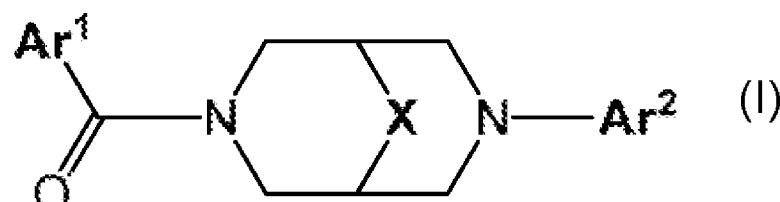
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(54) Title: 3,7-DIAZABICYCLO[3.3.1]NONANE AND 9-OXA-3,7-DIAZABICYCLO[3.3.1]NONANE DERIVATIVES



(57) Abstract: The present invention relates to 3,7-diazabicyclo[3.3.1]nonane and 9-oxa-3,7-diazabicyclo[3.3.1]nonane derivatives of formula (I) wherein Ar<sup>1</sup> and Ar<sup>2</sup> are as described in the description, to their preparation, to pharmaceutically acceptable salts thereof, and to their use as pharmaceuticals, to pharmaceutical compositions containing one or more compounds of formula (I), and especially to their use as orexin receptor antagonists.

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### **3,7-Diazabicyclo[3.3.1]nonane and 9-oxa-3,7-diazabicyclo[3.3.1]nonane derivatives**

The present invention relates to novel 3,7-diazabicyclo[3.3.1]nonane and 9-oxa-3,7-diazabicyclo[3.3.1]nonane derivatives of formula (I) and their use as pharmaceuticals. The invention also concerns related aspects including processes for the preparation of the compounds, pharmaceutical compositions containing one or more compounds of formula (I), and especially their use as orexin receptor antagonists.

Orexins (orexin A or OX-A and orexin B or OX-B) are neuropeptides found in 1998 by two research groups, orexin A is a 33 amino acid peptide and orexin B is a 28 amino acid peptide (Sakurai T. *et al.*, *Cell*, **1998**, 92, 573-585). Orexins are produced in discrete neurons of the lateral hypothalamus and bind to the G-protein-coupled receptors (OX<sub>1</sub> and OX<sub>2</sub> receptors). The orexin-1 receptor (OX<sub>1</sub>) is selective for OX-A, and the orexin-2 receptor (OX<sub>2</sub>) is capable to bind OX-A as well as OX-B. Orexin receptor antagonists are a novel type of nervous system or psychotropic drugs. Their mode of action in animals and humans involves either blockade of both orexin-1 and orexin-2 receptor (dual antagonists), or individual and selective blockade of either the orexin-1 or the orexin-2 receptor (selective antagonists) in the brain. Orexins were initially found to stimulate food consumption in rats suggesting a physiological role for these peptides as mediators in the central feedback mechanism that regulates feeding behaviour (Sakurai T. *et al.*, *Cell*, **1998**, 92, 573-585).

On the other hand, orexin neuropeptides and orexin receptors play an essential and central role in regulating circadian vigilance states. In the brain, orexin neurons collect sensory input about internal and external states and send short intrahypothalamic axonal projections as well as long projections to many other brain regions. The particular distribution of orexin fibers and receptors in basal forebrain, limbic structures and brainstem regions - areas related to the regulation of waking, sleep and emotional reactivity- suggests that orexins exert essential functions as regulators of behavioral arousal; by activating wake-promoting cell firing, orexins contribute to orchestrate all brain arousal systems that regulate circadian activity, energy balance and emotional reactivity. This role opens large therapeutic opportunities for medically addressing numerous mental health disorders possibly relating to orexinergic dysfunctions [see for example: Tsujino N and Sakurai T, "Orexin/hypocretin: a neuropeptide at the interface of sleep, energy homeostasis, and reward systems.", *Pharmacol Rev.* **2009**, 61:162-176; and Carter ME *et al.*, "The brain hypocretins and their receptors: mediators of allostatic arousal.", *Curr Op Pharmacol.* **2009**, 9: 39-45] that are described in the following sections. It was also observed that orexins regulate states of sleep

and wakefulness opening potentially novel therapeutic approaches to insomnia and other sleep disorders (Chemelli R.M. *et al.*, *Cell*, **1999**, 98, 437-451).

Human memory is comprised of multiple systems that have different operating principles and different underlying neuronal substrates. The major distinction is between the capacity for conscious, declarative memory and a set of unconscious, non-declarative memory abilities. Declarative memory is further subdivided into semantic and episodic memory. Non-declarative memory is further subdivided into priming and perceptual learning, procedural memory for skills and habits, associative and non-associative learning, and some others. While semantic memory refers to the general knowledge about the world, episodic memory is autobiographical memory of events. Procedural memories refer to the ability to perform skill-based operations, as e.g. motor skills. Long-term memory is established during a multiple stage process through gradual changes involving diverse brain structures, beginning with learning, or memory acquisition, or formation. Subsequently, consolidation of what has been learned may stabilize memories. When long-term memories are retrieved, they may return to a labile state in which original content may be updated, modulated or disrupted. Subsequently, reconsolidation may again stabilize memories. At a late stage, long-term memory may be resistant to disruption. Long-term memory is conceptually and anatomically different from working memory, the latter of which is the capacity to maintain temporarily a limited amount of information in mind. Behavioural research has suggested that the human brain consolidates long-term memory at certain key time intervals. The initial phase of memory consolidation may occur in the first few minutes after we are exposed to a new idea or learning experience. The next, and possibly most important phase, may occur over a longer period of time, such as during sleep; in fact, certain consolidation processes have been suggested to be sleep-dependent [R. Stickgold *et al.*, *Sleep-dependent memory consolidation*; *Nature* **2005**, 437, 1272-1278]. Learning and memory processes are believed to be fundamentally affected in a variety of neurological and mental disorders, such as e.g. mental retardation, Alzheimer's disease or depression. Indeed, memory loss or impairment of memory acquisition is a significant feature of such diseases, and no effective therapy to prevent this detrimental process has emerged yet.

In addition, both anatomical and functional evidence from *in vitro* and *in vivo* studies suggest an important positive interaction of the endogenous orexin system with reward pathways of the brain [Aston-Jones G *et al.*, *Brain Res* **2010**, 1314, 74-90; Sharf R *et al.*, *Brain Res* **2010**, 1314, 130-138]. Selective pharmacological OXR-1 blockade reduced cue- and stress-induced reinstatement of cocaine seeking [Boutrel B, *et al.*, "Role for hypocretin in mediating stress-induced reinstatement of cocaine-seeking behavior." *Proc Natl Acad Sci* **2005**, 102(52), 19168-19173; Smith RJ *et al.*, "Orexin/hypocretin signaling at the orexin 1 receptor

regulates cue-elicited cocaine-seeking." *Eur J Neurosci* **2009**, 30(3), 493-503; Smith RJ et al., "Orexin/hypocretin is necessary for context-driven cocaine-seeking." *Neuropharmacology* **2010**, 58(1), 179-184], cue-induced reinstatement of alcohol seeking [Lawrence AJ et al., *Br J Pharmacol* **2006**, 148(6), 752-759] and nicotine self-administration [Hollander JA et al., *Proc Natl Acad Sci* **2008**, 105(49), 19480-19485; LeSage MG et al., *Psychopharmacology* **2010**, 209(2), 203-212]. Orexin-1 receptor antagonism also attenuated the expression of amphetamine- and cocaine-induced CPP [Gozzi A et al., *PLoS One* **2011**, 6(1), e16406; Hutcheson DM et al., *Behav Pharmacol* **2011**, 22(2), 173-181], and reduced the expression or development of locomotor sensitization to amphetamine and cocaine [Borgland SL et al., *Neuron* **2006**, 49(4), 589-601; Quarta D et al., "The orexin-1 receptor antagonist SB-334867 reduces amphetamine-evoked dopamine outflow in the shell of the nucleus accumbens and decreases the expression of amphetamine sensitization." *Neurochem Int* **2010**, 56(1), 11-15].

The effect of a drug to diminish addictions may be modelled in normal or particularly sensitive mammals used as animal models [see for example Spealman et al, *Pharmacol. Biochem. Behav.* **1999**, 64, 327-336; or T.S. Shippenberg, G.F. Koob, "Recent advances in animal models of drug addiction" in *Neuropsychopharmacology: The fifth generation of progress*; K.L.Davis, D. Charney, J.T.Doyle, C. Nemeroff (eds.) **2002**; chapter 97, pages 1381-1397].

Several converging lines of evidence furthermore demonstrate a direct role of the orexin system as modulator of the acute stress response. For instance, stress (i.e. psychological stress or physical stress) is associated with increased arousal and vigilance which in turn is controlled by orexins [Sutcliffe, JG et al., *Nat Rev Neurosci* **2002**, 3(5), 339-349]. Orexin neurons are likely to be involved in the coordinated regulation of behavioral and physiological responses in stressful environments [Y. Kayaba et al., *Am. J. Physiol. Regul. Integr. Comp. Physiol.* **2003**, 285:R581-593]. Hypocretin/orexin contributes to the expression of some but not all forms of stress and arousal [Furlong T M et al., *Eur J Neurosci* **2009**, 30(8), 1603-1614]. Stress response may lead to dramatic, usually time-limited physiological, psychological and behavioural changes that may affect appetite, metabolism and feeding behavior [Chrousos, GP et al., *JAMA* **1992**, 267(9), 1244-1252]. The acute stress response may include behavioural, autonomic and endocrinological changes, such as promoting heightened vigilance, decreased libido, increased heart rate and blood pressure, or a redirection of blood flow to fuel the muscles, heart and the brain [Majzoub, JA et al., *European Journal of Endocrinology* **2006**, 155 (suppl\_1) S71-S76].

As outlined above the orexin system regulates homeostatic functions such as sleep-wake cycle, energy balance, emotions and reward. Orexins are also involved in mediating the acute behavioral and autonomous nervous system response to stress [Zhang Wet al.,

"Multiple components of the defense response depend on orexin: evidence from orexin knockout mice and orexin neuron-ablated mice." *Auton Neurosci* **2006**, 126-127, 139-145]. Mood disorders including all types of depression and bipolar disorder are characterized by disturbed "mood" and feelings, as well as by sleeping problems (insomnia as well as hypersomnia), changes in appetite or weight and reduced pleasure and loss of interest in daily or once enjoyed activities [Liu X et al., *Sleep* **2007**, 30(1): 83-90]. Thus, there is a strong rationale that disturbances in the orexin system may contribute to the symptoms of mood disorders. Evidence in humans, for instance, exists that depressed patients show blunted diurnal variation in CSF orexin levels [Salomon RM et al., *Biol Psychiatry* **2003**, 54(2), 96-104]. In rodent models of depression, orexins were also shown to be involved. Pharmacological induction of a depressive behavioral state in rats, for instance, revealed an association with increased hypothalamic orexin levels [Feng P et al., *J Psychopharmacol* **2008**, 22(7): 784-791]. A chronic stress model of depression in mice also demonstrated an association of molecular orexin system disturbances with depressed behavioral states and a reversal of these molecular changes by antidepressant treatment [Nollet et al., *NeuroPharm* **2011**, 61(1-2):336-46].

The orexin system is also involved in stress-related appetitive/reward seeking behaviour (Berridge CW et al., *Brain Res* **2009**, 1314, 91-102). In certain instances, a modulatory effect on stress may be complementary to an effect on appetitive/reward seeking behaviour as such. For instance, an OX<sub>1</sub> selective orexin receptor antagonist was able to prevent footshock stress induced reinstatement of cocaine seeking behaviour [Boutrel, B et al., *Proc Natl Acad Sci* **2005**, 102(52), 19168-19173]. In addition, stress is also known to play an integral part in withdrawal which occurs during cessation of drug taking (Koob, GF et al., *Curr Opin Investig Drugs* **2010**, 11(1), 63-71).

Orexins have been found to increase food intake and appetite [Tsujino, N, Sakurai, T, *Pharmacol Rev* **2009**, 61(2) 162-176]. As an additional environmental factor, stress can contribute to binge eating behaviour, and lead to obesity [Adam, TC et al. *Physiol Behav* **2007**, 91(4) 449-458]. Animal models that are clinically relevant models of binge eating in humans are described for example in W. Foulds Mathes et al.; *Appetite* **2009**, 52, 545-553.

A number of recent studies report that orexins may play a role into several other important functions relating to arousal, especially when an organism must respond to unexpected stressors and challenges in the environment [Tsujino N and Sakurai T. *Pharmacol Rev*. **2009**, 61:162-176; Carter ME, Borg JS and deLecea L., *Curr Op Pharmacol.* **2009**, 9: 39-45; C Boss, C Brisbare-Roch, F Jenck, *Journal of Medicinal Chemistry* **2009**, 52: 891-903]. The orexin system interacts with neural networks that regulate emotion, reward and energy homeostasis to maintain proper vigilance states. Dysfunctions in its function may thus relate

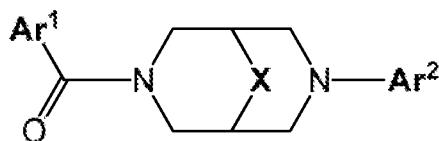
to many mental health disorders in which vigilance, arousal, wakefulness or attention is disturbed.

The compound (2R)-2-{(1S)-6,7-dimethoxy-1-[2-(4-trifluoromethyl-phenyl)-ethyl]-3,4-dihydro-1H-isoquinolin-2-yl}-N-methyl-2-phenyl-acetamide (WO2005/118548), a dual orexin receptor antagonist, showed clinical efficacy in humans when tested for the indication primary insomnia. In the rat, the compound has been shown to decrease alertness, characterized by decreases in both active wake and locomotion; and to dose-dependently increase the time spent in both REM and NREM sleep [Brisbare et al., *Nature Medicine* **2007**, 13, 150-155]. The compound further attenuated cardiovascular responses to conditioned fear and novelty exposure in rats [Furlong T M et al., *Eur J Neurosci* **2009**, 30(8), 1603-1614]. It is also active in an animal model of conditioned fear: the rat fear-potentiated startle paradigm (WO2009/047723) which relates to emotional states of fear and anxiety diseases such as anxieties including phobias and post traumatic stress disorders (PTSDs). In addition, intact declarative and non-declarative learning and memory has been demonstrated in rats treated with this compound [WO2007/105177, H Dietrich, F Jenck, *Psychopharmacology* **2010**, 212, 145-154]. Said compound furthermore decreased brain levels of amyloid-beta (A $\beta$ ) as well as A $\beta$  plaque deposition after acute sleep restriction in amyloid precursor protein transgenic mice [JE Kang et al., "Amyloid-beta dynamics are regulated by orexin and the sleep-wake cycle.", *Science* **2009**, 326(5955): 1005-1007]. The accumulation of the A $\beta$  in the brain extracellular space is hypothesized to be a critical event in the pathogenesis of Alzheimer's disease. The so-called and generally known "amyloid cascade hypothesis" links A $\beta$  to Alzheimer's disease and, thus, to the cognitive dysfunction, expressed as impairment of learning and memory. The compound has also been shown to induce antidepressant-like activity in a mouse model of depression, when administered chronically [Nollet et al., *NeuroPharm* **2011**, 61(1-2):336-46]. Moreover, the compound has been shown to attenuate the natural activation induced by orexin A in fasted hungry rats exposed to food odors [MJ Prud'homme et al., *Neuroscience* **2009**, 162(4), 1287-1298]. The compound also displayed pharmacological activity in a rat model of nicotine self-administration [LeSage MG et al., *Psychopharmacology* **2010**, 209(2), 203-212]. Another dual orexin receptor antagonist, N-biphenyl-2-yl-1-{{(1-methyl-1H-benzimidazol-2-yl)sulfanyl}acetyl}-L-prolinamide inhibited nicotine-reinstatement for a conditioned reinforcer and reduced behavioral (locomotor sensitization) and molecular (transcriptional responses) changes induced by repeated amphetamine administration in rodents [Winrow et al., *Neuropharmacology* **2009**, 58(1), 185-94].

The present invention provides novel 3,7-diazabicyclo[3.3.1]nonane and 9-oxa-3,7-diazabicyclo[3.3.1]nonane derivatives, which are non-peptide antagonists of human orexin

receptors. These compounds are in particular of potential use in the treatment of disorders relating to orexinergic dysfunctions, comprising especially sleep disorders, anxiety disorders, addiction disorders, cognitive dysfunctions, mood disorders, or appetite disorders. Particular compounds of the present invention may notably be useful to treat disorders relating to orexinergic dysfunctions which are mediated by the orexin 1 receptor. <sup>6</sup>

1) A first aspect of the invention relates to compounds of the formula (I)



Formula (I)

wherein

**X** represents CH<sub>2</sub> or O;

- **Ar<sup>1</sup>** represents phenyl or 5- or 6-membered heteroaryl, wherein said phenyl or 5- or 6-membered heteroaryl independently is mono-, di-, or tri-substituted; wherein
  - one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein said substituent is phenyl or 5- or 6-membered heteroaryl; wherein said phenyl or 5- or 6-membered heteroaryl substituent is independently unsubstituted, mono-, di-, or tri-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy; or said substituent is a benzo[1,3]dioxolyl group;
  - and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl;
- or **Ar<sup>1</sup>** represents phenyl which is mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl; (C<sub>1-4</sub>)alkoxy; halogen; cyano; (C<sub>1-3</sub>)fluoroalkyl; (C<sub>1-3</sub>)fluoroalkoxy; (C<sub>1-3</sub>)alkoxy-(C<sub>1-4</sub>)alkoxy; and benzoyl;

and

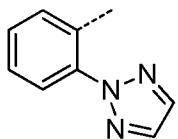
**Ar<sup>2</sup>** represents 5- to 10-membered heteroaryl which is unsubstituted, or mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy.

The compounds of formula (I) contain two stereogenic centers depending on each other (i.e. the relative configuration with regard to the bridge X in the 3,7-diazabicyclo[3.3.1]nonane, respectively, 9-oxa-3,7-diazabicyclo[3.3.1]nonane moiety is cis or (1R\*,5S\*)) and are therefore present as meso-compounds.

In addition, the compounds of formula (I) may contain one or more stereogenic or asymmetric centers, such as one or more asymmetric carbon atoms. The compounds of formula (I) may thus be present as mixtures of stereoisomers or preferably as pure stereoisomers. Mixtures of stereoisomers may be separated in a manner known to a person skilled in the art.

The present invention also includes isotopically labelled, especially  $^2\text{H}$  (deuterium) labelled compounds of formula (I), which compounds are identical to the compounds of formula (I) except that one or more atoms have each been replaced by an atom having the same atomic number but an atomic mass different from the atomic mass usually found in nature. Isotopically labelled, especially  $^2\text{H}$  (deuterium) labelled compounds of formula (I) and salts thereof are within the scope of the present invention. Substitution of hydrogen with the heavier isotope  $^2\text{H}$  (deuterium) may lead to greater metabolic stability, resulting e.g. in increased *in-vivo* half-life or reduced dosage requirements, or may lead to reduced inhibition of cytochrome P450 enzymes, resulting e.g. in an improved safety profile. In one embodiment of the invention, the compounds of formula (I) are not isotopically labelled, or they are labelled only with one or more deuterium atoms. In a sub-embodiment, the compounds of formula (I) are not isotopically labelled at all. Isotopically labelled compounds of formula (I) may be prepared in analogy to the methods described hereinafter, but using the appropriate isotopic variation of suitable reagents or starting materials.

In this patent application, a dotted line shows the point of attachment of the radical drawn. For example, the radical drawn below



2-(2-triazolyl)-phenyl group.

Where the plural form is used for compounds, salts, pharmaceutical compositions, diseases and the like, this is intended to mean also a single compound, salt, or the like.

Any reference to compounds of formula (I) is to be understood as referring also to the salts (and especially the pharmaceutically acceptable salts) of such compounds, as appropriate and expedient.

The term "pharmaceutically acceptable salts" refers to non-toxic, inorg. or organic acid and/or base addition salts. Reference can be made to "Salt selection for basic drugs", *Int. J. Pharm.* (1986), **33**, 201-217.

### Definitions:

The following definitions are intended to apply uniformly to the compounds of formula (I) according to embodiment 1) and, *mutatis mutandis*, throughout the description and the claims unless an otherwise expressly set out definition provides a broader or narrower definition. It is well understood that a definition, a preferred definition, or listed examples of a certain term defines and may replace the respective term throughout the application [independently of (and in combination with) any definition or preferred definition of any or all other terms as defined herein].

The term "halogen" refers to fluorine, chlorine, or bromine, preferably fluorine or chlorine.

The term "alkyl", used alone or in combination, refers to a saturated straight or branched chain alkyl group containing one to six carbon atoms. The term " $(C_{x-y})$ alkyl" (x and y each being an integer), refers to an alkyl group as defined before, containing x to y carbon atoms. For example a  $(C_{1-4})$ alkyl group contains from one to four carbon atoms. Examples of alkyl groups are methyl, ethyl, propyl, isopropyl, n-butyl, isobutyl, sec.-butyl and tert.-butyl. Preferred are methyl and ethyl. Most preferred is methyl.

The term "cycloalkyl", used alone or in combination, refers to a saturated cyclic alkyl group containing three to six carbon atoms. The term " $(C_{x-y})$ cycloalkyl" (x and y each being an integer), refers to a cycloalkyl group as defined before containing x to y carbon atoms. For example a  $(C_{3-6})$ cycloalkyl group contains from three to six carbon atoms. Examples of cycloalkyl groups are cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl. Preferred is cyclopropyl.

The term "alkoxy", used alone or in combination, refers to an alkyl-O- group wherein the alkyl group is as defined before. The term " $(C_{x-y})$ alkoxy" (x and y each being an integer) refers to an alkoxy group as defined before containing x to y carbon atoms. For example a  $(C_{1-4})$ alkoxy group means a group of the formula  $(C_{1-4})$ alkyl-O- in which the term " $(C_{1-4})$ alkyl" has the previously given significance. Examples of alkoxy groups are methoxy, ethoxy, n-propoxy, isopropoxy, n-butoxy, isobutoxy, sec.-butoxy and tert.-butoxy. Preferred are ethoxy and especially methoxy.

The term "fluoroalkyl" refers to an alkyl group as defined before containing one to three carbon atoms in which one or more (and possibly all) hydrogen atoms have been replaced with fluorine. The term " $(C_{x-y})$ fluoroalkyl" (x and y each being an integer) refers to a fluoroalkyl group as defined before containing x to y carbon atoms. For example a  $(C_{1-3})$ fluoroalkyl

group contains from one to three carbon atoms in which one to seven hydrogen atoms have been replaced with fluorine. Representative examples of fluoroalkyl groups include trifluoromethyl, 2-fluoroethyl, 2,2-difluoroethyl and 2,2,2-trifluoroethyl. Preferred are (C<sub>1</sub>)fluoroalkyl groups such as trifluoromethyl.

The term "fluoroalkoxy" refers to an alkoxy group as defined before containing one to three carbon atoms in which one or more (and possibly all) hydrogen atoms have been replaced with fluorine. The term "(C<sub>x-y</sub>)fluoroalkoxy" (x and y each being an integer) refers to a fluoroalkoxy group as defined before containing x to y carbon atoms. For example a (C<sub>1-3</sub>)fluoroalkoxy group contains from one to three carbon atoms in which one to seven hydrogen atoms have been replaced with fluorine. Representative examples of fluoroalkoxy groups include trifluoromethoxy, difluoromethoxy, 2-fluoroethoxy, 2,2-difluoroethoxy and 2,2,2-trifluoroethoxy. Preferred are (C<sub>1</sub>)fluoroalkoxy groups such as trifluoromethoxy and difluoromethoxy.

Particular examples of **Ar**<sup>1</sup> representing a phenyl group which is mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl; (C<sub>1-4</sub>)alkoxy; halogen; cyano; (C<sub>1-3</sub>)fluoroalkyl; (C<sub>1-3</sub>)fluoroalkoxy; (C<sub>1-3</sub>)alkoxy-(C<sub>1-4</sub>)alkoxy; and benzoyl are 2-bromo-5-methoxy-phenyl, 2-bromo-5-methyl-phenyl, 2,5-dimethyl-phenyl, 2,5-dichloro-phenyl, 3-chloro-6-methoxy-phenyl, 2,5-dimethoxy-phenyl, 2-trifluoromethoxy-phenyl, 3-chloro-6-ethoxy-phenyl, 3-fluoro-2-propoxy-phenyl, 2-ethoxy-phenyl, 2-benzoyl-phenyl, 2-(2-methoxy-ethoxy)-phenyl, and 2-(2,2,1,1-tetrafluoro-ethoxy)-phenyl.

Particular examples of **Ar**<sup>1</sup> representing a phenyl group, wherein said phenyl is mono-, di-, or tri-substituted; wherein one of said substituents is attached in *ortho*-position to the point of attachment of **Ar**<sup>1</sup> to the rest of the molecule; are such that the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy. In a sub-embodiment, such groups **Ar**<sup>1</sup> are mono- or disubstituted. In a further sub-embodiment, said other substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen. Particular examples of such phenyl groups which are further substituted in *ortho* position as used for the group **Ar**<sup>1</sup> are 1,2-phenylene, 4-methyl-1,2-phenylene, 5-methyl-1,2-phenylene, 6-methyl-1,2-phenylene, 5-fluoro-1,2-phenylene, 6-fluoro-1,2-phenylene, 5-chloro-1,2-phenylene, 5-cyano-1,2-phenylene, 5-methoxy-1,2-phenylene, 4,5-dimethoxy-1,2-phenylene, 5-trifluoromethyl-1,2-phenylene, 5-trifluoromethoxy-1,2-phenylene, 6-fluoro-5-methyl-1,2-phenylene, and 6-fluoro-5-methoxy-1,2-phenylene [notably 1,2-phenylene, 5-methyl-1,2-phenylene, 6-methyl-1,2-phenylene, 6-fluoro-1,2-phenylene, 5-chloro-1,2-phenylene, and 5-methoxy-1,2-phenylene]; wherein in the above groups the carbonyl group is attached in position 1.

Examples of the particular phenyl groups which are substituents of the group **Ar**<sup>1</sup> are especially phenyl groups which are unsubstituted, mono-, or di-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably from (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl]. Particular examples are phenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, 3,4-dimethyl-phenyl, 3-methoxy-phenyl, 2-fluoro-phenyl, 3-fluoro-phenyl, 4-fluoro-phenyl, 3,5-difluoro-phenyl, 4-fluoro-3-methyl-phenyl, 3-fluoro-4-methyl-phenyl, 3-chloro-phenyl, 4-chloro-phenyl, 2,3-dichloro-phenyl, 3,4-dichloro-phenyl, 4-bromo-phenyl, 3-trifluoromethyl-phenyl, 4-trifluoromethyl-phenyl. In addition to the above-listed, further particular examples are 4-fluoro-2-methyl-phenyl, 2,3-dimethyl-phenyl, 2-ethyl-phenyl, 2-methoxy-phenyl, 4-methoxy-phenyl, 4-ethoxy-phenyl, 3-cyano-phenyl, 4-cyano-phenyl, 3-trifluoromethoxy-phenyl, 3-trifluoromethoxy-phenyl. In one sub-embodiment, in particular when **Ar**<sup>1</sup> is a phenyl group, such phenyl substituent is unsubstituted phenyl; or 2-fluoro-phenyl, 3-fluoro-phenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, 4-fluoro-2-methyl-phenyl, 2,3-dimethyl-phenyl, 2-ethyl-phenyl, 3,4-dichloro-phenyl, 2-methoxy-phenyl, 3-methoxy-phenyl, 4-methoxy-phenyl, 4-ethoxy-phenyl, 3-cyano-phenyl, 4-cyano-phenyl, 3-trifluoromethyl-phenyl, 3-trifluoromethoxy-phenyl, 3-trifluoromethoxy-phenyl. In another sub-embodiment, in particular when **Ar**<sup>1</sup> is a heteroaryl group, such phenyl substituent is phenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, 3,4-dimethyl-phenyl, 3-methoxy-phenyl, 4-fluoro-phenyl, 3,5-difluoro-phenyl, 4-fluoro-3-methyl-phenyl, 3-fluoro-4-methyl-phenyl, 4-chloro-phenyl, 2,3-dichloro-phenyl, 3,4-dichloro-phenyl, 4-bromo-phenyl, 3-trifluoromethyl-phenyl, or 4-trifluoromethyl-phenyl; or, in addition, 3-chloro-phenyl.

The term "heteroaryl", if not explicitly stated otherwise, means a 5- to 10-membered monocyclic or bicyclic aromatic ring containing 1 to a maximum of 4 (notably 1 to a maximum of 3) heteroatoms independently selected from oxygen, nitrogen and sulfur. Examples of such heteroaryl groups are 5-membered monocyclic heteroaryl groups such as furanyl, oxazolyl, isoxazolyl, oxadiazolyl, thienyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrrolyl, imidazolyl, pyrazolyl, and triazolyl; 6-membered monocyclic heteroaryl such as pyridyl, pyrimidyl, pyridazinyl, and pyrazinyl; and 8- to 10-membered bicyclic heteroaryl such as indolyl, isoindolyl, benzofuranyl, isobenzofuranyl, benzothiophenyl, indazolyl, benzimidazolyl, benzoxazolyl (or benzooxazolyl), benzisoxazolyl, benzothiazolyl, benzoisothiazolyl, benzotriazolyl, benzoxadiazolyl, benzothiadiazolyl, quinolinyl, isoquinolinyl, naphthyridinyl, cinnolinyl, quinazolinyl, quinoxalinyl, phthalazinyl, pyrazolo[1,5-a]pyridyl, pyrazolo[1,5-a]pyrimidyl, imidazo[1,2-a]pyridyl, 1H-pyrrolo[3,2-b]pyridyl, 1H-pyrrolo[2,3-b]pyridyl, pyrrolo[3,2-d]pyrimidinyl, pyrrolo[2,3-d]pyrimidinyl, 4H-furo[3,2-b]pyrrolyl, pyrrolo[2,1-b]thiazolyl, imidazo[2,1-b]thiazolyl and purinyl; and, in addition to the above-listed groups, thiazolo[5,4-b]pyridyl.

