

OXOPIPERIDINE DERIVATIVES, PREPARATION AND THERAPEUTIC
USE THEREOF

The present invention relates to compounds
5 that are melanocortin receptor agonists, to the
preparation thereof and to the therapeutic use thereof.

Melanocortin receptors (MC-Rs) belong to the
superfamily of G protein-coupled seven-transmembrane
domaine receptors. Their transduction pathway involves
10 the production of cAMP (Cone, R.D., *Recent Prog. Horm.*
Res., 1996, 51, 287). Five MC-R subtypes have currently
been described, MC1-R, MC2-R, MC3-R, MC4-R and MC5-R,
and are expressed in various tissues, such as the brain
(MC3, 4, 5-R), the exocrine glands (MC5-R), the
15 adrenals (MC2-R) and the skin (MC1-R), as regards the
main ones. The natural ligands of MC-Rs are, as regards
the agonists, ACTH, and α -, β - and γ -MSH, and as regards
the antagonists, agouti protein and agouti-related
protein. None of the natural ligands is very selective
20 for one of the subtypes, with the exception of γ -MSH,
which have a certain selectivity for MC3-R.

The melanocortin system is involved in many
physiological processes, including pigmentation,
inflammation, eating behaviour and sexual behaviour (in
25 particular erectile function), energetic balance
(regulation of body weight and lipid storage), exocrine
functions, neuronal protection and regeneration,

immunomodulation, analgesia, etc.

In particular, it has been demonstrated that MC4-R is involved in sexual behaviour (Van der Ploeg, L.H., *Proc. Natl. Acad. Sci. USA*, 2002, 99, 11381; Martin, W.J., *Eur. J. Pharmacol.*, 2002, 454, 71). It has also been demonstrated, by means of mouse models specifically devoid of certain MC-Rs (knockout mice), that the central MC-Rs (MC3 and 4-R) are involved in eating behaviour, obesity, the metabolism and energetic balance (Huszar, D., *Cell*, 1997, 88(1), 131; Chen, A.S., *Nat. Genet.*, 2000, 26(1), 97; Butler, A.A., *Trends Genet.*, 2001, 17, pp. 50-54). Thus, MC4-R knockout mice are hyperphagic and obese. In parallel, MC3 and/or 4R antagonists promote food intake, whereas the stimulation of MC4-Rs by an endogenous agonist, such as α -MSH, produces a satiety signal.

These observations imply that the stimulation of central MC3-R and/or MC4-R, reducing food intake and body weight, is a promising approach for treating obesity, which is an aggravating risk for many other pathologies (hypertension, diabetes, etc.). Thus, research studies have made it possible to identify, initially, peptides, pseudopeptides or cyclic peptides capable of interacting with MC-Rs and of thus modulating food intake.

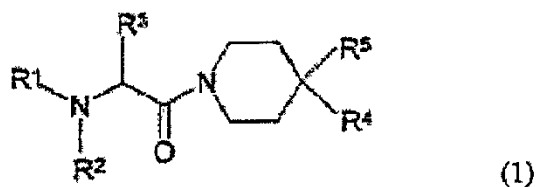
In order to maintain an effective weight loss in the long term and thus to limit comorbidities, a

long-term daily treatment must be envisaged. This implies that a medicament, for this therapeutic indication, must be able to be administered simply by the patient. Oral administration must therefore be
5 favoured. Now, peptide compounds are not generally the most suitable for satisfying this need. For this reason, it is important to develop small non-peptide molecules.

In this perspective, international PCT
10 applications published under the numbers WO 02/059095, WO 02/059108, WO 03/009850 and WO 03/061660 describe piperazine-type derivatives. Other applications describe piperidine-type derivatives, such as WO 03/092690 and WO 03/093234. Applications WO 99/64002
15 and WO 01/70337 describe spiropiperidine-type derivatives. Application WO 01/91752 describes derivatives containing a piperidine unit fused with a pyrazolyl ring. Application WO 02/059107 describes piperidine-type and piperazine-type derivatives
20 substituted with a bicyclic structure. Applications WO 02/059117, WO 02/068388 and WO 03/009847 describe piperidine-type and/or piperazine-type derivatives substituted with a phenyl ring. As regards application WO 03/094918, it describes piperazine-type derivatives
25 substituted with a phenyl or pyridinyl ring. Mention may also be made of applications WO 00/74679, WO 01/70708, WO 02/15909, WO 02/079146, WO 03/007949 and

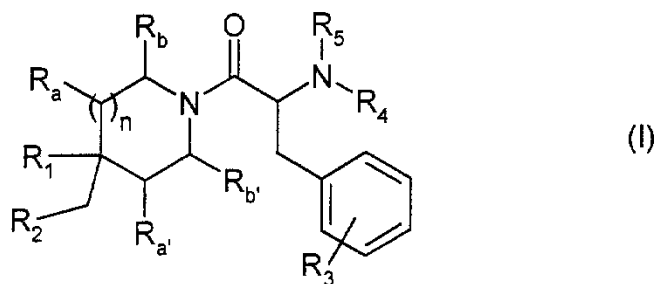
WO 04/024720, which describe substituted piperidine-type derivatives, or else application WO 04/037797; the compounds described in those patent applications always contain an amide function, that mimics the peptide structures previously known.

Mention may also be made of WO 2005/047253, which describes compounds that are melanocortin receptor agonists, of general formula:



Faced with the constant need to improve existing therapies for the pathologies mentioned above, the inventors gave themselves the aim of providing novel compounds that are melanocortin receptor agonists.

A subject of the present invention is compounds corresponding to formula (I)



in which:

n is equal to 1,

Ra, Ra', Rb and Rb' are identical to or

different from one another and represent a hydrogen atom or an alkyl or cycloalkyl group, it being possible for R_b and $R_{b'}$ to form, together with the carbon atoms of the ring to which they are attached, a carbon bridge comprising 4 or 5 members,

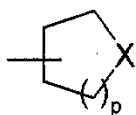
R_1 represents an alkyl or cycloalkyl group,

R_2 represents a heteroaryl group,

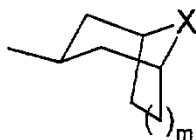
R_3 represents 1 to 3 groups, which may be identical to or different from one another, located in any positions of the ring to which they are attached and chosen from halogen atoms, and alkyl, cycloalkyl, -OR, -NRR', -CO-NRR', -NR-CO-R', -NR-CO-NRR', -NR-COOR', -NO₂, -CN and -COOR groups,

R_5 represents a hydrogen atom or an alkyl group,

R_4 is chosen from the groups of formulae (a), (b) and (c), below, optionally substituted with an oxo group or mono- or polysubstituted with an aryl or heteroaryl group:



(a)



(b)



(c)

in which:

$p = 0, 1, 2$ or 3 ,

$m = 0, 1$ or 2 ,

and either

a) **X** represents a ring member $-N(R_{10})-$, where R_{10} is chosen from:

- a group $-(CH_2)_x-OR_8$, $-(CH_2)_x-COOR_8$,
 5 $-(CH_2)_x-NR_8R_9$, $-(CH_2)_x-CO-NR_8R_9$ or $-(CH_2)_x-NR_8-COR_9$,
 $-(CH_2)_x-COR_8$ in which $x = 1, 2, 3$ or 4 ,

. a cycloalkyl or heterocycloalkyl group
 fused with an aryl or heteroaryl group,

- a cycloalkyl, heterocycloalkyl, aryl, hetero-
 10 aryl, alkylaryl, alkylheteroaryl, $-CO-alkyl$, $-CO-cyclo-$
 $alkyl$, $-CO-heterocycloalkyl$, $-CO-aryl$, $-CO-heteroaryl$,
 $-CO-alkylaryl$, $-CO-alkylheteroaryl$, $-CS-alkyl$,
 $-CS-cycloalkyl$, $-CS-heterocycloalkyl$, $-CS-aryl$,
 $-CS-heteroaryl$, $-CS-alkylaryl$, $-CS-alkylheteroaryl$,
 15 $-CS-NR_8R_9$, $-C(=NH)-NR_8R_9$, $-SO_2-alkyl$, $-SO_2-cycloalkyl$,
 $-SO_2-heterocycloalkyl$, $-SO_2-aryl$, $-SO_2-heteroaryl$,
 $-SO_2-alkylaryl$, $-SO_2-alkylheteroaryl$ or $-SO_2-NR_8R_9$ group,

- the alkyl, cycloalkyl, heterocycloalkyl, aryl
 or heteroaryl groups being optionally substituted with
 20 1 or more groups chosen from halogen atoms, and the
 groups R , R' , OR , NRR' , $-CO-NRR'$, $-NRCOR'$, $NRCONRR'$,
 $-NO_2$, CN , $-COOR$, $OCOR$, COR , $OCONRR'$, $NRCOOR'$;

- the cycloalkyl or heterocycloalkyl groups
 being optionally fused with an aryl or heteroaryl
 25 group;

or else R_{10} forms, with the nitrogen atom to
 which it is attached and a carbon atom located in any

position of the cyclic structure of formula (a), but not adjacent to said nitrogen atom, a bridge comprising from 3 to 5 members,

R_8 and R_9 are chosen, independently of one another, from a hydrogen atom, and alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl, -CO-alkyl, -CO-cycloalkyl, -CO-heterocycloalkyl, -CO-aryl, -CO-heteroaryl, -CO-alkylaryl, -CO-alkylheteroaryl, -SO₂-alkyl, -SO₂-cycloalkyl, -SO₂-heterocycloalkyl, -SO₂-aryl, -SO₂-heteroaryl, -SO₂-alkylaryl, -SO₂-alkylheteroaryl, -C(=NH)-NRR', -COOR, -CO-NRR', -CS-NRR' and -(CH₂)_x-OR groups, where x = 0, 1, 2, 3 or 4, the alkyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl groups being optionally substituted with one or more groups chosen from halogen atoms, and the groups R, R', OR, NRR', -CO-NRR', -NRCOR', NRCONRR', -NO₂, CN, -COOR, OCOR, COR, OCONRR', NR₂COOR';

or else R_8 and R_9 together form a cycloalkyl or a heterocycloalkyl;

R and R' represent, independently of one another, a hydrogen atom, or an alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkylheteroaryl group, or can together form a cycloalkyl or a heterocycloalkyl;

or,

b) X represents a ring member -C(R₆)(R₇)-,

where

R_6 is chosen from:

- . a hydrogen atom, a halogen atom,
- . a group $-(CH_2)_x-OR_8$, $-(CH_2)_x-COOR_8$,
- 5 $-(CH_2)_x-NR_8R_9$, $-(CH_2)_x-CO-NR_8R_9$ or $-(CH_2)_x-NR_8-COR_9$, in
which $x = 0, 1, 2, 3$ or 4 ,
- . an alkyl, cycloalkyl, heterocycloalkyl,
aryl, heteroaryl, alkylaryl, alkylheteroaryl,
-CO-alkyl, -CO-cycloalkyl, -CO-heterocycloalkyl,
- 10 -CO-aryl, -CO-heteroaryl, -CO-alkylaryl or -CO-alkyl-
heteroaryl, -CS-alkyl, -CS-cycloalkyl, -CS-heterocyclo-
alkyl, -CS-aryl, -CS-heteroaryl, -CS-alkylaryl,
-CS-alkylheteroaryl, -CS- NR_8R_9 or $-C(=NH)-NR_8R_9$ group,
- . a fused or nonfused cycloalkyl or hetero-
- 15 cycloalkyl group located in the spiro position on the
ring of formula (a) to which it is attached,
- . a cycloalkyl or heterocycloalkyl group
fused with an aryl or heteroaryl group,
- the alkyl, cycloalkyl, heterocycloalkyl, aryl
- 20 or heteroaryl groups being optionally substituted with
1 or more groups chosen from halogen atoms, and the
groups R , R' , OR , NRR' , $-CO-NRR'$, $-NRCOR'$, $NRCONRR'$,
 $-NO_2$, CN , $-COOR$, $OCOR$, COR , $OCONRR'$, $NRCOOR'$;
- the cycloalkyl or heterocycloalkyl groups
- 25 being optionally fused with an aryl or heteroaryl
group,

R_7 is chosen from hydrogen and halogen atoms,

and alkyl, cycloalkyl, aryl, heteroaryl, alkylaryl,
alkylheteroaryl, -OR, -O-aryl, -O-heteroaryl,
-O-alkylaryl, -O-alkylheteroaryl, -NRR', -CO-NRR',
-NR-CO-R', -NR-CO-NRR', -NR-COOR', -NO₂, -CN and -COOR
5 groups,

R₈ and **R₉** are chosen, independently of one
another, from a hydrogen atom, and alkyl, cycloalkyl,
heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkyl-
heteroaryl, -CO-alkyl, -CO-cycloalkyl, -CO-hetero-
10 cycloalkyl, -CO-aryl, -CO-heteroaryl, -CO-alkylaryl,
-CO-alkylheteroaryl, -SO₂-alkyl, -SO₂-cycloalkyl,
-SO₂-heterocycloalkyl, -SO₂-aryl, -SO₂-heteroaryl,
-SO₂-alkylaryl, -SO₂-alkylheteroaryl, -C(=NH)-NRR',
-COOR, -CO-NRR', -CS-NRR' and -(CH₂)_x-OR groups, where
15 x = 0, 1, 2, 3 or 4, the alkyl, cycloalkyl, hetero-
cycloalkyl, aryl and heteroaryl groups being optionally
substituted with one or more groups chosen from halogen
atoms, and the groups R, R', OR, NRR', -CO-NRR',
-NRCOR', NRCONRR', -NO₂, CN, -COOR, OCOR, COR, OCONRR',
20 NRCOOR';

or else **R₈** and **R₉** together form a cycloalkyl
or a heterocycloalkyl;

R and **R'** represent, independently of one
another, a hydrogen atom, or an alkyl, cycloalkyl,
25 heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkyl-
heteroaryl group, or can together form a cycloalkyl or
a heterocycloalkyl,

in the form of a base or of an addition salt with an acid, and also in the form of a hydrate or of a solvate.

Among the compounds of formula (I) that are
5 subjects of the invention, preference is given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) optionally mono- or polysubstituted (di-, tri-, tetrasubstituted) with an aryl or heteroaryl group where X represents a ring member $-C(R_6)(R_7)-$, in
10 which

R_6 is chosen from:

- . a hydrogen atom,
- . a group $-(CH_2)_x-OR_8$, $-(CH_2)_x-COOR_8$,
 $-(CH_2)_x-NR_8R_9$, $-(CH_2)_x-CO-NR_8R_9$ or $-(CH_2)_x-NR_8-COR_9$, in
15 which $x = 0, 1, 2, 3$ or 4 ,
- . an alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl, $-CO-$ alkyl, $-CO-cycloalkyl$, $-CO-heterocycloalkyl$, $-CO-aryl$, $-CO-heteroaryl$, $-CO-alkylaryl$ or $-CO-alkylheteroaryl$
20 group,
- . a cycloalkyl or heterocycloalkyl group located in the spiro position on the ring of formula (a) to which it is attached,

- . a cycloalkyl or heterocycloalkyl group
25 fused with an aryl or heteroaryl group,

R_7 is chosen from hydrogen and halogen atoms, and alkyl, cycloalkyl, aryl, heteroaryl, alkylaryl,

alkylheteroaryl, -OR, -O-aryl, -O-heteroaryl, -O-alkyl-
aryl, -O-alkylheteroaryl, -NRR', -CO-NRR', -NR-CO-R',
-NR-CO-NRR', -NR-COOR', -NO₂, -CN and -COOR groups,

R₈ and **R₉** are chosen, independently of one
5 another, from a hydrogen atom, and alkyl, cycloalkyl,
heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkyl-
heteroaryl, -CO-alkyl, -CO-cycloalkyl, -CO-hetero-
cycloalkyl, -CO-aryl, -CO-heteroaryl, -CO-alkylaryl,
-CO-alkylheteroaryl, -SO₂-alkyl, -SO₂-cycloalkyl,
10 -SO₂-heterocycloalkyl, -SO₂-aryl, -SO₂-heteroaryl,
-SO₂-alkylaryl, -SO₂-alkylheteroaryl, -C(=NH)-NRR',
-COOR, -CO-NRR', -CS-NRR' and -(CH₂)_x-OR groups, where
x = 0, 1, 2, 3 or 4;

R and **R'** represent, independently of one
15 another, a hydrogen atom, or an alkyl, cycloalkyl,
heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkyl-
heteroaryl group.

Among the compounds of formula (I) that are
subjects of the invention, further preference is given
20 to those in which **R₄** is chosen from the groups of
formulae (a), (b) and (c) where X represents a ring
member -C(R₆)(R₇)-, in which R₆ is chosen from a halogen
atom, or a fused or nonfused cycloalkyl or heterocyclo-
alkyl group located in the spiro position on the ring
25 of formula (a) to which it is attached.

Further preference is given to those in which
R₄ is chosen from the groups of formulae (a), (b) and

(c) where X represents a ring member $-C(R_6)(R_7)-$, in which R_6 is chosen from -CS-alkyl, -CS-cycloalkyl, -CS-heterocycloalkyl, -CS-aryl, -CS-heteroaryl, -CS-alkylaryl, -CS-alkylheteroaryl, -CS- NR_8R_9 and
5 $-C(=NH)-NR_8R_9$.

Preference is also given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-C(R_6)(R_7)-$, in which the alkyl, cycloalkyl, heterocycloalkyl, aryl or
10 heteroaryl groups are optionally substituted with 1 or more groups chosen from R or R', OCOR, COR, OCONRR' and NR₄COOR'.

Further preference is given to those in which R_4 is chosen from the groups of formulae (a), (b) and
15 (c) where X represents a ring member $-C(R_6)(R_7)-$, in which the cycloalkyl or heterocycloalkyl groups are optionally fused with an aryl or heteroaryl group.

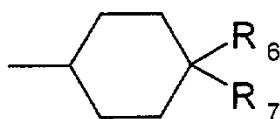
Further preference is given to those in which R_4 is chosen from the groups of formulae (a), (b) and
20 (c) where X represents a ring member $-C(R_6)(R_7)-$, in which R_8 and R_9 , chosen independently of one another, represent alkyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl groups which are optionally substituted with one or more groups chosen from the groups R, R', COR,
25 OCOR, OCONRR', NR₄COOR';

or else R_8 and R_9 together form a cycloalkyl or a heterocycloalkyl.

Further preference is given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-C(R_6)(R_7)-$, in which R and R' can together form a cycloalkyl or a heterocycloalkyl.

Among the compounds of formula (I) that are subjects of the invention, further preference is given to those in which R_7 is hydrogen.

Among the compounds of formula (I) that are subjects of the invention, further preference is given to those in which R_4 represents the group of formula a) where $p = 2$ as defined below:



Among the compounds of formula (I) that are subjects of the invention, further preference is given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) optionally mono- or polysubstituted (di-, tri-, tetrasubstituted) with an aryl or heteroaryl group where X represents a ring member $-N(R_{10})-$ in which

R_{10} is chosen from:

a group $-\text{CO}-\text{NR}_8\text{R}_9$, $-\text{COOR}_8$,

a group $-(\text{CH}_2)_x-\text{OR}_8$, $-(\text{CH}_2)_x-\text{COOR}_8$,

$-(\text{CH}_2)_x-\text{NR}_8\text{R}_9$, $-(\text{CH}_2)_x-\text{CO}-\text{NR}_8\text{R}_9$ or $-(\text{CH}_2)_x-\text{NR}_8-\text{COR}_9$, in

which $x = 1, 2, 3$ or 4 ,

. a cycloalkyl or heterocycloalkyl group
fused with an aryl or heteroaryl group,

a cycloalkyl, heterocycloalkyl, aryl,
heteroaryl, alkylaryl, alkylheteroaryl, -CO-cycloalkyl,
5 -CO-heterocycloalkyl, -CO-heteroaryl, -CO-alkylaryl,
-CO-alkylheteroaryl, -CS-alkyl, -CS-cycloalkyl,
-CS-heterocycloalkyl, -CS-aryl, -CS-heteroaryl,
-CS-alkylaryl, -CS-alkylheteroaryl, -CS-NR₈R₉,
-C(=NH)-NR₈R₉, -SO₂-cycloalkyl, -SO₂-heterocycloalkyl,
10 -SO₂-heteroaryl, -SO₂-alkylaryl, -SO₂-alkylheteroaryl or
-SO₂-NR₈R₉ group;

or else R₁₀ forms, with the nitrogen atom to
which it is attached and a carbon atom located in any
position of the cyclic structure of formula (a), but
15 not adjacent to said nitrogen atom, a bridge comprising
from 3 to 5 members;

R₈ and R₉ are chosen, independently of one
another, from a hydrogen atom, and alkyl, cycloalkyl,
heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkyl-
20 heteroaryl, -CO-alkyl, -CO-cycloalkyl, -CO-heterocyclo-
alkyl, -CO-aryl, -CO-heteroaryl, -CO-alkylaryl,
-CO-alkylheteroaryl, -SO₂-alkyl, -SO₂-cycloalkyl,
-SO₂-heterocycloalkyl, -SO₂-aryl, -SO₂-heteroaryl,
-SO₂-alkylaryl, -SO₂-alkylheteroaryl, -C(=NH)-NRR',
25 -COOR, -CO-NRR', -CS-NRR' and -(CH₂)_x-OR groups, where
x = 0, 1, 2, 3 or 4;

R and R' represent, independently of one

another, a hydrogen atom, or an alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkyl-heteroaryl group.

Preference is also given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) optionally substituted with an oxo group where X represents a ring member $-N(R_{10})$.

Preference is also given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-N(R_{10})-$, in which R_8 and R_9 , chosen independently of one another, represent alkyl, cycloalkyl, heterocycloalkyl, aryl and hetero-aryl groups which are optionally substituted with one or more groups chosen from halogen atoms, and the groups R, R', OR, NRR', $-\text{CO}-\text{NRR}'$, $-\text{OCOR}$, NRCOR' , $\text{NRCONRR}'$, COR , $-\text{NO}_2$, CN, $-\text{COOR}$, OCONRR' , NRCOOR' ;

or else R_8 and R_9 together form a cycloalkyl or a heterocycloalkyl.

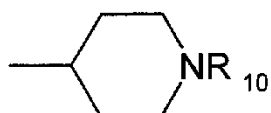
Further preference is given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-N(R_{10})-$, in which R_{10} is $-(\text{CH}_2)_x-\text{COR}_8$, in which $x = 1, 2, 3$ or 4 .

Preference is also given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-N(R_{10})-$, in which the alkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl groups are optionally substituted with one or more

groups chosen from R, R' OCOR, COR, OCONRR' or NRCOOR'.

Preference is also given to those in which R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-N(R_{10})-$, in which the
 5 cycloalkyl or heterocycloalkyl groups are optionally fused with an aryl or heteroaryl group.

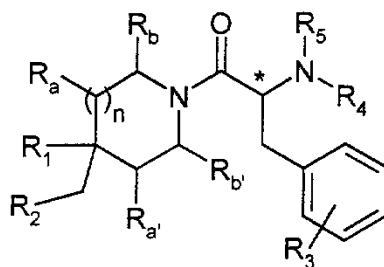
Preference is also given to those in which R_4 represents the group of formula a) where $p = 2$ as defined below:



10

The compounds of formula (I) contain at least one asymmetric carbon atom. They can therefore exist in the form of enantiomers or of diastereoisomers. These enantiomers and diastereoisomers, and also mixtures
 15 thereof, including racemic mixtures, are part of the invention.

Among the compounds of formula (I) that are subjects of the invention, preference is given to those in which the carbon atom identified by the asterisk *
 20 in the formula below is in an (R) configuration:



The compounds of formula (I) according to the

invention can also exist in the form of mixtures of conformers, which are part of the invention. They can also exist in the form of cis or trans isomers, or of endo or exo isomers. These isomers, and also mixtures thereof, are part of the invention.

The compounds of formula (I) can exist in the form of bases or of addition salts with acids. Such addition salts are part of the invention.

These salts are advantageously prepared with pharmaceutically acceptable acids, but the salts of other acids that are useful, for example, for purifying or isolating the compounds of formula (I) are also part of the invention.

The compounds of formula (I) can also exist in the form of hydrates or of solvates, i.e. in the form of associations or of combinations with one or more molecules of water or with a solvent. Such hydrates and solvates are also part of the invention.

In the context of the present invention, and unless otherwise mentioned in the text, the term:

- "a halogen atom" is intended to mean: a fluorine, a chlorine, a bromine or an iodine;
- "an alkyl group" is intended to mean: a saturated or unsaturated (i.e. comprising between 1 and 3 saturations of ethylenic or acetylenic type), linear, cyclic or branched aliphatic group comprising from 1 to 6 carbon atoms. By way of examples, mention

may be made of methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert-butyl, pentyl, neopentyl groups, etc., and the cycloalkyl groups defined below, and also alkyl groups only partially cyclized, such as the methyl-

5 cyclopropyl group. Such an alkyl group may be substituted with 1 or more groups (for example with 1 to 6 groups) chosen from halogen atoms (resulting, for example, in a $-CF_3$ group) and the groups R, R', -OR, -NRR', -CO-NRR', -NR-CO-R', -NR-CO-NRR', -NO₂, -CN and

10 -COOR, OCOR, COR, OCONRR', NR₂COOR'; where R and R' represent, independently of one another, a hydrogen atom, or an alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkylheteroaryl group, or can together form a cycloalkyl or a heterocycloalkyl;

15 - "a cycloalkyl group" is intended to mean: a cyclic alkyl group comprising between 3 and 8 carbon atoms, all the carbon atoms being involved in the cyclic structure. By way of examples, mention may be made of cyclopropyl, cyclobutyl, cyclopentyl,

20 cyclohexyl groups, etc. Such a cycloalkyl group may be substituted as described above for the alkyl group;

- "a heterocycloalkyl group" is intended to mean: a cycloalkyl group as defined above, also comprising between 1 and 4 hetero atoms, such as

25 nitrogen, oxygen and/or sulphur. Such a heterocycloalkyl group may be substituted as described above for the cycloalkyl group and may comprise one or more, for

example 1 or 2, ethylenic or acetylenic unsaturations, so as to form, for example, a 2,5-dihydro-1H-pyrrolyl group;

- "an alkoxy group" is intended to mean: an
5 -O-alkyl radical, where the alkyl group is as defined above;

- "an aryl group" is intended to mean: a cyclic aromatic group comprising between 5 and 10 ring members, for example a phenyl group. Such an aryl group
10 may be substituted with 1 or more groups (for example with 1 to 6 groups) chosen from halogen atoms (resulting, for example, in a -CF₃ group), and the groups R, R', -OR, -NRR', -CO-NRR', -NR-CO-R', -NR-CO-NRR', -NO₂, -CN and -COOR, OCOR, COR, OCONRR',
15 NRCOOR'; where R and R' represent, independently of one another, a hydrogen atom, or an alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkylheteroaryl group, or can together form a cycloalkyl or a heterocycloalkyl;

20 - "an alkylaryl group" is intended to mean: an alkyl group as defined above, itself substituted with an aryl group as defined above. Such an alkylaryl group is, for example, a benzyl group;

- "a heteroaryl group" is intended to mean: a
25 cyclic aromatic group comprising between 5 and 10 ring members and comprising between 1 and 6 hetero atoms, such as nitrogen, oxygen and/or sulphur. By way of

example, mention may be made of the pyridinyl group.
Such a heteroaryl group may be substituted as described
above for the aryl group;

- "an alkylheteroaryl group" is intended to
5 mean: an alkyl group as defined above, itself
substituted with a heteroaryl group as defined above.

Among the compounds of formula (I) that are
subjects of the invention, mention may be made of those
in which n , R_a , $R_{a'}$, R_b , $R_{b'}$, R_2 , R_3 , R_4 and R_5 are as
10 defined above and R_1 represents a cycloalkyl group, such
as a cyclohexyl group.

Among the compounds of formula (I) that are
subjects of the invention, mention may also be made of
those in which n , R_a , $R_{a'}$, R_b , $R_{b'}$, R_1 , R_3 , R_4 and R_5 are
15 as defined above and R_2 represents a triazolyl group
(advantageously, the 1,2,4-triazolyl group).

Among the compounds of formula (I) that are
subjects of the invention, mention may also be made of
those in which n , R_a , $R_{a'}$, R_b , $R_{b'}$, R_1 , R_2 , R_4 and R_5 are
20 as defined above and R_3 represents 1 to 3 groups, which
may be identical to or different from one another,
chosen from halogen atoms. Advantageously, R_3 represents
a single group, preferably a chlorine atom.

Among the compounds of formula (I) that are
25 subjects of the invention, mention may also be made of
those in which n , R_a , $R_{a'}$, R_b , $R_{b'}$, R_1 , R_2 , R_3 and R_4 are
as defined above and R_5 represents a hydrogen atom or an

alkyl group comprising from 1 to 4 carbon atoms. R_5 preferably represents a hydrogen atom.

Mention may also be made of other subgroups of the compounds of formula (I) that are subjects of the invention, in which R_1 , R_2 , R_3 , R_4 and R_5 have any one of the meanings described above, and in which:

- $n = 1$ and $R_a = R_{a'} = R_b = R_{b'} = H$,
- $n = 1$, $R_a = R_{a'} = H$, and R_b and $R_{b'}$ form, together with the carbon atoms of the ring to which they are attached, a carbon bridge comprising 4 members.

Each of the definitions given above for the groups R_a , $R_{a'}$, R_b , $R_{b'}$, R_1 , R_2 , R_3 , R_4 , R_5 , R_6 , R_7 , R_8 , R_9 , R_{10} , R and R' can be combined with one another so as to obtain various subgroups of compounds of formula (I) according to the present invention.

According to another subject, the invention relates to the compounds having the following names:

In the lists that follow, the numbers in front of the names of the products correspond to the example Nos. of the compounds in the table:

- 5: $N-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]}-2\text{-oxoethyl}\}-1-(2\text{-phenylethyl})\text{piperidin-4-amine}$
- 9: $4-[4-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]}-2\text{-oxoethyl}\}\text{amino})\text{cyclohexyl}]\text{phenol}$

12: *cis*-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

13: *trans*-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

15: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-8-methyl-8-azabicyclo[3.2.1]octan-3-amine

16: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-9-methyl-9-azabicyclo[3.3.1]nonan-3-amine

20: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-phenylpiperidin-4-amine

28: 1-benzoyl-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine

29: 1-acetyl-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine

32: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1,4-dioxaspiro[4.5]decan-8-amine

33: N'-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N,N-dimethylcyclohexane-1,4-diamine

34: 4-(aminomethyl)-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexanamine

35: 3-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-8-methyl-8-azabicyclo[3.2.1]octan-6-ol

36: *cis*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanol

10 *trans*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanol

37: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(trifluoroacetyl)piperidin-4-amine

39: 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidine-1-carboxamide

40: *cis*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-phenylcyclohexanol

trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-phenylcyclohexanol

25 44: *cis*-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N'-(4-fluorophenyl)cyclohexane-1,4-

diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-fluorophenyl)cyclohexane-1,4-diamine

5 45: N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2,2-trifluoroacetamide

10 N-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2,2-trifluoroacetamide

15 46: N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]acetamide

 N-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]acetamide

20 47: N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(4-fluorobenzoyl)piperidin-4-amine

 48: N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(cyclopentylcarbonyl)piperidin-4-amine

25 49: N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(cyclobutylcarbonyl)piperidin-4-amine

50: *cis*-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N'*-methylcyclohexane-1,4-diamine

52: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(pyridin-2-ylcarbonyl)piperidin-4-amine

53: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(phenylacetyl)piperidin-4-amine

54: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(methylsulphonyl)piperidin-4-amine

55: N-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-*N*-methylacetamide

56: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(1,3-dihydro-2*H*-isoindol-2-yl)cyclohexanamine

57: N-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-*N*-methylbenzamide

58: ethyl *cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxylate

ethyl *trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

yl]-2-oxoethyl}amino)cyclohexanecarboxylate

62: *trans*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-phenylcyclohexane-1,4-diamine

5 63: *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-phenylcyclohexane-1,4-diamine

69: *N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-methyl-*N*-phenylcyclohexane-1,4-diamine

10

70: *N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-(4-fluorophenyl)-*N*-methylcyclohexane-1,4-diamine

15 73 : *cis* or *trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-diethylcyclohexanecarboxamide

74: *cis* or *trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-diethylcyclohexanecarboxamide

20

75: *cis* or *trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-dimethylcyclohexanecarboxamide

25

76: *cis* or *trans*-4-({(1*R*)-1-(4-chlorobenzyl)-

2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)-
piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-dimethylcyclo-
hexanecarboxamide

78: *cis*-*N*-benzyl-4-({(1*R*)-1-(4-chlorobenzyl)-

5 2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)-
piperidin-1-yl]-2-oxoethyl}amino)-*N*-methylcyclo-
hexanecarboxamide

trans-*N*-benzyl-4-({(1*R*)-1-(4-chlorobenzyl)-2-
[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-
10 1-yl]-2-oxoethyl}amino)-*N*-methylcyclohexanecarboxamide

79: *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclo-
hexanamine

15 *trans*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclo-
hexanamine

80: *cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-
20 cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexanecarboxamide

trans-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}amino)cyclohexanecarboxamide

25 81: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}-1-isonicotinoylpiperidin-4-amine

82: *cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)cyclohexanol

5 *trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)cyclohexanol

83: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(1-methyl-1*H*-imidazol-2-yl)carbonyl]-
10 piperidin-4-amine

84: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(5-methylisoxazol-3-yl)carbonyl]piperidin-4-amine

15 85: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(3,4-difluorobenzoyl)piperidin-4-amine

86: 1-[(1-*tert*-butyl-5-methyl-1*H*-pyrazol-3-yl)carbonyl]-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine
20

87: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(3,5-dimethylisoxazol-4-yl)carbonyl]-
25 piperidin-4-amine

88: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-

oxoethyl)-1-(3-thienylcarbonyl)piperidin-4-amine

89: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(pyrrolidin-1-ylcarbonyl)piperidin-4-amine.

