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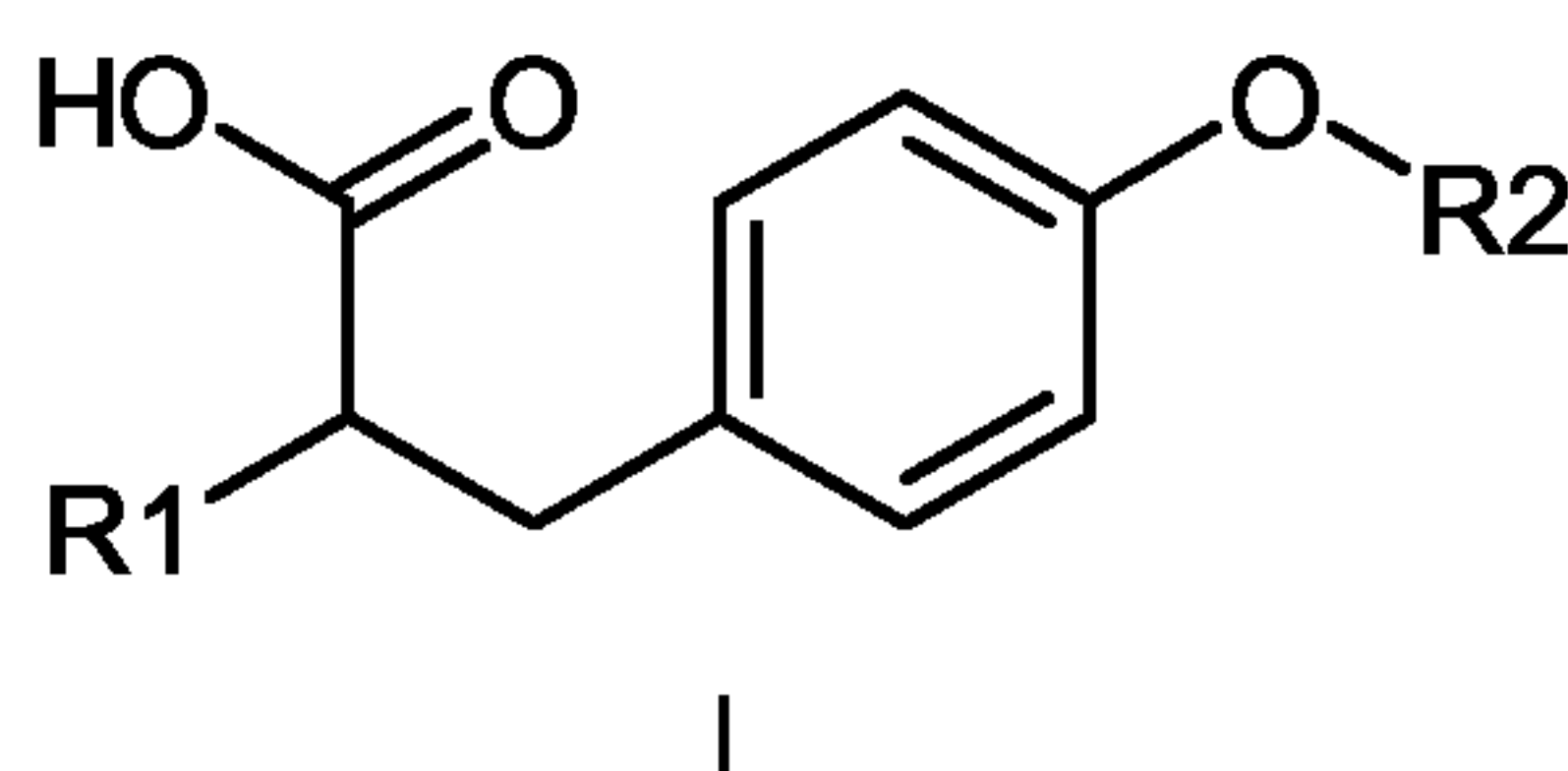
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(71) Demandeur/Applicant:
LABORATORIOS SALVAT, S.A., ES

(72) Inventeurs/Inventors:
SERRA COMAS, CARMEN, ES;
FERNANDEZ SERRAT, ANNA, FR;
BALSA LOPEZ, DOLORS, ES;
...

(54) Titre : NOUVEAUX DERIVES DE TYROSINE UTILISES EN TANT QUE MODULATEURS DES RECEPTEURS PPAR-GAMMA

(54) Title: TYROSINE DERIVATIVES AS PPAR-GAMMA-MODULATORS



(57) Abrégé/Abstract:

Compounds of general formula I, and the salts and solvates thereof, wherein R1 represents the radical 2-benzoylphenylamino; R2 represents $-(CH_2)_s-N(COR_3)-A-J-T$ or $-(CH_2)_s-N(R_4)-B-J-T$; and s, R3, R4, A, B, J and T have the meanings disclosed in the description. These compounds are PPAR γ modulators and, therefore, are useful for the treatment or prevention of a condition or a disease mediated by these receptors.



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(72) **Inventeurs(suite)/Inventors(continued)**: MASIP MASIP, ISABEL, ES; CATENA RUIZ, JUAN LORENZO, ES; HIDALGO RODRIGUEZ, JOSE, ES; LAGUNAS ARNAL, CARMEN, ES; SALCEDO ROCA, CAROLINA, ES; FERNANDEZ GARCIA, ANDRES, ES

(74) **Agent**: MACRAE & CO.

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FERNANDEZ GARCIA, Andrés [ES/ES]; Calle Josep Irla, 6, E-08034 BARCELONA (ES).

(74) Agents: **RAMBELLI, Paolo** et al.; Corso Emilia 8, I-10152 TORINO (IT).

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(71) Applicant (*for all designated States except US*): **Laboratorios S.A.L.V.A.T., S.A.** [ES/ES]; Calle Gall 30-36, E-08950 ESPLUGUES DE LLOBREGAT (Barcelona) (ES).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **SERRA COMAS, Carmen** [ES/ES]; Calle Buenos Aires, 12-14, E-08902 L'HOSPITALET DE LLOBREGAT (ES). **FERNANDEZ SERRAT, Anna** [ES/FR]; Chemin du Pain du Sucre, maison 86, F-06800 CAGNES SUR MER (FR). **BALSA LOPEZ, Dolors** [ES/ES]; Calle General Weyler, 93, E-08912 BADALONA (ES). **MASIP MASIP, Isabel** [ES/ES]; Gran Via de les Corts Catalanes, 317, E-08014 BARCELONA (ES). **CATENA RUIZ, Juan Lorenzo** [ES/ES]; Calle Barcelona, 91, E-08901 L'HOSPITALET DE LLOBREGAT (ES). **HIDALGO RODRIGUEZ, José** [ES/ES]; Calle La Pau, 13, E-08105 SANT FOST DE CAMPSENTELLES (ES). **LAGUNAS ARNAL, Carmen** [ES/ES]; C/González Tablas 11, E-08034 Barcelona (ES). **SALCEDO ROCA, Carolina** [ES/ES]; Avinguda Mare de Deu de Lourdes, 79, E-08757 CORBERA (ES).

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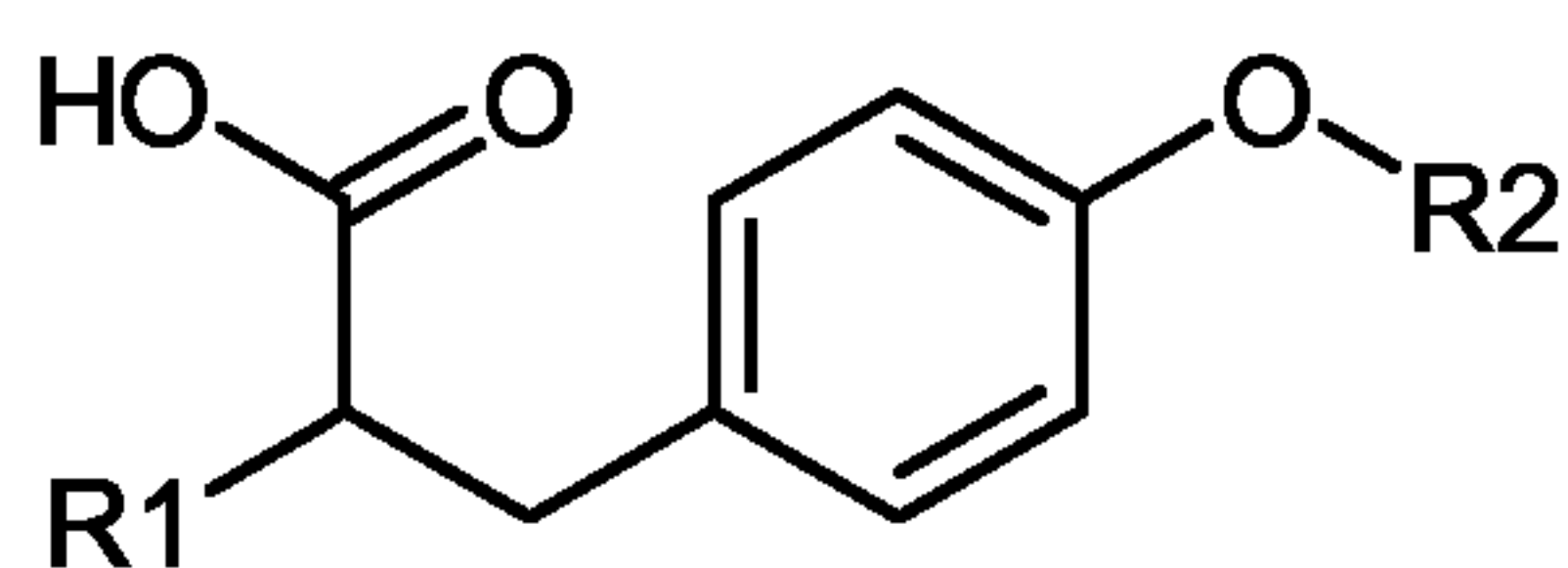
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(54) Title: TYROSINE DERIVATIVES AS PPAR-GAMMA-MODULATORS



(I)

(57) Abstract: Compounds of general formula I, and the salts and solvates thereof, wherein R1 represents the radical 2-benzoylphenylamino; R2 represents $-(CH_2)_s-N(COR_3)-A-J-T$ or $-(CH_2)_s-N(R_4)-B-J-T$; and s, R3, R4, A, B, J and T have the meanings disclosed in the description. These compounds are PPAR γ modulators and, therefore, are useful for the treatment or prevention of a condition or a disease mediated by these receptors.

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New tyrosine derivatives as PPAR γ modulators

The present invention relates to new tyrosine derivatives acting as PPAR γ modulators, as well as to processes and intermediates useful for their preparation, to pharmaceutical compositions containing them and their application in medicine.

BACKGROUND ART

Peroxisome proliferator activated receptors (PPARs) belong to the superfamily of transcription factors known as nuclear receptors. This family includes steroid, retinoid and thyroid hormone receptors. Three sub-types of PPARs have been identified in humans, rodents and *Xenopus laevis*. They are PPAR α , PPAR β/δ and PPAR γ , each encoded by a different gene and showing different tissue distribution.

The gene encoding for PPAR γ is transcribed in humans in three different mRNA isoforms (PPAR γ 1, PPAR γ 2 and PPAR γ 3) through different splicing and promoter usage (Fajas *et al.*, *J. Biol. Chem.* 1997, vol. 272, p. 18779-18789). The PPAR γ 1 isoform shows a wide tissular distribution, while PPAR γ 2 and PPAR γ 3 are confined to certain tissues: PPAR γ 2 is expressed only in adipose tissue and PPAR γ 3 in adipose tissue as well as in macrophages (Fajas *et al.*, *FEBS Lett.* 1998, vol. 438, p. 55-60).

Differences detected in tissue distribution as well as in the activation profile of the PPAR γ isoforms suggest they are involved in a variety of physiological functions playing a central role in glucose homeostasis and lipid metabolism (Vamecq *et al.*, *Lancet* 1999, vol. 354, p. 141-148). These functions include, for example, lipidic transport in plasma and catabolism of fatty acids, regulation of insulin sensitivity and blood glucose levels, differentiation of macrophages that form atherosclerotic plaques, inflammatory response, carcinogenesis, hyperplasia, and adipocyte differentiation, the latter being the most verified function of the PPAR γ (Grimaldi, *Prog. Lipid Res.* 2001, vol. 40, p. 269-281; Schiller *et al.*, *J. Biol. Chem.* 2001, vol. 276, p. 14133-14137). Thus, the discovery of these transcription factors has provided new pharmacological targets for the development of useful therapeutic agents for the prevention and treatment of metabolic diseases such as diabetes, obesity and dyslipidaemia.

Non-insulin dependent diabetes mellitus (NIDDM) or type 2 diabetes is characterized by an insulin resistance in peripheral tissues, including muscle,

liver, and adipose tissue. Glitazones, selective PPAR γ agonist compounds, are drugs that reduce insulin resistance and lower blood glucose levels. Currently two products belonging to this family, rosiglitazone and pioglitazone, have been approved for the treatment of type 2 diabetes in humans.

5 A great effort has been made in recent years to design new drugs that improve the side effect profile of the first glitazones, show a greater affinity as a PPAR γ ligands, and increase their potency in type 2 diabetes. This rational design has yielded structurally diverse compounds that show great potency and selectivity (e.g. farglitazar).

10 PPAR γ agonists have had shortcomings which have so far detracted from their attractiveness, such as liver toxicity (especially troglitazone), weight gain, edema, heart weight gain (in rodents) and adiposity, as well as modest efficacy in monotherapy for type 2 diabetes. These facts have provided an incentive to develop improved insulin sensitizers.

15 Compounds totally or partially blocking PPAR γ activity have demonstrated to inhibit adipocyte differentiation. Thus, full antagonists constitute an effective treatment for obesity. Moreover, compounds that are partial agonists in addition of being antagonists may be particularly desirable because they are effective in treating not only obesity but also in controlling
20 hyperglycemia. The PPAR γ antagonists/partial agonists are therefore effective in treating obesity and other symptoms that generally occur in non-insulin dependent diabetes, such as elevated plasma levels of glucose, triglycerides, and insulin.

25 Recently, there have been reports of compounds that are PPAR γ antagonists or partial agonists (WO01/30343, WO02/08188, WO2004/020408), which are useful for the treatment of obesity and type 2 diabetes, with reduced side effects (Berger *et al.*, *Trends Pharmacol. Sci.* 2005, vol. 26, p. 244-51).

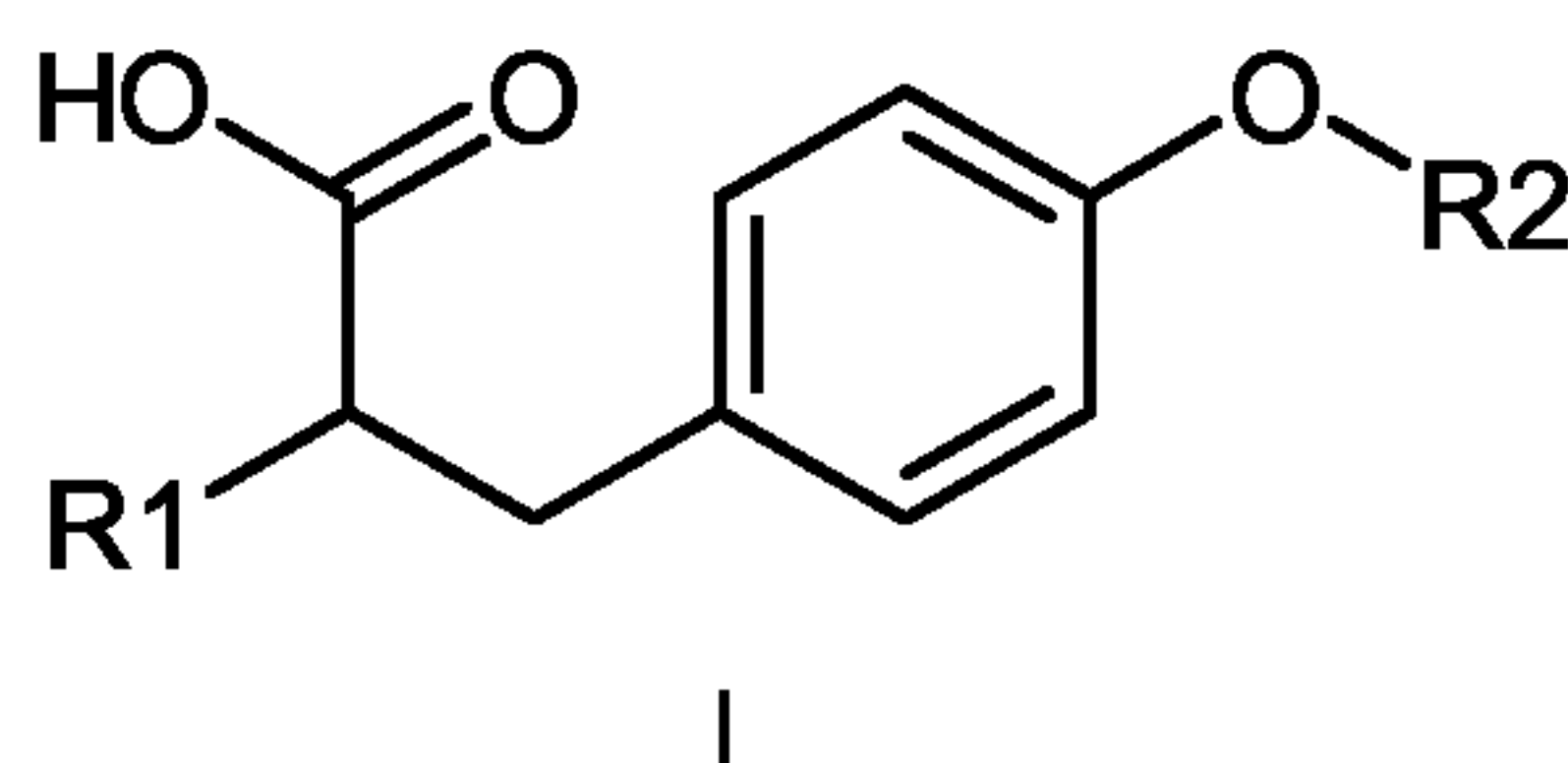
30 Examples of partial agonists in clinical development for diabetes are (-)-halofenate (metaglidasen), FK 614 (Minoura *et al.*, *Eur. J. Pharmacol.* 2004, vol. 494, p. 273-8), T131 (Li *et al.*, 64th *Annu. Meet. Sci. Sess. Am. Diabetes Assoc.* (Jun 4-Jun 8, Orlando) 2004, Abst 659-P), LY818 (Reifel-Miller *et al.*, *Diabetes* 2003, 52 (Suppl. 1): Abst 614-P) and telmisartan, an angiotensin II blocker approved for the treatment of hypertension, with PPAR
35 partial agonistic activity at concentrations achievable at plasmatic levels during treatment (Kurtz *et al.*, *Acta Diabetol.* 2005; vol. 42 Suppl 1: S 9-16) which are currently in phase II of clinical development.

In Henkel *et al.*, *J. Med. Chem.* 1998, vol. 41, p. 5020-5036; Collins *et al.*, *J. Med. Chem.* 1998, vol. 41, p. 5037-5054; Cobb *et al.*, *J. Med. Chem.* 1998, vol. 41, p. 5055-5069, WO 94/29285 and in WO 97/31907 de *N*-(2-benzoylphenyl)-*L*-tyrosine derivatives are described as being potent and selective PPAR γ agonists. Documents WO 03/011814 and WO 03/011834 disclose *N*-(2-benzoylphenyl)-*L*-tyrosine derivatives as partial PPAR γ agonists. Document US 6274608 describes *N*-(2-benzoylphenyl)-*L*-tyrosine derivatives as being useful in the treatment and/or prevention of conditions mediated by Retinoid X Receptor (RXR) and the PPAR families.

Obviously, it is of great interest to provide new therapeutic agents that modulate PPAR γ .

SUMMARY OF THE INVENTION

One aspect of the present invention relates to the provision of new compounds of general formula I,



their stereoisomers and mixtures thereof, their polymorphs and mixtures thereof, and the pharmaceutically acceptable solvates and addition salts of all of them, wherein

- 20 R1 represents the radical 2-benzoylphenylamino;
 R2 represents $-(CH_2)_s-N(COR_3)-A-J-T$ or $-(CH_2)_s-N(R_4)-B-J-T$;
 R3 represents $-(C_1-C_{10})$ alkyl optionally substituted by one or more substituents selected from -F, -Cl, -Br and $-O(C_1-C_4)$ alkyl; $-(C_2-C_6)$ alkenyl; $-(C_2-C_6)$ alkynyl; $-(C_1-C_3)$ alkylene-Y;
 25 $-(C_2-C_3)$ alkenylene-Y; $-(C_2-C_3)$ alkynylene-Y or -Y;
 R4 represents $-(C_4-C_{10})$ alkyl optionally substituted by one or more substituents selected from -F, -Cl, -Br and $-O(C_1-C_4)$ alkyl; $-(C_2-C_6)$ alkenyl; $-(C_2-C_6)$ alkynyl; $-(C_1-C_4)$ alkylene-Y; $-(C_2-C_4)$ alkenylene-Y; $-(C_2-C_4)$ alkynylene-Y or -Y;
 30 s represents 2 or 3;

- A represents $-(C_1-C_4)$ alkylene-; $-(C_2-C_4)$ alkenylene-; $-(C_2-C_4)$ alkynylene-; $-(C_1-C_4)$ alkylene-Z-, wherein the alkylene part is attached to the N atom and Z is attached to J; or -Z-;
- B represents $-(C_4)$ alkylene-; $-(C_2-C_4)$ alkenylene-; $-(C_2-C_4)$ alkynylene-; $-(C_1-C_4)$ alkylene-Z-, wherein the alkylene part is attached to the N atom and Z is attached to J; or -Z-;
- J represents a single bond or a biradical selected from the following groups:
- a) $-(CH_2)_{1-4}$ -, -O-, -S-, -SO-, -SO₂-, -CO-, -OCO-, -COO-, -OCONR₅-, -NR₅COO-, -CONR₅-, -NR₅CO-, -NR₅-, -NR₅SO₂-, -SO₂NR₅-; and
- b) $-O(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-O-, $-S(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-S-, $-SO(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-SO-, $-SO_2(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-SO₂-, $-OCO-(C_1-C_4)$ alkyl-, $-COO-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-OCO-, $-(C_1-C_4)$ alkyl-COO-, $-OCONR_5-(C_1-C_4)$ alkyl-, $-NR_5COO-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-OCONR₅-, $-(C_1-C_4)$ alkyl-NR₅COO-, $-CONR_5-(C_1-C_4)$ alkyl-, $-NR_5CO-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-CONR₅-, $-(C_1-C_4)$ alkyl-NR₅CO-, $-NR_5-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-NR₅-, $-SO_2NR_5-(C_1-C_4)$ alkyl-, $-NR_5SO_2-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-SO₂NR₅-, $-(C_1-C_4)$ alkyl-NR₅SO₂-;
- T represents -H, $-(C_1-C_4)$ alkyl, $-(C_2-C_4)$ alkenyl, $-(C_2-C_4)$ alkynyl or -Y;
- Y represents a monoradical coming from a cycle selected from a (C_3-C_6) cycloalkane, cyclohexene, a heterocycle, benzene and a bicycle, wherein all these cycles can be optionally substituted with one or more substituents selected from the group -OH, -CHO, -SH, -NO₂, -CN, -F, -Cl, -Br, $-CO(C_1-C_4)$ alkyl, $-COO(C_1-C_4)$ alkyl, $-OCO(C_1-C_4)$ alkyl, $-S(C_1-C_4)$ alkyl, $-SO(C_1-C_4)$ alkyl, $-SO_2(C_1-C_4)$ alkyl, $-SO_2-O(C_1-C_4)$ alkyl, $-O-SO_2(C_1-C_4)$ alkyl, -NR₅R₆, -CONR₅R₆, $-(C_1-C_4)$ alkyl optionally substituted by one or more -OH or -F and $-O(C_1-C_4)$ alkyl optionally substituted by one or more -OH or -F, and wherein the cycles (C_3-C_6) cycloalkane, cyclohexene and bicycle can also be optionally substituted with one or more substituents oxo (=O);
- Z represents a biradical coming from a cycle selected from a (C_3-C_6) cycloalkane, cyclohexene, a heterocycle, benzene and a

bicycle, wherein all these cycles can be optionally substituted with one or more substituents selected from the group -OH, -CHO, -SH, -NO₂, -CN, -F, -Cl, -Br, -CO(C₁-C₄)alkyl, -COO(C₁-C₄)alkyl, -OCO(C₁-C₄)alkyl, -S(C₁-C₄)alkyl, -SO(C₁-C₄)alkyl, -SO₂(C₁-C₄)alkyl, -SO₂-O(C₁-C₄)alkyl, -O-SO₂(C₁-C₄)alkyl, -NR₅R₆, -CONR₅R₆, -(C₁-C₄)alkyl optionally substituted by one or more -OH or -F and -O(C₁-C₄)alkyl optionally substituted by one or more -OH or -F, and wherein the cycles (C₃-C₆)cycloalkane, cyclohexene and bicycle can also be optionally substituted with one or more substituents oxo (=O);

R₅ and R₆ independently represent -H or -(C₁-C₄)alkyl; a heterocycle in the above definitions represents a five- or six-membered aromatic ring containing from one to three heteroatoms independently selected from O, S and N, wherein said ring can be attached to the rest of the molecule through a carbon or a nitrogen atom; and a bicycle in the above definitions represents a partially unsaturated, saturated or aromatic seven- to ten-membered ring optionally containing from one to three heteroatoms independently selected from O, S and N, wherein said ring or rings can be attached to the rest of the molecule through a carbon or a nitrogen atom.

The compounds of formula I are PPAR_γ modulators and, therefore, useful as active pharmaceutical substances.

Thus, another aspect of this invention relates to the pharmaceutical compositions which comprise an effective amount of a compound of formula I or a pharmaceutically acceptable salt or solvate thereof and one or more pharmaceutical acceptable excipients.

Another aspect of the present invention relates to the use of a compound of formula I for the manufacture of a medicament for the treatment or prevention of diseases mediated by PPAR_γ. Another aspect of the present invention relates to the use of a compound of formula I for the manufacture of a medicament for the treatment or prevention of metabolic diseases in a subject in need thereof, including a human. Another aspect of the present invention relates to the use of a compound of formula I or a pharmaceutically acceptable salt or solvate thereof for the manufacture of a medicament for the treatment or prevention of metabolic diseases selected from non-insulin-dependent diabetes *mellitus* and obesity in a subject in need thereof, including a human. Another aspect of the present invention relates to the use of a

compound of formula I or a pharmaceutically acceptable salt or solvate thereof for the manufacture of a medicament for the treatment or prevention of cardiovascular diseases associated with metabolic syndrome, inflammatory diseases, cancer, bone diseases, skin wound healing, cutaneous disorders
5 associated with an anomalous differentiation of epidermic cells, and other disorders where insulin resistance is a component in a subject in need thereof, including a human.

Another aspect of the present invention relates to the method for the treatment or prevention of diseases mediated by PPAR γ comprising the
10 administration to a mammal, including a human, an effective amount of a compound of formula I or a pharmaceutically acceptable salt or solvate thereof. Another aspect of the present invention relates to the method for the treatment or prevention of metabolic diseases comprising the administration to a mammal, including a human, an effective amount of a compound of formula
15 I or a pharmaceutically acceptable salt or solvate thereof. Another aspect of the present invention relates to the method for the treatment or prevention of metabolic diseases selected from non-insulin-dependent diabetes *mellitus* and obesity comprising the administration to a mammal, including a human, an effective amount of a compound of formula I or a pharmaceutically acceptable
20 salt or solvate thereof. Another aspect of the present invention relates to the method for the treatment or prevention of cardiovascular diseases associated with metabolic syndrome, inflammatory diseases, cancer, bone diseases, skin wound healing, cutaneous disorders associated with an anomalous differentiation of epidermic cells and other disorders where insulin resistance
25 is a component, comprising the administration to a mammal, including a human, an effective amount of a compound of formula I or a pharmaceutically acceptable salt or solvate thereof.

In the previous definitions, the terms "alkyl" and "alkylene" mean respectively a monoradical or biradical straight or branched saturated
30 hydrocarbon chain having the indicated number of carbon atoms. Examples of alkyl include, but are not limited to, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, *sec*-butyl, *tert*-butyl, pentyl, isopentyl, neopentyl, hexyl, heptyl, octyl, nonyl, decyl and the like.

The terms "alkenyl" and "alkenylene", as used herein, mean
35 respectively a monoradical or biradical straight or branched unsaturated hydrocarbon chain, having the indicated number of carbon atoms and also containing one or more double bonds. Examples of alkenyl include, but are

not limited to, ethenyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1, 3-butadienyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl and the like.