Examples of the particular 5- or 6-membered heteroaryl groups which are further substituted in ortho position as used for the group  $\text{Ar}^1$  are notably oxazolyl, isoxazolyl, thienyl, thiazolyl, isothiazolyl, pyridyl, pyrimidyl and pyrazinyl. In a sub-embodiment, examples are oxazolyl (in particular 2-methyl-oxazol-4,5-diyl), isoxazolyl (in particular 5-methyl-isoxazol-3,4-diyl), thiazolyl (in particular 2-methyl-thiazol-4,5-diyl, 2-dimethylamino-thiazol-4,5-diyl, 2-cyclopropyl-thiazol-4,5-diyl), pyridyl (in particular pyridin-2,3-diyl, 6-methyl-pyridin-2,3-diyl), pyrimidyl (in particular pyrimidin-4,5-diyl, 2-methyl-pyrimidin-4,5-diyl), and pyrazinyl (in particular pyrazin-2,3-diyl) [especially, examples are thiazolyl and pyridyl]. These groups are at least mono-substituted in ortho position, and preferably are carry no further substituent or one further substituent as explicitly defined. In particular such optional further substituent may independently be selected from ( $\text{C}_{1-4}$ )alkyl, ( $\text{C}_{1-4}$ )alkoxy, ( $\text{C}_{3-6}$ )cycloalkyl, halogen, cyano, ( $\text{C}_{1-3}$ )fluoroalkyl, ( $\text{C}_{1-3}$ )fluoroalkoxy, and  $-\text{NR}^4\text{R}^5$ , wherein  $\text{R}^4$  and  $\text{R}^5$  are independently selected from hydrogen and ( $\text{C}_{1-4}$ )alkyl [especially from ( $\text{C}_{1-4}$ )alkyl, ( $\text{C}_{3-6}$ )cycloalkyl, and  $-\text{NR}^4\text{R}^5$ , wherein  $\text{R}^4$  and  $\text{R}^5$  are independently selected from hydrogen and ( $\text{C}_{1-4}$ )alkyl; notably from methyl, cyclopropyl, and dimethylamino]. The above groups are preferably attached to the rest of the molecule (i.e. the carbonyl group) in position 4 of oxazolyl, isoxazolyl, or thiazolyl groups, in position 2 of pyridyl or pyrazinyl groups, or in position 5 of pyrimidinyl groups. In a sub-embodiment, examples of such groups are 2-methyl-thiazol-4,5-diyl, 2-dimethylamino-thiazol-4,5-diyl, 2-cyclopropyl-thiazol-4,5-diyl, and 6-methyl-pyridin-2,3-diyl.

Examples of 5- or 6-membered monocyclic heteroaryl groups as used for the group  $\text{Ar}^2$  are notably 6-membered heteroaryl groups. Particular examples are oxazolyl, isoxazolyl, thienyl, thiazolyl, isothiazolyl, pyridyl, pyrimidyl and pyrazinyl groups. In a sub-embodiment, particular examples are pyridyl, pyrazinyl, and especially pyrimidyl (notably pyrimidin-2-yl) groups. These groups may be unsubstituted or substituted as explicitly defined. In particular they may be unsubstituted, or mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of ( $\text{C}_{1-4}$ )alkyl, ( $\text{C}_{1-4}$ )alkoxy, ( $\text{C}_{3-6}$ )cycloalkyl, halogen, cyano, ( $\text{C}_{1-3}$ )fluoroalkyl, and ( $\text{C}_{1-3}$ )fluoroalkoxy. Notably they may be unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of ( $\text{C}_{1-4}$ )alkyl, ( $\text{C}_{1-4}$ )alkoxy, halogen, and ( $\text{C}_{1-3}$ )fluoroalkyl. In a further sub-embodiment, particular examples of such groups are 5-ethyl-pyrimidin-2-yl, 4-trifluoromethyl-pyrimidin-2-yl, 5-trifluoromethyl-pyrimidin-2-yl, 4,6-dimethoxy-pyrimidin-2-yl, and 5-bromo-pyrimidin-2-yl. In addition to the above-listed, a further particular example is 4,6-dimethyl-pyrimidin-2-yl.

Examples of 8- to 10-membered bicyclic heteroaryl groups as used for the group  $\text{Ar}^2$  are notably 9- or 10-membered bicyclic heteroaryl groups. In one embodiment, examples are benzimidazolyl, benzoxazolyl, benzod[*d*]isoxazolyl, benzothiazolyl, benzod[*d*]isothiazolyl,

thiazolo[5,4-b]pyridin-2-yl, quinolinyl, naphthyridinyl, quinazolinyl, and quinoxaliny. In a sub-embodiment examples are benzoxazol-2-yl, benzothiazol-2-yl, quinazolin-2-yl, quinoxalin-2-yl, thiazolo[5,4-b]pyridin-2-yl, and [1,7]naphthyridin-8-yl. In another embodiment, examples are indolyl, benzofuranyl, benzothiophenyl, indazolyl, benzoxazolyl, benzisoxazolyl, benzothiazolyl, benzoisothiazolyl, quinolinyl, naphthyridinyl, cinnolinyl, quinazolinyl, and quinoxaliny (especially benzoxazolyl, benzisoxazolyl, benzothiazolyl, benzoisothiazolyl, and quinoxaliny). In a sub-embodiment examples are benzimidazolyl, benzoxazolyl, benzo[d]isoxazolyl, benzothiazolyl, benzo[d]isothiazolyl, quinolinyl, quinazolinyl, and quinoxaliny. In a further sub-embodiment examples are benzoxazol-2-yl, benzothiazol-2-yl, quinazolin-2-yl, and quinoxalin-2-yl. The above mentioned groups may be unsubstituted or substituted as explicitly defined. In particular they may be unsubstituted, or mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy. Notably they may be unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl [especially from (C<sub>1-4</sub>)alkyl, and halogen; or from (C<sub>1-4</sub>)alkoxy and halogen; notably the substituents are halogen]. Particular examples of such groups are benzoxazol-2-yl, 5-fluoro-benzoxazol-2-yl, 6-fluoro-benzoxazol-2-yl, 4-chloro-benzoxazol-2-yl, 5-chloro-benzoxazol-2-yl, 6-chloro-benzoxazol-2-yl, benzo[d]isoxazol-3-yl, 5-methyl-benzo[d]isoxazol-3-yl, 6-methyl-benzo[d]isoxazol-3-yl, 5-fluoro-benzo[d]isoxazol-3-yl, 6-fluoro-benzo[d]isoxazol-3-yl, 5-chloro-benzo[d]isoxazol-3-yl, 6-chloro-benzo[d]isoxazol-3-yl, benzothiazol-2-yl, 5-fluoro-benzothiazol-2-yl, 6-fluoro-benzothiazol-2-yl, 5-chloro-benzothiazol-2-yl, 6-chloro-benzothiazol-2-yl, 5-chloro-benzo[d]isothiazol-3-yl, 6-chloro-benzo[d]isothiazol-3-yl, quinazolin-2-yl, quinoxalin-2-yl, 6-fluoro-quinoxalin-2-yl, 7-fluoro-quinoxalin-2-yl, 8-fluoro-quinoxalin-2-yl, 6-chloro-quinoxalin-2-yl, 7-chloro-quinoxalin-2-yl, 8-chloro-quinoxalin-2-yl, 5,6-difluoro-quinoxalin-2-yl, 6,7-difluoro-quinoxalin-2-yl, 7,8-difluoro-quinoxalin-2-yl, 6,7-dichloro-quinoxalin-2-yl, 6-methyl-quinoxalin-2-yl, 7-methyl-quinoxalin-2-yl, 8-methyl-quinoxalin-2-yl, 6,7-dimethyl-quinoxalin-2-yl, 7-fluoro-6-methyl-quinoxalin-2-yl, 6-fluoro-7-methyl-quinoxalin-2-yl, 6-methoxy-quinoxalin-2-yl, 7-methoxy-quinoxalin-2-yl, 7-fluoro-6-methoxy-quinoxalin-2-yl, 6-trifluoromethyl-quinoxalin-2-yl, and 7-trifluoromethyl-quinoxalin-2-yl. In addition to the above-listed, further particular examples are thiazolo[5,4-b]pyridin-2-yl, 6-fluoro-thiazolo[5,4-b]pyridin-2-yl, and 6-methyl-[1,7]naphthyridin-8-yl.

In a sub-embodiment, particular examples are benzoxazol-2-yl, 5-chloro-benzoxazol-2-yl, benzothiazol-2-yl, 6-chloro-benzothiazol-2-yl, 6-fluoro-benzothiazol-2-yl, quinazolin-2-yl, quinoxalin-2-yl, 6-chloro-quinoxalin-2-yl, 7-chloro-quinoxalin-2-yl, 6-fluoro-quinoxalin-2-yl, 7-fluoro-quinoxalin-2-yl, 6,7-dichloro-quinoxalin-2-yl, 6,7-difluoro-quinoxalin-2-yl, 6-methyl-quinoxalin-2-yl, 7-methyl-quinoxalin-2-yl, 8-methyl-quinoxalin-2-yl, 6,7-dimethyl-quinoxalin-2-yl, 7-fluoro-6-methyl-quinoxalin-2-yl, 6-fluoro-7-methyl-quinoxalin-2-yl, 6-methoxy-quinoxalin-2-yl, 7-methoxy-quinoxalin-2-yl, 7-fluoro-6-methoxy-quinoxalin-2-yl, 6-trifluoromethyl-quinoxalin-2-yl, and 7-trifluoromethyl-quinoxalin-2-yl.

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yl, 7-fluoro-6-methyl-quinoxalin-2-yl, 6-fluoro-7-methyl-quinoxalin-2-yl, 6-methoxy-quinoxalin-2-yl, 7-methoxy-quinoxalin-2-yl, 7-fluoro-6-methoxy-quinoxalin-2-yl, 6-trifluoromethyl-quinoxalin-2-yl, and 7-trifluoromethyl-quinoxalin-2-yl. In addition to the above-listed, further particular examples are thiazolo[5,4-b]pyridin-2-yl, 6-fluoro-thiazolo[5,4-b]pyridin-2-yl, and 6-methyl-[1,7]naphthyridin-8-yl.

For the substituent **Ar**<sup>2</sup>, among the above-mentioned heteroaryl groups those heteroaryl groups that may be attached to the rest of the molecule at a ring carbon atom which is in alpha position to one or two ring heteroatom(s) (especially N or O) form a particular sub-embodiment. These groups are preferably attached to the rest of the molecule on a carbon atom next to said heteroatom (notably next to a nitrogen atom). Examples of such groups are the 5-membered heteroaryl groups oxazol-2-yl, thiazol-2-yl, imidazol-2-yl, and pyrazol-3-yl; the 6-membered heteroaryl groups pyridin-2-yl, pyrimid-2-yl, pyrimid-4-yl, pyridazin-3-yl, and pyrazin-2-yl; and the bicyclic heteroaryl groups benzimidazol-2-yl, benzoxazol-2-yl, benzo[d]isothiazol-3-yl, benzothiazol-2-yl, benzo[d]isothiazol-3-yl, quinolin-2-yl, quinazolin-2-yl, and quinoxalin-2-yl; and, in addition, thiazolo[5,4-b]pyridin-2-yl, and [1,7]naphthyridin-8-yl.

Examples of the particular 5- or 6-membered heteroaryl groups which are substituents of the group **Ar**<sup>1</sup> are notably oxazolyl, isoxazolyl, oxadiazolyl, thienyl, thiazolyl, isothiazolyl, thiadiazolyl, imidazolyl, pyrazolyl, triazolyl, pyridyl, pyrimidyl, and pyrazinyl (especially isoxazolyl, oxadiazolyl, pyrazolyl, triazolyl, pyridyl, and pyrimidyl, notably pyrazol-1-yl, and [1,2,3]triazol-2-yl). The above mentioned groups may be unsubstituted or substituted as explicitly defined. In a sub-embodiment, they are unsubstituted. Particular examples are pyrazol-1-yl, [1,2,3]triazol-2-yl, 6-methoxy-pyridin-3-yl, and 3-methyl-[1,2,4]oxadiazol-5-yl [notably pyrazol-1-yl, and especially [1,2,3]triazol-2-yl]. In addition to the above-listed, further particular examples are pyrimidin-2-yl and pyridin-2-yl.

Further embodiments of the invention are presented hereinafter:

- 2) A second embodiment relates to compounds according to embodiment 1), wherein **X** represents CH<sub>2</sub>.
- 3) A third embodiment relates to compounds according to embodiment 1), wherein **X** represents O.
- 4) Another embodiment relates to compounds according to any one of embodiments 1) to 3), wherein **Ar**<sup>1</sup> represents phenyl or 5- or 6-membered heteroaryl, wherein the phenyl or 5- or 6-membered heteroaryl independently is mono-, di-, or tri-substituted; wherein
  - one of said substituents is attached in *ortho*-position to the point of attachment of **Ar**<sup>1</sup> to the rest of the molecule; wherein said *ortho*-substituent is phenyl or 5- or 6-membered heteroaryl; wherein said phenyl or 5- or 6-membered heteroaryl substituent is

independently unsubstituted, mono-, di-, or tri-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy;

- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl.

5) Another embodiment relates to compounds according to any one of embodiments 1) to 3), wherein **Ar**<sup>1</sup> represents 5- or 6-membered heteroaryl, wherein the 5- or 6-membered heteroaryl independently is mono-, di-, or tri-substituted (especially mono- or di-substituted); wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein said *ortho*-substituent is phenyl or 5- or 6-membered heteroaryl; wherein said phenyl or 5- or 6-membered heteroaryl substituent is independently unsubstituted, mono-, di-, or tri-substituted (especially unsubstituted or mono-substituted), wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy (especially (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen);
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl (especially (C<sub>1-4</sub>)alkyl), (C<sub>3-6</sub>)cycloalkyl, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl).

6) Another embodiment relates to compounds according to any one of embodiments 1) to 3), wherein **Ar**<sup>1</sup> represents phenyl which is mono-, di-, or tri-substituted (especially mono- or di-substituted); wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein said *ortho*-substituent is phenyl or 5- or 6-membered heteroaryl (especially phenyl or 5-membered heteroaryl); wherein said phenyl or 5- or 6-membered heteroaryl substituent is independently unsubstituted (preferred sub-embodiment), or mono-, di-, or tri-substituted [especially unsubstituted, or mono-, di-substituted], wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably from (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl];

- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [especially (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen].

7) Another embodiment relates to compounds according to any one of embodiments 1) to 3), wherein

**Ar<sup>1</sup>** represents 5-membered heteroaryl, wherein the 5-membered heteroaryl is mono- or di-substituted; wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein said *ortho*-substituent is phenyl which is unsubstituted, mono-, di-, or tri-substituted (especially unsubstituted or mono-substituted), wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy (especially (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen);
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl [especially (C<sub>1-4</sub>)alkyl], (C<sub>3-6</sub>)cycloalkyl, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl; notably (C<sub>1-4</sub>)alkyl);

or **Ar<sup>1</sup>** represents 6-membered heteroaryl, wherein the 6-membered heteroaryl is mono-, di-, or tri-substituted (especially di-substituted); wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein
  - said *ortho*-substituent is unsubstituted 5-membered heteroaryl (preferred embodiment);
  - or said *ortho*-substituent is phenyl which is unsubstituted or mono-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen;
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl (especially (C<sub>1-4</sub>)alkyl);

or **Ar<sup>1</sup>** represents phenyl which is mono-, di-, or tri-substituted (especially mono- or di-substituted); wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein

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said *ortho*-substituent is phenyl which is unsubstituted (preferred embodiment), or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably from (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl];

or said *ortho*-substituent is unsubstituted 5-membered heteroaryl;

- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen; especially (C<sub>1-4</sub>)alkyl and halogen].

8) Another embodiment relates to compounds according to any one of embodiments 1) to 3), wherein **Ar<sup>1</sup>** represents 5-membered heteroaryl, wherein the 5-membered heteroaryl is mono- or di-substituted; wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein said *ortho*-substituent is phenyl which is unsubstituted, mono-, di-, or tri-substituted (especially unsubstituted or mono-substituted), wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy (especially (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen);
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl (especially (C<sub>1-4</sub>)alkyl), (C<sub>3-6</sub>)cycloalkyl, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl; notably (C<sub>1-4</sub>)alkyl)).

9) Another embodiment relates to compounds according to any one of embodiments 1) to 3), wherein **Ar<sup>1</sup>** represents 6-membered heteroaryl, wherein the 6-membered heteroaryl is mono-, di-, or tri-substituted (especially di-substituted); wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein  
said *ortho*-substituent is unsubstituted 5-membered heteroaryl (preferred embodiment);  
or said *ortho*-substituent is phenyl which is unsubstituted or mono-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen;

- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl (especially (C<sub>1-4</sub>)alkyl).

10) Another embodiment relates to compounds according to any one of embodiments 1) to 3), wherein **Ar**<sup>1</sup> represents phenyl which is mono-, di-, or tri-substituted (especially mono- or di-substituted); wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein
  - said *ortho*-substituent is phenyl which is unsubstituted (preferred sub-embodiment), or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably from (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl]; or said *ortho*-substituent is unsubstituted 5-membered heteroaryl (another preferred sub-embodiment);
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen; especially (C<sub>1-4</sub>)alkyl and halogen].

11) Another embodiment relates to compounds according to any one of embodiments 1) to 10), wherein,

- in case **Ar**<sup>1</sup> represents a 5-membered heteroaryl group, such group is an oxazolyl, or thiazolyl group (especially a thiazolyl group); and / or
- in case **Ar**<sup>1</sup> represents a 6-membered heteroaryl group, such group is a pyridinyl or pyrimidinyl group (especially a pyridinyl group);

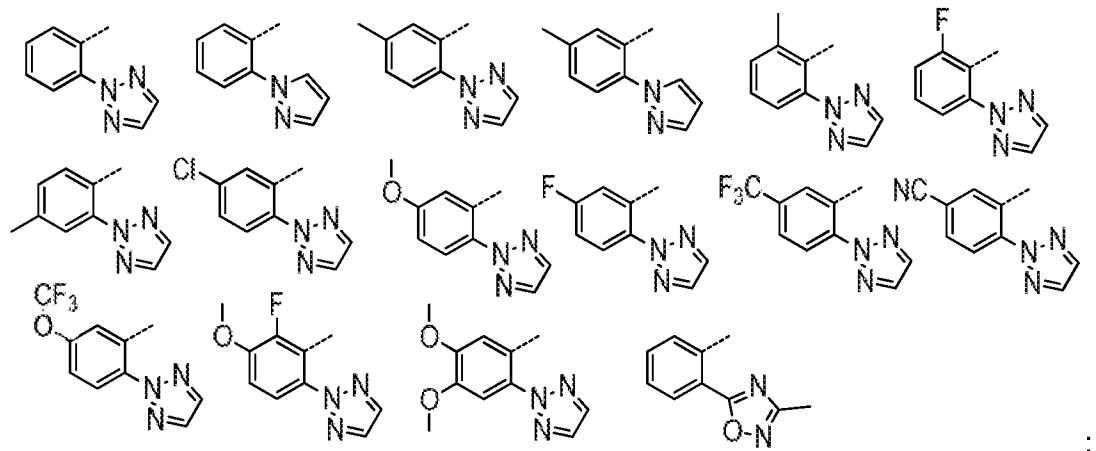
wherein said groups independently are substituted as explicitly defined.

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12) Another embodiment relates to compounds according to any one of the embodiments 1) to 3) wherein **Ar<sup>1</sup>** is a group selected from the group consisting of the following groups:

A)

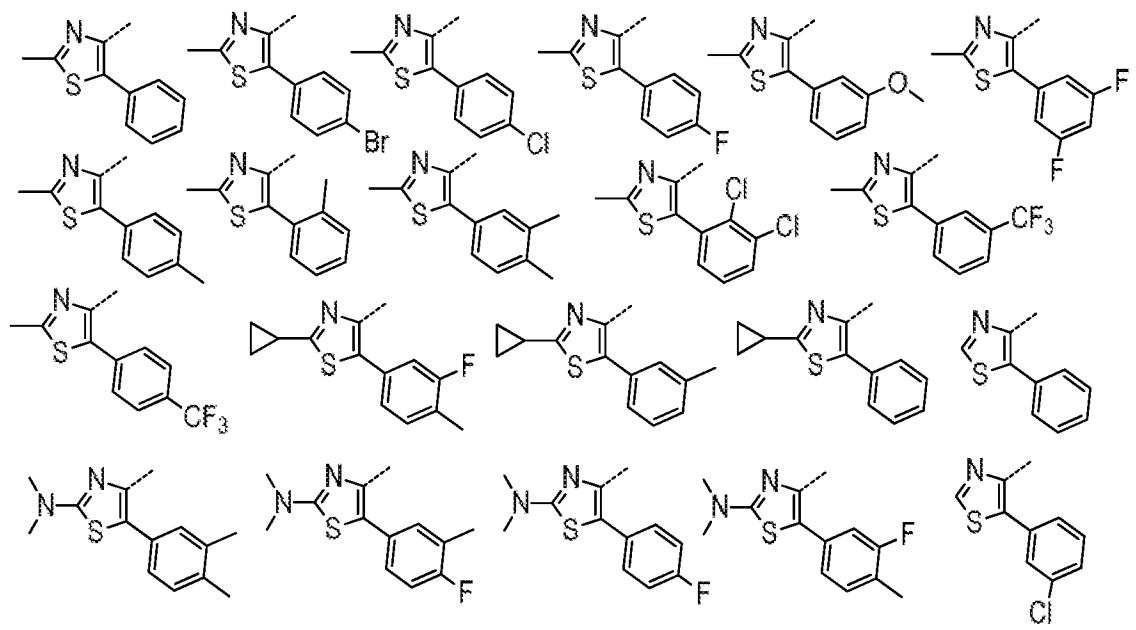


B)

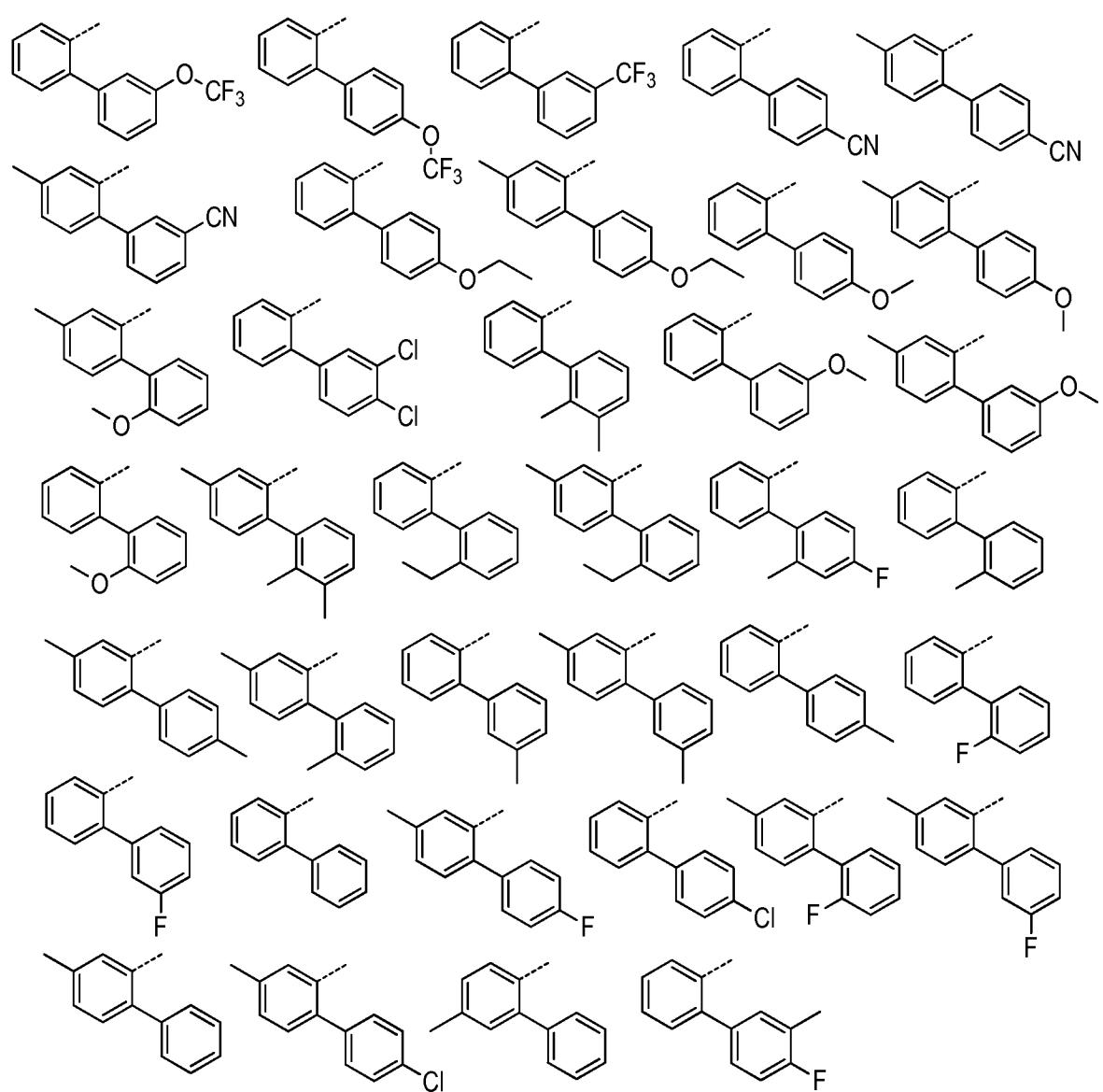


c)

D)

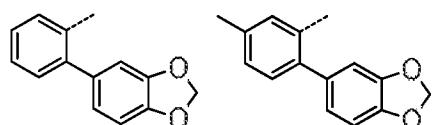


E)

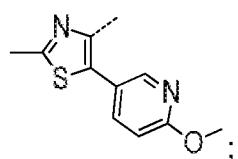


;

F)



and G)

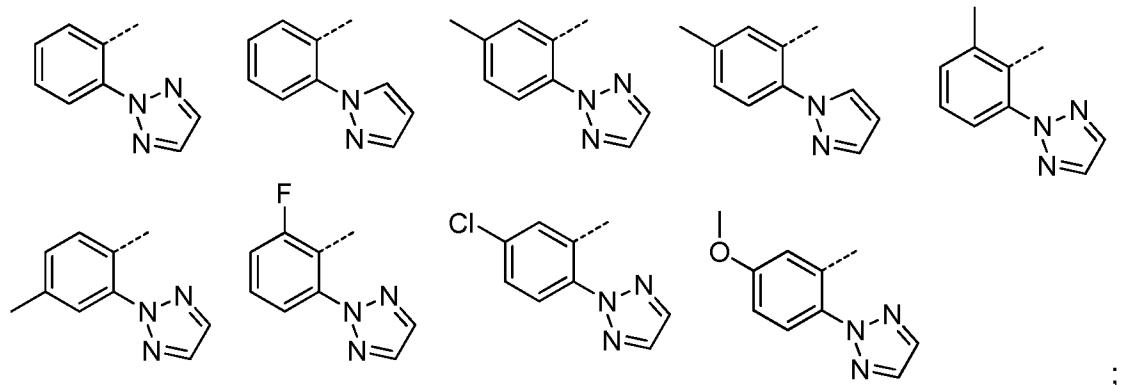


wherein each of the groups A) to G) forms a particular sub-embodiment.