5 90: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-phenylpiperidine-1-carboxamide

91: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-dimethylpiperidine-1-carboxamide

10

92: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-diethylpiperidine-1-carboxamide

93: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(piperidin-1-ylcarbonyl)piperidin-4-amine

15

94: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(morpholin-4-ylcarbonyl)piperidin-4-amine

95: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-methyl-*N*-phenylpiperidine-1-carboxamide

20

96: *N*-benzyl-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-methylpiperidine-1-carboxamide

25

97: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-methoxycyclohexanamine

5 98: 4-[4-(benzyloxy)phenyl]-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine

100: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2-methoxyacetamide

10 101: 2-([*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino)-2-oxoethyl acetate

15 102: 2-(benzyloxy)-*N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-acetamide

20 103: 3-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-1,3-oxazolidin-2-one

3-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-1,3-oxazolidin-2-one

25 104: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2-methoxyethyl)cyclohexane-1,4-diamine

trans-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N'-(2-methoxyethyl)cyclohexane-1,4-diamine

105: *cis*-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-morpholin-4-ylcyclohexanamine

trans-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-morpholin-4-ylcyclohexanamine

106: 1-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]pyrrolidin-2-one

1-[*trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]pyrrolidin-2-one

107: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(2-methoxyethoxy)cyclohexanamine

108: ethyl 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidine-1-carboxylate

109: methyl 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidine-1-carboxylate

110: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(2*S*)-piperidin-2-ylcarbonyl]piperidin-4-

amine

111: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(2*R*)-piperidin-2-ylcarbonyl]piperidin-4-

5 amine

112: *cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarbonitrile

10 *trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarbonitrile

113: 1-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]piperidin-2-one

15 1-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]piperidin-2-one

114: *N*-[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]propanamide

20 115: *tert*-butyl (2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-amino}-2-oxoethyl)carbamate

25 116: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]glycinamide

117: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2-hydroxyacetamide

118: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2-dimethylpropanamide

N-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2-dimethylpropanamide

119: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-methoxyphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-methoxyphenyl)cyclohexane-1,4-diamine

120: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2*H*-tetrazol-5-yl)cyclohexanamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2*H*-tetrazol-5-yl)cyclohexanamine

121: 2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}phenol

2-([trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino)phenol

122: 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl acetate

123: N²-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-N,N-dimethyl-glycinamide

N²-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-N,N-dimethyl-glycinamide

124: N²-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide

N²-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide

125: 4-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]piperazin-2-one

4-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]piperazin-2-one

126: cis-4-(4-acetylpiperazin-1-yl)-N-((1R)-

1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexanamine

trans-4-(4-acetylpiperazin-1-yl)-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexanamine

127: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine

128: methyl N-[4-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinate

129: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(2,2-difluoroethyl)piperidin-4-amine

130: *cis*-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-chlorophenyl)cyclohexane-1,4-diamine

trans-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-chlorophenyl)cyclohexane-1,4-diamine

131: 4-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl pivalate

132: *cis*-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-fluoro-2-methylphenyl)cyclo-

hexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-2-methylphenyl)cyclohexane-1,4-diamine

133: 4-{[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino}benzonitrile

134: *N*-[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]cyclopropanecarboxamide

135: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(6-methylpyridazin-3-yl)piperidin-4-amine

136: methyl [4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]acetate

137: *cis* or *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)-piperidin-1-yl]-2-oxoethyl}-*N'*-(4-morpholin-4-ylphenyl)cyclohexane-1,4-diamine

138: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2,4-difluorophenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-

oxoethyl}-N'-(2,4-difluorophenyl)cyclohexane-1,4-diamine

139: *cis*-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N'-(5-fluoropyridin-2-yl)cyclohexane-1,4-diamine

trans-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N'-(5-fluoropyridin-2-yl)cyclohexane-1,4-diamine

140: N-1H-1,2,3-benzotriazol-5-yl-N'-(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

141: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-pyrimidin-2-ylpiperidin-4-amine

142: 1-[*cis*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]imidazolidin-2-one

1-[*trans*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]imidazolidin-2-one

143: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-cyclopropylpiperidin-4-amine

144: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(2*S*)-4,4-difluoropiperidin-2-yl]-carbonyl)piperidin-4-amine

145: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4,4-difluorocyclohexanamine

146: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N'*-(3,4-difluorophenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N'*-(3,4-difluorophenyl)cyclohexane-1,4-diamine

147: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N'*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N'*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

148: *cis-N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N*-ethyl-*N*-(4-fluoro-3-methoxyphenyl)-cyclohexane-1,4-diamine

trans-N'-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-ethyl-*N*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

149: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-[4-(trifluoromethyl)phenyl]cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-[4-(trifluoromethyl)phenyl]cyclohexane-1,4-diamine

150: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methylphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methylphenyl)cyclohexane-1,4-diamine

151: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(4,4-difluoro-*L*-prolyl)piperidin-4-amine

152: 1-(1*H*-benzimidazol-2-yl)-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

153: 1-(2,1-benzisoxazol-3-ylcarbonyl)-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-

triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-
piperidin-4-amine

154: 1-[4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)piperidin-1-yl]butan-2-one

155: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(2,2,2-trifluoroethyl)piperidin-4-amine

156: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-N-(4-methoxyphenyl)piperidine-1-carboxamide

157: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-N-(4-fluorophenyl)piperidine-1-carboxamide

158: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-N-(2,4-difluorophenyl)piperidine-1-carboxamide

159: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-N-(3,4-difluorophenyl)piperidine-1-carboxamide

160: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-N-(2-fluorophenyl)piperidine-1-

carboxamide

161: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-(2-methoxyphenyl)piperidine-1-

5 carboxamide

162: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-[4-(dimethylamino)phenyl]piperidine-1-carboxamide

10 163: N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(5-fluoro-1H-indol-2-yl)carbonyl]-piperidin-4-amine

15 164: N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(pyrazin-2-ylcarbonyl)piperidin-4-amine

165: N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(5-phenyl-1,3-oxazol-4-yl)carbonyl]-piperidin-4-amine

20 166: N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(isoxazol-5-ylcarbonyl)piperidin-4-amine

25 167: 3-[trans-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3H)-one

3-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3*H*)-one

5 168: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]pyridine-2-carboxamide

169: 2-{{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluorophenol
10 or

2-{{[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluorophenol

15 170: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(quinolin-2-ylcarbonyl)piperidin-4-amine

171: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(3-methylpyridin-2-yl)carbonyl]piperidin-4-amine
20

172: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(1*H*-1,2,4-triazol-3-ylcarbonyl)piperidin-4-amine
25

173: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

yl]-2-oxoethyl)amino)cyclohexyl]-2,1-benzisoxazole-3-carboxamide

174: 1-(1,3-benzothiazol-2-ylcarbonyl)-N-
{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-
5 triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-
piperidin-4-amine

175: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}-1-[(6-methylpyridin-2-yl)carbonyl]piperidin-
10 4-amine

176: 1-(1-benzofuran-2-ylcarbonyl)-N-{(1R)-1-
(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-
ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

177: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
15 hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}-1-[(6-fluoropyridin-2-yl)carbonyl]piperidin-
4-amine

178: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
20 oxoethyl}-1-[(1-phenyl-1H-pyrazol-5-yl)carbonyl]-
piperidin-4-amine

179: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}-1-(2,4-difluorobenzoyl)piperidin-4-amine

25 180: *cis*-N-(1,3-benzothiazol-2-ylmethyl)-N'-
{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-
triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclo-

hexane-1,4-diamine

181: *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(1,3-thiazol-2-ylmethyl)cyclohexane-
5 1,4-diamine

182: 2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-*N,N*-dimethylbenzamide

10 2-{[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-*N,N*-dimethylbenzamide

183: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(6-phenylpyridin-2-yl)carbonyl]piperidin-4-amine
15

184: *trans*-*N*-(*tert*-butyl)-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexane-carboxamide
20

185: *cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-(3,4-difluorophenyl)cyclohexanecarboxamide
25

186: *cis*-*N*-{(1*S*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

yl]-2-oxoethyl)cyclohexane-1,4-diamine

187: *trans*-N-{(1S)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

5 Among the preferred compounds of formula I in which X is CR₆R₇, mention may be made of those having the following names:

9: 4-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]phenol

10

12: *cis*-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

13: *trans*-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

15

22: *cis*-N-{(1R)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1H-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl)cyclohexane-1,4-diamine

20

23: *trans*-N-{(1R)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1H-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl)cyclohexane-1,4-diamine

25 32: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1,4-dioxaspiro[4.5]decan-8-amine

33: *N'* -{ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} -*N,N*-dimethylcyclohexane-1,4-diamine

34: 4-(aminomethyl) -*N* -{ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} cyclohexanamine

36: *cis*-4-({ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} amino) cyclohexanol

10 *trans*-4-({ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} amino) cyclohexanol

38: *N* -{ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} -4-phenylcyclohexanamine

40: *cis*-4-({ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} amino) -1-phenylcyclohexanol

20 *trans*-4-({ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} amino) -1-phenylcyclohexanol

41: *cis*-4-({ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} amino) -1-phenylcyclohexanecarbonitrile

25 *trans*-4-({ (1*R*) -1-(4-chlorobenzyl) -2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl] -2-oxoethyl} amino) -1-phenylcyclohexanecarbonitrile

44: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluorophenyl)cyclohexane-1,4-

5 diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluorophenyl)cyclohexane-1,4-diamine

45: *N*-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-2,2,2-trifluoro-

10 acetamide

N-[*trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-2,2,2-trifluoro-

15 acetamide

46: *N*-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]acetamide

N-[*trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]acetamide

20

50: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-methylcyclohexane-1,4-diamine

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55: *N*-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

yl]-2-oxoethyl}amino)cyclohexyl]-N-methylacetamide

56: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(1,3-dihydro-2*H*-isoindol-2-yl)cyclo-

5 hexanamine

57: *N*-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-*N*-methylbenzamide

58: ethyl *cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarboxylate

ethyl *trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarboxylate

59: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(trifluoromethyl)cyclohexanamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(trifluoromethyl)cyclohexanamine

62: *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-phenylcyclohexane-1,4-diamine

63: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-phenylcyclohexane-1,4-diamine

64: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(2,5-dimethyl-2,5-dihydro-1*H*-pyrrol-1-yl)-cyclohexanamine

65: *N*-benzyl-*N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N*-methylcyclohexane-1,4-diamine

66: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-pyrrolidin-1-ylcyclohexanamine

10 67: 2-{[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino}ethanol

68: 2-{benzyl[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino}ethanol

69: *N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N*-methyl-*N*-phenylcyclohexane-1,4-diamine

70: *N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-*N*-(4-fluorophenyl)-*N*-methylcyclohexane-1,4-diamine

71: *cis* or *trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxylic acid

72: *cis* or *trans*-4-((1*R*)-1-(4-chlorobenzyl)-

2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-
piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarboxylic
acid

73: *cis* or *trans*-4-({(1R)-1-(4-chlorobenzyl)-

5 2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-
piperidin-1-yl]-2-oxoethyl}amino)-N,N-diethylcyclo-
hexanecarboxamide

74: *cis* or *trans*-4-({(1R)-1-(4-chlorobenzyl)-

2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-
10 piperidin-1-yl]-2-oxoethyl}amino)-N,N-diethylcyclo-
hexanecarboxamide

75: *cis* or *trans*-4-({(1R)-1-(4-chlorobenzyl)-

2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-
piperidin-1-yl]-2-oxoethyl}amino)-N,N-dimethylcyclo-
15 hexanecarboxamide

76: *cis* or *trans*-4-({(1R)-1-(4-chlorobenzyl)-

2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-
piperidin-1-yl]-2-oxoethyl}amino)-N,N-dimethylcyclo-
hexanecarboxamide.

20 Among the preferred compounds of formula I in
which X is CR₆R₇, mention may be made of those having
the following names:

78: *cis*-N-benzyl-4-({(1R)-1-(4-chlorobenzyl)-

2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-
25 piperidin-1-yl]-2-oxoethyl}amino)-N-methylcyclohexane-
carboxamide

trans-N-benzyl-4-({(1R)-1-(4-chlorobenzyl)-2-

[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-N-methylcyclohexanecarboxamide

79: *cis*-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine

trans-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine

80: *cis*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxamide

trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxamide

82: *cis*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)cyclohexanol

trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)cyclohexanol

97: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-methoxycyclohexanamine

98: 4-[4-(benzyloxy)phenyl]-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-

ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexanamine

99: 4-(benzyloxy)-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-piperidin-1-yl]-2-oxoethyl)cyclohexanamine

5 100: N-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-2-methoxyacetamide

102: 2-(benzyloxy)-N-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-acetamide

103: 3-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-1,3-oxazolidin-2-one

15 3-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-1,3-oxazolidin-2-one

104: cis-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N'-(2-methoxyethyl)cyclohexane-1,4-diamine

trans-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N'-(2-methoxyethyl)cyclohexane-1,4-diamine

25 105: cis-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-morpholin-4-ylcyclohexanamine

trans-N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-morpholin-4-ylcyclohexanamine

106: 1-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]pyrrolidin-2-one

1-[*trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]pyrrolidin-2-one

107: N-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(2-methoxyethoxy)cyclohexanamine

112: *cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarbonitrile

trans-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarbonitrile

113: 1-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]piperidin-2-one

1-[*trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]piperidin-2-one

114: N-[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]propanamide

116: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]glycinamide

117: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2-hydroxyacetamide

118: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2-dimethyl-
10 propanamide

N-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2-dimethyl-
propanamide

119: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-methoxyphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-methoxyphenyl)cyclohexane-1,4-diamine

120: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2*H*-tetrazol-5-yl)cyclohexanamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2*H*-tetrazol-5-yl)cyclohexanamine

121: 2-([cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino)phenol

2-([trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino)phenol

122: 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl acetate

10 123: N²-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-N,N-dimethylglycinamide

15 N²-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-N,N-dimethylglycinamide

124: N²-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide

20 N²-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide

125: 4-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]piperazin-2-one

4-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-

cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]piperazin-2-one

126: *cis*-4-(4-acetylpiperazin-1-yl)-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine

trans-4-(4-acetylpiperazin-1-yl)-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine

130: *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-chlorophenyl)cyclohexane-1,4-diamine

trans-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-chlorophenyl)cyclohexane-1,4-diamine

131: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl pivalate

132: *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-2-methylphenyl)cyclohexane-1,4-diamine

trans-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-2-methylphenyl)cyclohexane-1,4-diamine

133: 4-{[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-

cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}benzonitrile

134: *N*-[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]cyclopropanecarboxamide

138: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2,4-difluorophenyl)cyclohexane-1,4-diamine

10 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2,4-difluorophenyl)cyclohexane-1,4-diamine

139: *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(5-fluoropyridin-2-yl)cyclohexane-1,4-diamine

20 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(5-fluoropyridin-2-yl)cyclohexane-1,4-diamine

140: *N*-1*H*-1,2,3-benzotriazol-5-yl-*N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine

142: 1-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

yl]-2-oxoethyl}amino)cyclohexyl]imidazolidin-2-one

1-[trans-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]imidazolidin-2-one

5 146: *cis-N*-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(3,4-difluorophenyl)cyclohexane-1,4-diamine

trans-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(3,4-difluorophenyl)cyclohexane-1,4-diamine

10 147: *cis-N*-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

trans-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

20 148: *cis-N'*-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-ethyl-*N*-(4-fluoro-3-methoxyphenyl)-cyclohexane-1,4-diamine

25 *trans-N'*-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-ethyl-*N*-(4-fluoro-3-methoxyphenyl)cyclo-

hexane-1,4-diamine

149: *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-[4-(trifluoromethyl)phenyl]cyclo-

5 hexane-1,4-diamine

trans-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-[4-(trifluoromethyl)phenyl]cyclohexane-1,4-diamine

10 150: *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methylphenyl)cyclohexane-1,4-diamine

trans-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methylphenyl)cyclohexane-1,4-diamine

15 167: 3-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3*H*)-one

20 3-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3*H*)-one

25 168: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

yl]-2-oxoethyl}amino)cyclohexyl]pyridine-2-carboxamide

169: 2-{[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluorophenol

5 or

2-{[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluorophenol

173: N-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,1-benzisoxazole-3-carboxamide

180: cis-N-(1,3-benzothiazol-2-ylmethyl)-N'-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine

181: cis-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N'-(1,3-thiazol-2-ylmethyl)cyclohexane-1,4-diamine

182: 2-{[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-N,N-dimethylbenzamide

25 2-{[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-N,N-

dimethylbenzamide

184: *trans-N*-(*tert*-butyl)-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexane-
5 carboxamide

185: *cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-(3,4-difluorophenyl)cyclohexanecarboxamide

10 186: *cis-N*-{(1*S*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine

187: *trans-N*-{(1*S*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine.
15

Among the preferred compounds of formula I in which X is CR₆R₇, mention may be made of those having the following names:

101: 2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-2-oxoethyl
20 acetate

115: *tert*-butyl (2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-amino}-2-oxoethyl)carbamate
25

137: *cis* or *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-

2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-
piperidin-1-yl]-2-oxoethyl}-N'-(4-morpholin-4-yl-
phenyl)cyclohexane-1,4-diamine

145: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
5 hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl)-4,4-difluorocyclohexanamine.

Among the preferred compounds of formula I in
which X is NR₁₀, mention may be made of those having the
following names:

10 4: 1-benzyl-N-((1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl)piperidin-4-amine

5: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
15 oxoethyl)-1-(2-phenylethyl)piperidin-4-amine

6: 2-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl)amino)piperidin-1-yl]ethanol

7: 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
20 hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl)amino)piperidin-1-yl]propan-1-ol

8: 4-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl)amino)piperidin-1-yl]butan-1-ol

25 10: tert-butyl 4-((1R)-1-(4-chlorobenzyl)-2-
[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-
1-yl]-2-oxoethyl)amino)piperidine-1-carboxylate

14: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-azabicyclo[3.2.1]octan-3-amine

5 15: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine

16: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-9-methyl-9-azabicyclo[3.3.1]nonan-3-amine

10 17: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}quinuclidin-3-amine

18: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}azepan-4-amine
15

19: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-3-amine

20: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-phenylpiperidin-4-amine
20

21: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl}piperidin-4-amine

25 24: 1-benzyl-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}pyrrolidin-3-amine

28: 1-benzoyl-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine

29: 1-acetyl-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine

35: 3-(((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-8-methyl-8-azabicyclo[3.2.1]octan-6-ol

37: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(trifluoroacetyl)piperidin-4-amine

39: 4-(((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidine-1-carboxamide

47: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(4-fluorobenzoyl)piperidin-4-amine

48: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(cyclopentylcarbonyl)piperidin-4-amine

49: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(cyclobutylcarbonyl)piperidin-4-amine

51: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(4-methylphenyl)sulphonyl]piperidin-4-

amine

52: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(pyridin-2-ylcarbonyl)piperidin-4-amine

5 53: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(phenylacetyl)piperidin-4-amine

54: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(methylsulphonyl)piperidin-4-amine

10

60: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-2-phenylpiperidin-4-amine

61: (1*S*,3*R*,5*S*,7*S*)-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)adamantan-1-ol.

15

Among the preferred compounds of formula I in which X is NR₁₀, mention may be made of those having the following names:

20 81: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-isonicotinoylpiperidin-4-amine

83: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(1-methyl-1*H*-imidazol-2-yl)carbonyl]-piperidin-4-amine

25

84: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(5-methylisoxazol-3-yl)carbonyl]piperidin-4-amine

85: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
5 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(3,4-difluorobenzoyl)piperidin-4-amine

86: 1-[(1-*tert*-butyl-5-methyl-1*H*-pyrazol-3-yl)carbonyl]-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
10 oxoethyl}piperidin-4-amine

87: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(3,5-dimethylisoxazol-4-yl)carbonyl]-
piperidin-4-amine

88: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
15 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(3-thienylcarbonyl)piperidin-4-amine

89: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
20 oxoethyl}-1-(pyrrolidin-1-ylcarbonyl)piperidin-4-amine

90: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-phenylpiperidine-1-carboxamide

91: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
25 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-dimethylpiperidine-1-carboxamide

92: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-diethylpiperidine-1-carboxamide

93: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(piperidin-1-ylcarbonyl)piperidin-4-amine

94: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(morpholin-4-ylcarbonyl)piperidin-4-amine

95: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-methyl-*N*-phenylpiperidine-1-carboxamide

96: *N*-benzyl-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-methylpiperidine-1-carboxamide

108: ethyl 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)piperidine-1-carboxylate

109: methyl 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)piperidine-1-carboxylate

110: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(2*S*)-piperidin-2-ylcarbonyl]piperidin-4-amine

111: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(2*R*)-piperidin-2-ylcarbonyl]piperidin-4-amine

127: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine

128: methyl *N*-[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinate

129: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(2,2-difluoroethyl)piperidin-4-amine

135: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(6-methylpyridazin-3-yl)piperidin-4-amine

136: methyl [4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]acetate

141: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyrimidin-2-ylpiperidin-4-amine

143: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-cyclopropylpiperidin-4-amine

144: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-{{(2*S*)-4,4-difluoropiperidin-2-yl}-

carbonyl}piperidin-4-amine

151: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(4,4-difluoro-*L*-prolyl)piperidin-4-amine

5 152: 1-(1*H*-benzimidazol-2-yl)-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

153: 1-(2,1-benzisoxazol-3-ylcarbonyl)-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-
10 piperidin-4-amine

155: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(2,2,2-trifluoroethyl)piperidin-4-amine

15 156: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-(4-methoxyphenyl)piperidine-1-carboxamide

157: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-(4-fluorophenyl)piperidine-1-carboxamide
20

158: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-(2,4-difluorophenyl)piperidine-1-carboxamide
25

159: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-(3,4-difluorophenyl)piperidine-1-carboxamide

160: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
5 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-(2-fluorophenyl)piperidine-1-carboxamide

161: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
10 oxoethyl}amino)-*N*-(2-methoxyphenyl)piperidine-1-carboxamide

162: 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}amino)-*N*-[4-(dimethylamino)phenyl]piperidine-
15 1-carboxamide

163: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}-1-[(5-fluoro-1*H*-indol-2-yl)carbonyl]-
piperidin-4-amine

164: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
20 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(pyrazin-2-ylcarbonyl)piperidin-4-amine

166: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
25 oxoethyl}-1-(isoxazol-5-ylcarbonyl)piperidin-4-amine

170: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-

oxoethyl)-1-(quinolin-2-ylcarbonyl)piperidin-4-amine

171: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(3-methylpyridin-2-yl)carbonyl]piperidin-4-amine

172: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(1H-1,2,4-triazol-3-ylcarbonyl)piperidin-4-amine

174: 1-(1,3-benzothiazol-2-ylcarbonyl)-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-piperidin-4-amine

175: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(6-methylpyridin-2-yl)carbonyl]piperidin-4-amine

176: 1-(1-benzofuran-2-ylcarbonyl)-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine

177: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(6-fluoropyridin-2-yl)carbonyl]piperidin-4-amine

179: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(2,4-difluorobenzoyl)piperidin-4-amine.

Among the preferred compounds of formula I in which X is NR₁₀, mention may be made of those having the following names:

15 154: 1-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]butan-2-one

165: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(5-phenyl-1,3-oxazol-4-yl)carbonyl]-
10 piperidin-4-amine

178: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(1-phenyl-1H-pyrazol-5-yl)carbonyl]-
piperidin-4-amine

15 183: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(6-phenylpyridin-2-yl)carbonyl]piperidin-4-amine.

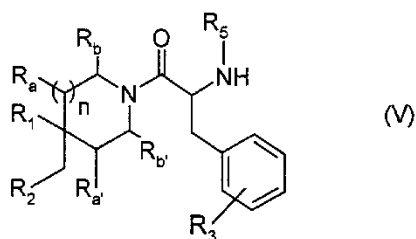
According to another subject, the invention
20 relates to a medicament, characterized in that it comprises a compound of formula (I) as described above, or an addition salt of this compound with a pharmaceutically acceptable acid, or else a hydrate or a solvate of the compound of formula (I).

25 According to another subject, the invention relates to a pharmaceutical composition, characterized in that it comprises a compound of formula (I) as

described above, or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, and also at least one pharmaceutically acceptable excipient.

According to another subject, the invention
 5 relates to the use of a compound of formula (I) as described above, in the manufacture of a medicament for use in the treatment and prevention of obesity, diabetes and sexual dysfunctions that may affect both sexes, in particular erectile dysfunctions, in the
 10 treatment of cardiovascular diseases, and also in anti-inflammatory uses or in the treatment of alcohol dependency.

According to another subject, the invention relates to a method for preparing a compound of formula
 15 (I), characterized in that a reductive amination of a compound of formula (V):

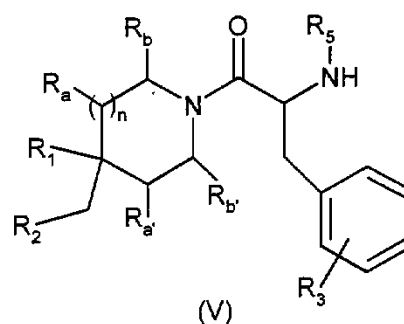
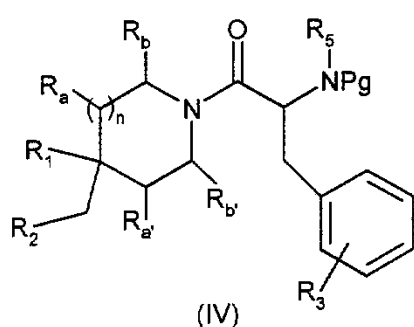


is carried out in the presence of a derivative of the group R4 of ketone type, R1, R2, R3, R4, R5, Ra, Ra', Rb, Rb', and n being as defined above in
 20 the text.

In the subsequent text, the term "protective group (Pg)" is intended to mean a group that makes it possible, firstly, to protect a reactive function such

as a hydroxyl or an amine during a synthesis and,
 secondly, to regenerate the intact reactive function at
 the end of synthesis. Examples of protective groups and
 also of methods of protection and of deprotection are
 5 given in "Protective Groups in Organic Synthesis",
 Green W. *et al.*, 1999, 3rd Edition (John Wiley & Sons,
 Inc., New York).

According to another subject, the invention
 relates to the compounds of formulae (IV) and (V):



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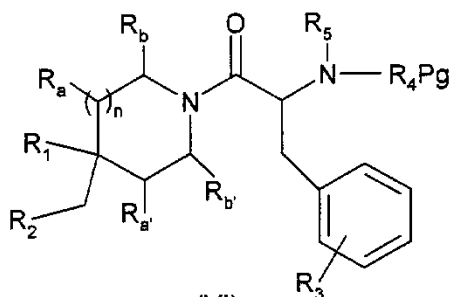
in which R₁, R_a, R_{a'}, R_b and R_{b'} are as defined
 above in the text, Pg represents a protective group,
 and:

n = 1, R_a and R_{a'}, which may be identical to
 15 or different from one another, represent a hydrogen
 atom, or an alkyl or cycloalkyl group, and R_b and R_{b'}
 form, together with the carbon atoms of the ring to
 which they are attached, a carbon bridge comprising 4
 or 5 members.

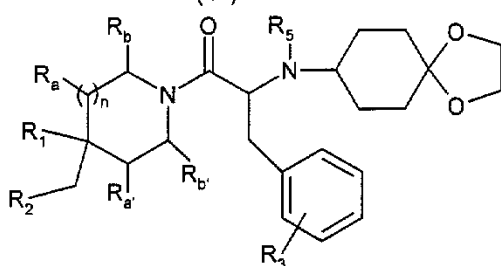
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According to another subject, the invention
 relates to the compounds of formulae (VI), (XXVIII) and
 (XXIX), in which R₁, R₂, R₃, R₅, R_a, R_{a'}, R_b, R_{b'} and n are

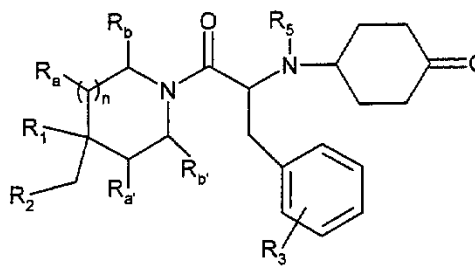
as defined above in the text:



(VI)

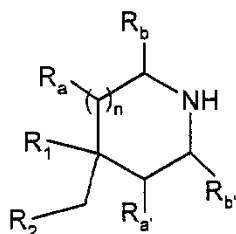


(XXVIII)



(XXIX)

According to another subject, the invention
5 relates to the compounds of formula (II):



(II)

in which R_1 , R_a , $R_{a'}$, R_b and $R_{b'}$ are as defined
above in the text, Pg represents a protective group,
and:

10 $n = 1$, R_a and $R_{a'}$, which may be identical to
or different from one another, represent a hydrogen
atom, or an alkyl or cycloalkyl group, and R_b and $R_{b'}$
form, together with the carbon atoms of the ring to
which they are attached, a carbon bridge comprising 4
15 or 5 members.