The terms "alkynyl" and "alkynylene", as used herein, mean
5 respectively a monoradical or biradical straight or branched unsaturated hydrocarbon chain, having the indicated number of carbon atoms and also containing one or more triple bonds. Examples of alkynyl include, but are not limited to, ethynyl, 1-propynyl, 2-propynyl, 1-butyne, 2-butyne, 3-butyne, 1, 3-butadiynyl, 1-pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 5-hexynyl and the like.
10

The term heterocycle as used herein refers to a five- or six-membered monocyclic aromatic ring containing from one to three heteroatoms independently selected from O, S and N. As mentioned previously, these heterocycles can be optionally substituted with one or more substituents,
15 which can be placed on any available position of the cycle, and can be attached to the rest of the molecule via any available carbon or nitrogen atoms. Examples include, but are not limited to, 1, 2, 4-oxadiazole, 1, 2, 4-thiadiazole, 1, 3, 4-oxadiazole, 1, 3, 4-thiadiazole, furan, imidazole, isoxazole, isothiazole, oxazole, pyrazole, pyrrole, thiazole, thiophene, 1, 2, 3-triazole, 1, 2, 4-triazole, pyrazine, pyridazine, pyridine, pyrimidine and the like.
20

The term (C₃-C₆)cycloalkane as used herein refers to saturated monocyclic carbocyclic ring having the indicated number of carbon atoms. As mentioned previously, it can be optionally substituted with one or more substituents, which can be placed on any available position of the cycle.
25 Examples of (C₃-C₆)cycloalkanes include, but are not limited to, cyclopropane, cyclobutane, cyclopentane and cyclohexane.

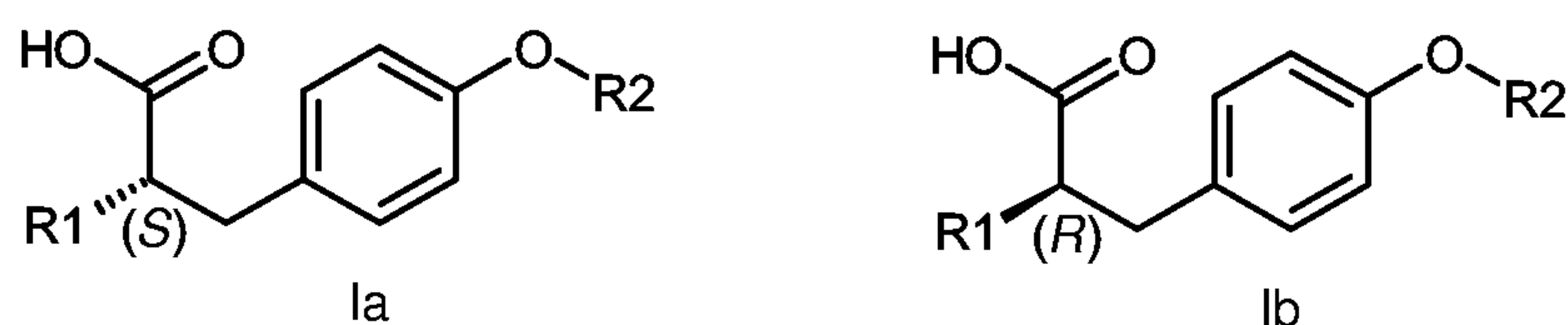
The term bicycle, as used herein refers to a partially unsaturated, saturated or aromatic seven- to ten-membered ring optionally containing from one to three heteroatoms independently selected from O, S and N, wherein
30 said ring or rings can be attached to the rest of the molecule through a carbon or a nitrogen atom. As mentioned previously, it can be optionally substituted with one or more substituents, which can be placed on any available position of the cycle. Examples of bicyclic groups include, among others, naphthalene, 1, 2, 3, 4-tetrahydronaphthalene, quinoline, 1, 2, 3, 4-tetrahydroquinoline, isoquinoline, benzofuran, 2, 3-dihydrobenzofuran, benzothiophene, indole,
35 benzimidazole, benzotriazole, bicyclo[2.2.1]heptane, bicyclo[3.2.1]octane and bicyclo[3.2.2]nonane.

The expression "optionally substituted with one or more" means that a group can be unsubstituted or substituted with one or more, preferably with 1, 2, 3 or 4 substituents, provided that this group has 1, 2, 3 or 4 positions susceptible of being substituted.

5 Throughout the description and claims the word "comprise" and variations of the word, such as "comprising", are not intended to exclude other additives, components, elements or steps. The disclosures in the abstract accompanying this application and in the application from which priority is claimed, are incorporated herein as reference.

10 As used therein the term "treatment" includes treatment, prevention and management of such condition. The term "pharmaceutically acceptable" as used herein refers to those compounds, compositions, and/or dosage forms which are, within the scope of medical judgement, suitable for use in contact with the tissues of humans and animals without excessive toxicity, irritation,
15 allergic response, or other problem or complication, commensurate with a reasonable benefit/risk ratio.

Compounds of formula I of the present invention comprise at least one chiral center. The present invention includes both the racemic compounds and the enantiomeric compounds, i.e. compounds of formula Ia (wherein the
20 configuration of the chiral carbon attached to R1 is (S)) and compounds of formula Ib (wherein the configuration of the chiral carbon attached to R1 is (R)) as shown below.



25 In a particular embodiment of the invention the configuration of the chiral carbon attached to R1 is (S).

In another embodiment in a compound of formula I or Ia, R2 represents $-(CH_2)_s-N(COR_3)-A-J-T$. In another embodiment in a compound of formula I or Ia, R2 represents $-(CH_2)_s-N(R_4)-B-J-T$. In another embodiment in a compound of formula I or Ia, J represents a single bond and T represents -H. In another
30 embodiment in a compound of formula I or Ia, J represents $-(CH_2)_{1-4}$ -, -O-, -S-, -SO-, -SO₂-, -O(C₁-C₄)alkyl- or -S(C₁-C₄)alkyl-. In another embodiment in a compound of formula I or Ia, T represents -H or -(C₁-C₄)alkyl.

In another embodiment in a compound of formula I or Ia, R2 represents $-(CH_2)_s-N(COR3)-A-J-T$; R3 represents $-(C_1-C_{10})$ alkyl optionally substituted by one or more substituents selected from -F, -Cl, -Br and $-O(C_1-C_4)$ alkyl; $-(C_2-C_6)$ alkenyl; $-(C_1-C_3)$ alkylene-Y; $-(C_2-C_3)$ alkenylene-Y;
 5 $-(C_2-C_3)$ alkynylene-Y or -Y; and Y in R3 represents a monoradical coming from a cycle selected from a (C_3-C_6) cycloalkane, a heterocycle, benzene and a bicycle, wherein all these cycles can be optionally substituted as defined above.

In another embodiment in a compound of formula I or Ia, R2 represents
 10 $-(CH_2)_s-N(COR3)-A-J-T$; A represents $-(C_1-C_4)$ alkylene-; $-(C_1-C_4)$ alkylene-Z- or -Z-; Z in A represents a biradical coming from a cycle selected from a (C_3-C_6) cycloalkane, a heterocycle, benzene and a bicycle, wherein all these cycles can be optionally substituted with one or more substituents selected from the group -F, -Cl, -Br, $-S(C_1-C_4)$ alkyl, $-(C_1-C_4)$ alkyl optionally substituted
 15 by one or more -OH or -F and $-O(C_1-C_4)$ alkyl optionally substituted by one or more -OH or -F; J represents a single bond; and T represents -H.

In another embodiment in a compound of formula I or Ia, R2 represents $-(CH_2)_s-N(COR3)-A-J-T$; A represents $-(C_1-C_4)$ alkylene-; $-(C_1-C_4)$ alkylene-Z- or -Z-; Z in A represents an unsubstituted biradical coming from a cycle selected
 20 from a (C_3-C_6) cycloalkane and benzene; J represents a single bond; and T represents -H.

In another embodiment in a compound of formula I or Ia, R2 represents $-(CH_2)_s-N(R4)-B-J-T$; R4 represents $-(C_4-C_{10})$ alkyl optionally substituted by one or more substituents selected from -F, -Cl, -Br and $-O(C_1-C_4)$ alkyl; $-(C_1-C_4)$ alkylene-Y; or -Y; and Y in R4 represents a monoradical coming from a
 25 cycle selected from a (C_3-C_6) cycloalkane, a heterocycle, benzene and a bicycle, wherein all these cycles can be optionally substituted as defined above.

In another embodiment in a compound of formula I or Ia, R2 represents
 30 $-(CH_2)_s-N(R4)-B-J-T$, B represents $-(C_1-C_4)$ alkylene-Z- or -Z-; Z in B represents a biradical coming from a cycle selected from a (C_3-C_6) cycloalkane, a heterocycle, benzene and a bicycle, wherein all these cycles can be optionally substituted as defined above; J represents a single bond; and T represents -H.

35 Furthermore, all possible combinations of the above-mentioned embodiments form also part of this invention.

The compounds of the present invention may contain one or more basic nitrogen atoms and, therefore, they may form salts with acids, that also form part of this invention. Examples of pharmaceutically acceptable salts include, among others, addition salts with inorganic acids such as hydrochloric, hydrobromic, hydroiodic, nitric, perchloric, sulphuric and phosphoric acid, as well as addition salts of organic acids such as acetic, methanesulfonic, trifluoromethanesulfonic, ethanesulfonic, benzenesulfonic, *p*-toluenesulfonic, benzoic, camphorsulfonic, mandelic, oxalic, succinic, fumaric, tartaric, and maleic acid. Likewise, compounds of the present invention may contain one or more acid protons and, therefore, they may form salts with bases, that also form part of this invention. Examples of these salts include salts with metal cations, such as for example an alkaline metal ion, an alkaline-earth metal ion or an aluminium ion; or it may be coordinated with an organic with an organic or inorganic base. An acceptable organic base includes among others diethylamine and triethylamine. An acceptable inorganic base includes aluminium hydroxide, calcium hydroxide, potassium hydroxide, sodium carbonate, and sodium hydroxide. There may be more than one cation or anion depending on the number of functions with charge and on the valency of cations and anions.

Salts derived from pharmaceutically acceptable organic nontoxic bases include salts of primary, secondary, and tertiary amines, substituted amines including naturally occurring substituted amines, cyclic amines, and basic ion exchange resins, such as arginine, betaine, caffeine, choline, *N,N*-dibenzylethylenediamine, diethylamine, 2-diethylaminoethanol, 2-dimethylaminoethanol, ethanolamine, ethylenediamine, *N*-ethylmorpholine, ethylpiperidine, glucamine, glucosamine, histidine, hydrabamine, isopropylamine, lysine, methylglucamine, morpholine, piperazine, piperidine, polyamine resins, procaine, purines, theobromine, triethylamine, trimethylamine, tripropylamine, tromethamine, and the like.

There is no limitation on the type of salt that can be used provided that these are pharmaceutically acceptable when they are used for therapeutic purposes. Salts can be synthesized from the parent compound which contains a basic or acidic moiety by conventional chemical methods. Generally, such salts can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid in water or in an organic solvent, such as ether, ethyl acetate, ethanol, isopropanol, or acetonitrile or in a mixture of the two. The compounds of

formula I and their salts differ in some physical properties but they are equivalent for the purposes of the present invention.

Some of the compounds of formula I of the present invention may exist in unsolvated as well as solvated forms such as, for example, hydrates. The present invention encompasses all such above-mentioned forms which are pharmaceutically active.

Some of the compounds of general formula I may exhibit polymorphism, encompassing the present invention all the possible polymorphic forms, and mixtures thereof. Various polymorphs may be prepared by crystallization under different conditions or by heating or melting the compound followed by gradual or fast cooling. The presence of polymorphs may be determined by solid NMR spectroscopy, IR spectroscopy, differential scanning calorimetry, powder X-ray diffraction or such other techniques.

Compounds of formula I of the present invention comprise at least one chiral center. Additionally, compounds of formula I of the present invention may have further chiral centres. The present invention includes each one of the possible stereoisomers and mixtures thereof, particularly racemic mixtures thereof. A single enantiomer may be prepared by any of the commonly used processes, for example, by chromatographic separation of the racemic mixture on a stationary chiral phase, by resolution of the racemic mixture by fractional crystallisation techniques of the diastereomeric salts thereof, by chiral synthesis, by enzymatic resolution or by biotransformation. This resolution can be carried out on any chiral synthetic intermediate or on products of general Formula I. Alternatively, any enantiomer of a compound of the general Formula I may be obtained by enantiospecific synthesis using optically pure starting materials or reagents of known configuration.

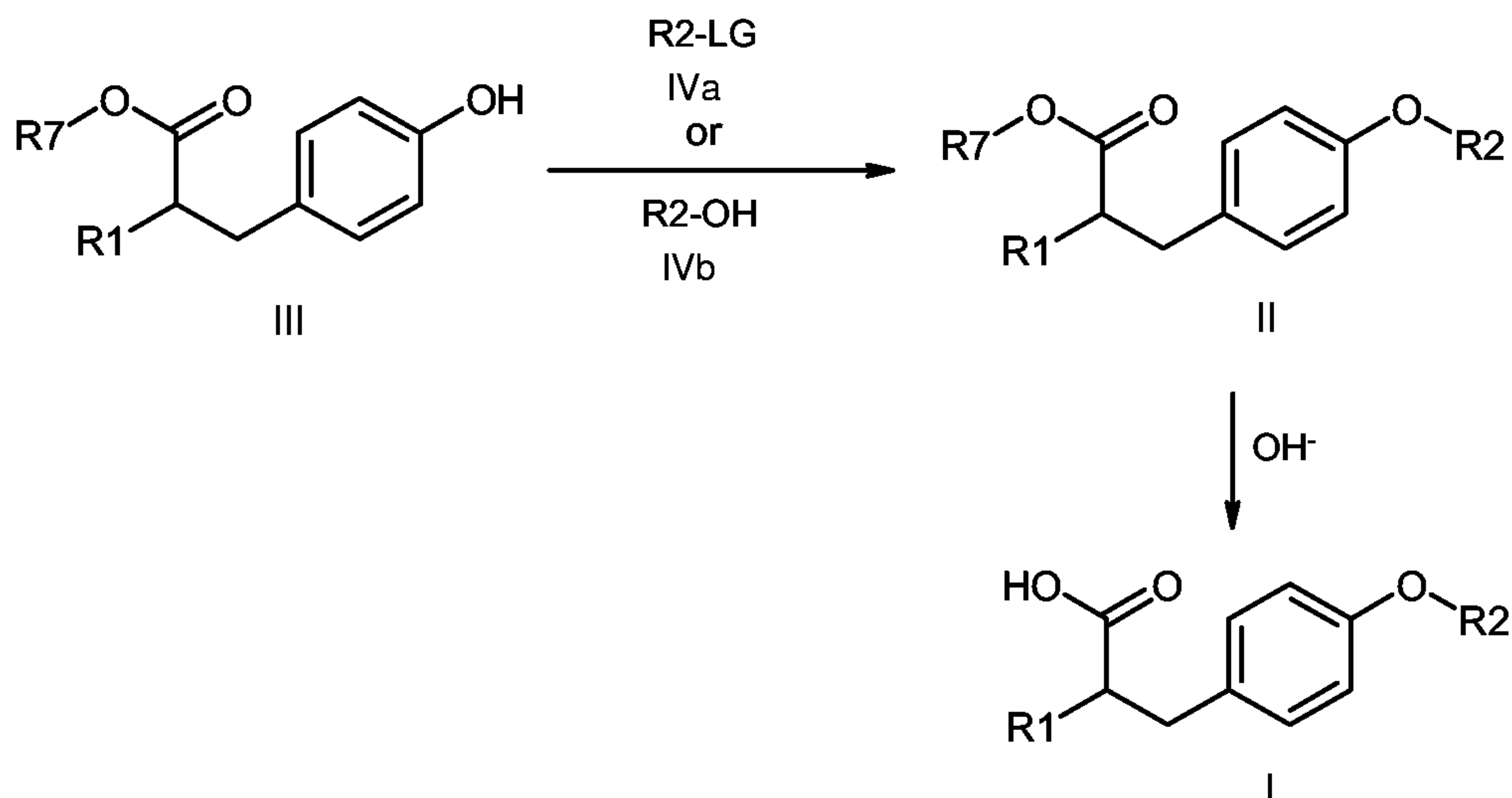
Some of the compounds of the present invention may exist as several diastereoisomers, which may be separated by conventional techniques such as chromatography or fractional crystallization. Some compounds of the present invention may exhibit cis/trans isomers. The present invention includes each of the geometric isomers and its mixtures. The present invention covers all isomers and mixtures thereof (for example racemic mixtures) whether obtained by synthesis and also by physically mixing them.

The present invention relates to a process for the preparation of the above said novel compounds, their derivatives, their analogues, their

tautomeric forms, their stereoisomers, their polymorphs or their pharmaceutical acceptable salts and solvates.

The compounds of the present invention may be synthesized using the methods described below, as well as other processes known in the field of organic synthesis. Preferred methods include, but are not limited to, the general processes shown in the attached schemes. Unless otherwise stated the groups R1, R2, R3, R4, R5, R6, s, A, B, J, and T have the meaning described in general formula I.

A compound of formula I may be obtained in general by hydrolysis of a compound of formula II, wherein R7 represents (C₁-C₄)alkyl. This reaction can be carried out in the presence of a base such as an alkaline hydroxide in a solvent such as tetrahydrofuran, aqueous methanol or a mixture thereof, at a temperature comprised between room temperature and the temperature of the boiling point of the solvent, preferably at room temperature.



15

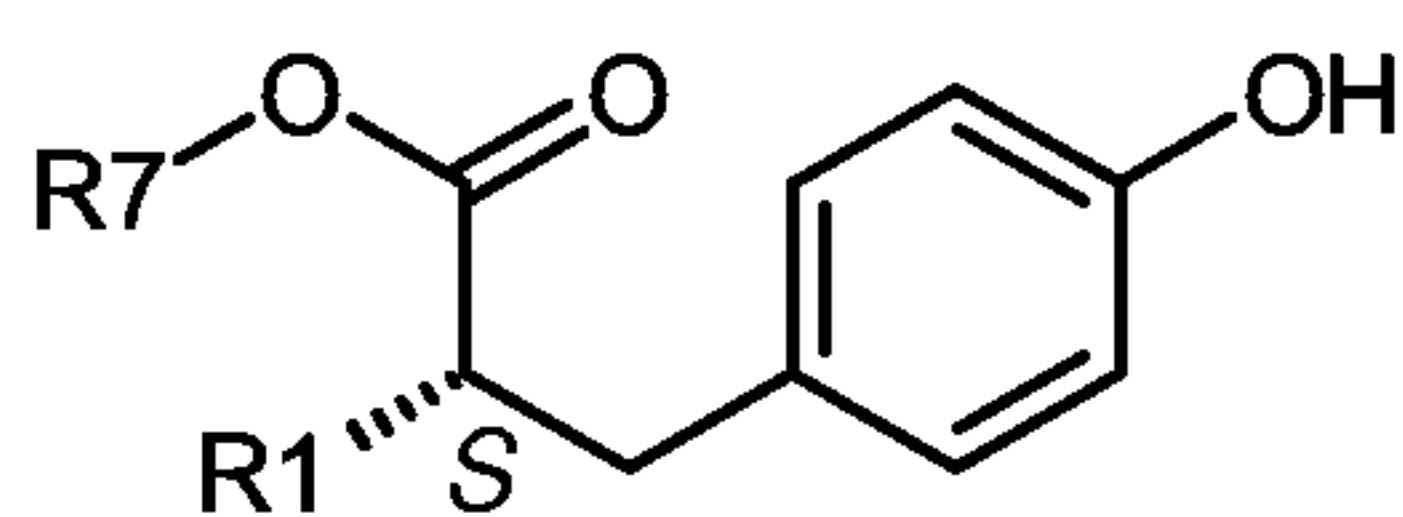
A compound of formula II may be obtained as shown in the above scheme by Williamson ether synthesis (see for instance Bal-Tembe *et al.*, *Bioorg. Med. Chem.* 1997, 5, 1381-1388; Cantello *et al.*, *J. Med. Chem.* 1994, vol. 37, p. 3977-3985 or EP 875510) or by Mitsunobu conditions (Mitsunobu, *Synthesis* 1981, 1; Hughes, *Org. React.* 1992, 42, 335).

In the first case, phenol ester III may be reacted with $R2-LG$ (IVa), wherein LG represents a leaving group, such as for instance a halogen including -Cl, -Br, -I or an alkylsulfonate or arylsulfonate, including mesylate, tosylate or nosylate. This reaction is carried out in the presence of a base, such as NaH, K_2CO_3 or Cs_2CO_3 , in a solvent such as *N,N*-dimethylformamide,

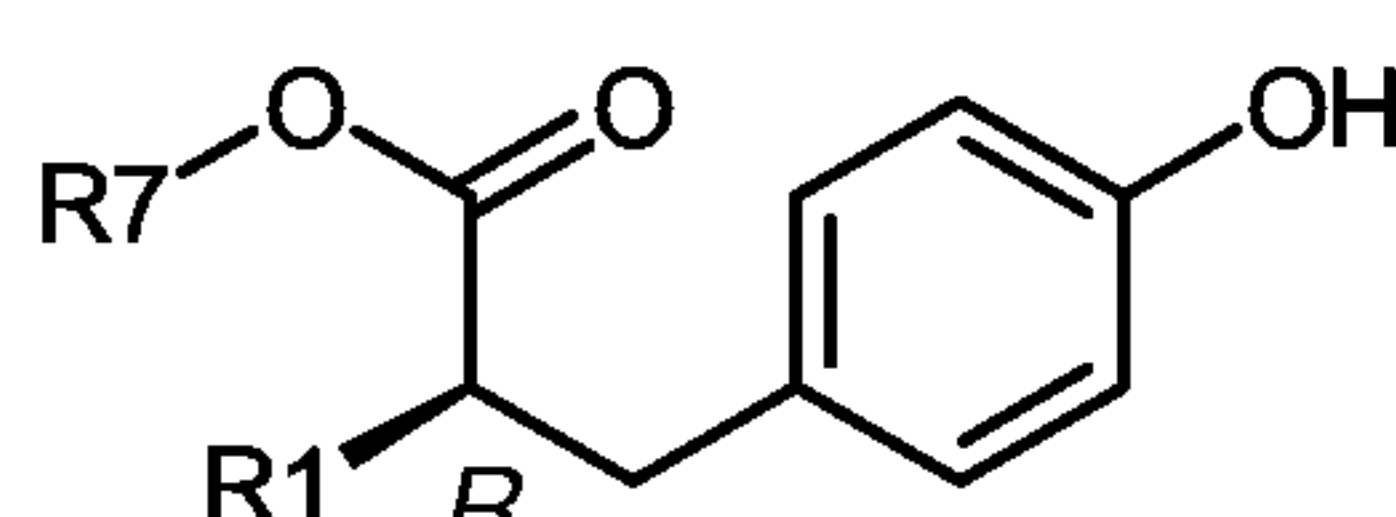
acetone or ethyl acetate, at a temperature comprised between room temperature and the temperature of the boiling point of the solvent, preferably heating.

In the second case, a compound of formula III may be reacted with an alcohol of formula R²-OH (IVb) using for example, diethyl azodicarboxylate (DEAD) and triphenylphosphine in tetrahydrofuran as a solvent, at a temperature comprised between room temperature and the temperature of the boiling point of the solvent, preferably at room temperature.

Isolated enantiomeric forms of formula Ia and Ib can be obtained either by chiral resolution of a compound of formula I or starting from the corresponding chiral compounds IIIa and IIIb respectively.

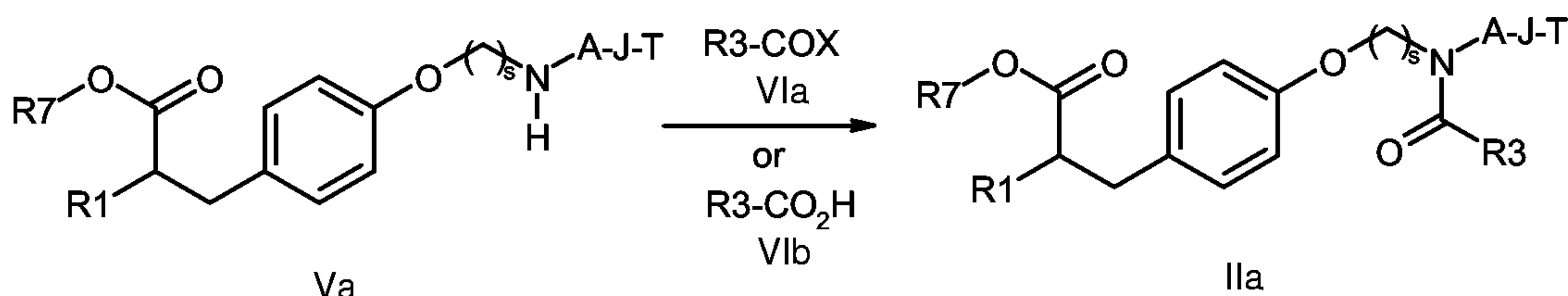


IIIa



IIIb

A compound of formula II wherein R² represents $-(CH_2)_s-N(COR^3)-A-J-T$ (i.e. compound of formula IIa) may also be obtained by acylation of a compound of formula Va with a compound of formula R³-COX (VIa), wherein X represents halogen, preferably Cl.

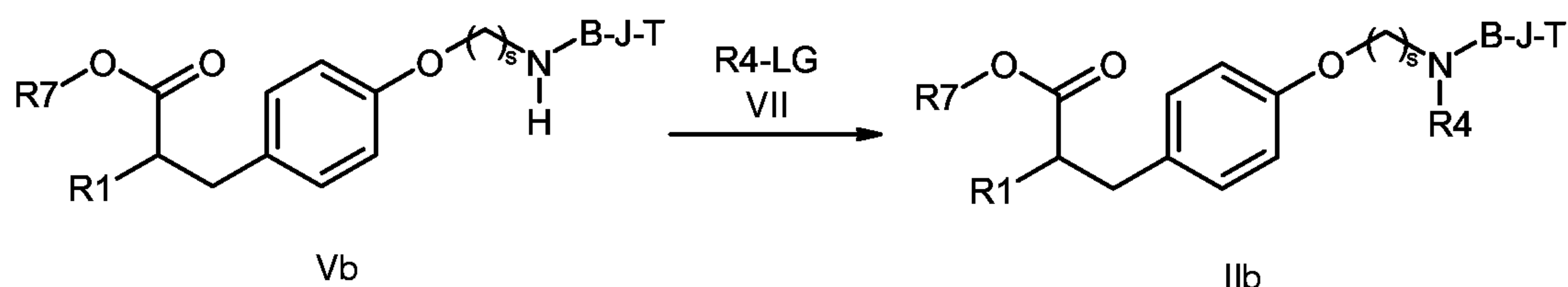


This reaction is carried out in the presence of a base such as triethylamine, in a solvent such as dichloromethane or ethyl acetate, at a temperature comprised between room temperature and the temperature of the boiling point of the solvent.

Some compounds of formula IIa can also be obtained by reacting a compound Va with the corresponding acid of formula VIb (see for instance Elmore, *Amino Acids Pep. Proteins* 2001, 32, 107-162). This reaction is carried out in the presence of a coupling agent, such as the combination of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide (EDC) and 1-hydroxybenzotriazol (HOBT) in the presence of a base such as triethylamine, in a solvent such as ethyl acetate or tetrahydrofuran, at a temperature

comprised between room temperature and the temperature of the boiling point of the solvent.

Some compounds of formula II wherein R2 represents $-(CH_2)_s-N(R4)-B-J-T$ (i.e. compounds of formula IIb) may also be obtained by reacting a compound of formula Vb with an alkylating agent of formula R4-LG (VII), wherein LG has the meaning previously described.