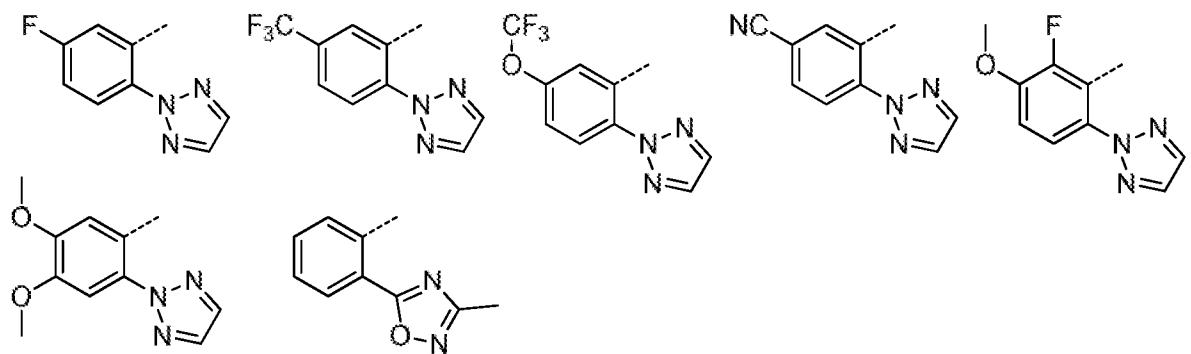
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13) Another embodiment relates to compounds according to any one of the embodiments 1) to 3) wherein **Ar<sup>1</sup>** is a group selected from the group consisting of the following groups:

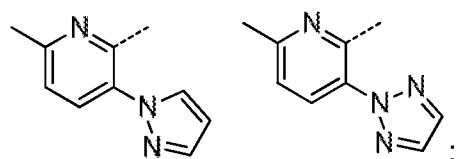
A)



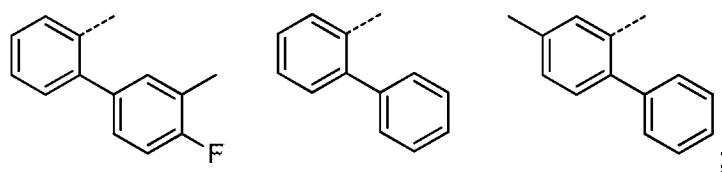
B)



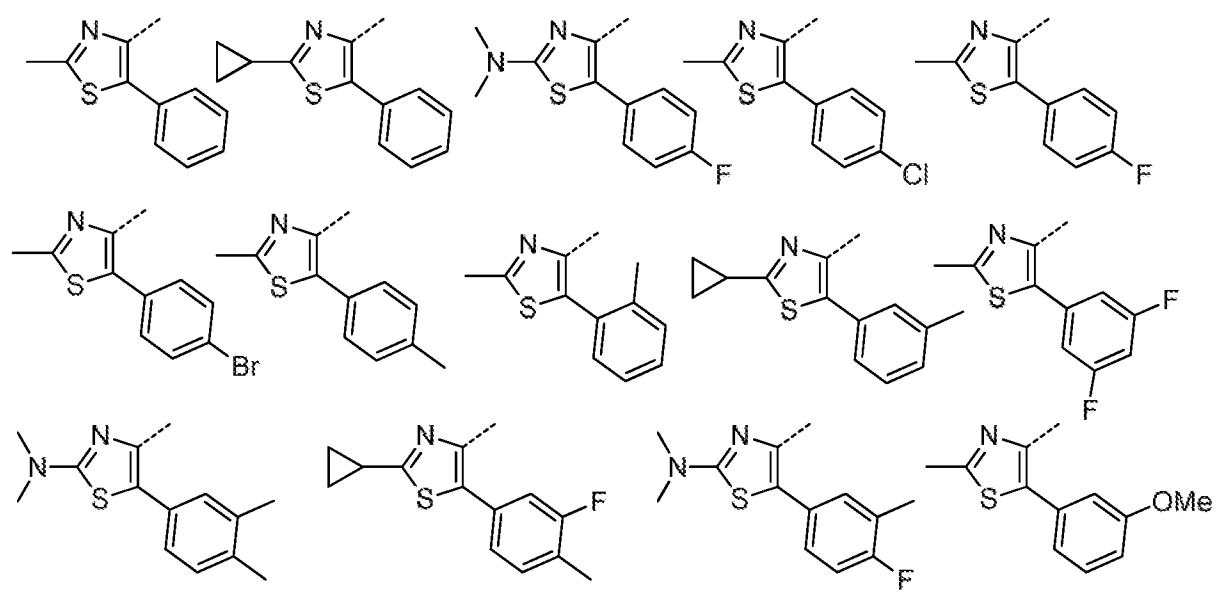
C)



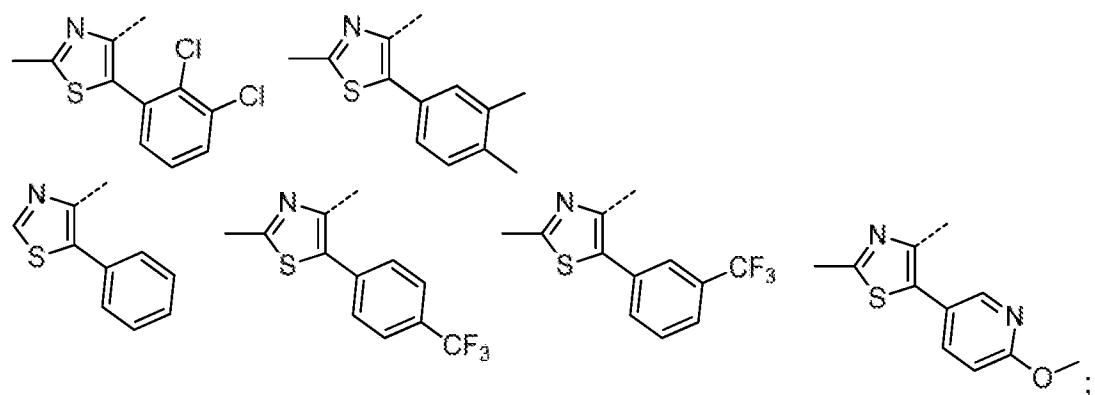
D)



E)

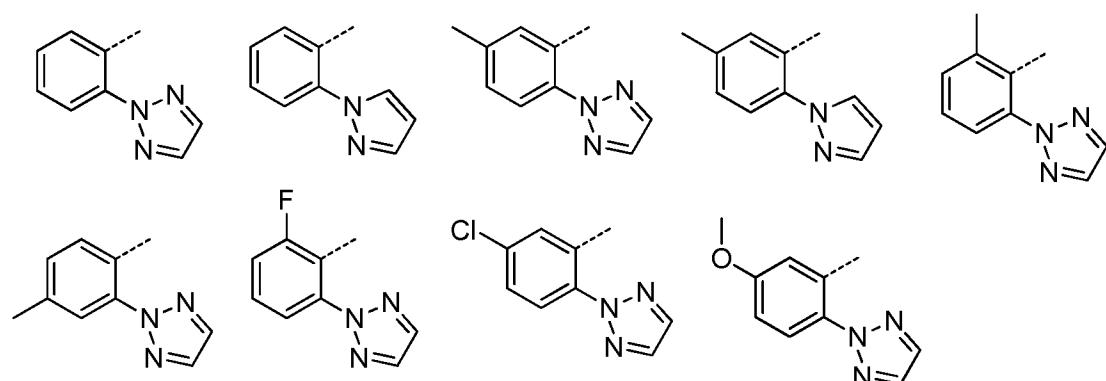


F)

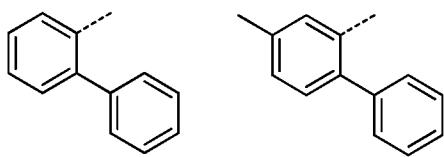


wherein each of the groups A) to F) forms a particular sub-embodiment [and wherein groups listed under A), C), D), and E); notably A), D), and E); and especially A) and D); are preferred groups].

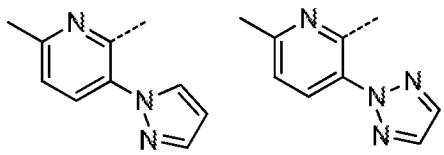
14) Another embodiment relates to compounds according to any one of the embodiments 1) to 3) wherein **Ar**<sup>1</sup> is a group selected from the group consisting of:



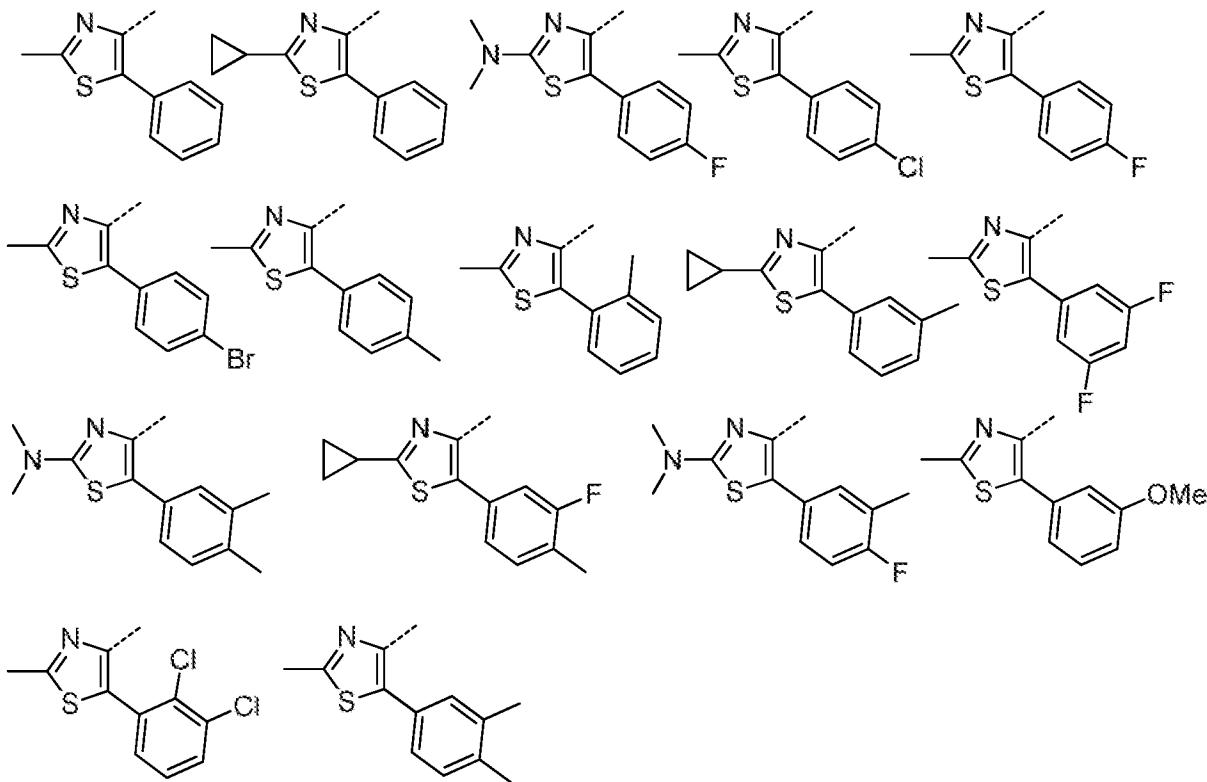
15) Another embodiment relates to compounds according to any one of the embodiments 1) to 3) wherein **Ar**<sup>1</sup> is a group selected from the group consisting of:



16) Another embodiment relates to compounds according to any one of the embodiments 1) to 3) wherein **Ar<sup>1</sup>** is a group selected from the group consisting of:



17) Another embodiment relates to compounds according to any one of the embodiments 1) to 3) wherein **Ar<sup>1</sup>** is a group selected from the group consisting of:



18) Another embodiment relates to compounds according to any one of embodiments 1) to 17), wherein

- **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl (notably 9- or 10-membered bicyclic heteroaryl) which is unsubstituted, or mono-, di-, or tri-substituted (especially unsubstituted, or mono-, or di-substituted); wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably from (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl; especially from (C<sub>1-4</sub>)alkyl and halogen; or from (C<sub>1-4</sub>)alkoxy and halogen];

- or **Ar<sup>2</sup>** represents 5- or 6-membered monocyclic heteroaryl (notably 6-membered heteroaryl) which is unsubstituted, or mono-, di-, or tri-substituted (especially unsubstituted, or mono-, or di-substituted); wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably from (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl].

19) Another embodiment relates to compounds according to any one of embodiments 1) to 17), wherein **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl (notably 9- or 10-membered bicyclic heteroaryl) which is unsubstituted, or mono-, di-, or tri-substituted (especially unsubstituted, or mono-, or di-substituted); wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably from (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl; especially from (C<sub>1-4</sub>)alkyl and halogen; or from (C<sub>1-4</sub>)alkoxy and halogen].

20) Another embodiment relates to compounds according to any one of embodiments 1) to 17), wherein **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl (notably 9- or 10-membered bicyclic heteroaryl) which is unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, and halogen.

21) Another embodiment relates to compounds according to any one of embodiments 1) to 20), wherein,

- in case **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl, said heteroaryl is a group selected from benzoxazolyl, benzothiazolyl, quinazolinyl, quinoxalinyl, thiazolo[5,4-b]pyridinyl, and [1,7]naphthyridinyl; which groups independently are unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl; and / or
- in case **Ar<sup>2</sup>** represents 5- or 6-membered heteroaryl, said heteroaryl is pyrimidinyl, which is unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl.

22) Another embodiment relates to compounds according to any one of embodiments 1) to 20), wherein,

- in case **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl, said heteroaryl is a group selected from benzoxazol-2-yl, benzothiazol-2-yl, quinazolin-2-yl, quinoxalin-2-yl, thiazolo[5,4-b]pyridin-2-yl, and [1,7]naphthyridin-8-yl; which groups independently are unsubstituted, or mono-, or di-substituted; wherein the substituents are independently

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selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl; and / or

- in case **Ar<sup>2</sup>** represents 5- or 6-membered heteroaryl, said heteroaryl is pyrimidin-2-yl, which is unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl.

23) Another embodiment relates to compounds according to any one of embodiments 1) to 20), wherein,

- in case **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl, said heteroaryl is selected from the group consisting of benzoxazol-2-yl, 5-chloro-benzoxazol-2-yl, benzothiazol-2-yl, 6-chloro-benzothiazol-2-yl, 6-fluoro-benzothiazol-2-yl, quinazolin-2-yl, quinoxalin-2-yl, 6-chloro-quinoxalin-2-yl, 7-chloro-quinoxalin-2-yl, 6-fluoro-quinoxalin-2-yl, 7-fluoro-quinoxalin-2-yl, 6,7-dichloro-quinoxalin-2-yl, 6,7-difluoro-quinoxalin-2-yl, 6-methyl-quinoxalin-2-yl, 7-methyl-quinoxalin-2-yl, 8-methyl-quinoxalin-2-yl, 6,7-dimethyl-quinoxalin-2-yl, 7-fluoro-6-methyl-quinoxalin-2-yl, 6-fluoro-7-methyl-quinoxalin-2-yl, 6-methoxy-quinoxalin-2-yl, 7-methoxy-quinoxalin-2-yl, 7-fluoro-6-methoxy-quinoxalin-2-yl, 6-trifluoromethyl-quinoxalin-2-yl, 7-trifluoromethyl-quinoxalin-2-yl, thiazolo[5,4-b]pyridin-2-yl, 6-fluoro-thiazolo[5,4-b]pyridin-2-yl, and 6-methyl-[1,7]naphthyridin-8-yl; and / or
- in case **Ar<sup>2</sup>** represents 5- or 6-membered heteroaryl, said heteroaryl is selected from the group consisting of 5-bromo-pyrimidin-2-yl, 5-ethyl-pyrimidin-2-yl, 4-trifluoromethyl-pyrimidin-2-yl, 5-trifluoromethyl-pyrimidin-2-yl, 4,6-dimethoxy-pyrimidin-2-yl, and 4,6-dimethyl-pyrimidin-2-yl.

24) Another embodiment relates to compounds according to any one of embodiments 1) to 20), wherein, in case **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl, said heteroaryl is selected from the group consisting of benzoxazol-2-yl, 5-fluoro-benzoxazol-2-yl, 6-fluoro-benzoxazol-2-yl, 4-chloro-benzoxazol-2-yl, 5-chloro-benzoxazol-2-yl, 6-chloro-benzoxazol-2-yl, benzo[d]isoxazol-3-yl, 5-methyl-benzo[d]isoxazol-3-yl, 6-methyl-benzo[d]isoxazol-3-yl, 5-fluoro-benzo[d]isoxazol-3-yl, 6-fluoro-benzo[d]isoxazol-3-yl, 5-chloro-benzo[d]isoxazol-3-yl, 6-chloro-benzo[d]isoxazol-3-yl, benzothiazol-2-yl, 5-fluoro-benzothiazol-2-yl, 6-fluoro-benzothiazol-2-yl, 5-chloro-benzothiazol-2-yl, 6-chloro-benzothiazol-2-yl, 5-chloro-benzo[d]isothiazol-3-yl, 6-chloro-benzo[d]isothiazol-3-yl, quinazolin-2-yl, quinoxalin-2-yl, 6-fluoro-quinoxalin-2-yl, 7-fluoro-quinoxalin-2-yl, 8-fluoro-quinoxalin-2-yl, 6-chloro-quinoxalin-2-yl, 7-chloro-quinoxalin-2-yl, 8-chloro-quinoxalin-2-yl, 5,6-difluoro-quinoxalin-2-yl, 6,7-difluoro-quinoxalin-2-yl, 7,8-difluoro-quinoxalin-2-yl, 6,7-dichloro-quinoxalin-2-yl, 6-methyl-quinoxalin-2-yl, 7-methyl-quinoxalin-2-yl, 8-methyl-quinoxalin-2-yl, 6,7-dimethyl-quinoxalin-2-yl, 7-fluoro-

6-methyl-quinoxalin-2-yl, 6-fluoro-7-methyl-quinoxalin-2-yl, 6-methoxy-quinoxalin-2-yl, 7-methoxy-quinoxalin-2-yl, 7-fluoro-6-methoxy-quinoxalin-2-yl, 6-trifluoromethyl-quinoxalin-2-yl, and 7-trifluoromethyl-quinoxalin-2-yl.

25) Another embodiment relates to compounds according to any one of embodiments 1) to 20), wherein, in case  $\text{Ar}^2$  represents 8- to 10-membered heteroaryl, said heteroaryl is selected from the group consisting of benzoxazol-2-yl, 5-chloro-benzoxazol-2-yl, benzothiazol-2-yl, 6-chloro-benzothiazol-2-yl, 6-fluoro-benzothiazol-2-yl, quinazolin-2-yl, quinoxalin-2-yl, 6-chloro-quinoxalin-2-yl, 7-chloro-quinoxalin-2-yl, 6-fluoro-quinoxalin-2-yl, 7-fluoro-quinoxalin-2-yl, 6,7-dichloro-quinoxalin-2-yl, 6,7-difluoro-quinoxalin-2-yl, 6-methyl-quinoxalin-2-yl, 7-methyl-quinoxalin-2-yl, 8-methyl-quinoxalin-2-yl, 6,7-dimethyl-quinoxalin-2-yl, 7-fluoro-6-methyl-quinoxalin-2-yl, 6-fluoro-7-methyl-quinoxalin-2-yl, 6-methoxy-quinoxalin-2-yl, 7-methoxy-quinoxalin-2-yl, 7-fluoro-6-methoxy-quinoxalin-2-yl, 6-trifluoromethyl-quinoxalin-2-yl, and 7-trifluoromethyl-quinoxalin-2-yl.

26) Another embodiment relates to compounds according to any one of embodiments 1) to 20), wherein, in case  $\text{Ar}^2$  represents 5-or 6-membered heteroaryl, said heteroaryl is selected from the group consisting of 5-bromo-pyrimidin-2-yl, 5-ethyl-pyrimidin-2-yl, 4-trifluoromethyl-pyrimidin-2-yl, 5-trifluoromethyl-pyrimidin-2-yl, 4,6-dimethoxy-pyrimidin-2-yl, and 4,6-dimethyl-pyrimidin-2-yl.

27) Another embodiment relates to compounds according to any one of embodiments 1) to 18), wherein, in case  $\text{Ar}^2$  represents 5-or 6-membered heteroaryl, said heteroaryl is selected from the group consisting of 5-bromo-pyrimidin-2-yl, 5-ethyl-pyrimidin-2-yl, 4-trifluoromethyl-pyrimidin-2-yl, 5-trifluoromethyl-pyrimidin-2-yl, 4,6-dimethoxy-pyrimidin-2-yl, and 5-bromo-pyrimidin-2-yl.

28) Another embodiment relates to compounds according to embodiment 1), wherein

$\text{X}$  represents  $\text{CH}_2$  or  $\text{O}$ ;

$\text{Ar}^1$  represents 5-membered heteroaryl, wherein the 5-membered heteroaryl is mono- or di-substituted; wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of  $\text{Ar}^1$  to the rest of the molecule; wherein said *ortho*-substituent is phenyl which is unsubstituted, mono-, di-, or tri-substituted (especially unsubstituted or mono-substituted), wherein the substituents are independently selected from the group consisting of  $(\text{C}_{1-4})\text{alkyl}$ ,  $(\text{C}_{1-4})\text{alkoxy}$ , halogen, cyano,  $(\text{C}_{1-3})\text{fluoroalkyl}$ , and  $(\text{C}_{1-3})\text{fluoroalkoxy}$  (especially  $(\text{C}_{1-4})\text{alkyl}$ ,  $(\text{C}_{1-4})\text{alkoxy}$ , and halogen);
- and the other of said substituents, if present, is/are independently selected from the group consisting of  $(\text{C}_{1-4})\text{alkyl}$ ,  $(\text{C}_{1-4})\text{alkoxy}$ ,  $(\text{C}_{3-6})\text{cycloalkyl}$ , halogen, cyano,

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(C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl [especially (C<sub>1-4</sub>)alkyl], (C<sub>3-6</sub>)cycloalkyl, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl; notably (C<sub>1-4</sub>)alkyl];

or Ar<sup>1</sup> represents 6-membered heteroaryl (especially pyridyl), wherein the 6-membered heteroaryl is mono-, di-, or tri-substituted (especially di-substituted); wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein
  - said *ortho*-substituent is unsubstituted 5-membered heteroaryl (preferred sub-embodiment; especially said 5-membered heteroaryl is [1,2,3]triazol-2-yl or pyrazol-1-yl);
  - or said *ortho*-substituent is phenyl which is unsubstituted or mono-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen;
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl (especially (C<sub>1-4</sub>)alkyl);

or Ar<sup>1</sup> represents phenyl which is mono-, di-, or tri-substituted (especially mono- or di-substituted); wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein
  - said *ortho*-substituent is phenyl which is unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably from (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl];
  - or said *ortho*-substituent is unsubstituted or mono-substituted 5- or 6-membered heteroaryl wherein the substituent is (C<sub>1-4</sub>)alkyl (wherein especially said 5- or 6-membered heteroaryl is unsubstituted [1,2,3]triazol-2-yl or unsubstituted pyrazol-1-yl; or unsubstituted pyridin-2-yl or unsubstituted pyrimidin-2-yl);
  - or said substituent is a benzo[1,3]dioxolyl group;
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy [notably (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen; especially (C<sub>1-4</sub>)alkyl and halogen].

and

$\text{Ar}^2$  represents 5- to 10-membered heteroaryl which is unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of ( $\text{C}_{1-4}$ )alkyl, ( $\text{C}_{1-4}$ )alkoxy, halogen, and ( $\text{C}_{1-3}$ )fluoroalkyl;

wherein the characteristics defined in embodiments 2) to 27), may be applied, *mutatis mutandis*, to the compounds of embodiment 28).

29) Another embodiment relates to compounds of formula (I) according to embodiment 1) selected from the group consisting of:

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Methyl-5-o-tolyl-thiazol-4-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[2-Dimethylamino-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[5-(3-Methoxy-phenyl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[5-(3,5-Difluoro-phenyl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

Biphenyl-2-yl-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(4-Methyl-biphenyl-2-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-biphenyl-2-yl-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(8-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(8-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
(4-Methyl-biphenyl-2-yl)-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
(4-Methyl-biphenyl-2-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
(4-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
Biphenyl-2-yl-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(7-chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(6-chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(6-fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(7-fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
Biphenyl-2-yl-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
(5-Methyl-2-pyrazol-1-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
(5-Methyl-2-pyrazol-1-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-pyrazol-1-yl-phenyl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-pyrazol-1-yl-phenyl)-methanone;  
[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-dimethylamino-5-(3,4-dimethyl-phenyl)-thiazol-4-yl)-methanone;  
(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;  
[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;  
[7-(7-Fluoro-6-methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Fluoro-6-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(7-trifluoromethyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Fluoro-7-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,4-dimethyl-phenyl)-2-methyl-thiazol-4-yl]-methanone;

[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-o-tolyl-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3-methoxy-phenyl)-2-methyl-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-p-tolyl-thiazol-4-yl]-methanone;

[5-(3,4-Dimethyl-phenyl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

and

[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone.

30) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone; and

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone.

31) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

[2-Dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(4-Fluoro-phenyl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-[1,2,3]triazol-2-yl-phenyl]-methanone;

Biphenyl-2-yl-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Methyl-5-p-tolyl-thiazol-4-yl)-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[4-(4-Chloro-phenyl)-2-methyl-thiazol-5-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methoxy-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone;

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[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(4-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(2-Pyrazol-1-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-pyrazol-1-yl-phenyl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-pyrazol-1-yl-phenyl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-pyrazol-1-yl-phenyl)-methanone;

(2-Pyrazol-1-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-cyclopropyl-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-5-phenyl-thiazol-4-yl)-methanone;

(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;

(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2-Cyclopropyl-5-m-tolyl-thiazol-4-yl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(4-fluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone;

[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(3,5-Difluoro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[4-(4-Chloro-phenyl)-2-methyl-thiazol-5-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; and

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone.

32) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

(7-Benzooxazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(4,6-Dimethoxy-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Bromo-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4'-fluoro-3'-methyl-biphenyl-2-yl]-methanone;

[7-(5-Ethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(5-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Cyclopropyl-5-m-tolyl-thiazol-4-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[5-(6-Methoxy-pyridin-3-yl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[2-Methyl-5-(3-trifluoromethyl-phenyl)-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-(3-methyl-[1,2,4]oxadiazol-5-yl)-phenyl]-methanone;

[2-Methyl-5-(4-trifluoromethyl-phenyl)-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-pyrazol-1-yl-phenyl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-[1,2,3]triazol-2-yl-5-trifluoromethyl-phenyl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-chloro-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

3-[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl]-4-[1,2,3]triazol-2-yl-benzonitrile;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-3-methoxy-6-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-[1,2,3]triazol-2-yl-5-trifluoromethoxy-phenyl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-6-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4,5-dimethoxy-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-fluoro-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-chloro-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-[1,2,3]triazol-2-yl-5-trifluoromethoxy-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4,5-dimethoxy-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-[1,2,3]triazol-2-yl-5-trifluoromethyl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-6-[1,2,3]triazol-2-yl-phenyl]-methanone;

Biphenyl-2-yl-[7-(5-chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(5-Fluoro-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(5-Chloro-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(2-[1,2,3]Triazol-2-yl-5-trifluoromethoxy-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(5-Methoxy-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(4,5-Dimethoxy-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(2-[1,2,3]Triazol-2-yl-5-trifluoromethyl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-fluoro-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-chloro-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-[1,2,3]triazol-2-yl-5-trifluoromethoxy-phenyl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-methoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4,5-dimethoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-[1,2,3]triazol-2-yl-5-trifluoromethyl-phenyl)-methanone;  
[5-(4-Bromo-phenyl)-2-methyl-thiazol-4-yl]-[7-(5-chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-cyclopropyl-5-phenyl-thiazol-4-yl]-methanone;  
[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-phenyl-thiazol-4-yl]-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-pyrazol-1-yl-pyridin-2-yl]-methanone;  
(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(6-trifluoromethyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(6-methoxy-pyridin-3-yl)-2-methyl-thiazol-4-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(3-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(4-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone;

33) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

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[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(8-Methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

(4-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(4-Methyl-biphenyl-2-yl)-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

Biphenyl-2-yl-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; and

[7-(8-Methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone.

34) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(4-Methyl-biphenyl-2-yl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; and

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone.

35) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

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(7-Benzothiazol-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4-methyl-biphenyl-2-yl)-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (4-methyl-biphenyl-2-yl)-methanone;

[7-(5-Chloro-benzoxazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (4-methyl-biphenyl-2-yl)-methanone;

Biphenyl-2-yl-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

Biphenyl-2-yl-[7-(6,7-difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

Biphenyl-2-yl-[7-(7-chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

Biphenyl-2-yl-[7-(6-chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

Biphenyl-2-yl-[7-(6,7-dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

Biphenyl-2-yl-[7-(6-fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

Biphenyl-2-yl-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(7-Benzothiazol-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-biphenyl-2-yl-methanone;

Biphenyl-2-yl-[7-(6-fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

Biphenyl-2-yl-[7-(5-chloro-benzoxazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;

(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;

(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6,7-Dimethyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;

(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;

(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6,7-Dimethyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;

(7-Benzothiazol-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(7-Benzothiazol-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-chloro-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-fluoro-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(5-Chloro-2-[1,2,3]triazol-2-yl-phenyl)-[7-(6-fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(7-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(7-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; and

[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

36) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

(2-Bromo-5-methoxy-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(2-Bromo-5-methyl-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2,5-dimethyl-phenyl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2,5-dichloro-phenyl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-chloro-2-methoxy-phenyl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2,5-dimethoxy-phenyl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-trifluoromethoxy-phenyl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-chloro-2-ethoxy-phenyl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[3-fluoro-2-propoxy-phenyl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-ethoxy-phenyl]-methanone;  
(2-Benzoyl-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-(1,1,2,2-tetrafluoro-ethoxy)-phenyl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-(2-methoxy-ethoxy)-phenyl]-methanone;

37) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

(3'-Methoxy-biphenyl-2-yl)-[7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-o-tolyl-thiazol-4-yl]-methanone;  
(2'-Fluoro-biphenyl-2-yl)-[7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(2-Benzo[1,3]dioxol-5-yl-phenyl)-[7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(3'-Fluoro-biphenyl-2-yl)-[7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(3'-Methyl-biphenyl-2-yl)-[7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
2'-[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl]-4'-methyl-biphenyl-4-carbonitrile;  
(4'-Methoxy-biphenyl-2-yl)-[7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2'-fluoro-4-methyl-biphenyl-2-yl]-methanone;  
(4'-Ethoxy-biphenyl-2-yl)-[7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,5-difluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone;  
(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[3'-methoxy-4-methyl-biphenyl-2-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[3'-fluoro-4-methyl-biphenyl-2-yl]-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-[4'-methoxy-4-methyl-biphenyl-2-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4,3'-dimethyl-biphenyl-2-yl]-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-[3'-methoxy-4-methyl-biphenyl-2-yl]-methanone;

(2'-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2',3'-Dimethyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4'-methoxy-4-methyl-biphenyl-2-yl]-methanone;

(2'-Methoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4'-methoxy-4-methyl-biphenyl-2-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(6-methoxy-pyridin-3-yl)-2-methyl-thiazol-4-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2'-fluoro-4-methyl-biphenyl-2-yl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-4-p-tolyl-thiazol-5-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[3'-methoxy-4-methyl-biphenyl-2-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(4-fluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4,2'-dimethyl-biphenyl-2-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-methoxy-biphenyl-2-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2'-methoxy-4-methyl-biphenyl-2-yl]-methanone;

(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4'-ethoxy-4-methyl-biphenyl-2-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,4-dimethyl-phenyl)-2-methyl-thiazol-4-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2'-fluoro-4-methyl-biphenyl-2-yl]-methanone;

[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-biphenyl-2-yl]-methanone;

(4'-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4,4'-dimethyl-biphenyl-2-yl]-methanone;

(2-Cyclopropyl-5-phenyl-thiazol-4-yl)-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-[7-(7-trifluoromethyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4,2',3'-trimethyl-biphenyl-2-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4-methyl-biphenyl-2-yl)-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2'-fluoro-biphenyl-2-yl)-methanone;

(2'-Ethyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-fluoro-4-methyl-biphenyl-2-yl)-methanone;

(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-(7-benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
(2-Benzo[1,3]dioxol-5-yl-phenyl)-(7-benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; and  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-methanone.

38) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-methoxy-biphenyl-2-yl)-methanone;  
[5-(3,4-Dimethyl-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
2'-[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl]-4'-methyl-biphenyl-3-carbonitrile;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-ethoxy-4-methyl-biphenyl-2-yl)-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[3'-fluoro-4-methyl-biphenyl-2-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4'-fluoro-4-methyl-biphenyl-2-yl]-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4,2',3'-trimethyl-biphenyl-2-yl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-methyl-biphenyl-2-yl)-methanone;  
(5-Methyl-biphenyl-2-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-biphenyl-2-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2'-ethyl-4-methyl-biphenyl-2-yl]-methanone;  
(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-trifluoromethyl-biphenyl-2-yl)-methanone;  
(2-Methyl-5-o-tolyl-thiazol-4-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
2'-[7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl]-biphenyl-4-carbonitrile;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-pyridin-2-yl-phenyl]-methanone;  
(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-trifluoromethoxy-biphenyl-2-yl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-fluoro-biphenyl-2-yl)-methanone;  
[7-(6-Fluoro-thiazolo[5,4-b]pyridin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4,3'-dimethyl-biphenyl-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(3-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-4'-trifluoromethoxy-biphenyl-2-yl]-methanone;  
(3',4'-Dichloro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-biphenyl-2-yl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4'-ethoxy-4-methyl-biphenyl-2-yl]-methanone;

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[7-(6-Methyl-[1,7]naphthyridin-8-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[5-(3-Methoxy-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[5-(3-Chloro-phenyl)-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(4'-Chloro-4-methyl-biphenyl-2-yl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,4'-dimethyl-biphenyl-2-yl)-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; and  
2'-(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl)-4'-methyl-biphenyl-4-carbonitrile.