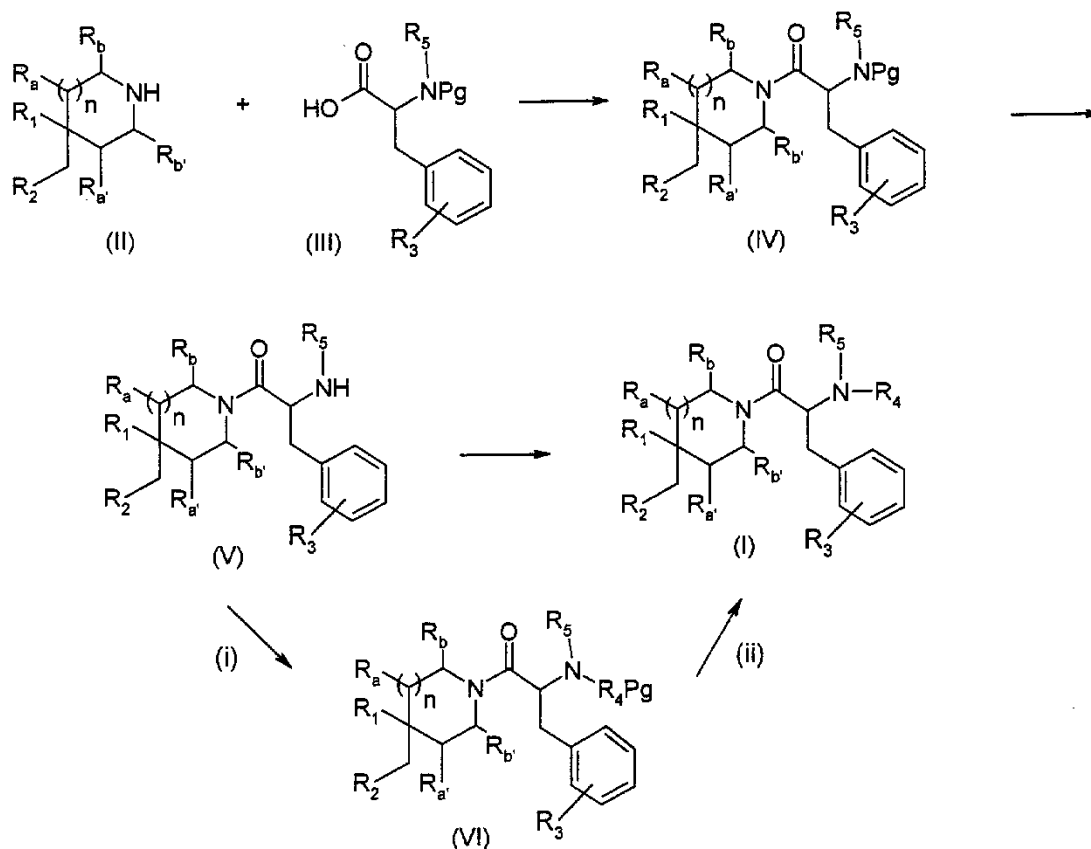
In the subsequent text, the term "leaving

group (Lg)" is intended to mean a group that can be readily cleaved from a molecule by heterolytic bond breaking, resulting in a pair of electrons leaving. This group can thus be readily replaced with another group in a substitution reaction, for example. Such leaving groups are, for example, halogens or an activated hydroxyl group such as a mesyl, tosyl, triflate, acetyl, etc. Examples of leaving groups and also references for the preparation thereof are given in "March's Advanced Organic Chemistry", J. March *et al.*, 5th Edition, 2001, EMInter publisher.

The term "Boc group" is intended to mean a t-butoxycarbonyl group, "Bn group" is intended to mean a benzyl group, "CBz group" is intended to mean a benzyloxycarbonyl group, "Fmoc group" is intended to mean a 9-fluorenylmethylcarbamate group, and the term "h" is intended to mean hours.

In accordance with the invention, the compounds of general formula (I) can be prepared according to the method presented in scheme 1.

Scheme 1:



According to scheme 1, the compounds of formula (IV) can be prepared by coupling between the intermediates of formula (II) and an amino acid of formula (III), the amine function of which is protected with a protective group Pg (for example, a Boc, CBz, Bn or Fmoc group), under conventional peptide coupling conditions, using, for example, as coupling agent, dicyclocarbodiimide, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride or bromotrispyrrolidinophosphonium hexafluorophosphate, possibly in the presence of hydroxybenzotriazole, and using, as organic base, triethylamine or diisopropylethylamine in a

solvent such as dioxane, dichloromethane or acetonitrile.

The amino acids of general formula (III) are commercially available or can be prepared by methods described in the literature (Williams, R.M., Synthesis of Optically Active α -Aminoacids, Pergamon Press, Oxford, 1989).

The compounds of formula (V) are obtained by deprotection of the amine function of the compounds of formula (IV), by methods chosen from those known to those skilled in the art. They comprise, inter alia, the use of trifluoroacetic acid or hydrochloric acid in dichloromethane, dioxane, tetrahydrofuran or diethyl ether in the case of a protection with a tert-butoxycarbonyl group, hydrogenation with the appropriate metal in methanol or ethanol in the case of a CBz or of a benzyl (Bn), and of piperidine for an Fmoc group, at temperatures ranging from -10°C to 100°C.

In a final step, the compounds of formula (I) are obtained by reductive amination, carried out by bringing the compounds of formula (V) into contact with a derivative of the group R₄ of ketone type, using a reducing agent such as sodium borohydride, sodium triacetoxyborohydride or sodium cyanoborohydride, possibly in the presence of a Brønsted acid (such as hydrochloric acid) or a Lewis acid (such as titanium tetraisopropoxide) in a solvent such as dichloroethane,

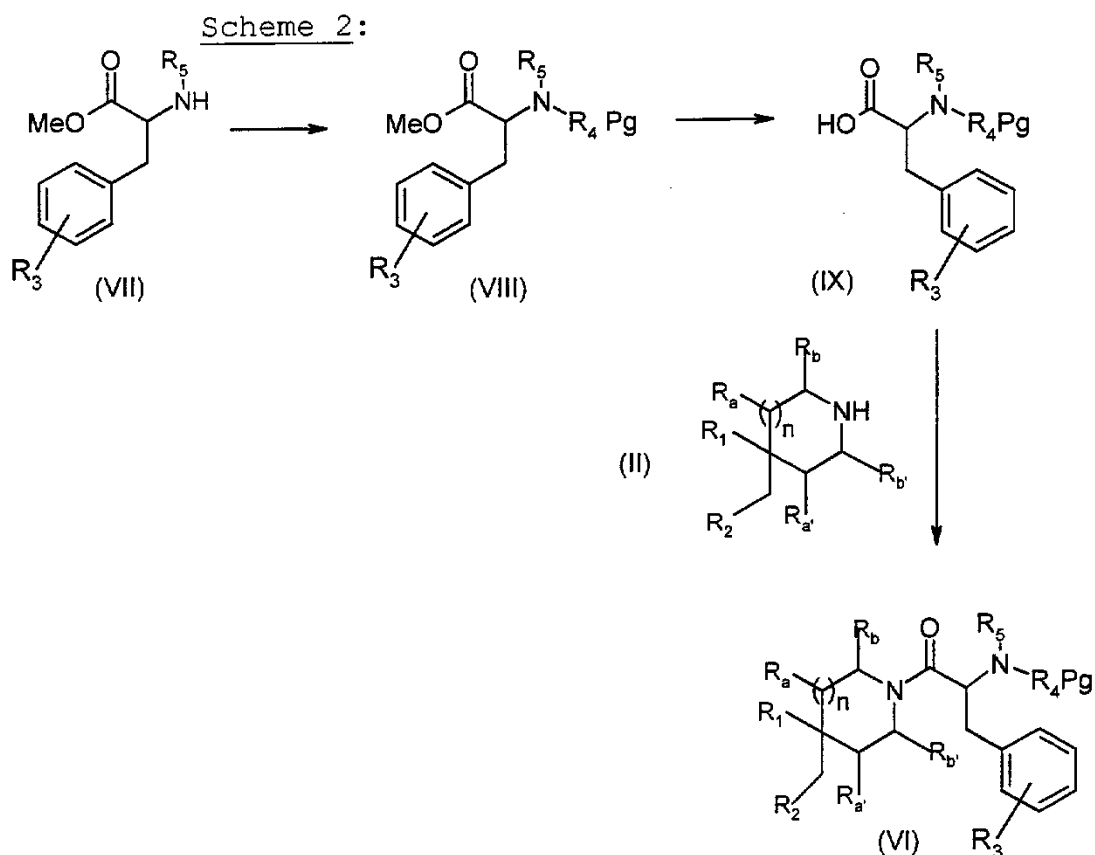
dichloromethane, acetic acid or methanol, at temperatures of between -10°C and 30°C.

The derivatives of the group R₄ of ketone type may be commercial or may be obtained by methods known to those skilled in the art, for example by acylation of the free hydroxyl or amine function of the derivative of ketone type, or by reductive amination of the free amine function of the derivative of ketone type, this ketone function being free or protected with groups such as acetals or in the form of a hydroxyl.

According to a variant of the final step of scheme 1, the compounds of general formula (I) in which R₄ corresponds to formula (a) or (b) can also be prepared by carrying out:

- step (i): a reductive amination, carried out by bringing the compounds of formula V) into contact with a derivative of the group R₄ of ketone type, as described above, said group R₄ carrying an amine- or hydroxyl-protecting Pg group, and then
- step (ii): deprotection of the amine function of the compound of formula (VI) by methods chosen from those known to those skilled in the art, as described above.

Alternatively, the compounds of formula (VI) that give the compounds of formula (I) can be prepared according to the method presented in scheme 2.



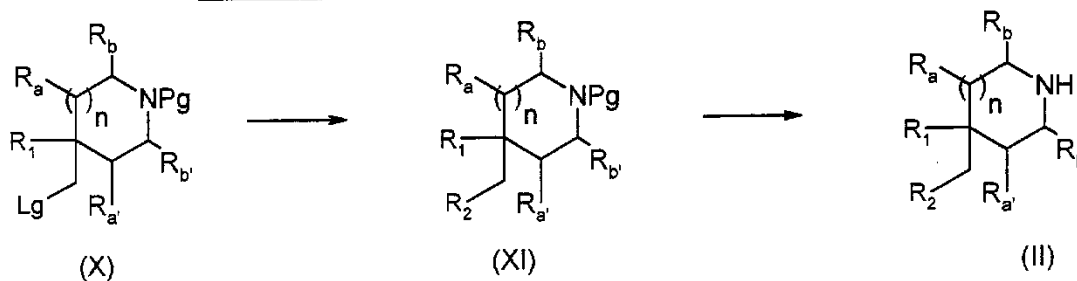
According to scheme 2, the compounds of formula (VIII) can be obtained by reductive amination, as described above, carried out using the amino acids of formula (VII). The amino acid of formula (VII) is commercially available when $R_5 = H$, or it can be prepared by methods described in the literature (Williams, R.M., Synthesis of Optically Active α -Aminoacids, Pergamon Press, Oxford, 1989). When R_5 represents an alkyl group, the amino acids of formula (VII) can be prepared by alkylation of the commercial amino acid protected on the amine function, according to the alkylation methods known to those skilled in the art.

The compounds of formula (IX) can be synthesized by saponification of the esters of formula (VIII), for example in the presence of sodium hydroxide or of lithium hydroxide in a solvent such as methanol, tetrahydrofuran or water, or a mixture of these solvents.

The compounds of formula (VI) can then be prepared by peptide coupling between the intermediates of formula (II) and the amino acid of formula (IX), under conventional peptide coupling conditions, as described in scheme 1.

The compounds of formula (II) can be obtained according to the method presented in scheme 3.

Scheme 3:

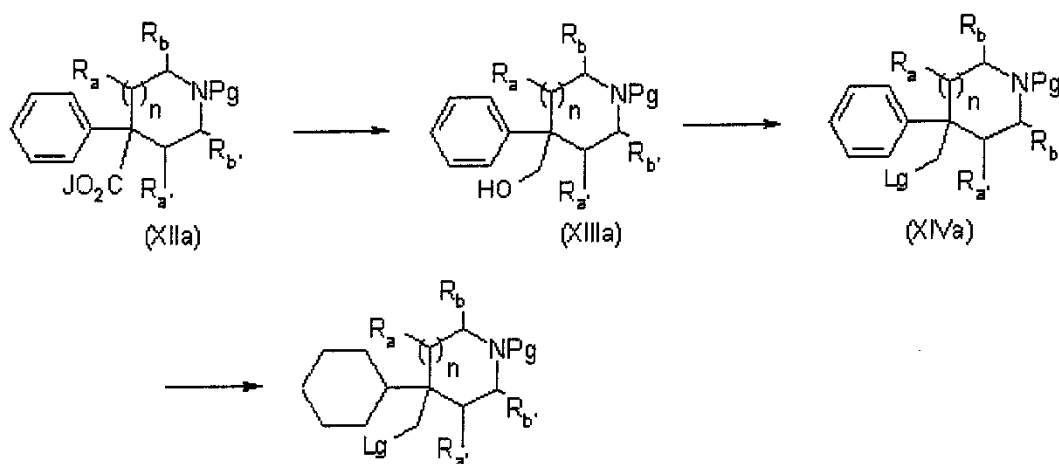


According to scheme 3, the compounds of formula (XI) are synthesized by substitution of the leaving group Lg of the intermediates of formula (X) with the anion of a heteroaryl, in a solvent such as dimethylformamide, at temperatures of between 20 and 200°C. The compounds of formula (II) are then obtained by deprotection of the amine group of the compounds of formula (XI), where Pg is an amine-protecting group as

defined in scheme 1, according to methods chosen from those known to those skilled in the art, as described above in relation to scheme 1.

When R_1 represents a cyclohexyl group, the compounds of formula (X) can be prepared according to scheme 4, adapted from Sehbat et al. (J. Med. Chem. (2002), 45, 4589).

Scheme 4:



(X) R_1 = cyclohexyl

In the compounds of formula (XIIa), J represents a hydrogen atom or an alkyl group. These compounds are commercially available for $R_a = R_{a'} = R_b = R_{b'} = H$ and $n = 1$.

The compounds of formula (XIIIa) are obtained by reduction of the acids or esters of formula (XIIa) using reducing agents such as lithium aluminium hydride or, after formation of a mixed anhydride in the presence of isobutyl chloroformate and of triethylamine in tetrahydrofuran or dioxane, sodium borohydride in

methanol or ethanol at temperatures ranging from -40°C to 10°C.

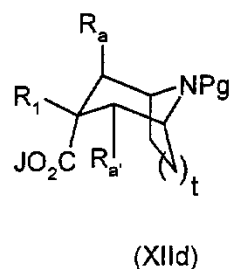
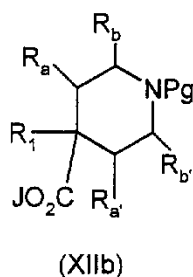
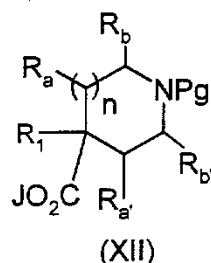
The compounds of formula (XIVa) are prepared by conversion of the hydroxyl group of the compounds of formula (XIIIa) to a leaving group, such as mesylate or tosylate, under conditions known to those skilled in the art, for example by the action of methanesulphonyl chloride or of p-toluenesulphonyl chloride in the presence of an organic base such as triethylamine, at temperatures ranging from -20°C to ambient temperature.

The compounds of formula (X) are then formed by hydrogenation of the phenyl group of the compounds of formula (XIVa) in the presence of a catalyst such as Pd/C or Rh/alumina at pressures ranging from 5 bar to 100 bar and at temperatures ranging from 20°C to 80°C, in a solvent such as methanol, ethanol or acetic acid.

The compounds of formula (XIIa), and more generally the compounds of formula (XII) below, in which J represents a hydrogen atom or an alkyl group, can be prepared according to the following schemes. The compounds of formula (XII) can be subdivided into various formulae (XIIb) and (XIIId):

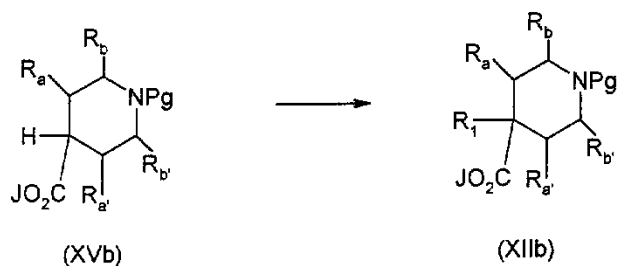
- the compounds of formula (XIIb) correspond to formula (XII) in which $n = 1$ and R_a , $R_{a'}$, R_b and $R_{b'}$, which may be identical to or different from one another, represent a hydrogen atom, or an alkyl or cycloalkyl group;

- the compounds of formula (XIId) correspond to formula (XII) in which $n = 1$, R_a and $R_{a'}$, which may be identical to or different from one another, represent a hydrogen atom, or an alkyl or cycloalkyl group, and R_b and $R_{b'}$ form, together with the carbon atoms of the ring to which they are attached, a carbon bridge comprising 4 or 5 members ($t = 1$ or 2).



The methods for preparing the piperidine-type (XIIf) and tropane-type (XIId) synthesis intermediates are described in the schemes below.

Scheme 5:

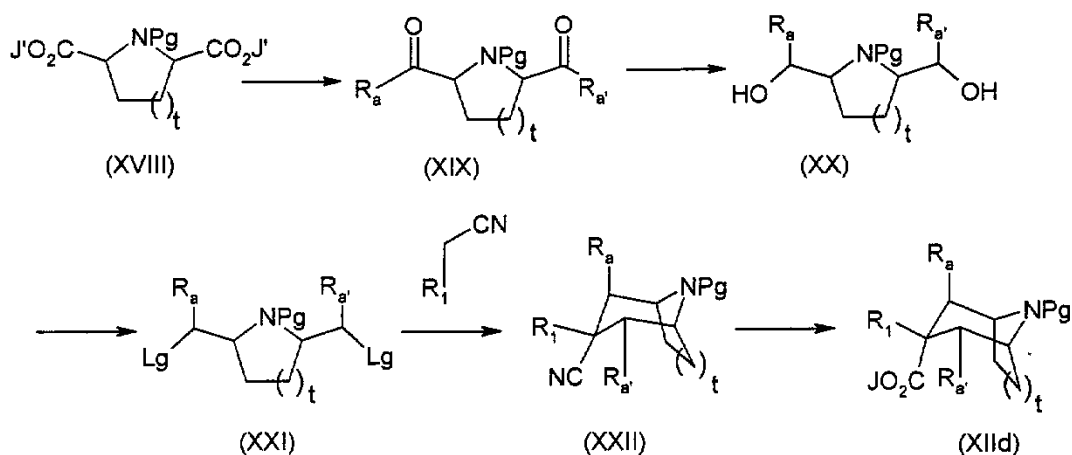


According to scheme 5, the piperidines of formula (XIIf) can be prepared by alkylation of the

compounds of formula (XVb), which are commercially available, with a halogenated derivative of the groups R_1 (where R_1 is an alkyl or cycloalkyl group), itself also commercially available.

- 5 The tropanes of formula (XIId) can be prepared according to the method described in scheme 7, according to the studies of Daum et al., described in J. Med. Chem. (1975), 18, 496.

Scheme 7:



10

- The starting diesters (XVIII), in which J' represents an alkyl group, and which are commercially available, can be reduced to compounds (XIX) by means of a Grignard reaction, and then reduced to compounds (XX), for example by the action of lithium aluminium hydride or of a borane in a solvent such as tetrahydrofuran or diethyl ether at temperatures ranging from -78°C to ambient temperature.

- Alternatively, when $R_a = R_{a'} = H$, it is possible to go from the compounds of formula (XVIII) to

20

the compounds of formula (XX) in which $R_a = R_{a'} = H$, in a single step, by using a reducing agent such as lithium aluminium hydride in a solvent such as tetrahydrofuran or diethyl ether at temperatures
5 ranging from -78°C to ambient temperature.

The hydroxyl groups of the compounds (XX) are then converted to leaving groups Lg, for example by mesylation or tosylation, in particular by means of the action of methanesulphonyl chloride in the presence of
10 triethylamine at temperatures ranging from -20°C to ambient temperature, or using thionyl chloride at temperatures ranging from 20°C to 120°C .

The compounds of formula (XXII) can be prepared by reaction of the nitriles of formula
15 $R_1\text{-CH}_2\text{-CN}$ with the compounds of formulae (XXI), in the presence of a base such as sodium hydride in a solvent such as dimethylformamide, or in the presence of lithium diisopropylamide in a solvent such as tetrahydrofuran or diethyl ether, at temperatures
20 ranging from -78°C to 100°C .

Alternatively, it is possible to use a reactant of formula $(R_1)'\text{-CH}_2\text{-CN}$, in which $(R_1)'$ represents a precursor of the group R_1 ; for example, if R_1 represents a cycloalkyl group on the compound of
25 formula (I), then a preparation intermediate of formula (XXII) may contain a group $(R_1)' = \text{phenyl}$, which may be hydrogenated in a subsequent step to give the desired

group R_1 = cycloalkyl.

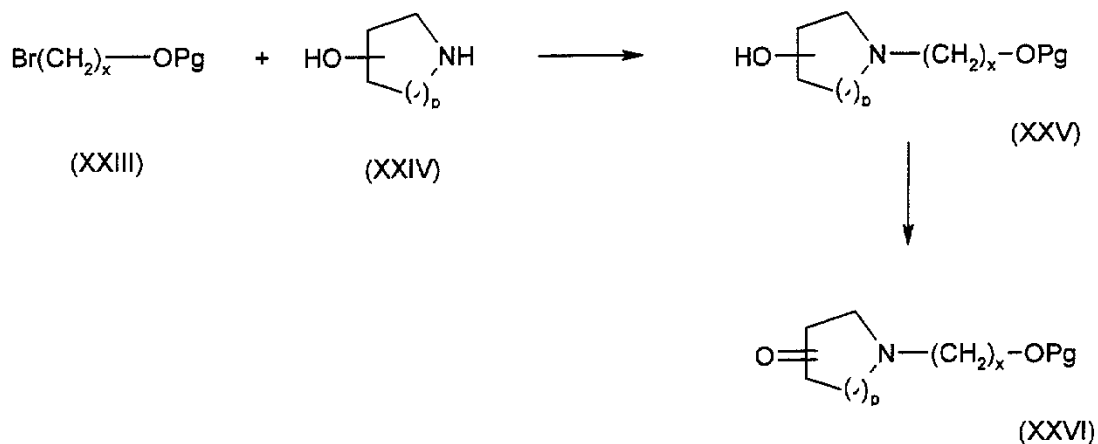
The compounds of formula (XIId) in which J represents a hydrogen atom can then be obtained by acid hydrolysis of the nitrile group of the compounds of formula (XXII) at temperatures ranging from 100°C to 200°C, in solvents such as methanol, ethanol or water. The acids used are, for example, inorganic acids, such as hydrochloric acid or sulphuric acid.

The compounds of formula (XII), for which the methods of preparation were described in schemes 5 and 7 above, are converted to compounds of formula (X), which can be used as starting products in scheme 3, by reduction of the function $-CO_2J$ to an alcohol, and then introduction of a leaving group, as described above in scheme 4.

According to a variant of scheme 1, when the compounds of formula (I) comprise, as group R_4 , a group of formula (a) in which $X = -N(R_{10})-$, where R_{10} is an alkyl group substituted with a hydroxyl (i.e. R_{10} is a group of formula $-(CH_2)_x-OH$, where x is an integer of between 1 and 4), then an intermediate for preparation of said compounds of formula (I) may be a compound of formula (XXVI), obtained according to scheme 8.

Scheme 8:

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According to scheme 8, the compounds of formula (XXIII) can be prepared from bromoalcohols in which the hydroxyl function is protected (Pg) according to methods chosen from those known to those skilled in the art. They comprise, inter alia, the use of dihydropyran under conditions of acid catalysis, in solvents such as dichloromethane.

The compounds of formula (XXV) can be formed by substitution of the bromine of the compounds (XXIII) with the amine function of the compounds of the formula (XXIV), in the presence of an inorganic base such as sodium carbonate in solvents such as dimethylformamide or toluene, at temperatures ranging from 0°C to 100°C.

The compounds of formula (XXVI) can be obtained by oxidation of the hydroxyl function present on the cyclic portion of the compounds of formula (XXV), for example in the presence of oxalyl chloride, of dimethyl sulphoxide and of an organic base such as triethylamine or diisopropylamine or of a chromium

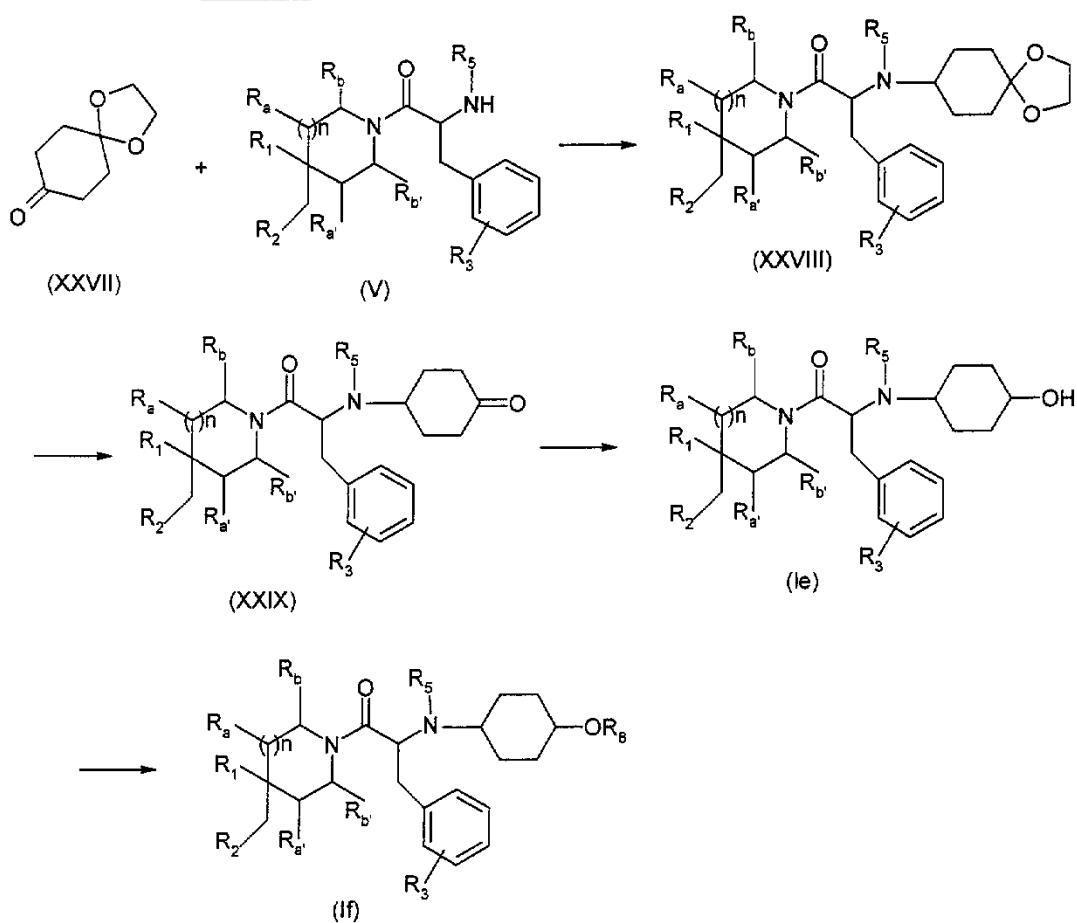
complex, at temperatures ranging from -78°C to 60°C .

The compounds of formula (XXVI) thus obtained can then react with the compounds of formula (V), as described in scheme 1 (reductive amination step).

5 According to another variant of scheme 1, when the compounds of formula (I) comprise, as group R_4 , a group of formula (a) of cyclohexyl type, i.e. a group of formula (a) where $p = 2$ and $X = -\text{C}(\text{R}_6)(\text{R}_7)-$, where R_6 represents a group $-\text{OR}_8$, R_7 and R_8 being as defined

10 above, then the preparation of the compounds of formula (I) can be carried out as described in scheme 9.

Scheme 9:



According to scheme 9, the compounds of formula (XXVIII) can be obtained by reductive amination between the commercial compounds of formula (XXVII) and the compounds of formula (V) under conditions as described in scheme 1.

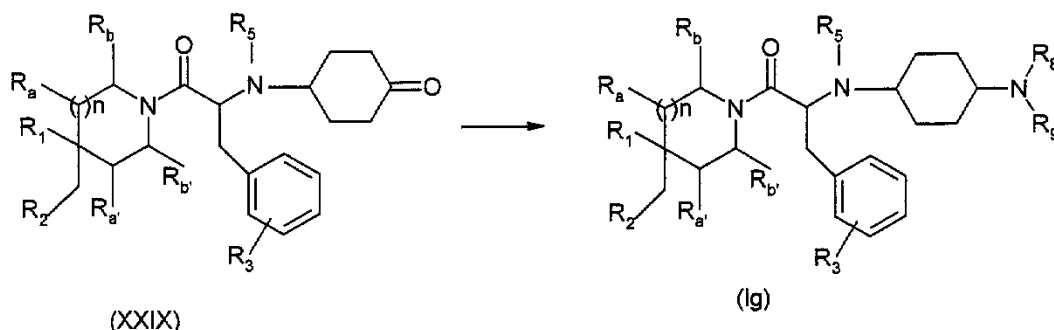
The deprotection of the oxo function of the compound of formula (XXVIII) in the presence of an acid such as hydrochloric acid or pyridinium tosylate in tetrahydrofuran or acetone gives the compound of formula (XXIX).

The compounds of formula (Ie) are prepared by reduction of the compounds of formula (XXIX) under conditions as described in scheme 7.

When R_8 is other than a hydrogen atom, a functionalization of the compounds of formula (Ie) is carried out, for example an alkylation in the presence of a base such as sodium hydride and of a derivative of the group R_8 comprising a leaving group Lg, which results in the compounds of formula (If).

According to another variant of scheme 1, when the compounds of formula (I) comprise, as group R_4 , a group of formula (a) of cyclohexyl type, i.e. a group of formula (a) where $p = 2$ and $X = -C(R_6)(R_7)-$, in which R_6 represents a group $-NR_8R_9$, R_7 , R_8 and R_9 being as defined above, then the preparation of the compounds of formula (I) can be carried out as described in scheme 10.

Scheme 10:



According to scheme 10, the compounds of formula (Ig) can be obtained by reductive amination between the compounds of formula (XXIX) described in scheme 9 and amines of formula R_8R_9NH , under conditions as described in scheme 1.

In schemes 1 to 10, the starting compounds and the reactants, when the method for preparing them is not described, are commercially available or are described in the literature, or else can be prepared according to methods which are described therein or which are known to those skilled in the art.

A subject of the present invention is also the compounds of formulae (VI), (VIII), (IX), (XIId), (XXVIII) and (XXIX), which are useful as synthesis intermediates for the compounds of formula (I).

Other compounds which are useful as synthesis intermediates for the compounds of formula (I), and which are part of the invention, are the compounds of formulae (II), (IV), (V), (X), (XI), (XIIa), (XIIb), (XIIIa) and (XIVa), in which:

. $n = 1$, R_a and R_a' , which may be identical to or different from one another, represent a hydrogen atom, or an alkyl or cycloalkyl group, and R_b and R_b' form, together with the carbon atoms of the ring to which they are attached, a carbon bridge comprising 4 or 5 members ($t = 1$ or 2).

The following examples describe the preparation of certain compounds in accordance with the invention. These examples are not limiting and merely illustrate the present invention. The numbers of the compounds exemplified refer to those given in the table hereinafter, which illustrates the chemical structures and the physical properties of some compounds according to the invention.

Example 1: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine (compound No. 1)

1.1: *tert*-butyl 4-(hydroxymethyl)-4-phenylpiperidine-1-carboxylate

48 g of commercial 1-(*tert*-butoxycarbonyl)-4-phenylpiperidine-4-carboxylic acid are dissolved in 437 ml of anhydrous tetrahydrofuran, under nitrogen. The medium is cooled to -20°C and 24 ml of triethylamine are then added, followed by 21 g of isobutyl chloroformate. After stirring for 1 h, the precipitate formed is filtered off. The filtrate is cooled to -20°C and 17.8 g of sodium borohydride are

added portionwise. The stirring is maintained for 1 h. 125 ml of methanol are then added, followed by a 2N sulphuric acid solution at 0°C. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is then washed with an aqueous 2N sodium hydroxide solution. After drying over Na₂SO₄ and concentrated to dryness. 30.7 g of *tert*-butyl 4-(hydroxymethyl)-4-phenylpiperidine-1-carboxylate.