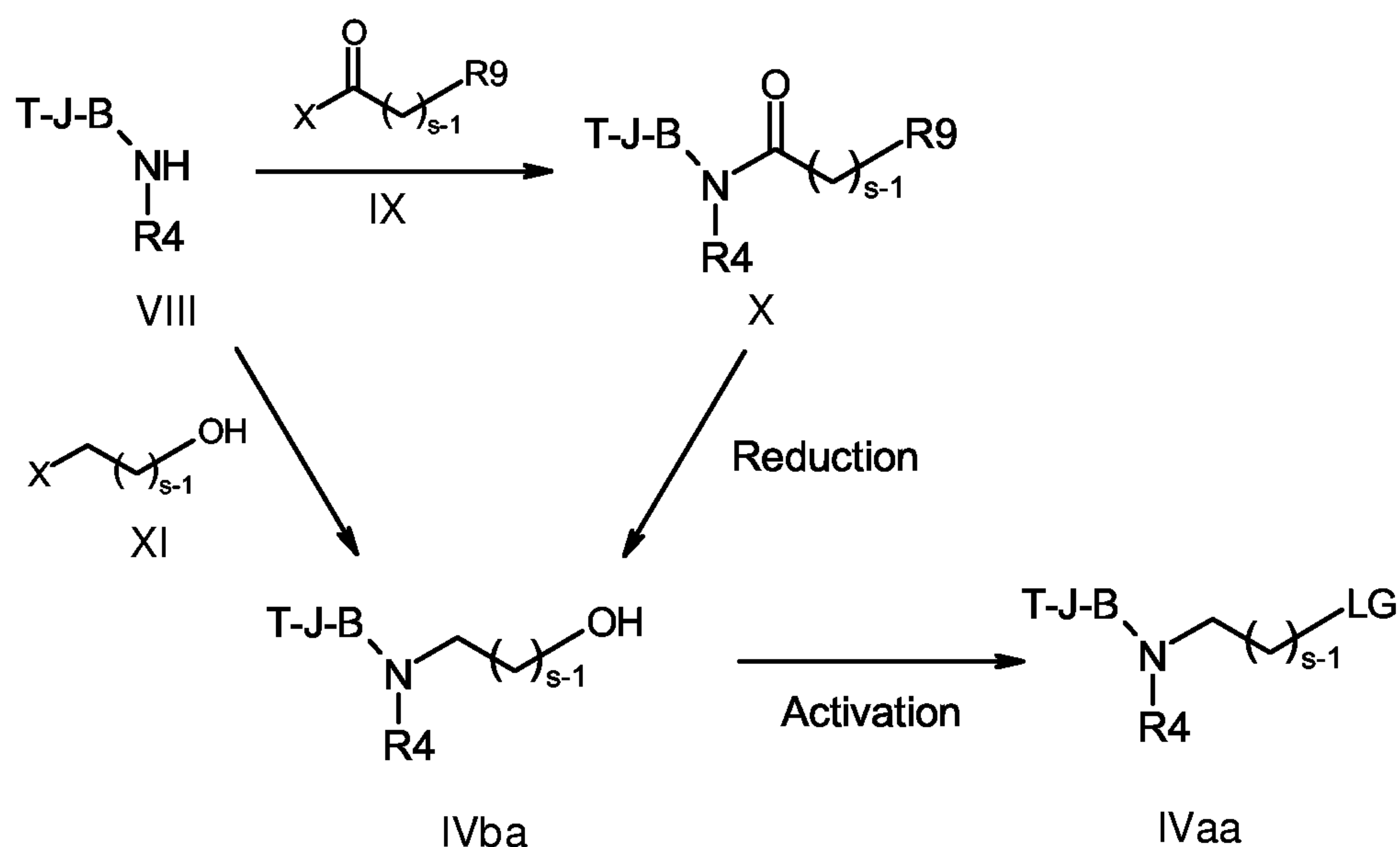


This reaction is carried out in the presence of a base, such as K_2CO_3 , in a suitable solvent, such as *N,N*-dimethylformamide or acetonitrile, at a temperature and the temperature of the boiling point of the solvent, preferably room temperature.

Alternatively compounds of formula II can be obtained by solid synthesis using different types of polymeric solid resins, such as Wang or 2-chlorotrityl resins (Collins *et al.* *J. Med. Chem.* 1998, 41, 5037-5054). Compounds of formula III can be prepared following similar procedures to those described in Cobb *et al.*, *J. Med. Chem.* 1998, 41, 5055-69.

Compounds IVa and IVb wherein R2 represents $-(CH_2)_s-N(R4)-B-J-T$ (i.e. compounds of formula IVaa and IVba respectively) may be obtained as shown in the following scheme:

15

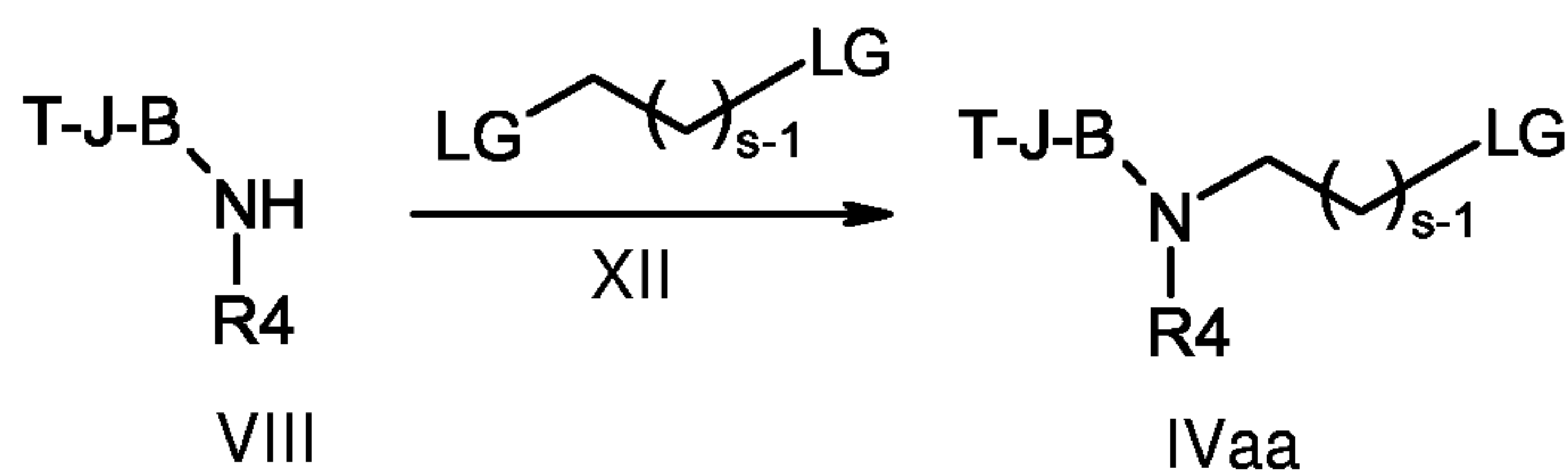


Compound VIII is acylated with a compound of formula IX to yield a compound of formula X, wherein R9 represents -CO₂(C₁-C₄)alkyl or -OCO(C₁-C₄)alkyl, under the same conditions as the reaction of compound Va with VIa to give compound IIa. Reduction of compound X with a reducing agent such as lithium aluminium hydride in diethyl ether affords compound IVba.

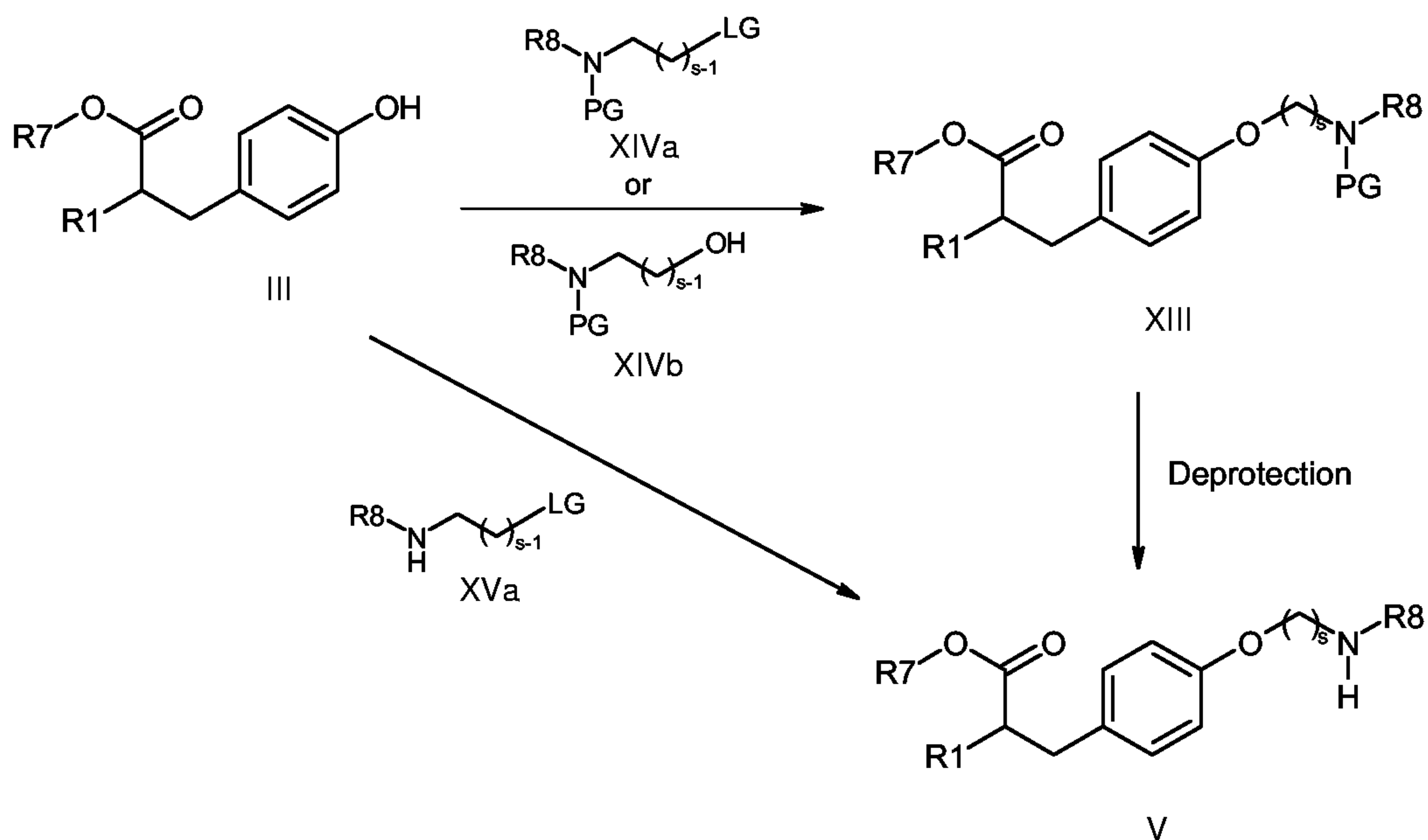
Alternatively, compound VIII can be reacted with an alkylating agent of formula XI to afford compound IVba (Daoud *et al.*, *J. Indian Chem. Soc.* 1989, 66, 316-318). Compound of formula IVaa is obtained by converting the hydroxyl group of compound IVba into a leaving group. This reaction may be carried out using a sulfonyl halide such as methanesulfonyl chloride in the presence of a base, such as pyridine or triethylamine in a solvent such as dichloromethane or chloroform. Alternatively, compound IVba can be reacted with a halogenating agent such as SOCl₂ in a solvent such as tetrahydrofuran.

Compound VIII can be also converted directly into a compound of formula IVaa by reaction with a compound of formula XII, wherein each LG independently represents a leaving group as defined above, in the same conditions as described for the conversion of VIII into IVba.

16



A compound of formula V (including compounds of formula Va and Vb) may be obtained using two different synthetic sequences as shown in the following scheme:

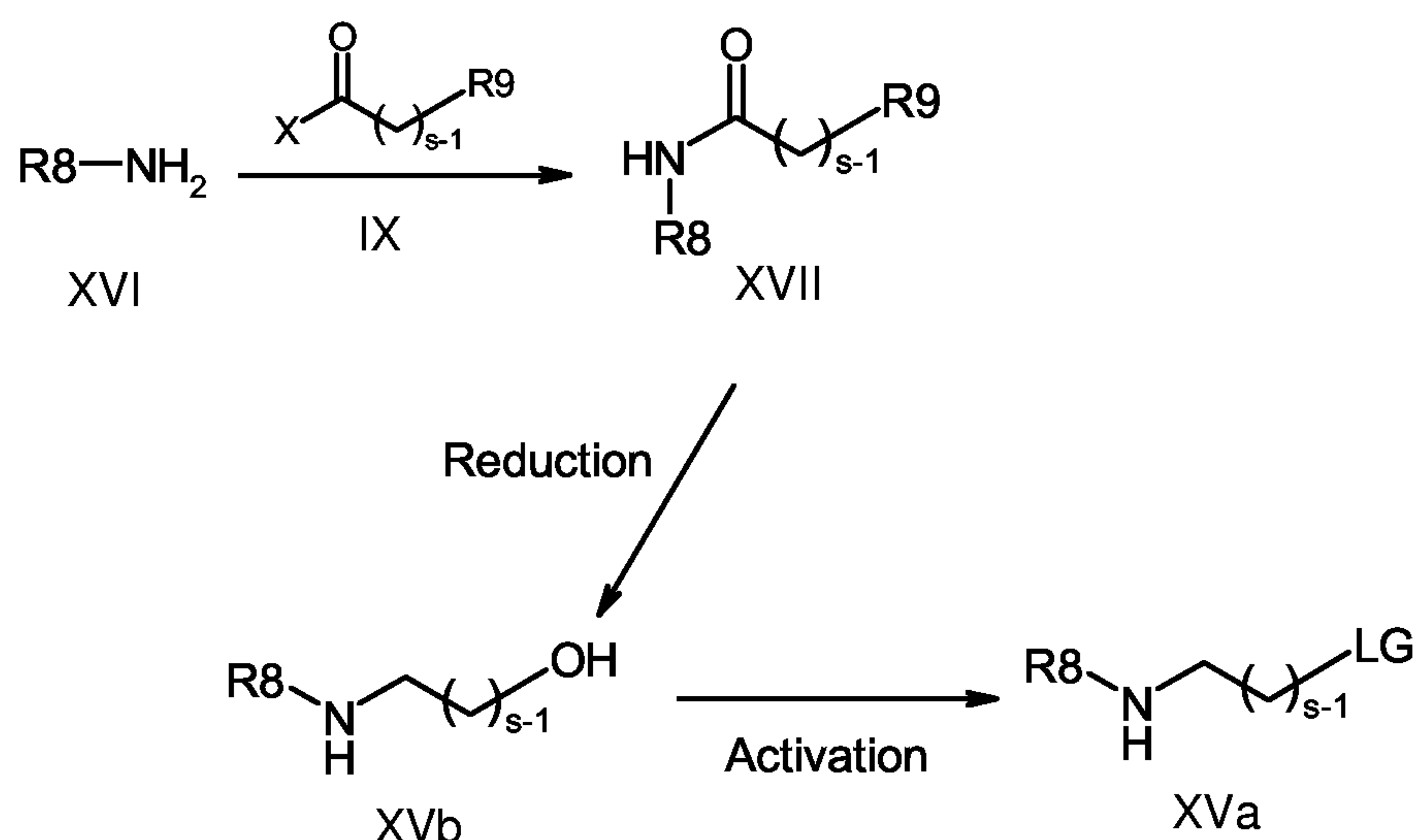


Phenol III can be reacted with a protected amine of formula XIVa or XIVb, to yield a compound of formula XIII, wherein R8 represents -A-J-T or -B-J-T, LG represents a leaving group as previously described and PG represents a protecting group, such as for example, trifluoroacetyl or 2-nitrobenzenesulfonyl. The reaction is carried out under the same conditions described for the conversion of a compound of formula III into a compound of formula II. Compound V is subsequently obtained by deprotection of compound XIII. This reaction is carried out under different conditions depending upon the nature of the protecting group (see for instance Harland *et al. Synthesis* 1984, 941-43, Hirschmann *et al. J. Amer. Chem. Soc.* 1993, 12550-12568 for the group trifluororacetamide and Lin *et al. Tetrahedron Letters* 2000, 3309-3313 for the 2-nitrobenzenesulfonylamide group).

Alternatively a compound of formula V can be obtained by reacting phenol III with a secondary amine of formula XVa by Williamson ether synthesis. Compounds of formula VIII are commercially available or can be

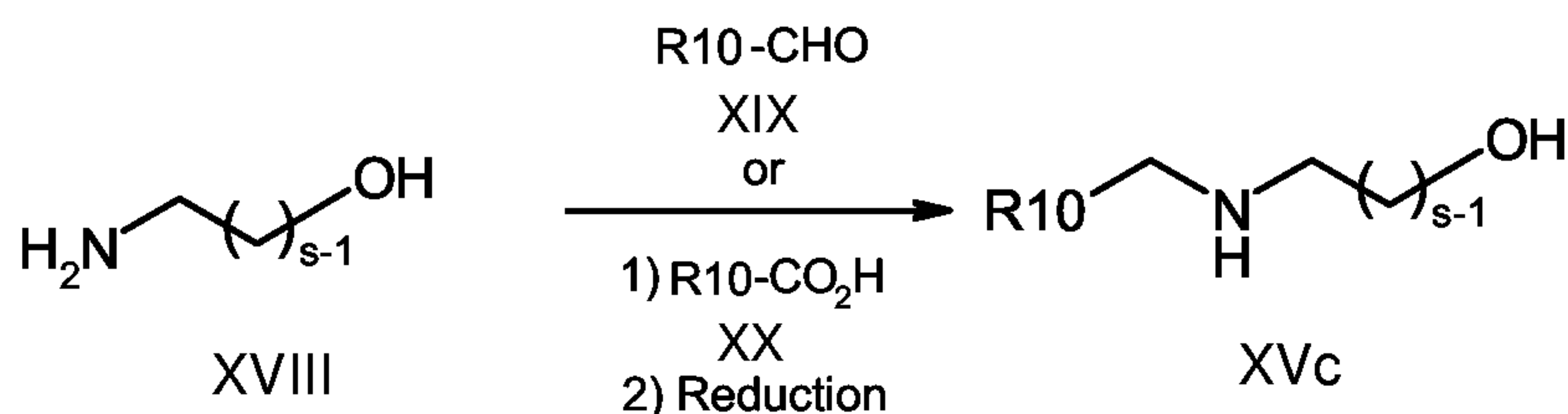
prepared by methods similar to those described for instance in WO 03/53966 or EP 875510. Compounds XIVa and XIVb can be obtained by reaction of the corresponding unprotected amine of formula XV and a protecting group (see Protective Groups in Organic Synthesis, John Wiley & Sons, 3rd Edition, 5 1999).

A compound of formula XV can be obtained using the following synthetic scheme:



Compound XVI is acylated to afford compound XVII under the same conditions for the reaction of compound Va and Vla to give compound IIa. Reduction of compound XVII gives compound XVb under the conditions described for the reduction of compound X. Compounds XVb wherein the amino group is less reactive than the hydroxyl group can be converted into compounds XVa under the conditions described for the conversion of IVba into IVaa. 15

Moreover, a compound of formula XVc can be obtained starting from a compound of formula XVIII.



This conversion can be carried out by reaction with an aldehyde of formula $R_{10}-CHO$ (XIX), wherein R_{10} represents R_8 wherein the group 20

attached to the N is $-CH_2$, in the presence of a reducing agent, such as triacetoxyborohydride or cyanoborohydride in a solvent such as 1,2-dichloroethane. Alternatively, compound XVIII can be reacted with compound XX or a derivative thereof to afford the corresponding amide as previously
5 described. The reduction of the resulting product gives rise a compound of formula XVc. Compounds VIa, VIb, VII, IX, XI, XII, XVI, XVIII, XIX and XX are commercially available or can be easily obtained by conventional methods.

As it will be obvious to a person skilled in the art some of the reactions described above can also be carried out on compounds of formula I.

10 The compounds of the present invention are ligands of the PPAR γ receptor. Therefore, they are expectedly useful for the treatment or prevention of a condition mediated by PPAR γ in a subject in need thereof, including a human.

Thus, the present invention relates to the use of these compounds for
15 the preparation of a medicament for the treatment or prevention of metabolic diseases, cardiovascular diseases associated with metabolic syndrome (including vascular restenosis), inflammatory diseases, cancer, bone diseases (particularly osteoporosis), skin wound healing, cutaneous disorders associated with an anomalous differentiation of epidermic cells, particularly
20 the formation of keloids, and other disorders where insulin resistance is a component, including Syndrome X.

As an example, metabolic diseases that can be treated or prevented include non-insulin-dependent diabetes *mellitus*, obesity, hypercholesterolaemia (including raising HDL levels), dyslipidemia (including
25 hyperlipidemia and hypertriglyceridemia), and other lipid-mediated pathologies.

As an example, inflammatory diseases that can be treated or prevented include rheumatoid arthritis, atherosclerosis, psoriasis, inflammatory bowel disease and pancreatitis.

30 The compounds described herein may be used to treat these diseases or conditions separately, or may be used to treat them concurrently with the treatment of obesity.

The present invention further provides for pharmaceutical compositions comprising a compound of formula I or a pharmaceutical salt or solvate
35 thereof together with one or more pharmaceutically acceptable excipients, in either single or multiple doses. The examples of the excipients mentioned

below are given by way of illustration only and are not to be construed as limiting the scope of the invention.

The compounds of the present invention can be administered in the form of any pharmaceutical formulation. The pharmaceutical formulation will
5 depend upon the nature of the active compound and its route of administration. Any route of administration may be used, for example such as oral, buccal, pulmonary, topical, parenteral (including subcutaneous, intramuscular, and intravenous), transdermal, ocular (ophthalmic), inhalation, intranasal, otic, transmucosal, implant or rectal administration. However oral,
10 topical or parenteral administration are preferred.

Solid compositions for oral administration include among others tablets, granulates and hard gelatin capsules, formulated both as immediate release or modified release formulations.

The manufacturing method may be based on a simple mixture, dry
15 granulation, wet granulation or lyophilization of the active compound with excipients. These excipients may be binding agents, such as syrup, acacia, gelatin, sorbitol, gum tragacanth, corn starch or polyvinylpyrrolidone; fillers such as lactose, sugar, microcrystalline cellulose, maize-starch, calcium phosphate or sorbitol; lubricants such as magnesium stearate, stearic acid,
20 talc, polyethylene glycol or silica; disintegrants such as potato starch, alginic acid or sodium starch glycollate; wetting agents, such as sodium lauryl sulfate, sweetening agents such as sucrose, lactose, dextrose, mannitol, sorbitol or saccharin; bioadhesive agents such as hydroxypropyl cellulose, poly(vinyl alcohol), poly(isobutylene), sodium carboxymethyl cellulose; glidants such as
25 magnesium trisilicate, powdered cellulose, starch, talc or tribasic calcium phosphate; flow enhancers such as colloidal silicon dioxide; release modifiers such as xanthan gum, ethylcellulose, carbomer, hydroxypropyl methyl cellulose or wax or osmotic agents such as potassium bicarbonate or sodium chloride.

30 The tablets may be coated according to methods well-known in the art such as aqueous dispersion coating, solvent-based coating or drying coating. The active compound can also be incorporated by coating onto inert pellets using film-coating agents, by extrusion and spheronization process, by hot melting pelletization or it can be in the form of a troche or lozenge. When a
35 dosage unit form is a capsule, it may contain, in addition to materials of the above type, a liquid carrier such as a fatty oil or wax.

Powders and granulates for the preparation of oral suspensions by the addition of water can be obtained by mixing the active compound with dispersing or wetting agents; suspending agents, anticaking agents, buffering agents and preservatives. Other excipients may also be added, for example
5 sweetening, flavouring and colouring agents.

Alternatively, the compounds of the present invention may be incorporated into oral liquid preparations such as emulsions, solutions, dispersions, suspensions, syrups, elixirs or in the form of soft gelatin capsules. They may contain commonly-used inert diluents, such as purified water,
10 ethanol, sorbitol, glycerol, polyethylene glycols (macrogols) and propylene glycol. Aid compositions can also contain coadjuvants such as wetting, suspending, sweetening, flavouring agents, preservatives, buffers, chelating agents and antioxidants.

Solutions or suspensions may be prepared in water suitably mixed with
15 a surfactant such as sodium lauryl sulfate. Dispersions may also be prepared in glycerol, liquid polyethylene glycols and mixtures thereof in oils. Under ordinary conditions of storage and use, these preparations contain a preservative to prevent the growth of microorganisms. Moreover, formulations containing these compounds may be presented as a dry product constitution
20 with water or other suitable vehicle before use.

Injectable preparations for parenteral administration comprise sterile solutions, suspensions or emulsions in oily or aqueous vehicles, and may contain coadjuvants, such as suspending, stabilizing, tonicity agents or dispersing agents.

25 For nasal administration, the preparation may contain a compound of formula I dissolved or suspended in a liquid carrier, in particular an aqueous carrier, for aerosol application. The carrier may contain additives such as solubilizing agents, e. g. propylene glycol, surfactants, absorption enhancers such as lecithin (phosphatidylcholine) or cyclodextrin, or preservatives such as
30 parabenes.

The compound can also be formulated for its topical application. Formulations include creams, lotions, gels, powders, solutions, shampoo preparations, oral paste, mouth wash preparations and patches wherein the compound is dispersed or dissolved in suitable excipients. These excipients
35 may be antimicrobial preservatives such as imidurea, propylparaben, propylene glycol or methylparaben; emulsifying agents such as cetyl alcohol, methylcellulose, poloxamer or medium-chain triglycerids; emulsion stabilizers

such as glyceril monostearate, magnesium aluminium silicate, cyclodextrins or wax; humectants such as triacetin, glycerin, propylene glycol or sorbitol; penetrants enhancing agents such as isopropyl miristate; buffering agents such as malic acid, potassium citrate or sodium phosphate dibasic; 5 surfactants such as docusate sodium, sodium lauryl sulfate, polysorbates or sorbitan esters; thickening agents such as hydroxyethyl cellulose, hydroxypropyl cellulose or polyethylene oxide.

The effective dosage of active ingredient may vary depending on the particular compound administered, the route of administration, the nature and 10 severity of the disease to be treated, as well as the age, the general condition and body weight of the patient, among other factors. A representative example of a suitable dosage range is from about 0.001 to about 100 mg/Kg body weight per day, which can be administered as a single or divided doses. However, the dosage administered will be generally left to the discretion of the 15 physician.

PPAR γ 2 Binding assay

The cDNA encoding for the open reading frame of the hPPAR γ 2 was 20 amplified by PCR (*polymerase chain reaction*) and inserted in the plasmid pGEX-4T-2. This construction (pGEX-hPPAR γ) was introduced into *E. coli* where it was overexpressed and semipurified as a fusion protein with glutathione S-transferase (GST) (Elbrecht *et al.*, *J. Biol. Chem.* 1999, 274, 7913-7922). The binding of the compounds to the GST-hPPAR γ 2 s was 25 determined by modifications in the method described by Lehmann *et al.* (*J. Biol. Chem.* 1995, 270, 12953-12957). The receptors (2.5 μ g) were incubated in 96-well plates in the presence or in the absence of the products with [^{3} H]BRL-49853 (100 nM) for 3 h at 4°C, in a final volume of 200 μ L of buffer Tris-HCl 10 mM pH:8.0, containing KCl 50 mM and DTT 10 mM. Non-specific 30 binding was determined in the presence of BRL-49853 100 μ M. The reaction mixture was transferred to a Multiscreen Durapore (Millipore) microplate containing glutathione-Sepharose 4B in every well. The reaction mixture was left to incubate with the resin during 10 min, and then centrifuged at 735 g during 2 min. To dissociate the receptor bound to the resin, reduced 35 glutathione 10 mM is added and incubated during 10 min. The receptor was eluted by centrifugation. Then, scintillation liquid was added to the elution and

the contained radioactivity was quantified by liquid scintillation spectroscopy (Microbeta Wallac, Perkin Elmer).

LBD-hPPARs transactivation assay

5

COS-7 cells were cultivated in 24-well plates and transfected with the pFACMV plasmids that encode the chimeric proteins containing the GAL4 DNA binding domain fused to the PPAR γ LBD. The reporter plasmid for the foregoing constructions was pFR-Luc, which contains five repetitions of the GAL4-response element in front of a promoter that controls the transcription of the luciferase gene. Lipofectamine was used as a transfection agent. The plasmids of the chimeric receptors and the reporter gene were inserted in the cells by transitory transfection in COS-7 cells in culture. When the products were added to the culture for 48 h, the luciferase activity showed the effect of the PPAR activity modulation on the transcription of the reporter construction (Wright *et al.*, *J. Biol. Chem.* 2000, 275, 1873).

Cloning of human PPAR γ 2

The human PPAR cDNA was amplified through RT-PCR. For hPPAR γ 2, RNA was obtained from human white adipose tissue. Each amplified fragment was cloned into pBluescript (Stratagene®) and sequenced. One clone for each construction was selected and used as template for further subcloning and PCR amplifications.

25

GST-fused protein construction

To generate this chimeric protein, the complete cDNA of the human PPAR was cloned into pGEX4T2 (Amersham Biosciences). The fragment was obtained from the pBluescript-cDNAs clones digested with endonucleases. To assess the plasmid identity and to ensure the in-phase cloning of the proteins, pGEX construction was sequenced. GST-hPPAR γ 2 fusion protein was generated in *Escherichia coli* (BL21 strain DE3). Cells were cultured in LB medium to a density of A600= 1.6 odu, and induced for overexpression by addition of isopropyl-1-thio- β -D-galactopyranoside (IPTG)-induced cultures to a final concentration of 0.5 mM. The IPTG-induced cultures were grown at room temperature o/n, before cells were harvested by centrifugation at 5000 g

for 15 min. After sonication, the GST-fusion protein was purified from the cell pellet using glutathione-Sepharose beads, following the procedure recommended by the manufacturer (Amersham Pharmacia Biotech). Excess of glutathione was removed o/n by dialysis at 4°C. Receptor purity was
5 visualized by SDS-PAGE and protein content was determined by Bradford method. Receptor aliquots were stored at -80°C until use.

In Table 1, affinity and functional activity data of some of the compounds of the present invention are shown.