39) In addition to the above-listed compounds, further compounds of formula (I) according to embodiment 1) are selected from the group consisting of:

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,3'-dimethyl-biphenyl-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-methanone;  
(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-trifluoromethoxy-biphenyl-2-yl)-methanone;  
(2-Cyclopropyl-5-m-tolyl-thiazol-4-yl)-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyridin-2-yl-phenyl)-methanone;  
(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-thiazolo[5,4-b]pyridin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
(4'-Fluoro-2'-methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
(4'-Chloro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrimidin-2-yl-phenyl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-ethoxy-biphenyl-2-yl)-methanone;  
[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrimidin-2-yl-phenyl)-methanone;  
[7-(4,6-Dimethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; and  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(4-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone.

40) A further embodiment relates to compounds of formula (I) according to embodiment 1) that are potent antagonists of the orexin 1 receptor, which are especially the compounds of embodiments 29), 30), 31), 33), and 34); and the compounds of embodiments 37) and 38).

41) A further embodiment relates to compounds of formula (I) according to embodiment 1) that are potent antagonists of both the orexin 1 and the orexin 2 receptor, which are especially the compounds selected from the group consisting of:

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(8-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(8-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

Biphenyl-2-yl-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; and

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3'-methoxy-4-methyl-biphenyl-2-yl)-methanone.

The invention, thus, relates to compounds of the formula (I) as defined in embodiment 1), or further limited under consideration of their respective dependencies by the characteristics of any one of embodiments 2) to 41); to pharmaceutically acceptable salts thereof; and to the use of such compounds as medicaments especially in the treatment of mental health disorders relating to orexinergic dysfunctions, which disorders are especially selected from sleep disorders, anxiety disorders, addiction disorders, cognitive dysfunctions, mood disorders, or appetite disorders (notably from anxiety disorders, addiction disorders and mood disorders). In addition, the characteristics defined in embodiments 2) to 27) may be applied *mutatis mutandis* to the compounds of the formula (I) according to embodiment 28). Especially the following embodiments relating to the compounds of formula (I) according to embodiment 1) are thus possible and intended and herewith specifically disclosed in individualized form:

2+1, 3+1, 4+1, 4+2+1, 4+3+1, 7+1, 7+2+1, 7+3+1, 11+1, 11+4+1, 11+4+2+1, 11+4+3+1, 11+7+1, 11+7+2+1, 11+7+3+1, 12+1, 12+4+1, 12+4+2+1, 12+4+3+1, 12+7+1, 12+7+2+1, 12+7+3+1, 18+1, 18+2+1, 18+3+1, 18+4+1, 18+4+2+1, 18+4+3+1, 18+7+1, 18+7+2+1, 18+7+3+1, 18+11+1, 18+11+4+1, 18+11+4+2+1, 18+11+4+3+1, 18+11+7+1, 18+11+7+2+1, 18+11+7+3+1, 18+12+1, 18+12+4+1, 18+12+4+2+1, 18+12+4+3+1, 18+12+7+1, 18+12+7+2+1, 18+12+7+3+1, 22+1, 22+2+1, 22+3+1, 22+4+1, 22+4+2+1, 22+4+3+1, 22+7+1, 22+7+2+1, 22+7+3+1, 22+11+1, 22+11+4+1, 22+11+4+2+1, 22+11+4+3+1, 22+11+7+1, 22+11+7+2+1, 22+11+7+3+1, 22+12+1, 22+12+4+1, 22+12+4+2+1, 22+12+4+3+1, 22+12+7+1, 22+12+7+2+1, 22+12+7+3+1, 22+18+1, 22+18+2+1, 22+18+3+1, 22+18+4+1, 22+18+4+2+1, 22+18+4+3+1, 22+18+7+1, 22+18+7+2+1, 22+18+7+3+1, 22+18+11+1, 22+18+11+4+1, 22+18+11+4+2+1, 22+18+11+4+3+1, 22+18+11+7+1, 22+18+11+7+2+1, 22+18+11+7+3+1, 22+18+12+1, 22+18+12+4+1, 22+18+12+4+2+1, 22+18+12+4+3+1, 22+18+12+7+1, 22+18+12+7+2+1, 22+18+12+7+3+1; 13+1, 18+13+1, 25+4+1, 25+7+1, 25+11+1, 25+11+4+1, 25+11+7+1, 25+13+1, 25+18+1, 25+18+4+1, 25+18+7+1, 25+18+11+1, 25+18+11+4+1, 25+18+11+7+1, 25+18+13+1, 27+4+1, 27+7+1, 27+11+1, 27+11+4+1, 27+11+7+1, 27+13+1, 27+18+1, 27+18+4+1,

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27+18+7+1, 27+18+11+1, 27+18+11+4+1, 27+18+11+7+1, 27+18+13+1, 27+25+1,  
27+25+4+1, 27+25+7+1, 27+25+11+1, 27+25+11+4+1, 27+25+11+7+1, 27+25+13+1,  
27+25+18+1, 27+25+18+4+1, 27+25+18+7+1, 27+25+18+11+1, 27+25+18+11+4+1,  
27+25+18+11+7+1, 27+25+18+13+1;  
  
28+1, 28+2+1, 28+3+1, 28+11+1, 28+11+2+1, 28+11+3+1, 28+12+1, 28+12+2+1,  
28+12+3+1, 28+18+1, 28+18+2+1, 28+18+3+1, 28+18+11+1, 28+18+11+2+1,  
28+18+11+3+1, 28+18+12+1, 28+18+12+2+1, 28+18+12+3+1, 28+22+1, 28+22+2+1,  
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28+22+18+11+2+1, 28+22+18+11+3+1, 28+22+18+12+1, 28+22+18+12+2+1,  
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28+23+18+2+1, 28+23+18+3+1, 28+23+18+11+1, 28+23+18+11+2+1, 28+23+18+11+3+1,  
28+23+18+12+1, 28+23+18+12+2+1, 28+23+18+12+3+1.

In the list above the numbers refer to the embodiments according to their numbering provided hereinabove whereas “+” indicates the dependency from another embodiment. The different individualized embodiments are separated by commas. In other words, “4+3+1” for example refers to embodiment 4) depending on embodiment 3), depending on embodiment 1), i.e. embodiment “4+3+1” corresponds to embodiment 1) further limited by the features of embodiments 3) and 4). Likewise, “28+18+3+1” refers to embodiment 28) depending *mutatis mutandis* on embodiments 3) and 18), depending on embodiment 1), i.e. embodiment “28+18+3+1” corresponds to embodiment 1) further limited by the features of embodiment 28), further limited by the features of embodiments 18) and 3).

The compounds of formula (I) and their pharmaceutically acceptable salts can be used as medicaments, e.g. in the form of pharmaceutical compositions for enteral (such especially oral) or parenteral administration (including topical application or inhalation).

The production of the pharmaceutical compositions can be effected in a manner which will be familiar to any person skilled in the art (see for example Remington, *The Science and Practice of Pharmacy*, 21st Edition (2005), Part 5, “Pharmaceutical Manufacturing” [published by Lippincott Williams & Wilkins]) by bringing the described compounds of formula (I) or their pharmaceutically acceptable salts, optionally in combination with other therapeutically valuable substances, into a galenical administration form together with suitable, non-toxic, inert, therapeutically compatible solid or liquid carrier materials and, if desired, usual pharmaceutical adjuvants.

The present invention also relates to a method for the prevention or treatment of a disease or disorder mentioned herein comprising administering to a subject a pharmaceutically active amount of a compound of formula (I).

In a preferred embodiment of the invention, the administered amount is comprised between 1 mg and 1000 mg per day, particularly between 5 mg and 500 mg per day, more particularly between 25 mg and 400 mg per day, especially between 50 mg and 200 mg per day.

For avoidance of any doubt, if compounds are described as useful for the prevention or treatment of certain diseases, such compounds are likewise suitable for use in the preparation of a medicament for the prevention or treatment of said diseases.

The compounds according to formula (I) are useful for the prevention or treatment of disorders relating to orexinergic dysfunctions.

Such disorders relating to orexinergic dysfunctions are diseases or disorders where an antagonist of a human orexin receptor is required, notably mental health diseases or disorders relating to orexinergic dysfunctions. The above mentioned disorders may in particular be defined as comprising sleep disorders, anxiety disorders, addiction disorders, cognitive dysfunctions, mood disorders, or appetite disorders. Especially, the above mentioned disorders comprise anxiety disorders, addiction disorders and mood disorders, notably anxiety disorders and addiction disorders.

In addition, further disorders relating to orexinergic dysfunctions are selected from treating, controlling, ameliorating or reducing the risk of epilepsy, including absence epilepsy; treating or controlling pain, including neuropathic pain; treating or controlling Parkinson's disease; treating or controlling psychosis including acute mania and bipolar disorder; treating or controlling stroke, particularly ischemic or haemorrhagic stroke; blocking an emetic response i.e. nausea and vomiting; and treating or controlling agitation, in isolation or co-morbid with another medical condition.

Sleep disorders comprise dyssomnias, parasomnias, sleep disorders associated with a general medical condition and substance-induced sleep disorders. In particular, dyssomnias include intrinsic sleep disorders (especially insomnias, breathing-related sleep disorders, periodic limb movement disorder, and restless leg syndrome), extrinsic sleep disorders, and circadian-rhythm sleep disorders. Dyssomnias notably include insomnia, primary insomnia, idiopathic insomnia, insomnias associated with depression, emotional/mood disorders, aging, Alzheimer's disease or cognitive impairment; REM sleep interruptions; breathing-related sleep disorders; sleep apnea; periodic limb movement disorder (nocturnal myoclonus), restless leg syndrome, circadian rhythm sleep disorder; shift work sleep disorder; and jet-lag syndrome. Parasomnias include arousal disorders and sleep-wake transition disorders;

notably parasomnias include nightmare disorder, sleep terror disorder, and sleepwalking disorder. Sleep disorders associated with a general medical condition are in particular sleep disorders associated with diseases such as mental disorders, neurological disorders, neuropathic pain, and heart and lung diseases. Substance-induced sleep disorders include especially the subtypes insomnia type, parasomnia type and mixed type, and notably include conditions due to drugs which cause reductions in REM sleep as a side effect. Sleep disorders especially include all types of insomnias, sleep-related dystonias; restless leg syndrome; sleep apneas; jet-lag syndrome; shift work sleep disorder, delayed or advanced sleep phase syndrome, or insomnias related to psychiatric disorders. In addition, sleep disorders further include sleep disorders associated with aging; intermittent treatment of chronic insomnia; situational transient insomnia (new environment, noise) or short-term insomnia due to stress; grief; pain or illness.

Anxiety disorders can be distinguished by the primary object or specificity of threat, ranging from rather diffuse as in generalized anxiety disorder, to circumscribed as encountered in phobic anxieties (PHOBs) or post-traumatic stress disorders (PTSDs). Anxiety disorders may, thus, be defined as comprising generalized anxiety disorders (GAD), obsessive compulsive disorders (OCDs), acute stress disorders, posttraumatic stress disorders (PTSDs), panic anxiety disorders (PADs) including panic attacks, phobic anxieties (PHOBs), specific phobia, social phobia (social anxiety disorder), avoidance, somatoform disorders including hypochondriasis, separation anxiety disorder, anxiety disorders due to a general medical condition, and substance induced anxiety disorders. In a sub-embodiment, particular examples of circumscribed threat induced anxiety disorders are phobic anxieties or post-traumatic stress disorders. Anxiety disorders especially include generalized anxiety disorders, post-traumatic stress disorders, obsessive compulsive disorders, panic attacks, phobic anxieties, and avoidance.

Addiction disorders may be defined as addictions to one or more rewarding stimuli, notably to one rewarding stimulus. Such rewarding stimuli may be of either natural or synthetic origin. Examples of such rewarding stimuli are substances / drugs {of either natural or synthetic origin; such as cocaine, amphetamines, opiates [of natural or (semi-)synthetic origin such as morphine or heroin], cannabis, ethanol, mescaline, nicotine, and the like}, which substances / drugs may be consumed alone or in combination; or other rewarding stimuli {of either natural origin (such as food, sweet, fat, or sex, and the like), or synthetic origin [such as gambling, or internet/IT (such as immoderate gaming, or inappropriate involvement in online social networking sites or blogging), and the like]}. In a sub-embodiment, addiction disorders relating to psychoactive substance use, abuse, seeking and reinstatement are defined as all types of psychological or physical addictions and their related tolerance and dependence

components. Substance-related addiction disorders especially include substance use disorders such as substance dependence, substance craving and substance abuse; substance-induced disorders such as substance intoxication, substance withdrawal, and substance-induced delirium. The expression "prevention or treatment of addictions" (i.e. preventive or curative treatment of patients who have been diagnosed as having an addiction, or as being at risk of developing addictions) refers to diminishing addictions, notably diminishing the onset of addictions, to weakening their maintenance, to facilitating withdrawal, to facilitating abstinence, or to attenuating, decreasing or preventing the occurrence of reinstatement of addiction (especially to diminishing the onset of addictions, to facilitating withdrawal, or to attenuating, decreasing or preventing the occurrence of reinstatement of addiction).

Appetite disorders comprise eating disorders and drinking disorders. Eating disorders may be defined as comprising eating disorders associated with excessive food intake and complications associated therewith; anorexias; compulsive eating disorders; obesity (due to any cause, whether genetic or environmental); obesity-related disorders including overeating and obesity observed in Type 2 (non-insulin-dependent) diabetes patients; bulimias including bulimia nervosa; cachexia; and binge eating disorder. Particular eating disorders comprise metabolic dysfunction; dysregulated appetite control; compulsive obesities; bulimia or anorexia nervosa. In a sub-embodiment, eating disorders may be defined as especially comprising anorexia nervosa, bulimia, cachexia, binge eating disorder, or compulsive obesities. Drinking disorders include polydipsias in psychiatric disorders and all other types of excessive fluid intake. Pathologically modified food intake may result from disturbed appetite (attraction or aversion for food); altered energy balance (intake vs. expenditure); disturbed perception of food quality (high fat or carbohydrates, high palatability); disturbed food availability (unrestricted diet or deprivation) or disrupted water balance.

Cognitive dysfunctions include deficits in attention, learning and especially memory functions occurring transiently or chronically in psychiatric, neurologic, neurodegenerative, cardiovascular and immune disorders, and also occurring transiently or chronically in the normal, healthy, young, adult, or especially aging population. Cognitive dysfunctions especially relate to the enhancement or maintenance of memory in patients who have been diagnosed as having, or being at risk of developing, diseases or disorders in which diminished memory (notably declarative or procedural) is a symptom [in particular dementias such as frontotemporal dementia, or dementia with Lewy bodies, or (especially) Alzheimer's disease]. Especially, the term "prevention or treatment of cognitive dysfunctions" relates to the enhancement or maintenance of memory in patients who have a clinical manifestation of a cognitive dysfunction, especially expressed as a deficit of declarative memory, linked to

dementias such as frontotemporal dementia, or dementia with Lewy bodies, or (especially) Alzheimer's disease. Furthermore, the term "prevention or treatment of cognitive dysfunctions" also relates to improving memory consolidation in any of the above mentioned patient populations.

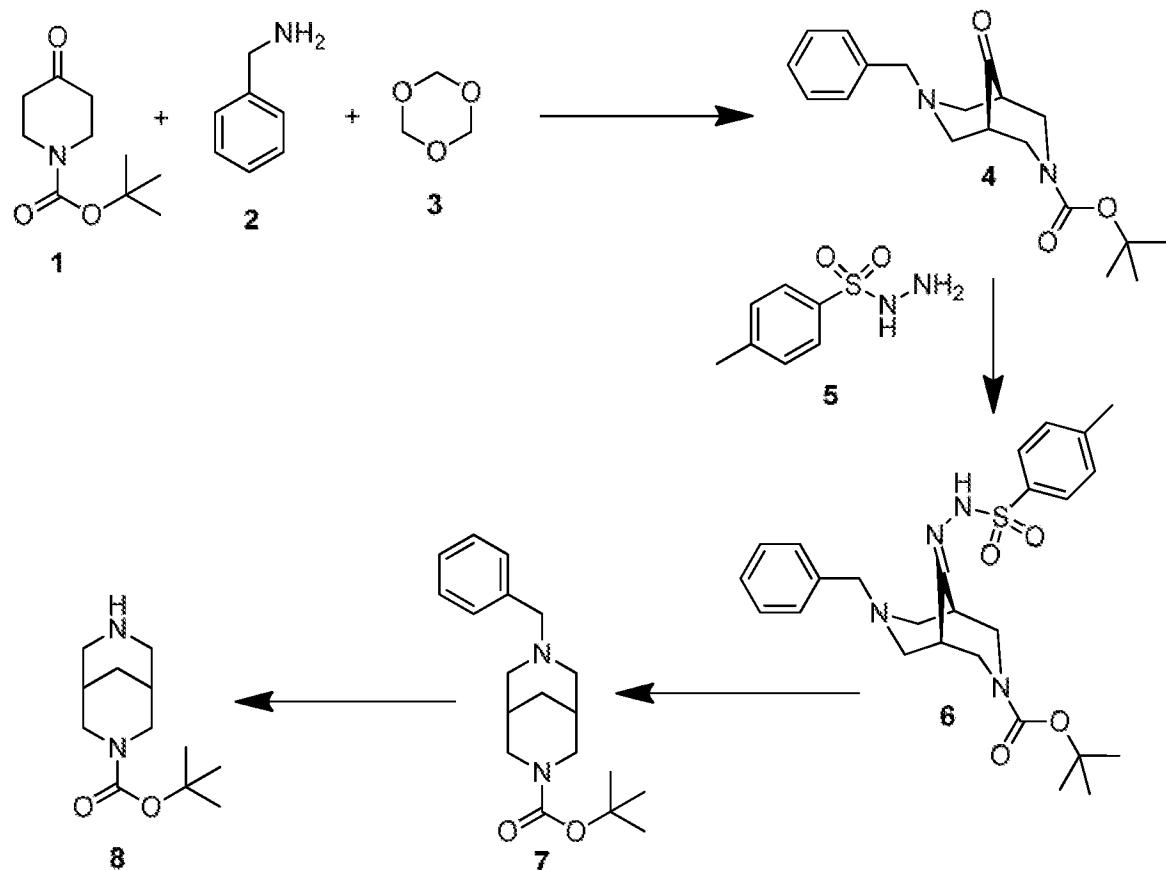
Mood disorders include major depressive episode, manic episode, mixed episode and hypomanic episode; depressive disorders including major depressive disorder, dysthymic disorders; bipolar disorders including bipolar I disorder, bipolar II disorder (recurrent major depressive episodes with hypomanic episodes), cyclothymic disorder; mood disorders including mood disorder due to a general medical condition (including the subtypes with depressive features, with major depressive-like episode, with manic features, and with mixed features), substance-induced mood disorder (including the subtypes with depressive features, with manic features, and with mixed features). Such mood disorders are especially major depressive episode, major depressive disorder, mood disorder due to a general medical condition; and substance-induced mood disorder.

In the context of the present invention, it is to be understood that, in case certain environmental conditions such as stress or fear (wherein stress may be of social origin (e.g. social stress) or of physical origin (e.g. physical stress), including stress caused by fear) facilitate or precipitate any of the disorders or diseases as defined before, the present compounds may be particularly useful for the treatment of such environmentally conditioned disorder or disease.

<sup>47</sup>  
**Preparation of compounds of formula (I):**

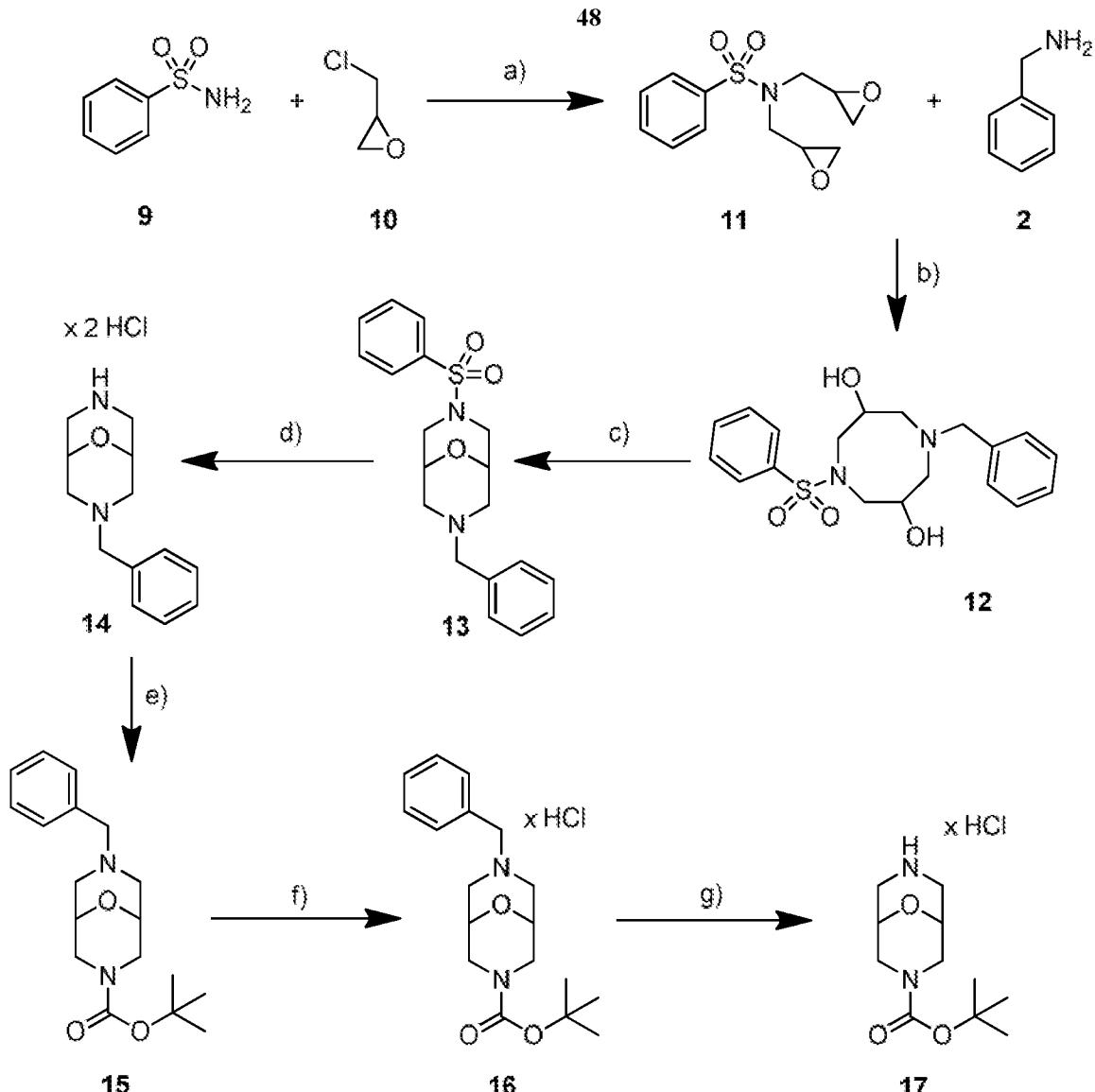
The compounds of formula (I) contain two stereogenic centers depending on each other (i.e. the relative configuration with regard to the bridge X in the 3,7-diazabicyclo[3.3.1]nonane, respectively, 9-oxa-3,7-diazabicyclo[3.3.1]nonane moiety is cis or (1R\*,5S\*)) and are therefore present as meso-compounds.

Compounds of formula (I) can be manufactured by the methods given below, by the methods given in the Examples or by analogous methods. Optimum reaction conditions may vary with the particular reactants or solvents used, but such conditions can be determined by a person skilled in the art by routine optimization procedures.



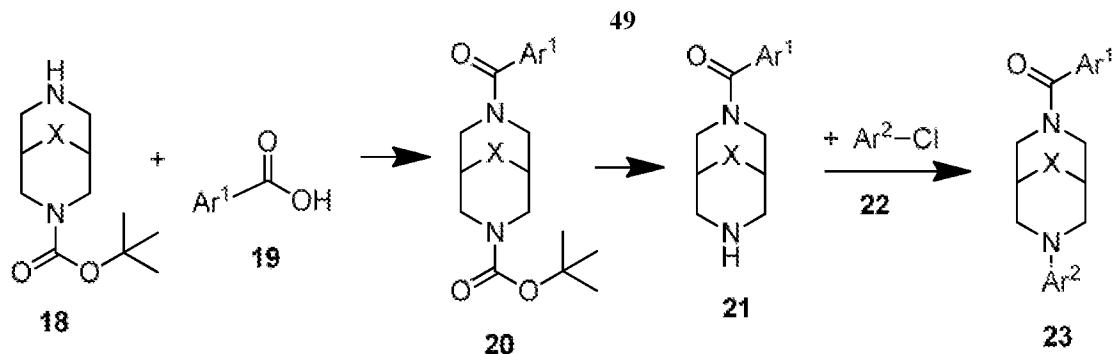
*Scheme 1: Synthesis of the 3,7-diazabicyclo[3.3.1]nonane-template*

The synthesis of the 3,7-diazabicyclo[3.3.1]nonane template **8** starts from N-Boc-piperidone **1** which is reacted in a double Mannich reaction with paraformaldehyde **3** and benzylamine **2** to build up the bicyclic ring scaffold **4**. Reduction of the carbonyl group was achieved in a two step procedure, wherein **4** was first transformed into the tosylhydrazone derivative **6** by a condensation with tosylhydrazine **5**. Reduction of the hydrazone functionality was achieved by reacting **6** with NaBH<sub>4</sub> in THF / H<sub>2</sub>O = 4 / 1 for 16 h at RT followed by 2 h at 85°C to give **7** which was debenzylated by Pd-catalyzed hydrogenation methodology using EtOH as the solvent to give template **8**.



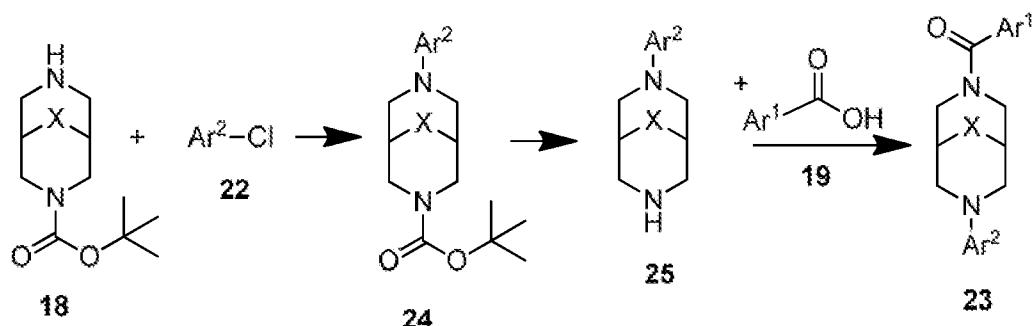
*Scheme 2: Synthesis of the 9-Oxa-3,7-diazabicyclo[3.3.1]nonane [Steps a) to d) are described in WO2004/035592 and Steps e) to g) are described in US 6,559,143]*

The synthesis of the 9-oxa-3,7-diazabicyclo[3.3.1]nonane template **17** started by reacting benzenesulfonamide (**9**) with an excess of epichlorohydrine (**10**) in water in the presence of a base such as NaOH to give bis-epoxide derivative **11**. Cyclization to the 1,4-diazacyclooctane precursor **12** (4 stereoisomers) was achieved by reaction of **11** with 0.8 eq of **2** in refluxing EtOH. Intramolecular cyclization to the 9-oxa-3,7-diazabicyclo[3.3.1]nonane system proceeded in toluene under the activating influence of methanesulfonic acid at elevated temperature to give intermediate **13**. Cleavage of the sulphonamide was achieved with 62% HBr in water to result in the secondary amine intermediate **14** which was then Boc-protected under standard conditions to give **15**. Debenylation was facilitated by foregoing hydrochloride salt formation to give **16** which was finally deprotected under hydrogenolytic reaction conditions using Pd-C 10% as the catalyst and MeOH as the solvent to give template **17**.



*Scheme 3: Synthesis of final compounds of structure of formula (I):*

Scheme 3 summarizes the first possibility to obtain the final orexin antagonist compounds, wherein X, Ar<sup>1</sup> and Ar<sup>2</sup> are as defined hereinbefore, starting with one of the templates (summarized in Scheme 1 and 2) summarized as **18** and reacting it with an appropriate carboxylic acid **19** under standard peptide coupling conditions in the presence of an activating agent such as TBTU or HBTU and a base such as DIPEA or TEA in a solvent like DCM or THF to give **20**. Boc-deprotection under water free acidic conditions as for example HCl in dioxane or TFA in DCM resulted in precursor **21** which was reacted with a heteroaryl chloride **22** in a solvent such as xylene in the presence of a base (such as K<sub>2</sub>CO<sub>3</sub> or Cs<sub>2</sub>CO<sub>3</sub>) at elevated temperature to give the final compounds **23**.



*Scheme 4: Alternative synthesis of final compounds of structure of formula (I):*

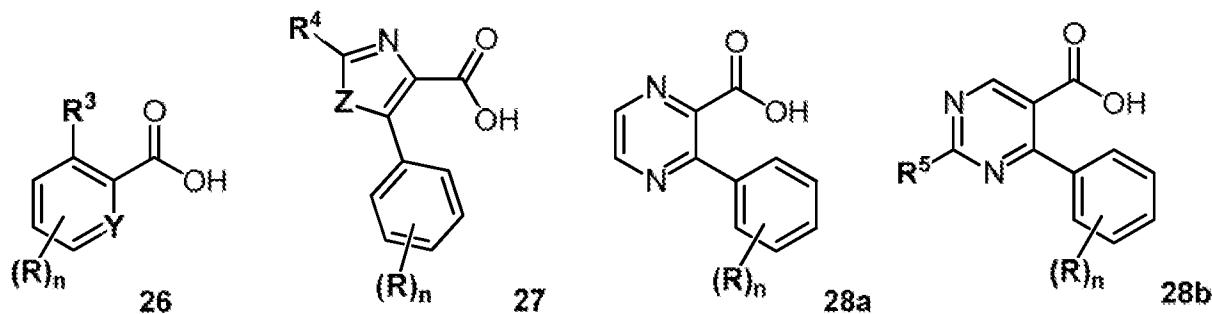
As depicted in Scheme 4, final compounds **23** can as well be prepared via the opposite sequence, using analogous methods to those described for Scheme 3, starting by reacting **18** with heteroaryl chlorides **22** to give intermediates **24**. Boc-deprotection to **25** and reaction with the appropriate carboxylic acid **19** again resulted in the final orexin antagonist compounds **23**.