10 1.2: *tert*-butyl 4-{[(methanesulphonyl)oxy]methyl}-4-phenylpiperidine-1-carboxylate

2.3 g of *tert*-butyl 4-(hydroxymethyl)-4-phenylpiperidine-1-carboxylate are placed in 70 ml of dichloromethane at 0°C. After the addition of 1.68 ml of triethylamine, mesyl chloride is added slowly at 0°C. After stirring for 1 h at ambient temperature, 30 ml of a saturated aqueous ammonium chloride solution are added. Extraction with dichloromethane is carried out until the aqueous phase is completely depleted. The organic phase is washed with H₂O, with an aqueous 1% sodium carbonate solution and then again with H₂O. After drying over MgSO₄ and concentration to dryness, the crude is taken up with ethyl acetate and with *n*-heptane. After precipitation, 2.72 g of *tert*-butyl 4-{[(methanesulphonyl)oxy]methyl}-4-phenylpiperidine-1-carboxylate are obtained.

1.3: *tert*-butyl 4-cyclohexyl-4-{[(methanesulphonyl)oxy]methyl}-4-phenylpiperidine-1-carboxylate

sulphonyl)oxy)methyl)piperidine-1-carboxylate

15 15 g of *tert*-butyl 4-([(methylsulphonyl)-oxy)methyl]-4-phenylpiperidine-1-carboxylate are placed in 406 ml of ethanol, in a reactor, and then 4.18 g of 5% rhodium-on-charcoal are added. The reactor is then stirred at 30°C under a hydrogen pressure of 100 bar for 4 h. After filtration of the catalyst through a Whatman filter and washing with dichloromethane, the filtrate is concentrated and 14.76 g of *tert*-butyl 4-
10 cyclohexyl-4-([(methylsulphonyl)oxy)methyl)piperidine-1-carboxylate are obtained.

1.4: *tert*-butyl 4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidine-1-carboxylate

7.83 g of *tert*-butyl 4-cyclohexyl-4-
15 {[(methylsulphonyl)oxy)methyl]-piperidine-1-carboxylate and 5.68 g of sodium 1,2,4-triazole are introduced into a 100 ml round-bottomed flask under N₂. 42 ml of dimethylformamide are added. After reaction in a microwave at 150°C for 1 h 30 min and with a power of
20 150 W, the product is hydrolysed and extracted with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with H₂O and concentrated to dryness. After crystallization from hexane, 4.42 g of *tert*-butyl 4-cyclohexyl-4-(1*H*-1,2,4-
25 triazol-1-ylmethyl)piperidine-1-carboxylate are obtained.

1.5: 4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-yl-

methyl)piperidine

11.2 g of *tert*-butyl 4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)-piperidine-1-carboxylate are placed in 80 ml of 4*N* hydrochloric acid in dioxane. The
5 reaction medium is stirred for 16 h at ambient temperature. After evaporation to dryness, the precipitate obtained is filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 9.65 g of 4-cyclo-
10 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidine are obtained.

1.6: 9*H*-fluoren-9-ylmethyl {(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}carbamate

15 2.98 g of 4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidine are dissolved in 100 ml of dichloromethane in the presence of 5.06 g of 4-chloro-D-Fmoc-phenylalanine, of 1.62 g of hydroxybenzotriazole, of 2.3 g of 1-(3-dimethylaminopropyl)-3-
20 ethylcarbodiimide hydrochloride and of 2.3 ml of diisopropylethylamine. The mixture is stirred at ambient temperature for 16 h. After evaporation to dryness, the residue is washed with a saturated aqueous ammonium chloride solution and then with H₂O. After
25 drying over Na₂SO₄ and concentration to dryness, the crude obtained is chromatographed, elution being carried out with a gradient of methanol in

dichloromethane ranging from 0% to 3%. 7.8 g of 9H-fluoren-9-ylmethyl {(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}carbamate are obtained.

5 1.7: (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl) piperidin-1-yl]-1-oxo-propan-2-amine

6.98 g of 9H-fluoren-9-ylmethyl {(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}carbamate are
10 dissolved in 82 ml of dichloromethane, and then 10.6 ml of piperidine are added. Stirring is maintained at ambient temperature for 16 h, under N₂. After concentration of the reaction medium, the crude
15 obtained is chromatographed, elution being carried out with a gradient of methanol/aqueous ammonia in dichloromethane ranging from 99/1/0.1 to 90/10/1, to give 4.19 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxo-
20 propan-2-amine.

1.8: *tert*-butyl 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-piperidin-1-yl]-2-oxoethyl}amino)piperidine-1-carboxylate

25 0.20 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine is dissolved in 2.3 ml of

dichloromethane in the presence of 0.93 g of *N*-Boc-piperidone. Stirring is maintained at 0°C for 10 min, and then 0.13 g of sodium triacetoxyborohydride is added under N₂. Stirring is maintained at ambient
5 temperature for 18 h. After hydrolysis, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with a saturated aqueous sodium carbonate solution. After drying with MgSO₄ and concentration to
10 dryness, 0.29 g of *tert*-butyl 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidine-1-carboxylate is obtained, which compound is subsequently used as it is.

15 1.9: *N*-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine hydrochloride

0.29 g of *tert*-butyl 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidine-1-
20 carboxylate is placed in 1.17 ml of 4*N* hydrochloric acid in dioxane. The reaction medium is triturated for 20 min at ambient temperature, and the precipitate obtained is then filter dried and rinsed with diethyl
25 ether. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.26 g of *N*-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-

ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine hydrochloride is obtained in the form of a white solid. Melting point = 255°C; $M+H^+ = 513$, $[\alpha]_D^{20} = -2.0^\circ$ ($c = 1.002$ g/100 ml, MeOH).

5 **Example 2: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine** (compound No. 3)

0.25 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 2.9 ml of dichloromethane in the presence of 0.06 g of cyclohexanone. The reaction medium is cooled to 0°C and then 0.16 g of sodium triacetoxyborohydride is added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with a saturated aqueous sodium carbonate solution. After drying with MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a 9/1 mixture of dichloromethane and methanol. 0.25 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine is obtained in the form of a white solid.

Melting point = 60°C; $M+H^+ = 512$.

Example 3: 2-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]ethanol (compound No. 6)

5 3.1: 2-(2-bromoethoxy)tetrahydro-2H-pyran

3.97 ml of bromoethanol are placed in 44 ml of tetrahydrofuran. The solution is cooled to -10°C under N₂. 5.51 ml of 3,4-dihydro-2H-pyran and 0.20 g of p-toluenesulphonic acid are then added. The reaction
10 medium is stirred for 16 h at -10°C. After dilution in diethyl ether, the organic phase is washed with a saturated aqueous sodium hydrogen carbonate solution and then with H₂O, dried over Na₂SO₄ and concentrated to dryness, to give 11 g of 2-(2-bromoethoxy)tetrahydro-
15 2H-pyran.

3.2: 1-[2-(tetrahydro-2H-pyran-2-yloxy)-ethyl]piperidin-4-ol

2.72 g of 2-(2-bromoethoxy)tetrahydro-2H-pyran, 1.31 g of 4-hydroxypiperidine and 2.33 g of
20 potassium carbonate are dissolved in 130 ml of dimethylformamide under N₂. After stirring for 16 h, 1.31 g of 4-hydroxypiperidine and 2.33 g of potassium carbonate are added. The stirring is continued for 72 h. After aqueous hydrolysis, extraction is carried
25 out with dichloromethane until the aqueous phase is completely depleted. The organic phases are washed with water, dried over Na₂SO₄ and concentrated to dryness.

The crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol/aqueous ammonia in dichloromethane ranging from 0% to 90/10/1, to give 1.25 g of 1-[2-(tetrahydro-
5 2H-pyran-2-yloxy)ethyl]piperidin-4-ol.

3.3: 1-[2-(tetrahydro-2H-pyran-2-yloxy)-ethyl]piperidin-4-one

0.68 ml of oxalyl chloride are placed in 15 ml of dichloromethane and the entire mixture is
10 cooled to -78°C. 0.99 ml of dimethyl sulphoxide diluted in 2 ml of dichloromethane, followed by 0.97 g of 1-[2-(tetrahydro-2H-pyran-2-yloxy)ethyl]piperidin-4-ol diluted in 5 ml of dichloromethane, are then added slowly. The reaction medium is stirred for 30 min.
15 2.49 ml of triethylamine are then added slowly, still at -78°C. The stirring is continued at ambient temperature for 4 h. After hydrolysis, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phases are
20 washed with a 20% aqueous sodium hydrogen carbonate solution, dried over Na₂SO₄ and concentrated to dryness. 0.89 g of 1-[2-(tetrahydro-2H-pyran-2-yloxy)ethyl]-piperidin-4-one is obtained.

3.4: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
25 hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[2-(tetrahydro-2H-pyran-2-yloxy)ethyl]-piperidin-4-amine

0.30 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 3.5 ml of dichloromethane in the presence of 0.16 g of 1-[2-(tetrahydro-2H-pyran-2-yloxy)ethyl]piperidin-4-one and the mixture is left to stir at 0°C for 30 min, and then 0.19 g of sodium triacetoxyborohydride is added under N₂ for 10 min at 0°C, and the stirring is then maintained at ambient temperature for 18 h. After hydrolysis with a saturated aqueous potassium carbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. After drying with MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 25% to 75%. 0.44 g of N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[2-(tetrahydro-2H-pyran-2-yloxy)ethyl]-piperidin-4-amine is obtained.

3.5: 2-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]ethanol

0.32 g of N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[2-(tetrahydro-2H-pyran-2-yloxy)ethyl]piperidin-4-amine is placed in 1.05 ml of 4N

hydrochloric acid in dioxane. The reaction medium is stirred at ambient temperature for 18 h. The precipitate obtained is filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.21 g of 2-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]ethanol hydrochloride is obtained in the form of a white solid.

Melting point = 257°C; M+H⁺ = 557, $[\alpha]_D^{20} = -2.4^\circ$ (c = 0.9905 g/100 ml, MeOH).

Example 4: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)tetrahydro-2H-pyran-4-amine (compound No. 2)

0.25 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 2.9 ml of dichloromethane in the presence of 0.06 g of tetrahydro-4H-pyran-4-one. The reaction medium is cooled to 0°C and 0.16 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with an aqueous sodium bicarbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is dried with MgSO₄ and concentrated to dryness. The crude

obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane and methanol (9/1). 0.256 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)-piperidin-1-yl]-2-oxoethyl}tetrahydro-2*H*-pyran-4-amine
5 is obtained in the form of a white solid.

Melting point = 75°C; $M+H^+ = 514$, $[\alpha]_D^{20} = -1.7^\circ$ ($c = 0.994$ g/100 ml, MeOH).

1H NMR (200 MHz, $CDCl_3$): 7.96 (s, 1H), 7.90
10 (s, 1H), 7.25 (d, $J = 8$ Hz, 2H), 7.14 (d, $J = 8$ Hz, 2H), 4.18-2.10 (m, 14H), 2.05-0.82 (m, 19H). Elemental analysis: exp %C = 64.14, %H: 7.69, %N: 13.22; th: %64.43, %H: 7.89, %N: 13.42

Example 5: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl}piperidin-4-amine
15 **hydrochloride** (compound No. 21)

5.1: (2*R*, 5*S*)-1-benzylpyrrolidine-2,5-dimethanol
20 64.84 ml of lithium aluminium hydride (1*N* in diethyl ether) are placed at 0°C under N_2 . 6.6 g of diethyl (2*R*, 5*S*)-1-benzylpyrrolidine-2,5-dicarboxylate in 21 ml of diethyl ether are then added. When the addition is complete, the reaction medium is stirred at
25 reflux for 1 h. After cooling to 0°C, 6.5 ml of water are added and the stirring is maintained at ambient temperature for 1 h. The solution is filtered and the

precipitate formed is rinsed several times with diethyl ether. The filtrate is then dried over Na_2SO_4 and concentrated to dryness, to give 4.5 g of (2R, 5S)-1-benzylpyrrolidine-2,5-dimethanol.

5 5.2: (2R, 5S)-bis(chloromethyl)-1-benzylpyrrolidine

4.5 g of (2R, 5S)-1-benzylpyrrolidine-2,5-dimethanol are dissolved in 68 ml of toluene, and then 3.7 ml of thionyl chloride are added at 0°C . After
10 heating at 70°C for 2 h, the mixture is evaporated to dryness. The solid obtained is triturated in toluene, filter-dried, and dried over P_2O_5 . 5.3 g of (2R, 5S)-bis(chloromethyl)-1-benzylpyrrolidine are obtained.

15 5.3: 8-benzyl-3-phenyl-8-azabicyclo-[3.2.1]octane-3-carbonitrile

10 g of (2R, 5S)-bis(chloromethyl)-1-benzylpyrrolidine are dissolved in 171 ml of dimethylformamide and 5.2 ml of phenylacetonitrile are added. 4.07 g of sodium hydride are then added portionwise and
20 the reaction medium is stirred for 3 h at ambient temperature and then for 1 h at 100°C . After cooling, the reaction medium is poured onto ice. After the addition of water, extraction is then carried out with ethyl acetate until the aqueous phase is completely
25 depleted. The organic phases are washed with water and with a saturated aqueous sodium chloride solution, and then dried over MgSO_4 and concentrated to dryness. The

crude obtained is chromatographed on silica gel,
elution being carried out with a gradient of methanol
in dichloromethane ranging from 0% to 2.5%, to give
7.75 g of 8-benzyl-3-phenyl-8-azabicyclo[3.2.1]octane-
5 3-carbonitrile.

5.4: 8-benzyl-3-phenyl-8-azabicyclo[3.2.1]-
octane-3-carboxylic acid

8.9 ml of sulphuric acid and 4.15 ml of water
are added to 7.75 g of 8-benzyl-3-phenyl-8-azabicyclo-
10 [3.2.1]octane-3-carbonitrile. The mixture is heated at
170°C for 1 h and then at 130°C. 6 ml of ethanol are
then added and the stirring is maintained for 3 h at
the same temperature. After cooling, the reaction
medium is poured onto ice, and basified with 2N aqueous
15 sodium hydroxide. Extraction is then carried out with
ethyl acetate until the aqueous phase is completely
depleted. The organic phases are washed with water,
with a saturated aqueous sodium hydrogen carbonate
solution, with water, and with a saturated aqueous
20 sodium chloride solution, and then dried over MgSO₄ and
concentrated to dryness. The aqueous phases are then
treated with 100 g of Dowex® 50X2 resin. The resin is
then filter-dried and washed with water, tetrahydro-
furan, and then methanol. The compound is then released
25 with a 2N solution of aqueous ammonia in methanol.
After concentration, 1.5 g of the endo compound
8-benzyl-3-phenyl-8-azabicyclo[3.2.1]octane-3-

carboxylic acid are obtained, in the form of an aqueous ammonia salt. The remainder of the synthesis concerns the endo compound.

5.5: 3-phenyl-8-azabicyclo[3.2.1]octane-3-carboxylic acid

1 g of 8-benzyl-3-phenyl-8-azabicyclo[3.2.1]octane-3-carboxylic acid is dissolved in 19.5 ml of methanol and 1.86 g of ammonium formate and 0.5 g of 10% Pd/C (50% in H₂O) are added. The mixture is refluxed for 2 h. After filtration, the product is concentrated to dryness. 0.68 g of 3-phenyl-8-azabicyclo[3.2.1]octane-3-carboxylic acid is obtained, and is used as it is in the subsequent synthesis.

5.6: 8-(tert-butoxycarbonyl)-3-phenyl-8-azabicyclo[3.2.1]octane-3-carboxylic acid

0.68 g of 3-phenyl-8-azabicyclo[3.2.1]octane-3-carboxylic acid is dissolved in a mixture of 15 ml of tetrahydrofuran and 8.85 ml of 1N aqueous sodium hydroxide. After stirring for 15 min, 0.95 g of di-tert-butyl dicarbonate is added. The stirring is maintained for 18 h. The reaction medium is then cooled to 0°C and potassium sulphate is added up to an acid pH, followed by H₂O. Extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phases are washed with water and then with a saturated aqueous sodium chloride solution, and then dried over MgSO₄ and concentrated to dryness.

The crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 10%, to give 0.32 g of 8-(*tert*-butoxycarbonyl)-3-phenyl-8-aza-
5 bicyclo[3.2.1]octane-3-carboxylic acid.

5.7: *tert*-butyl 3-(hydroxymethyl)-3-phenyl-8-azabicyclo[3.2.1]octane-8-carboxylate

0.27 g of 8-(*tert*-butoxycarbonyl)-3-phenyl-8-azabicyclo[3.2.1]octane-3-carboxylic acid is placed in
10 4 ml of tetrahydrofuran at 0°C, under N₂, and 1.63 ml of 1N borane BH₃-THF are added. Stirring is maintained at ambient temperature for 72 h. 0.8 ml of 1N borane is then added and the mixture is stirred for 5 h. After the addition of methanol, the mixture is concentrated
15 to dryness. A mixture of ice, H₂O and a 1N aqueous hydrochloric acid solution is then added. Extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phases are washed with water and then with a saturated aqueous sodium
20 chloride solution, and then dried over MgSO₄ and concentrated to dryness. The crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 3%, to give 0.11 g of *tert*-butyl
25 3-(hydroxymethyl)-3-phenyl-8-azabicyclo[3.2.1]octane-8-carboxylate.

5.8: *tert*-butyl 3-([(methylsulphonyl)oxy]-

methyl}-3-phenyl-8-azabicyclo[3.2.1]octane-8-carboxylate

0.44 g of *tert*-butyl 3-(hydroxymethyl)-3-phenyl-8-azabicyclo[3.2.1]octane-8-carboxylate are placed in 2 ml of dichloromethane at 0°C under N₂. 0.05 ml of mesyl chloride and 0.10 ml of triethylamine are then added. Stirring at ambient temperature is maintained for 3 h. 0.025 ml of mesyl chloride and 0.05 ml of triethylamine are then added. After stirring for 2 h, ice and a saturated aqueous sodium hydrogen carbonate solution are added. Extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phases are washed with water and then with a saturated aqueous sodium chloride solution, and then dried over MgSO₄ and concentrated to dryness. 0.17 g of *tert*-butyl 3-
{[(methylsulphonyl)oxy]methyl}-3-phenyl-8-azabicyclo[3.2.1]octane-8-carboxylate is obtained, which product is used as it is in the subsequent synthesis.

5.9: *tert*-butyl 3-cyclohexyl-3-[(methylsulphonyl)oxy]methyl}-8-azabicyclo[3.2.1] octane-8-carboxylate

0.17 g de *tert*-butyl 3-[(methylsulphonyl)-oxy]methyl}-3-phenyl-8-azabicyclo[3.2.1]octane-8-carboxylate is placed in a high pressure reactor, it is dissolved in ethanol and 0.22 g of 5% Rh/C is added.

The reactor is then stirred under a hydrogen pressure of 110 bar for 6 h. The reaction medium is filtered and concentrated to dryness. 0.14 g of *tert*-butyl 3-cyclohexyl-3-([(methylsulphonyl) oxy]methyl)-8-azabicyclo[3.2.1]octane-8-carboxylate is obtained, which product is used as it is in the subsequent synthesis.

5.10: *tert*-butyl 3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1] octane-8-carboxylate

0.09 g de *tert*-butyl 3-cyclohexyl-3-([(methylsulphonyl)oxy]methyl)-8-azabicyclo[3.2.1]-octane-8-carboxylate is placed in 0.6 ml of HMPA in the presence of 0.063 g of sodium 1,2,4-triazole. After reaction in a microwave at 140°C for 20 min and with a power of 30W, the product is hydrolysed and extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated sodium chloride solution, and concentrated to dryness. The crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 3%. 0.017 g of *tert*-butyl 3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]octane-8-carboxylate is obtained.

5.11: 3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]octane

0.05 g of *tert*-butyl 3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]octane-8-carboxylate is placed in 0.6 ml of 4N hydrochloric acid in dioxane. The reaction medium is stirred at ambient
5 temperature for 5 h. After evaporation to dryness, 0.05 g of 3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]octane is obtained, which product is subsequently used as it is.

5.12: Methyl *N*-[1-(*tert*-butoxycarbonyl)-
10 piperidin-4-yl]-4-chloro-*D*-phenylalaninate

10 g of *p*-*D*-chlorophenylalanine methyl ester are dissolved in 248 ml of dichloromethane in the presence of 8.8 g of *N*-Boc-piperidone and of 14.4 g of sodium triacetoxymethylborohydride under N₂. Stirring is
15 maintained at ambient temperature for 18 h. After the addition of methanol and evaporation to dryness, the crude is taken up with a saturated aqueous sodium hydrogen carbonate solution, and extraction is carried out with ethyl acetate until the aqueous phase is
20 completely depleted. After drying over MgSO₄ and concentration to dryness, 15.87 g of methyl *N*-[1-(*tert*-butoxycarbonyl)piperidin-4-yl]-4-chloro-*D*-phenylalaninate are obtained.

5.13: *N*-[1-(*tert*-butoxycarbonyl)piperidin-4-
25 yl]-4-chloro-*D*-phenylalanine

15.8 g of methyl *N*-[1-(*tert*-butoxycarbonyl)-piperidin-4-yl]-4-chloro-*D*-phenylalaninate are

dissolved in 200 ml of a tetrahydrofuran/water (1/1) mixture and 3.35 g of lithium hydroxide hydrate are added. Stirring is maintained at ambient temperature for 16 h. Potassium sulphate is added up to a pH of 7.

- 5 The precipitate obtained is filter-dried and rinsed with diethyl ether. After drying over P_2O_5 , 11.38 g of N-[1-(tert-butoxycarbonyl)piperidin-4-yl]-4-chloro-D-phenylalanine are obtained.

5.14: tert-butyl 4-((1R)-1-(4-chlorobenzyl)-
10 2-[3-cyclohexyl-3-(1H-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl)amino)piperidine-1-carboxylate

- 0.05 g of 3-cyclohexyl-3-(1H-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]octane, obtained in step
15 5.11, is dissolved in 2.4 ml of dichloromethane in the presence of 0.083 g of N-[1-(tert-butoxycarbonyl)-piperidin-4-yl]-4-chloro-D-phenylalanine obtained in step 5.13, of 0.029 g of hydroxybenzotriazole, of 0.041 g of 1-(3-dimethylaminopropyl)-3-ethylcarbodi-
20 imide hydrochloride and of 0.09 ml of diisopropylethylamine. The mixture is stirred at ambient temperature for 16 h. After evaporation to dryness, the residue is taken up with a 1N aqueous solution of sodium hydroxide and ethyl acetate. Extraction is
25 carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with H_2O and then a saturated aqueous sodium chloride

solution. After drying over MgSO_4 and concentration to dryness, the crude obtained is chromatographed, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 10%. 0.066 g of
5 tert-butyl 4-((1R)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1H-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl)amino)piperidine-1-carboxylate is obtained.

5.15: N-((1R)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1H-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl)piperidin-4-amine
10

0.066 g of tert-butyl 4-((1R)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1H-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl)amino)-
15 piperidine-1-carboxylate is placed in 0.4 ml of 4N hydrochloric acid in dioxane. The reaction medium is stirred at ambient temperature for 4 h. After evaporation to dryness, the residue is taken up with a 1N aqueous sodium hydroxide solution and with ethyl
20 acetate. Extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with H_2O and then a saturated aqueous sodium chloride solution. After drying over MgSO_4 and concentration to dryness, the crude obtained
25 is chromatographed, elution being carried out with a 97.5/2.5 mixture of dichloromethane and methanol, and then with a 9/1/0.1 mixture of dichloromethane/

methanol/aqueous ammonia. 0.020 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl}-piperidin-4-amine is obtained.

5 5.16: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl}piperidin-4-amine hydrochloride

0.02 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl}piperidin-4-amine is placed in 0.4 ml of dichloromethane and 0.74 ml of 0.1*N* hydrochloric acid in isopropanol is added. After concentration to dryness, the residue is taken up with
10 H₂O and the solution is lyophilized. 0.024 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl}-piperidin-4-amine hydrochloride is obtained.

Melting point > 270°C; *M*+*H*⁺ = 540

20 **Example 6: 1-benzoyl-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine hydrochloride** (compound No. 28)

6.1: 1-benzoyl-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine
25 0.19 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 2.3 ml of dichloromethane in the presence of 0.12 g of 1-benzoylpiperidin-4-one. 0.22 g of sodium triacetoxymethylborohydride is added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a saturated aqueous sodium hydrogen carbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with water and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane of 0% to 10%. 0.17 g of 1-benzoyl-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-piperidin-4-amine is obtained.

6.2: 1-benzoyl-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine hydrochloride

0.19 g of 1-benzoyl-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine is placed in 2 ml of methanol and 2.7 ml of 0.1*N* hydrochloric acid in isopropanol are added. After evaporation to dryness, the reaction medium is

trituated and the precipitate obtained is then filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P_2O_5 under reduced pressure. 0.17 g of 1-benzoyl-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine hydrochloride is obtained in the form of a white solid.

Melting point $> 200^{\circ}C$; $M+H^+ = 617$, $[\alpha]_D^{20} = +3.9$ (0.331 g/100 ml, MeOH).

Example 7: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(1,3-dihydro-2H-isoindol-2-yl)cyclohexanamine (compound No. 56)

7.1: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1,4-dioxaspiro[4.5]decan-8-amine

0.65 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 15 ml of dichloromethane in the presence of 0.3 g of 1,4-cyclohexanedione monoethylene acetal. 0.63 g of sodium triacetoxyborohydride is added under N_2 . Stirring is maintained at ambient temperature for 48 h. After hydrolysis with a saturated aqueous sodium hydrogen carbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with water and

then with a saturated aqueous sodium chloride solution. After drying with MgSO_4 and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a 95/5 mixture of
5 dichloromethane and methanol. 0.85 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1,4-dioxaspiro-[4.5]decan-8-amine is obtained.

7.2: 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
10 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanone

0.86 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1,4-dioxaspiro[4.5]decan-8-amine is
15 dissolved in 25 ml of 6*N* aqueous hydrochloric acid and the solution is heated at 60°C for 18 h. 3 ml of 12*N* aqueous hydrochloric acid are then added and the mixture is heated at 60°C for 24 h. After cooling of the reaction medium, 150 ml of dichloromethane and
20 50 ml of H_2O are added. Potassium carbonate is then added slowly up to a pH of 10. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. After drying with MgSO_4 and concentration to dryness, 0.88 g of 4-((1*R*)-1-(4-
25 chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanone is obtained, which product is subsequently used as it

is.

7.3: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(1,3-dihydro-2*H*-isoindol-2-yl)cyclo-

5 hexanamine

0.35 g of 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanone is dissolved in 6.7 ml of dichloromethane in the presence of 0.09 g of
10 isoindoline. 0.28 g of sodium triacetoxyborohydride is added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a 1*N* aqueous sodium hydroxide solution, extraction is carried out with dichloromethane until the aqueous
15 phase is completely depleted. After drying with MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a 9/1 mixture of dichloromethane and methanol. 0.2 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
20 ethyl}-4-(1,3-dihydro-2*H*-isoindol-2-yl)cyclohexanamine is obtained.

7.4: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(1,3-dihydro-2*H*-isoindol-2-yl)cyclo-
25 hexanamine hydrochloride

0.2 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-

cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(1,3-dihydro-2*H*-isoindol-2-yl)cyclohexanamine is placed in 5 ml of methanol and 3.18 ml of 0.1N hydrochloric acid in isopropanol are added. After
5 evaporation to dryness, the reaction medium is triturated in diethyl ether and the precipitate obtained is then filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.15 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(1,3-dihydro-2*H*-isoindol-2-yl)cyclohexanamine hydrochloride is obtained
10 in the form of a white solid. Melting point = 215°C; $M+H^+ = 629$

15 **Example 8: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine hydrochloride** (compound No. 15)

8.1: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine
20

0.30 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved
25 in 3.2 ml of dichloromethane in the presence of 0.11 g of tropinone. 0.30 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient

temperature for 18 h. After hydrolysis with a 0.5N aqueous sodium hydroxide solution, extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed
5 with a saturated aqueous sodium chloride solution. After drying with MgSO_4 and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/methanol/aqueous ammonia ranging from
10 95/5/0 to 90/10/0.1. 0.145 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine is obtained.

8.2: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine
15 hydrochloride

0.145 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine is placed in 2 ml of dichloromethane and 5.2 ml of 0.1N hydrochloric acid in isopropanol are added. After concentration to dryness, the reaction medium is taken up with ethyl acetate and triturated. The
25 precipitate obtained is then filter-dried and rinsed with ethyl acetate. The hydrochloride thus obtained is dried over P_2O_5 under reduced pressure. 0.095 g of *N*-

{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine hydrochloride is obtained.

5 Melting point = 262°C; $M+H^+$ = 553.

Example 9: N-benzyl-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-N-methylcyclohexanecarboxamide hydrochloride (compound No. 78)

10 9.1: N-benzyl-4-hydroxy-N-methylcyclohexanecarboxamide

2.0 g of 4-hydroxycyclohexane carboxylic acid are dissolved in 69 ml of dichloromethane in the presence of 3.58 ml of N-methylbenzylamine, of 3.74 g
15 of hydroxybenzotriazole, of 5.32 g of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and of 4.94 ml of diisopropylethylamine. The mixture is stirred at ambient temperature for 16 h. After hydrolysis, 14 ml of a 1N aqueous hydrochloric acid
20 solution are added. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the
25 crude obtained is chromatographed, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 10%. 3.57 g of

N-benzyl-4-hydroxy-*N*-methylcyclohexanecarboxamide are obtained (mixture of *cis* and *trans* stereoisomers).

9.2: *N*-benzyl-*N*-methyl-4-oxocyclohexanecarboxamide

5 3.57 g of *N*-benzyl-4-hydroxy-*N*-methylcyclohexanecarboxamide are dissolved in 50 ml of dimethyl sulphoxide in the presence of 12.07 ml of triethylamine. The sulphur trioxide-pyridine complex dissolved in 25 ml of dimethyl sulphoxide is then added
10 dropwise, such that the temperature of the reaction medium does not exceed 25°C. After stirring at ambient temperature for 2 h, the medium is hydrolysed. After extraction with dichloromethane until the aqueous phase is completely depleted, the organic phase is washed
15 twice with a 1N aqueous hydrochloric acid solution and then with H₂O. After drying over MgSO₄ and concentration to dryness, 3.07 g of *N*-benzyl-*N*-methyl-4-oxocyclohexanecarboxamide are obtained, which product is subsequently used as it is.

20 9.3: *N*-benzyl-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-methylcyclohexanecarboxamide

 0.30 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved
25 in 7 ml of dichloromethane in the presence of 0.26 g of *N*-benzyl-*N*-methyl-4-oxocyclohexanecarboxamide obtained

in step 9.2. 0.30 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a saturated aqueous sodium carbonate solution, extraction is
5 carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is
10 chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/acetone/methanol ranging from 100/0/0 to 75/25/5. 0.36 g and 0.090 g of *N*-benzyl-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
15 ethyl)amino)-*N*-methylcyclohexanecarboxamide, (*R*, *cis*) and (*R*, *trans*) stereoisomers of undetermined configuration, are obtained.

9.4: *N*-benzyl-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-
20 1-yl]-2-oxoethyl)amino)-*N*-methylcyclohexanecarboxamide hydrochloride

0.36 g of *N*-benzyl-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N*-methylcyclo-
25 hexanecarboxamide, (*R*, *cis*) or (*R*, *trans*) pure stereoisomer, is placed in 2 ml of dichloromethane and 5.2 ml of 0.1N hydrochloric acid in isopropanol are added.

After concentration to dryness, the reaction medium is taken up with ethyl acetate and triturated. The precipitate obtained is then filter-dried and rinsed with ethyl acetate. The hydrochloride thus obtained is
5 dried over P_2O_5 under reduced pressure. 0.095 g of *N*-benzyl-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)amino)-*N*-methylcyclohexanecarboxamide hydrochloride, (*R*, *cis*) or (*R*, *trans*) pure
10 stereoisomer, is obtained.

Melting point = 160°C; $M+H^+$ = 663; $[\alpha]_D^{20}$ = +7.1 (0.3525 g/100 ml, DMSO).