10 Table 1

Example Number	Affinity PPAR γ ⁽¹⁾	Functional activity PPAR γ
I_121	++	ANTAGONIST
I_128	++	---
I_149	+	---
I_178	+++	---
I_198	++	PARTIAL AGONIST
I_262	+++	AGONIST
I_265	+++	AGONIST
I_280	+++	---
I_303	+++	---
I_375	+++	PARTIAL AGONIST
I_379	++	---
I_391	++	---
I_410	+++	ANTAGONIST
I_412	+++	---
I_418	++	PARTIAL AGONIST
I_438	++	---
I_440	++	---
I_467	+++	---
I_469	++	---

⁽¹⁾ +++ : Ki < 500 nM, ++: 500 nM < Ki < 1500 nM, + : Ki > 1500 nM

Additional objects, advantages and novel features of the invention will be set forth in part in the description, and in part will become apparent to
15 those skilled in the art upon examination of the description or may be learned by practice of the invention. The present invention will be further illustrated by the following examples. The examples are given by way of illustration only and are not to be construed as limiting the scope of the invention.

The nomenclature of the different compounds used in the present document is based on the software AUTONOM (Automatic Nomenclature) from the Beilstein Institute, which uses the IUPAC systematic nomenclature.

LC-MS spectra have been performed using the following chromatographic equipment: Hewlett-Packard model 1100, equipped with a selective mass detector model 1100 VL, autosampler, ChemStation software and a laser printer (mass spectrometry ionization mode: Atmospheric pressure ionisation with positive ion detection) and using the following chromatographic methods:

Method A: Column Kromasil 100 C18, 40 x 4.0 mm, 3.5 μ m, flow : 0.7 mL/min, eluent : A= 0.1% formic acid in water, B = 0.1% formic acid in acetonitrile, gradient :0 min 5% B - 8 min 90% B.

Method B: Column: Gemini 5u C18 110, 40 x 4.0 mm, flow : 0.7 mL/min, eluent : A= 0.1% formic acid in water, B = 0.1% formic acid in acetonitrile, gradient :0 min 5% B - 8 min 90% B.

^1H -NMR spectra of the compounds have been recorded using a VARIAN GEMINI-200 MHz and a VARIAN UNITY-300 MHz equipment and chemical shifts are expressed as ppm (δ) from the internal reference TMS. Mass spectra have been obtained with an Agilent 1100 VL mass spectrometer.

The following abbreviations have been used in the examples:

DEAD: diethyl azodicarboxylate

DMF: *N,N*-dimethylformamide

EDC: 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide

eq: molar equivalent

EtOAc: ethyl acetate

HOBT: 1-hydroxybenzotriazol

LC-MS: liquid chromatography-mass spectrometry

rt: retention time

THF: tetrahydrofuran

TMS: trimethylsilyl

Intermediates IIIa and IIIb:

Compounds of formula IIIa and IIIb can be prepared following similar procedures to those described in Cobb *et al.*, *J. Med. Chem.* 1998, 41, 5055-69.

IIIa_1: (S)-2-(2-Benzoylphenylamino)-3-(4-hydroxyphenyl)propionic acid methyl ester; rt: 7.357, MS [M+1]⁺: 376.

IIIb_1: (R)-2-(2-Benzoylphenylamino)-3-(4-hydroxyphenyl)propionic acid methyl ester; rt: 7.357, MS [M+1]⁺: 376.

5

Intermediates XIII:

Compounds of formula XIII shown in Table 2 were obtained starting from a phenol III and an amine derivative of formula XIVa or XIVb following one of the procedures A-D described below.

10 PROCEDURE A: A 0.5 M suspension of phenol III (1 eq) in EtOAc containing anhydrous potassium carbonate (3 eq) and compound XIVa (1.3 eq) was refluxed for 18h. Then, the suspension was allowed to cool down and the white solid was filtered. The solvent was distilled off under reduced pressure, and the obtained residue was purified by column chromatography.

15 PROCEDURE B: A 0.5 M suspension of phenol III (1 eq) in anhydrous DMF containing cesium carbonate (3 eq), compound XIVa (1.3 eq) and potassium iodide (catalytic amount) was heated at 80°C for 18h. Then, the suspension was allowed to cool down at room temperature, and treated with water and EtOAc. The organic layer was washed three times with brine, dried
20 over anhydrous sodium sulfate and filtered. The solvent was distilled off under reduced pressure, and the obtained residue was purified by column chromatography.

 PROCEDURE C: To a 0.1 M solution of phenol III (1 eq) in anhydrous DMF, containing a catalytic amount of potassium iodide, sodium hydride
25 (60%, 1.1 eq) was added. The resulting suspension was stirred at room temperature for 1 hour and then compound XIVa (1.1 eq) was added. The reaction mixture was stirred at 80°C for 18h, and then allowed to cool down to room temperature. After treating with water and EtOAc, the organic layer was washed three times with brine, dried over anhydrous sodium sulfate and
30 filtered. The solvent was distilled off under reduced pressure, and the obtained residue was purified by column chromatography.

 PROCEDURE D: To a 0.2 M solution of phenol III (1 eq) in THF, containing compound XIVb (2.2 eq) and triphenylphosphine (2.2 eq), DEAD (2.2 eq) was added under inert atmosphere. The solution was stirred at room
35 temperature for 18h. Then, the solvent was distilled off under reduced pressure, and the obtained residue was purified by column chromatography.

Table 2

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
XIII_1	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2,2,2-trifluoroacetyl)amino]ethoxy}phenyl)propionic acid methyl ester	8.86 (d, 1H), 7.62-7.56 (ca, 2H), 7.56-7.16 (ca, 12H), 6.79 (d, 2H), 6.68-6.55 (ca, 2H), 4.80 (s, 2H), 4.40 (q, 1H), 4.10 (t, 2H), 3.75-3.60 (ca, 5H), 3.19 (dd, 1H), 3.09 (dd, 1H)	A
XIII_2	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2,2,2-trifluoroacetyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.383 MS [M+1] ⁺ : 619	A
XIII_3	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclohexyl-(2,2,2-trifluoroacetyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.706 MS [M+1] ⁺ : 597	A
XIII_4	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[<i>tert</i> -butyl-(2,2,2-trifluoroacetyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.287 MS [M+1] ⁺ : 571	A
XIII_5	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(2-nitrobenzenesulfonyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.969 MS [M+1] ⁺ : 658	A
XIII_6	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(2-nitrobenzenesulfonyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.103 MS [M+1] ⁺ : 672	A
XIII_7	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbenzyl)(2-nitrobenzenesulfonyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.323 MS [M+1] ⁺ : _	A
XIII_8	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbenzyl)(2-nitrobenzenesulfonyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.449 MS [M+1] ⁺ : _	A
XIII_9	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-nitrobenzenesulfonyl)propylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.948 MS [M+1] ⁺ : 646	A
XIII_10	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl-(2-nitrobenzenesulfonyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.726 MS [M+1] ⁺ : 632	A

Intermediates V:

5 Compounds of formula V shown in Table 3 were obtained starting from phenol III and an amine derivative of formula XVa following one of the

procedures A-C described above or alternatively starting from compound XIII using procedures E-F described below.

PROCEDURE E: To a 0.1 M solution of compound XIII (1 eq) (PG = trifluoroacetyl) in a mixture of THF:methanol (3:1), a 1 M aqueous solution of lithium hydroxide (5 eq) was added. The solution was stirred for 18 h at room temperature, then diluted with a mixture of water/EtOAc, and then acidified to pH=5 with HCl 1 N. The organic layer was dried over anhydrous sodium sulfate and filtered. The solvent was distilled off under reduced pressure, and the obtained residue was redissolved in methanol to afford a 0.1 M solution, which was treated with thionyl chloride (3.2 eq). The solution was refluxed for 18h, and then allowed to cool down to room temperature. The solvent was distilled off under reduced pressure. The obtained residue was purified by column chromatography.

PROCEDURE F: To a 0.1 M solution of compound XIII (PG = 2-nitrobenzenesulfonyl, 1 eq) in DMF containing thiophenol (1 eq), KO^tBu (2 eq) was added. The solution was stirred at room temperature for 6h and then diluted with a mixture of water/EtOAc. The organic layer was washed three times with brine, dried over anhydrous sodium sulfate and filtered. The solvent was distilled off under reduced pressure, and the obtained residue was purified by column chromatography.

Table 3

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
V_1	XIII_1	(S)-2-(2-Benzoylphenylamino)-3-[4-(2-benzylaminoethoxy)phenyl]-propionic acid methyl ester	8.86 (d, 1H), 7.62-7.56 (ca, 2H), 7.56-7.40 (ca, 6H), 7.40-7.27 (ca, 4H), 7.14 (d, 2H), 6.83 (d, 2H), 6.65-6.53 (ca, 2H), 4.63 (q, 1H), 4.13 (t, 2H), 3.99 (s, 2H), 3.68 (s, 3H), 3.19 (dd, 1H), 3.09 (dd, 1H), 3.03 (t, 2H)	A
V_2	XIII_2	(S)-2-(2-Benzoylphenylamino)-3-[4-(3-benzylaminopropoxy)phenyl]-propionic acid methyl ester	rt: 6.385 MS [M+1] ⁺ : 523	A
V_3	XIII_3	(S)-2-(2-Benzoylphenylamino)-3-[4-(2-cyclohexylaminoethoxy)phenyl]-propionic acid methyl ester	rt: 6.495 MS [M+1] ⁺ : 501	A
V_4	XIII_4	(S)-2-(2-Benzoylphenylamino)-3-[4-(2- <i>tert</i> -butylaminoethoxy)phenyl]-propionic acid methyl ester	rt: 6.209 MS [M+1] ⁺ : 475	A
V_5	XIII_5	(S)-2-(2-Benzoylphenylamino)-3-[4-[2-(cyclopropylmethylamino)ethoxy]phenyl]propionic acid methyl ester	rt: 5.882 MS [M+1] ⁺ : 473	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
V_6	XIII_6	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropylmethylamino)propoxy]phenyl}propionic acid methyl ester	rt: 6.354 MS [M+1] ⁺ : 487	A
V_7	XIII_7	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(3-methylbenzylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 6.591 MS [M+1] ⁺ : 523	A
V_8	XIII_8	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(3-methylbenzylamino)propoxy]phenyl}propionic acid methyl ester	rt: 6.758 MS [M+1] ⁺ : 537	A
V_9	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-(2-phenylaminoethoxy)phenyl}propionic acid methyl ester	rt: 8.96 MS [M+1] ⁺ : 495	A
V_10	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-(3-phenylaminopropoxy)phenyl}propionic acid methyl ester	rt: 8.993 MS [M+1] ⁺ : 509	A
V_11	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(2-fluorophenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.131 MS [M+1] ⁺ : 513	A
V_12	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(3-fluorophenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.013 MS [M+1] ⁺ : 513	A
V_13	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(4-fluorophenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 8.876 MS [M+1] ⁺ : 513	A
V_14	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-(2- <i>o</i> -tolylaminoethoxy)phenyl}propionic acid methyl ester	rt: 9.247 MS [M+1] ⁺ : 509	A
V_15	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-(2- <i>m</i> -tolylaminoethoxy)phenyl}propionic acid methyl ester	rt: 9.127 MS [M+1] ⁺ : 509	A
V_16	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-(2- <i>p</i> -tolylaminoethoxy)phenyl}propionic acid methyl ester	rt: 9.014 MS [M+1] ⁺ : 509	A
V_17	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(2-chlorophenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.431 MS [M, M+2] ⁺ : 530, 532	A
V_18	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(3-chlorophenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.293 MS [M, M+2] ⁺ : 530, 532	A
V_19	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(4-chlorophenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.265 MS [M, M+2] ⁺ : 530, 532	A
V_20	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-(3- <i>o</i> -tolylaminopropoxy)phenyl}propionic acid methyl ester	rt: 9.377 MS [M+1] ⁺ : 523	A
V_21	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-(3- <i>m</i> -tolylaminopropoxy)phenyl}propionic acid methyl ester	rt: 9.11 MS [M+1] ⁺ : 523	A
V_22	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-(3- <i>p</i> -tolylaminopropoxy)phenyl}propionic acid methyl ester	rt: 8.714 MS [M+1] ⁺ : 523	A
V_23	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(2-chlorophenylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.632 MS [M, M+2] ⁺ : 543, 545	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
V_24	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(3-chlorophenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.474 MS [M, M+2] ⁺ : 543, 545	A
V_25	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(4-chlorophenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.435 MS [M, M+2] ⁺ : 543, 545	A
V_26	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(naphthalen-1-ylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 10.202 MS [M+1] ⁺ : 545	A
V_27	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(3-methylsulfanylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.189 MS [M+1] ⁺ : 541	A
V_28	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(4-methylsulfanylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.178 MS [M+1] ⁺ : 541	A
V_29	IIIb_1	(R)-2-(2-Benzoylphenylamino)-3-[4-(2-phenylaminoethoxy)phenyl]-propionic acid methyl ester	rt: 8.968 MS [M+1] ⁺ : 495	A
V_30	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(naphthalen-2-ylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.417 MS [M+1] ⁺ : 545	A
V_31	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(2-fluorophenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.369 MS [M+1] ⁺ : 527	A
V_32	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(3-fluorophenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.233 MS [M+1] ⁺ : 527	A
V_33	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(4-fluorophenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 8.992 MS [M+1] ⁺ : 527	A
V_34	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(2-methoxyphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.085 MS [M+1] ⁺ : 525	A
V_35	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(3-methoxyphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 8.850 MS [M+1] ⁺ : 525	A
V_36	XIII_10	(S)-2-(2-Benzoylphenylamino)-3-[4-(2-ethylaminoethoxy)phenyl]-propionic acid methyl ester	rt: 5.889 MS [M+1] ⁺ : 447	A
V_37	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(2-methoxyphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.097 MS [M+1] ⁺ : 539	A
V_38	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(3-methoxyphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 8.923 MS [M+1] ⁺ : 539	A
V_39	XIII_9	(S)-2-(2-Benzoylphenylamino)-3-[4-(2-propylaminoethoxy)phenyl]-propionic acid methyl ester	rt: 6.063 MS [M+1] ⁺ : 461	A

Intermediates II:

Compounds of formula II shown in Table 4 were obtained starting from phenol III and a compound of formula IVa or IVb following one of the procedures A-D described above.

5 Table 4

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
II_1	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylindan-5-ylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 10.561 MS [M+1] ⁺ : 625	A
II_2	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(2,6-difluorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.023 MS [M+1] ⁺ : 621	A
II_3	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-(2-fluorobenzyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.22 MS [M+1] ⁺ : 638	A
II_4	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-fluorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.977 MS [M+1] ⁺ : 603	A
II_5	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylbenzyl)phenylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.123 MS [M+1] ⁺ : 599	A
II_6	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(2-methoxybenzyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.204 MS [M+1] ⁺ : 650	A
II_7	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxybenzyl)- <i>m</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.134 MS [M+1] ⁺ : 629	A
II_8	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methoxybenzyl)phenylamino]propoxy}phenyl)propionic acid methyl ester	rt: 10.014 MS [M+1] ⁺ : 629	A
II_9	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzyl- <i>o</i> -tolylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 10.25 MS [M+1] ⁺ : 599	A
II_10	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-ethylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.356 MS [M+1] ⁺ : 613	A
II_11	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-fluorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.864 MS [M+1] ⁺ : 603	A
II_12	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-(3-methoxybenzyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.119 MS [M+1] ⁺ : 650	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
II_13	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(3-methoxybenzyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 10.012 MS [M+1] ⁺ : 650	A
II_14	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxybenzyl)- <i>m</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.004 MS [M+1] ⁺ : 629	A
II_15	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methoxybenzyl)phenylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.931 MS [M+1] ⁺ : 629	A
II_16	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzyl- <i>m</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 10.127 MS [M+1] ⁺ : 599	A
II_17	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(4-chlorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.164 MS [M+1] ⁺ : 619	A
II_18	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(4-fluorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.863 MS [M+1] ⁺ : 603	A
II_19	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-methylbenzyl)phenylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.172 MS [M+1] ⁺ : 599	A
II_20	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-methoxybenzyl)phenylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.879 MS [M+1] ⁺ : 629	A
II_21	IIIa_1	(S)-2-(Benzoylphenylamino)-3-{4-[2-(di- <i>p</i> -tolylamino)ethoxy]phenyl}-propionic acid methyl ester	rt: 10.592 MS [M+1] ⁺ : 599	A
II_22	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzyl- <i>p</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 10.174 MS [M+1] ⁺ : 599	A
II_23	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylindan-5-ylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.601 MS [M+1] ⁺ : 639	A
II_24	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-ethylphenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 10.507 MS [M+1] ⁺ : 627	A
II_25	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzyl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.261 MS [M+1] ⁺ : 613	A
II_26	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzyl- <i>p</i> -tolylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.27 MS [M+1] ⁺ : 613	A
II_27	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclohexylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 7.251 MS [M+1] ⁺ : 605	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
II_28	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylphenylamino)propoxy]-phenyl}propionic acid methyl ester	8.92 (d, 1H), 7.60 (d, 2H), 7.60-7.15 (ca, 17H), 6.85-6.55 (ca, 4H), 4.55 (s, 2H), 4.39 (q, 1H), 3.97 (t, 2H), 3.69 (s, 3H), 3.62 (t, 2H), 3.22 (dd, 1H), 3.13 (dd, 1H), 2.20-2.00 (ca, 2H)	A
II_29	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)isobutylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.281 MS [M+1] ⁺ : 569	A
II_30	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyl- <i>o</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 10.614 MS [M+1] ⁺ : 565	A
II_31	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyl- <i>o</i> -tolylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.455 MS [M+1] ⁺ : 579	A
II_32	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)isobutylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.109 MS [M+1] ⁺ : 569	A
II_33	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyl- <i>m</i> -tolylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: 10.397 MS [M+1] ⁺ : 565	A
II_34	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.412 MS [M+1] ⁺ : 579	A
II_35	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylphenethylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 7.539 MS [M+1] ⁺ : 613	A
II_36	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutylmethyl- <i>o</i> -tolylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 10.223 MS [M+1] ⁺ : 577	A
II_37	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclopentylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 7.03 MS [M+1] ⁺ : 577	A
II_38	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopentylphenylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 7.811 MS [M+1] ⁺ : 577	A
II_39	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentylmethyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 10.786 MS [M+1] ⁺ : 595	A
II_40	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentylmethylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 10.602 MS [M+1] ⁺ : 577	A
II_41	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclopropylmethylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 6.954 MS [M+1] ⁺ : 563	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
II_42	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclopropylmethylamino)propoxy]phenyl}propionic acid methyl ester	rt: 7.052 MS [M+1] ⁺ : 577	A
II_43	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxybenzyl)phenylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.936 MS [M+1] ⁺ : 615	A
II_44	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxybenzyl)phenylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.8 MS [M+1] ⁺ : 615	A
II_45	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxyphenyl)phenylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.831 MS [M+1] ⁺ : 601	A
II_46	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-methoxybenzyl)phenylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.788 MS [M+1] ⁺ : 615	A
II_47	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4- <i>tert</i> -butylbenzyl)phenylamino]ethoxy}phenyl)propionic acid methyl ester	rt: - MS [M+1] ⁺ : 641	A
II_48	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylphenylamino)ethoxy]phenyl}propionic acid methyl ester	8.89 (d, 1H), 7.59 (d, 2H), 7.55-7.40 (ca, 4H), 7.40-7.15 (ca, 11H), 6.85-6.55 (ca, 8H), 4.67 (s, 2H), 4.42 (m, 1H), 4.18 (t, 2H), 3.85 (t, 2H), 3.71 (s, 3H), 3.30-3.10 (ca, 2H)	A
II_49	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutylmethylphenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 10.206 MS [M+1] ⁺ : 563	A
II_50	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclohexylphenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 10.01 MS [M+1] ⁺ : 577	A
II_51	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclohexylmethylphenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: - MS [M+1] ⁺ : 589	A
II_52	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentylphenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 8.769 MS [M+1] ⁺ : 563	A
II_53	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropylmethylphenylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.696 MS [M+1] ⁺ : 549	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
II_54	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(diphenylamino)ethoxy]phenyl}-propionic acid methyl ester	8.98 (d, 1H), 7.70-7.60 (ca, 2H), 7.60-7.45 (ca, 3H), 7.45-7.15 (ca, 8H), 7.15-6.95 (ca, 6H), 6.85 (d, 2H), 6.75-6.60 (ca, 2H), 4.44 (q, 1H), 4.17 (s, 4H), 3.72 (s, 3H), 3.30-3.10 (ca, 2H).	A
II_55	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclohexylmethyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: _ MS [M+1] ⁺ : _	A
II_56	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-cyclopentylmethylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: _ MS [M+1] ⁺ : _	A
II_57	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutylmethyl-(3-fluorophenyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 10.527 MS [M+1] ⁺ : 595	A
II_58	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutylmethyl- <i>m</i> -tolylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.994 MS [M+1] ⁺ : 591	A
II_59	IIIb_1	(<i>R</i>)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.945 MS [M+1] ⁺ : 585	A
II_60	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-cyclopentylmethylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: _ MS [M+1] ⁺ : _	A
II_61	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)thiophen-2-ylmethylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.831 MS [M+1] ⁺ : 609	A
II_62	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)isobutylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 10.561 MS [M+1] ⁺ : 583	A
II_63	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopentylmethyl-(2-fluorophenyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: _ MS [M+1] ⁺ : _	A
II_64	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutylmethyl- <i>o</i> -tolylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.655 MS [M+1] ⁺ : 591	A
II_65	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)thiophen-2-ylmethylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.752 MS [M+1] ⁺ : 609	A
II_66	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(thiophen-2-ylmethyl- <i>m</i> -tolylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.996 MS [M+1] ⁺ : 605	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
II_67	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(thiophen-3-ylmethyl- <i>m</i> -tolylamino)propoxy]phenyl}propionic acid methyl ester	rt: 10.131 MS [M+1] ⁺ : 316	A
II_68	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(furan-2-ylmethyl- <i>m</i> -tolylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.929 MS [M+1] ⁺ : 603	A
II_69	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-[(4-fluorophenyl)thiophen-2-ylmethylamino]ethoxy]phenyl}-propionic acid methyl ester	rt: 9.744 MS [M+1] ⁺ : 609	A
II_70	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(phenylthiophen-2-ylmethylamino)ethoxy]phenyl}-propionic acid methyl ester	rt: 9.795 MS [M+1] ⁺ : 591	A
II_71	IIIa_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(phenylthiophen-2-ylmethylamino)propoxy]phenyl}-propionic acid methyl ester	rt: 9.933 MS [M+1] ⁺ : 605	A

Intermediates IIa:

Compounds of formula IIa shown in Table 5 were obtained starting from a compound Va and a compound of formula VIa or VIb, following one of the procedures G-H described below.

PROCEDURE G: To a 0.2 M solution of compound Va (1 eq) in dichloromethane or EtOAc, triethylamine (3 eq) and a solution of an acyl chloride VIa (1.2 eq) were added. After stirring for 18h, the crude was treated with 5% NaHCO₃. The organic layer was washed twice with 5% NaHCO₃ and once with brine. The organic layer was dried over anhydrous sodium sulfate and filtered. The solvent was distilled off under reduced pressure. The obtained residue was purified by column chromatography.

PROCEDURE H: To a 0.3 M suspension of compound Va (1 eq) in EtOAc containing HOBt (1.5 eq) and EDC (1.5 eq), triethylamine (3 eq) was added. Then, carboxylic acid VIb (1 eq) was added and stirred for 18h. The reaction mixture was treated with water, the organic layer was separated and the aqueous layer was extracted once with EtOAc. The combined organic layers were washed once with 5% NaHCO₃ and once with brine, dried over anhydrous sodium sulfate, and filtered. The solvent was distilled off under reduced pressure, and the obtained residue was purified by column chromatography.

Table 5

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_1	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-(2-methylacryloyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.069 MS [M+1] ⁺ : 597	A
Ila_2	V_23	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-chlorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.926 MS [M, M+2] ⁺ : 611, 613	B
Ila_3	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(E)-enoyl-(2-chlorophenyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.034 MS [M, M+2] ⁺ : 597, 599	A
Ila_4	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.532 MS [M, M+2] ⁺ : 613, 615	A
Ila_5	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-cyclobutanecarbonylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.427 MS [M, M+2] ⁺ : 611, 613	A
Ila_6	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-cyclopentanecarbonylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.657 MS [M, M+2] ⁺ : 625, 627	A
Ila_7	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-cyclopropanecarbonylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.094 MS [M, M+2] ⁺ : 597, 599	A
Ila_8	V_23	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-chlorophenyl)-cyclopropanecarbonylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.28 MS [M, M+2] ⁺ : 611, 613	A
Ila_9	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)propionylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.049 MS [M, M+2] ⁺ : 585, 587	A
Ila_10	V_23	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-chlorophenyl)propionylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.247 MS [M, M+2] ⁺ : 599, 601	A
Ila_11	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)isobutyrylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.28 MS [M, M+2] ⁺ : 599, 601	A
Ila_12	V_23	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-chlorophenyl)isobutyrylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 10.126 MS [M, M+2] ⁺ : 613, 615	B
Ila_13	V_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(2-chlorophenyl)amino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.069 MS [M, M+2] ⁺ : 597, 599	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_14	V_23	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(2-chlorophenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 10.148 MS [M, M+2] ⁺ : 613, 615	B
Ila_15	V_23	(S)-3-(4-{3-[Acryloyl-(2-chlorophenyl)amino]propoxy}phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 9.102 MS [M, M+2] ⁺ : 597, 599	A
Ila_16	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)-(thiophene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.961 MS [M+1] ⁺ : 623	A
Ila_17	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.857 MS [M+1] ⁺ : 581	A
Ila_18	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.282 MS [M+1] ⁺ : 597	A
Ila_19	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.185 MS [M+1] ⁺ : 595	A
Ila_20	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentanecarbonyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.412 MS [M+1] ⁺ : 609	A
Ila_21	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.865 MS [M+1] ⁺ : 581	A
Ila_22	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)propionylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.796 MS [M+1] ⁺ : 569	A
Ila_23	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.04 MS [M+1] ⁺ : 583	A
Ila_24	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(2-fluorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.05 MS [M+1] ⁺ : 583	A
Ila_25	V_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.408 MS [M+1] ⁺ : 597	A
Ila_26	V_20	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)-o-tolylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.828 MS [M+1] ⁺ : 591	B

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_27	V_14	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)- <i>o</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.684 MS [M+1] ⁺ : 607	A
Ila_28	V_20	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbut-2-(<i>E</i>)-enoyl]- <i>o</i> -tolylamino]propoxy}phenyl)propionic acid methyl ester	rt: 10.165 MS [M+1] ⁺ : 605	B
Ila_29	V_20	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(but-2-(<i>E</i>)-enoyl- <i>o</i> -tolylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.911 MS [M+1] ⁺ : 591	B
Ila_30	V_14	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)- <i>o</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.788 MS [M+1] ⁺ : 607	A
Ila_31	V_14	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbutyryl)- <i>o</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.465 MS [M+1] ⁺ : 593	A
Ila_32	V_20	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbutyryl)- <i>o</i> -tolylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 10.331 MS [M+1] ⁺ : 607	B
Ila_33	V_20	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(<i>o</i> -tolylpent-4-enoylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 10.129 MS [M+1] ⁺ : 605	B
Ila_34	V_14	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonyl- <i>o</i> -tolylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.378 MS [M+1] ⁺ : 591	A
Ila_35	V_14	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonyl- <i>o</i> -tolylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.607 MS [M+1] ⁺ : 605	A
Ila_36	V_20	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(propionyl- <i>o</i> -tolylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.849 MS [M+1] ⁺ : 579	B
Ila_37	V_14	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyryl- <i>o</i> -tolylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.22 MS [M+1] ⁺ : 579	A
Ila_38	V_20	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyryl- <i>o</i> -tolylamino)propoxy]phenyl}propionic acid methyl ester	rt: 10.08 MS [M+1] ⁺ : 593	B
Ila_39	V_20	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(pentanoyl- <i>o</i> -tolylamino)propoxy]phenyl}propionic acid methyl ester	rt: 10.348 MS [M+1] ⁺ : 607	B
Ila_40	V_14	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyryl- <i>o</i> -tolylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.233 MS [M+1] ⁺ : 579	A
Ila_41	V_20	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(butyryl- <i>o</i> -tolylamino)propoxy]phenyl}propionic acid methyl ester	rt: 10.094 MS [M+1] ⁺ : 593	B