In the following, particular methods for the synthesis of carboxylic acid derivatives of formula Ar<sup>1</sup>-CO-OH and halogenides of formula Ar<sup>2</sup>-halogenide (such as for example Ar<sup>2</sup>-Cl, or well known equivalents, e.g. the respective trifluoromethane sulfonates) are described. These starting materials are well known in the art and/or commercially available; or they may be synthesized according to methods described in the literature. In addition, they may be synthesized in analogy to the methods given in the experimental part.

In case Ar<sup>1</sup>, Ar<sup>2</sup>, or a substituent thereof is a heteroaryl moiety, such heteroaryl may be introduced using well known and generally commercially available building blocks (literature for precursors of heteroaryl-containing groups: see e.g. T. Eicher, S. Hauptmann "The chemistry of Heterocycles: Structure, Reactions, Syntheses, and Applications", 2nd Edition 2003, Wiley, ISBN 978-3-527-30720-3; A. R. Katritzky, C. W. Rees, E. F. V. Scriven (Eds.) "Comprehensive Heterocyclic Chemistry II" 1996, Elsevier, ISBN 0-08-042072-9). Such heteroaryl moiety may replace a phenyl group in the schemes below as appropriate.

**Preparation of building blocks of formula Ar<sup>1</sup>-CO-OH:**

Carboxylic acid derivatives of formula Ar<sup>1</sup>-CO-OH are well known in the art and/or commercially available; or they may be synthesized according to methods described in the literature [see for example Scheme 5, wherein R<sup>3</sup> is optionally substituted phenyl or 5- or 6-membered heteroaryl as defined for the compounds of formula (I); Y is CH or N; Z is O or S; and R<sup>4</sup>, R<sup>5</sup> and (R)<sub>n</sub> correspond to the respective optional substituents as defined for the compounds of formula (I)]. In addition, they may be synthesized in analogy to the methods given in the experimental part.

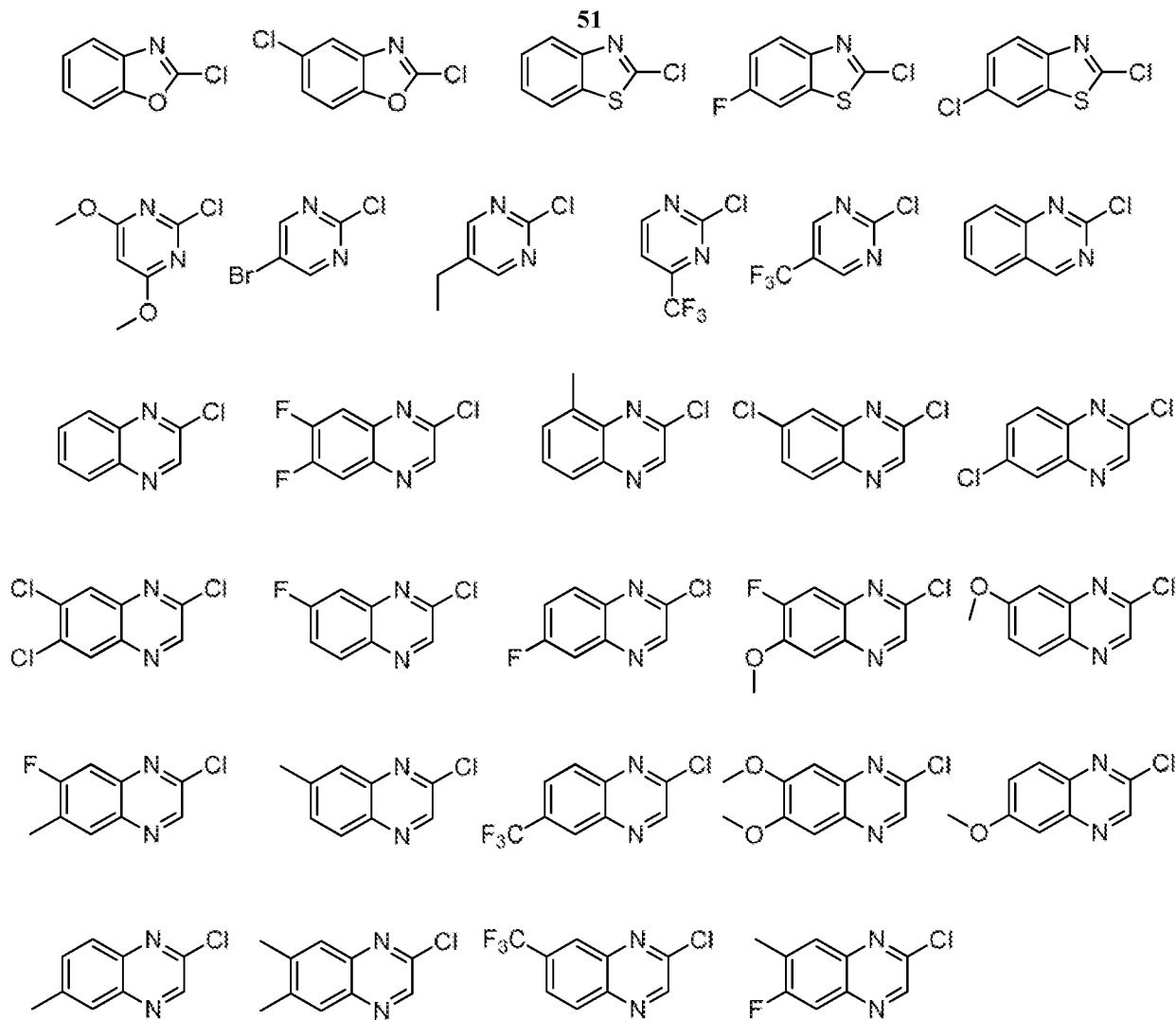


Scheme 5: Preparation of building blocks of formula Ar<sup>1</sup>-CO-OH; [

Acids of structure **26** can especially be prepared following the procedures reported in WO2008/069997, WO2008/008517, WO2010/048012, WO2010/072722, WO2010/063662, and WO2010/063663. Acids of structure **27** can be prepared following the procedures reported in WO2010/044054, WO2010/038200, and WO2010/004507. Acids of structure **28a** and **28b** can be prepared following the procedures reported in WO2010/044054.

**Preparation of building blocks of formula Ar<sup>2</sup>-Cl:**

Building blocks of formula Ar<sup>2</sup>-Cl are well known in the art and/or commercially available, or they may be synthesized according to methods described in the literature. In addition, they may be synthesized in analogy to the methods given in the experimental part.

Scheme 6: a representative but not limiting selection of building blocks Ar<sup>2</sup>-Cl

## Experimental Section

### Abbreviations (as used herein and in the description above):

Ac	Acetyl (such as in OAc = acetate, AcOH = acetic acid)
anh.	Anhydrous
aq.	aqueous
atm	Atmosphere
Boc	<i>tert</i> -Butoxycarbonyl
Boc <sub>2</sub> O	di- <i>tert</i> -Butyl dicarbonate
BSA	Bovine serum albumine
Bu	Butyl such as tBu = <i>tert</i> -butyl = tertiary butyl
<i>n</i> -BuLi	<i>n</i> -Butyllithium
CHO	Chinese Hamster Ovary
conc.	Concentrated

DBU	1,8-Diazabicyclo[5.4.0]undec-7-ene <sup>52</sup>
DCM	Dichloromethane
DIPEA	Diisopropylethylamine
DMF	<i>N,N</i> -Dimethylformamide
DMSO	Dimethyl sulfoxide
ELSD	Evaporative Light-Scattering Detection
eq	Equivalent(s)
ES	Electron spray
Et	Ethyl
Et <sub>2</sub> O	Diethyl ether
EtOAc	Ethyl acetate
EtOH	Ethanol
FC	Flash Chromatography on silica gel
FCS	Foatal calf serum
FLIPR	Fluorescent imaging plate reader
h	Hour(s)
HBSS	Hank's balanced salt solution
HBTU	O-Benzotriazole- <i>N,N,N',N'</i> -tetramethyl-uronium-hexafluoro-phosphate
HEPES	4-(2-Hydroxyethyl)-piperazine-1-ethanesulfonic acid
<sup>1</sup> H-NMR	Nuclear magnetic resonance of the proton
HPLC	High performance liquid chromatography
LC-MS	Liquid chromatography – Mass Spectroscopy
Lit.	Literature
M	Exact mass (as used for LC-MS)
Me	Methyl
MeCN	Acetonitrile
MeOH	Methanol
MHz	Megahertz
min	Minute(s)
MS	Mass spectroscopy
N	Normality
Pd(OAc) <sub>2</sub>	Palladium diacetate
Pd(PPh <sub>3</sub> ) <sub>4</sub>	Tetrakis(triphenylphosphine)palladium(0)
Ph	Phenyl
PPh <sub>3</sub>	Triphenylphosphine
prep.	Preparative
2-PrOH	Isopropanol

RT	Room temperature
sat.	Saturated
TBTU	O-(Benzotriazol-1-yl)-N,N,N',N'-tetramethyluronium tetrafluoroborate
TEA	Triethylamine
TFA	trifluoroacetic acid
Tf	Trifluoromethansulfonyl
THF	Tetrahydrofuran
TLC	Thin Layer Chromatography
$t_R$	Retention time
UV	Ultra violet

## I-Chemistry

All temperatures are stated in °C. The commercially available starting materials were used as received without further purification. Compounds are purified by flash column chromatography on silica gel (FC) or by prep. HPLC. Compounds described in the invention are characterized by LC-MS (retention time  $t_R$  is given in min.; molecular weight obtained from the mass spectrum is given in g/mol, using the conditions listed below). If the mass is not detectable the compounds are also characterized by  $^1\text{H-NMR}$  (300 MHz: Varian Oxford; chemical shifts are given in ppm relative to the solvent used; multiplicities: s = singlet, d = doublet, t = triplet; p = pentuplet, hex = hexet, hept = heptet, m = multiplet, br = broad, coupling constants are given in Hz).

### *LC-MS with basic conditions (conditions A)*

Apparatus: Agilent 1100 series with mass spectroscopy detection (MS : Finnigan single quadrupole). Column: Waters XBridge C18 (5  $\mu\text{m}$ , 4.6 x 50 mm). Conditions: MeCN [eluent A]; 13 mmol/l  $\text{NH}_3$  in water [eluent B]. Gradient: 95% B  $\rightarrow$  5% B over 1.5 min. (flow: 4.5 ml/min.). Detection: UV/Vis + MS.

### *LC-MS with acidic conditions (conditions B)*

Apparatus: Agilent 1100 series with mass spectroscopy detection (MS : Finnigan single quadrupole). Column: Waters XBridge C18 (2.5  $\mu\text{m}$ , 4.6 x 30 mm). Conditions: MeCN [eluent A]; water + 0.04% TFA [eluent B]. Gradient: 95% B  $\rightarrow$  5% B over 1.5 min. (flow: 4.5 ml/min.). Detection: UV/Vis + MS.

### *Preparative HPLC for purification of compounds (conditions C)*

Column: Waters XBridge (10  $\mu$ m, 75 x 30 mm). Conditions: MeCN [eluent A]; water + 0.5% NH<sub>4</sub>OH (25% aq.) [eluent B]; Gradient: 90% B  $\rightarrow$  5% B over 6.5 min. (flow: 75 ml/min.). Detection: UV + ELSD.

*Preparative HPLC for purification of compounds (conditions D)*

Column: Waters Atlantis T3 OBD (10  $\mu$ m, 75 x 30 mm). Conditions: MeCN [eluent A]; water + 0.5% HCOOH [eluent B]; Gradient: 90% B  $\rightarrow$  5% B over 6.4 min. (flow: 75 ml/min.). Detection: UV + ELSD.

*LC-MS for final product analysis (conditions E)*

LC-MS-conditions: Analytical. Pump: Waters Acquity Binary, Solvent Manager, MS: Waters SQ Detector, DAD: Acquity UPLC PDA Detector, ELSD: Acquity UPLC ELSD. Column: Acquity UPLC BEH C18 1.7 mm 2.1 x 50 mm from Waters, thermostated in the Acquity UPLC Column Manager at 50 °C. Eluents: A1: H<sub>2</sub>O + 0.05 % FA; B1: MeCN + 0.05 % FA; A2: H<sub>2</sub>O + 0.05 % TFA; B2: MeCN + 0.05 % TFA. Method: Gradient: 2 % B 98 % B over 1.5 min. Flow: 1.2 mL/ min. Detection: UV 214nm and ELSD, and MS,  $t_R$  is given in min.

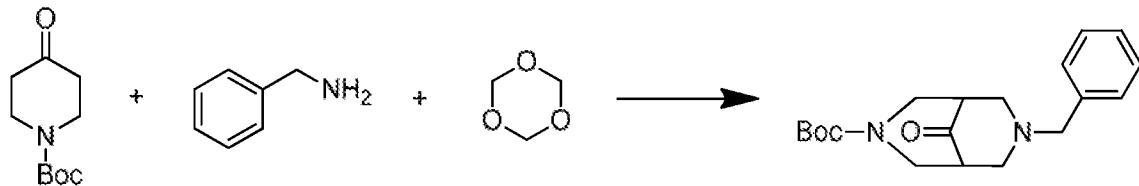
The following examples illustrate the preparation of compounds of the invention but do not at all limit the scope thereof.

**Preparation of precursors and intermediates:**

**A) Synthesis of the templates:**

Synthesis of tert-butyl 3,7-diazabicyclo[3.3.1]nonane-3-carboxylate:

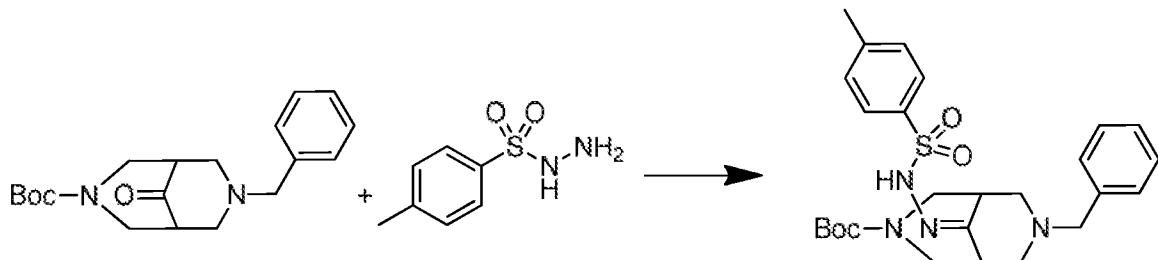
Step 1:



Benzylamine (10.82 g; 100 mmol) was dissolved in MeOH (400 ml) followed by the addition of AcOH (6.0 g; 100 mmol), of 37% aq. HCl (4.18 ml; 50 mmol) and paraformaldehyde (6.32 g; 66.7 mmol). The clear reaction solution was heated to reflux (90°C) and 1-Boc-4-piperidone (20.12 g; 100 mmol) dissolved in MeOH (120 ml) was added over 20 min. Stirring and heating was continued for 3 h. The solution was cooled to rt and concentrated in vacuo. A solution of 5M ammonia (400 ml) was added to the residue which was extracted with EtOAc (750 ml). The organic layer was separated from the aq. layer, dried over MgSO<sub>4</sub>, filtered and the solvent was evaporated under reduced pressure to give 34.34 g of crude

material. Purification was performed via flashmaster chromatography (silicagel; heptane / EtOAc = 7 / 3) to give 27.6 g of tert-butyl 7-benzyl-9-oxo-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate. LC-MS:  $t_R$  = 0.97 min;  $[M+H]^+$  = 331.08. Method (A)

Step 2:



Tert-butyl 7-benzyl-9-oxo-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate 27.5 g; 83.2 mmol) was dissolved in EtOH (715 ml) at RT followed by the addition of p-toluenesulfonyl hydrazine (17.05 g; 91.5 mmol). The reaction mixture was refluxed (95°C) for 60 min, then cooled to rt and concentrated in vacuo followed by high vacuum drying over night to give 41.5 g tert-butyl 7-benzyl-9-(2-tosylhydrazone)-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate. LC-MS:  $t_R$  = 0.76 min;  $[M+H]^+$  = 499.21. Method (A)

Step 3:



Tert-butyl 7-benzyl-9-(2-tosylhydrazone)-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate (10.875 g; 21.8 mmol) was dissolved in a 4/1-mixture of THF / water (150 ml) and cooled to 0°C followed by NaBH4 (8.25 g; 218 mmol) in portions. Stirring was continued over night while at the same time the reaction mixture was allowed to very slowly warm to RT followed by heating to reflux for 2 h. The reaction mixture was cooled again to RT. Water (50 ml) was slowly added to destroy potential excess of NaBH4. Then again water (250 ml) was added and the product was extracted with EtOAc (3 x 250 ml). The combined organic layers were washed with brine (100 ml), dried over MgSO4, filtered and the solvent was evaporated under reduced pressure to give 16.75 g of crude product which was purified by flashmaster chromatography (silicagel; heptane / EtOAc = 4 / 1) to give tert-butyl 7-benzyl-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate. LC-MS:  $t_R$  = 1.10 min;  $[M+H]^+$  = 317.09. Method (A)

Step 4:



Tert-butyl 7-benzyl-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate (7 g; 21.3 mmol), dissolved in 20 ml EtOH was carefully added to a suspension of Palladium 10% on charcoal 50% water in EtOH (180 ml): The reaction mixture was evacuated and put under hydrogen (1 atm) three times then stirring was continued under a hydrogen pressure (1 atm) at RT for 16 h. The reaction mixture was filtered over celite and the solvent was evaporated under reduced pressure to give tert-butyl 3,7-diazabicyclo[3.3.1]nonane-3-carboxylate. LC-MS:  $t_R = 0.42$  min;  $[M+H]^+ = 227.15$ . Method (B)

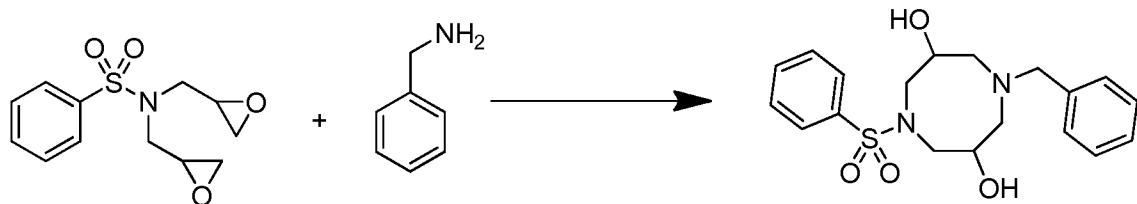
**Synthesis of tert-butyl 9-oxa-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate hydrochloride:**

Step 1:



Benzenesulfonamide (25 g; 0.159 mol) was dissolved in water (250 ml) followed by the addition of epichlorohydrine (58.9 g; 0.636 mol). The reaction mixture was heated to 40°C. At this temperature a solution of NaOH (13.3 g) in water (28 ml) was carefully added and the reaction temperature was kept below 45°C. Stirring was continued at 40°C for 2 h and at RT for 16 h. The excess epichlorohydrine was evaporated and the remaining aq. layer was extracted with DCM (2 x 150 ml). The combined organic layers were dried over  $\text{MgSO}_4$ , filtered and concentrated under reduced pressure to give crude N,N-bis(oxiran-2-ylmethyl)benzenesulfonamide which was used in the next step without purification. LC-MS:  $t_R = 0.57$  min;  $[M+H]^+ = 270.04$ . Method (B)

Step 2:

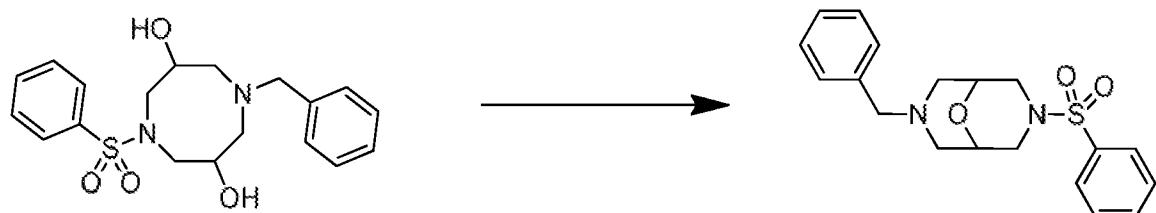


N,N-bis(oxiran-2-ylmethyl)benzenesulfonamide (86.4 g; 0.321 mol) was dissolved in EtOH (820 ml) followed by the addition of benzylamine (27.8 g; 0.257 mol). The reaction mixture was heated to reflux (80°C) for 3 h, then the mixture was cooled to rt, the solvent was

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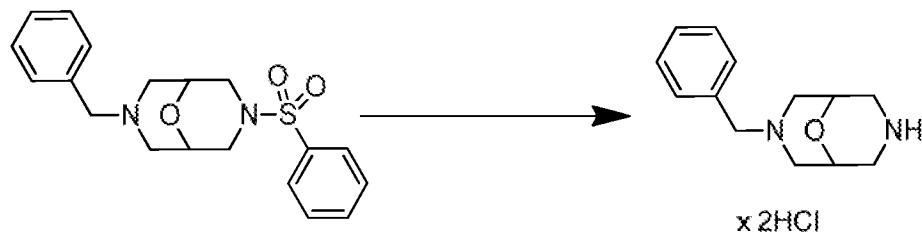
evaporated under reduced pressure to result in a white foam, which was dried at high vacuum to give 1-benzyl-5-(phenylsulfonyl)-1,5-diazoctane-3,7-diol which was used in the following step without further purification. LC-MS:  $t_R = 0.48$  min;  $[M+H]^+ = 377.20$ . Method (B)

Step 3:



1-Benzyl-5-(phenylsulfonyl)-1,5-diazoctane-3,7-diol (111 g; 0.236 mol) was dissolved in toluene (900 ml) followed by the addition of methanesulfonic acid (31 ml; 0.47 mol). Stirring was continued at RT for 5 min followed by the addition of another portion of methanesulfonic acid (147 ml; 2.27 mol). The reaction mixture was heated to 110°C for 16 h and cooled again to RT. The toluene was evaporated and to the residue was added water (300 ml) and DCM (300 ml). This mixture was cooled to 0°C followed by careful addition of aq. 32 % NaOH solution (260 ml) until the pH reached 14. The aq. and the organic layer were separated. The organic layer was dried over  $MgSO_4$ , filtered and concentrated under reduced pressure to give a white foam containing ca 30% of product 3-benzyl-7-(phenylsulfonyl)-9-oxa-3,7-diazabicyclo[3.3.1]nonane and ca 70% of starting material 1-Benzyl-5-(phenylsulfonyl)-1,5-diazoctane-3,7-diol. The exact same procedure with the product / starting material mixture was repeated and after that again repeated to result in 63.5 g of crude material. Purification was performed with a flashmaster chromatography (Silicagel; DCM) to give 3-benzyl-7-(phenylsulfonyl)-9-oxa-3,7-diazabicyclo[3.3.1]nonane as a beige solid. LC-MS:  $t_R = 0.53$  min;  $[M+H]^+ = 359.17$ . Method (B)

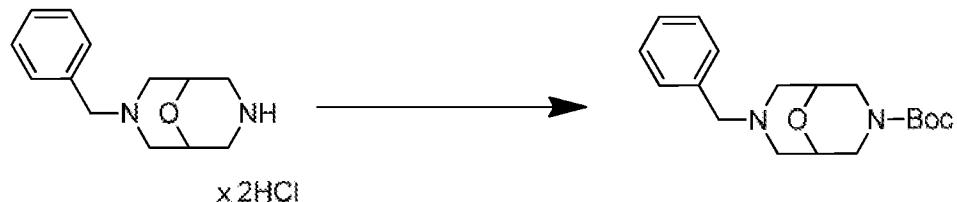
Step 4:



In an inert nitrogen atmosphere 3-benzyl-7-(phenylsulfonyl)-9-oxa-3,7-diazabicyclo[3.3.1]nonane (7.2 g; 18.1 mmol) was dissolved in an aq. 62% HBr solution (75 ml) and heated to 105°C for 12 h. Another portion of aq. 62% HBr solution (70 ml) was added and stirring at 105°C was continued for another 20 h. The reaction mixture was cooled to RT and extracted with toluene (100 ml). The toluene layer was discarded. The aq. layer was adjusted to pH 14 by the addition of aq. NaOH solution (120 ml; 32%) and extracted with

toluene (2x 100 ml). To the combined toluene layers was added HCl in dioxane solution (25 ml; 4M) and stirring was continued for 1 h followed by removal of the solvent under reduced pressure. The residue was suspended in EtOH (50 ml). The solid was filtered off and dried at high vacuum to give 3-benzyl-9-oxa-3,7-diazabicyclo[3.3.1]nonane as a white solid. LC-MS:  $t_R = 0.73$  min;  $[M+H]^+ = 219.12$ . Method (A)

Step 5:



3-Benzyl-9-oxa-3,7-diazabicyclo[3.3.1]nonane (4.15 g; 14.3 mmol) were dissolved in a mixture of water (40 ml) and DCM (40 ml) followed by the addition of  $\text{NaHCO}_3$  (4.84 g; 57 mmol). Stirring was continued for 10 min followed by the addition of  $\text{Boc}_2\text{O}$  (3.38 g; 15.7 mmol) in portions. Stirring at RT continued for 90 min. The organic layer was separated from the aq. layer, which was re-extracted with DCM (20 ml). The combined organic layers were dried with  $\text{MgSO}_4$ , filtered and the solvent was evaporated under reduced pressure to give tert-butyl 7-benzyl-9-oxa-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate as a white solid. LC-MS:  $t_R = 0.97$  min;  $[M+H]^+ = 319.15$ . Method (A)

Step 6:



Tert-butyl 7-benzyl-9-oxa-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate (4.48 g; 14.1 mmol) was dissolved in dry  $\text{EtOAc}$  (25 ml) in an inert atmosphere and cooled to  $-10^\circ\text{C}$  followed by slow addition over 10 min of a HCl solution in  $\text{Et}_2\text{O}$  (2M; 10 ml). Stirring at  $-10^\circ\text{C}$  was continued for another 60 min. The product was filtered off and dried under high vacuum to give tert-butyl 7-benzyl-9-oxa-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate hydrochloride salt as a white solid.

Step 7 tert-butyl 9-oxa-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate hydrochloride:

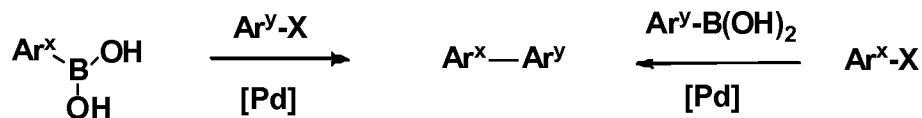


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Tert-butyl 7-benzyl-9-oxa-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate hydrochloride salt (4.8 g; 13.5 mmol) was dissolved in MeOH (10 ml) and added to a suspension of 10% palladium on charcoal (480 mg) in MeOH (40 ml) in an inert atmosphere. The reaction mixture was then put under hydrogen pressure (1 atm) for 16 h. The mixture was filtered over celite and the filtrate was concentrated under reduced pressure to give tert-butyl 9-oxa-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate hydrochloride salt as a slightly yellow solid. LC-MS:  $t_R$  = 0.63 min;  $[M+H]^+$  = 229.14. Method (B)

### B) Synthesis of the substituents:

Generally, bi-(hetero-)aryl-like structures can be synthesised using well established Suzuki chemistry in analogy to the reactions depicted here:



Synthesis of bi-(hetero-)aryl like structures; X is Br, I

Reaction of commercially available (hetero-)aryl-boronic acid derivatives (e.g. carboxylic acids or esters thereof) with commercially available (hetero-)aryl-bromides or (hetero-)aryl-iodides (or analogues thereof, such as chlorides, trifluoromethanesulfonates) in presence of a metal catalyst catalyst such as  $\text{Pd}(\text{PPh}_3)_4$  or equivalent and a base such as  $\text{Na}_2\text{CO}_3$  under heating in a solvent such as toluene, dioxane, THF provides the corresponding bi-(hetero-)aryl like structures.

### B1 Preparation of building blocks of formula $\text{Ar}^1\text{-CO-OH}$ :

In addition to commercially available building blocks, further particular building blocks of formula  $\text{Ar}^1\text{-CO-OH}$  are prepared as follows:

#### B1.1 2-Fluoro-3-methyl-6-(2H-1,2,3-triazol-2-yl)benzoic acid:

2-Fluoro-3-methyl-6-(2H-1,2,3-triazol-2-yl)benzoic acid **B1.1** is synthesized in analogy to procedures reported in WO2008/069997.

In a dry Schlenk Tube at RT under nitrogen are successively charged 2-fluoro-6-iodo-3-methyl-benzoic acid (1.786 mmol, 1 eq),  $\text{CuI}$  (0.089 mmol, 0.05 eq), 1*H*-1,2,3-triazole (3.571 mmol, 2 eq),  $\text{Cs}_2\text{CO}_3$  (3.571 mmol, 2 eq) and DMF (2.5 mL). The resulting blue suspension is stirred at 80°C overnight. The obtained reaction mixture is taken up in 1 M aq. HCl and extracted twice with EtOAc. The combined organic layers are dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated under reduced pressure. Purification is achieved by prep. HPLC (conditions D) to give the titled compound as a pale yellow solid. LC-MS (conditions B):  $t_R$  = 0.55 min,  $[M + 1]^+$  = 222.19.

**B1.2 5-Methoxy-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-5-methoxybenzoic acid (1.798 mmol, 1 eq). **B1.2** is obtained as a yellow solid. LC-MS (conditions B):  $t_R = 0.49$  min,  $[M + 1]^+ = 220.07$ .

**B1.3 2-Fluoro-3-methoxy-6-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-fluoro-6-iodo-3-methoxybenzoic acid (1.689 mmol, 1 eq). **B1.3** is obtained as a pale yellow solid. LC-MS (conditions B):  $t_R = 0.48$  min,  $[M + 1]^+ = 238.18$ .

**B1.4 2-(2H-1,2,3-Triazol-2-yl)-5-(trifluoromethyl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-5-trifluorobenzoic acid (1.582 mmol, 1 eq). **B1.4** is obtained as a white solid. LC-MS (conditions B):  $t_R = 0.64$  min,  $[M + 1]^+ = 257.91$ .

**B1.5 2-(2H-1,2,3-Triazol-2-yl)-5-(trifluoromethoxy)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-5-(trifluoromethoxy)benzoic acid (1.506 mmol, 1 eq). **B1.5** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.66$  min,  $[M + 1]^+ = 273.69$ .