Example 10: *N*-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclo-
15 hexanamine hydrochloride (compound No. 79)

10.1: 4-oxocyclohexanecarboxylic acid

8.5 g of 4-oxocyclohexane ethyl carboxylate are dissolved in 68 ml of methanol and 45 ml of H_2O .
20 3.56 g of lithium hydroxide hydrate are added at 0°C. After stirring at ambient temperature for 4 h, the reaction medium is acidified to a pH of 2 with a 3N aqueous hydrochloric acid solution. The methanol is evaporated off and extraction is carried out with ethyl
25 acetate until the aqueous phase is completely depleted. After drying over $MgSO_4$ and concentration to dryness 6.7 g of 4-oxocyclohexanecarboxylic acid are obtained.

10.2: *N*-{[(4-oxocyclohexyl)carbonyl]oxy}-ethanimidamide

2.0 g of 4-oxocyclohexanecarboxylic acid are dissolved in 70 ml of dichloromethane in the presence
5 of 1.15 g of *N*-hydroxyethanimidamide, 1.90 g of hydroxybenzotriazole, and 1.95 g of diisopropylcarbodiimide. The mixture is stirred at ambient temperature for 16 h. After hydrolysis, a 1N aqueous sodium hydroxide solution is added up to a pH of 12.
10 Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. After drying over MgSO_4 and concentration to dryness, the crude obtained is taken up in 10 ml of ethyl acetate. The diisopropyl urea is filtered off and the filtrate is
15 concentrated. 1.38 g of *N*-{[(4-oxocyclohexyl)carbonyl]oxy}ethanimidamide are obtained.

10.3: 4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanone

1.38 g de *N*-{[(4-oxocyclohexyl)carbonyl]oxy}-ethanimidamide are dissolved in 58 ml of ethanol and
20 22 ml of H_2O . 1.37 g of sodium acetate are added. The reaction medium is heated at 90°C for 18 h. After cooling to ambient temperature, the ethanol is evaporated off. Extraction is carried out with
25 dichloromethane until the aqueous phase is completely depleted. After drying over MgSO_4 and concentration to dryness, the crude obtained is chromatographed on

silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 2%. 0.5 g of 4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanone is obtained.

5 10.4: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine

0.30 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 7 ml of dichloromethane in the presence of 0.19 g of 4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanone obtained in step 10.3. 0.30 g of sodium triacetoxyborohydride is
10 then added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a saturated aqueous sodium hydrogen carbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is
15 washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/acetone/methanol
20 ranging from 100/0/0 to 70/25/5. 0.26 g and 0.11 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(3-

methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine, (R, cis) and (R, trans) stereoisomers of undetermined configuration, are obtained.

10.5: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine hydrochloride

0.26 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine, (R, cis) or (R, trans) pure stereoisomer, is placed in 2 ml of methanol and 4.32 ml of 0.1*N* hydrochloric acid in isopropanol are added. After concentration to dryness, the reaction medium is taken up with diethyl ether and triturated. The precipitate obtained is then filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.27 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine hydrochloride, (R, cis) or (R, trans) pure stereoisomer, is obtained.

Melting point > 200°C; $M+H^+ = 598$; $[\alpha]_D^{20} = +10.4$ (0.5345 g/100 ml, DMSO).

Example 11: 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

**yl]-2-oxoethyl}amino)-1-(4-fluorophenyl)cyclohexanol
hydrochloride** (compound No. 82)

11.1: 4-(4-fluorophenyl)-4-hydroxycyclo-
hexanone

5 10.7 ml of 2.5N n-butyllithium are placed in
5 ml of anhydrous diethyl ether at -35°C. 2.94 ml of
4-bromofluorobenzene are then added, such that the
temperature does not exceed -30°C. After stirring at
-10°C for 10 min, this suspension is slowly added to
10 3.0 g of 1,4-cyclohexanedione in 60 ml of
tetrahydrofuran placed at -78°C. Stirring of the medium
is maintained at -78°C for 1 h. After hydrolysis with a
saturated aqueous ammonium chloride solution, the
aqueous phase is extracted with ethyl acetate until
15 said phase is completely depleted. The organic phase is
washed with a saturated aqueous sodium chloride
solution. After drying over MgSO₄ and concentration to
dryness, the crude obtained is chromatographed on
silica gel, elution being carried out with a mixture of
20 cyclohexane/ethyl acetate ranging from 8/2 to 6/4.
0.77 g of 4-(4-fluorophenyl)-4-hydroxycyclohexanone is
obtained.

11.2: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
25 oxoethyl}amino)-1-(4-fluorophenyl)cyclohexanol

0.20 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-

oxopropan-2-amine, obtained in step 1.7, is dissolved in 4,7 ml of dichloromethane in the presence of 0.145 g of 4-(4-fluorophenyl)-4-hydroxycyclohexanone obtained in step 11.1. 0.25 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a saturated aqueous sodium hydrogen carbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/acetone/methanol ranging from 100/0/0 to 70/25/5. 0.10 g and 0.15 g of 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-amino)-1-(4-fluorophenyl)cyclohexanol, (R, cis) and (R, trans) stereoisomers of undetermined configuration, are obtained.

11.3: 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)cyclohexanol hydrochloride

0.10 g of 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)cyclohexanol, (R, cis) or (R, trans) pure stereoisomer, is placed in

2 ml of methanol and 4.32 ml of 0.1N hydrochloric acid in isopropanol are added. After concentration to dryness, the reaction medium is taken up with diethyl ether and triturated. The precipitate obtained is then
5 filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P_2O_5 under reduced pressure. 0.1 g of 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)-
10 cyclohexanol, (R, cis) or (R, trans) pure stereoisomer, is obtained.

Melting point = 150°C; $M+H^+$ = 625

Example 12: 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-phenylpiperidine-1-carboxamide
15 **hydrochloride** (compound No. 90)

12.1: 4-oxo-N-phenylpiperidine-1-carboxamide
0.91 ml of phenylisocyanate is placed in 42 ml of dichloromethane. 1.36 g of piperidin-4-one and
20 2.32 g of potassium carbonate are then added. After stirring at ambient temperature for 18 h, the reaction medium is hydrolysed and extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with a 1N aqueous
25 hydrochloric acid solution. After drying over $MgSO_4$ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried

out with a gradient of methanol in dichloromethane ranging from 0% to 1%. 1.85 g of 4-oxo-*N*-phenylpiperidine-1-carboxamide are obtained in the form of a white solid.

5 12.2: 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N*-phenylpiperidine-1-carboxamide

0.25 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved
10 in 2.9 ml of dichloromethane in the presence of 0.13 g of 4-oxo-*N*-phenylpiperidine-1-carboxamide obtained in step 12.1. 0.16 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient
15 temperature for 18 h. 0.013 g of and 0.016 g of sodium triacetoxyborohydride are then added. Stirring is maintained for 24 h. After hydrolysis with a 1*N* aqueous sodium hydroxide solution, extraction is carried out with dichloromethane until the aqueous phase is
20 completely depleted. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/ethyl acetate/methanol/aqueous ammonia ranging from 95/5/01/0 to
25 85/15/3/0.3. 0.35 g of 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N*-phenylpiperidine-1-

carboxamide is obtained.

12.3: 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N*-phenylpiperidine-1-carboxamide

5 hydrochloride

0.35 g of 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N*-phenylpiperidine-1-carboxamide is placed in 2 ml of ethyl acetate and 0.32 ml of 2*N* hydrochloric acid in diethyl ether is added. After concentration to dryness, the reaction medium is taken up with ethyl acetate and triturated. The precipitate obtained is then filter-dried and rinsed with ethyl acetate. The hydrochloride thus obtained is dried over P_2O_5 under reduced pressure. 0.31 g of 4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N*-phenylpiperidine-1-carboxamide hydrochloride is obtained.

Melting point = 198°C; $M+H^+$ = 635 ; $[\alpha]_D^{20}$ = +11.4 (0.861 g/100 ml, DMSO).

Example 13: 3-[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-1,3-oxazolidin-2-one hydrochloride (compound No. 103)

13.1: 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-ethanol

3.12 g of 1,4-dioxaspiro[4.5]decan-8-one are

dissolved in 80 ml of dichloromethane in the presence of 1.16 g of ethanolamine. 6.75 g of sodium triacetoxyborohydride are then added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a 1N aqueous sodium hydroxide solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. After drying over MgSO₄ and concentration to dryness, 4.0 g of 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)ethanol are obtained, which product is subsequently used as it is.

13.2: 3-(1,4-dioxaspiro[4.5]dec-8-yl)-1,3-oxazolidin-2-one

1.47 g of disphosgene are placed in 50 ml of dichloromethane under N₂ and at 0°C. 1.0 g of 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)ethanol obtained in step 13.1, mixed with 3.59 ml of triethylamine is added dropwise. Stirring is maintained at ambient temperature for 5 h. After evaporation to dryness, the crude obtained is taken up with dichloromethane. The organic phase is washed twice with a 1N aqueous hydrochloric acid solution, and then with H₂O and a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 2%. 1.19 g of 3-(1,4-dioxaspiro[4.5]dec-8-yl)-1,3-oxazolidin-2-one are obtained.

13.3: 3-(4-oxocyclohexyl)-1,3-oxazolidin-2-one

0.75 g of 3-(1,4-dioxaspiro[4.5]dec-8-yl)-1,3-oxazolidin-2-one is dissolved in 27.5 ml of 6N HCl. The reaction medium is heated at 65°C for 5 h. After return to ambient temperature, sodium carbonate is added slowly up to a pH of 9. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O. After drying over MgSO₄, the crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane of 0% to 10% 0.11 g of 3-(4-oxocyclohexyl)-1,3-oxazolidin-2-one is obtained.

13.4: 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-1,3-oxazolidin-2-one

0.26 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 6 ml of dichloromethane in the presence of 0.12 g of 3-(4-oxocyclohexyl)-1,3-oxazolidin-2-one obtained in step 13.3. 0.17 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a saturated aqueous sodium hydrogen carbonate solution, extraction is carried out with dichloromethane until the aqueous

phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is

5 chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/acetone/methanol ranging from 100/0/0 to 70/25/5. 0.18 g and 0.16 g of 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-

10 amino)cyclohexyl]-1,3-oxazolidin-2-one, (R, cis) and (R, trans) stereoisomers of undetermined configuration, are obtained.

13.5: 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-1,3-oxazolidin-2-one

15 hydrochloride

0.18 g of 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-1,3-oxazolidin-2-

20 one, (R, cis) or (R, trans) pure stereoisomer, is placed in 2 ml of methanol and 3.0 ml of 0.1N hydrochloric acid in isopropanol are added. After concentration to dryness, the reaction medium is taken up with diethyl ether and triturated. The precipitate

25 obtained is then filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.17 g of 3-[4-((1R)-1-(4-

chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-yl-methyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-1,3-oxazolidin-2-one hydrochloride, (R, cis) or (R, trans) pure stereoisomer, is obtained.

5 Melting point = 163°C; $M+H^+$ = 598; $[\alpha]_D^{20}$ = +12.4 (0.899 g/100 ml, DMSO).

Example 14: 1-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]pyrrolidin-2-one
10 **hydrochloride** (compound No. 106)

14.1: 1-(1,4-dioxaspiro[4.5]dec-8-yl)-pyrrolidin-2-one

1.8 g of 1,4-dioxaspiro[4.5]decan-8-one are dissolved in 100 ml of dichloromethane in the presence
15 of 3.02 g of 4-aminobutyric acid ethyl carboxylate. 3.54 g of sodium triacetoxymethylborohydride and 6.96 ml of triethylamine are then added under N_2 . Stirring is maintained at ambient temperature for 18 h. 1.5 g of 1-(1,4-dioxaspiro[4.5]dec-8-yl)pyrrolidin-2-one are
20 obtained.

14.2: 1-(4-oxocyclohexyl)pyrrolidin-2-one

1.5 g of 1-(1,4-dioxaspiro[4.5]dec-8-yl)-pyrrolidin-2-one are placed in 22 ml of 6N hydrochloric acid. The reaction medium is stirred at ambient
25 temperature for 18 h, and is then hydrolysed with a 1N aqueous sodium hydroxide solution. Extraction is carried out with dichloromethane until the aqueous

phase is completely depleted. After drying over MgSO_4 and concentration to dryness, 0.45 g of 1-(4-oxocyclohexyl)pyrrolidin-2-one is obtained, which product is subsequently used as it is.

5 14.3: 1-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]pyrrolidin-2-one

0.34 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved
10 in 8 ml of dichloromethane in the presence of 0.17 g of 1-(4-oxocyclohexyl)pyrrolidin-2-one obtained in step 14.2. 0.25 g of sodium triacetoxyborohydride is then added under N_2 . Stirring is maintained at ambient
15 temperature for 18 h. After hydrolysis with a saturated aqueous sodium hydrogen carbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H_2O and then with a saturated aqueous sodium
20 chloride solution. After drying over MgSO_4 and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/acetone/methanol ranging from 100/0/0 to 70/25/5. 0.25 g and 0.21 g of
25 1-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-amino)cyclohexyl]pyrrolidin-2-one, (R, cis) and

(R, trans) stereoisomers of undetermined configuration, are obtained.

14.4: 1-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]pyrrolidin-2-one
5 hydrochloride

0.25 g of 1-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]pyrrolidin-2-one,
10 (R, cis) or (R, trans) pure stereoisomer, is placed in 2 ml of ethyl acetate and 0.21 ml of 2N hydrochloric acid in diethyl ether is added. After concentration to dryness, the reaction medium is taken up with ethyl acetate and triturated. The precipitate obtained is
15 then filter-dried and rinsed with ethyl acetate. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.24 g of 1-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-
20 pyrrolidin-2-one hydrochloride, (R, cis) or (R, trans) pure stereoisomer, is obtained.

Melting point > 200°C; M+H⁺ = 595; [α]_D²⁰ = +12.0 (0.901 g/100 ml, DMSO).

Example 15: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2-methoxyethoxy)cyclohexanamine
25 hydrochloride (example 107)

15.1: 8-(2-methoxyethoxy)-1,4-dioxaspiro-[4.5]decane

1.32 g of 4-hydroxycyclohexanone are placed in 17 ml of anhydrous dimethylformamide under N₂. 0.40 g of sodium hydride is added. The reaction medium is stirred at ambient temperature for 1 h. 1.57 ml of 2-bromo methyl ether are then added. The reaction medium is stirred at ambient temperature for 18 h. 0.2 g of sodium hydride and 0.78 ml of 2-bromo methyl ether are then added. The reaction medium is stirred at ambient temperature for 24 h. The reaction medium is then poured onto ice. Extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with H₂O. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 2%. 0.77 g of 8-(2-methoxyethoxy)-1,4-dioxaspiro[4.5]decane is obtained.

15.2: 4-(2-methoxyethoxy)cyclohexanone

0.77 g of 8-(2-methoxyethoxy)-1,4-dioxaspiro[4.5]decane is placed in 11.9 ml of 6N hydrochloric acid and the mixture is heated at 60°C for 24 h. 4 ml of 12N hydrochloric acid are then added and the heating is continued for 24 h. The reaction medium is cooled to 0°C and sodium carbonate is added. The

aqueous phase is extracted with dichloromethane until said phase is completely depleted. The organic phase is washed with H₂O. After drying over Na₂SO₄ and concentration to dryness, the crude obtained is

5 chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 2%. 0.38 g of 4-(2-methoxyethoxy)-cyclohexanone is obtained.

15.3: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-

10 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2-methoxyethoxy)cyclohexanamine

0.5 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-

oxopropan-2-amine, obtained in step 1.7, is dissolved

15 in 11.6 ml of dichloromethane in the presence of 0.24 g of 4-(2-methoxyethoxy)cyclohexanone obtained in step 15.2. 0.37 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient

temperature for 18 h. After hydrolysis, extraction is

20 carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is

25 chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/acetone/methanol ranging from 100/0/0 to 70/25/5. 0.53 g of *N*-{(1*R*)-1-

(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2-methoxyethoxy)cyclohexanamine, mixture of (R, *cis*) and (R, *trans*) stereoisomers, is obtained.

5 15.4: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2-methoxyethoxy)cyclohexanamine hydrochloride

0.53 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2-methoxyethoxy)cyclohexanamine is placed in 2 ml of ethyl acetate and 0.21 ml of 0.5*N* hydrochloric acid in diethyl ether is added. After concentration to dryness, the reaction medium is taken up with ethyl acetate and triturated. The precipitate obtained is then filter-dried and rinsed with ethyl acetate. The hydrochloride thus obtained is dried over P_2O_5 under reduced pressure. 0.54 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2-methoxyethoxy)cyclohexanamine hydrochloride, mixture of (R, *cis*) and (R, *trans*) stereoisomers, is obtained.

Melting point = 257°C; $M+H^+$ = 586.

Example 16: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(2*S*)-piperidin-2-ylcarbonyl]-piperidin-4-amine hydrochloride (compound No. 110)

16.1: *tert*-butyl (2*S*)-2-[(4-oxopiperidin-1-yl)carbonyl]piperidine-1-carboxylate

0.68 g of piperidin-4-one is placed in 51 ml of dichloromethane in the presence of 1.15 g of (2*S*)-1-(*tert*-butoxycarbonyl)piperidine-2-carboxylic acid, of 0.68 g of hydroxybenzotriazole, of 0.97 g of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and of 1.79 ml of diisopropylethylamine. The mixture is stirred at ambient temperature for 18 h. After evaporation to dryness and hydrolysis, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 10%. 1.56 g of *tert*-butyl (2*S*)-2-[(4-oxopiperidin-1-yl)carbonyl]piperidine-1-carboxylate are obtained.

16.2: *tert*-butyl (2*S*)-2-{[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]carbonyl}piperidine-1-carboxylate

0.3 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 7 ml of dichloromethane in the presence of 0.48 g of

tert-butyl (2S)-2-[(4-oxopiperidin-1-yl)carbonyl]-
piperidine-1-carboxylate obtained in step 16.1. 0.22 g
of sodium triacetoxyborohydride is then added under N₂.
Stirring is maintained at ambient temperature for 18 h.
5 After the addition of 0.3 g of (2R)-3-(4-chlorophenyl)-
1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-
piperidin-1-yl]-1-oxopropan-2-amine and 0.47 g of
sodium triacetoxyborohydride, the reaction medium is
stirred for 24 h. After hydrolysis with a saturated
10 aqueous sodium hydrogen carbonate solution, extraction
is carried out with dichloromethane until the aqueous
phase is completely depleted. The organic phase is
washed with H₂O and then with a saturated aqueous sodium
chloride solution. After drying over MgSO₄ and
15 concentration to dryness, the crude obtained is
chromatographed on silica gel, elution being carried
out with a gradient of methanol in dichloromethane
ranging from 0% to 10%. 0.39 g of tert-butyl (2S)-2-
{[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-
20 1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-
amino)piperidin-1-yl]carbonyl)piperidine-1-carboxylate
is obtained.

16.3: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
25 oxoethyl)-1-[(2S)-piperidin-2-ylcarbonyl]piperidin-4-
amine

0.39 g of *tert*-butyl (2*S*)-2-([4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]carbonyl)piperidine-1-carboxylate is dissolved in
5 1 ml of dioxane. 1.35 ml of 4*N* hydrochloric acid in dioxane are added. The reaction medium is stirred at ambient temperature for 18 h. After evaporation to dryness, the residue is taken up with dichloromethane. A saturated aqueous sodium hydrogen carbonate solution
10 is added. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness,
15 the crude obtained is chromatographed, elution being carried out with a mixture of dichloromethane/methanol/aqueous ammonia ranging from 100/0/0 to 90/10/1. 0.30 g of *N*-{[(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-
20 [(2*S*)-piperidin-2-ylcarbonyl]piperidin-4-amine is obtained.

16.4: *N*-{[(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(2*S*)-piperidin-2-ylcarbonyl]piperidin-4-
25 amine

0.3 g of *N*-{[(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

yl]-2-oxoethyl)-1-[(2S)-piperidin-2-ylcarbonyl]-
piperidin-4-amine is placed in 2 ml of dichloromethane
and 2.4 ml of 0.2N hydrochloric acid in diethyl ether
are added. After concentration to dryness, the reaction
5 medium is taken up with diethyl ether and triturated.
The precipitate obtained is then filter-dried and
rinsed with diethyl ether. The hydrochloride thus
obtained is dried over P₂O₅ under reduced pressure.
0.23 g of N-[(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
10 (1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl)-1-[(2S)-piperidin-2-ylcarbonyl]piperidin-4-amine
hydrochloride is obtained.

Melting point = 207°C; M+H⁺ = 627; [α]_D²⁰ =
+4.9 (0.921 g/100 ml, DMSO).

15 **Example 17: 4-[(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl]amino)cyclohexanecarbonitrile
hydrochloride** (compound No. 112)

17.1: 4-[(trimethylsilyl)oxy]cyclohex-3-ene-
20 1-carbonitrile

14.2 g of 2-(trimethylsiloxy)-1,3-butadiene
and 5.3 g of acrylonitrile are dissolved in 35 ml of
anhydrous toluene. 0.11 g of hydroquinone is added and
the reaction medium is heated at 140°C for 24 h. After
25 evaporation to dryness, 4.0 g of 4-[(trimethylsilyl)-
oxy]cyclohex-3-ene-1-carbonitrile are obtained, which
product is subsequently used as it is.

17.2: 4-oxocyclohexanecarbonitrile

4.0 g of 4-[(trimethylsilyl)oxy]cyclohex-3-ene-1-carbonitrile are placed in 7 ml of a 2% aqueous sulphuric acid solution. After stirring for 30 min, the reaction medium is hydrolysed with a saturated aqueous ammonium chloride solution. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with a saturated aqueous sodium chloride solution. After drying over MgSO_4 and concentration to dryness, 2.6 g of 4-oxocyclohexanecarbonitrile are obtained, which product is subsequently used as it is.

17.3: 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarbonitrile

1.08 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, are dissolved in 25 ml of dichloromethane in the presence of 0.615 g of 4-oxocyclohexanecarbonitrile obtained in step 17.2. 1.32 g of sodium triacetoxyborohydride are then added under N_2 . Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a saturated aqueous sodium hydrogen carbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H_2O and then with a saturated aqueous sodium

chloride solution. After drying over MgSO_4 and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/acetone/methanol ranging from 100/0/0 to 70/25/5. 0.55 g and 0.25 g of 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-amino)cyclohexanecarbonitrile, (R, cis) and (R, trans) stereoisomers, of undetermined configuration, are obtained.

17.4: 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarbonitrile hydrochloride

0.2 g of 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarbonitrile, (R, cis) or (R, trans) pure stereoisomer, is placed in 2 ml of dichloromethane and 1.86 ml of 0.2N hydrochloric acid in diethyl ether are added. After concentration to dryness, the reaction medium is taken up with diethyl ether and triturated. The precipitate obtained is then filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P_2O_5 under reduced pressure. 0.21 g of 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexane-

carbonitrile hydrochloride, (R, cis) or (R, trans) pure stereoisomer, is obtained.

Melting point = 272°C; $M+H^+$ = 540; $[\alpha]_D^{20}$ = +6.4 (c = 0.8 g/100 ml, DMSO).

5 **Example 18: N-[cis-4-((1R)-1-(4-chloro-benzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-yl-methyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-glycinamide hydrochloride** (compound No. 116)

18.1: methyl N-{cis-4-[(tert-butoxycarbonyl)-amino]cyclohexyl}-4-chloro-D-phenylalaninate

10 10 g of methyl 4-chloro-L-phenylalaninate hydrochloride in the presence of 8.5 g of tert-butyl (4-oxocyclohexyl)carbamate are placed in 200 ml of dichloromethane. 11.0 g of sodium triacetoxymethylborohydride
15 are added. Stirring is maintained at ambient temperature for 18 h. The solution is hydrolysed with a saturated aqueous sodium hydrogen carbonate solution and extracted with dichloromethane until the aqueous phase is completely depleted. After drying over $MgSO_4$
20 and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/ethyl acetate/methanol/aqueous ammonia ranging from 95/5/1/0.1 to 85/15/3/0.3. 6.1 g of methyl N-{cis-4-[(tert-butoxycarbonyl)amino]cyclohexyl}-4-chloro-D-phenylalaninate
25 and 7.4 g of methyl N-{trans-4-[(tert-butoxycarbonyl)-amino]cyclohexyl}-4-chloro-D-phenylalaninate are

obtained.

18.2: *N*-{*cis*-4-[(*tert*-butoxycarbonyl)-amino]cyclohexyl}-4-chloro-*D*-phenylalanine

4.8 g of methyl *N*-{*cis*-4-[(*tert*-butoxycarbonyl)amino]cyclohexyl}-4-chloro-*D*-phenylalaninate
5 are placed in 180 ml of an H₂O/THF/MeOH mixture and then 0.83 g of lithium hydroxide hydrate is added at 0°C. Stirring is maintained at ambient temperature for 18 h. After evaporation of the methanol and tetrahydrofuran,
10 the reaction medium is lyophilized. 4.5 g of *N*-{*cis*-4-[(*tert*-butoxycarbonyl)amino]cyclohexyl}-4-chloro-*D*-phenylalanine lithium carboxylate are obtained.

18.3: *tert*-butyl [*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-
15 carbamate

2.0 g of 4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidine, obtained in step 1.5, are placed in 40 ml of dichloromethane in the presence of 3.2 g of
20 *N*-{*cis*-4-[(*tert*-butoxycarbonyl)amino]cyclohexyl}-4-chloro-*D*-phenylalanine lithium carboxylate, obtained in step 18.2, of 1.1 g of hydroxybenzotriazole, of 1.5 g of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and of 1.68 ml of diisopropylethylamine.
25 The mixture is stirred at ambient temperature for 16 h. After evaporation to dryness and hydrolysis, extraction is carried out with ethyl acetate until the aqueous

phase is completely depleted. The organic phase is washed with a 1N aqueous hydrochloric acid solution and then with a 1N aqueous sodium hydroxide solution and with H₂O. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 5%. 2.4 g of tert-butyl [cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]carbamate are obtained.

18.4: *cis-N*-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine

2.4 g of tert-butyl [cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]carbamate are placed in 5 ml of dioxane. 9.6 ml of 4N hydrochloric acid in dioxane are then added. The reaction medium is stirred at ambient temperature for 18 h. After evaporation to dryness, the residue is taken up with a saturated aqueous sodium hydrogen carbonate solution and with ethyl acetate. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, 1.98 g of *cis-N*-{(1R)-1-(4-

chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine are obtained.

18.5: *tert*-butyl (2-([*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-amino)-2-oxoethyl)carbamate

0.7 g of *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine, obtained in step 18.4, is placed in 40 ml of dichloromethane in the presence of 0.23 g of Boc-Gly-OH, of 0.18 g of hydroxybenzotriazole, of 0.25 g of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride and of 0.24 ml of diisopropylethylamine. The mixture is stirred at ambient temperature for 18 h. After evaporation to dryness and hydrolysis, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 10%. 0.75 g of *tert*-butyl (2-([*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-

oxoethyl)amino)cyclohexyl]amino}-2-oxoethyl)carbamate is obtained.

18.6: *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide

0.45 g of *tert*-butyl (2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-amino}-2-oxoethyl)carbamate is dissolved in 1 ml of dioxane. 1.63 ml of 4*N* hydrochloric acid in dioxane, and then approximately 1 ml of methanol, are added. The reaction medium is stirred at ambient temperature for 18 h. After evaporation to dryness, the residue is taken up with dichloromethane. A saturated aqueous sodium hydrogen carbonate solution is added. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed, elution being carried out with a mixture of dichloromethane/methanol/aqueous ammonia ranging from 100/0/0 to 90/10/1. 0.35 g of *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-cyclohexyl]glycinamide is obtained.

18.7: *N*-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide hydrochloride

5 0.35 g of *N*-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)-piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide is placed in 2 ml of dichloromethane and 3.0 ml of 0.2*N* hydrochloric acid in diethyl ether are added. After
10 concentration to dryness, the reaction medium is taken up with diethyl ether and triturated. The precipitate obtained is then filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P_2O_5 under reduced pressure. 0.3 g of *N*-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-
15 glycinamide hydrochloride is obtained.

Melting point = 128°C; $M+H^+$ = 584; $[\alpha]_D^{20}$ = +0.7 (0.938 g/100 ml, DMSO).

20 **Example 19:** *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2,3-dihydro-1*H*-tetrazol-5-yl)cyclohexanamine hydrochloride (compound No. 120)

25 19.1: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2,3-dihydro-1*H*-tetrazol-5-yl)cyclohexanamine

0.3 g of 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarbonitrile, (R, cis) or (R, trans) pure stereoisomer, obtained in step 17.3, is placed in 3 ml of dimethylformamide in the presence of 0.43 g of sodium azide and of 0.36 g of ammonium chloride in a sealed tube. After reaction in a microwave at 140°C for 3 h, the dimethylformamide is evaporated off and the crude obtained is taken up in methanol. After filtration, the filtrate is concentrated to dryness and chromatographed on C18, elution being carried out with a mixture of water/acetonitrile ranging from 80/20 to 100/0. 0.13 g of N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(2,3-dihydro-1H-tetrazol-5-yl)cyclohexanamine, (R, cis) or (R, trans) pure stereoisomer, is obtained.

19.2: N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(2,3-dihydro-1H-tetrazol-5-yl)cyclohexanamine hydrochloride

0.2 g of N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(2,3-dihydro-1H-tetrazol-5-yl)cyclohexanamine, (R, cis) or (R, trans) pure stereoisomer, is placed in 2 ml of dichloromethane and 1.86 ml of 0.2N hydrochloric acid in diethyl ether is added. After

concentration to dryness, the reaction medium is taken up with diethyl ether and triturated. The precipitate obtained is then filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P_2O_5 under reduced pressure. 0.095 g of N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2,3-dihydro-1H-tetrazol-5-yl)cyclohexanamine hydrochloride, (R, cis) or (R, trans) pure stereoisomer, is obtained.

Melting point = 249°C; $M+H^+$ = 586

Example 20: N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine hydrochloride (compound No. 127)

20.1: 8-pyridin-2-yl-1,4-dioxo-8-azaspiro-[4.5]decane

1.35 ml of 1,4-dioxo-8-azaspiro[4.5]decane in the presence of 4.54 ml of 2-fluoropyridine are placed in a sealed tube. After reaction in a microwave at 100W and 150°C for 15 min, hydrolysis is carried out and dichloromethane is added. The medium is then basified with a 1N aqueous sodium hydroxide solution. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. After drying over $MgSO_4$ and concentration to dryness, the crude obtained is chromatographed, elution being carried out with a gradient of methanol in dichloromethane ranging from 0%

to 2%. 1.6 g of 8-pyridin-2-yl-1,4-dioxo-8-aza-spiro[4.5]decane are obtained.

20.2: 1-pyridin-2-ylpiperidin-4-one

1.6 g of 8-pyridin-2-yl-1,4-dioxo-8-aza-spiro[4.5]decane are placed in a 6N aqueous hydrochloric acid solution. The reaction medium is heated at 60°C for 24 h. After cooling, hydrolysis is carried out with sodium carbonate up to a pH of 8. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. After drying over MgSO₄ and concentration to dryness, 2.23 g of 1-pyridin-2-ylpiperidin-4-one are obtained, which product is subsequently used as it is.

20.3: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine

0.3 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 7 ml of dichloromethane in the presence of 0.25 g of 1-pyridin-2-ylpiperidin-4-one, obtained in step 20.2. 0.22 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient temperature for 18 h. After hydrolysis with a saturated aqueous sodium hydrogen carbonate solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is

washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/acetone/methanol ranging from 100/0/0 to 90/10/1. 0.4 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine is obtained.

10 20.4: *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine hydrochloride

0.58 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine is placed in 2 ml of dichloromethane and 3.47 ml of 0.2*N* hydrochloric acid in diethyl ether are added. After concentration to dryness, the reaction medium is taken up with diethyl ether and triturated. The precipitate obtained is then filter-dried and rinsed with diethyl ether. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.37 g of *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine hydrochloride is obtained.