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_42	V_14	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoyl- <i>o</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.077 MS [M+1] ⁺ : 613	A
Ila_43	V_14	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)- <i>o</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.597 MS [M+1] ⁺ : 593	A
Ila_44	V_20	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)- <i>o</i> -tolylamino]propoxy}phenyl)propionic acid methyl ester	rt: 10.435 MS [M+1] ⁺ : 607	B
Ila_45	V_20	(S)-3-{4-[3-(Acryloyl- <i>o</i> -tolylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 9.781 MS [M+1] ⁺ : 577	B
Ila_46	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(2-methylacryloyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.126 MS [M, M+2] ⁺ : 597, 599	A
Ila_47	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(3-chlorophenyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.184 MS [M, M+2] ⁺ : 597, 599	A
Ila_48	V_24	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(<i>E</i>)-enoyl-(3-chlorophenyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.348 MS [M, M+2] ⁺ : 611, 613	A
Ila_49	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.557 MS [M, M+2] ⁺ : 613, 615	A
Ila_50	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-pent-4-enoylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.375 MS [M, M+2] ⁺ : 611, 613	A
Ila_51	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-cyclobutanecarbonylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.488 MS [M, M+2] ⁺ : 611, 613	A
Ila_52	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-cyclopentanecarbonylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.708 MS [M, M+2] ⁺ : 625, 627	A
Ila_53	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-cyclopropanecarbonylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.217 MS [M, M+2] ⁺ : 597, 599	A
Ila_54	V_24	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)-cyclopropanecarbonylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.401 MS [M, M+2] ⁺ : 611, 613	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_55	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)propionylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.097 MS [M, M+2] ⁺ : 585, 587	A
Ila_56	V_24	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)propionylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.279 MS [M, M+2] ⁺ : 599, 601	A
Ila_57	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.332 MS [M, M+2] ⁺ : 599, 601	A
Ila_58	V_24	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.502 MS [M, M+2] ⁺ : 613, 615	A
Ila_59	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-chlorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.339 MS [M, M+2] ⁺ : 599, 601	A
Ila_60	V_24	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(3-chlorophenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.508 MS [M, M+2] ⁺ : 613, 615	A
Ila_61	V_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(2,2-dimethylpropionyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.669 MS [M, M+2] ⁺ : 613, 615	A
Ila_62	V_24	(S)-3-(4-{3-[Acryloyl-(3-chlorophenyl)amino]propoxy}phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 9.202 MS [M, M+2] ⁺ : 597, 599	A
Ila_63	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)-(thiophene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.016 MS [M+1] ⁺ : 623	A
Ila_64	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.86 MS [M+1] ⁺ : 581	A
Ila_65	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.284 MS [M+1] ⁺ : 597	A
Ila_66	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(3-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.198 MS [M+1] ⁺ : 595	A
Ila_67	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentanecarbonyl-(3-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.424 MS [M+1] ⁺ : 609	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_68	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(3-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.93 MS [M+1] ⁺ : 581	A
Ila_69	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)propionylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.805 MS [M+1] ⁺ : 569	A
Ila_70	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.047 MS [M+1] ⁺ : 583	A
Ila_71	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-fluorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.057 MS [M+1] ⁺ : 583	A
Ila_72	V_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(3-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.393 MS [M+1] ⁺ : 597	A
Ila_73	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)-(3-methylbenzyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.199 MS [M+1] ⁺ : 605	A
Ila_74	V_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)-(3-methylbenzyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.678 MS [M+1] ⁺ : 621	A
Ila_75	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbenzyl)-(3-methylbut-2-(E)-enoyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.382 MS [M+1] ⁺ : 619	A
Ila_76	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(E)-enoyl-(3-methylbenzyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.153 MS [M+1] ⁺ : 605	A
Ila_77	V_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)-(3-methylbenzyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.728 MS [M+1] ⁺ : 621	A
Ila_78	V_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbenzyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.471 MS [M+1] ⁺ : 607	A
Ila_79	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbenzyl)-(3-methylbutyryl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.549 MS [M+1] ⁺ : 621	A
Ila_80	V_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(3-methylbenzyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.389 MS [M+1] ⁺ : 605	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_81	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutanecarbonyl-(3-methylbenzyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.464 MS [M+1] ⁺ : 619	A
Ila_82	V_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentanecarbonyl-(3-methylbenzyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.588 MS [M+1] ⁺ : 619	A
Ila_83	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(3-methylbenzyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.194 MS [M+1] ⁺ : 605	A
Ila_84	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbenzyl)propionylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.095 MS [M+1] ⁺ : 593	A
Ila_85	V_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(3-methylbenzyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.23 MS [M+1] ⁺ : 593	A
Ila_86	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[isobutyryl-(3-methylbenzyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.319 MS [M+1] ⁺ : 607	A
Ila_87	V_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-methylbenzyl)amino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.247 MS [M+1] ⁺ : 593	A
Ila_88	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(3-methylbenzyl)amino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.328 MS [M+1] ⁺ : 607	A
Ila_89	V_7	(S)-3-(4-{2-[Benzoyl-(3-methylbenzyl)amino]ethoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 9.28 MS [M+1] ⁺ : 627	A
Ila_90	V_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(3-methylbenzyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.602 MS [M+1] ⁺ : 607	A
Ila_91	V_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-(3-methylbenzyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.635 MS [M+1] ⁺ : 621	A
Ila_92	V_21	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)- <i>m</i> -tolylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.906 MS [M+1] ⁺ : 591	B
Ila_93	V_15	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)- <i>m</i> -tolylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.75 MS [M+1] ⁺ : 607	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_94	V_21	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbut-2-(<i>E</i>)-enoyl]- <i>m</i> -tolylamino]propoxy}phenyl)propionic acid methyl ester	rt: 10.231 MS [M+1] ⁺ : 605	B
Ila_95	V_21	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(but-2-(<i>E</i>)-enoyl- <i>m</i> -tolylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.985 MS [M+1] ⁺ : 591	B
Ila_96	V_15	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)- <i>m</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.826 MS [M+1] ⁺ : 607	A
Ila_97	V_15	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbutyryl)- <i>m</i> -tolylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.518 MS [M+1] ⁺ : 593	A
Ila_98	V_15	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonyl- <i>m</i> -tolylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.46 MS [M+1] ⁺ : 591	A
Ila_99	V_15	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonyl- <i>m</i> -tolylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.671 MS [M+1] ⁺ : 605	A
Ila_100	V_21	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropanecarbonyl- <i>m</i> -tolylamino)propoxy]phenyl}propionic acid methyl ester	rt: 10.041 MS [M+1] ⁺ : 591	B
Ila_101	V_21	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(propionyl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.915 MS [M+1] ⁺ : 579	B
Ila_102	V_15	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyryl- <i>m</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.287 MS [M+1] ⁺ : 579	A
Ila_103	V_21	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyryl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.134 MS [M+1] ⁺ : 593	B
Ila_104	V_21	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(pentanoyl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.398 MS [M+1] ⁺ : 607	B
Ila_105	V_15	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyryl- <i>m</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.296 MS [M+1] ⁺ : 579	A
Ila_106	V_21	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(butyryl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.146 MS [M+1] ⁺ : 593	B
Ila_107	V_15	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoyl- <i>m</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.176 MS [M+1] ⁺ : 613	A
Ila_108	V_15	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)- <i>m</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.659 MS [M+1] ⁺ : 593	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_109	V_21	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)- <i>m</i> -tolylamino]propoxy}phenyl)propionic acid methyl ester	rt: 10.508 MS [M+1] ⁺ : 607	B
Ila_110	V_21	(S)-3-{4-[3-(Acryloyl- <i>m</i> -tolylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 9.85 MS [M+1] ⁺ : 577	B
Ila_111	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.173 MS [M, M+2] ⁺ : 597, 599	A
Ila_112	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(4-chlorophenyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.242 MS [M, M+2] ⁺ : 597, 599	A
Ila_113	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.615 MS [M, M+2] ⁺ : 613, 615	A
Ila_114	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-pent-4-enoylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.428 MS [M, M+2] ⁺ : 611, 613	A
Ila_115	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-cyclobutanecarbonylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.532 MS [M, M+2] ⁺ : 611, 613	A
Ila_116	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-cyclopentanecarbonylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.763 MS [M, M+2] ⁺ : 625, 627	A
Ila_117	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-cyclopropanecarbonylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.263 MS [M, M+2] ⁺ : 597, 599	A
Ila_118	V_25	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-chlorophenyl)-cyclopropanecarbonylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.442 MS [M, M+2] ⁺ : 611, 613	A
Ila_119	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)propionylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.146 MS [M, M+2] ⁺ : 585, 587	A
Ila_120	V_25	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-chlorophenyl)propionylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.323 MS [M, M+2] ⁺ : 599, 601	A
Ila_121	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)isobutyrylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.393 MS [M, M+2] ⁺ : 599, 601	A

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Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_122	V_25	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-chlorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.558 MS [M, M+2] ⁺ : 613, 615	A
Ila_123	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(4-chlorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.393 MS [M, M+2] ⁺ : 599, 601	A
Ila_124	V_25	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(4-chlorophenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.558 MS [M, M+2] ⁺ : 613, 615	A
Ila_125	V_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-(2,2-dimethylpropionyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.71 MS [M, M+2] ⁺ : 613, 615	A
Ila_126	V_25	(S)-3-(4-{3-[Acryloyl-(4-chlorophenyl)amino]propoxy}phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 9.246 MS [M, M+2] ⁺ : 597, 599	A
Ila_127	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)-(thiophene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.015 MS [M+1] ⁺ : 623	A
Ila_128	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.828 MS [M+1] ⁺ : 581	A
Ila_129	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)-(3-methylbut-2-(E)-enoyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.141 MS [M+1] ⁺ : 595	A
Ila_130	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.278 MS [M+1] ⁺ : 597	A
Ila_131	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(4-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.184 MS [M+1] ⁺ : 595	A
Ila_132	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentanecarbonyl-(4-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.413 MS [M+1] ⁺ : 609	A
Ila_133	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(4-fluorophenyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.913 MS [M+1] ⁺ : 581	A
Ila_134	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)propionylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.794 MS [M+1] ⁺ : 569	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_135	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.038 MS [M+1] ⁺ : 583	A
Ila_136	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(4-fluorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.046 MS [M+1] ⁺ : 583	A
Ila_137	V_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(4-fluorophenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.384 MS [M+1] ⁺ : 597	A
Ila_138	V_22	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)- <i>p</i> -tolylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.202 MS [M+1] ⁺ : 591	A
Ila_139	V_16	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)- <i>p</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.775 MS [M+1] ⁺ : 607	A
Ila_140	V_16	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)- <i>p</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.851 MS [M+1] ⁺ : 607	A
Ila_141	V_16	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbutyryl)- <i>p</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.541 MS [M+1] ⁺ : 593	A
Ila_142	V_22	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbutyryl)- <i>p</i> -tolylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.674 MS [M+1] ⁺ : 607	A
Ila_143	V_16	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonyl)- <i>p</i> -tolylamino]ethoxy}phenyl}propionic acid methyl ester	rt: 9.472 MS [M+1] ⁺ : 591	A
Ila_144	V_22	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutanecarbonyl)- <i>p</i> -tolylamino]propoxy}phenyl}propionic acid methyl ester	rt: 9.605 MS [M+1] ⁺ : 605	A
Ila_145	V_16	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonyl)- <i>p</i> -tolylamino]ethoxy}phenyl}propionic acid methyl ester	rt: 9.693 MS [M+1] ⁺ : 605	A
Ila_146	V_22	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(propionyl)- <i>p</i> -tolylamino]propoxy}phenyl}propionic acid methyl ester	rt: 9.208 MS [M+1] ⁺ : 579	A
Ila_147	V_16	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyryl)- <i>p</i> -tolylamino]ethoxy}phenyl}propionic acid methyl ester	rt: 9.307 MS [M+1] ⁺ : 579	A
Ila_148	V_22	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyryl)- <i>p</i> -tolylamino]propoxy}phenyl}propionic acid methyl ester	rt: 9.443 MS [M+1] ⁺ : 593	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_149	V_16	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyryl- <i>p</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.313 MS [M+1] ⁺ : 579	A
Ila_150	V_16	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoyl- <i>p</i> -tolylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.169 MS [M+1] ⁺ : 613	A
Ila_151	V_16	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)- <i>p</i> -tolylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.671 MS [M+1] ⁺ : 593	A
Ila_152	V_22	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)- <i>p</i> -tolylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.772 MS [M+1] ⁺ : 607	A
Ila_153	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(naphthalene-1-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.4 MS [M+1] ⁺ : 663	A
Ila_154	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(naphthalene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.42 MS [M+1] ⁺ : 663	A
Ila_155	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(pyrazine-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.532 MS [M+1] ⁺ : 615	A
Ila_156	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(pyrazine-2-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 8.642 MS [M+1] ⁺ : 629	A
Ila_157	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(pyridine-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.693 MS [M+1] ⁺ : 614	A
Ila_158	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(pyridine-2-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 8.55, 8.45 (2xd, 1H, rotamers mixture), 7.77-7.12 (ca, 17H), 6.81-6.55 (ca, 4H), 4.80, 4.69 (2xs, 2H, rotamers mixture), 4.39 (q, 1H), 3.39, 3.76 (2xt, 2H, rotamers mixture), 3.70, 3.69 (2xs, 3H, rotamers mixture), 3.62, 3.58 (2xt, 2H, rotamers mixture), 3.20 (dd, 1H), 3.10 (m, 1H), 2.15-1.97 (ca, 2H)	A
Ila_159	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(quinoline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.294 MS [M+1] ⁺ : 664	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_160	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(quinoline-2-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	8.90 (t, 1H), 8.21, 8.13 (2xd, 1H, rotamers mixture), 8.04, 7.80 (2xt, 2H, rotamers mixture), 7.73-7.25 (ca, 14H), 7.18, 7.06 (2xd, 2H, rotamers mixture), 6.82, 6.47 (2xd, 2H, rotamers mixture), 6.70-6.55 (ca, 2H), 4.86, 4.79 (2xs, 2H, rotamers mixture), 4.37 (q, 1H), 4.02, 3.77 (2xt, 2H, rotamers mixture), 3.69-3.64 (ca, 5H), 3.25-3.05 (ca, 2H), 2.20-2.04 (ca, 2H)	A
Ila_161	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(quinoxaline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.119 MS [M+1] ⁺ : 665	A
Ila_162	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(quinoxaline-2-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.211 MS [M+1] ⁺ : 679	A
Ila_163	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.07 MS [M+1] ⁺ : 619	A
Ila_164	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(thiophene-2-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.193 MS [M+1] ⁺ : 633	A
Ila_165	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(furan-3-carbonyl)amino]-ethoxy}phenyl)propionic acid methyl ester	rt: 8.815 MS [M+1] ⁺ : 603	A
Ila_166	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(furan-3-carbonyl)amino]-propoxy}phenyl)propionic acid methyl ester	rt: 8.907 MS [M+1] ⁺ : 617	A
Ila_167	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(isoquinoline-3-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.1 MS [M+1] ⁺ : 664	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_168	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(isoquinoline-3-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	9.19, 9.10 (2xs, 1H, rotamers mixture), 8.90 (t, 1H), 8.07, 7.99 (2xs, 1H, rotamers mixture), 7.64-7.25 (ca, 16H), 7.18, 7.05 (2xd, 2H, rotamers mixture), 6.82, 6.50 (2xd, 2H, rotamers mixture), 6.65-6.55 (ca, 2H), 4.86, 4.76 (2xs, 2H, rotamers mixture), 4.37 (m, 1H), 4.02, 3.77 (2xt, 2H, rotamers mixture), 3.69-3.60 (ca, 5H), 3.25-3.05 (ca, 2H), 2.20-2.04 (ca, 2H)	A
Ila_169	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-benzyl(pyridine-3-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 8.78-8.62 (ca, 2H), 7.83-7.73 (m 1H), 7.59 (d, 2H), 7.51-7.41 (ca, 4H), 7.37-7.20 (m, 9H), 6.85-6.72 (ca, 2H), 6.65-6.56 (ca, 2H), 4.87, 4.69 (2xs, 2H, rotamers mixture), 4.40 (q, 1H), 4.25-3.58 (ca, 7H), 3.25 (dd, 1H), 3.10 (dd, 1H)	A
Ila_170	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(pyridine-3-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 8.67-8.59 (ca, 2H), 7.67-7.10 (m 16H), 6.81-6.55 (ca, 4H), 4.80, 4.51 (2xs, 2H, rotamers mixture), 4.39 (q, 1H), 4.02-3.39 (ca, 7H), 3.25 (dd, 1H), 3.10 (dd, 1H), 1.92-1.71 (ca, 2H)	A
Ila_171	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylphenylacetyl)-amino]ethoxy}phenyl}propionic acid methyl ester	8.91 (d, 1H), 7.59 (d, 2H), 7.51-7.41 (ca, 4H), 7.33-7.09 (ca, 13H), 6.78-6.70 (ca, 2H), 6.65-6.55 (ca, 2H), 4.71, 4.67 (2xs, 2H, rotamers mixture), 4.39 (q, 1H), 4.14, 3.88 (2xt, 2H, rotamers mixture), 3.92-3.60 (ca, 7H), 3.26-3.08 (ca, 2H)	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_172	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylphenylacetyl-amino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.26 MS [M+1] ⁺ : 641	A
Ila_173	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-methylacryloyl)-amino]-ethoxy}phenyl)propionic acid methyl ester	rt: 8.865 MS [M+1] ⁺ : 577	A
Ila_174	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-methylacryloyl)-amino]-propoxy}phenyl)propionic acid methyl ester	rt: 8.948 MS [M+1] ⁺ : 591	A
Ila_175	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-phenylpropynoyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.367 MS [M+1] ⁺ : 637	A
Ila_176	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-phenylpropynoyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.454 MS [M+1] ⁺ : 651	A
Ila_177	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-ethylbutyryl)-amino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.45 MS [M+1] ⁺ : 607	A
Ila_178	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-ethylbutyryl)-amino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.511 MS [M+1] ⁺ : 621	A
Ila_179	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-methylbut-2-(E)-enoyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.087 MS [M+1] ⁺ : 591	A
Ila_180	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-methylbut-2-(E)-enoyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.187 MS [M+1] ⁺ : 605	A
Ila_181	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-furan-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.027 MS [M+1] ⁺ : 629	A
Ila_182	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-furan-2-ylacryloyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.12 MS [M+1] ⁺ : 643	A
Ila_183	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-thiophen-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.19 MS [M+1] ⁺ : 645	A
Ila_184	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-thiophen-2-ylacryloyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.304 MS [M+1] ⁺ : 659	A

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Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_185	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-furan-3-yl-(E)-acryloyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.889 MS [M+1] ⁺ : 629	A
Ila_186	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-furan-3-yl-(E)-acryloyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.005 MS [M+1] ⁺ : 643	A
Ila_187	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-pyridin-3-yl-(E)-acryloyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.097 MS [M+1] ⁺ : 640	A
Ila_188	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-pyridin-3-yl-(E)-acryloyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 8.245 MS [M+1] ⁺ : 654	A
Ila_189	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-thiophen-3-yl-(E)-acryloyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.105 MS [M+1] ⁺ : 645	A
Ila_190	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-thiophen-3-yl-(E)-acryloyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.214 MS [M+1] ⁺ : 659	A
Ila_191	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylbut-2-(E)-enoylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 8.808 MS [M+1] ⁺ : 577	A
Ila_192	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylbut-2-(E)-enoylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.336 MS [M+1] ⁺ : 607	A
Ila_193	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-thiophen-2-ylacetyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.093 MS [M+1] ⁺ : 633	A
Ila_194	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-thiophen-2-ylacetyl)-amino]propoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 7.59 (d, 2H), 7.50-7.43 (m 4H), 7.36-7.10 (m, 9H), 6.91-6.74 (ca, 4H), 6.64-6.58 (ca, 2H), 4.63, 4.56 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 3.98, 3.86 (2xs, 2H, rotamers mixture), 3.92-3.85 (ca, 2H), 3.69 (s, 3H), 3.56, 3.47 (2xt, 2H, rotamers mixture), 3.25-3.06 (ca, 2H), 2.06-1.90 (ca, 2H)	A

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Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_195	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-pyridin-3-ylacetyl)-amino]ethoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 8.52-8.34 (ca, 2H), 7.61-7.14 (m 16H), 6.83-6.74 (ca, 2H), 6.65-6.56 (ca, 2H), 4.74, 4.70 (2xs, 2H, rotamers mixture), 4.40 (q, 1H), 4.15, 3.98 (2xt, 2H, rotamers mixture), 3.93-3.66 (ca, 7H), 3.26-3.00 (ca, 2H)	A
Ila_196	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-pyridin-3-ylacetyl)-amino]propoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 8.48-8.37 (ca, 2H), 7.61-7.13 (m 16H), 6.81-6.74 (ca, 2H), 6.64-6.55 (ca, 2H), 4.63, 4.57 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 3.92-3.88 (ca, 2H), 3.78-3.65 (ca, 5H), 3.58, 3.48 (2xt, 2H, rotamers mixture), 3.25-3.06 (ca, 2H), 2.06-1.94 (ca, 2H)	A
Ila_197	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-thiophen-3-ylacetyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.076 MS [M+1] ⁺ : 633	A
Ila_198	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-thiophen-3-ylacetyl)-amino]propoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 7.59 (d, 2H), 7.51-7.43 (m 4H), 7.36-6.97 (ca, 11H), 6.79-6.73 (ca, 2H), 6.64-6.55 (ca, 2H), 4.62, 4.52 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 3.91-3.85 (ca, 2H), 3.80-3.69 (ca, 5H), 3.54, 3.45 (2xt, 2H, rotamers mixture), 3.25-3.12 (ca, 2H), 2.05-1.89 (ca, 2H)	A
Ila_199	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(3,3-dimethylbutyryl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.502 MS [M+1] ⁺ : 607	A
Ila_200	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(3,3-dimethylbutyryl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.594 MS [M+1] ⁺ : 621	A
Ila_201	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-methylbutyryl)amino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.243 MS [M+1] ⁺ : 593	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_202	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-methylbutyryl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.324 MS [M+1] ⁺ : 607	A
Ila_203	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-pyridin-3-ylpropionyl)amino]ethoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 8.58-8.39 (ca, 2H), 7.59 (d, 2H), 7.49-7.05 (ca, 14H), 6.79-6.56 (ca, 4H), 4.68, 4.63 (2xs, 2H, rotamers mixture), 4.39 (q, 1H), 4.11, 3.90 (2xt, 2H, rotamers mixture), 3.75-3.57 (ca, 5H), 3.21 (dd, 1H), 3.10 (m, 1H), 3.96, 2.95 (2xt, 2H, rotamers mixture), 2.84, 2.62 (2xt, 2H, rotamers mixture)	A
Ila_204	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-pyridin-3-ylpropionyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 7.131 MS [M+1] ⁺ : 656	A
Ila_205	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylpent-4-enoylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.064 MS [M+1] ⁺ : 591	A
Ila_206	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylpent-4-enoylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.159 MS [M+1] ⁺ : 605	A
Ila_207	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-phenylpropionyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.368 MS [M+1] ⁺ : 641	A
Ila_208	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-phenylpropionyl)amino]propoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 7.59 (d, 2H), 7.50-7.04 (ca, 14H), 6.78-6.71 (ca, 2H), 6.64-6.55 (ca, 2H), 4.61, 4.43 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 3.88, 3.82 (2xt, 2H, rotamers mixture), 3.69 (s, 3H), 3.52, 3.36 (2xt, 2H, rotamers mixture), 3.21 (dd, 1H), 3.10 (dd, 1H), 3.02-2.90 (ca, 2H), 2.72, 2.61 (2xt, 2H, rotamers mixture), 2.03, 1.88 (2xt, 2H, rotamers mixture)	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_209	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclobutanecarbonylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.19 MS [M+1] ⁺ : 591	A
Ila_210	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclobutanecarbonylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.596 MS [M+1] ⁺ : 621	A
Ila_211	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclohexanecarbonylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.536 MS [M+1] ⁺ : 619	A
Ila_212	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclohexanecarbonylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.624 MS [M+1] ⁺ : 633	A
Ila_213	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-cyclohexylpropionyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 10.056 MS [M+1] ⁺ : 647	A
Ila_214	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-cyclohexylpropionyl)-amino]propoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 7.59 (d, 2H), 7.51-7.41 (ca, 4H), 7.31-7.13 (ca, 8H), 6.78 (d, 2H), 6.64-6.55 (ca, 2H), 4.60, 4.52 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 3.93-3.86 (ca, 2H), 3.69 (s, 3H), 3.52, 3.42 (2xt, 2H, rotamers mixture), 3.25-3.08 (ca, 2H), 2.43-2.29 (ca, 2H), 2.04-1.92 (ca, 2H), 1.69-1.48 (ca, 13H)	A
Ila_215	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-cyclohexylacetyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.828 MS [M+1] ⁺ : 633	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_216	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-cyclohexylacetyl)-amino]propoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 7.59 (d, 2H), 7.51-7.41 (ca, 4H), 7.33-7.12 (ca, 8H), 6.77 (d, 2H), 6.64-6.55 (ca, 2H), 4.61, 4.53 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 3.93-3.85 (ca, 2H), 3.69 (s, 3H), 3.51, 3.44 (2xt, 2H, rotamers mixture), 3.25-3.08 (ca, 2H), 2.26, 2.18 (2xd, 2H, rotamers mixture), 2.04-1.92 (ca, 3H), 1.80-1.64 (ca, 10H)	A
Ila_217	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclopentanecarbonylamino)-ethoxy]phenyl}propionic acid methyl ester	8.90 (d, 1H), 7.59 (d, 2H), 7.51-7.44 (ca, 4H), 7.36-7.14 (ca, 8H), 6.79-6.73 (ca, 2H), 6.64-6.55 (ca, 2H), 4.75, 4.69 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 4.11, 3.96 (2xt, 2H, rotamers mixture), 3.75-3.65 (ca, 5H), 3.24-3.08 (ca, 2H), 2.75 (m, 1H), 1.87-1.74 (ca, 8H)	A
Ila_218	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclopentanecarbonylamino)-propoxy]phenyl}propionic acid methyl ester	8.90 (d, 1H), 7.59 (d, 2H), 7.51-7.41 (ca, 4H), 7.33-7.13 (ca, 8H), 6.77 (d, 2H), 6.64-6.55 (ca, 2H), 4.61, 4.59 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 3.93-3.87 (ca, 2H), 3.69 (s, 3H), 3.53-3.44 (ca, 2H), 3.25-3.10 (ca, 2H), 2.75 (m, 1H), 1.99-1.74 (ca, 10H)	A
Ila_219	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-cyclopentylpropionyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.814 MS [M+1] ⁺ : 633	A
Ila_220	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-cyclopentylpropionyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.246 MS [M+1] ⁺ : 605	A
Ila_221	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclopropanecarbonylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 8.885 MS [M+1] ⁺ : 577	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_222	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclopropanecarbonylamino)propoxy]phenyl}propionic acid methyl ester	rt: 8.969 MS [M+1] ⁺ : 591	A
Ila_223	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylpropionylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 8.784 MS [M+1] ⁺ : 565	A
Ila_224	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylpropionylamino)propoxy]phenyl}propionic acid methyl ester	rt: 8.858 MS [M+1] ⁺ : 579	A
Ila_225	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylisobutyrylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.02 MS [M+1] ⁺ : 579	A
Ila_226	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylisobutyrylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.091 MS [M+1] ⁺ : 593	A
Ila_227	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylhexanoylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.511 MS [M+1] ⁺ : 607	A
Ila_228	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylhexanoylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.108 MS [M+1] ⁺ : 593	A
Ila_229	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylpentanoylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.261 MS [M+1] ⁺ : 593	A
Ila_230	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylpentanoylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.337 MS [M+1] ⁺ : 607	A
Ila_231	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzyloctanoylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 10.027 MS [M+1] ⁺ : 635	A
Ila_232	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzyloctanoylamino)propoxy]phenyl}propionic acid methyl ester	rt: 10.092 MS [M+1] ⁺ : 649	A
Ila_233	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylheptanoylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.763 MS [M+1] ⁺ : 621	A
Ila_234	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylheptanoylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.829 MS [M+1] ⁺ : 635	A
Ila_235	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylnonanoylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 10.341 MS [M+1] ⁺ : 649	A
Ila_236	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylnonanoylamino)propoxy]phenyl}propionic acid methyl ester	rt: 10.403 MS [M+1] ⁺ : 663	A
Ila_237	V_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylbutyrylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.045 MS [M+1] ⁺ : 579	A
Ila_238	V_2	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylbutyrylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.873 MS [M+1] ⁺ : 647	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_239	V_1	(S)-3-{4-[2-(Benzoylbenzylamino)-ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	8.90 (d, 1H), 7.59 (d, 2H), 7.51-7.15 (ca, 17H), 6.85-6.56 (ca, 4H), 4.87, 4.67 (2xs, 2H, rotamers mixture), 4.39 (q, 1H), 4.24-3.56 (ca, 7H), 3.25-3.08 (ca, 2H)	A
Ila_240	V_2	(S)-3-{4-[3-(Benzoylbenzylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	8.90 (bs, 1H), 7.59 (d, 2H), 7.51-7.14 (ca, 17H), 6.83-6.55 (ca, 4H), 4.80, 4.51 (2xs, 2H, rotamers mixture), 4.38 (m, 1H), 4.00-3.38 (ca, 7H), 3.23 (dd, 1H), 3.11 (dd, 1H)	A
Ila_241	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-phenylacryloyl)amino]-ethoxy}phenyl)propionic acid methyl ester	8.90 (d, 1H), 7.77 (m, 1H), 7.59 (d, 2H), 7.50-7.05 (ca, 18H), 6.85-6.73 (ca, 2H), 6.64-6.55 (ca, 2H), 4.86, 4.81 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 4.20, 4.03 (2xt, 2H, rotamers mixture), 3.85-3.68 (ca, 5H), 3.23-3.08 (ca, 2H)	A
Ila_242	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-phenylacryloyl)amino]-propoxy}phenyl)propionic acid methyl ester	8.91 (d, 1H), 7.75 (m, 1H), 7.59-7.16 (ca, 19H), 7.03-6.79 (ca, 2H), 6.64-6.55 (ca, 2H), 4.73, 4.69 (2xs, 2H, rotamers mixture), 4.38 (q, 1H), 3.98-3.91 (ca, 2H), 3.69-3.59 (ca, 5H), 3.23-3.08 (ca, 2H), 2.12-2.01 (ca, 2H)	A
Ila_243	V_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2,2-dimethylpropionyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.363 MS [M+1] ⁺ : 593	A
Ila_244	V_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2,2-dimethylpropionyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.411 MS [M+1] ⁺ : 607	A
Ila_245	V_3	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclohexyl-(3-furan-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.408 MS [M+1] ⁺ : 621	A
Ila_246	V_3	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylcyclohexylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.655 MS [M+1] ⁺ : 583	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_247	V_3	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclohexyl-(3-cyclopentylpropionyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 10.336 MS [M+1] ⁺ : 625	A
Ila_248	V_3	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyrylcyclohexylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.459 MS [M+1] ⁺ : 571	A
Ila_249	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(naphthalene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.272 MS [M+1] ⁺ : 627	A
Ila_250	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(naphthalene-2-carbonyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.351 MS [M+1] ⁺ : 641	A
Ila_251	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(quinoline-2-carbonyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.005 MS [M+1] ⁺ : 628	A
Ila_252	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(quinoline-2-carbonyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.065 MS [M+1] ⁺ : 642	A
Ila_253	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(quinoxaline-2-carbonyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.896 MS [M+1] ⁺ : 629	A
Ila_254	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(quinoxaline-2-carbonyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.003 MS [M+1] ⁺ : 643	A
Ila_255	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(thiophene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.871 MS [M+1] ⁺ : 583	A
Ila_256	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(thiophene-2-carbonyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.976 MS [M+1] ⁺ : 597	A
Ila_257	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(pyridine-3-carbonyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 7.92 MS [M+1] ⁺ : 578	A
Ila_258	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(pyridine-3-carbonyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 8.062 MS [M+1] ⁺ : 592	A
Ila_259	V_5	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropylmethylphenylacetamin o)ethoxy]phenyl}propionic acid methyl ester	rt: 8.958 MS [M+1] ⁺ : 591	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_260	V_6	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropylmethylphenylacetylamin o)propoxy]phenyl}propionic acid methyl ester	rt: 9.053 MS [M+1] ⁺ : 605	A
Ila_261	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-furan-2-ylacryloyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.833 MS [M+1] ⁺ : 593	A
Ila_262	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-furan-2-ylacryloyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 8.934 MS [M+1] ⁺ : 607	A
Ila_263	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-thiophen-2-ylacryloyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.003 MS [M+1] ⁺ : 609	A
Ila_264	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-thiophen-2-ylacryloyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.11 MS [M+1] ⁺ : 623	A
Ila_265	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(2-thiophen-2-ylacetyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.864 MS [M+1] ⁺ : 597	A
Ila_266	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(2-thiophen-2-ylacetyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 8.96 MS [M+1] ⁺ : 611	A
Ila_267	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(2-thiophen-3-ylacetyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.836 MS [M+1] ⁺ : 597	A
Ila_268	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(2-thiophen-3-ylacetyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 8.929 MS [M+1] ⁺ : 611	A
Ila_269	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-pyridin-3-ylpropionyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 6.894 MS [M+1] ⁺ : 606	A
Ila_270	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-pyridin-3-ylpropionyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 6.961 MS [M+1] ⁺ : 620	A
Ila_271	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-phenylpropionyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.169 MS [M+1] ⁺ : 605	A
Ila_272	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-phenylpropionyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.244 MS [M+1] ⁺ : 619	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_273	V_5	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylcyclopropylmethylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 8.977 MS [M+1] ⁺ : 555	A
Ila_274	V_6	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutanecarbonylcyclopropylmethylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.059 MS [M+1] ⁺ : 569	A
Ila_275	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-cyclohexylacetyl)-cyclopropylmethylamino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.641 MS [M+1] ⁺ : 597	A
Ila_276	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-cyclohexylacetyl)-cyclopropylmethylamino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.707 MS [M+1] ⁺ : 611	A
Ila_277	V_5	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonylcyclopropylmethylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.201 MS [M+1] ⁺ : 569	A
Ila_278	V_6	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopentanecarbonylcyclopropylmethylamino)propoxy]phenyl}propionic acid methyl ester	rt: 9.285 MS [M+1] ⁺ : 583	A
Ila_279	V_5	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropanecarbonylcyclopropylmethylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 8.641 MS [M+1] ⁺ : 541	A
Ila_280	V_6	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropanecarbonylcyclopropylmethylamino)propoxy]phenyl}propionic acid methyl ester	rt: 8.747 MS [M+1] ⁺ : 555	A
Ila_281	V_5	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropylmethylpropionylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 8.525 MS [M+1] ⁺ : 529	A
Ila_282	V_6	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropylmethylpropionylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 8.626 MS [M+1] ⁺ : 543	A
Ila_283	V_5	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropylmethylisobutyrylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 8.791 MS [M+1] ⁺ : 543	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_284	V_6	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropylmethylisobutyrylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 8.895 MS [M+1] ⁺ : 557	A
Ila_285	V_5	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyrylcyclopropylmethylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 8.813 MS [M+1] ⁺ : 543	A
Ila_286	V_6	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(butyrylcyclopropylmethylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 8.903 MS [M+1] ⁺ : 557	A
Ila_287	V_5	(S)-3-{4-[2-(Benzoylcyclopropylmethylamino)-ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.858 MS [M+1] ⁺ : 577	A
Ila_288	V_6	(S)-3-{4-[3-(Benzoylcyclopropylmethylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.958 MS [M+1] ⁺ : 591	A
Ila_289	V_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-phenylacryloyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.085 MS [M+1] ⁺ : 602	A
Ila_290	V_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-phenylacryloyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.182 MS [M+1] ⁺ : 617	A
Ila_291	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(naphthalene-1-carbonyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.13 MS [M+1] ⁺ : 649	A
Ila_292	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(naphthalene-1-carbonyl)-phenylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.283 MS [M+1] ⁺ : 663	A
Ila_293	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(naphthalene-2-carbonyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.315 MS [M+1] ⁺ : 649	A
Ila_294	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(naphthalene-2-carbonyl)-phenylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.429 MS [M+1] ⁺ : 663	A
Ila_295	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(quinoline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.904 MS [M+1] ⁺ : 650	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_296	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(quinoline-2-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.023 MS [M+1] ⁺ : 664	A
Ila_297	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(quinoxaline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.817 MS [M+1] ⁺ : 651	A
Ila_298	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(quinoxaline-2-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 8.976 MS [M+1] ⁺ : 665	A
Ila_299	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.049 MS [M+1] ⁺ : 605	A
Ila_300	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(thiophene-2-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.155 MS [M+1] ⁺ : 619	A
Ila_301	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(isoquinoline-3-carbonyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.746 MS [M+1] ⁺ : 650	A
Ila_302	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(pyridine-3-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.086 MS [M+1] ⁺ : 600	A
Ila_303	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(pyridine-3-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 8.264 MS [M+1] ⁺ : 614	A
Ila_304	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(pyridine-4-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 7.934 MS [M+1] ⁺ : 600	A
Ila_305	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(pyridine-4-carbonyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 8.124 MS [M+1] ⁺ : 614	A
Ila_306	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(phenylphenylacetyl-amino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.22 MS [M+1] ⁺ : 613	A
Ila_307	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(phenylphenylacetyl-amino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.363 MS [M+1] ⁺ : 627	A
Ila_308	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)phenylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 8.799 MS [M+1] ⁺ : 563	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_309	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)phenylamino]propoxy}phenyl)propionic acid methyl ester	rt: 8.943 MS [M+1] ⁺ : 577	A
Ila_310	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-phenylpropynoyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.245 MS [M+1] ⁺ : 615	A
Ila_311	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)phenylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.5 MS [M+1] ⁺ : 593	A
Ila_312	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-ethylbutyryl)phenylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.628 MS [M+1] ⁺ : 607	A
Ila_313	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-furan-2-ylacryloyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.025 MS [M+1] ⁺ : 615	A
Ila_314	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-furan-2-ylacryloyl)-phenylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.164 MS [M+1] ⁺ : 629	A
Ila_315	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-thiophen-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.267 MS [M+1] ⁺ : 631	A
Ila_316	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-thiophen-2-ylacryloyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.394 MS [M+1] ⁺ : 645	A
Ila_317	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-furan-3-yl-(E)-acryloyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.954 MS [M+1] ⁺ : 615	A
Ila_318	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-furan-3-yl-(E)-acryloyl)-phenylamino]propoxy}-phenyl)-propionic acid methyl ester	rt: 9.091 MS [M+1] ⁺ : 629	A
Ila_319	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-pyridin-3-yl-(E)-acryloyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.103 MS [M+1] ⁺ : 626	A
Ila_320	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-pyridin-3-yl-(E)-acryloyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 8.293 MS [M+1] ⁺ : 640	A
Ila_321	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-thiophen-3-yl-(E)-acryloyl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.213 MS [M+1] ⁺ : 631	A