**B1.6 5-Cyano-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 5-cyano-2-iodobenzoic acid (1.831 mmol, 1 eq). **B1.6** is obtained as a grey solid. LC-MS (conditions B):  $t_R = 0.46$  min,  $[M + 1]^+ = \text{not detectable}$ .  $^1\text{H}$  NMR ( $\text{D}_6$ -DMSO): 13.49 (m, 1 H), 8.21 (m, 1 H), 8.18 (m, 2 H), 8.15 (m, 1 H), 8.03 (m, 1 H).

**B1.7 5-Methyl-2-(pyridin-2-yl)benzoic acid:**

a) In a dry Schlenk Tube at RT under nitrogen are successively charged 2-iodo-5-methylbenzoic acid methyl ester (13.765 mmol, 1 eq), Cul (2.753 mmol, 0.2 eq), CsF (27.529 mmol, 2 eq), 2-tributylstannylpyridine (20.647 mmol, 1.5 eq),  $\text{Pd}(\text{PPh}_3)_4$  (1.376 mmol, 0.1 eq) and DMF (60 mL). The resulting suspension is stirred at 90°C overnight. The obtained reaction mixture is diluted with EtOAc and filtered through a short pad of Celite®. A solution of sat. aq.  $\text{NaHCO}_3$  is then added to the filtrate and the aq. phase extracted with EtOAc (3 times). The combined organic layers are washed with  $\text{H}_2\text{O}$  and brine, dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated under reduced pressure. Purification is achieved by FC (EtOAc/Heptane 1:4 to 3:7) to give methyl 5-methyl-2-(pyridin-2-yl)benzoate as a brown oil. LC-MS (conditions B):  $t_R = 0.67$  min,  $[M + 1]^+ = 228.07$ .

b) To a solution of methyl 5-methyl-2-(pyridin-2-yl)benzoate (11.617 mmol, 1 eq) in MeOH (15 mL) and THF (17 mL) is added 1 M NaOH (23.233 mL, 2 eq). The resulting mixture is stirred at RT overnight. The volatiles are evaporated under reduced pressure and the

remaining aq. phase is acidified with 2 M HCl to pH = 1-2 and extracted with DCM (3 times). The combined organic layers are dried over  $\text{Na}_2\text{SO}_4$ , filtered and concentrated under reduced pressure to give 5-methyl-2-(pyridin-2-yl)benzoic acid **B1.7** as a pale brown foam. LC-MS (conditions B):  $t_{\text{R}} = 0.39$  min,  $[\text{M} + 1]^+ = 214.25$ .

#### **B1.8 5-Methyl-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-5-methylbenzoic acid. **B1.8** is obtained as an off-white solid. LC-MS (conditions B):  $t_{\text{R}} = 0.76$  min,  $[\text{M} + 1]^+ = 204.56$ .

#### **B1.9 2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-benzoic acid. **B1.9** is obtained as an off-white solid. LC-MS (conditions B):  $t_{\text{R}} = 0.55$  min,  $[\text{M} + 1]^+ = 190.3$ .

#### **B1.10 5-Fluoro-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-5-fluorobenzoic acid. **B1.10** is obtained as an off-white solid. LC-MS (conditions B):  $t_{\text{R}} = 0.71$  min,  $[\text{M} + 1]^+ = 208.35$ .

#### **B1.11 6-Fluoro-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-6-fluorobenzoic acid. **B1.11** is obtained as an off-white solid. LC-MS (conditions B):  $t_{\text{R}} = 0.70$  min,  $[\text{M} + 1]^+ = 208.59$ .

#### **B1.12 5-Chloro-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-5-chlorobenzoic acid. **B1.12** is obtained as an off-white solid. LC-MS (conditions B):  $t_{\text{R}} = 0.66$  min,  $[\text{M} + 1]^+ = 224.3$ .

#### **B1.13 4,5-Dimethoxy-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-4,5-dimethoxybenzoic acid. **B1.13** is obtained as an off-white solid. LC-MS (conditions B):  $t_{\text{R}} = 0.46$  min,  $[\text{M} + 1]^+ = 250.03$ .

#### **B1.14 4,5-Dimethyl-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-4,5-dimethylbenzoic acid. **B1.14** is obtained as an off-white solid. LC-MS (conditions B):  $t_{\text{R}} = 0.59$  min,  $[\text{M} + 1]^+ = 218.19$ .

**B1.15 4-Methyl-5-methoxy-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-4-methyl-5-methoxybenzoic acid. **B1.15** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.68$  min,  $[M + 1]^+ = 234.55$

**B1.16 6-Methyl-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-6-methylbenzoic acid. **B1.16** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.51$  min,  $[M + 1]^+ = 204.22$

**B1.17 4-Methyl-2-(2H-1,2,3-triazol-2-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodo-4-methylbenzoic acid. **B1.17** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.63$  min,  $[M + 1]^+ = 204.22$

**B1.18 3-(2H-1,2,3-triazol-2-yl)picolinic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 3-iodopicolinic acid. **B1.18** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.25$  min,  $[M + 1]^+ = 191.24$

**B1.19 6-methyl-3-(2H-1,2,3-triazol-2-yl)picolinic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 3-iodo-6-methylpicolinic acid. **B1.19** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.29$  min,  $[M + 1]^+ = 205.06$

**B1.20 2-(1H-pyrazol-1-yl)benzoic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 2-iodobenzoic acid and using pyrazole instead of triazole in the reaction. **B1.20** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.45$  min,  $[M + 1]^+ = 189.23$

**B1.21 5-Methyl-2-(1H-pyrazol-1-yl)benzoic acid:**

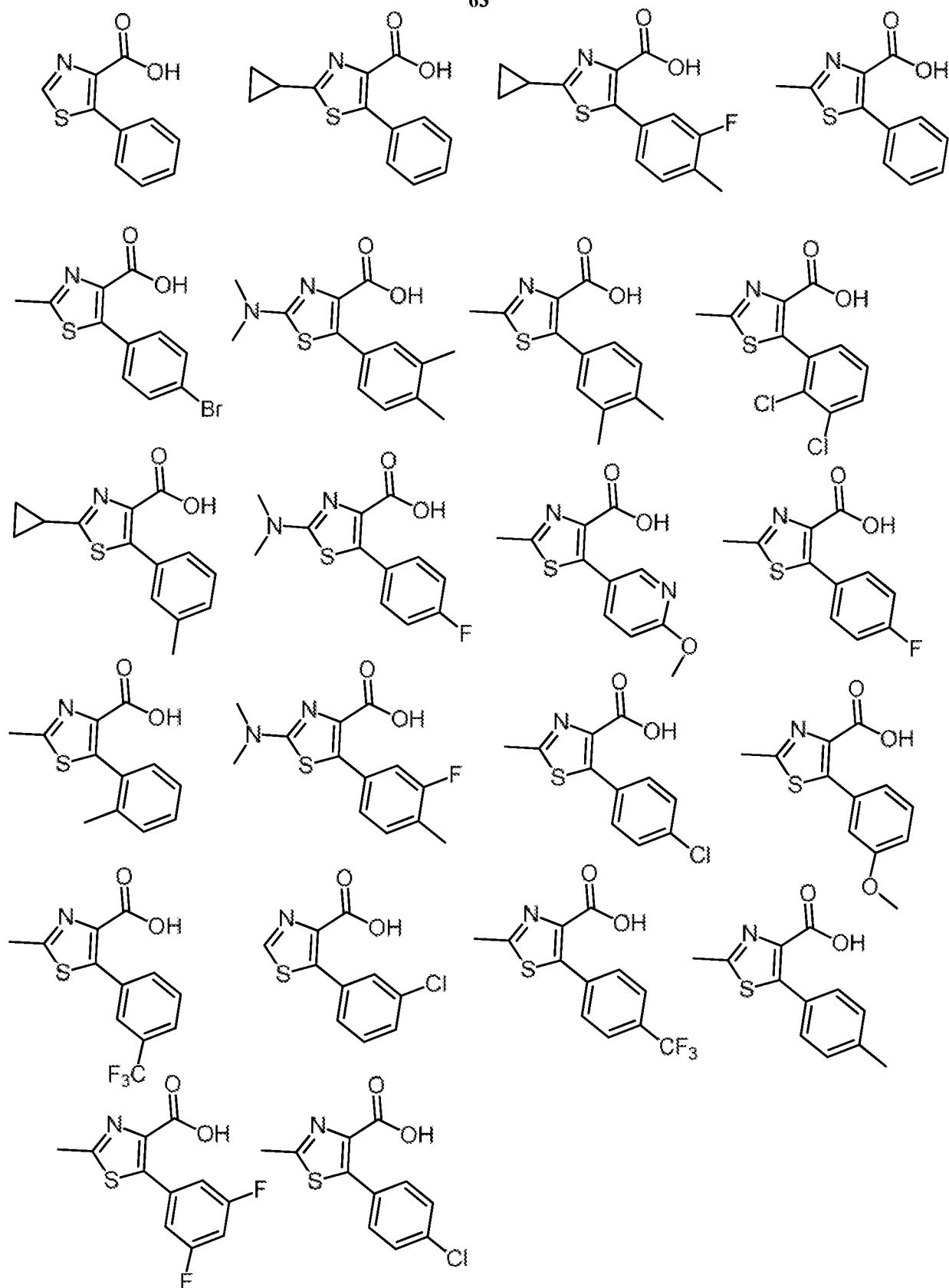
The title compound is prepared in analogy to compound **B1.1** starting from 5-methyl-2-iodobenzoic acid and using pyrazole instead of triazole in the reaction. **B1.21** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.53$  min,  $[M + 1]^+ = 203.22$

**B1.22 6-methyl-3-(1H-pyrazol-1-yl)picolinic acid:**

The title compound is prepared in analogy to compound **B1.1** starting from 3-iodo-6-methylpicolinic acid and using pyrazole instead of triazole in the reaction. **B1.22** is obtained as an off-white solid. LC-MS (conditions B):  $t_R = 0.26$  min,  $[M + 1]^+ = 201.12$

The additionally substituted 5-(hetero)arylthiazole-4-carboxylic acid derivatives depicted below are prepared according to methods described in WO2010/044054, WO2010/038200, WO2009/104155, WO2008/081399.

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Further carboxylic acids used in the experimental part which are not described in the previous section are either commercially available or fully described in the literature.

### C Preparation of the 2-chloro-quinoxalines:

2-chloro-6,7-difluoroquinoxaline (commercially available)

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2-chloro-7-fluoroquinoxaline (WO2010/084152A1)

2-chloro-6-fluoroquinoxaline (commercially available)

2,6-dichloroquinoxaline (commercially available)

2,6,7-trichloroquinoxaline (commercially available)

2,7-dichloroquinoxaline (commercially available)

#### D Preparation of precursors:

##### **General Method A: Nucleophilic substitution**

To a solution of 1 mmol of secondary amine **18** or **21** (Schemes 3 + 4) in xylene (5-7 mL) are successively added  $K_2CO_3$  (2.5 mmol for the free amine; 3.5 mmol when HCl salt is present) and  $Ar^2\text{-Cl}$  (1.05 mmol). The resulting suspension is stirred at reflux for 30 minutes. Upon completion  $H_2O$  is added and the aq. phase is extracted with EtOAc (3 times). The combined organic phases are washed with brine, dried over  $Na_2SO_4$ , filtered and concentrated under reduced pressure. The residue is purified by column chromatography or by prep. HPLC (conditions C) or by re-crystallization from EtOAc / Et<sub>2</sub>O = 1 / 1.

##### **General Method B: Nucleophilic substitution**

To a solution of 1 mmol of secondary amine **18** or **21** (Schemes 3 + 4) in pyridine (5.5 mL) are successively added DBU (2.5 mmol for the free amine; 3.5 mmol when HCl salt is present) and  $Ar^2\text{-Cl}$  (1.2 mmol). The resulting suspension is stirred at 110 °C overnight. Upon completion  $H_2O$  is added and the aq. phase is extracted with EtOAc (3 times). The combined organic phases are washed with brine, dried over  $Na_2SO_4$ , filtered and concentrated under reduced pressure. The residue is purified by column chromatography or by prep. HPLC (conditions C).

##### **General Method C: Boc-deprotection**

To a solution of 1 mmol of Boc-protected amine **20** or **24** (Schemes 3 + 4) in dioxane (5 mL) is added HCl 4 M in dioxane (5 mL). The resulting reaction mixture is stirred at RT for 2 h and concentrated under reduced pressure. The residue is taken up in MeOH, sonicated and concentrated in vacuum. This operation is repeated 3 times to get rid of all HCl gas. The compound is obtained as foam or solid and is used in the next step without further purification.

##### **General Method D: Boc-deprotection**

To a solution of 1 mmol of Boc-protected amine **20** or **24** (Schemes 3 + 4) in Et<sub>2</sub>O (2.5 mL) at 0°C is added HCl 2 M in Et<sub>2</sub>O (2.5 mL). The resulting white suspension is stirred at RT for 2 h, cooled down to 0°C diluted with Et<sub>2</sub>O (1.25 mL) and treated again with HCl 2 M in Et<sub>2</sub>O (1.25 mL). The resulting reaction mixture is further stirred at RT for an additional 2 h, diluted with cold EtOAc (3 mL) and filtered. The HCl salt is washed with EtOAc and pentane. The compound is obtained as a solid, which is used in the next step without further purification.

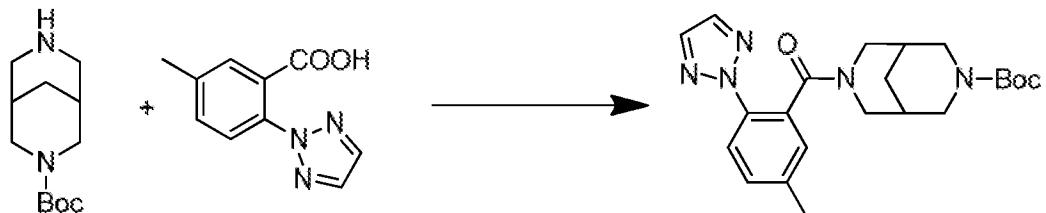
**General Method E: Amide coupling**

To a mixture of Ar<sup>1</sup>-CO-OH (**19**) (1 mmol) and TBTU (1.05 mmol) in MeCN (5.5 mL) at RT is added DIPEA (5 mmol). The resulting solution is stirred at RT for 15 minutes before addition of a solution of 1 mmol of secondary amine **18** or **25** (Schemes 3 + 4) in MeCN (2 mL). The resulting reaction mixture is stirred at RT overnight. Upon completion aq. sat. NaHCO<sub>3</sub> is added and the aq. phase is extracted with EtOAc (3 times). The combined organic phases are washed with H<sub>2</sub>O and brine, dried over Na<sub>2</sub>SO<sub>4</sub>, filtered and concentrated under reduced pressure. The residue is purified by FC or by prep. HPLC (conditions C).

**E Examples:****Synthesis of Example 1:**

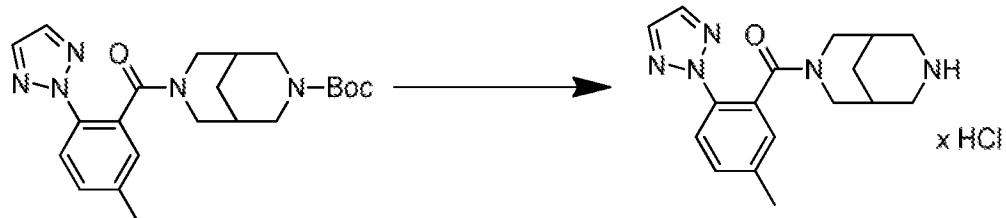
The procedure is representative. All other examples can in general be prepared according to the procedure described for the preparation of Example 1 by choosing the appropriate starting materials described herein before. This preparation follows the approach outlined in Scheme 3 above.

Step 1: Amide bond formation:



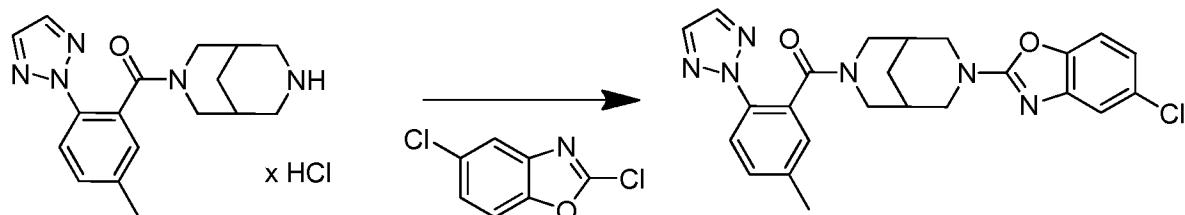
5-Methyl-2-(2H-1,2,3-triazol-2-yl)benzoic acid (320 mg; 1.73 mmol) is dissolved in MeCN (10 mL) followed by the addition of TBTU (555 mg; 1.73 mmol) and DIPEA (508 mg; 3.93 mmol). Stirring is continued for 15 minutes followed by the addition of tert-butyl 3,7-diazabicyclo[3.3.1]nonane-3-carboxylate (356 mg; 1.57 mmol). Stirring is continued at rt. for an additional 1 h. Brine (50) is added to the reaction mixture and the product is extracted with DCM (2 \* 50 ml). The combined organic layers are dried over MgSO<sub>4</sub>, filtered and concentrated under reduced pressure. The product is purified by FC (EtOAc / heptanes = 1 / 1) to give tert-butyl 7-(5-methyl-2-(2H-1,2,3-triazol-2-yl)benzoyl)-3,7-diazabicyclo[3.3.1]nonane-3-carboxylate as a colorless solid.

Step 2: Boc-deprotection:



tert-butyl 7-(5-methyl-2-(2H-1,2,3-triazol-2-yl)benzoyl)-3,7-diazabicyclo[3.3.1] nonane-3-carboxylate (370 mg; 0.898 mmol) is dissolved in dioxane (5 ml) followed by the addition of HCl in dioxane (4M; 5 ml; 20 mmol). Stirring is continued for 2 h at rt. The reaction mixture is concentrated under reduced pressure and the product is dried at HV to give 3,7-diazabicyclo[3.3.1]nonan-3-yl(5-methyl-2-(2H-1,2,3-triazol-2-yl)phenyl)-methanone hydrochloride as a white solid. <sup>66</sup>

Step 3: Nucleophilic Substitution:

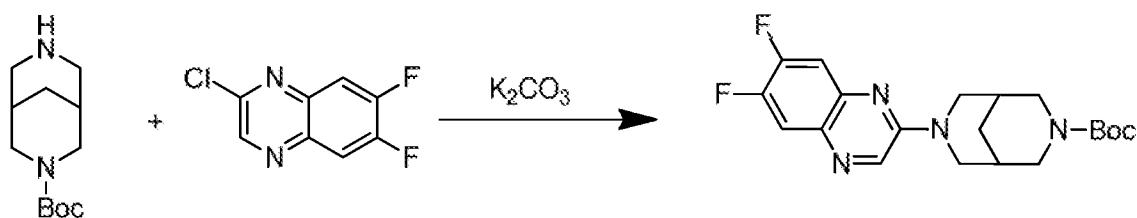


3,7-diazabicyclo[3.3.1]nonan-3-yl(5-methyl-2-(2H-1,2,3-triazol-2-yl)phenyl)-methanone hydrochloride (291 mg; 0.757 mmol) is dissolved in o-xylene (3 ml) followed by the addition of  $K_2CO_3$  (366 mg; 2.65 mmol) and 2,5-dichloro-benzoxazole (190 mg; 0.757 mmol). The reaction mixture is heated to reflux for 30 min. The mixture is cooled to rt and water (50 ml) and EtOAc (50 ml) is added. A precipitate is formed, filtered off and which upon analysis is identified as the product. The aq. and the organic layer of the filtrate are separated. The organic layer is concentrated under reduced pressure. A precipitate is formed and recrystallized from a mixture of EtOAc / Et<sub>2</sub>O = 1 / 1. The precipitate and the recrystallized fraction are combined to give (7-(5-chlorobenzo[d]oxazol-2-yl)-3,7-diazabicyclo[3.3.1]nonan-3-yl)(5-methyl-2-(2H-1,2,3-triazol-2-yl)phenyl)methanone as a white solid.

### Synthesis of Example 237:

The procedure is representative. All other examples can in general be prepared according to the procedure described for the preparation of Example 237 by choosing the appropriate starting materials described herein before. This preparation follows the approach outlined in Scheme 4 above.

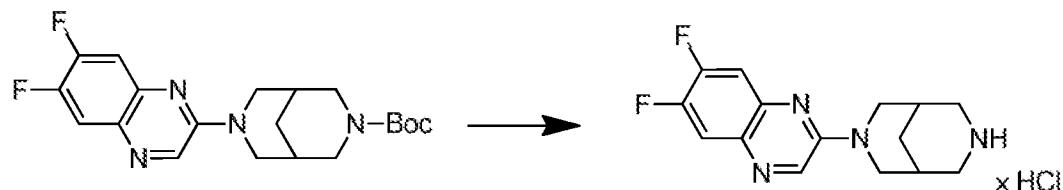
Step 1: Nucleophilic Substitution:



tert-butyl 3,7-diazabicyclo[3.3.1]nonane-3-carboxylate (1.5 g; 6.63 mmol) and 2-chloro-6,7-difluoroquinoxaline (1.564 g; 6.63 mmol) are dissolved in xylene (30 ml) followed by the addition of  $K_2CO_3$  (4.58 g; 33.1 mmol). The suspension is heated to reflux for 1 h and cooled

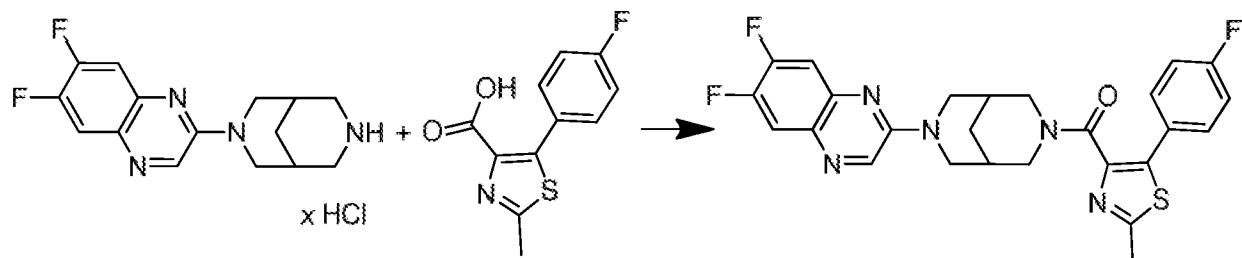
again to rt followed by the addition of water (250 ml). The product is extracted with EtOAc (2 x 125 ml). The combined organic layers are dried over MgSO<sub>4</sub>, filtered and the solvent is evaporated under reduced pressure. The residue is dried at HV to give tert-butyl 7-(6,7-difluoroquinoxalin-2-yl)-3,7-diazabicyclo[3.3.1] nonane-3-carboxylate.<sup>67</sup>

Step 2: Boc-deprotection:



tert-butyl 7-(6,7-difluoroquinoxalin-2-yl)-3,7-diazabicyclo[3.3.1] nonane-3-carboxylate (2.54 g; 6.53 mmol) is dissolved in dioxane (16.8 ml) followed by the addition of HCl in dioxane (4M; 16.8 ml; 65.3 mmol). Stirring at rt is continued for 90 minutes. Et<sub>2</sub>O (150 ml) is added to the reaction mixture and the precipitated product is filtered off, washed with cold Et<sub>2</sub>O (50 ml) and dried at HV to give 2-(3,7-diazabicyclo[3.3.1]nonan-3-yl)-6,7-difluoroquinoxaline hydrochloride as a slightly green solid.

Step 3: Amide bond formation:



5-(4-fluorophenyl)-2-methylthiazole-4-carboxylic acid (31 mg; 0.129 mmol) is dissolved in DMF (1 ml) and TBTU (41.2 mg; 0.128 mmol) and DIPEA (83 mg; 0.642 mmol) are added. The resulting mixture is stirred at rt for 15 min followed by the addition of 2-(3,7-diazabicyclo[3.3.1]nonan-3-yl)-6,7-difluoroquinoxaline hydrochloride (42 mg; 0.129 mmol). Stirring at rt is continued for 20 h followed by direct purification of the product by prep. HPLC to give (7-(6,7-difluoroquinoxalin-2-yl)-3,7-diazabicyclo[3.3.1]nonan-3-yl)(5-(4-fluorophenyl)-2-methylthiazol-4-yl)methanone as a white solid.

According to either of the procedures given above and by using the appropriate starting materials described herein before, the example compounds given in the list below are prepared; LC-MS data given are acquired with *Method E*:

Example	Chemical name; LC-MS data
1	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.00; $[M+H]^+$ = 463.3
2	(7-Benzooxazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.84; $[M+H]^+$ = 429.4
3	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.80; $[M+H]^+$ = 445.3
4	[7-(4,6-Dimethoxy-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 450.1
5	[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.92; $[M+H]^+$ = 463.3
6	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 479.4
7	[7-(5-Bromo-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.04; $[M+H]^+$ = 468.3
8	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 449.3
9	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-fluoro-3'-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.17; $[M+H]^+$ = 490.4
10	[7-(5-Ethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.96; $[M+H]^+$ = 418.1
11	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.09; $[M+H]^+$ = 458.3
12	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(5-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 458.3
13	(2-Cyclopropyl-5-m-tolyl-thiazol-4-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.03; $[M+H]^+$ = 496.4
14	[2-Dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.79; $[M+H]^+$ = 503.3
15	[5-(6-Methoxy-pyridin-3-yl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.78; $[M+H]^+$ = 487.3

16	[5-(4-Fluoro-phenyl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.86; $[M+H]^+$ = 474.3
17	(2-Methyl-5-o-tolyl-thiazol-4-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.87; $[M+H]^+$ = 470.3
18	[2-Dimethylamino-5-(4-fluoro-3-methyl-phenyl)-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.85; $[M+H]^+$ = 517.3
19	[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.94; $[M+H]^+$ = 490.3
20	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.97; $[M+H]^+$ = 465.3
21	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-fluoro-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 483.3
22	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.9; $[M+H]^+$ = 483.1
23	[5-(3-Methoxy-phenyl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.83; $[M+H]^+$ = 486.3
24	[2-Methyl-5-(3-trifluoromethyl-phenyl)-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.97; $[M+H]^+$ = 524.3
25	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-(3-methyl-[1,2,4]oxadiazol-5-yl)-phenyl]-methanone; LC-MS: $t_R$ = 0.98; $[M+H]^+$ = 480.1
26	[2-Methyl-5-(4-trifluoromethyl-phenyl)-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.0; $[M+H]^+$ = 524.3
27	Biphenyl-2-yl-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 474
28	(2-Methyl-5-p-tolyl-thiazol-4-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.98; $[M+H]^+$ = 470.3
29	[5-(3,5-Difluoro-phenyl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.91; $[M+H]^+$ = 492.3
30	[4-(4-Chloro-phenyl)-2-methyl-thiazol-5-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.04; $[M+H]^+$ = 490.3
31	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 464.3
32	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-[1,2,3]triazol-2-yl-5-trifluoromethyl-phenyl)-methanone; LC-MS: $t_R$ = 1.09; $[M+H]^+$ = 533.2

33	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-5-chloro-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 499.2
34	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-5-methoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.98; $[M+H]^+$ = 495.2
35	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-4-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.03; $[M+H]^+$ = 479.3
36	3-[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl]-4-[1,2,3]triazol-2-yl-benzonitrile; LC-MS: $t_R$ = 0.96; $[M+H]^+$ = 490.3
37	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-2-fluoro-3-methoxy-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.94; $[M+H]^+$ = 512.88
38	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-2-[1,2,3]triazol-2-yl-5-trifluoromethoxy-phenyl)-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 548.9
39	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 479.3
40	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-4,5-dimethoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 525.3
41	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-5-fluoro-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.99; $[M+H]^+$ = 467.3
42	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.92; $[M+H]^+$ = 467.1
43	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-5-chloro-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.04; $[M+H]^+$ = 483.3
44	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-2-[1,2,3]triazol-2-yl-5-trifluoromethoxy-phenyl)-methanone; LC-MS: $t_R$ = 1.1; $[M+H]^+$ = 533.3
45	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-5-methoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.97; $[M+H]^+$ = 512.88
46	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-4-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 463.3
47	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-4,5-dimethoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.94; $[M+H]^+$ = 509.4
48	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-2-[1,2,3]triazol-2-yl-5-trifluoromethyl-phenyl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 517.3
49	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1; $[M+H]^+$ = 463.3

50	Biphenyl-2-yl-[7-(5-chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 458.3
51	[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.16; $[M+H]^+$ = 472.2
52	(5-Fluoro-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.05; $[M+H]^+$ = 462.3
53	(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.04; $[M+H]^+$ = 462.3
54	(5-Chloro-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 478.3
55	(2-[1,2,3]Triazol-2-yl-5-trifluoromethoxy-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.16; $[M+H]^+$ = 428.3
56	(5-Methoxy-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.04; $[M+H]^+$ = 474.4
57	(4-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 458.4
58	(4,5-Dimethoxy-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 504.4
59	(2-[1,2,3]Triazol-2-yl-5-trifluoromethyl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.15; $[M+H]^+$ = 512.3
60	(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 458.4
61	Biphenyl-2-yl-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.2; $[M+H]^+$ = 453.3
62	(4-Methyl-biphenyl-2-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.25; $[M+H]^+$ = 467.4
63	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-fluoro-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.79; $[M+H]^+$ = 449.3
64	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.68; $[M+H]^+$ = 449.3
65	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-chloro-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.84; $[M+H]^+$ = 465.3
66	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-[1,2,3]triazol-2-yl-5-trifluoromethoxy-phenyl)-methanone; LC-MS: $t_R$ = 0.92; $[M+H]^+$ = 515.3

67	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-methoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.77; $[M+H]^+$ = 461.3
68	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.81; $[M+H]^+$ = 445.4
69	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4,5-dimethoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.75; $[M+H]^+$ = 491.1
70	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-[1,2,3]triazol-2-yl-5-trifluoromethyl-phenyl)-methanone; LC-MS: $t_R$ = 0.88; $[M+H]^+$ = 499.3
71	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.8; $[M+H]^+$ = 445.2
72	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-biphenyl-2-yl-methanone; LC-MS: $t_R$ = 0.89; $[M+H]^+$ = 440.3
73	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 454.4
74	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 0.99; $[M+H]^+$ = 480.3
75	(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.87; $[M+H]^+$ = 444.4
76	(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.73; $[M+H]^+$ = 444.4
77	(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.03; $[M+H]^+$ = 458.4
78	[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 478.3
79	[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 478.4
80	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 512.3
81	[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 0.93; $[M+H]^+$ = 462.4
82	[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 0.93; $[M+H]^+$ = 462.4
83	[7-(8-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-6-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 1.09; $[M+H]^+$ = 454.4