25 Melting point > 296°C; $M+H^+ = 593$; $[\alpha]_D^{20} = +14.3$ (0.938 g/100 ml, DMSO).

Example 21: 3-[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3*H*)-one hydrochloride (compound No. 167)

5 21.1: 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluorophenol

2.5 g of 1,4-dioxaspiro[4.5]decan-8-one are placed in 78 ml of acetic acid. 2.0 g of 2-amino-5-fluorophenol, 10.0 g of sodium triacetoxymethylborohydride and 11.2 g of sodium sulphate are added. The reaction
10 medium is stirred at ambient temperature for 24 h. After evaporation of the acetic acid, the residue is treated with a 1N aqueous sodium hydroxide solution. Extraction is carried out with ethyl acetate until the
15 aqueous phase is completely depleted. After drying over MgSO₄ and concentration to dryness, the crude obtained is crystallized from heptane. The crystals obtained are filter-dried, and rinsed with heptane. 1.8 g of 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluorophenol are
20 obtained.

21.2: 4-[(4-fluoro-2-hydroxyphenyl)amino]-cyclohexanone

1.8 g of 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluorophenol are placed in a 6N aqueous
25 hydrochloric acid solution. The reaction medium is heated at 60°C for 18 h. After cooling, hydrolysis is carried out with an aqueous sodium hydroxide solution

up to a pH of 8. Extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with a saturated aqueous sodium chloride solution. After drying over MgSO_4 and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 10%. 1 g of compound is obtained, which is chromatographed in a mixture of heptane/ethyl acetate ranging from 8/2 to 4/6. 0.64 g of 4-[(4-fluoro-2-hydroxyphenyl)amino]cyclohexanone is obtained.

21.3: 6-fluoro-3-(4-oxocyclohexyl)-1,3-benzoxazol-2(3H)-one

0.24 g of 4-[(4-fluoro-2-hydroxyphenyl)amino]cyclohexanone is dissolved in 11 ml of anhydrous dichloromethane and 0.27 g of carbonyldiimidazole is added. The reaction medium is stirred at ambient temperature for 18 h. After the addition of a further 0.05 g of carbonyldiimidazole, stirring is maintained for 5 h. After concentration to dryness and hydrolysis, extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with a saturated aqueous sodium chloride solution. After drying over MgSO_4 and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 5%.

0.3 g of 6-fluoro-3-(4-oxocyclohexyl)-1,3-benzoxazol-2(3H)-one is obtained.

21.4: 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3H)-one

0.5 g of (2R)-3-(4-chlorophenyl)-1-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-oxopropan-2-amine, obtained in step 1.7, is dissolved in 7 ml of dichloromethane in the presence of 0.29 g of 6-fluoro-3-(4-oxocyclohexyl)-1,3-benzoxazol-2(3H)-one obtained in step 21.3. 0.37 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient temperature for 18 h. After concentration to dryness and hydrolysis, extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/ethyl acetate/methanol ranging from 100/0/0 to 7/2/1. 0.24 g and 0.2 g of 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-

2(3H)-one, (R, cis) and (R, trans) stereoisomers, of undetermined configuration, are obtained.

21.5: 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3H)-one

0.15 g of 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3H)-one, (R, cis) or (R, trans) pure stereoisomer, is placed in 2 ml of dichloromethane and 2.23 ml of 0.2N hydrochloric acid in diethyl ether are added. After concentration to dryness, the reaction medium is taken up in ethyl acetate and triturated. The precipitate obtained is then filter-dried and rinsed with ethyl acetate. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.10 g of 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3H)-one hydrochloride, (R, cis) or (R, trans) pure stereoisomer, is obtained.

Melting point = 286°C; M+H⁺ = 664

Example 22: 2-{[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino}-5-

fluoro-*N,N*-dimethylbenzamide hydrochloride (compound No. 182)

22.1: methyl 2-(1,4-dioxaspiro[4.5]dec-8-yl-amino)-5-fluorobenzoate

5 4.1 g of 1,4-dioxaspiro[4.5]decan-8-one are placed in 88 ml of acetic acid. 3.0 g of 2-amino-5-fluorobenzoic acid methyl ester, 11.3 g of sodium triacetoxymethylborohydride and 12.6 g of sodium sulphate are added. The reaction medium is stirred at ambient
10 temperature for 18 h. After the addition of 1.5 g of 1,4-dioxaspiro[4.5]decan-8-one and stirring for a further 24 h, the acetic acid is evaporated off. The residue is treated with a 3N aqueous sodium hydroxide solution. Extraction is carried out with ethyl acetate
15 until the aqueous phase is completely depleted. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a gradient of methanol in dichloromethane ranging from 0% to 5%. 0.67 g of
20 methyl 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluorobenzoate is obtained.

22.2: 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluorobenzoic acid

0.65 g of methyl 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluorobenzoate is placed in 21 ml of
25 methanol and 8.3 ml of a 1N sodium hydroxide solution are added. After the addition of 5 ml of tetrahydro-

furan and heating at 70°C for 2 h 30 min, the reaction medium is acidified at pH 2-3 with a 1N aqueous hydrochloric acid solution. Extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with a saturated aqueous sodium chloride solution, drying is carried out over MgSO₄ and the product is concentrated to dryness. 0.58 g of 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluorobenzoic acid is obtained, which product is subsequently used as it is.

22.3: 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluoro-*N,N*-dimethylbenzamide

0.58 g of 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluorobenzoic acid, obtained in step 22.2, is placed in 20 ml of dichloromethane in the presence of 0.8 g of dimethylamine hydrochloride, of 0.27 g of hydroxybenzotriazole, of 0.38 g of 1-(3-dimethylamino-propyl)-3-ethylcarbodiimide hydrochloride and of 2.4 ml of diisopropylethylamine. The mixture is stirred at ambient temperature for 48 h. After evaporation to dryness and hydrolysis with a 1N aqueous sodium hydroxide solution, extraction is carried out with dichloromethane until the aqueous phase is completely depleted. The organic phase is washed with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed, elution being carried out with a

gradient of methanol in dichloromethane ranging from 0% to 10%. 0.4 g of 2-(1,4-dioxaspiro[4.5]dec-8-ylamino)-5-fluoro-*N,N*-dimethylbenzamide is obtained.

22.4: 5-fluoro-*N,N*-dimethyl-2-[(4-oxocyclo-
5 hexyl)amino]benzamide

0.38 g of 2-(1,4-dioxaspiro[4.5]dec-8-yl-
amino)-5-fluoro-*N,N*-dimethylbenzamide is placed in
1.7 ml of a 2N aqueous hydrochloric acid solution and
stirring is carried out for 2 h at 40°C. After
10 concentration to dryness, the residue is taken up with
a 1N aqueous sodium hydroxide solution and extracted
with ethyl acetate until the aqueous phase is
completely depleted. The organic phase is washed with
H₂O and then with a saturated aqueous sodium chloride
15 solution. After drying over MgSO₄ and concentration to
dryness, the crude obtained is chromatographed, elution
being carried out with a gradient of methanol in
dichloromethane ranging from 0% to 10%. 0.25 g of
5-fluoro-*N,N*-dimethyl-2-[(4-oxocyclohexyl)amino]-
20 benzamide is obtained.

22.5: 2-{[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl)amino)cyclohexyl]amino}-5-fluoro-*N,N*-
dimethylbenzamide

25 0.35 g of (2*R*)-3-(4-chlorophenyl)-1-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-1-
oxopropan-2-amine, obtained in step 1.7, is dissolved

in 4 ml of dichloromethane in the presence of 0.25 g of 5-fluoro-*N,N*-dimethyl-2-[(4-oxocyclohexyl)amino]-benzamide obtained in step 22.4. 0.22 g of sodium triacetoxyborohydride is then added under N₂. Stirring is maintained at ambient temperature for 18 h. After concentration to dryness and hydrolysis with a 1N aqueous sodium hydroxide solution, extraction is carried out with ethyl acetate until the aqueous phase is completely depleted. The organic phase is washed with H₂O and then with a saturated aqueous sodium chloride solution. After drying over MgSO₄ and concentration to dryness, the crude obtained is chromatographed on silica gel, elution being carried out with a mixture of dichloromethane/ethyl acetate/methanol ranging from 100/0/0 to 7/2,5/0.5. 0.22 g and 0.1 g of 2-{[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-*N,N*-dimethylbenzamide, (*R*, *cis*) and (*R*, *trans*) stereoisomers, of undetermined configuration, are obtained.

22.6: 2-{[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-*N,N*-dimethylbenzamide hydrochloride

0.22 g of 2-{[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-*N,N*-

dimethylbenzamide, (R, cis) or (R, trans) pure stereoisomer, is placed in 2 ml of dichloromethane and 3.15 ml of 0.2N hydrochloric acid in diethyl ether are added. After concentration to dryness, the reaction
5 medium is taken up in ethyl acetate and triturated. The precipitate obtained is then filter-dried and rinsed with ethyl acetate. The hydrochloride thus obtained is dried over P₂O₅ under reduced pressure. 0.19 g of 2-{{[4-
10 triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-cyclohexyl]amino}-5-fluoro-N,N-dimethylbenzamide hydrochloride, (R, cis) or (R, trans) pure stereoisomer, is obtained.

Melting point = 145°C; M+H⁺ = 692.

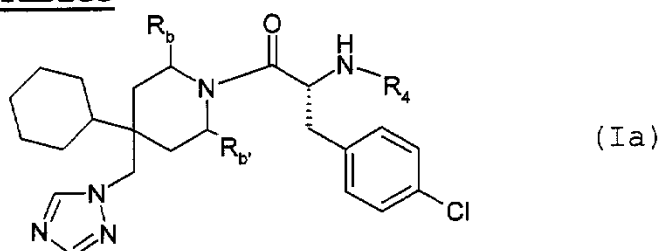
15 The table that follows illustrates the chemical structures and the physical properties of some examples of compounds according to the invention, i.e. of the compounds of formula (Ia), corresponding to compounds of formula (I) in which R₁ represents a
20 cyclohexyl group, R₂ represents a 1,2,4-triazolyl group, R_a = R_{a'} = R₅ = H, n = 1 and R₃ represents a chlorine atom located in the para-position on the phenyl ring to which it is attached. In this table:

- the carbon atom bearing the 4-Cl-benzyl
25 group has the (R) configuration,
- in the "salt" column, "-" represents a compound in the form of a free base, whereas "HCl"

represents a compound in the form of a hydrochloride
and "CF₃COOH" represents a compound in the form of a
trifluoroacetate,

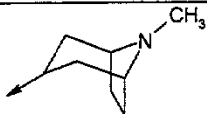
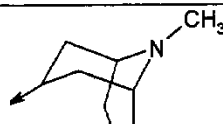
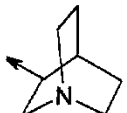
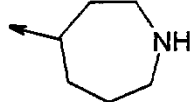
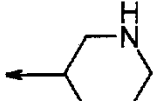
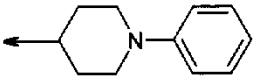
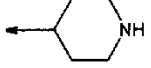
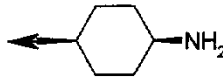
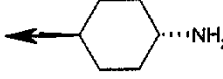
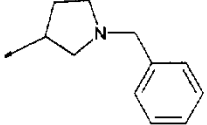
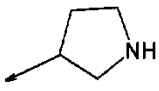
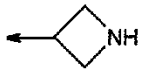
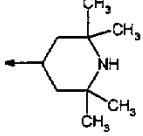
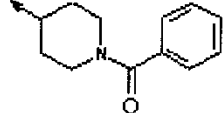
- "Mp" represents the melting point of the
5 compound, and

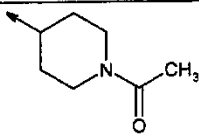
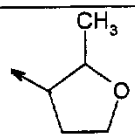
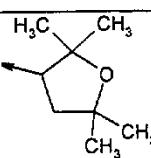
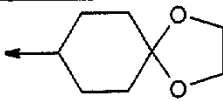
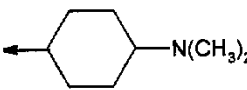
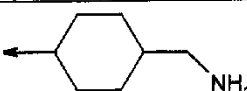
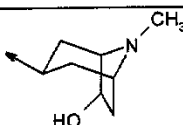
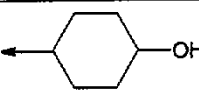
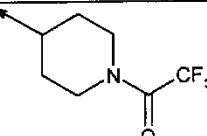
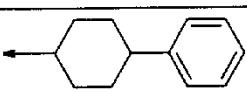
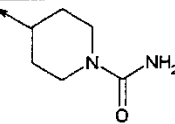
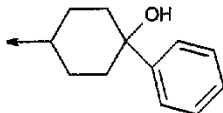
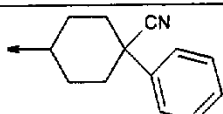
- Me, Et, tBu and Bn represent, respectively,
methyl, ethyl, tert-butyl and benzyl groups.

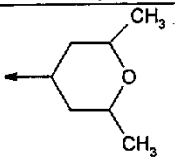
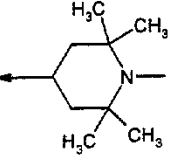
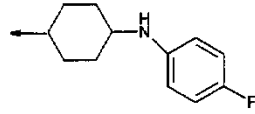
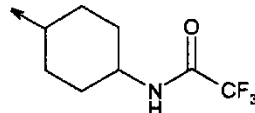
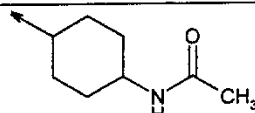
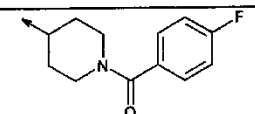
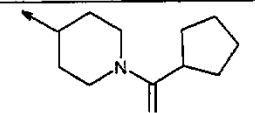
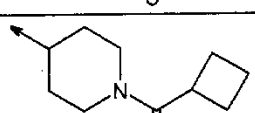
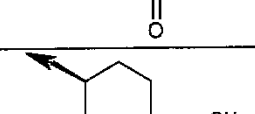
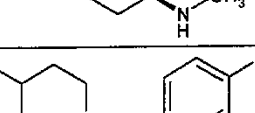
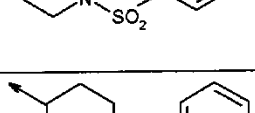
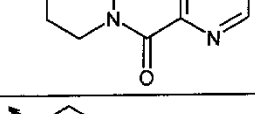
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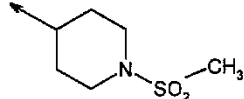
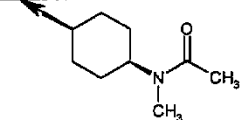
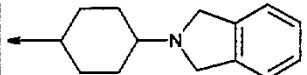
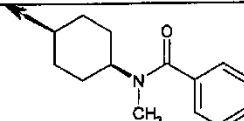
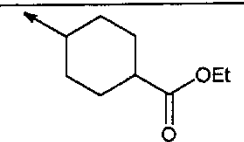
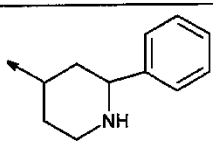
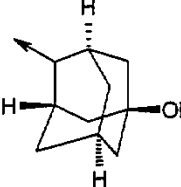
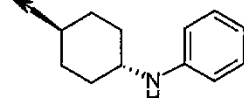
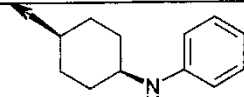
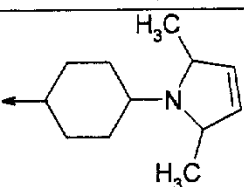
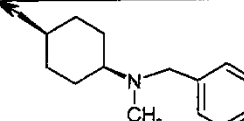
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4	H	H		-	60
5	H	H		-	78
6	H	H		HCl	257
7	H	H		-	-
8	H	H		HCl	266
9	H	H		-	100
10	H	H		-	175
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12	H	H		HCl	159
13	H	H		HCl	245
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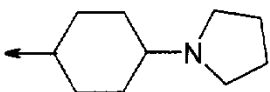
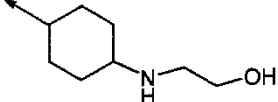
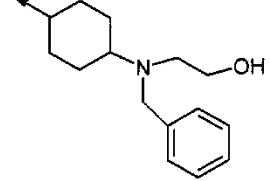
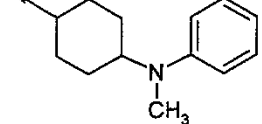
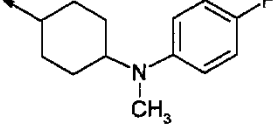
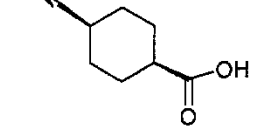
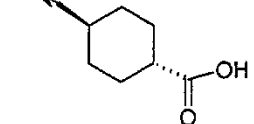
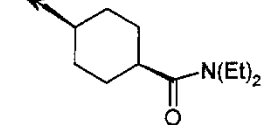
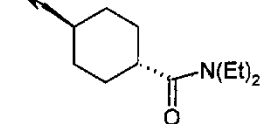
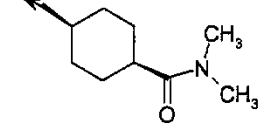
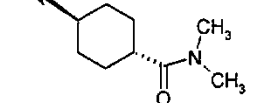
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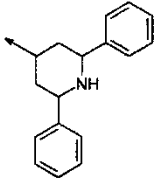
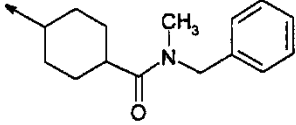
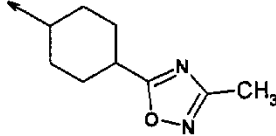
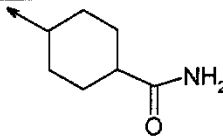
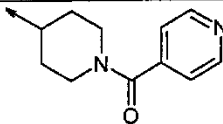
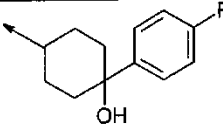
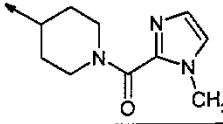
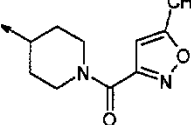
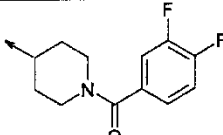
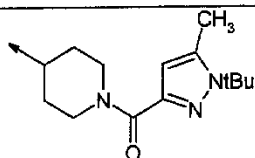
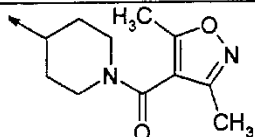
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15	H	H		HCl	262
16	H	H		HCl	> 280
17	H	H		HCl	260
18	H	H		HCl	244
19	H	H		HCl	120
20	H	H		HCl	200
21	CH ₂ CH ₂			HCl	> 270
22	CH ₂ CH ₂			HCl	215
23	CH ₂ CH ₂			HCl	205
24	H	H		HCl	137
25	H	H		HCl	160
26	H	H		HCl	182
27	H	H		HCl	198
28	H	H		HCl	> 200

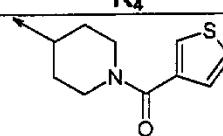
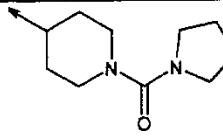
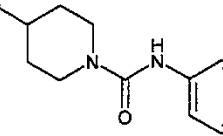
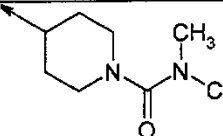
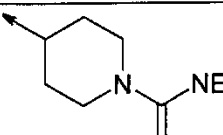
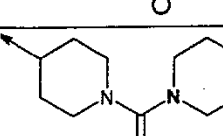
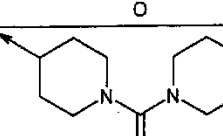
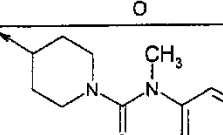
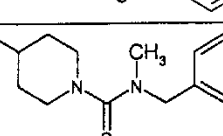
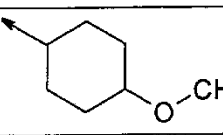
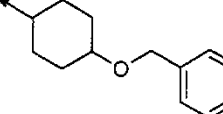
No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
29	H	H		-	> 200
30	H	H		HCl	252
31	H	H		HCl	260
32	H	H		HCl	275
33	H	H		HCl	190
34	H	H		HCl	295
35	H	H		HCl	153
36	H	H		HCl	128 or 132 *
37	H	H		CF ₃ COOH	> 200
38	H	H		CF ₃ COOH	> 200
39	H	H		HCl	186
40	H	H		HCl	250 or 260 *
41	H	H		HCl	270

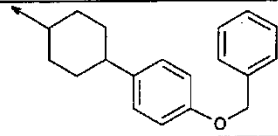
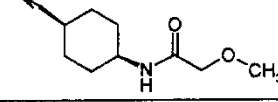
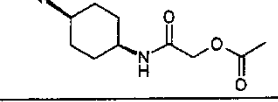
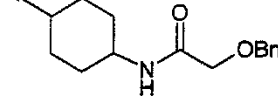
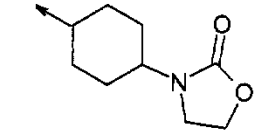
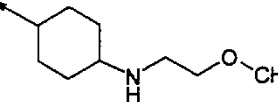
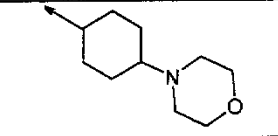
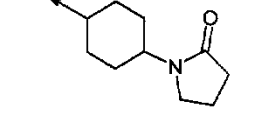
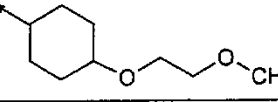
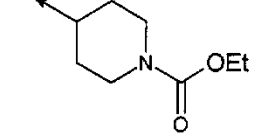
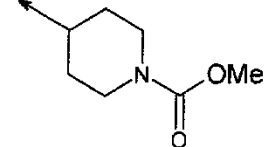
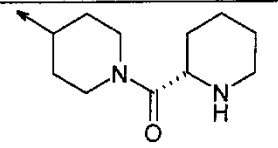
No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
42	H	H		HCl	280 or 260 *
43	H	H		HCl	140
44	H	H		HCl or -	> 205 or 100 *
45	H	H		HCl	192 or 140 *
46	H	H		HCl	157 or 118 *
47	H	H		-	82
48	H	H		-	65
49	H	H		-	88
50	H	H		HCl	210
51	H	H		-	96
52	H	H		-	90
53	H	H		HCl	155

No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
54	H	H		HCl	> 200
55	H	H		HCl	190
56	H	H		HCl	215
57	H	H		HCl	270
58	H	H		-	67*
59	H	H		HCl	267 or 268*
60	H	H		HCl	270
61	H	H		HCl	> 270
62**	H	H		HCl	> 200
63**	H	H		HCl	110
64	H	H		HCl	103
65**	H	H		HCl	88

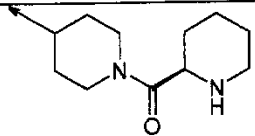
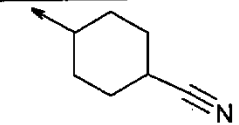
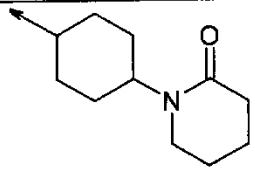
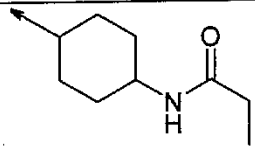
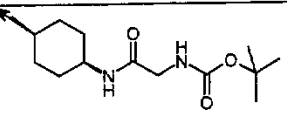
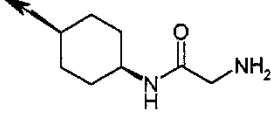
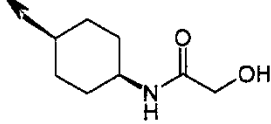
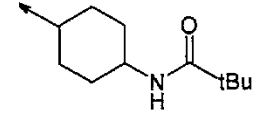
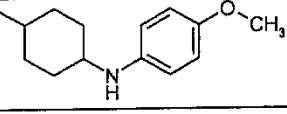
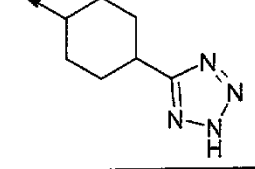
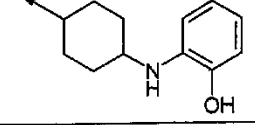
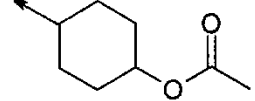
No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
66	H	H		HCl	105
67	H	H		HCl	103
68	H	H		HCl	114
69	H	H		HCl	130
70	H	H		HCl	111
71**	H	H		HCl	178
72**	H	H		HCl	> 200
73**	H	H		HCl	> 200
74**	H	H		HCl	> 200
75**	H	H		HCl	> 200
76**	H	H		HCl	63

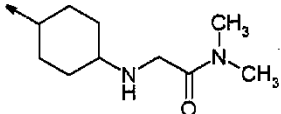
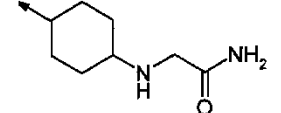
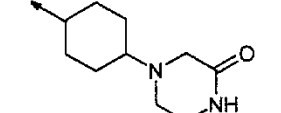
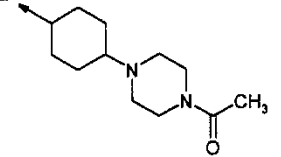
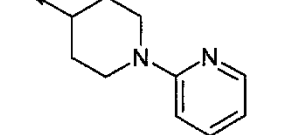
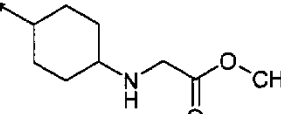
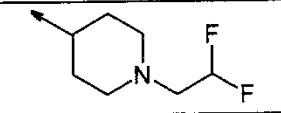
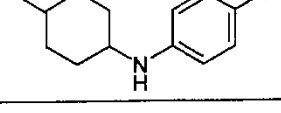
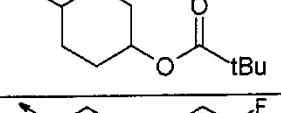
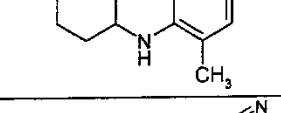
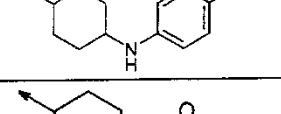
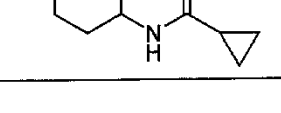
No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
77	H	H		HCl	212
78	H	H		HCl	160 or >200*
79	H	H		HCl	>200 or 239*
80	H	H		HCl	145 or 118*
81	H	H		HCl	165
82	H	H		HCl	150 or 155*
83	H	H		HCl	160
84	H	H		HCl	85
85	H	H		HCl	140
86	H	H		HCl	>220
87	H	H		HCl	210

No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
88	H	H		HCl	110
89	H	H		HCl	275
90	H	H		HCl	198
91	H	H		HCl	255
92	H	H		HCl	288
93	H	H		HCl	275
94	H	H		HCl	259
95	H	H		HCl	265
96	H	H		HCl	245
97	H	H		HCl	>240
98	H	H		HCl	>240

No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
99	H	H		HCl	198 or 252*
100	H	H		HCl	110
101	H	H		HCl	144
102	H	H		HCl	102
103	H	H		HCl	163 or >200*
104	H	H		HCl	79 or 93*
105	H	H		HCl	119 or >200*
106	H	H		HCl	174 or >200*
107	H	H		HCl	257
108	H	H		HCl	273
109	H	H		HCl	273
110	H	H		HCl	207

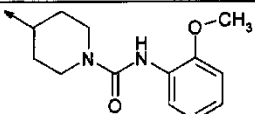
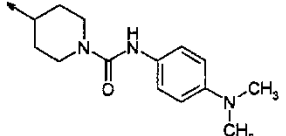
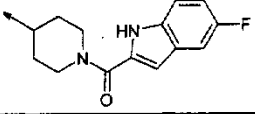
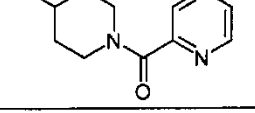
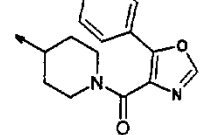
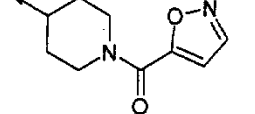
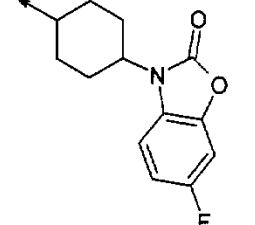
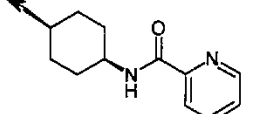
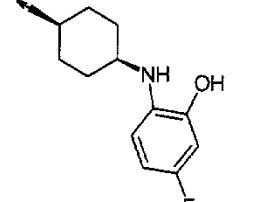
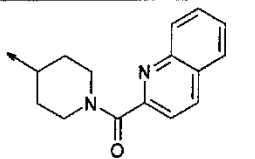
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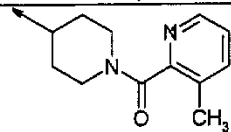
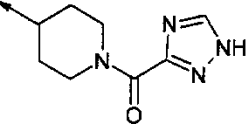
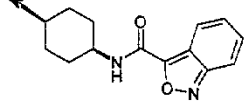
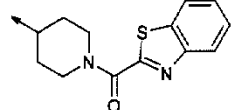
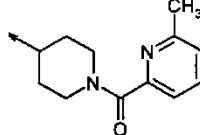
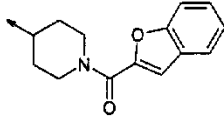
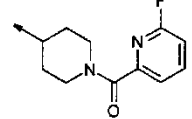
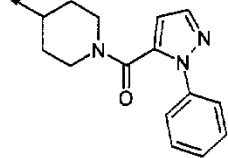
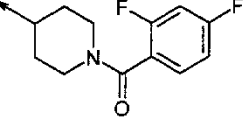
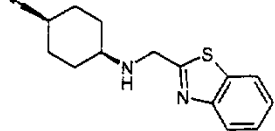
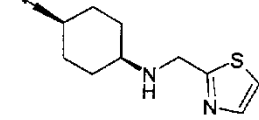
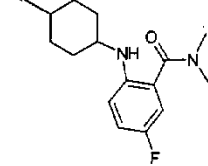
No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
111	H	H		HCl	179
112	H	H		HCl	272 or 279*
113	H	H		HCl	177 or 183*
114	H	H		HCl	158
115	H	H		-	102
116	H	H		HCl	128
117	H	H		HCl	179
118	H	H		HCl	158 or 254*
119	H	H		HCl	225 or 200*
120	H	H		HCl	249 or 228*
121	H	H		HCl	130 or 136*
122	H	H		-	61

No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
123	H	H		HCl	165 or 256*
124	H	H		HCl	172 or 173*
125	H	H		HCl	204 or 254*
126	H	H		HCl	194 or 267*
127	H	H		HCl	>255
128	H	H		HCl	131
129	H	H		HCl	221
130	H	H		HCl	280 or 201*
131	H	H		Fumaric acid	120
132	H	H		HCl	221 or 267*
133	H	H		HCl	132
134	H	H		HCl	178

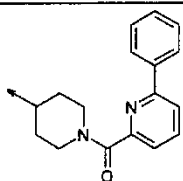
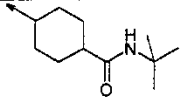
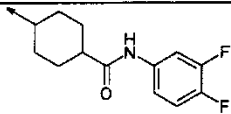
No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
135	H	H		HCl	273
136	H	H		HCl	193
137**	H	H		HCl	233
138	H	H		HCl	177 or 152*
139	H	H		HCl	132 or 165*
140	H	H		HCl	205
141	H	H		HCl	294
142	H	H		HCl	173 or 177*
143	H	H		HCl	213
144	H	H		HCl	245
145	H	H		HCl	292
146	H	H		HCl	255 or 235*
147	H	H		HCl	175 or 184*

No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
148	H	H		HCl	160 or 222*
149	H	H		HCl	183 or 185*
150	H	H		HCl	248 or 220*
151	H	H		HCl	237
152	H	H		-	228
153	H	H		HCl	225
154	H	H		CF ₃ COOH	80
155	H	H		HCl	272
156	H	H		HCl	150
157	H	H		HCl	177
158	H	H		HCl	168
159	H	H		HCl	190
160	H	H		HCl	167

No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
161	H	H		HCl	182
162	H	H		HCl	205
163	H	H		HCl	211
164	H	H		HCl	285
165	H	H		HCl	278
166	H	H		HCl	236
167	H	H		HCl	286 or 236*
168	H	H		HCl	208
169**	H	H		HCl	242
170	H	H		HCl	221

No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
171	H	H		HCl	269
172	H	H		HCl	212
173	H	H		HCl	192
174	H	H		HCl	268
175	H	H		HCl	229
176	H	H		HCl	203
177	H	H		HCl	273
178	H	H		HCl	203
179	H	H		HCl	266
180	H	H		HCl	115
181	H	H		HCl	120
182	H	H		HCl	145 or 155*

182

No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
183	H	H		HCl	261
184	H	H		HCl	178 or 248*
185	H	H		HCl	187 or 224*

* according to the isomer (cis or trans)

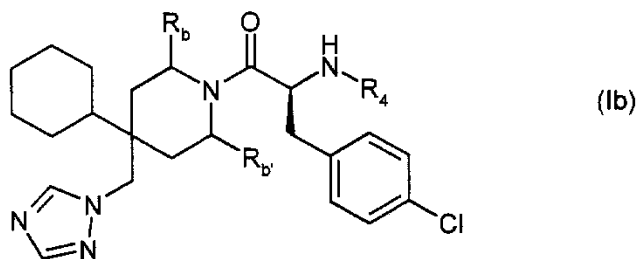
** undetermined cis or trans configuration, arbitrary representation

The table that follows illustrates the

5 chemical structures and the physical properties of some examples according to the invention, i.e. of the compounds of formula (Ib), corresponding to compounds of formula (I) in which R₁ represents a cyclohexyl group, R₂ represents a 1,2,4-triazolyl group, R_a = R_{a'} =

10 R₅ = H, n = 1 and R₃ represents a chlorine atom located in the para-position on the phenyl ring to which it is attached. In this table:

- the carbon atom bearing the 4-Cl-benzyl group has the (S) configuration,
- 15 - in the "salt" column, "HCl" represents a compound in the form of a hydrochloride,
- "Mp" represents the melting point of the compound.