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Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_322	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-thiophen-3-yl-(E)-acryloyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.334 MS [M+1] ⁺ : 645	A
Ila_323	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(but-2-(E)-enoylphenylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9 MS [M+1] ⁺ : 577	A
Ila_324	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(2-thiophen-2-ylacetyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.132 MS [M+1] ⁺ : 619	A
Ila_325	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(2-thiophen-2-ylacetyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.295 MS [M+1] ⁺ : 633	A
Ila_326	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(2-thiophen-3-ylacetyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.23 MS [M+1] ⁺ : 633	A
Ila_327	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.587 MS [M+1] ⁺ : 593	A
Ila_328	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3,3-dimethylbutyryl)-phenylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.706 MS [M+1] ⁺ : 607	A
Ila_329	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbutyryl)phenylamino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.271 MS [M+1] ⁺ : 579	A
Ila_330	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbutyryl)phenylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.401 MS [M+1] ⁺ : 593	A
Ila_331	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-pyridin-3-ylpropionyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 7.038 MS [M+1] ⁺ : 627	A
Ila_332	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-pyridin-3-ylpropionyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 7.229 MS [M+1] ⁺ : 641	A
Ila_333	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(pent-4-enoylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.078 MS [M+1] ⁺ : 577	A
Ila_334	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(pent-4-enoylphenylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.212 MS [M+1] ⁺ : 591	A

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Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_335	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-phenylpropionyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.369 MS [M+1] ⁺ : 627	A
Ila_336	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-phenylpropionyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.494 MS [M+1] ⁺ : 641	A
Ila_337	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.203 MS [M+1] ⁺ : 577	A
Ila_338	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutanecarbonylphenylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.333 MS [M+1] ⁺ : 591	A
Ila_339	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclohexanecarbonylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.602 MS [M+1] ⁺ : 605	A
Ila_340	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclohexanecarbonylphenylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.708 MS [M+1] ⁺ : 619	A
Ila_341	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-cyclohexylpropionyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 10.166 MS [M+1] ⁺ : 633	A
Ila_342	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-cyclohexylpropionyl)-phenylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 10.282 MS [M+1] ⁺ : 647	A
Ila_343	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-cyclohexylacetyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.883 MS [M+1] ⁺ : 619	A
Ila_344	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-cyclohexylacetyl)-phenylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 10 MS [M+1] ⁺ : 633	A
Ila_345	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.463 MS [M+1] ⁺ : 591	A
Ila_346	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopentanecarbonylphenylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.582 MS [M+1] ⁺ : 605	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_347	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-cyclopentylpropionyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.87 MS [M+1] ⁺ : 619	A
Ila_348	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-cyclopentylpropionyl)-phenylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.98 MS [M+1] ⁺ : 633	A
Ila_349	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropanecarbonylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 8.91 MS [M+1] ⁺ : 563	A
Ila_350	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropanecarbonylphenylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.06 MS [M+1] ⁺ : 577	A
Ila_351	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(phenylpropionylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 8.78 MS [M+1] ⁺ : 551	A
Ila_352	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(phenylpropionylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 8.925 MS [M+1] ⁺ : 565	A
Ila_353	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyrylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.033 MS [M+1] ⁺ : 565	A
Ila_354	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyrylphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.168 MS [M+1] ⁺ : 579	A
Ila_355	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(hexanoylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.56 MS [M+1] ⁺ : 593	A
Ila_356	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(hexanoylphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.671 MS [M+1] ⁺ : 607	A
Ila_357	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(pentanoylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.298 MS [M+1] ⁺ : 579	A
Ila_358	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(pentanoylphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.421 MS [M+1] ⁺ : 593	A
Ila_359	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(octanoylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 10.11 MS [M+1] ⁺ : 621	A
Ila_360	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(octanoylphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.224 MS [M+1] ⁺ : 635	A
Ila_361	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(heptanoylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.825 MS [M+1] ⁺ : 607	A
Ila_362	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(heptanoylphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.933 MS [M+1] ⁺ : 621	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_363	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(nonanoylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 10.455 MS [M+1] ⁺ : 635	A
Ila_364	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(nonanoylphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 10.588 MS [M+1] ⁺ : 649	A
Ila_365	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyrylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 9.043 MS [M+1] ⁺ : 565	A
Ila_366	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(butyrylphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.18 MS [M+1] ⁺ : 579	A
Ila_367	V_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoylphenylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 8.97 MS [M+1] ⁺ : 599	A
Ila_368	V_10	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzoylphenylamino)propoxy]-phenyl}propionic acid methyl ester	rt: 9.121 MS [M+1] ⁺ : 613	A
Ila_369	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-phenylacryloyl)amino]-ethoxy}phenyl)propionic acid methyl ester	rt: 9.383 MS [M+1] ⁺ : 625	A
Ila_370	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-phenylacryloyl)amino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.51 MS [M+1] ⁺ : 639	A
Ila_371	V_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-phenylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.402 MS [M+1] ⁺ : 579	A
Ila_372	V_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-phenylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.5 MS [M+1] ⁺ : 593	A
Ila_373	V_4	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(<i>tert</i> -butylcyclobutanecarbonylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.256 MS [M+1] ⁺ : 557	A
Ila_374	V_4	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[<i>tert</i> -butyl-(3-cyclopentylpropionyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.917 MS [M+1] ⁺ : 599	A
Ila_375	V_26	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)naphthalen-1-ylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.214 MS [M+1] ⁺ : 613	A
Ila_376	V_26	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(but-2-(<i>E</i>)-enoylnaphthalen-1-ylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.276 MS [M+1] ⁺ : 613	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_377	V_26	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropanecarbonylnaphthalen-1-ylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.336 MS [M+1] ⁺ : 613	A
Ila_378	V_26	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(naphthalen-1-ylpropionylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.273 MS [M+1] ⁺ : 601	A
Ila_379	V_30	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)naphthalen-2-ylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.261 MS [M+1] ⁺ : 613	A
Ila_380	V_30	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(but-2-(<i>E</i>)-enoylnaphthalen-2-ylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.355 MS [M+1] ⁺ : 613	A
Ila_381	V_30	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(naphthalen-2-ylpropionylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.269 MS [M+1] ⁺ : 601	A
Ila_382	V_30	(S)-3-{4-[2-(Acetylnaphthalen-2-ylamino)ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.914 MS [M+1] ⁺ : 587	A
Ila_383	V_27	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)-(3-methylsulfanylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.054 MS [M+1] ⁺ : 609	A
Ila_384	V_27	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(3-methylsulfanylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.126 MS [M+1] ⁺ : 609	A
Ila_385	V_27	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-methylsulfanylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.28 MS [M+1] ⁺ : 611	A
Ila_386	V_28	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)-(4-methylsulfanylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.051 MS [M+1] ⁺ : 609	A
Ila_387	V_28	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(4-methylsulfanylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.134 MS [M+1] ⁺ : 609	A
Ila_388	V_28	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(4-methylsulfanylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.284 MS [M+1] ⁺ : 611	A
Ila_389	V_28	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(4-methylsulfanylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.284 MS [M+1] ⁺ : 611	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_390	V_26	(S)-3-{4-[2-(Acetylnaphthalen-1-ylamino)ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.903 MS [M+1] ⁺ : 587	A
Ila_391	V_30	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropanecarbonylnaphthalen-2-ylamino)ethoxy]phenyl}propionic acid methyl ester	rt: 9.387 MS [M+1] ⁺ : 613	A
Ila_392	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(2-fluorophenyl)amino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.23 MS [M+1] ⁺ : 597	A
Ila_393	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutanecarbonyl-(2-fluorophenyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.355 MS [M+1] ⁺ : 609	A
Ila_394	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(2-fluorophenyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.056 MS [M+1] ⁺ : 595	A
Ila_395	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)isobutyrylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 9.219 MS [M+1] ⁺ : 597	A
Ila_396	V_1	(S)-3-{4-[2-(Acryloylbenzylamino)-ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.639 MS [M+1] ⁺ : 563	A
Ila_397	V_2	(S)-3-{4-[3-(Acryloylbenzylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.747 MS [M+1] ⁺ : 577	A
Ila_398	V_9	(S)-3-{4-[2-(Acryloylphenylamino)-ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.693 MS [M+1] ⁺ : 549	A
Ila_399	V_10	(S)-3-{4-[2-(Acryloylphenylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.842 MS [M+1] ⁺ : 563	A
Ila_400	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)propionylamino]-propoxy}phenyl)propionic acid methyl ester	rt: 8.986 MS [M+1] ⁺ : 583	A
Ila_401	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)pent-4-enoylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.279 MS [M+1] ⁺ : 609	A
Ila_402	V_31	(S)-3-(4-{3-[Acryloyl-(2-fluorophenyl)amino]propoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.87 MS [M+1] ⁺ : 581	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_403	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(<i>E</i>)-enoyl-(2-fluorophenyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.009 MS [M+1] ⁺ : 595	A
Ila_404	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)-(3-methylbutyryl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.45 MS [M+1] ⁺ : 611	A
Ila_405	V_29	(<i>R</i>)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.023 MS [M+1] ⁺ : 605	A
Ila_406	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.048 MS [M+1] ⁺ : 595	A
Ila_407	V_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.025 MS [M+1] ⁺ : 595	A
Ila_408	V_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(2-methoxyphenyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 8.84 MS [M+1] ⁺ : 593	A
Ila_409	V_35	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(3-methoxyphenyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 8.852 MS [M+1] ⁺ : 593	A
Ila_410	V_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxyphenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.303 MS [M+1] ⁺ : 609	A
Ila_411	V_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)-(3-methylbutyryl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.436 MS [M+1] ⁺ : 611	A
Ila_412	V_35	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxyphenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid methyl ester	rt: 9.243 MS [M+1] ⁺ : 609	A
Ila_413	V_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)pent-4-enoylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.262 MS [M+1] ⁺ : 609	A
Ila_414	V_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutanecarbonyl-(3-fluorophenyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.353 MS [M+1] ⁺ : 609	A
Ila_415	V_33	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutanecarbonyl-(4-fluorophenyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.338 MS [M+1] ⁺ : 609	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_416	V_29	(<i>R</i>)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylphenylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 9.207 MS [M+1] ⁺ : 577	A
Ila_417	V_34	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(2-methoxyphenyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 8.891 MS [M+1] ⁺ : 593	A
Ila_418	V_32	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(3-fluorophenyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.103 MS [M+1] ⁺ : 595	A
Ila_419	V_33	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(4-fluorophenyl)amino]propoxy}phenyl)-propionic acid methyl ester	rt: 9.082 MS [M+1] ⁺ : 595	A
Ila_420	V_34	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxyphenyl)-propionylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.817 MS [M+1] ⁺ : 581	A
Ila_421	V_32	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)propionylamino]propoxy}phenyl)propionic acid methyl ester	rt: 8.976 MS [M+1] ⁺ : 583	A
Ila_422	V_35	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxyphenyl)-propionylamino]ethoxy}phenyl)-propionic acid methyl ester	rt: 8.766 MS [M+1] ⁺ : 581	A
Ila_423	V_33	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-fluorophenyl)propionylamino]propoxy}phenyl)propionic acid methyl ester	rt: 8.958 MS [M+1] ⁺ : 583	A
Ila_424	V_29	(<i>R</i>)-2-(2-Benzoylphenylamino)-3-{4-[2-(phenylpropionylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 8.783 MS [M+1] ⁺ : 551	A
Ila_425	V_32	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.206 MS [M+1] ⁺ : 597	A
Ila_426	V_35	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(3-methoxyphenyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.011 MS [M+1] ⁺ : 595	A
Ila_427	V_33	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-fluorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.187 MS [M+1] ⁺ : 597	A
Ila_428	V_31	(<i>S</i>)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)pentanoylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.473 MS [M+1] ⁺ : 611	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_429	V_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)pentanoylamino]propoxy}phenyl)propionic acid methyl ester	rt: 9.455 MS [M+1] ⁺ : 611	A
Ila_430	V_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(2-methoxyphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.069 MS [M+1] ⁺ : 595	A
Ila_431	V_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(3-fluorophenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.227 MS [M+1] ⁺ : 597	A
Ila_432	V_35	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-methoxyphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.014 MS [M+1] ⁺ : 595	A
Ila_433	V_33	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(4-fluorophenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.202 MS [M+1] ⁺ : 597	A
Ila_434	V_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-(2-fluorophenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.539 MS [M+1] ⁺ : 611	A
Ila_435	V_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(2-methoxyphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.411 MS [M+1] ⁺ : 609	A
Ila_436	V_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-(3-fluorophenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.518 MS [M+1] ⁺ : 611	A
Ila_437	V_35	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(3-methoxyphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.367 MS [M+1] ⁺ : 609	A
Ila_438	V_27	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(3-methylsulfanylphenyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.277 MS [M+1] ⁺ : 611	A
Ila_439	V_37	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methoxyphenyl)propionylamino]propoxy}phenyl)propionic acid methyl ester	rt: 8.92 MS [M+1] ⁺ : 595	A
Ila_440	V_37	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(2-methoxyphenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.154 MS [M+1] ⁺ : 609	A
Ila_441	V_37	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[isobutyryl-(2-methoxyphenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 8.999 MS [M+1] ⁺ : 607	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_442	V_37	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(<i>E</i>)-enoyl-(2-methoxyphenyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 8.942 MS [M+1] ⁺ : 607	A
Ila_443	V_37	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methoxyphenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 8.954 MS [M+1] ⁺ : 607	A
Ila_444	V_37	(S)-3-(4-{3-[Acryloyl-(2-methoxyphenyl)amino]propoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 8.82 MS [M+1] ⁺ : 593	A
Ila_445	V_37	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(2-methoxyphenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.161 MS [M+1] ⁺ : 609	A
Ila_446	V_38	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methoxyphenyl)-propionylamino]propoxy}phenyl)-propionic acid methyl ester	rt: 8.904 MS [M+1] ⁺ : 595	A
Ila_447	V_38	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(3-methoxyphenyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.029 MS [M+1] ⁺ : 607	A
Ila_448	V_38	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[isobutyryl-(3-methoxyphenyl)-amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.137 MS [M+1] ⁺ : 609	A
Ila_449	V_38	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(<i>E</i>)-enoyl-(3-methoxyphenyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 8.98 MS [M+1] ⁺ : 607	A
Ila_450	V_38	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methoxyphenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 8.923 MS [M+1] ⁺ : 607	A
Ila_451	V_38	(S)-3-(4-{3-[Acryloyl-(3-methoxyphenyl)amino]propoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 8.845 MS [M+1] ⁺ : 593	A
Ila_452	V_38	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(3-methoxyphenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.143 MS [M+1] ⁺ : 609	A
Ila_453	V_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(2-methoxyphenyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.202 MS [M+1] ⁺ : 607	A
Ila_454	V_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(2-methoxyphenyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.066 MS [M+1] ⁺ : 595	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_455	V_26	(S)-3-{4-[2-(Acryloylnaphthalen-1-ylamino)ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 9.145 MS [M+1] ⁺ : 599	A
Ila_456	V_30	(S)-3-{4-[2-(Acryloylnaphthalen-2-ylamino)ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 9.201 MS [M+1] ⁺ : 599	A
Ila_457	V_27	(S)-3-(4-{2-[Acryloyl-(3-methylsulfanylphenyl)amino]ethoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 8.979 MS [M+1] ⁺ : 595	A
Ila_458	V_28	(S)-3-(4-{2-[Acryloyl-(4-methylsulfanylphenyl)amino]ethoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 8.982 MS [M+1] ⁺ : 595	A
Ila_459	V_32	(S)-3-(4-{3-[Acryloyl-(3-fluorophenyl)amino]propoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.908 MS [M+1] ⁺ : 581	A
Ila_460	V_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(<i>E</i>)-enoyl-(3-fluorophenyl)amino]propoxy}phenyl)propionic acid methyl ester	rt: 9.06 MS [M+1] ⁺ : 595	A
Ila_461	V_34	(S)-3-(4-{2-[Acryloyl-(2-methoxyphenyl)amino]ethoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 8.709 MS [M+1] ⁺ : 579	A
Ila_462	V_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxyphenyl)-(2-methylacryloyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 8.85 MS [M+1] ⁺ : 593	A
Ila_463	V_35	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(3-methoxyphenyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 8.887 MS [M+1] ⁺ : 593	A
Ila_464	V_35	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(3-methoxyphenyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 9.164 MS [M+1] ⁺ : 607	A
Ila_465	V_35	(S)-3-(4-{2-[Acryloyl-(3-methoxyphenyl)amino]ethoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid methyl ester	rt: 8.703 MS [M+1] ⁺ : 579	A
Ila_466	V_35	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxyphenyl)-(2-methylacryloyl)amino]ethoxy}-phenyl)propionic acid methyl ester	rt: 8.786 MS [M+1] ⁺ : 593	A
Ila_467	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(naphthalene-2-carbonyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.001 MS [M+1] ⁺ : 601	A

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Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_468	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(naphthalene-2-carbonyl)-propylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.244 MS [M+1] ⁺ : 615	A
Ila_469	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(quinoline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.712 MS [M+1] ⁺ : 602	A
Ila_470	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl(quinoline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.999 MS [M+1] ⁺ : 616	A
Ila_471	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(quinoxaline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.595 MS [M+1] ⁺ : 603	A
Ila_472	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl(quinoxaline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.888 MS [M+1] ⁺ : 617	A
Ila_473	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.558 MS [M+1] ⁺ : 557	A
Ila_474	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.841 MS [M+1] ⁺ : 571	A
Ila_475	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(pyridine-3-carbonyl)amino]ethoxy}phenyl)propionic acid methyl ester	rt: 7.545 MS [M+1] ⁺ : 552	A
Ila_476	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl(pyridine-3-carbonyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 7.863 MS [M+1] ⁺ : 566	A
Ila_477	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl-(3-thiophen-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.711 MS [M+1] ⁺ : 583	A
Ila_478	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl-(3-thiophen-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.993 MS [M+1] ⁺ : 597	A
Ila_479	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)-propylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.34 MS [M+1] ⁺ : 559	A
Ila_480	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl-(3-pyridin-3-ylpropionyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 6.512 MS [M+1] ⁺ : 580	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
Ila_481	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl-(3-pyridin-3-ylpropionyl)-amino]ethoxy}phenyl)propionic acid methyl ester	rt: 6.767 MS [M+1] ⁺ : 594	A
Ila_482	V_39	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylpropylamino)-ethoxy]phenyl}propionic acid methyl ester	rt: 8.955 MS [M+1] ⁺ : 543	A
Ila_483	V_39	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyrylpropylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 8.789 MS [M+1] ⁺ : 531	A
Ila_484	V_39	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyrylpropylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 8.775 MS [M+1] ⁺ : 531	A
Ila_485	V_36	(S)-3-{4-[2-(Benzoylethylamino)-ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid methyl ester	rt: 8.547 MS [M+1] ⁺ : 551	A
Ila_486	V_39	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoylpropylamino)ethoxy]-phenyl}propionic acid methyl ester	rt: 8.829 MS [M+1] ⁺ : 565	A
Ila_487	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl-(3-phenylacryloyl)amino]-ethoxy}phenyl)propionic acid methyl ester	rt: 8.806 MS [M+1] ⁺ : 577	A
Ila_488	V_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-ethylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 8.931 MS [M+1] ⁺ : 531	A
Ila_489	V_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-propylamino]ethoxy}phenyl)propionic acid methyl ester	rt: 9.233 MS [M+1] ⁺ : 545	A
Ila_490	V_24	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.298 MS [M, M+2] ⁺ : 611, 613	A
Ila_491	V_25	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid methyl ester	rt: 9.347 MS [M, M+2] ⁺ : 611, 613	A

Compounds I:

Compounds I described shown in Table 6 were obtained starting from the corresponding ester derivative of formula II following any of the procedures J or K described below.

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PROCEDURE J: To a 0.02 M solution of compound II (1 eq) in a mixture of THF:methanol (3:1) or THF, a 1 M aqueous solution of lithium hydroxide (1.5 eq) was added. The resulting mixture was stirred at room temperature for 18h, then treated with HCl 1 N until pH=5-6, and extracted

twice with EtOAc. The organic layers were dried over anhydrous sodium sulfate and filtered. The solvent was distilled off under reduced pressure.