84	[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.09; $[M+H]^+$ = 474.4
85	[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 474.4
86	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.19; $[M+H]^+$ = 508.3
87	[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1; $[M+H]^+$ = 458.4
88	[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1; $[M+H]^+$ = 458.4
89	(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 440.4
90	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.05; $[M+H]^+$ = 476.4
91	(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.92; $[M+H]^+$ = 440.1
92	[7-(8-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.09; $[M+H]^+$ = 454.4
93	[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.1; $[M+H]^+$ = 474.3
94	[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 474.4
95	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.96; $[M+H]^+$ = 507.97
96	[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.0; $[M+H]^+$ = 458.4
97	[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 458.4
98	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.96; $[M+H]^+$ = 440.4
99	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 476.4
100	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.93; $[M+H]^+$ = 440.1

101	(4-Methyl-biphenyl-2-yl)-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.27; $[M+H]^+$ = 463.4
102	[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 483.3
103	[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.18; $[M+H]^+$ = 467.4
104	[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.18; $[M+H]^+$ = 467.4
105	(4-Methyl-biphenyl-2-yl)- (7-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.14; $[M+H]^+$ = 449.4
106	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.22; $[M+H]^+$ = 485.4
107	(4-Methyl-biphenyl-2-yl)- (7-quinazolin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 449.1
108	Biphenyl-2-yl-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.21; $[M+H]^+$ = 449.4
109	Biphenyl-2-yl-[7-(7-chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.21; $[M+H]^+$ = 469.3
110	Biphenyl-2-yl-[7-(6-chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC- LC-MS: $t_R$ = 1.19; $[M+H]^+$ = 469.3
111	Biphenyl-2-yl-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.31; $[M+H]^+$ = 503.3
112	Biphenyl-2-yl-[7-(6-fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC- LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 453.4
113	Biphenyl-2-yl-[7-(7-fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.13; $[M+H]^+$ = 453.4
114	Biphenyl-2-yl-(7-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 435.4
115	Biphenyl-2-yl-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.17; $[M+H]^+$ = 471.4
116	Biphenyl-2-yl-(7-quinazolin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.05; $[M+H]^+$ = 435.1
117	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (4-methyl-biphenyl-2-yl)-methanone; LC-MS: LC-MS: $t_R$ = 1.35; $[M+H]^+$ = 517.3

118	[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.27; $[M+H]^+$ = 483.4
119	(5-Methyl-2-pyrazol-1-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.86; $[M+H]^+$ = 442.4
120	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.03; $[M+H]^+$ = 475.4
121	[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 473.3
122	[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 473.3
123	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.17; $[M+H]^+$ = 507.3
124	[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.98; $[M+H]^+$ = 457.4
125	(5-Methyl-2-pyrazol-1-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone LC-MS: $t_R$ = 0.90; $[M+H]^+$ = 439.1
126	(2-Pyrazol-1-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.88; $[M+H]^+$ = 425.4
127	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.99; $[M+H]^+$ = 461.3
128	[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 459.3
129	[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 459.3
130	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 493.3
131	[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-pyrazol-1-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.93; $[M+H]^+$ = 443.4
132	(2-Pyrazol-1-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.84; $[M+H]^+$ = 425.1
133	[5-(4-Bromo-phenyl)-2-methyl-thiazol-4-yl]- [7-(5-chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 557
134	[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-cyclopropyl-5-phenyl-thiazol-4-yl)-methanone; LC-MS: $t_R$ = 1.13; $[M+H]^+$ = 505.4

135	[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-cyclopropyl-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.21; $[M+H]^+$ = 537.2
136	[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-phenyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 0.97; $[M+H]^+$ = 465.3
137	[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(3,4-dimethyl-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.14; $[M+H]^+$ = 536.5
138	[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-phenyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.03; $[M+H]^+$ = 479.3
139	(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.84; $[M+H]^+$ = 441.4
140	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 0.97; $[M+H]^+$ = 477.4
141	[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 475.3
142	[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 0.99; $[M+H]^+$ = 475.3
143	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 509.3
144	[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 0.91; $[M+H]^+$ = 459.4
145	(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.69; $[M+H]^+$ = 441.4
146	(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.82; $[M+H]^+$ = 440.4
147	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-pyrazol-1-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 476.3
148	[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-pyrazol-1-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 0.98; $[M+H]^+$ = 474.4
149	[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-pyrazol-1-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 0.97; $[M+H]^+$ = 474.4
150	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-pyrazol-1-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 1.09; $[M+H]^+$ = 508.3
151	[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[6-methyl-3-pyrazol-1-yl-pyridin-2-yl]-methanone; LC-MS: $t_R$ = 0.89; $[M+H]^+$ = 458.4

152	(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.68; $[M+H]^+$ = 440.4
153	[7-(7-Fluoro-6-methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.0; $[M+H]^+$ = 488.4
154	[7-(6-Methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.97; $[M+H]^+$ = 470.4
155	[7-(7-Methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.94; $[M+H]^+$ = 470.4
156	[7-(6-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 454.3
157	[7-(7-Fluoro-6-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 472.4
158	[7-(7-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 454.4
159	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(7-trifluoromethyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.13; $[M+H]^+$ = 508.4
160	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(6-trifluoromethyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 508.3
161	[7-(6-Fluoro-7-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 472.4
162	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,4-dimethyl-phenyl)-2-methyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.2; $[M+H]^+$ = 520.3
163	[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.23; $[M+H]^+$ = 560.2
164	(2-Cyclopropyl-5-m-tolyl-thiazol-4-yl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 532.3
165	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 539.3
166	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(6-methoxy-pyridin-3-yl)-2-methyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.03; $[M+H]^+$ = 523.3
167	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(4-fluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.1; $[M+H]^+$ = 510.3
168	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-o-tolyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.16; $[M+H]^+$ = 506.4

169	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(4-fluoro-3-methyl-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.18; [M+H] <sup>+</sup> = 553.3
170	[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.16; [M+H] <sup>+</sup> = 526.3
171	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3-methoxy-phenyl)-2-methyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.1; [M+H] <sup>+</sup> = 522.3
172	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(3-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.19; [M+H] <sup>+</sup> = 560.2
173	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(4-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.19; [M+H] <sup>+</sup> = 560.2
174	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-p-tolyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.12; [M+H] <sup>+</sup> = 506.3
175	[5-(3,5-Difluoro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.12; [M+H] <sup>+</sup> = 528.3
176	[4-(4-Chloro-phenyl)-2-methyl-thiazol-5-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.15; [M+H] <sup>+</sup> = 526.3
177	[5-(3,4-Dimethyl-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 0.96; [M+H] <sup>+</sup> = 484.4
178	[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 0.97; [M+H] <sup>+</sup> = 524.3
179	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.87; [M+H] <sup>+</sup> = 442.40
180	[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 0.91; [M+H] <sup>+</sup> = 460.4
181	[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 0.96; [M+H] <sup>+</sup> = 478.4
182	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.90; [M+H] <sup>+</sup> = 442.1
183	[7-(8-Methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 0.98; [M+H] <sup>+</sup> = 456.4
184	[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 1.01; [M+H] <sup>+</sup> = 476.3
185	[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone; LC-MS: $t_R$ = 0.99; [M+H] <sup>+</sup> = 476.3

186	[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.1; $[M+H]^+$ = 510.0
187	(4-Methyl-biphenyl-2-yl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.05; $[M+H]^+$ = 451.4
188	[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 469.4
189	[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 487.4
190	(4-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.07; $[M+H]^+$ = 451.4
191	(4-Methyl-biphenyl-2-yl)-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.15; $[M+H]^+$ = 465.4
192	(7-Benzothiazol-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 456.3
193	[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.17; $[M+H]^+$ = 485.3
194	[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.07; $[M+H]^+$ = 474.4
195	[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.15; $[M+H]^+$ = 485.3
196	[7-(5-Chloro-benzooxazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.1; $[M+H]^+$ = 474.3
197	[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.25; $[M+H]^+$ = 519.2
198	Biphenyl-2-yl-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.99; $[M+H]^+$ = 437.4
199	Biphenyl-2-yl-[7-(6,7-difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.07; $[M+H]^+$ = 473.3
200	Biphenyl-2-yl-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.10; $[M+H]^+$ = 451.4
201	Biphenyl-2-yl-[7-(7-chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 471.3
202	Biphenyl-2-yl-[7-(6-chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.1; $[M+H]^+$ = 471.3

203	Biphenyl-2-yl-[7-(6,7-dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.21; $[M+H]^+$ = 505.3
204	Biphenyl-2-yl-[7-(6-fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 455.4
205	Biphenyl-2-yl-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 437.1
206	(7-Benzothiazol-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-biphenyl-2-yl-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 442.3
207	Biphenyl-2-yl-[7-(6-fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 460.3
208	Biphenyl-2-yl-[7-(5-chloro-benzoxazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.05; $[M+H]^+$ = 459.9
209	[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone; LC-MS: $t_R$ = 0.99; $[M+H]^+$ = 510.3
210	[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone; LC-MS: $t_R$ = 0.85; $[M+H]^+$ = 478.3
211	(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.75; $[M+H]^+$ = 442.3
212	[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone; LC-MS: $t_R$ = 0.88; $[M+H]^+$ = 476.3
213	[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone; LC-MS: $t_R$ = 0.88; $[M+H]^+$ = 476.3
214	(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.72; $[M+H]^+$ = 442.3
215	[7-(6,7-Dimethyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone; LC-MS: $t_R$ = 0.86; $[M+H]^+$ = 470.4
216	[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 511.2
217	[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone; LC-MS: $t_R$ = 0.87; $[M+H]^+$ = 479.3
218	(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.76; $[M+H]^+$ = 443.3
219	[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone; LC-MS: $t_R$ = 0.90; $[M+H]^+$ = 477.3

220	[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone; LC-MS: $t_R = 0.89$ ; $[M+H]^+ = 477.3$
221	(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R = 0.73$ ; $[M+H]^+ = 443.3$
222	[7-(6,7-Dimethyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone; LC-MS: $t_R = 0.87$ ; $[M+H]^+ = 471.4$
223	(7-Benzothiazol-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.85$ ; $[M+H]^+ = 447.3$
224	(7-Benzothiazol-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-chloro-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.89$ ; $[M+H]^+ = 467.3$
225	[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.91$ ; $[M+H]^+ = 465.3$
226	[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.91$ ; $[M+H]^+ = 465.3$
227	[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methoxy-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.88$ ; $[M+H]^+ = 481.2$
228	[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-fluoro-2-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.89$ ; $[M+H]^+ = 469.3$
229	[7-(6-Fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.83$ ; $[M+H]^+ = 469.3$
230	(5-Chloro-2-[1,2,3]triazol-2-yl-phenyl)-[7-(6-fluoro-benzothiazol-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R = 0.95$ ; $[M+H]^+ = 485.2$
231	(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R = 0.80$ ; $[M+H]^+ = 446.3$
232	[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.85$ ; $[M+H]^+ = 464.3$
233	[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.90$ ; $[M+H]^+ = 482.3$
234	[7-(7-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R = 0.85$ ; $[M+H]^+ = 464.3$
235	(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R = 0.92$ ; $[M+H]^+ = 460.4$
236	(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R = 0.78$ ; $[M+H]^+ = 446.0$

237	[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.93; $[M+H]^+$ = 480.3
238	[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.93; $[M+H]^+$ = 480.3
239	[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.04; $[M+H]^+$ = 514.3
240	(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.86; $[M+H]^+$ = 442.4
241	[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.90; $[M+H]^+$ = 460.4
242	[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.96; $[M+H]^+$ = 478.3
243	[7-(7-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.91; $[M+H]^+$ = 460.4
244	[7-(8-Methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.98; $[M+H]^+$ = 456.4
245	(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.89; $[M+H]^+$ = 442.0
246	[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.0; $[M+H]^+$ = 476.0
247	[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.99; $[M+H]^+$ = 476.3
248	[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.1; $[M+H]^+$ = 510.0
249	(2-Bromo-5-methoxy-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.04; $[M+H]^+$ = 506
250	(2-Bromo-5-methyl-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 490.2
251	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2,5-dimethyl-phenyl)-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 426.3
252	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2,5-dichloro-phenyl)-methanone; LC-MS: $t_R$ = 1.09; $[M+H]^+$ = 466.2
253	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-chloro-2-methoxy-phenyl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 462.2

254	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2,5-dimethoxy-phenyl)-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 458.3
255	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-trifluoromethoxy-phenyl)-methanone; LC-MS: $t_R$ = 1.07; $[M+H]^+$ = 482.3
256	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-chloro-2-ethoxy-phenyl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 476.2
257	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3-fluoro-2-propoxy-phenyl)-methanone; LC-MS: $t_R$ = 1.11; $[M+H]^+$ = 474.3
258	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-ethoxy-phenyl)-methanone; LC-MS: $t_R$ = 1.0; $[M+H]^+$ = 442.3
259	(2-Benzoyl-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.03; $[M+H]^+$ = 502.3
260	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-(1,1,2,2-tetrafluoro-ethoxy)-phenyl]-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 514.1
261	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-(2-methoxy-ethoxy)-phenyl]-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 472.4
262	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 488.4
263	[7-(6-Methyl-[1,7]naphthyridin-8-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone hydrochloride; LC-MS: $t_R$ = 0.67; $[M+H]^+$ = 454.5
264	(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-thiazolo[5,4-b]pyridin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone hydrochloride; LC-MS: $t_R$ = 0.86; $[M+H]^+$ = 446.4
265	[7-(6-Fluoro-thiazolo[5,4-b]pyridin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone hydrochloride; LC-MS: $t_R$ = 0.98; $[M+H]^+$ = 464.4
266	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,4-dimethyl-phenyl)-2-methyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.41; $[M+H]^+$ = 552.4
267	[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.43; $[M+H]^+$ = 592.2
268	(2-Cyclopropyl-5-m-tolyl-thiazol-4-yl)-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.45; $[M+H]^+$ = 564.3
269	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.32; $[M+H]^+$ = 571.3
270	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(6-methoxy-pyridin-3-yl)-2-methyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.25; $[M+H]^+$ = 555.3

271	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(4-fluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.31; $[M+H]^+$ = 542.3
272	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-5-o-tolyl-thiazol-4-yl)-methanone; LC-MS: $t_R$ = 1.36; $[M+H]^+$ = 538.3
273	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.4; $[M+H]^+$ = 585.4
274	[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.36; $[M+H]^+$ = 558.3
275	(2-Cyclopropyl-5-phenyl-thiazol-4-yl)-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.4; $[M+H]^+$ = 550.3
276	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(3-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.38; $[M+H]^+$ = 592.3
277	[5-(3-Chloro-phenyl)-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.3; $[M+H]^+$ = 544.3
278	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(4-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.38; $[M+H]^+$ = 592.4
279	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-4-p-tolyl-thiazol-5-yl]-methanone; LC-MS: $t_R$ = 1.33; $[M+H]^+$ = 538.3
280	[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,5-difluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone; LC-MS: $t_R$ = 1.33; $[M+H]^+$ = 560.3
281	(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-(7-benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.00; $[M+H]^+$ = 498.4
282	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-methoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 484.4
283	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2'-fluoro-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.03; $[M+H]^+$ = 472.4
284	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-fluoro-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.04; $[M+H]^+$ = 472.4
285	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-methoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 484.4
286	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4,3'-dimethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.07; $[M+H]^+$ = 468.5
287	2'-(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl)-4'-methyl-biphenyl-4-carbonitrile; LC-MS: $t_R$ = 0.99; $[M+H]^+$ = 479.4

288	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4,2',3'-trimethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 482.5
289	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-ethoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 498.5
290	(4'-Chloro-4-methyl-biphenyl-2-yl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.34; $[M+H]^+$ = 519.4
291	(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.25; $[M+H]^+$ = 529.4
292	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2'-methoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.26; $[M+H]^+$ = 515.5
293	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,2'-dimethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.33; $[M+H]^+$ = 499.5
294	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3'-methoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.28; $[M+H]^+$ = 515.4
295	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2'-fluoro-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.28; $[M+H]^+$ = 503.4
296	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-fluoro-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.29; $[M+H]^+$ = 503.4
297	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3'-fluoro-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.29; $[M+H]^+$ = 503.4
298	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-methoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.28; $[M+H]^+$ = 515.4
299	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-4'-trifluoromethoxy-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.37; $[M+H]^+$ = 569.4
300	2'-[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl]-4'-methyl-biphenyl-3-carbonitrile; LC-MS: $t_R$ = 1.22; $[M+H]^+$ = 510.4
301	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,3'-dimethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.34; $[M+H]^+$ = 499.4
302	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,4'-dimethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.34; $[M+H]^+$ = 499.4
303	2'-[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl]-4'-methyl-biphenyl-4-carbonitrile; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 510.4
304	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2'-ethyl-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.38; $[M+H]^+$ = 513.5

305	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,2',3'-trimethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.37; $[M+H]^+$ = 513.5
306	[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-ethoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.33; $[M+H]^+$ = 529.4
307	(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone, LC-MS: $t_R$ = 1.22; $[M+H]^+$ = 532.3
308	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3'-methoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 518.4
309	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2'-fluoro-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 506.4
310	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3'-fluoro-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.25; $[M+H]^+$ = 506.4
311	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-methoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.23; $[M+H]^+$ = 518.4
312	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,3'-dimethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.29; $[M+H]^+$ = 502.4
313	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,4'-dimethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.29; $[M+H]^+$ = 502.4
314	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-ethoxy-4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.29; $[M+H]^+$ = 532.4
315	(2-Benzo[1,3]dioxol-5-yl-phenyl)-(7-benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 0.94; $[M+H]^+$ = 484.4
316	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-methoxy-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 0.96; $[M+H]^+$ = 470.4
317	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2'-fluoro-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 0.96; $[M+H]^+$ = 458.4
318	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-fluoro-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 0.98; $[M+H]^+$ = 458.4
319	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-methoxy-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 0.96; $[M+H]^+$ = 470.4
320	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.01; $[M+H]^+$ = 454.4
321	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-ethoxy-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 484.4

322	(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-[7-(7-trifluoromethyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.19; $[M+H]^+$ = 508.4
323	(4'-Fluoro-2'-methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.2; $[M+H]^+$ = 467.5
324	(3'-Fluoro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.14; $[M+H]^+$ = 453.5
325	(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-trifluoromethoxy-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.27; $[M+H]^+$ = 519.4
326	(2'-Methoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 465.5
327	(2'-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.18; $[M+H]^+$ = 449.5
328	(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-trifluoromethoxy-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.27; $[M+H]^+$ = 519.4
329	(4'-Chloro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.2; $[M+H]^+$ = 469.4
330	(3'-Methoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 465.5
331	(3'-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.19; $[M+H]^+$ = 449.5
332	(4'-Methoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.1; $[M+H]^+$ = 465.5
333	(4'-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.19; $[M+H]^+$ = 449.5
334	2'-(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl)-biphenyl-4-carbonitrile; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 460.5
335	(3',4'-Dichloro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.28; $[M+H]^+$ = 503.4
336	(2'-Fluoro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 453.5
337	(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-trifluoromethyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 503.4
338	(2',3'-Dimethyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 463.5

339	(2'-Ethyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.25; $[M+H]^+$ = 463.5
340	(2-Benzo[1,3]dioxol-5-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.08; $[M+H]^+$ = 479.4
341	(4'-Ethoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone; LC-MS: $t_R$ = 1.19; $[M+H]^+$ = 479.5
342	(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.02; $[M+H]^+$ = 454.5
343	[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.14; $[M+H]^+$ = 472.4
344	[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyridin-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.85; $[M+H]^+$ = 473.4
345	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyridin-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.94; $[M+H]^+$ = 489.4
346	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.24; $[M+H]^+$ = 488.4
347	[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.23; $[M+H]^+$ = 472.4
348	[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrimidin-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 0.95; $[M+H]^+$ = 474.4
349	[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrimidin-2-yl-phenyl)-methanone; LC-MS: $t_R$ = 1.06; $[M+H]^+$ = 490.4
350	(5-Methyl-biphenyl-2-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.32; $[M+H]^+$ = 467.4
351	(2-Methyl-5-o-tolyl-thiazol-4-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.27; $[M+H]^+$ = 488.4
352	[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.35; $[M+H]^+$ = 542.3
353	[5-(3,4-Dimethyl-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.31; $[M+H]^+$ = 502.4
354	[5-(3-Methoxy-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone; LC-MS: $t_R$ = 1.21; $[M+H]^+$ = 504.4
355	[7-(4,6-Dimethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; LC-MS: $t_R$ = 1.12; $[M+H]^+$ = 427.5

## II. BIOLOGICAL ASSAYS

Antagonistic activities on both orexin receptors have been measured for each example compound using the following procedure:

### **In vitro assay: Intracellular calcium measurements:**

Chinese hamster ovary (CHO) cells expressing the human orexin-1 receptor and the human orexin-2 receptor, respectively, are grown in culture medium (Ham F-12 with L-Glutamine) containing 300 µg/mL G418, 100 U/mL penicillin, 100 µg/mL streptomycin and 10 % heat inactivated fetal calf serum (FCS). The cells are seeded at 20'000 cells / well into 384-well black clear bottom sterile plates (Greiner). The seeded plates are incubated overnight at 37 °C in 5 % CO<sub>2</sub>.

Human orexin-A as an agonist is prepared as 1 mM stock solution in MeOH: water (1:1), diluted in HBSS containing 0.1 % bovine serum albumin (BSA), NaHCO<sub>3</sub>: 0.375g/l and 20 mM HEPES for use in the assay at a final concentration of 3 nM.

Antagonists are prepared as 10 mM stock solution in DMSO, then diluted in 384-well plates using DMSO followed by a transfer of the dilutions into in HBSS containing 0.1 % bovine serum albumin (BSA), NaHCO<sub>3</sub>: 0.375g/l and 20 mM HEPES. On the day of the assay, 50 µl of staining buffer (HBSS containing 1 % FCS, 20 mM HEPES, NaHCO<sub>3</sub>: 0.375g/l, 5 mM probenecid (Sigma) and 3 µM of the fluorescent calcium indicator fluo-4 AM (1 mM stock solution in DMSO, containing 10 % pluronic) is added to each well. The 384-well cell-plates are incubated for 50 min at 37 °C in 5 % CO<sub>2</sub> followed by equilibration at RT for 30 min before measurement.

Within the Fluorescent Imaging Plate Reader (FLIPR Tetra, Molecular Devices), antagonists are added to the plate in a volume of 10 µl/well, incubated for 120 min and finally 10 µl/well of agonist is added. Fluorescence is measured for each well at 1 second intervals, and the height of each fluorescence peak is compared to the height of the fluorescence peak induced by 3 nM orexin-A with vehicle in place of antagonist. The IC<sub>50</sub> value (the concentration of compound needed to inhibit 50 % of the agonistic response) is determined and may be normalized using the obtained IC<sub>50</sub> value of a on-plate reference compound. Optimized conditions were achieved by adjustment of pipetting speed and cell splitting regime. The calculated IC<sub>50</sub> values may fluctuate depending on the daily cellular assay performance. Fluctuations of this kind are known to those skilled in the art.

Antagonistic activities of example compounds with respect to the OX<sub>1</sub> receptor are displayed in *Table 1*.

*Table 1*

Example	IC <sub>50</sub> OX <sub>1</sub> [nM]						
1	8	66	237	131	13	196	106
2	29	67	34	132	16	197	3
3	9	68	68	133	86	198	49
4	26	69	745	134	45	199	57
5	2	70	221	135	13	200	6
6	3	71	19	136	113	201	44
7	91	72	9	137	3	202	92
8	95	73	3	138	19	203	28
9	85	74	2	139	4	204	77
10	35	75	4	140	2	205	37
11	8	76	3	141	2	206	413
12	27	77	1	142	7	207	186
13	40	78	3	143	1	208	998
14	10	79	4	144	5	209	43
15	68	80	1	145	2	210	367
16	18	81	3	146	28	211	1001
17	2	82	3	147	7	212	241
18	2	83	1	148	9	213	637
19	20	84	2	149	31	214	600
20	17	85	5	150	2	215	1510
21	135	86	2	151	21	216	9
22	12	87	2	152	18	217	88
23	3	88	3	153	8	218	77
24	45	89	3	154	10	219	51
25	735	90	2	155	2	220	287
26	111	91	2	156	3	221	105
27	15	92	0.4	157	2	222	241
28	10	93	1	158	2	223	124
29	5	94	1	159	3	224	900
30	19	95	1	160	28	225	38

31	48	96	0.4	91	161	1	226	275
32	240	97	1	162	3	227	145	
33	38	98	1	163	2	228	1270	
34	15	99	0.4	164	19	229	324	
35	25	100	1	165	6	230	328	
36	155	101	1	166	37	231	175	
37	194	102	2	167	16	232	79	
38	132	103	1	168	3	233	48	
39	27	104	2	169	5	234	171	
40	219	105	1	170	16	235	17	
41	135	106	1	171	2	236	94	
42	28	107	0.4	172	64	237	142	
43	42	108	1	173	76	238	150	
44	136	109	6	174	4	239	9	
45	22	110	5	175	12	240	62	
46	27	111	3	176	13	241	50	
47	231	112	1	177	1	242	43	
48	276	113	5	178	1	243	92	
49	28	114	2	179	12	244	8	
50	37	115	1	180	8	245	33	
51	12	116	3	181	8	246	34	
52	42	117	3	182	10	247	107	
53	18	118	3	183	3	248	15	
54	37	119	2	184	6	249	1270	
55	396	120	2	185	11	250	539	
56	88	121	1	186	1	251	1790	
57	23	122	2	187	11	252	1770	
58	458	123	0.3	188	2	253	1350	
59	345	124	3	189	4	254	1070	
60	13	125	2	190	5	255	1270	
61	3	126	25	191	2	256	784	
62	3	127	7	192	143	257	677	
63	384	128	19	193	13	258	117	
64	29	129	24	194	53	259	1480	
65	74	130	1	195	19	260	339	
261	1120	262	21	263	21	264	27	

265	19	266	5	267	7	268	26
269	8	270	4	271	4	272	0.6
273	26	274	6	275	7	276	20
277	22	278	57	279	4	280	1.6
281	8.8	282	2	283	4	284	8
285	4	286	19	287	25	288	14
289	11	290	22	291	1.7	292	5
293	4.5	294	2	295	1.4	296	13
297	2	298	3.6	299	20	300	11
301	3	302	6	303	1.2	304	16
305	7.5	306	5.4	307	5	308	4
309	5.7	310	12	311	3	312	25
313	20	314	21	315	9	316	4.8
317	8	318	19	319	11	320	11
321	29	322	7	323	28	324	1
325	23	326	3	327	3	328	19
329	29	330	0.5	331	1	332	1
333	4	334	17	335	17	336	0.6
337	17	338	3	339	6.7	340	1
341	1	342	7	343	6	344	11
345	18	346	24	347	15	348	30
349	29	350	14	351	17	352	11
353	11	354	23	355	35		

Antagonistic activities of example compounds with respect to the OX<sub>2</sub> receptor are displayed in *Table 2*.