No.	R _b	R _{b'}	R ₄	Salt	Mp (°C)
186	H	H		HCl	153
187	H	H		HCl	246

The compounds according to the invention were the subject of pharmacological assays to determine their melanocortin receptor agonist effect, in particular their MC3 and/or MC4 receptor agonist effect.

Evaluation of the affinity of the compounds of formula (I) according to the invention with respect to MC3 and MC4 receptors

This affinity assay is carried out by measuring the binding of [¹²⁵I]-[Nle⁴-D-Phe⁷]-α-MSH to cell membranes. The displacement of this radioligand is used to identify inhibitors of the specific binding to recombinant melanocortin receptors.

For this assay, membranes prepared from CHO-K1 cells expressing the human MC4 receptor at high density (Euroscreen) or membranes, that were purchased (Perkin Elmer Life Sciences, Receptor Biology), of HEK-293 cells expressing hMC3 receptors were used.

CHO-K1 cells transfected with the hMC4 receptor gene (Euroscreen) are seeded into DMEM/Nutrient Mix F12 culture medium containing 10% foetal calf serum (Biowhittaker), 1% sodium pyruvate, 1% L-glutamine, 1% non-essential amino acids, 0.4 mg/ml geneticin (G418) and 0.5% PenStrep, these products being provided by Gibco/BRL, except the calf serum. At 80% confluency, the cells are scraped off and the cell pellets are frozen at -80°C.

10 A tube of cells (approximately 70×10^6 cells) is thawed on ice and resuspended in 10 ml of binding buffer [25 mM HEPES, pH 7.0, 1 mM $MgCl_2$, 1.5 mM $CaCl_2$, 100 mM NaCl, 1 mM 1,10-phenanthroline and 1 tablet of Complete^{TR} (protease inhibitor from Roche) in 50 ml of
15 buffer] using a polytron for 20 seconds. The suspension is centrifuged for 20 min at 19 500 rpm at 4°C. The supernatant is discarded and the pellet is resuspended in 5 ml of binding buffer. The amount of proteins present in the sample is assayed using a Bradford test,
20 and the concentration is adjusted to 3 µg/25 µl by dilution in binding buffer.

$[^{125}I]$ -[Nle⁴, D-Phe⁷]-α-MSH is diluted in binding buffer + 0.2% BSA. SPA beads (wheatgerm agglutinin polyvinyltoluene, Amersham Pharmacia
25 Biotech) are hydrated in the binding buffer + 0.2% BSA and are then mixed with the cell homogenate so as to obtain 3 µg of cell proteins and 250 µg of beads in

50 μ l. The products to be tested (diluted in 10% DMSO), in an amount of 10 μ l at a concentration of 10 times the final concentration, are distributed into a clear-bottomed 96-well white plate (CORNING 3604 Polystyrene Non-Binding Surface). The nonspecific binding is defined by NDP- α MSH at 10^{-7} M. The total binding is measured by the number of counts per minute in the presence of the radioligand alone. The distribution of the membranes-beads suspension (50 μ l/well) is followed by distribution of the solution of [125 I]-[Nle⁴, D-Phe⁷]- α -MSH, 40 μ l/well (final concentration of 100 pM), for a final volume of 100 μ l/well. After incubation at ambient temperature for 6 h, counting is carried out in a Microbeta TriLux scintillation counter. The IC₅₀ value for the compounds corresponds to the concentration that displaces the specific binding of the radioligand by 50%.

It is thus determined that the compounds according to the invention exhibit affinity for MC3 and/or MC4 receptors. Their IC₅₀ values with respect to MC3 and MC4 receptors are less than 10 μ M, and for most of them between 1 nM and 1 μ M. As examples, compounds No. 1, 2 and 12 of the table exhibit, respectively, IC₅₀ values of 0.25 μ M, 0.57 μ M and 0.20 μ M with respect to the MC4 receptor.

Evaluation of the agonist activity of the compounds of formula (I) according to the invention,

with respect to MC3 and MC4 receptors

A functional assay is used to differentiate between the agonist activity and the antagonist activity. For this, the formation of cyclic adenosine monophosphate (cAMP) generated by activation of the MC3
5 receptor or of the MC4 receptor is assayed.

CHO-K1 cells, expressing the human MC4 receptor at a moderate density (Euroscreen), are seeded into DMEM/Nutrient Mix F12 culture medium (Gibco/BR1)
10 containing 10% of foetal calf serum, 0.5% sodium pyruvate, 1% L-glutamine, 1% non-essential amino acids, 200 mg/l hygromycin B and 0.5% PenStrep, these products being provided by Gibco/BR1, except the calf serum (Biowhittaker) and hygromycin B (Sigma).

15 CHO(dhfr-) cells expressing the human MC3 receptor are seeded into MEM Eagle culture medium (Sigma) containing 10% of dialysed calf serum, 1% L-glutamine, 1% sodium pyruvate, 20 mg/500 ml L-proline, 0.3 mg/ml Geneticin and 0.5% PenStrep, these
20 products being provided by Gibco/BRI, except for the dialysed calf serum (Cambrex) and the L-proline (Sigma).

The compounds to be tested (diluted in 10% DMSO), in an amount of 10 µl at a concentration of 10
25 times the final concentration, are added to the plates of cells (final volume = 100 µl/well). After incubation for 1 hour (37°C, 5% CO₂), the amount of cAMP is assayed

using Tropix kits (Appelera) according to the supplier's documentation. The intrinsic activity of the compounds is calculated by comparing the stimulation of cAMP by these compounds to the stimulation induced by 30 nM of NDP α MSH (maximum of 100%). The EC₅₀ value for the compounds corresponds to the concentration which produces 50% of the maximum stimulation obtained with this compound.

It is thus determined that the compounds according to the invention are MC3- and/or MC4-receptor agonists. They have EC₅₀ values with respect to MC3 and MC4 receptors of less than 10 μ M, and for most of them of between 1 nM and 1 μ M. As examples, compounds No. 1, 2 and 12 of the table have, respectively, EC₅₀ values of 0.20 μ M, 0.11 μ M and 0.10 μ M with respect to the MC3 receptor, and of 0.05 μ M, 0.06 μ M and 0.02 μ M with respect to the MC4 receptor.

As the compounds according to the invention exhibit melanocortin receptor agonist activity, they can therefore be used in the manufacture of medicaments. Thus, according to another of its aspects, a subject of the invention is medicaments which comprise a compound of formula (I), or an addition salt of the latter with a pharmaceutically acceptable acid, or else a hydrate or a solvate of the compound of formula (I).

These medicaments find their use in

therapeutics, in pathologies in which melanocortin receptors, in particular MC3 and/or MC4 receptors, are involved: this involves in particular the treatment and prevention of obesity, diabetes and sexual dysfunctions that can affect both sexes, such as erectile dysfunctions, cardiovascular diseases such as myocardial infarction or hypertension, and also in anti-inflammatory uses or in the treatment of alcohol dependency.

10 According to another of its aspects, the present invention relates to pharmaceutical compositions comprising, as active principle, a compound according to the invention. These pharmaceutical compositions contain an effective dose
15 of at least one compound according to the invention, or a pharmaceutically acceptable salt, or a hydrate or a solvate of said compound, and also at least one pharmaceutically acceptable excipient. Said excipients are chosen, according to the pharmaceutical form and
20 the method of administration desired, from the usual excipients that are known to those skilled in the art.

 In the pharmaceutical compositions of the present invention for oral, sublingual, subcutaneous, intramuscular, intravenous, topical, local,
25 intratracheal, intranasal, transdermal or rectal administration, the active principle of formula (I) above, or its possible salt, solvate or hydrate, can be

administered in unit administration form, as a mixture with conventional pharmaceutical excipients, to animals and to human beings for the prophylaxis or the treatment of the conditions or of the diseases above.

5 Suitable unit administration forms comprise oral forms such as tablets, soft or hard gelatin capsules, powders, granules and oral solutions or suspensions, sublingual, buccal, intratracheal, intraocular or intranasal administration forms, forms
10 for administration by inhalation, topical, transdermal, subcutaneous, intramuscular or intravenous administration forms, rectal administration forms, and implants. For topical application, the compounds according to the invention can be used in creams, gels,
15 ointments or lotions.

A preferred administration form is oral administration.

By way of example, a unit administration form of a compound according to the invention in the form of
20 a tablet can comprise the following constituents:

Compound according to the invention	50.0 mg
Mannitol	223.75 mg
Sodium croscarmellose	6.0 mg
Corn starch	15.0 mg
25 Hydroxypropylmethylcellulose	2.25 mg
Magnesium stearate	3.0 mg

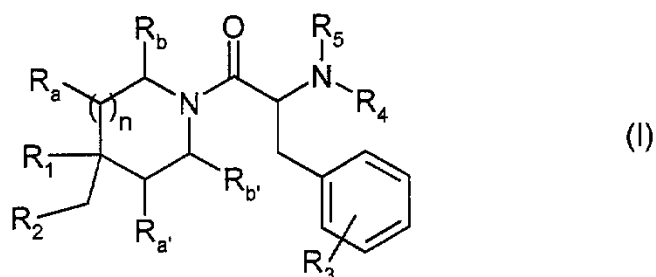
There may be specific cases where higher or

lower dosages are appropriate; such dosages do not depart from the context of the invention. According to the usual practice, the dosage appropriate for each patient is determined by the physician according to the
5 method of administration, and the weight and response of said patient.

According to another of its aspects, the present invention also relates to a method of treating the pathologies indicated above, which comprises the
10 administration, to a patient, of an effective dose of a compound according to the invention, or one of its pharmaceutically acceptable salts or hydrates or solvates.

CLAIMS

1. Compound corresponding to formula (I):



in which:

5 n is equal to 1,

 R_a, R_{a'}, R_b and R_{b'} are identical to or
different from one another and represent a hydrogen
atom or an alkyl or cycloalkyl group, it being possible
for R_b and R_{b'} to form, together with the carbon atoms
10 of the ring to which they are attached, a carbon bridge
comprising 4 or 5 members,

 R₁ represents an alkyl or cycloalkyl group,

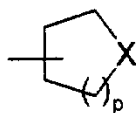
 R₂ represents a heteroaryl group,

 R₃ represents 1 to 3 groups, which may be
15 identical to or different from one another, located in
any positions of the ring to which they are attached
and chosen from halogen atoms, and alkyl, cycloalkyl, -
OR, -NRR', -CO-NRR', -NR-CO-R', -NR-CO-NRR', -NR-COOR',
-NO₂, -CN and -COOR groups,

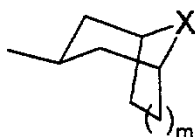
20 R₅ represents a hydrogen atom or an alkyl or
cycloalkyl group,

 R₄ is chosen from the groups of formulae (a),
(b) and (c), below, optionally substituted with an oxo

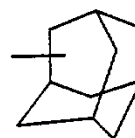
group or mono- or polysubstituted with an aryl or heteroaryl group:



(a)



(b)



(c)

in which:

5 $p = 0, 1, 2 \text{ or } 3,$

$m = 0, 1 \text{ or } 2,$

and either

a) **X** represents a ring member $-N(R_{10})-$, where R_{10} is chosen from:

10 a group $-(CH_2)_x-OR_8$, $-(CH_2)_x-COOR_8$,

$-(CH_2)_x-NR_8R_9$, $-(CH_2)_x-CO-NR_8R_9$ or $-(CH_2)_x-NR_8-COR_9$,

$-(CH_2)_x-COR_8$ in which $x = 1, 2, 3 \text{ or } 4,$

. a cycloalkyl or heterocycloalkyl group fused with an aryl or heteroaryl group,

15 a cycloalkyl, heterocycloalkyl, aryl, hetero-
aryl, alkylaryl, alkylheteroaryl, $-CO-$ alkyl, $-CO-$ cyclo-
alkyl, $-CO-$ heterocycloalkyl, $-CO-$ aryl, $-CO-$ heteroaryl,
 $-CO-$ alkylaryl, $-CO-$ alkylheteroaryl, $-CS-$ alkyl,
 $-CS-$ cycloalkyl, $-CS-$ heterocycloalkyl, $-CS-$ aryl,
20 $-CS-$ heteroaryl, $-CS-$ alkylaryl, $-CS-$ alkylheteroaryl,
 $-CS-NR_8R_9$, $-C(=NH)-NR_8R_9$, $-SO_2-$ alkyl, $-SO_2-$ cycloalkyl,
 $-SO_2-$ heterocycloalkyl, $-SO_2-$ aryl, $-SO_2-$ heteroaryl,
 $-SO_2-$ alkylaryl, $-SO_2-$ alkylheteroaryl or $-SO_2-NR_8R_9$ group,

the alkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl groups being optionally substituted with 1 or more groups chosen from halogen atoms, and the groups R, R', OR, NRR', -CO-NRR', -NRCOR', NRCONRR',
5 -NO₂, CN, -COOR, OCOR, COR, OCONRR', NRCOOR';

the cycloalkyl or heterocycloalkyl groups being optionally fused with an aryl or heteroaryl group;

or else R₁₀ forms, with the nitrogen atom to
10 which it is attached and a carbon atom located in any position of the cyclic structure of formula (a), but not adjacent to said nitrogen atom, a bridge comprising from 3 to 5 members,

R₈ and R₉ are chosen, independently of one
15 another, from a hydrogen atom, and alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkyl-heteroaryl, -CO-alkyl, -CO-cycloalkyl, -CO-heterocycloalkyl, -CO-aryl, -CO-heteroaryl, -CO-alkylaryl, -CO-alkylheteroaryl, -SO₂-alkyl, -SO₂-cycloalkyl,
20 -SO₂-heterocycloalkyl, -SO₂-aryl, -SO₂-heteroaryl, -SO₂-alkylaryl, -SO₂-alkylheteroaryl, -C(=NH)-NRR', -COOR, -CO-NRR', -CS-NRR' and -(CH₂)_x-OR groups, where x = 0, 1, 2, 3 or 4, the alkyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl groups being optionally
25 substituted with one or more groups chosen from halogen atoms, and the groups R, R', OR, NRR', -CO-NRR', -NRCOR', NRCONRR', -NO₂, CN, -COOR, OCOR, COR, OCONRR',

NRCOOR' ;

or else R_8 and R_9 together form a cycloalkyl
or a heterocycloalkyl;

R and R' represent, independently of one
5 another, a hydrogen atom, or an alkyl, cycloalkyl,
heterocycloalkyl, aryl, heteroaryl, alkylaryl or
alkylheteroaryl group, or can together form a cyclo-
alkyl or a heterocycloalkyl;

or,

10 b) X represents a ring member $-C(R_6)(R_7)-$,

where

R_6 is chosen from:

- . a hydrogen atom, a halogen atom,
- . a group $-(CH_2)_x-OR_8$, $-(CH_2)_x-COOR_8$,
- 15 $-(CH_2)_x-NR_8R_9$, $-(CH_2)_x-CO-NR_8R_9$ or $-(CH_2)_x-NR_8-COR_9$, in
which $x = 0, 1, 2, 3$ or 4 ,
- . an alkyl, cycloalkyl, heterocycloalkyl,
aryl, heteroaryl, alkylaryl, alkylheteroaryl,
-CO-alkyl, -CO-cycloalkyl, -CO-heterocycloalkyl,
- 20 -CO-aryl, -CO-heteroaryl, -CO-alkylaryl or -CO-alkyl-
heteroaryl, -CS-alkyl, -CS-cycloalkyl, -CS-heterocyclo-
alkyl, -CS-aryl, -CS-heteroaryl, -CS-alkylaryl,
-CS-alkylheteroaryl, -CS- NR_8R_9 or $-C(=NH)-NR_8R_9$ group,
- . a fused or nonfused cycloalkyl or hetero-
- 25 cycloalkyl group located in the spiro position on the
ring of formula (a) to which it is attached,
- . a cycloalkyl or heterocycloalkyl group

fused with an aryl or heteroaryl group,

the alkyl, cycloalkyl, heterocycloalkyl, aryl
or heteroaryl groups being optionally substituted with
1 or more groups chosen from halogen atoms, and the
5 groups R, R', OR, NRR', -CO-NRR', -NRCOR', NRCONRR',
-NO₂, CN, -COOR, OCOR, COR, OCONRR', NRCOOR';

the cycloalkyl or heterocycloalkyl groups
being optionally fused with an aryl or heteroaryl
group,

10 R₇ is chosen from hydrogen and halogen atoms,
and alkyl, cycloalkyl, aryl, heteroaryl, alkylaryl,
alkylheteroaryl, -OR, -O-aryl, -O-heteroaryl,
-O-alkylaryl, -O-alkylheteroaryl, -NRR', -CO-NRR',
-NR-CO-R', -NR-CO-NRR', -NR-COOR', -NO₂, -CN and -COOR
15 groups,

R₈ and R₉ are chosen, independently of one
another, from a hydrogen atom, and alkyl, cycloalkyl,
heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkyl-
heteroaryl, -CO-alkyl, -CO-cycloalkyl, -CO-hetero-
20 cycloalkyl, -CO-aryl, -CO-heteroaryl, -CO-alkylaryl,
-CO-alkylheteroaryl, -SO₂-alkyl, -SO₂-cycloalkyl,
-SO₂-heterocycloalkyl, -SO₂-aryl, -SO₂-heteroaryl,
-SO₂-alkylaryl, -SO₂-alkylheteroaryl, -C(=NH)-NRR',
-COOR, -CO-NRR', -CS-NRR' and -(CH₂)_x-OR groups, where
25 x = 0, 1, 2, 3 or 4, the alkyl, cycloalkyl, hetero-
cycloalkyl, aryl and heteroaryl groups being optionally
substituted with one or more groups chosen from halogen

atoms, and the groups R, R', OR, NRR', -CO-NRR',
-NRCOR', NRCONRR', -NO₂, CN, -COOR, OCOR, COR, OCONRR',
NRCONRR';

or else R₈ and R₉ together form a cycloalkyl
5 or a heterocycloalkyl;

R and R' represent, independently of one
another, a hydrogen atom, or an alkyl, cycloalkyl,
heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkyl-
heteroaryl group, or can together form a cycloalkyl or
10 a heterocycloalkyl,

in the form of a base or of an addition salt
with an acid, and also in the form of a hydrate or of a
solvate.

2. Compound of formula (I) according to
15 Claim 1, characterized in that R₄ is chosen from the
groups of formulae (a), (b) and (c) optionally mono- or
polysubstituted with an aryl or heteroaryl group where
X represents a ring member -C(R₆)(R₇)-, in which

R₆ is chosen from:

- 20 . a hydrogen atom,
. a group -(CH₂)_x-OR₈, -(CH₂)_x-COOR₈,
-(CH₂)_x-NR₈R₉, -(CH₂)_x-CO-NR₈R₉ or -(CH₂)_x-NR₈-COR₉, in
which x = 0, 1, 2, 3 or 4,
. an alkyl, cycloalkyl, heterocycloalkyl,
25 aryl, heteroaryl, alkylaryl, alkylheteroaryl, -CO-
alkyl, -CO-cycloalkyl, -CO-heterocycloalkyl, -CO-aryl,
-CO-heteroaryl, -CO-alkylaryl or -CO-alkylheteroaryl

group,

. a cycloalkyl or heterocycloalkyl group located in the spiro position on the ring of formula (a) to which it is attached,

5 . a cycloalkyl or heterocycloalkyl group fused with an aryl or heteroaryl group,

R_7 is chosen from hydrogen and halogen atoms, and alkyl, cycloalkyl, aryl, heteroaryl, alkylaryl, alkylheteroaryl, -OR, -O-aryl, -O-heteroaryl, -O-alkyl-
10 aryl, -O-alkylheteroaryl, -NRR', -CO-NRR', -NR-CO-R', -NR-CO-NRR', -NR-COOR', -NO₂, -CN and -COOR groups,

R_8 and R_9 are chosen, independently of one another, from a hydrogen atom, and alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkyl-
15 heteroaryl, -CO-alkyl, -CO-cycloalkyl, -CO-heterocycloalkyl, -CO-aryl, -CO-heteroaryl, -CO-alkylaryl, -CO-alkylheteroaryl, -SO₂-alkyl, -SO₂-cycloalkyl, -SO₂-heterocycloalkyl, -SO₂-aryl, -SO₂-heteroaryl, -SO₂-alkylaryl, -SO₂-alkylheteroaryl, -C(=NH)-NRR',
20 -COOR, -CO-NRR', -CS-NRR' and -(CH₂)_x-OR groups, where $x = 0, 1, 2, 3$ or 4 ;

R and R' represent, independently of one another, a hydrogen atom, or an alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkyl-
25 heteroaryl group.

3. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the

groups of formulae (a), (b) and (c) where X represents a ring member $-C(R_6)(R_7)-$, in which R_6 is chosen from a halogen atom, or a fused or nonfused cycloalkyl or heterocycloalkyl group located in the spiro position on the ring of formula (a) to which it is attached.

4. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-C(R_6)(R_7)-$, in which R_6 is chosen from
10 $-CS-alkyl$, $-CS-cycloalkyl$, $-CS-heterocycloalkyl$, $-CS-aryl$, $-CS-heteroaryl$, $-CS-alkylaryl$, $-CS-alkyl-heteroaryl$, $-CS-NR_8R_9$ and $-C(=NH)-NR_8R_9$.

5. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-C(R_6)(R_7)-$, in which the alkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl groups are optionally substituted with 1 or more groups chosen from R or R', OCOR, COR, OCONRR' and NR₈COOR'.

20 6. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-C(R_6)(R_7)-$, in which the cycloalkyl or heterocycloalkyl groups are optionally fused with an aryl or heteroaryl group.

7. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the

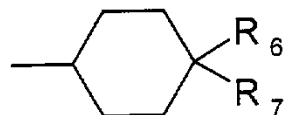
groups of formulae (a), (b) and (c) where X represents a ring member $-C(R_6)(R_7)-$, in which R_8 and R_9 , chosen independently of one another, represent alkyl, cycloalkyl, heterocycloalkyl, aryl and heteroaryl groups which are optionally substituted with one or more groups chosen from the groups R, R', COR, OCOR, OCONRR', NRCOOR';

or else R_8 and R_9 together form a cycloalkyl or a heterocycloalkyl.

10 8. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-C(R_6)(R_7)-$, in which R and R' can together form a cycloalkyl or a heterocycloalkyl.

15 9. Compound of formula (I) according to any one of Claims 1 to 8, characterized in that R_7 is hydrogen.

20 10. Compound of formula (I) according to one of Claims 1 to 9, characterized in that R_4 represents the group of formula a) where $p = 2$ as defined below:



25 11. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the groups of formulae (a), (b) and (c) optionally mono- or polysubstituted with an aryl or heteroaryl group where

X represents a ring member $-N(R_{10})-$ in which

R_{10} is chosen from:

a group $-CO-NR_8R_9$, $-COOR_8$,

a group $-(CH_2)_x-OR_8$, $-(CH_2)_x-COOR_8$,

5 $-(CH_2)_x-NR_8R_9$, $-(CH_2)_x-CO-NR_8R_9$ or $-(CH_2)_x-NR_8-COR_9$, in

which $x = 1, 2, 3$ or 4 ,

. a cycloalkyl or heterocycloalkyl group

fused with an aryl or heteroaryl group,

a cycloalkyl, heterocycloalkyl, aryl,

10 heteroaryl, alkylaryl, alkylheteroaryl, $-CO$ -cycloalkyl,

$-CO$ -heterocycloalkyl, $-CO$ -heteroaryl, $-CO$ -alkylaryl,

$-CO$ -alkylheteroaryl, $-CS$ -alkyl, $-CS$ -cycloalkyl,

$-CS$ -heterocycloalkyl, $-CS$ -aryl, $-CS$ -heteroaryl,

$-CS$ -alkylaryl, $-CS$ -alkylheteroaryl, $-CS-NR_8R_9$,

15 $-C(=NH)-NR_8R_9$, $-SO_2$ -cycloalkyl, $-SO_2$ -heterocycloalkyl,

$-SO_2$ -heteroaryl, $-SO_2$ -alkylaryl, $-SO_2$ -alkylheteroaryl or

$-SO_2-NR_8R_9$ group;

or else R_{10} forms, with the nitrogen atom to

which it is attached and a carbon atom located in any

20 position of the cyclic structure of formula (a), but

not adjacent to said nitrogen atom, a bridge comprising

from 3 to 5 members;

R_8 and R_9 are chosen, independently of one

another, from a hydrogen atom, and alkyl, cycloalkyl,

25 heterocycloalkyl, aryl, heteroaryl, alkylaryl, alkyl-

heteroaryl, $-CO$ -alkyl, $-CO$ -cycloalkyl, $-CO$ -heterocyclo-

alkyl, $-CO$ -aryl, $-CO$ -heteroaryl, $-CO$ -alkylaryl,

-CO-alkylheteroaryl, -SO₂-alkyl, -SO₂-cycloalkyl,
-SO₂-heterocycloalkyl, -SO₂-aryl, -SO₂-heteroaryl,
-SO₂-alkylaryl, -SO₂-alkylheteroaryl, -C(=NH)-NRR',
-COOR, -CO-NRR', -CS-NRR' and -(CH₂)_x-OR groups, where

5 x = 0, 1, 2, 3 or 4;

R and R' represent, independently of one another, a hydrogen atom, or an alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkylaryl or alkylheteroaryl group.

10 12. Compound of formula (I) according to Claim 1, characterized in that R₄ is chosen from the groups of formulae (a), (b) and (c) optionally substituted with an oxo group where X represents a ring member -N(R₁₀).

15 13. Compound of formula (I) according to Claim 1, characterized in that R₄ is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member -N(R₁₀)-, in which R₈ and R₉, chosen independently of one another, represent alkyl,
20 cycloalkyl, heterocycloalkyl, aryl and heteroaryl groups which are optionally substituted with one or more groups chosen from halogen atoms, and the groups R, R', OR, NRR', -CO-NRR', -OCOR, NRCOR', NRCONRR', COR, -NO₂, CN, -COOR, OCONRR', NRCOOR';

25 or else R₈ and R₉ together form a cycloalkyl or a heterocycloalkyl.

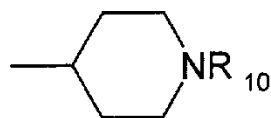
14. Compound of formula (I) according to

Claim 1, characterized in that R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-N(R_{10})-$, in which R_{10} is $-(CH_2)_x-COR_8$, in which $x = 1, 2, 3$ or 4 .

5 15. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents a ring member $-N(R_{10})-$, in which the alkyl, cycloalkyl, heterocycloalkyl, aryl or heteroaryl groups are
10 optionally substituted with one or more groups chosen from R, R' OCOR, COR, OCONRR' or NRCOOR'.

 16. Compound of formula (I) according to Claim 1, characterized in that R_4 is chosen from the groups of formulae (a), (b) and (c) where X represents
15 a ring member $-N(R_{10})-$, in which the cycloalkyl or heterocycloalkyl groups are optionally fused with an aryl or heteroaryl group.

 17. Compound of formula (I) according to one of Claims 1, and 11 to 16, characterized in that R_4
20 represents the group of formula a) where $p = 2$ as defined below:



 18. Compound of formula (I) according to any one of Claims 1 to 17, characterized in that R_1
25 represents a cycloalkyl group,

in the form of a base or of an addition salt with an acid, and also in the form of a hydrate or of a solvate.

19. Compound of formula (I) according to any
5 one of Claims 1 to 18, characterized in that R_2 represents a triazolyl group,

in the form of a base or of an addition salt with an acid, and also in the form of a hydrate or of a solvate.

10 20. Compound of formula (I) according to any one of Claims 1 to 19, characterized in that R_3 represents 1 to 3 groups, which may be identical to or different from one another, chosen from halogen atoms,

in the form of a base or of an addition salt
15 with an acid, and also in the form of a hydrate or of a solvate.

21. Compound of formula (I) according to any one of Claims 1 to 20, characterized in that R_5 represents a hydrogen atom,

20 in the form of a base or of an addition salt with an acid, and also in the form of a hydrate or of a solvate.

22. Compound of formula (I) according to any one of Claims 1 to 21, characterized in that:

25 - $n = 1$ and $R_a = R_{a'} = R_b = R_{b'} = H$, or
- $n = 1$, $R_a = R_{a'} = H$, and R_b and $R_{b'}$ form, together with the carbon atoms of the ring to which

they are attached, a carbon bridge comprising 4 members,

in the form of a base or of an addition salt with an acid, and also in the form of a hydrate or of a solvate.