PROCEDURE K: To a solution 0.03 M of compound II (1 eq) in methanol, potassium hydroxide (10 eq) was added. The resulting solution was stirred at room temperature for 18h, then treated with HCl 1 N until acid pH=4-5. To the resulting suspension, water and EtOAc were added. The organic layer was separated and the aqueous layer was extracted once with EtOAc. The combined organic layers were dried over anhydrous sodium sulfate and filtered. The solvent was distilled off under reduced pressure.

10 Table 6

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_1	Ila_1	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.327 MS [M, M+2] ⁺ : 583, 585	A
I_2	Ila_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-chlorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid	rt: 8.476 MS [M, M+2] ⁺ : 597, 599	A
I_3	Ila_3	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(E)-enoyl-(2-chlorophenyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.295 MS [M, M+2] ⁺ : 583, 585	A
I_4	Ila_4	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-(3-methylbutyryl)-amino]ethoxy}phenyl)propionic acid	rt: 8.855 MS [M, M+2] ⁺ : 599, 601	A
I_5	Ila_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-cyclobutanecarbonylamino]ethoxy}-phenyl)propionic acid	rt: 8.728 MS [M, M+2] ⁺ : 597, 599	A
I_6	Ila_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-cyclopentanecarbonylamino]ethoxy}-phenyl)propionic acid	rt: 8.989 MS [M, M+2] ⁺ : 611, 613	A
I_7	Ila_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-cyclopropanecarbonylamino]ethoxy}-phenyl)propionic acid	rt: 8.353; MS [M, M+2] ⁺ : 583, 585	A
I_8	Ila_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-chlorophenyl)-cyclopropanecarbonylamino]propoxy}-phenyl)propionic acid	rt: 8.508 MS [M, M+2] ⁺ : 597, 599	A
I_9	Ila_9	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)propionylamino]-ethoxy}phenyl)propionic acid	rt: 8.298 MS [M, M+2] ⁺ : 571, 573	A
I_10	Ila_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-chlorophenyl)propionylamino]-propoxy}phenyl)propionic acid	rt: 8.446 MS [M, M+2] ⁺ : 585, 587	A
I_11	Ila_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)isobutyrylamino]-ethoxy}phenyl)propionic acid	rt: 8.561 MS [M, M+2] ⁺ : 585, 587	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_12	Ila_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-chlorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid	rt: 8.701 MS [M, M+2] ⁺ : 599, 601	A
I_13	Ila_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(2-chlorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.588 MS [M, M+2] ⁺ : 585, 587	A
I_14	Ila_14	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(2-chlorophenyl)amino]propoxy}phenyl)propionic acid	rt: 8.726 MS [M, M+2] ⁺ : 599, 601	A
I_15	Ila_15	(S)-3-(4-{3-[Acryloyl-(2-chlorophenyl)amino]propoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid	rt: 8.293 MS [M, M+2] ⁺ : 583, 585	A
I_16	Ila_16	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)-(thiophene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.19 MS [M+1] ⁺ : 609	A
I_17	Ila_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.054 MS [M+1] ⁺ : 567	A
I_18	Ila_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)propionic acid	rt: 8.534 MS [M+1] ⁺ : 583	A
I_19	Ila_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.414 MS [M+1] ⁺ : 581	A
I_20	Ila_20	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentanecarbonyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.674 MS [M+1] ⁺ : 595	A
I_21	Ila_21	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.061 MS [M+1] ⁺ : 567	A
I_22	Ila_22	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)propionylamino]ethoxy}phenyl)propionic acid	rt: 7.981 MS [M+1] ⁺ : 555	A
I_23	Ila_23	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid	rt: 8.256 MS [M+1] ⁺ : 569	A
I_24	Ila_24	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(2-fluorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.269 MS [M+1] ⁺ : 569	A
I_25	Ila_25	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.665 MS [M+1] ⁺ : 583	A
I_26	Ila_26	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)-o-tolylamino]propoxy}phenyl)propionic acid	rt: 8.369 MS [M+1] ⁺ : 577	A
I_27	Ila_27	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)-o-tolylamino]ethoxy}phenyl)propionic acid	rt: 8.99 MS [M+1] ⁺ : 593	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_28	Ila_28	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbut-2-(E)-enoyl)-o-tolylamino]propoxy}phenyl)propionic acid	rt: 8.758 MS [M+1] ⁺ : 591	A
I_29	Ila_29	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-(but-2-(E)-enoyl-o-tolylamino)-propoxy}phenyl)propionic acid	rt: 8.471 MS [M+1] ⁺ : 577	A
I_30	Ila_30	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)-o-tolylamino]-ethoxy}phenyl)propionic acid	rt: 9.101 MS [M+1] ⁺ : 593	A
I_31	Ila_31	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbutyryl)-o-tolylamino]-ethoxy}phenyl)propionic acid	rt: 8.75 MS [M+1] ⁺ : 579	A
I_32	Ila_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbutyryl)-o-tolylamino]-propoxy}phenyl)propionic acid	rt: 8.945 MS [M+1] ⁺ : 593	A
I_33	Ila_33	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-(o-tolylpent-4-enoylamino)propoxy}phenyl)propionic acid	rt: 8.742 MS [M+1] ⁺ : 591	A
I_34	Ila_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-(cyclobutanecarbonyl-o-tolylamino)-ethoxy}phenyl)propionic acid	rt: 8.634 MS [M+1] ⁺ : 577	A
I_35	Ila_35	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-(cyclopentanecarbonyl-o-tolylamino)-ethoxy}phenyl)propionic acid	rt: 8.898 MS [M+1] ⁺ : 591	A
I_36	Ila_36	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-(propionyl-o-tolylamino)propoxy}phenyl)propionic acid	rt: 8.387 MS [M+1] ⁺ : 565	A
I_37	Ila_37	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-(isobutyryl-o-tolylamino)ethoxy}phenyl)propionic acid	rt: 8.458 MS [M+1] ⁺ : 565	A
I_38	Ila_38	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-(isobutyryl-o-tolylamino)propoxy}phenyl)propionic acid	rt: 8.66 MS [M+1] ⁺ : 579	A
I_39	Ila_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-(pentanoyl-o-tolylamino)propoxy}phenyl)propionic acid	rt: 8.968 MS [M+1] ⁺ : 593	A
I_40	Ila_40	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-(butyryl-o-tolylamino)ethoxy}phenyl)propionic acid	rt: 8.474 MS [M+1] ⁺ : 565	A
I_41	Ila_41	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-(butyryl-o-tolylamino)propoxy}phenyl)propionic acid	rt: 8.677 MS [M+1] ⁺ : 579	A
I_42	Ila_42	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-(benzoyl-o-tolylamino)ethoxy}phenyl)propionic acid	rt: 8.324 MS [M+1] ⁺ : 599	A
I_43	Ila_43	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-o-tolylamino]ethoxy}phenyl)propionic acid	rt: 8.884 MS [M+1] ⁺ : 579	A
I_44	Ila_44	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-o-tolylamino]propoxy}phenyl)propionic acid	rt: 9.028 MS [M+1] ⁺ : 593	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_45	Ila_45	(S)-3-{4-[3-(Acryloyl- <i>o</i> -tolylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.31 MS [M+1] ⁺ : 563	A
I_46	Ila_46	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.385 MS [M, M+2] ⁺ : 583, 586	A
I_47	Ila_490	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid	rt: 8.54 MS [M, M+2] ⁺ : 597, 599	A
I_48	Ila_47	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(3-chlorophenyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.45 MS [M, M+2] ⁺ : 583, 585	A
I_49	Ila_48	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(<i>E</i>)-enoyl-(3-chlorophenyl)-amino]propoxy}phenyl)propionic acid	rt: 8.596 MS [M, M+2] ⁺ : 597, 599	A
I_50	Ila_49	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(3-methylbutyryl)-amino]ethoxy}phenyl)propionic acid	rt: 8.877 MS [M, M+2] ⁺ : 599, 601	A
I_51	Ila_50	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-pent-4-enoylamino]ethoxy}phenyl)propionic acid	rt: 8.68 MS [M, M+2] ⁺ : 597, 599	A
I_52	Ila_51	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-cyclobutanecarbonylamino]ethoxy}-phenyl)propionic acid	rt: 8.787 MS [M, M+2] ⁺ : 597, 599	A
I_53	Ila_52	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-cyclopentanecarbonylamino]ethoxy}-phenyl)propionic acid	rt: 9.040 MS [M, M+2] ⁺ : 611, 613	A
I_54	Ila_53	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-cyclopropanecarbonylamino]ethoxy}-phenyl)propionic acid	rt: 8.481 MS [M, M+2] ⁺ : 583, 585	A
I_55	Ila_54	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)-cyclopropanecarbonylamino]propoxy}-phenyl)propionic acid	rt: 8.645; MS [M, M+2] ⁺ : 597, 599	A
I_56	Ila_55	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)propionylamino]ethoxy}phenyl)propionic acid	rt: 8.345 MS [M, M+2] ⁺ : 571, 573	A
I_57	Ila_56	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)propionylamino]propoxy}phenyl)propionic acid	rt: 8.509 MS [M, M+2] ⁺ : 585, 587	A
I_58	Ila_57	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid	rt: 8.613 MS [M, M+2] ⁺ : 585, 587	A
I_59	Ila_58	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-chlorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid	rt: 8.768 MS [M, M+2] ⁺ : 599, 601	A
I_60	Ila_59	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-chlorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.623 MS [M, M+2] ⁺ : 585, 587	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_61	Ila_60	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(3-chlorophenyl)amino]propoxy}phenyl)propionic acid	rt: 8.779; MS [M, M+2] ⁺ : 599, 601	A
I_62	Ila_61	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(2,2-dimethylpropionyl)amino]ethoxy}phenyl)propionic acid	rt: 8.995 MS [M, M+2] ⁺ : 599, 601	A
I_63	Ila_62	(S)-3-(4-{3-[Acryloyl-(3-chlorophenyl)amino]propoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid	rt: 8.419 MS [M, M+2] ⁺ : 583, 585	A
I_64	Ila_63	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)-(thiophene-2-carbonyl)amino]ethoxy}phenyl)propionic acid	rt: 8.250 MS [M+1] ⁺ : 609	A
I_65	Ila_64	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)propionic acid	rt: 8.062 MS [M+1] ⁺ : 567	A
I_66	Ila_65	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)propionic acid	rt: 8.538 MS [M+1] ⁺ : 583	A
I_67	Ila_66	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(3-fluorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.431 MS [M+1] ⁺ : 581	A
I_68	Ila_67	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentanecarbonyl-(3-fluorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.688 MS [M+1] ⁺ : 595	A
I_69	Ila_68	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(3-fluorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.134 MS [M+1] ⁺ : 567	A
I_70	Ila_69	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)propionylamino]ethoxy}phenyl)propionic acid	rt: 7.998 MS [M+1] ⁺ : 555	A
I_71	Ila_70	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid	rt: 8.267 MS [M+1] ⁺ : 569	A
I_72	Ila_71	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-fluorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.278 MS [M+1] ⁺ : 569	A
I_73	Ila_72	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(3-fluorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.65 MS [M+1] ⁺ : 583	A
I_74	Ila_73	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)-(3-methylbenzyl)amino]propoxy}phenyl)propionic acid	rt: 8.439 MS [M+1] ⁺ : 591	A
I_75	Ila_74	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)-(3-methylbenzyl)amino]ethoxy}phenyl)propionic acid	rt: 8.973 MS [M+1] ⁺ : 607	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_76	Ila_75	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbenzyl)-(3-methylbut-2-(E)-enoyl)amino]propoxy}phenyl)-propionic acid	rt: 8.634 MS [M+1] ⁺ : 605	A
I_77	Ila_76	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(E)-enoyl-(3-methylbenzyl)-amino]propoxy}phenyl)propionic acid	rt: 8.381 MS [M+1] ⁺ : 591	A
I_78	Ila_77	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)-(3-methylbenzyl)amino]ethoxy}phenyl)-propionic acid	rt: 9.041 MS [M+1] ⁺ : 607	A
I_79	Ila_78	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbenzyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid	rt: 8.745 MS [M+1] ⁺ : 593	A
I_80	Ila_79	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbenzyl)-(3-methylbutyryl)amino]propoxy}phenyl)-propionic acid	rt: 8.828 MS [M+1] ⁺ : 607	A
I_81	Ila_80	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(3-methylbenzyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.645 MS [M+1] ⁺ : 591	A
I_82	Ila_81	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutanecarbonyl-(3-methylbenzyl)amino]propoxy}phenyl)-propionic acid	rt: 8.722 MS [M+1] ⁺ : 605	A
I_83	Ila_82	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentanecarbonyl-(3-methylbenzyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.874 MS [M+1] ⁺ : 605	A
I_84	Ila_83	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(3-methylbenzyl)amino]propoxy}phenyl)-propionic acid	rt: 8.422 MS [M+1] ⁺ : 591	A
I_85	Ila_84	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbenzyl)propionylamino]-propoxy}phenyl)propionic acid	rt: 8.31 MS [M+1] ⁺ : 579	A
I_86	Ila_85	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(3-methylbenzyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.468 MS [M+1] ⁺ : 579	A
I_87	Ila_86	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[isobutyryl-(3-methylbenzyl)amino]-propoxy}phenyl)propionic acid	rt: 8.56 MS [M+1] ⁺ : 593	A
I_88	Ila_87	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-methylbenzyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.489 MS [M+1] ⁺ : 579	A
I_89	Ila_88	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(3-methylbenzyl)amino]-propoxy}phenyl)propionic acid	rt: 8.574 MS [M+1] ⁺ : 593	A
I_90	Ila_89	(S)-3-(4-{2-[Benzoyl-(3-methylbenzyl)-amino]ethoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid	rt: 8.545 MS [M+1] ⁺ : 613	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_91	Ila_90	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(3-methylbenzyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.886 MS [M+1] ⁺ : 593	A
I_92	Ila_91	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-(3-methylbenzyl)amino]propoxy}phenyl)-propionic acid	rt: 8.909 MS [M+1] ⁺ : 607	A
I_93	Ila_92	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)- <i>m</i> -tolylamino]-propoxy}phenyl)propionic acid	rt: 8.472 MS [M+1] ⁺ : 577	A
I_94	Ila_93	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)- <i>m</i> -tolylamino]-ethoxy}phenyl)propionic acid	rt: 9.062 MS [M+1] ⁺ : 593	A
I_95	Ila_94	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbut-2-(<i>E</i>)-enoyl]- <i>m</i> -tolylamino]propoxy}phenyl)propionic acid	rt: 8.826 MS [M+1] ⁺ : 591	A
I_96	Ila_95	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(but-2-(<i>E</i>)-enoyl- <i>m</i> -tolylamino)-propoxy]phenyl}propionic acid	rt: 8.554 MS [M+1] ⁺ : 577	A
I_97	Ila_96	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)- <i>m</i> -tolylamino]ethoxy}phenyl)propionic acid	rt: 9.152 MS [M+1] ⁺ : 593	A
I_98	Ila_97	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbutyryl)- <i>m</i> -tolylamino]-ethoxy}phenyl)propionic acid	rt: 8.802 MS [M+1] ⁺ : 579	A
I_99	Ila_98	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonyl- <i>m</i> -tolylamino)-ethoxy]phenyl}propionic acid	rt: 8.724 MS [M+1] ⁺ : 577	A
I_100	Ila_99	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonyl- <i>m</i> -tolylamino)-ethoxy]phenyl}propionic acid	rt: 8.958 MS [M+1] ⁺ : 591	A
I_101	Ila_100	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropanecarbonyl- <i>m</i> -tolylamino)-propoxy]phenyl}propionic acid	rt: 8.607 MS [M+1] ⁺ : 577	A
I_102	Ila_101	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(propionyl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid	rt: 8.468 MS [M+1] ⁺ : 565	A
I_103	Ila_102	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyryl- <i>m</i> -tolylamino)ethoxy]-phenyl}propionic acid	rt: 8.532 MS [M+1] ⁺ : 565	A
I_104	Ila_103	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyryl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid	rt: 8.731 MS [M+1] ⁺ : 579	A
I_105	Ila_104	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(pentanoyl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid	rt: 9.025 MS [M+1] ⁺ : 593	A
I_106	Ila_105	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyryl- <i>m</i> -tolylamino)ethoxy]phenyl}-propionic acid	rt: 8.543 MS [M+1] ⁺ : 565	A
I_107	Ila_106	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(butyryl- <i>m</i> -tolylamino)propoxy]-phenyl}propionic acid	rt: 8.741 MS [M+1] ⁺ : 579	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_108	Ila_107	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoyl- <i>m</i> -tolylamino)ethoxy]phenyl}propionic acid	rt: 8.436 MS [M+1] ⁺ : 599	A
I_109	Ila_108	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)- <i>m</i> -tolylamino]ethoxy}phenyl)propionic acid	rt: 8.953 MS [M+1] ⁺ : 579	A
I_110	Ila_109	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)- <i>m</i> -tolylamino]propoxy}phenyl)propionic acid	rt: 9.109 MS [M+1] ⁺ : 593	A
I_111	Ila_110	(S)-3-{4-[3-(Acryloyl- <i>m</i> -tolylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.388 MS [M+1] ⁺ : 563	A
I_112	Ila_111	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)propionic acid	rt: 8.437 MS [M, M+2] ⁺ : 583, 586	A
I_113	Ila_491	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-chlorophenyl)-(2-methylacryloyl)amino]propoxy}phenyl)propionic acid	rt: 8.595 MS [M, M+2] ⁺ : 597, 599	A
I_114	Ila_112	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(4-chlorophenyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.517 MS [M, M+2] ⁺ : 583, 585	A
I_115	Ila_113	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-(3-methylbutyryl)-amino]ethoxy}phenyl)propionic acid	rt: 8.942 MS [M, M+2] ⁺ : 599, 601	A
I_116	Ila_114	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-pent-4-enoylamino]ethoxy}phenyl)propionic acid	rt: 8.739 MS [M, M+2] ⁺ : 597, 599	A
I_117	Ila_115	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-cyclobutanecarbonylamino]ethoxy}phenyl)propionic acid	rt: 8.839 MS [M, M+2] ⁺ : 597, 599	A
I_118	Ila_116	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-cyclopentanecarbonylamino]ethoxy}phenyl)propionic acid	rt: 9.103 MS [M, M+2] ⁺ : 611, 613	A
I_119	Ila_117	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-cyclopropanecarbonylamino]ethoxy}phenyl)propionic acid	rt: 8.533 MS [M, M+2] ⁺ : 583, 585	A
I_120	Ila_118	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-chlorophenyl)-cyclopropanecarbonylamino]propoxy}phenyl)propionic acid	rt: 9.696 MS [M, M+2] ⁺ : 597, 599	A
I_121	Ila_119	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)propionylamino]ethoxy}phenyl)propionic acid	rt: 8.399 MS [M, M+2] ⁺ : 571, 573	A
I_122	Ila_120	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-chlorophenyl)propionylamino]propoxy}phenyl)propionic acid	rt: 8.562 MS [M, M+2] ⁺ : 585, 587	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_123	Ila_121	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid	rt: 8.682 MS [M, M+2] ⁺ : 585, 587	A
I_124	Ila_122	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-chlorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid	rt: 8.835 MS [M, M+2] ⁺ : 599, 601	A
I_125	Ila_123	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(4-chlorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.684 MS [M, M+2] ⁺ : 585, 587	A
I_126	Ila_124	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(4-chlorophenyl)amino]propoxy}phenyl)propionic acid	rt: 8.836 MS [M, M+2] ⁺ : 599, 601	A
I_127	Ila_125	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-chlorophenyl)-(2,2-dimethylpropionyl)amino]ethoxy}phenyl)propionic acid	rt: 9.043 MS [M, M+2] ⁺ : 599, 601	A
I_128	Ila_126	(S)-3-(4-{3-[Acryloyl-(4-chlorophenyl)amino]propoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid	rt: 8.475 MS [M, M+2] ⁺ : 583, 585	A
I_129	Ila_127	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)-(thiophene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.247 MS [M+1] ⁺ : 609	A
I_130	Ila_128	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.026 MS [M+1] ⁺ : 567	A
I_131	Ila_129	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)-(3-methylbut-2-(E)-enoyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.365 MS [M+1] ⁺ : 581	A
I_132	Ila_130	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)propionic acid	rt: 8.528 MS [M+1] ⁺ : 583	A
I_133	Ila_131	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(4-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.421 MS [M+1] ⁺ : 581	A
I_134	Ila_132	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentanecarbonyl-(4-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.675 MS [M+1] ⁺ : 595	A
I_135	Ila_133	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(4-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.117 MS [M+1] ⁺ : 567	A
I_136	Ila_134	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)propionylamino]ethoxy}phenyl)propionic acid	rt: 7.987 MS [M+1] ⁺ : 555	A
I_137	Ila_135	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)isobutyrylamino]ethoxy}phenyl)propionic acid	rt: 8.253 MS [M+1] ⁺ : 569	A
I_138	Ila_136	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(4-fluorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 8.266 MS [M+1] ⁺ : 569	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_139	Ila_137	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(4-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.64 MS [M+1] ⁺ : 583	A
I_140	Ila_138	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methylacryloyl)- <i>p</i> -tolylamino]propoxy}phenyl)propionic acid	rt: 8.483 MS [M+1] ⁺ : 577	A
I_141	Ila_139	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)- <i>p</i> -tolylamino]ethoxy}phenyl)propionic acid	rt: 9.088 MS [M+1] ⁺ : 593	A
I_142	Ila_140	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)- <i>p</i> -tolylamino]ethoxy}phenyl)propionic acid	rt: 9.178 MS [M+1] ⁺ : 593	A
I_143	Ila_141	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbutyryl)- <i>p</i> -tolylamino]ethoxy}phenyl)propionic acid	rt: 8.827 MS [M+1] ⁺ : 579	A
I_144	Ila_142	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbutyryl)- <i>p</i> -tolylamino]propoxy}phenyl)propionic acid	rt: 9.025 MS [M+1] ⁺ : 593	A
I_145	Ila_143	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonyl- <i>p</i> -tolylamino)-ethoxy]phenyl}propionic acid	rt: 8.737 MS [M+1] ⁺ : 577	A
I_146	Ila_144	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutanecarbonyl- <i>p</i> -tolylamino)-propoxy]phenyl}propionic acid	rt: 8.936 MS [M+1] ⁺ : 591	A
I_147	Ila_145	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonyl- <i>p</i> -tolylamino)-ethoxy]phenyl}propionic acid	rt: 8.992 MS [M+1] ⁺ : 591	A
I_148	Ila_146	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(propionyl- <i>p</i> -tolylamino)propoxy]-phenyl}propionic acid	rt: 8.486 MS [M+1] ⁺ : 565	A
I_149	Ila_147	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyryl- <i>p</i> -tolylamino)ethoxy]-phenyl}propionic acid	rt: 8.554 MS [M+1] ⁺ : 565	A
I_150	Ila_148	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyryl- <i>p</i> -tolylamino)propoxy]-phenyl}propionic acid	rt: 8.758 MS [M+1] ⁺ : 579	A
I_151	Ila_149	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyryl- <i>p</i> -tolylamino)ethoxy]phenyl}-propionic acid	rt: 8.561 MS [M+1] ⁺ : 565	A
I_152	Ila_150	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoyl- <i>p</i> -tolylamino)ethoxy]phenyl}-propionic acid	rt: 8.431 MS [M+1] ⁺ : 599	A
I_153	Ila_151	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)- <i>p</i> -tolylamino]ethoxy}phenyl)propionic acid	rt: 8.967 MS [M+1] ⁺ : 579	A
I_154	Ila_152	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)- <i>p</i> -tolylamino]propoxy}phenyl)propionic acid	rt: 9.126 MS [M+1] ⁺ : 593	A
I_155	Ila_153	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(naphthalene-1-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.702 MS [M+1] ⁺ : 649	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_156	Ila_154	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(naphthalene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.744 MS [M+1] ⁺ : 649	A
I_157	Ila_155	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(pyrazine-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 7.713 MS [M+1] ⁺ : 601	A
I_158	Ila_156	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(pyrazine-2-carbonyl)-amino]propoxy}phenyl)propionic acid	rt: 7.813 MS [M+1] ⁺ : 615	A
I_159	Ila_157	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(pyridine-2-carbonyl)amino]-ethoxy}phenyl)propionic acid	rt: 7.866 MS [M+1] ⁺ : 600	A
I_160	Ila_158	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(pyridine-2-carbonyl)amino]-propoxy}phenyl)propionic acid	rt: 7.978 MS [M+1] ⁺ : 614	A
I_161	Ila_159	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(quinoline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.538 MS [M+1] ⁺ : 650	A
I_162	Ila_160	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(quinoline-2-carbonyl)-amino]propoxy}phenyl)propionic acid	rt: 8.602 MS [M+1] ⁺ : 664	A
I_163	Ila_161	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(quinoxaline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.374 MS [M+1] ⁺ : 651	A
I_164	Ila_162	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(quinoxaline-2-carbonyl)-amino]propoxy}phenyl)propionic acid	rt: 8.455 MS [M+1] ⁺ : 665	A
I_165	Ila_163	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.331 MS [M+1] ⁺ : 605	A
I_166	Ila_164	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(thiophene-2-carbonyl)-amino]propoxy}phenyl)propionic acid	rt: 8.415 MS [M+1] ⁺ : 619	A
I_167	Ila_165	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(furan-3-carbonyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.026 MS [M+1] ⁺ : 589	A
I_168	Ila_166	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(furan-3-carbonyl)amino]-propoxy}phenyl)propionic acid	rt: 8.105 MS [M+1] ⁺ : 603	A
I_169	Ila_167	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(isoquinoline-3-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.318 MS [M+1] ⁺ : 650	A
I_170	Ila_168	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(isoquinoline-3-carbonyl)-amino]propoxy}phenyl)propionic acid	rt: 8.383 MS [M+1] ⁺ : 664	A
I_171	Ila_169	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(pyridine-3-carbonyl)amino]-ethoxy}phenyl)propionic acid	rt: 7.448 MS [M+1] ⁺ : 600	A
I_172	Ila_170	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(pyridine-3-carbonyl)amino]-propoxy}phenyl)propionic acid	rt: 7.584 MS [M+1] ⁺ : 614	A
I_173	Ila_171	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylphenylacetamino)ethoxy]-phenyl}propionic acid	rt: 8.461 MS [M+1] ⁺ : 613	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_174	Ila_172	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylphenylacetyl)amino]propoxy}phenyl}propionic acid	rt: 8.489 MS [M+1] ⁺ : 627	A
I_175	Ila_173	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-methylacryloyl)amino]ethoxy}phenyl)propionic acid	rt: 8.057 MS [M+1] ⁺ : 563	A
I_176	Ila_174	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-methylacryloyl)amino]propoxy}phenyl)propionic acid	rt: 8.14 MS [M+1] ⁺ : 577	A
I_177	Ila_175	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-phenylpropynoyl)amino]ethoxy}phenyl)propionic acid	rt: 8.675 MS [M+1] ⁺ : 623	A
I_178	Ila_176	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-phenylpropynoyl)amino]propoxy}phenyl)propionic acid	rt: 8.762 MS [M+1] ⁺ : 637	A
I_179	Ila_177	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-ethylbutyryl)amino]ethoxy}phenyl)propionic acid	rt: 8.711 MS [M+1] ⁺ : 593	A
I_180	Ila_178	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-ethylbutyryl)amino]propoxy}phenyl)propionic acid	rt: 8.766 MS [M+1] ⁺ : 607	A
I_181	Ila_179	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-methylbut-2-(E)-enoyl)amino]ethoxy}phenyl)propionic acid	rt: 8.278 MS [M+1] ⁺ : 577	A
I_182	Ila_180	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-methylbut-2-(E)-enoyl)amino]propoxy}phenyl)propionic acid	rt: 8.381 MS [M+1] ⁺ : 591	A
I_183	Ila_181	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-furan-2-ylacryloyl)amino]ethoxy}phenyl)propionic acid	rt: 8.279 MS [M+1] ⁺ : 615	A
I_184	Ila_182	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-furan-2-ylacryloyl)amino]propoxy}phenyl)propionic acid	rt: 8.386 MS [M+1] ⁺ : 629	A
I_185	Ila_183	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-thiophen-2-ylacryloyl)amino]ethoxy}phenyl)propionic acid	rt: 8.436 MS [M+1] ⁺ : 631	A
I_186	Ila_184	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-thiophen-2-ylacryloyl)amino]propoxy}phenyl)propionic acid	rt: 8.551 MS [M+1] ⁺ : 645	A
I_187	Ila_185	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-furan-3-yl-(E)-acryloyl)amino]ethoxy}phenyl)propionic acid	rt: 8.158 MS [M+1] ⁺ : 615	A