*Table 2*

Example	IC <sub>50</sub> OX <sub>2</sub> [nM]						
1	484	66	4670	131	366	196	1500
2	1240	67	3940	132	519	197	>5620
3	782	68	2880	133	5280	198	1050
4	1080	69	>7580	134	533	199	669
5	177	70	1420	135	266	200	124
6	152	71	1280	136	7910	201	1630

7	1170	72	938	93	137	60	202	1070
8	1400	73	2010		138	410	203	1130
9	760	74	33		139	901	204	1220
10	1150	75	350		140	93	205	1840
11	919	76	170		141	699	206	3260
12	907	77	12		142	378	207	986
13	1260	78	226		143	297	208	2740
14	1720	79	117		144	668	209	5333
15	5370	80	85		145	1400	210	>8020
16	3060	81	57		146	>3673	211	>8020
17	1350	82	69		147	721	212	>8020
18	199	83	5		148	>2250	213	>8020
19	1710	84	120		149	1950	214	>8020
20	352	85	185		150	794	215	>8020
21	1710	86	168		151	2830	216	2504
22	524	87	38		152	>5690	217	2064
23	386	88	59		153	410	218	>8020
24	1630	89	137		154	431	219	>8020
25	>7510	90	15		155	181	220	7590
26	>10000	91	80		156	63	221	>8020
27	363	92	15		157	57	222	3770
28	1280	93	173		158	98	223	>7064
29	937	94	29		159	368	224	>7064
30	1350	95	99		160	471	225	3880
31	1330	96	14		161	26	226	3440
32	1670	97	97		162	53	227	>7580
33	333	98	195		163	56	228	>7580
34	564	99	12		164	269	229	5290
35	512	100	86		165	334	230	4590
36	2400	101	37		166	1460	231	5220
37	12700	102	88		167	1210	232	1840
38	2830	103	53		168	218	233	879
39	381	104	167		169	75	234	1670
40	1180	105	124		170	456	235	386
41	3640	106	99		171	92	236	2930
42	1140	107	127		172	743	237	4480

<b>43</b>	1443	<b>108</b>	18	<b>173</b>	984	<b>238</b>	5410
<b>44</b>	1790	<b>109</b>	534	<b>174</b>	132	<b>239</b>	1450
<b>45</b>	1756	<b>110</b>	81	<b>175</b>	837	<b>240</b>	4020
<b>46</b>	1580	<b>111</b>	772	<b>176</b>	301	<b>241</b>	1550
<b>47</b>	>6870.2	<b>112</b>	35	<b>177</b>	160	<b>242</b>	519
<b>48</b>	1340	<b>113</b>	65	<b>178</b>	60	<b>243</b>	1860
<b>49</b>	1083	<b>114</b>	88	<b>179</b>	1150	<b>244</b>	94
<b>50</b>	1290	<b>115</b>	29	<b>180</b>	1240	<b>245</b>	2480
<b>51</b>	1400	<b>116</b>	129	<b>181</b>	425	<b>246</b>	3837
<b>52</b>	3930	<b>117</b>	>7810	<b>182</b>	1350	<b>247</b>	4520
<b>53</b>	1282	<b>118</b>	>3150	<b>183</b>	429	<b>248</b>	1670
<b>54</b>	1633	<b>119</b>	1060	<b>184</b>	914	<b>249</b>	>7510
<b>55</b>	1410	<b>120</b>	88	<b>185</b>	551	<b>250</b>	3650
<b>56</b>	5800	<b>121</b>	>7790	<b>186</b>	659	<b>251</b>	3090
<b>57</b>	2220	<b>122</b>	163	<b>187</b>	833	<b>252</b>	3120
<b>58</b>	7110	<b>123</b>	168	<b>188</b>	463	<b>253</b>	1990
<b>59</b>	1320	<b>124</b>	150	<b>189</b>	188	<b>254</b>	2260
<b>60</b>	1000	<b>125</b>	911	<b>190</b>	993	<b>255</b>	2160
<b>61</b>	473	<b>126</b>	1210	<b>191</b>	255	<b>256</b>	1380
<b>62</b>	755	<b>127</b>	194	<b>192</b>	1430	<b>257</b>	1620
<b>63</b>	>7580	<b>128</b>	1798	<b>193</b>	684	<b>258</b>	975
<b>64</b>	3220	<b>129</b>	629	<b>194</b>	>5620	<b>259</b>	579
<b>65</b>	1550	<b>130</b>	336	<b>195</b>	857	<b>260</b>	1289
<b>261</b>	1950	<b>262</b>	314	<b>263</b>	688	<b>264</b>	1220
<b>265</b>	944	<b>266</b>	141	<b>267</b>	324	<b>268</b>	765
<b>269</b>	1140	<b>270</b>	285	<b>271</b>	638	<b>272</b>	130
<b>273</b>	159	<b>274</b>	424	<b>275</b>	221	<b>276</b>	382
<b>277</b>	842	<b>278</b>	1180	<b>279</b>	346	<b>280</b>	555
<b>281</b>	690	<b>282</b>	235	<b>283</b>	768	<b>284</b>	697
<b>285</b>	506	<b>286</b>	1750	<b>287</b>	1800	<b>288</b>	474
<b>289</b>	1290	<b>290</b>	9090	<b>291</b>	38	<b>292</b>	519
<b>293</b>	305	<b>294</b>	12	<b>295</b>	46	<b>296</b>	9270
<b>297</b>	97	<b>298</b>	79	<b>299</b>	3780	<b>300</b>	708
<b>301</b>	122	<b>302</b>	2020	<b>303</b>	163	<b>304</b>	413
<b>305</b>	165	<b>306</b>	437	<b>307</b>	113	<b>308</b>	49
<b>309</b>	278	<b>310</b>	105	<b>311</b>	70	<b>312</b>	636

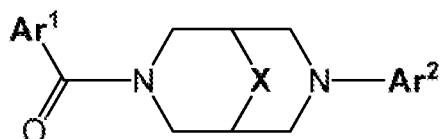
313	269	314	315	315	483	316	115
317	242	318	626	319	544	320	303
321	297	322	718	323	579	324	72
325	355	326	472	327	175	328	429
329	5310	330	29.1	331	56	332	429
333	284	334	2150	335	638	336	55
337	302	338	48	339	109	340	61
341	75	342	1120	343	549	344	1840
345	745	346	440	347	604	348	135
349	862	350	445	351	989	352	100
353	314	354	473	355	836		

**Measurement of brain and systemic concentration after oral administration:**

In order to assess brain penetration, the concentration of the compound is measured in plasma ([P]), and brain ([B]), sampled 3 h (or at different time points) following oral administration (100 mg/kg) to male wistar rats. The compounds are formulated in 100% PEG 400. Samples are collected in the same animal at the same time point (+/- 5 min). Blood is sampled from the vena cava caudalis into containers with EDTA as anticoagulant and centrifuged to yield plasma. Brain is sampled after cardiac perfusion of 10 mL NaCl 0.9% and homogenized into one volume of cold phosphate buffer (pH 7.4). All samples are extracted with MeOH and analyzed by LC-MS/MS. Concentrations are determined with the help of calibration curves.

## Claims

## 1. A compound of formula (I)



Formula (I)

wherein

**X** represents CH<sub>2</sub> or O;

- **Ar<sup>1</sup>** represents phenyl or 5- or 6-membered heteroaryl, wherein said phenyl or 5- or 6-membered heteroaryl independently is mono-, di-, or tri-substituted; wherein
  - one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein said substituent is phenyl or 5- or 6-membered heteroaryl; wherein said phenyl or 5- or 6-membered heteroaryl substituent is independently unsubstituted, mono-, di-, or tri-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy; or said substituent is a benzo[1,3]dioxolyl group;
  - and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl;
- or **Ar<sup>1</sup>** represents phenyl which is mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl; (C<sub>1-4</sub>)alkoxy; halogen; cyano; (C<sub>1-3</sub>)fluoroalkyl; (C<sub>1-3</sub>)fluoroalkoxy; (C<sub>1-3</sub>)alkoxy-(C<sub>1-4</sub>)alkoxy; and benzoyl;

and

**Ar<sup>2</sup>** represents 5- to 10-membered heteroaryl which is unsubstituted, or mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy;

or a pharmaceutically acceptable salt thereof.

2. A compound according to claim 1; wherein

**X** represents CH<sub>2</sub> or O;

**Ar**<sup>1</sup> represents 5-membered heteroaryl, wherein the 5-membered heteroaryl is mono- or di-substituted; wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein said *ortho*-substituent is phenyl which is unsubstituted, mono-, di-, or tri-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy;
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl;

or Ar<sup>1</sup> represents 6-membered heteroaryl, wherein the 6-membered heteroaryl is mono-, di-, or tri-substituted; wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein  
said *ortho*-substituent is unsubstituted 5-membered heteroaryl;  
or said *ortho*-substituent is phenyl which is unsubstituted or mono-substituted, wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, and halogen;
- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, (C<sub>1-3</sub>)fluoroalkoxy, and -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are independently selected from hydrogen and (C<sub>1-4</sub>)alkyl;

or Ar<sup>1</sup> represents phenyl which is mono-, di-, or tri-substituted; wherein

- one of said substituents is attached in *ortho*-position to the point of attachment of Ar<sup>1</sup> to the rest of the molecule; wherein  
said *ortho*-substituent is phenyl which is unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy;  
or said *ortho*-substituent is unsubstituted or mono-substituted 5- or 6-membered heteroaryl wherein the substituent is (C<sub>1-4</sub>)alkyl;  
or said substituent is a benzo[1,3]dioxolyl group;

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- and the other of said substituents, if present, is/are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy.

and

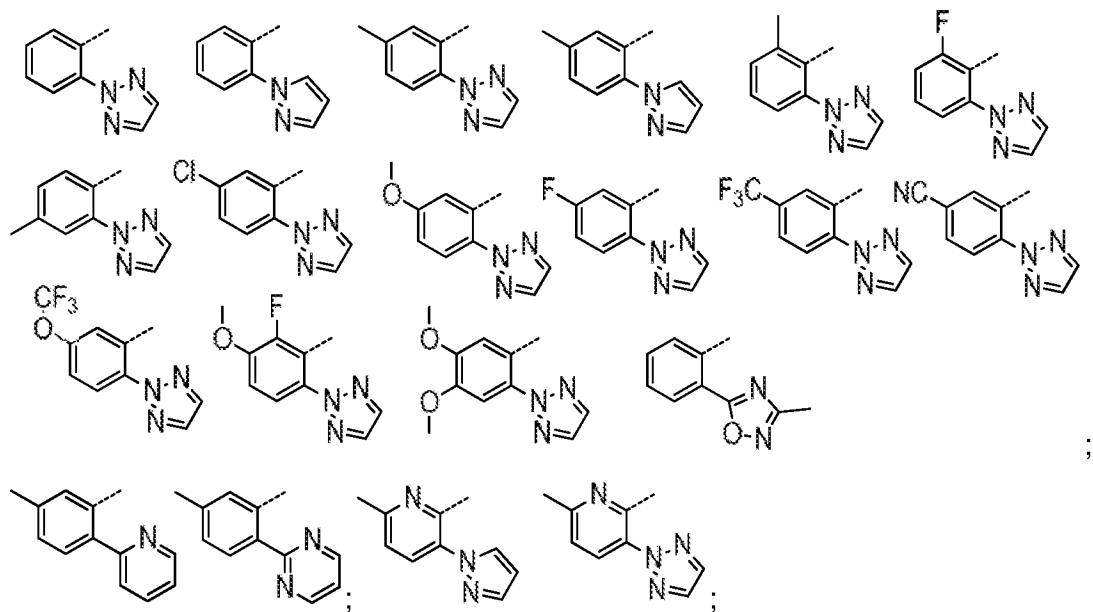
**Ar<sup>2</sup>** represents 5- to 10-membered heteroaryl which is unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl;

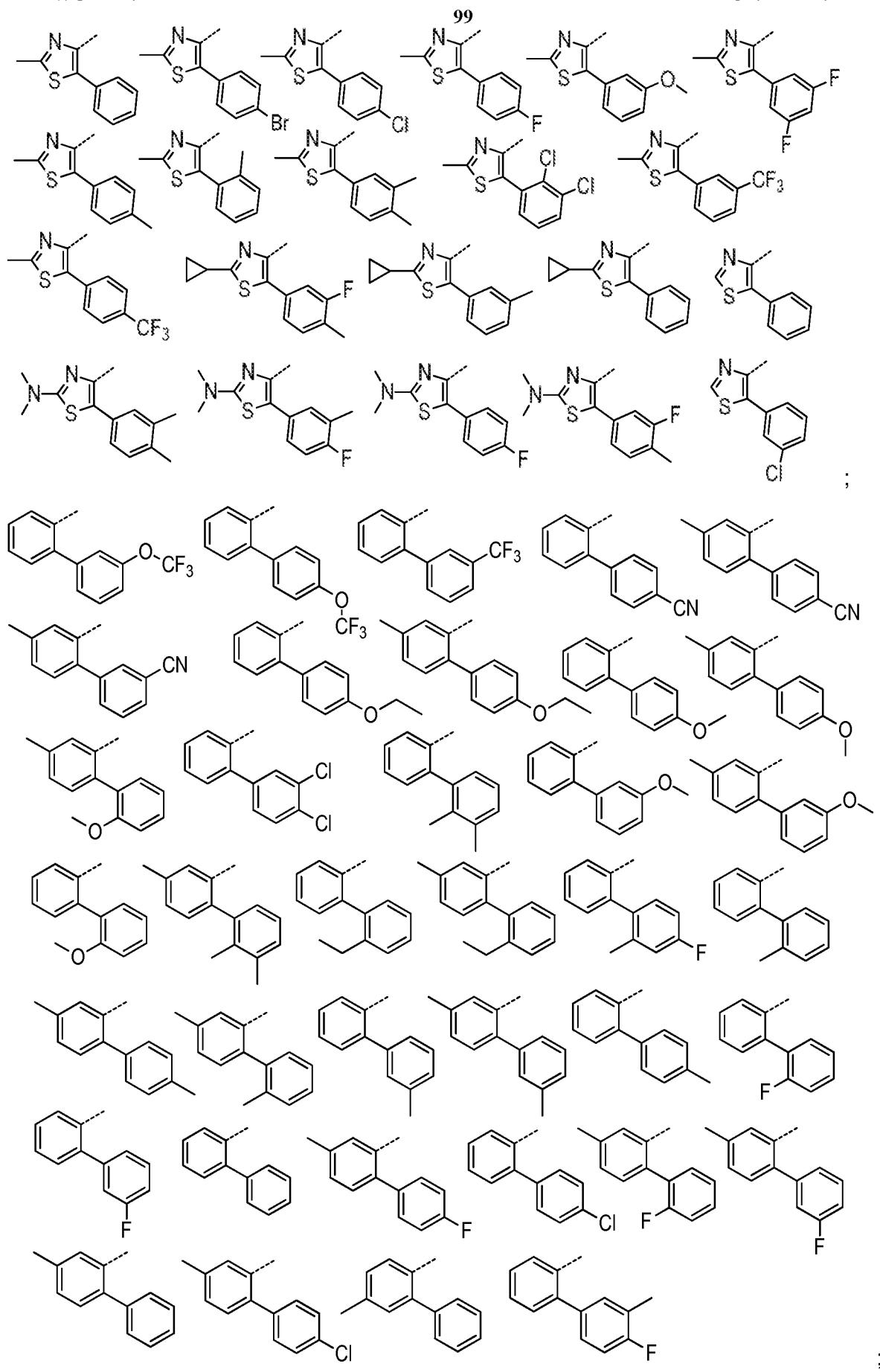
or a pharmaceutically acceptable salt thereof.

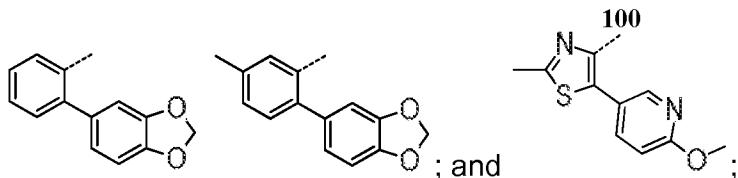
3. A compound according to claims 1 or 2; wherein **X** represents  $\text{CH}_2$ ; or a pharmaceutically acceptable salt thereof.

4. A compound according to claims 1 or 2; wherein **X** represents O; or a pharmaceutically acceptable salt thereof.

5. A compound according to any one of claims 1 to 4; wherein **Ar<sup>1</sup>** is a group selected from the group consisting of the following groups:







or a pharmaceutically acceptable salt thereof.

**6.** A compound according to any one of claims 1 to 5; wherein

- **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl which is unsubstituted, or mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>)fluoroalkoxy;
- or **Ar<sup>2</sup>** represents 5- or 6-membered monocyclic heteroaryl which is unsubstituted, or mono-, di-, or tri-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, (C<sub>3-6</sub>)cycloalkyl, halogen, cyano, (C<sub>1-3</sub>)fluoroalkyl, and (C<sub>1-3</sub>);

or a pharmaceutically acceptable salt thereof.

**7.** A compound according to any one of claims 1 to 6; wherein

- in case **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl, said heteroaryl is a group selected from benzoxazolyl, benzothiazolyl, quinazolinyl, quinoxalinyl, thiazolo[5,4-b]pyridinyl, and [1,7]naphthyridinyl; which groups independently are unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl; and / or
- in case **Ar<sup>2</sup>** represents 5- or 6-membered heteroaryl, said heteroaryl is pyrimidinyl, which is unsubstituted, or mono-, or di-substituted; wherein the substituents are independently selected from the group consisting of (C<sub>1-4</sub>)alkyl, (C<sub>1-4</sub>)alkoxy, halogen, and (C<sub>1-3</sub>)fluoroalkyl;

or a pharmaceutically acceptable salt thereof.

**8.** A compound according to any one of claims 1 to 6; wherein,

- in case **Ar<sup>2</sup>** represents 8- to 10-membered heteroaryl, said heteroaryl is selected from the group consisting of benzoxazol-2-yl, 5-chloro-benzoxazol-2-yl, benzothiazol-2-yl, 6-chloro-benzothiazol-2-yl, 6-fluoro-benzothiazol-2-yl, quinazolin-2-yl, quinoxalin-2-yl, 6-chloro-quinoxalin-2-yl, 7-chloro-quinoxalin-2-yl, 6-fluoro-quinoxalin-2-yl, 7-fluoro-quinoxalin-2-yl, 6,7-dichloro-quinoxalin-2-yl, 6,7-difluoro-quinoxalin-2-yl, 6-methyl-quinoxalin-2-yl, 7-methyl-quinoxalin-2-yl, 8-methyl-quinoxalin-2-yl, 6,7-dimethyl-quinoxalin-2-yl, 7-fluoro-6-methyl-quinoxalin-2-yl, 6-fluoro-7-methyl-quinoxalin-2-yl, 6-methoxy-quinoxalin-2-yl, 7-methoxy-quinoxalin-2-yl, 7-fluoro-6-methoxy-quinoxalin-2-yl, 6-trifluoromethyl-quinoxalin-2-yl, 7-trifluoromethyl-quinoxalin-2-yl, thiazolo[5,4-

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b]pyridin-2-yl, 6-fluoro-thiazolo[5,4-b]pyridin-2-yl, and 6-methyl-[1,7]naphthyridin-8-yl; and / or

- in case  $\text{Ar}^2$  represents 5-or 6-membered heteroaryl, said heteroaryl is selected from the group consisting of 5-bromo-pyrimidin-2-yl, 5-ethyl-pyrimidin-2-yl, 4-trifluoromethyl-pyrimidin-2-yl, 5-trifluoromethyl-pyrimidin-2-yl, 4,6-dimethoxy-pyrimidin-2-yl, and 4,6-dimethyl-pyrimidin-2-yl;

or a pharmaceutically acceptable salt thereof.

**9.** A compound according to claim 1 selected from the group consisting of:

[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Methyl-5-o-tolyl-thiazol-4-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[2-Dimethylamino-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[5-(3-Methoxy-phenyl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[5-(3,5-Difluoro-phenyl)-2-methyl-thiazol-4-yl]-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

Biphenyl-2-yl-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(4-Methyl-biphenyl-2-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-biphenyl-2-yl-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(8-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

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[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(8-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
(4-Methyl-biphenyl-2-yl)-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
[7-(7-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
(4-Methyl-biphenyl-2-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
(4-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
Biphenyl-2-yl-[7-(8-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(7-chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(6-chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(6-fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-[7-(7-fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
Biphenyl-2-yl-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
Biphenyl-2-yl-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

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[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
(5-Methyl-2-pyrazol-1-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-pyrazol-1-yl-phenyl)-methanone;  
(5-Methyl-2-pyrazol-1-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-pyrazol-1-yl-phenyl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-pyrazol-1-yl-phenyl)-methanone;  
[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-dimethylamino-5-(3,4-dimethyl-phenyl)-thiazol-4-yl)-methanone;  
(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;  
(6-Methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;  
[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;  
[7-(7-Fluoro-6-methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Methoxy-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(6-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Fluoro-6-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;  
[7-(7-Methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(7-trifluoromethyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Fluoro-7-methyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,4-dimethyl-phenyl)-2-methyl-thiazol-4-yl]-methanone;

[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-o-tolyl-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3-methoxy-phenyl)-2-methyl-thiazol-4-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-p-tolyl-thiazol-4-yl]-methanone;

[5-(3,4-Dimethyl-phenyl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone;

[2-Dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(4-Fluoro-phenyl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-[1,2,3]triazol-2-yl-phenyl]-methanone;

Biphenyl-2-yl-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Methyl-5-p-tolyl-thiazol-4-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[4-(4-Chloro-phenyl)-2-methyl-thiazol-5-yl]-[7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methoxy-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-fluoro-6-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methoxy-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-biphenyl-2-yl]-methanone;

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(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(4-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(2-Pyrazol-1-yl-phenyl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-pyrazol-1-yl-phenyl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-pyrazol-1-yl-phenyl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-pyrazol-1-yl-phenyl)-methanone;

(2-Pyrazol-1-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- [2-cyclopropyl-5-(3-fluoro-4-methyl-phenyl)-thiazol-4-yl]-methanone;

[7-(5-Chloro-benzooxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (2-methyl-5-phenyl-thiazol-4-yl)-methanone;

(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinoxalin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (6-methyl-3-pyrazol-1-yl-pyridin-2-yl)-methanone;

(6-Methyl-3-pyrazol-1-yl-pyridin-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2-Cyclopropyl-5-m-tolyl-thiazol-4-yl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]- [5-(4-fluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone;

[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(3,5-Difluoro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[4-(4-Chloro-phenyl)-2-methyl-thiazol-5-yl]-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(8-Methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]- (5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(6-Fluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

(4-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(4-Methyl-biphenyl-2-yl)-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

Biphenyl-2-yl-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-fluoro-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

[7-(8-Methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

(5-Methyl-2-[1,2,3]triazol-2-yl-phenyl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

(4-Methyl-biphenyl-2-yl)-(7-quinoxalin-2-yl-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(7-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

[7-(6-Chloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(6-methyl-3-[1,2,3]triazol-2-yl-pyridin-2-yl)-methanone;

(2-Fluoro-6-[1,2,3]triazol-2-yl-phenyl)-[7-(8-methyl-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-9-oxa-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-6-[1,2,3]triazol-2-yl-phenyl)-methanone;

**10. A compound according to claim 1 selected from the group consisting of:**

(3'-Methoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-5-o-tolyl-thiazol-4-yl)-methanone;

(2'-Fluoro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2-Benzo[1,3]dioxol-5-yl-phenyl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(3'-Fluoro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(3'-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

2'-(7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl)-4'-methyl-biphenyl-4-carbonitrile;

(4'-Methoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2'-fluoro-4-methyl-biphenyl-2-yl)-methanone;

(4'-Ethoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,5-difluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone;

(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3'-methoxy-4-methyl-biphenyl-2-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3'-fluoro-4-methyl-biphenyl-2-yl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-methoxy-4-methyl-biphenyl-2-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,3'-dimethyl-biphenyl-2-yl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-methoxy-4-methyl-biphenyl-2-yl)-methanone;  
(2'-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
(2',3'-Dimethyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-methoxy-4-methyl-biphenyl-2-yl)-methanone;  
(2'-Methoxy-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-methoxy-4-methyl-biphenyl-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(6-methoxy-pyridin-3-yl)-2-methyl-thiazol-4-yl]-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2'-fluoro-4-methyl-biphenyl-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2-methyl-4-p-tolyl-thiazol-5-yl)-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(3'-methoxy-4-methyl-biphenyl-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(4-fluoro-phenyl)-2-methyl-thiazol-4-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,2'-dimethyl-biphenyl-2-yl)-methanone;  
(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-methoxy-biphenyl-2-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2'-methoxy-4-methyl-biphenyl-2-yl)-methanone;  
(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-[7-(6-chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-ethoxy-4-methyl-biphenyl-2-yl)-methanone;  
[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-(3,4-dimethyl-phenyl)-2-methyl-thiazol-4-yl]-methanone;  
[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(2'-fluoro-4-methyl-biphenyl-2-yl)-methanone;  
[5-(4-Chloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
[7-(6-Fluoro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;  
(4'-Methyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;  
[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,4'-dimethyl-biphenyl-2-yl)-methanone;  
(2-Cyclopropyl-5-phenyl-thiazol-4-yl)-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;  
(2-Methyl-6-[1,2,3]triazol-2-yl-phenyl)-[7-(7-trifluoromethyl-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4,2',3'-trimethyl-biphenyl-2-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4-methyl-biphenyl-2-yl)-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(2'-fluoro-biphenyl-2-yl)-methanone;

(2'-Ethyl-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-fluoro-4-methyl-biphenyl-2-yl)-methanone;

(2-Benzo[1,3]dioxol-5-yl-5-methyl-phenyl)-(7-benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

(2-Benzo[1,3]dioxol-5-yl-phenyl)-(7-benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-dimethylamino-5-(4-fluoro-phenyl)-thiazol-4-yl]-methanone;

[5-(2,3-Dichloro-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-methoxy-biphenyl-2-yl)-methanone;

[5-(3,4-Dimethyl-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

2'-(7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl)-4'-methyl-biphenyl-3-carbonitrile;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-ethoxy-4-methyl-biphenyl-2-yl)-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-fluoro-4-methyl-biphenyl-2-yl)-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4'-fluoro-4-methyl-biphenyl-2-yl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4,2',3'-trimethyl-biphenyl-2-yl)-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-methyl-biphenyl-2-yl)-methanone;

(5-Methyl-biphenyl-2-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(5-Chloro-benzoxazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[4-methyl-biphenyl-2-yl]-methanone;

[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2'-ethyl-4-methyl-biphenyl-2-yl]-methanone;

(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-trifluoromethyl-biphenyl-2-yl)-methanone;

(2-Methyl-5-o-tolyl-thiazol-4-yl)-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

2'-(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl)-biphenyl-4-carbonitrile;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-pyridin-2-yl-phenyl]-methanone;

(7-Quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4'-trifluoromethoxy-biphenyl-2-yl)-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(3'-fluoro-biphenyl-2-yl)-methanone;

[7-(6-Fluoro-thiazolo[5,4-b]pyridin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[5-methyl-2-[1,2,3]triazol-2-yl-phenyl]-methanone;

(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-(4,3'-dimethyl-biphenyl-2-yl)-methanone;

[7-(6,7-Dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-[2-methyl-5-(3-trifluoromethyl-phenyl)-thiazol-4-yl]-methanone;

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[7-(6,7-Difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-4'-trifluoromethoxy-biphenyl-2-yl)-methanone;

(3',4'-Dichloro-biphenyl-2-yl)-(7-quinazolin-2-yl-3,7-diaza-bicyclo[3.3.1]non-3-yl)-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4'-ethoxy-4-methyl-biphenyl-2-yl)-methanone;

[7-(6-Methyl-[1,7]naphthyridin-8-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(5-methyl-2-[1,2,3]triazol-2-yl-phenyl)-methanone;

[5-(3-Methoxy-phenyl)-2-methyl-thiazol-4-yl]-[7-(4-trifluoromethyl-pyrimidin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[5-(3-Chloro-phenyl)-thiazol-4-yl]-[7-(6,7-dichloro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

(4'-Chloro-4-methyl-biphenyl-2-yl)-[7-(6,7-difluoro-quinoxalin-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4,4'-dimethyl-biphenyl-2-yl)-methanone;

[7-(6-Chloro-benzothiazol-2-yl)-3,7-diaza-bicyclo[3.3.1]non-3-yl]-(4-methyl-biphenyl-2-yl)-methanone; and

2'-(7-Benzothiazol-2-yl-3,7-diaza-bicyclo[3.3.1]nonane-3-carbonyl)-4'-methyl-biphenyl-4-carbonitrile;

or a pharmaceutically acceptable salt thereof.

**11.** A pharmaceutical composition containing, as active principle, one or more compounds according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, and at least one therapeutically inert excipient.

**12.** A compound according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, for use as a medicament.

**13.** A compound according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, for the prevention or treatment of diseases selected from the group consisting of sleep disorders, anxiety disorders, addiction disorders, cognitive dysfunctions, mood disorders, or appetite disorders.

**14.** A compound according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for the prevention or treatment of diseases selected from the group consisting of sleep disorders, anxiety disorders, addiction disorders, cognitive dysfunctions, mood disorders, or appetite disorders.

# INTERNATIONAL SEARCH REPORT

International application No  
PCT/IB2012/055292

**A. CLASSIFICATION OF SUBJECT MATTER**  
INV. C07D471/08 C07D498/08 A61K31/439 A61K31/5386  
ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)  
C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

EPO-Internal, CHEM ABS Data, WPI Data

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 2008/008517 A2 (MERCK & CO INC [US]; COLEMAN PAUL J [US]; COX CHRISTOPHER D [US]; MCGA) 17 January 2008 (2008-01-17) pages 15 to 17 and 32 to 48; claims 1-22 ----- WO 00/44755 A1 (ABBOTT LAB [US]) 3 August 2000 (2000-08-03) page 23, formula XII; page 24, lines 9 to 20; claims 1-47; examples 57,58 ----- -/-	1-3,5-14 1-3,5-14
Y		

Further documents are listed in the continuation of Box C.

See patent family annex.

\* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance  
"E" earlier application or patent but published on or after the international filing date  
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"O" document referring to an oral disclosure, use, exhibition or other means  
"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

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"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
21 February 2013	28/02/2013
Name and mailing address of the ISA/ European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Authorized officer  Rufet, Jacques

## INTERNATIONAL SEARCH REPORT

International application No
PCT/IB2012/055292

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	COLEMAN P J ET AL: "Design and synthesis of conformationally constrained N,N-disubstituted 1,4-diazepanes as potent orexin receptor antagonists", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, PERGAMON, ELSEVIER SCIENCE, GB, vol. 20, no. 7, 1 April 2010 (2010-04-01), pages 2311-2315, XP026971068, ISSN: 0960-894X [retrieved on 2010-02-08] abstract; table 1 -----	1-3,5-14
A	WO 2008/143856 A1 (MERCK & CO INC [US]; COX CHRISTOPHER D [US]; WHITMAN DAVID B [US]) 27 November 2008 (2008-11-27) claims 1-18; table 1 -----	4,8,9, 11-14
A	WO 2011/050200 A1 (JANSSEN PHARMACEUTICA NV [BE]; BRANSTETTER BRYAN JAMES [US]; LETAVIC M) 28 April 2011 (2011-04-28) examples; claims 1-25 -----	1-14

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/IB2012/055292

Patent document cited in search report	Publication date	Patent family member(s)			Publication date
WO 2008008517	A2	17-01-2008	AU 2007272854 A1		17-01-2008
			CA 2657623 A1		17-01-2008
			EP 2049110 A2		22-04-2009
			JP 2009543785 A		10-12-2009
			US 2009239843 A1		24-09-2009
			WO 2008008517 A2		17-01-2008
<hr/>					
WO 0044755	A1	03-08-2000	AR 034538 A1		03-03-2004
			AT 253067 T		15-11-2003
			AT 394401 T		15-05-2008
			AU 773795 B2		03-06-2004
			AU 2856900 A		18-08-2000
			BG 105836 A		29-03-2002
			BR 0007664 A		07-05-2002
			CA 2361525 A1		03-08-2000
			CN 1345320 A		17-04-2002
			CN 1636996 A		13-07-2005
			CO 5150231 A1		29-04-2002
			CZ 20012716 A3		14-11-2001
			DE 60006213 D1		04-12-2003
			DE 60006213 T2		29-07-2004
			DK 1147112 T3		23-02-2004
			EP 1147112 A1		24-10-2001
			EP 1359152 A2		05-11-2003
			ES 2209825 T3		01-07-2004
			ES 2305373 T3		01-11-2008
			HK 1043116 A1		15-10-2004
			HU 0200332 A2		29-06-2002
			JP 4676062 B2		27-04-2011
			JP 2002535409 A		22-10-2002
			NO 20013731 A		18-09-2001
			NZ 512884 A		26-03-2004
			PT 1147112 E		31-03-2004
			SK 10692001 A3		07-01-2002
			TR 200102162 T2		21-12-2001
			WO 0044755 A1		03-08-2000
			ZA 200105835 A		16-10-2002
<hr/>					
WO 2008143856	A1	27-11-2008	AU 2008255005 A1		27-11-2008
			CA 2688776 A1		27-11-2008
			EP 2150114 A1		10-02-2010
			JP 2010527924 A		19-08-2010
			US 2010227848 A1		09-09-2010
			WO 2008143856 A1		27-11-2008
<hr/>					
WO 2011050200	A1	28-04-2011	AR 078733 A1		30-11-2011
			EP 2491034 A1		29-08-2012
			PE 02962011 A1		21-05-2011
			TW 201121966 A		01-07-2011
			US 2012202783 A1		09-08-2012
			UY 32968 A		29-04-2011
			WO 2011050200 A1		28-04-2011
<hr/>					