23. Compounds having the following names:

- N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(2-phenylethyl)piperidin-4-amine
- 10 4-[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]phenol
- cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine
- 15 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine
- N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine
- 20 *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-9-methyl-9-azabicyclo[3.3.1]nonan-3-amine
- 25 *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-phenylpiperidin-4-amine

1-benzoyl-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

1-acetyl-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1,4-dioxaspiro[4.5]decan-8-amine

10 *N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N,N*-dimethylcyclohexane-1,4-diamine

4-(aminomethyl)-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine

3-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-8-methyl-8-azabicyclo[3.2.1]octan-6-ol

20 *cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanol

trans-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanol

25 *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(trifluoroacetyl)piperidin-4-amine

4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)piperidine-1-carboxamide

5 *cis*-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}amino)-1-phenylcyclohexanol

trans-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)-1-phenylcyclohexanol

10 *cis*-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}-N'-(4-fluorophenyl)cyclohexane-1,4-diamine

trans-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
15 oxoethyl}-N'-(4-fluorophenyl)cyclohexane-1,4-diamine

N-[*cis*-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]-2,2,2-trifluoro-
acetamide

20 N-[*trans*-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]-2,2,2-trifluoro-
acetamide

N-[*cis*-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
25 cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]acetamide

N-[*trans*-4-({(1R)-1-(4-chlorobenzyl)-2-[4-

cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]acetamide

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(4-fluorobenzoyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(cyclopentylcarbonyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(cyclobutylcarbonyl)piperidin-4-amine

cis-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-methylcyclohexane-1,4-diamine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(pyridin-2-ylcarbonyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(phenylacetyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(methylsulphonyl)piperidin-4-amine

N-[*cis*-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-N-methylacetamide

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-

(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-4-(1,3-dihydro-2H-isoindol-2-yl)cyclohexanamine

N-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-N-methylbenzamide

ethyl cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxylate

ethyl trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxylate

trans-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-phenylcyclohexane-1,4-diamine

cis-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-phenylcyclohexane-1,4-diamine

N'-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N-methyl-N-phenylcyclohexane-1,4-diamine

N'-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N-(4-fluorophenyl)-N-methylcyclohexane-1,4-diamine

cis or trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N,N-diethylcyclohexane-

carboxamide

cis or *trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-diethylcyclo-

5 hexanecarboxamide

cis or *trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-dimethylcyclohexane-carboxamide

10 *cis* or *trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N,N*-dimethylcyclohexane-carboxamide

cis-N-benzyl-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-methylcyclohexanecarboxamide

trans-N-benzyl-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-methylcyclohexanecarboxamide

20 *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclohexanamine

25

cis-4-({ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarboxamide

trans-4-({ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarboxamide

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-isonicotinoylpiperidin-4-amine

cis-4-({ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-1-(4-fluorophenyl)cyclohexanol

trans-4-({ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-1-(4-fluorophenyl)cyclohexanol

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(1-methyl-1*H*-imidazol-2-yl)carbonyl]-piperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(5-methylisoxazol-3-yl)carbonyl]piperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(3,4-difluorobenzoyl)piperidin-4-amine

1-[(1-*tert*-butyl-5-methyl-1*H*-pyrazol-3-yl)-

carbonyl]-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)piperidin-4-amine

5 N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-[(3,5-dimethylisoxazol-4-yl)carbonyl]-piperidin-4-amine

10 N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-(3-thienylcarbonyl)piperidin-4-amine

N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-(pyrrolidin-1-ylcarbonyl)piperidin-4-amine

15 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)amino)-N-phenylpiperidine-1-carboxamide

4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)amino)-N,N-dimethylpiperidine-1-carboxamide

20 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)amino)-N,N-diethylpiperidine-1-carboxamide

25 N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-(piperidin-1-ylcarbonyl)piperidin-4-amine

N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-

- ethyl}-1-(morpholin-4-ylcarbonyl)piperidin-4-amine
4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N-methyl-N-phenylpiperidine-1-carboxamide
5 N-benzyl-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)-N-methylpiperidine-1-carboxamide
N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
10 ethyl}-4-methoxycyclohexanamine
4-[4-(benzyloxy)phenyl]-N-{(1R)-1-(4-chloro-
benzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-yl-
methyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine
N-[cis-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
15 cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]-2-methoxyacetamide
2-{[cis-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]amino}-2-oxoethyl
20 acetate
2-(benzyloxy)-N-[cis-4-({(1R)-1-(4-chloro-
benzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-yl-
methyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-
acetamide
25 3-[cis-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]-1,3-oxazolidin-2-one

- 3-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-1,3-oxazolidin-2-one
- cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2-methoxyethyl)cyclohexane-1,4-diamine
- trans*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2-methoxyethyl)cyclohexane-1,4-diamine
- cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-morpholin-4-ylcyclohexanamine
- trans*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-morpholin-4-ylcyclohexanamine
- 1-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]pyrrolidin-2-one
- 1-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]pyrrolidin-2-one
- N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2-methoxyethoxy)cyclohexanamine
- ethyl 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)piperidine-1-carboxylate

methyl 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidine-1-carboxylate

5 N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(2S)-piperidin-2-ylcarbonyl]piperidin-4-amine

N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(2R)-piperidin-2-ylcarbonyl]piperidin-4-amine

10 cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarbonitrile

trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarbonitrile

1-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]piperidin-2-one

1-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]piperidin-2-one

N-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]propanamide

25 tert-butyl (2-([cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-

amino)-2-oxoethyl) carbamate

N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide

5 *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-2-hydroxyacetamide

N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-2,2-dimethyl-
10 propanamide

N-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-2,2-dimethyl-
15 propanamide

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-methoxyphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-methoxyphenyl)cyclohexane-1,4-diamine
20

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2*H*-tetrazol-5-yl)cyclohexanamine

25 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2*H*-tetrazol-5-yl)cyclohexanamine

2-([cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino)phenol

2-([trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino)phenol

4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl acetate

10 N^2 -[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-N,N-dimethylglycinamide

15 N^2 -[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-N,N-dimethylglycinamide

20 N^2 -[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide

N^2 -[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]glycinamide

25 4-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]piperazin-2-one

4-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-

cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]piperazin-2-one

cis-4-(4-acetylpiperazin-1-yl)-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine

trans-4-(4-acetylpiperazin-1-yl)-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexanamine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine

methyl N-[4-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]glycinate

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(2,2-difluoroethyl)piperidin-4-amine

cis-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-chlorophenyl)cyclohexane-1,4-diamine

trans-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-chlorophenyl)cyclohexane-1,4-diamine

4-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl pivalate

cis-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-fluoro-2-methylphenyl)cyclohexane-1,4-diamine

trans-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-fluoro-2-methylphenyl)cyclohexane-1,4-diamine

4-{[4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}benzonitrile

N-[4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]cyclopropanecarboxamide

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(6-methylpyridazin-3-yl)piperidin-4-amine

methyl [4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)piperidin-1-yl]acetate

cis or *trans*-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-morpholin-4-ylphenyl)cyclohexane-1,4-diamine

cis-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(2,4-difluorophenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2,4-difluorophenyl)cyclohexane-1,4-diamine

5 *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(5-fluoropyridin-2-yl)cyclohexane-1,4-diamine

10 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(5-fluoropyridin-2-yl)cyclohexane-1,4-diamine

15 *N*-1*H*-1,2,3-benzotriazol-5-yl-*N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyrimidin-2-ylpiperidin-4-amine

20 1-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]imidazolidin-2-one

25 1-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]imidazolidin-2-one

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-

ethyl}-1-cyclopropylpiperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(2*S*)-4,4-difluoropiperidin-2-yl]-

5 carbonyl}piperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4,4-difluorocyclohexanamine

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(3,4-difluorophenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(3,4-difluorophenyl)cyclohexane-1,4-diamine

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

cis-N'-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-ethyl-*N*-(4-fluoro-3-methoxyphenyl)cyclo-

hexane-1,4-diamine

trans-N'-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-ethyl-*N*-(4-fluoro-3-methoxyphenyl)cyclo-

5 hexane-1,4-diamine

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-[4-(trifluoromethyl)phenyl]cyclohexane-1,4-diamine

10 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-[4-(trifluoromethyl)phenyl]cyclohexane-1,4-diamine

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methylphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methylphenyl)cyclohexane-1,4-diamine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(4,4-difluoro-*L*-prolyl)piperidin-4-amine

25 1-(1*H*-benzimidazol-2-yl)-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

1-(2,1-benzisoxazol-3-ylcarbonyl)-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine

5 1-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino]butan-2-one

N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(2,2,2-trifluoroethyl)piperidin-4-amine

10 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-(4-methoxyphenyl)piperidine-1-carboxamide

15 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-(4-fluorophenyl)piperidine-1-carboxamide

20 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-(2,4-difluorophenyl)piperidine-1-carboxamide

4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-(3,4-difluorophenyl)piperidine-1-carboxamide

25 4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-(2-fluorophenyl)piperidine-1-carboxamide

4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N-(2-methoxyphenyl)piperidine-1-
carboxamide

5 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N-[4-(dimethylamino)phenyl]piperidine-1-
carboxamide

10 N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl)-1-[(5-fluoro-1H-indol-2-yl)carbonyl]piperidin-4-
amine

15 N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl)-1-(pyrazin-2-ylcarbonyl)piperidin-4-amine

N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl)-1-[(5-phenyl-1,3-oxazol-4-yl)carbonyl]piperidin-
4-amine

20 N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl)-1-(isoxazol-5-ylcarbonyl)piperidin-4-amine

25 3-[trans-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]-6-fluoro-1,3-
benzoxazol-2(3H)-one

3-[cis-4-({(1R)-1-(4-chlorobenzyl)-2-[4-

cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-6-fluoro-1,3-benzoxazol-2(3H)-one

5 N-[cis-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]pyridine-2-carboxamide

2-{[cis-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluorophenol
10 or

2-{[trans-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluorophenol

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
15 ethyl}-1-(quinolin-2-ylcarbonyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-1-[(3-methylpyridin-2-yl)carbonyl]piperidin-4-
20 amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-1-(1H-1,2,4-triazol-3-ylcarbonyl)piperidin-4-amine

25 N-[cis-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,1-benzisoxazole-3-

carboxamide

1-(1,3-benzothiazol-2-ylcarbonyl)-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

5 N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(6-methylpyridin-2-yl)carbonyl]piperidin-4-amine

10 1-(1-benzofuran-2-ylcarbonyl)-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

15 N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(6-fluoropyridin-2-yl)carbonyl]piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(1-phenyl-1H-pyrazol-5-yl)carbonyl]piperidin-4-amine

20 N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(2,4-difluorobenzoyl)piperidin-4-amine

25 *cis*-N-(1,3-benzothiazol-2-ylmethyl)-N'-(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

cis-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(1,3-thiazol-2-ylmethyl)cyclohexane-1,4-diamine

2-([cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino)-5-fluoro-N,N-dimethylbenzamide

2-([trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino)-5-fluoro-N,N-dimethylbenzamide

N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-[(6-phenylpyridin-2-yl)carbonyl]piperidin-4-amine

trans-N-(tert-butyl)-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxamide

cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-N-(3,4-difluorophenyl)cyclohexanecarboxamide

cis-N-((1S)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

trans-N-((1S)-1-(4-chlorobenzyl)-2-[4-cyclo-

hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine.

24. Compounds having the following names:

4-[4-({ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
5 hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]phenol

cis-*N*-{ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

10 *trans*-*N*-{ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

cis-*N*-{ (1*R*)-1-(4-chlorobenzyl)-2-[3-cyclo-
hexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo-
15 [3.2.1]oct-8-yl]-2-oxoethyl)cyclohexane-1,4-diamine

trans-*N*-{ (1*R*)-1-(4-chlorobenzyl)-2-[3-cyclo-
hexyl-3-(1*H*-1,2,4-triazol-1-ylmethyl)-8-azabicyclo-
[3.2.1]oct-8-yl]-2-oxoethyl)cyclohexane-1,4-diamine

N-{ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
20 (1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl)-1,4-dioxaspiro[4.5]decan-8-amine

N'-{ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl)-*N,N*-dimethylcyclohexane-1,4-diamine

25 4-(aminomethyl)-*N*-{ (1*R*)-1-(4-chlorobenzyl)-2-
[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-
1-yl]-2-oxoethyl)cyclohexanamine

cis-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanol

trans-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanol

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-phenylcyclohexanamine

cis-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-1-phenylcyclohexanol

trans-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-1-phenylcyclohexanol

cis-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-1-phenylcyclohexanecarbonitrile

trans-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-1-phenylcyclohexanecarbonitrile

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluorophenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluorophenyl)cyclohexane-1,4-diamine

N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2,2-trifluoroacetamide

5 *N*-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2,2-trifluoroacetamide

10 *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]acetamide

N-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]acetamide

15 *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-methylcyclohexane-1,4-diamine

20 *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-*N*-methylacetamide

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(1,3-dihydro-2*H*-isoindol-2-yl)cyclohexanamine

25 *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-*N*-methylbenzamide

ethyl *cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxylate

5 ethyl *trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxylate

cis-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(trifluoromethyl)cyclohexanamine

10 *trans*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(trifluoromethyl)cyclohexanamine

trans-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-phenylcyclohexane-1,4-diamine

15 *cis*-*N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-phenylcyclohexane-1,4-diamine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2,5-dimethyl-2,5-dihydro-1*H*-pyrrol-1-yl)-cyclohexanamine

20 *N*-benzyl-*N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-methylcyclohexane-1,4-diamine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-

ethyl}-4-pyrrolidin-1-ylcyclohexanamine

2-{[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino}ethanol

5 2-{benzyl[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino}ethanol

N'-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-methyl-*N*-phenylcyclohexane-1,4-diamine

N'-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-(4-fluorophenyl)-*N*-methylcyclohexane-1,4-diamine

15 *cis* or *trans*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxylic acid

cis or *trans*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxylic acid

20 *cis* or *trans*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N,N*-diethylcyclohexane-carboxamide

25 *cis* or *trans*-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N,N*-diethylcyclohexane-

carboxamide

cis or *trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N,N*-dimethylcyclohexane-

5 carboxamide

cis or *trans*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N,N*-dimethylcyclohexane-

carboxamide.

10 25. Compounds having the following names:

cis-*N*-benzyl-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N*-methylcyclohexanecarboxamide

15 *trans*-*N*-benzyl-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-*N*-methylcyclohexanecarboxamide

cis-*N*-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclo-

20 hexanamine

trans-*N*-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-(3-methyl-1,2,4-oxadiazol-5-yl)cyclo-

hexanamine

25 *cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxamide

trans-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexanecarboxamide

cis-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)cyclohexanol

trans-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-1-(4-fluorophenyl)cyclohexanol

N-{ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4-methoxycyclohexanamine

4-[4-(benzyloxy)phenyl]-*N*-{ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexanamine

4-(benzyloxy)-*N*-{ (1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexanamine

N-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-2-methoxyacetamide

2-(benzyloxy)-*N*-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-acetamide

3-[*cis*-4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

- yl]-2-oxoethyl}amino)cyclohexyl]-1,3-oxazolidin-2-one
3-[trans-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-1,3-oxazolidin-2-one
- 5 *cis*-N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(2-methoxyethyl)cyclohexane-1,4-diamine
trans-N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(2-methoxyethyl)cyclohexane-1,4-diamine
- 10 *cis*-N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-morpholin-4-yl)cyclohexanamine
trans-N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-morpholin-4-yl)cyclohexanamine
- 15 1-[*cis*-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]pyrrolidin-2-one
1-[*trans*-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]pyrrolidin-2-one
- 20 N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2-methoxyethoxy)cyclohexanamine
cis-4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
- 25

oxoethyl}amino)cyclohexanecarbonitrile

trans-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexanecarbonitrile

5 1-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]piperidin-2-one

1-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]piperidin-2-one

10 *N*-[4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]propanamide

N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]glycinamide

N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2-hydroxyacetamide

20 *N*-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2-dimethylpropanamide

N-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,2-dimethylpropanamide

25

- cis*-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-methoxyphenyl)cyclohexane-1,4-diamine
- trans*-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-N'-(4-methoxyphenyl)cyclohexane-1,4-diamine
- cis*-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2*H*-tetrazol-5-yl)cyclohexanamine
- trans*-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-4-(2*H*-tetrazol-5-yl)cyclohexanamine
- 2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}phenol
- 2-{[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}phenol
- 4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl acetate
- N²-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-N,N-dimethylglycinamide
- N²-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-

yl]-2-oxoethyl}amino)cyclohexyl]-N,N-dimethyl-
glycinamide

N^2 -[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
5 yl]-2-oxoethyl}amino)cyclohexyl]glycinamide

N^2 -[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]glycinamide

4-[cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-
10 cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]piperazin-2-one

4-[trans-4-((1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)cyclohexyl]piperazin-2-one

15 cis-4-(4-acetylpiperazin-1-yl)-N-((1R)-1-(4-
chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-
ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexanamine

trans-4-(4-acetylpiperazin-1-yl)-N-((1R)-1-
(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-
20 ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexanamine

cis-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl)-N'-(4-chlorophenyl)cyclohexane-1,4-diamine

trans-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
25 hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl)-N'-(4-chlorophenyl)cyclohexane-1,4-diamine

4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-

4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}amino)cyclohexyl pivalate

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-2-methylphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-2-methylphenyl)cyclohexane-1,4-diamine

4-{[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino}benzonitrile

N-[4-((1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]cyclopropanecarboxamide

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2,4-difluorophenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(2,4-difluorophenyl)cyclohexane-1,4-diamine

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(5-fluoropyridin-2-yl)cyclohexane-1,4-

diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(5-fluoropyridin-2-yl)cyclohexane-1,4-

5 diamine

N-1*H*-1,2,3-benzotriazol-5-yl-*N'*-(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)cyclohexane-1,4-diamine

10 1-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]imidazolidin-2-one

1-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]imidazolidin-2-one

15 *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(3,4-difluorophenyl)cyclohexane-1,4-diamine

20 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(3,4-difluorophenyl)cyclohexane-1,4-diamine

25 *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

trans-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

5 *cis-N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-ethyl-*N*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

10 *trans-N'*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N*-ethyl-*N*-(4-fluoro-3-methoxyphenyl)cyclohexane-1,4-diamine

15 *cis-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-[4-(trifluoromethyl)phenyl]cyclohexane-1,4-diamine

20 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-[4-(trifluoromethyl)phenyl]cyclohexane-1,4-diamine

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methylphenyl)cyclohexane-1,4-diamine

25 *trans-N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(4-fluoro-3-methylphenyl)cyclohexane-1,4-

diamine

3-[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-6-fluoro-1,3-

5 benzoxazol-2(3*H*)-one

3-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-6-fluoro-1,3-

benzoxazol-2(3*H*)-one

10 N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]pyridine-2-carboxamide

2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluorophenol

15 or

2-{[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluorophenol

20 N-[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]-2,1-benzisoxazole-3-carboxamide

cis-N-(1,3-benzothiazol-2-ylmethyl)-N'-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine

25

cis-N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-*N'*-(1,3-thiazol-2-ylmethyl)cyclohexane-1,4-diamine

5 2-{[*cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-*N,N*-dimethylbenzamide

10 2-{[*trans*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]amino}-5-fluoro-*N,N*-dimethylbenzamide

15 *trans-N*-(*tert*-butyl)-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexane-carboxamide

20 *cis*-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)-*N*-(3,4-difluorophenyl)cyclohexane-carboxamide

cis-N-{(1*S*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine

25 *trans-N*-{(1*S*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}cyclohexane-1,4-diamine.

26. Compounds having the following names:

2-([cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]amino)-2-oxoethyl acetate

5 tert-butyl 2-([cis-4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)cyclohexyl]-amino)-2-oxoethyl carbamate

 cis or trans-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-N'-(4-morpholin-4-ylphenyl)cyclohexane-1,4-diamine

 N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-4,4-difluorocyclohexanamine.

15 27. Compounds having the following names:

 1-benzyl-N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine

20 N-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)-1-(2-phenylethyl)piperidin-4-amine

 2-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidin-1-yl]ethanol

25 3-[4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-

- oxoethyl}amino)piperidin-1-yl]propan-1-ol
4-[4-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)piperidin-1-yl]butan-1-ol
- 5 tert-butyl 4-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)piperidine-1-carboxylate
N-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-azabicyclo[3.2.1]octan-3-amine
- 10 N-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-8-methyl-8-azabicyclo[3.2.1]octan-3-amine
N-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-9-methyl-9-azabicyclo[3.3.1]nonan-3-amine
- 15 N-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}quinuclidin-3-amine
- 20 N-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}azepan-4-amine
N-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-3-amine
- 25 N-({ (1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-

ethyl}-1-phenylpiperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[3-cyclohexyl-3-(1H-1,2,4-triazol-1-ylmethyl)-8-azabicyclo[3.2.1]oct-8-yl]-2-oxoethyl}piperidin-4-amine

5 1-benzyl-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}pyrrolidin-3-amine

1-benzoyl-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

10

1-acetyl-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}piperidin-4-amine

3-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)-8-methyl-8-azabicyclo[3.2.1]octan-6-ol

15

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(trifluoroacetyl)piperidin-4-amine

4-((1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)amino)piperidine-1-carboxamide

20

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(4-fluorobenzoyl)piperidin-4-amine

25

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-

ethyl}-1-(cyclopentylcarbonyl)piperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-1-(cyclobutylcarbonyl)piperidin-4-amine

5 *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-1-[(4-methylphenyl)sulphonyl]piperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-1-(pyridin-2-ylcarbonyl)piperidin-4-amine

10 *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-1-(phenylacetyl)piperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-1-(methylsulphonyl)piperidin-4-amine

15 *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-2-phenylpiperidin-4-amine

20 (1*S*,3*R*,5*S*,7*S*)-4-({(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)adamantan-1-ol.

28. Compounds having the following names:

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl}-1-isonicotinoylpiperidin-4-amine

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-

(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-[(1-methyl-1H-imidazol-2-yl)carbonyl]-piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-[(5-methylisoxazol-3-yl)carbonyl]piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-(3,4-difluorobenzoyl)piperidin-4-amine

1-[(1-tert-butyl-5-methyl-1H-pyrazol-3-yl)carbonyl]-N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl)piperidin-4-amine

15 N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-[(3,5-dimethylisoxazol-4-yl)carbonyl]-piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-(3-thienylcarbonyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)-1-(pyrrolidin-1-ylcarbonyl)piperidin-4-amine

25 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl)amino)-N-phenylpiperidine-1-carboxamide

4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N,N-dimethylpiperidine-1-carboxamide

5 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N,N-diethylpiperidine-1-carboxamide

N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}-1-(piperidin-1-ylcarbonyl)piperidin-4-amine

10 N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}-1-(morpholin-4-ylcarbonyl)piperidin-4-amine

4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N-methyl-N-phenylpiperidine-1-carboxamide

15 N-benzyl-4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)-N-methylpiperidine-1-carboxamide

ethyl 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclo-
20 hexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-
oxoethyl}amino)piperidine-1-carboxylate

methyl 4-({(1R)-1-(4-chlorobenzyl)-2-[4-
cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-
yl]-2-oxoethyl}amino)piperidine-1-carboxylate

25 N-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}-1-[(2S)-piperidin-2-ylcarbonyl]piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(2R)-piperidin-2-ylcarbonyl]piperidin-4-amine

5 N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyridin-2-ylpiperidin-4-amine

methyl N-[4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)cyclohexyl]glycinate

10 N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(2,2-difluoroethyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-(6-methylpyridazin-3-yl)piperidin-4-amine

15 methyl [4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}amino)piperidin-1-yl]acetate

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-pyrimidin-2-ylpiperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-cyclopropylpiperidin-4-amine

25 N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(2S)-4,4-difluoropiperidin-2-yl]carbonyl}-

piperidin-4-amine

$N-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-}(1H\text{-}1,2,4\text{-triazol-}1\text{-ylmethyl})\text{piperidin-}1\text{-yl}]-2\text{-oxo-ethyl}\}-1-(4,4\text{-difluoro-L-prolyl})\text{piperidin-}4\text{-amine}$

5 $1-(1H\text{-benzimidazol-}2\text{-yl})-N-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-}(1H\text{-}1,2,4\text{-triazol-}1\text{-ylmethyl})\text{piperidin-}1\text{-yl}]-2\text{-oxoethyl}\}\text{piperidin-}4\text{-amine}$

$1-(2,1\text{-benzisoxazol-}3\text{-ylcarbonyl})-N-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-}(1H\text{-}1,2,4\text{-triazol-}1\text{-ylmethyl})\text{piperidin-}1\text{-yl}]-2\text{-oxoethyl}\}\text{piperidin-}4\text{-amine}$

10

$N-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-}(1H\text{-}1,2,4\text{-triazol-}1\text{-ylmethyl})\text{piperidin-}1\text{-yl}]-2\text{-oxoethyl}\}-1-(2,2,2\text{-trifluoroethyl})\text{piperidin-}4\text{-amine}$

$4-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-}(1H\text{-}1,2,4\text{-triazol-}1\text{-ylmethyl})\text{piperidin-}1\text{-yl}]-2\text{-oxoethyl}\}\text{amino})-N-(4\text{-methoxyphenyl})\text{piperidine-}1\text{-carboxamide}$

15

$4-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-}(1H\text{-}1,2,4\text{-triazol-}1\text{-ylmethyl})\text{piperidin-}1\text{-yl}]-2\text{-oxoethyl}\}\text{amino})-N-(4\text{-fluorophenyl})\text{piperidine-}1\text{-carboxamide}$

20

$4-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-}(1H\text{-}1,2,4\text{-triazol-}1\text{-ylmethyl})\text{piperidin-}1\text{-yl}]-2\text{-oxoethyl}\}\text{amino})-N-(2,4\text{-difluorophenyl})\text{piperidine-}1\text{-carboxamide}$

25 $4-\{(1R)-1-(4\text{-chlorobenzyl})-2-[4\text{-cyclohexyl-4-}(1H\text{-}1,2,4\text{-triazol-}1\text{-ylmethyl})\text{piperidin-}1\text{-yl}]-2\text{-oxoethyl}\}\text{amino})-N-(3,4\text{-difluorophenyl})\text{piperidine-}1\text{-}$

carboxamide

4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N-(2-fluorophenyl)piperidine-1-carboxamide

5 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N-(2-methoxyphenyl)piperidine-1-
carboxamide

10 4-({(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-
4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}amino)-N-[4-(dimethylamino)phenyl]piperidine-1-
carboxamide

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}-1-[(5-fluoro-1H-indol-2-yl)carbonyl]piperidin-4-
15 amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}-1-(pyrazin-2-ylcarbonyl)piperidin-4-amine

20 N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
ethyl}-1-(isoxazol-5-ylcarbonyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-
25 ethyl}-1-(quinolin-2-ylcarbonyl)piperidin-4-amine

N-{(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-
(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-

ethyl}-1-[(3-methylpyridin-2-yl)carbonyl]piperidin-4-amine

N-[(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl]-1-(1H-1,2,4-triazol-3-ylcarbonyl)piperidin-4-amine

1-[(1,3-benzothiazol-2-ylcarbonyl)-N-[(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl]piperidin-4-amine

10 N-[(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl]-1-[(6-methylpyridin-2-yl)carbonyl]piperidin-4-amine

1-[(1-benzofuran-2-ylcarbonyl)-N-[(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl]piperidin-4-amine

15 N-[(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl]-1-[(6-fluoropyridin-2-yl)carbonyl]piperidin-4-amine

20 amine

N-[(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxo-ethyl]-1-(2,4-difluorobenzoyl)piperidin-4-amine.

29. Compounds having the following names:

25 1-[4-[(1R)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl]amino]piperidin-1-yl]butan-2-one

N-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(5-phenyl-1,3-oxazol-4-yl)carbonyl]piperidin-4-amine

5 *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(1-phenyl-1*H*-pyrazol-5-yl)carbonyl]piperidin-4-amine

10 *N*-{(1*R*)-1-(4-chlorobenzyl)-2-[4-cyclohexyl-4-(1*H*-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethyl}-1-[(6-phenylpyridin-2-yl)carbonyl]piperidin-4-amine.

30. Medicament, characterized in that it comprises a compound of formula (I) according to any
15 one of Claims 1 to 29, or an addition salt of this compound with a pharmaceutically acceptable acid, or else a hydrate or a solvate of the compound of formula (I).

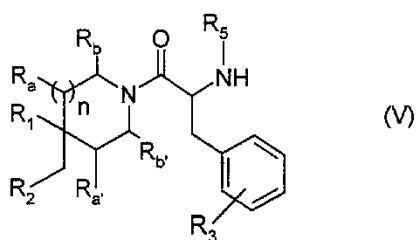
31. Pharmaceutical composition,
20 characterized in that it comprises a compound of formula (I) according to any one of Claims 1 to 29, or a pharmaceutically acceptable salt, a hydrate or a solvate of this compound, and also at least one pharmaceutically acceptable excipient.

25 32. Use of a compound of formula (I) according to any one of Claims 1 to 29, in the manufacture of a medicament for use in the treatment

and in the prevention of obesity, diabetes and sexual dysfunctions that can affect both sexes, in the treatment of cardiovascular diseases, and also in anti-inflammatory uses or in the treatment of alcohol
 5 dependency.

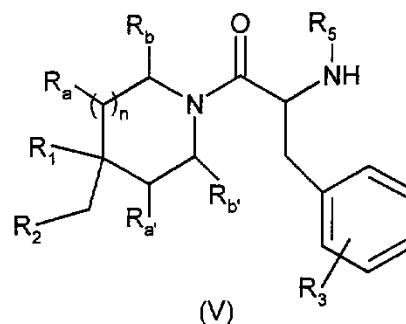
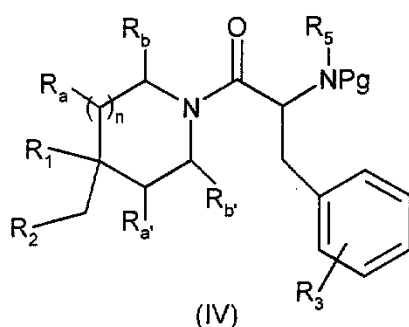
33. Use according to Claim 32, characterized in that said sexual dysfunctions consist of erectile dysfunctions.

34. Method for preparing a compound of
 10 formula (I) according to any one of Claims 1 to 22, characterized in that a reductive amination of a compound of formula (V):



is carried out in the presence of a
 15 derivative of the group R₄ of ketone type, R₁, R₂, R₃, R₄, R₅, R_a, R_{a'}, R_b, R_{b'} and n being as defined in any one of Claims 1 to 22.

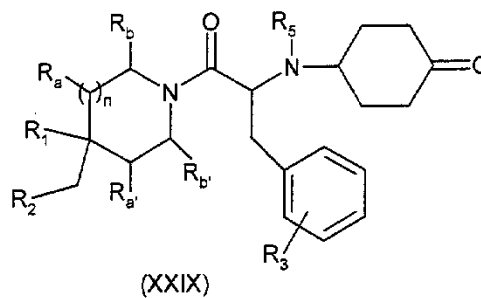
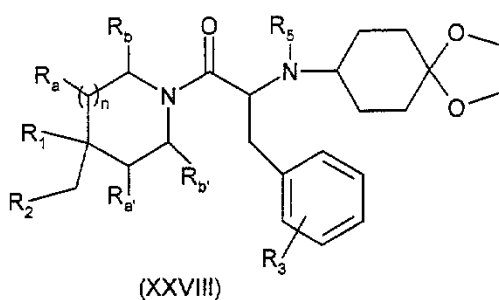
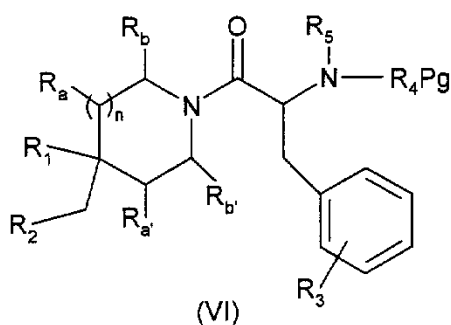
35. Compounds of formulae (IV) and (V):



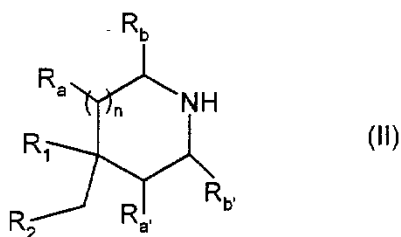
in which R_1 , R_a , $R_{a'}$, R_b and $R_{b'}$ are as defined in any one of Claims 1 to 22, Pg represents a protective group, and:

$n = 1$, R_a and $R_{a'}$, which may be identical to or different from one another, represent a hydrogen atom, or an alkyl or cycloalkyl group, and R_b and $R_{b'}$ form, together with the carbon atoms of the ring to which they are attached, a carbon bridge comprising 4 or 5 members.

36. Compounds of formulae (VI), (XXVIII) and (XXIX), in which R_1 , R_2 , R_3 , R_5 , R_a , $R_{a'}$, R_b , $R_{b'}$ and n are as defined in any one of Claims 1 to 22:



37. Compounds of formula (II):



in which R_1 , R_a , $R_{a'}$, R_b and $R_{b'}$ are as defined in any one of Claims 1 to 22, Pg represents a protective group, and:

$n = 1$, R_a and $R_{a'}$, which may be identical to
5 or different from one another, represent a hydrogen atom, or an alkyl or cycloalkyl group, and R_b and $R_{b'}$ form, together with the carbon atoms of the ring to which they are attached, a carbon bridge comprising 4 or 5 members.