I_188	Ila_186	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-furan-3-yl-(E)-acryloyl)amino]propoxy}phenyl)propionic acid	rt: 8.266 MS [M+1] ⁺ : 629	A
I_189	Ila_187	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-pyridin-3-yl-(E)-acryloyl)amino]ethoxy}phenyl)propionic acid	rt: 7.303 MS [M+1] ⁺ : 626	A
I_190	Ila_188	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-pyridin-3-yl-(E)-acryloyl)amino]propoxy}phenyl)propionic acid	rt: 7.428 MS [M+1] ⁺ : 640	A
I_191	Ila_189	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-thiophen-3-yl-(E)-acryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.388 MS [M+1] ⁺ : 631	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_192	Ila_190	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-thiophen-3-yl-(E)-acryloyl)amino]propoxy}phenyl)-propionic acid	rt: 8.489 MS [M+1] ⁺ : 645	A
I_193	Ila_191	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylbut-2-(E)-enoilamino)ethoxy]-phenyl}propionic acid	rt: 8.008 MS [M+1] ⁺ : 563	A
I_194	Ila_192	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylbut-2-(E)-enoilamino)-propoxy]phenyl}propionic acid	rt: 8.114 MS [M+1] ⁺ : 577	A
I_195	Ila_193	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-thiophen-2-ylacetyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.325 MS [M+1] ⁺ : 619	A
I_196	Ila_194	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-thiophen-2-ylacetyl)-amino]propoxy}phenyl)propionic acid	rt: 8.441 MS [M+1] ⁺ : 633	A
I_197	Ila_195	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-pyridin-3-ylacetyl)-amino]ethoxy}phenyl)propionic acid	rt: 6.546 MS [M+1] ⁺ : 614	A
I_198	Ila_196	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-pyridin-3-ylacetyl)-amino]propoxy}phenyl)propionic acid	rt: 6.683 MS [M+1] ⁺ : 628	A
I_199	Ila_197	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-thiophen-3-ylacetyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.32 MS [M+1] ⁺ : 619	A
I_200	Ila_198	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-thiophen-3-ylacetyl)-amino]propoxy}phenyl)propionic acid	rt: 8.417 MS [M+1] ⁺ : 633	A
I_201	Ila_199	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(3,3-dimethylbutyryl)amino]-ethoxy}phenyl)propionic acid	rt: 8.803 MS [M+1] ⁺ : 593	A
I_202	Ila_200	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl(3,3-dimethylbutyryl)amino]-propoxy}phenyl)propionic acid	rt: 8.88 MS [M+1] ⁺ : 607	A
I_203	Ila_201	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-methylbutyryl)amino]-ethoxy}phenyl)propionic acid	rt: 8.483 MS [M+1] ⁺ : 579	A
I_204	Ila_202	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-methylbutyryl)amino]-propoxy}phenyl)propionic acid	rt: 8.562 MS [M+1] ⁺ : 593	A
I_205	Ila_203	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-pyridin-3-ylpropionyl)-amino]ethoxy}phenyl)propionic acid	rt: 6.329 MS [M+1] ⁺ : 628	A
I_206	Ila_204	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-pyridin-3-ylpropionyl)-amino]propoxy}phenyl)propionic acid	rt: 6.584 MS [M+1] ⁺ : 642	A
I_207	Ila_205	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylpent-4-enoilamino)ethoxy]-phenyl}propionic acid	rt: 8.32 MS [M+1] ⁺ : 577	A
I_208	Ila_206	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylpent-4-enoilamino)propoxy]-phenyl}propionic acid	rt: 8.401 MS [M+1] ⁺ : 591	A
I_209	Ila_207	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-phenylpropionyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.628 MS [M+1] ⁺ : 627	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_210	Ila_208	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-phenylpropionyl)amino]propoxy}phenyl)propionic acid	rt: 8.732 MS [M+1] ⁺ : 641	A
I_211	Ila_209	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclobutanecarbonylamino)ethoxy]phenyl}propionic acid	rt: 8.41 MS [M+1] ⁺ : 577	A
I_212	Ila_210	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclobutanecarbonylamino)propoxy]phenyl}propionic acid	rt: 8.481 MS [M+1] ⁺ : 591	A
I_213	Ila_211	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclohexanecarbonylamino)ethoxy]phenyl}propionic acid	rt: 8.786 MS [M+1] ⁺ : 605	A
I_214	Ila_212	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclohexanecarbonylamino)propoxy]phenyl}propionic acid	rt: 8.865 MS [M+1] ⁺ : 619	A
I_215	Ila_213	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-cyclohexylpropionyl)amino]ethoxy}phenyl)propionic acid	rt: 9.382 MS [M+1] ⁺ : 633	A
I_216	Ila_214	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-cyclohexylpropionyl)amino]propoxy}phenyl)propionic acid	rt: 9.479 MS [M+1] ⁺ : 647	A
I_217	Ila_215	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-cyclohexylacetyl)amino]ethoxy}phenyl)propionic acid	rt: 9.109 MS [M+1] ⁺ : 619	A
I_218	Ila_216	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2-cyclohexylacetyl)amino]propoxy}phenyl)propionic acid	rt: 9.207 MS [M+1] ⁺ : 633	A
I_219	Ila_217	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclopentanecarbonylamino)ethoxy]phenyl}propionic acid	rt: 8.663 MS [M+1] ⁺ : 591	A
I_220	Ila_218	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclopentanecarbonylamino)propoxy]phenyl}propionic acid	rt: 8.84 MS [M+1] ⁺ : 605	A
I_221	Ila_219	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-cyclopentylpropionyl)amino]ethoxy}phenyl)propionic acid	rt: 9.16 MS [M+1] ⁺ : 619	A
I_222	Ila_220	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-cyclopentylpropionyl)amino]propoxy}phenyl)propionic acid	rt: 9.208 MS [M+1] ⁺ : 633	A
I_223	Ila_221	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclopropanecarbonylamino)ethoxy]phenyl}propionic acid	rt: 8.08 MS [M+1] ⁺ : 563	A
I_224	Ila_222	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclopropanecarbonylamino)propoxy]phenyl}propionic acid	rt: 8.181 MS [M+1] ⁺ : 577	A
I_225	Ila_223	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylpropionylamino)ethoxy]phenyl}propionic acid	rt: 7.968 MS [M+1] ⁺ : 551	A
I_226	Ila_224	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylpropionylamino)propoxy]phenyl}propionic acid	rt: 8.054 MS [M+1] ⁺ : 565	A
I_227	Ila_225	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylisobutyrylamino)ethoxy]phenyl}propionic acid	rt: 8.225 MS [M+1] ⁺ : 565	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_228	Ila_226	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylisobutrylamino)propoxy]-phenyl}propionic acid	rt: 8.311 MS [M+1] ⁺ : 579	A
I_229	Ila_227	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylhexanoylamino)ethoxy]-phenyl}propionic acid	rt: 8.843 MS [M+1] ⁺ : 593	A
I_230	Ila_228	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylhexanoylamino)propoxy]-phenyl}propionic acid	rt: 8.898 MS [M+1] ⁺ : 607	A
I_231	Ila_229	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylpentanoylamino)ethoxy]-phenyl}propionic acid	rt: 8.507 MS [M+1] ⁺ : 579	A
I_232	Ila_230	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylpentanoylamino)propoxy]-phenyl}propionic acid	rt: 8.581 MS [M+1] ⁺ : 593	A
I_233	Ila_231	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzyloctanoylamino)ethoxy]phenyl}-propionic acid	rt: 9.396 MS [M+1] ⁺ : 621	A
I_234	Ila_232	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzyloctanoylamino)propoxy]-phenyl}propionic acid	rt: 9.432 MS [M+1] ⁺ : 635	A
I_235	Ila_233	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylheptanoylamino)ethoxy]-phenyl}propionic acid	rt: 9.112 MS [M+1] ⁺ : 607	A
I_236	Ila_234	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylheptanoylamino)propoxy]-phenyl}propionic acid	rt: 9.158 MS [M+1] ⁺ : 621	A
I_237	Ila_235	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylnonanoylamino)ethoxy]-phenyl}propionic acid	rt: 9.653 MS [M+1] ⁺ : 635	A
I_238	Ila_236	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylnonanoylamino)propoxy]-phenyl}propionic acid	rt: 9.69 MS [M+1] ⁺ : 649	A
I_239	Ila_237	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylbutyrylamino)ethoxy]phenyl}-propionic acid	rt: 8.256 MS [M+1] ⁺ : 565	A
I_240	Ila_238	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylbutyrylamino)propoxy]phenyl}-propionic acid	rt: 8.332 MS [M+1] ⁺ : 579	A
I_241	Ila_239	(S)-3-{4-[2-(Benzoylbenzylamino)-ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.333 MS [M+1] ⁺ : 599	A
I_242	Ila_240	(S)-3-{4-[3-(Benzoylbenzylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.378 MS [M+1] ⁺ : 613	A
I_243	Ila_241	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-phenylacryloyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.579 MS [M+1] ⁺ : 625	A
I_244	Ila_242	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-phenylacryloyl)amino]-propoxy}phenyl)propionic acid	rt: 8.68 MS [M+1] ⁺ : 639	A
I_245	Ila_243	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2,2-dimethylpropionyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.628 MS [M+1] ⁺ : 579	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_246	Ila_244	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(2,2-dimethylpropionyl)-amino]propoxy}phenyl)propionic acid	rt: 8.656 MS [M+1] ⁺ : 593	A
I_247	Ila_245	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclohexyl-(3-furan-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.676 MS [M+1] ⁺ : 607	A
I_248	Ila_246	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylcyclohexylamino)ethoxy]phenyl}propionic acid	rt: 8.913 MS [M+1] ⁺ : 569	A
I_249	Ila_247	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclohexyl-(3-cyclopentylpropionyl)amino]ethoxy}-phenyl)propionic acid	rt: 9.607 MS [M+1] ⁺ : 611	A
I_250	Ila_248	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyrylcyclohexylamino)ethoxy]-phenyl}propionic acid	rt: 8.691 MS [M+1] ⁺ : 557	A
I_251	Ila_249	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(naphthalene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.536 MS [M+1] ⁺ : 613	A
I_252	Ila_250	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(naphthalene-2-carbonyl)amino]propoxy}phenyl)-propionic acid	rt: 8.609 MS [M+1] ⁺ : 627	A
I_253	Ila_251	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(quinoline-2-carbonyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.206 MS [M+1] ⁺ : 614	A
I_254	Ila_252	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(quinoline-2-carbonyl)amino]propoxy}phenyl)-propionic acid	rt: 8.267 MS [M+1] ⁺ : 628	A
I_255	Ila_253	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(quinoxaline-2-carbonyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.084 MS [M+1] ⁺ : 615	A
I_256	Ila_254	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(quinoxaline-2-carbonyl)amino]propoxy}phenyl)-propionic acid	rt: 8.192 MS [M+1] ⁺ : 629	A
I_257	Ila_255	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(thiophene-2-carbonyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.068 MS [M+1] ⁺ : 569	A
I_258	Ila_256	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(thiophene-2-carbonyl)amino]propoxy}phenyl)-propionic acid	rt: 8.17 MS [M+1] ⁺ : 583	A
I_259	Ila_257	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl(pyridine-3-carbonyl)amino]ethoxy}phenyl)-propionic acid	rt: 7.1 MS [M+1] ⁺ : 564	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_260	Ila_258	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl(pyridine-3-carbonyl)amino]propoxy}phenyl)-propionic acid	rt: 7.229 MS [M+1] ⁺ : 578	A
I_261	Ila_259	(S)-2-(2-Benzoylphenylamino)-3-(4-[2-(cyclopropylmethylphenylacetyl)amino]ethoxy}phenyl)propionic acid	rt: 8.179 MS [M+1] ⁺ : 577	A
I_262	Ila_260	(S)-2-(2-Benzoylphenylamino)-3-(4-[3-(cyclopropylmethylphenylacetyl)amino]propoxy}phenyl)propionic acid	rt: 8.268 MS [M+1] ⁺ : 591	A
I_263	Ila_261	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-furan-2-ylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.056 MS [M+1] ⁺ : 579	A
I_264	Ila_262	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-furan-2-ylacryloyl)amino]propoxy}phenyl)-propionic acid	rt: 8.149 MS [M+1] ⁺ : 593	A
I_265	Ila_263	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-thiophen-2-ylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.239 MS [M+1] ⁺ : 595	A
I_266	Ila_264	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-thiophen-2-ylacryloyl)amino]propoxy}phenyl)-propionic acid	rt: 8.342 MS [M+1] ⁺ : 609	A
I_267	Ila_265	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(2-thiophen-2-ylacetyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.085 MS [M+1] ⁺ : 583	A
I_268	Ila_266	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(2-thiophen-2-ylacetyl)amino]propoxy}phenyl)-propionic acid	rt: 8.175 MS [M+1] ⁺ : 597	A
I_269	Ila_267	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(2-thiophen-3-ylacetyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.056 MS [M+1] ⁺ : 583	A
I_270	Ila_268	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(2-thiophen-3-ylacetyl)amino]propoxy}phenyl)-propionic acid	rt: 8.142 MS [M+1] ⁺ : 597	A
I_271	Ila_269	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-pyridin-3-ylpropionyl)amino]ethoxy}phenyl)-propionic acid	rt: 6.234 MS [M+1] ⁺ : 592	A
I_272	Ila_270	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-pyridin-3-ylpropionyl)amino]propoxy}phenyl)-propionic acid	rt: 6.385 MS [M+1] ⁺ : 606	A
I_273	Ila_271	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-phenylpropionyl)amino]ethoxy}-phenyl)propionic acid	rt: 8.413 MS [M+1] ⁺ : 591	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_274	Ila_272	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-phenylpropionyl)amino]propoxy}-phenyl)propionic acid	rt: 8.488 MS [M+1] ⁺ : 605	A
I_275	Ila_273	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylcyclopropylmethylamino)ethoxy]phenyl}propionic acid	rt: 8.16 MS [M+1] ⁺ : 541	A
I_276	Ila_274	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutanecarbonylcyclopropylmethylamino)propoxy]phenyl}propionic acid	rt: 8.24 MS [M+1] ⁺ : 555	A
I_277	Ila_275	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-cyclohexylacetyl)-cyclopropylmethylamino]ethoxy}-phenyl)propionic acid	rt: 9.907 MS [M+1] ⁺ : 583	A
I_278	Ila_276	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-cyclohexylacetyl)-cyclopropylmethylamino]propoxy}-phenyl)propionic acid	rt: 8.975 MS [M+1] ⁺ : 597	A
I_279	Ila_277	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonylcyclopropylmethylamino)ethoxy]phenyl}propionic acid	rt: 8.405 MS [M+1] ⁺ : 555	A
I_280	Ila_278	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopentanecarbonylcyclopropylmethylamino)propoxy]phenyl}propionic acid	rt: 8.486 MS [M+1] ⁺ : 569	A
I_281	Ila_279	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropanecarbonylcyclopropylmethylamino)ethoxy]phenyl}propionic acid	rt: 7.808 MS [M+1] ⁺ : 527	A
I_282	Ila_280	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropanecarbonylcyclopropylmethylamino)propoxy]phenyl}propionic acid	rt: 7.905 MS [M+1] ⁺ : 541	A
I_283	Ila_281	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropylmethylpropionylamino)-ethoxy]phenyl}propionic acid	rt: 7.682 MS [M+1] ⁺ : 515	A
I_284	Ila_282	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropylmethylpropionylamino)-propoxy]phenyl}propionic acid	rt: 7.776 MS [M+1] ⁺ : 529	A
I_285	Ila_283	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropylmethylisobutyrylamino)-ethoxy]phenyl}propionic acid	rt: 7.966 MS [M+1] ⁺ : 529	A
I_286	Ila_284	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropylmethylisobutyrylamino)-propoxy]phenyl}propionic acid	rt: 8.056 MS [M+1] ⁺ : 543	A
I_287	Ila_285	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyrylcyclopropylmethylamino)-ethoxy]phenyl}propionic acid	rt: 7.99 MS [M+1] ⁺ : 529	A
I_288	Ila_286	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(butyrylcyclopropylmethylamino)-propoxy]phenyl}propionic acid	rt: 8.071 MS [M+1] ⁺ : 543	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_289	Ila_287	(S)-3-{4-[2-(Benzoylcyclopropylmethylamino)-ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.06 MS [M+1] ⁺ : 563	A
I_290	Ila_288	(S)-3-{4-[3-(Benzoylcyclopropylmethylamino)-propoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.161 MS [M+1] ⁺ : 577	A
I_291	Ila_289	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropylmethyl-(3-phenylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.338 MS [M+1] ⁺ : 589	A
I_292	Ila_290	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropylmethyl-(3-phenylacryloyl)amino]propoxy}-phenyl)propionic acid	rt: 8.424 MS [M+1] ⁺ : 603	A
I_293	Ila_291	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(naphthalene-1-carbonyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 8.404 MS [M+1] ⁺ : 635	A
I_294	Ila_292	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(naphthalene-1-carbonyl)-phenylamino]propoxy}phenyl)-propionic acid	rt: 8.588 MS [M+1] ⁺ : 649	A
I_295	Ila_293	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(naphthalene-2-carbonyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 8.618 MS [M+1] ⁺ : 635	A
I_296	Ila_294	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(naphthalene-2-carbonyl)-phenylamino]propoxy}phenyl)-propionic acid	rt: 8.762 MS [M+1] ⁺ : 649	A
I_297	Ila_295	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(quinoline-2-carbonyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 8.095 MS [M+1] ⁺ : 636	A
I_298	Ila_296	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(quinoline-2-carbonyl)-amino]propoxy}phenyl)propionic acid	rt: 8.241 MS [M+1] ⁺ : 650	A
I_299	Ila_297	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(quinoxaline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: — MS [M+1] ⁺ : 637	A
I_300	Ila_298	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(quinoxaline-2-carbonyl)-amino]propoxy}phenyl)propionic acid	rt: 8.214 MS [M+1] ⁺ : 651	A
I_301	Ila_299	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.259 MS [M+1] ⁺ : 591	A
I_302	Ila_300	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(thiophene-2-carbonyl)-amino]propoxy}phenyl)propionic acid	rt: 8.416 MS [M+1] ⁺ : 605	A
I_303	Ila_301	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(isoquinoline-3-carbonyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 7.925 MS [M+1] ⁺ : 636	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_304	Ila_302	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(pyridine-3-carbonyl)amino]ethoxy}phenyl)propionic acid	rt: 7.253 MS [M+1] ⁺ : 586	A
I_305	Ila_303	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(pyridine-3-carbonyl)amino]propoxy}phenyl)propionic acid	rt: 7.434 MS [M+1] ⁺ : 600	A
I_306	Ila_304	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(pyridine-4-carbonyl)amino]ethoxy}phenyl)propionic acid	rt: 7.061 MS [M+1] ⁺ : 586	A
I_307	Ila_305	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl(pyridine-4-carbonyl)amino]propoxy}phenyl)propionic acid	rt: 7.261 MS [M+1] ⁺ : 600	A
I_308	Ila_306	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(phenylphenylacetylamino)ethoxy]-phenyl}propionic acid	rt: 8.439 MS [M+1] ⁺ : 599	A
I_309	Ila_307	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(phenylphenylacetylamino)propoxy]-phenyl}propionic acid	rt: 8.619 MS [M+1] ⁺ : 613	A
I_310	Ila_308	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)phenylamino]ethoxy}phenyl)propionic acid	rt: 7.98 MS [M+1] ⁺ : 549	A
I_311	Ila_309	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)phenylamino]propoxy}phenyl)propionic acid	rt: 8.146 MS [M+1] ⁺ : 563	A
I_312	Ila_310	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-phenylpropynoyl)amino]ethoxy}phenyl)propionic acid	rt: 8.511 MS [M+1] ⁺ : 609	A
I_313	Ila_311	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-ethylbutyryl)phenylamino]ethoxy}phenyl)propionic acid	rt: 8.759 MS [M+1] ⁺ : 579	A
I_314	Ila_312	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-ethylbutyryl)phenylamino]propoxy}phenyl)propionic acid	rt: 8.917 MS [M+1] ⁺ : 593	A
I_315	Ila_313	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-furan-2-ylacryloyl)phenylamino]ethoxy}phenyl)propionic acid	rt: 8.259 MS [M+1] ⁺ : 601	A
I_316	Ila_314	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-furan-2-ylacryloyl)phenylamino]propoxy}phenyl)propionic acid	rt: 8.422 MS [M+1] ⁺ : 615	A
I_317	Ila_315	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-thiophen-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.521 MS [M+1] ⁺ : 617	A
I_318	Ila_316	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-thiophen-2-ylacryloyl)-amino]propoxy}phenyl)propionic acid	rt: 8.677 MS [M+1] ⁺ : 631	A
I_319	Ila_317	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-furan-3-yl-(E)-acryloyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 8.191 MS [M+1] ⁺ : 601	A
I_320	Ila_318	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-furan-3-yl-(E)-acryloyl)-phenylamino]propoxy}phenyl)-propionic acid	rt: 8.348 MS [M+1] ⁺ : 615	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_321	Ila_319	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-pyridin-3-yl-(E)-acryloyl)-amino]ethoxy}phenyl)propionic acid	rt: 7.217 MS [M+1] ⁺ : 612	A
I_322	Ila_320	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-pyridin-3-yl-(E)-acryloyl)-amino]propoxy}phenyl)propionic acid	rt: 7.417 MS [M+1] ⁺ : 626	A
I_323	Ila_321	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-thiophen-3-yl-(E)-acryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.471 MS [M+1] ⁺ : 617	A
I_324	Ila_322	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-thiophen-3-yl-(E)-acryloyl)amino]propoxy}phenyl)-propionic acid	rt: 8.616 MS [M+1] ⁺ : 631	A
I_325	Ila_323	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(but-2-(E)-enoylphenylamino)-propoxy]phenyl}propionic acid	rt: 8.213 MS [M+1] ⁺ : 563	A
I_326	Ila_324	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(2-thiophen-2-ylacetyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.348 MS [M+1] ⁺ : _	A
I_327	Ila_325	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(2-thiophen-2-ylacetyl)-amino]propoxy}phenyl)propionic acid	rt: 8.506 MS [M+1] ⁺ : 619	A
I_328	Ila_326	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(2-thiophen-3-ylacetyl)-amino]propoxy}phenyl)propionic acid	rt: 8.478 MS [M+1] ⁺ : 619	A
I_329	Ila_327	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)phenylamino]-ethoxy}phenyl)propionic acid	rt: 8.882 MS [M+1] ⁺ : 579	A
I_330	Ila_328	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3,3-dimethylbutyryl)phenylamino]-propoxy}phenyl)propionic acid	rt: 9.037 MS [M+1] ⁺ : 593	A
I_331	Ila_329	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methylbutyryl)phenylamino]-ethoxy}phenyl)propionic acid	rt: 8.503 MS [M+1] ⁺ : 565	A
I_332	Ila_330	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methylbutyryl)phenylamino]-propoxy}phenyl)propionic acid	rt: 8.663 MS [M+1] ⁺ : 579	A
I_333	Ila_331	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-pyridin-3-ylpropionyl)-amino]ethoxy}phenyl)propionic acid	rt: 6.373 MS [M+1] ⁺ : 614	A
I_334	Ila_332	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-pyridin-3-ylpropionyl)-amino]propoxy}phenyl)propionic acid	rt: 6.561 MS [M+1] ⁺ : 628	A
I_335	Ila_333	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(pent-4-enoylphenylamino)ethoxy]-phenyl}propionic acid	rt: 8.317 MS [M+1] ⁺ : 563	A
I_336	Ila_334	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(pent-4-enoylphenylamino)propoxy]-phenyl}propionic acid	rt: 8.482 MS [M+1] ⁺ : 577	A
I_337	Ila_335	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-phenylpropionyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.631 MS [M+1] ⁺ : 613	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_338	Ila_336	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-phenylpropionyl)amino]-propoxy}phenyl)propionic acid	rt: 8.791 MS [M+1] ⁺ : 627	A
I_339	Ila_337	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylphenylamino)-ethoxy]phenyl}propionic acid	rt: 8.432 MS [M+1] ⁺ : 563	A
I_340	Ila_338	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutanecarbonylphenylamino)-propoxy]phenyl}propionic acid	rt: 8.594 MS [M+1] ⁺ : 577	A
I_341	Ila_339	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclohexanecarbonylphenylamino)-ethoxy]phenyl}propionic acid	rt: _ MS [M+1] ⁺ : _	A
I_342	Ila_340	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclohexanecarbonylphenylamino)-propoxy]phenyl}propionic acid	rt: 8.986 MS [M+1] ⁺ : 605	A
I_343	Ila_341	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-cyclohexylpropionyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 9.466 MS [M+1] ⁺ : 619	A
I_344	Ila_342	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-cyclohexylpropionyl)-phenylamino]propoxy}phenyl)-propionic acid	rt: 9.587 MS [M+1] ⁺ : 633	A
I_345	Ila_343	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-cyclohexylacetyl)phenylamino]-ethoxy}phenyl)propionic	rt: 9.175 MS [M+1] ⁺ : 605	A
I_346	Ila_344	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-cyclohexylacetyl)phenylamino]-propoxy}phenyl)propionic acid	rt: 9.316 MS [M+1] ⁺ : 619	A
I_347	Ila_345	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentanecarbonylphenylamino)-ethoxy]phenyl}propionic acid	rt: 8.68 MS [M+1] ⁺ : 577	A
I_348	Ila_346	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopentanecarbonylphenylamino)-propoxy]phenyl}propionic acid	rt: 8.839 MS [M+1] ⁺ : 591	A
I_349	Ila_347	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-cyclopentylpropionyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 9.207 MS [M+1] ⁺ : 605	A
I_350	Ila_348	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-cyclopentylpropionyl)-phenylamino]propoxy}phenyl)-propionic acid	rt: 9.339 MS [M+1] ⁺ : 619	A
I_351	Ila_349	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropanecarbonylphenylamino)-ethoxy]phenyl}propionic acid	rt: 8.11 MS [M+1] ⁺ : 549	A
I_352	Ila_350	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopropanecarbonylphenylamino)-propoxy]phenyl}propionic acid	rt: 8.284 MS [M+1] ⁺ : 563	A
I_353	Ila_351	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(phenylpropionylamino)ethoxy]-phenyl}propionic acid	rt: 7.965 MS [M+1] ⁺ : 537	A
I_354	Ila_352	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(phenylpropionylamino)propoxy]-phenyl}propionic acid	rt: 8.138 MS [M+1] ⁺ : 551	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_355	Ila_353	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyrylphenylamino)ethoxy]-phenyl}propionic acid	rt: 8.246 MS [M+1] ⁺ : 551	A
I_356	Ila_354	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyrylphenylamino)propoxy]-phenyl}propionic acid	rt: 8.411 MS [M+1] ⁺ : 656	A
I_357	Ila_355	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(hexanoylphenylamino)ethoxy]-phenyl}propionic acid	rt: 8.850 MS [M+1] ⁺ : 579	A
I_358	Ila_356	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(hexanoylphenylamino)propoxy]-phenyl}propionic acid	rt: 8.996 MS [M+1] ⁺ : 593	A
I_359	Ila_357	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(pentanoylphenylamino)ethoxy]-phenyl}propionic acid	rt: 8.535 MS [M+1] ⁺ : 565	A
I_360	Ila_358	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(pentanoylphenylamino)propoxy]-phenyl}propionic acid	rt: 8.691 MS [M+1] ⁺ : 579	A
I_361	Ila_359	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(octanoylphenylamino)ethoxy]phenyl}propionic acid	rt: 9.465 MS [M+1] ⁺ : 607	A
I_362	Ila_360	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(octanoylphenylamino)propoxy]-phenyl}propionic acid	rt: 9.587 MS [M+1] ⁺ : 621	A
I_363	Ila_361	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(heptanoylphenylamino)ethoxy]-phenyl}propionic acid	rt: 9.168 MS [M+1] ⁺ : 593	A
I_364	Ila_362	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(heptanoylphenylamino)propoxy]-phenyl}propionic acid	rt: 9.302 MS [M+1] ⁺ : 607	A
I_365	Ila_363	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(nonanoylphenylamino)ethoxy]-phenyl}propionic acid	rt: 9.736 MS [M+1] ⁺ : 621	A
I_366	Ila_364	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(nonanoylphenylamino)propoxy]-phenyl}propionic acid	rt: 9.85 MS [M+1] ⁺ : 635	A
I_367	Ila_365	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyrylphenylamino)ethoxy]phenyl}propionic acid	rt: 8.259 MS [M+1] ⁺ : 551	A
I_368	Ila_366	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(butyrylphenylamino)propoxy]phenyl}propionic acid	rt: 8.426 MS [M+1] ⁺ : 565	A
I_369	Ila_367	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoylphenylamino)ethoxy]phenyl}propionic acid	rt: 8.172 MS [M+1] ⁺ : 585	A
I_370	Ila_368	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzoylphenylamino)propoxy]-phenyl}propionic acid	rt: 8.348 MS [M+1] ⁺ : 599	A
I_371	Ila_369	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl-(3-phenylacryloyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.647 MS [M+1] ⁺ : 611	A
I_372	Ila_370	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[phenyl-(3-phenylacryloyl)amino]-propoxy}phenyl)propionic acid	rt: 8.81 MS [M+1] ⁺ : 625	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_373	IIa_371	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 8.661 MS [M+1] ⁺ : 565	A
I_374	IIa_372	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-phenylamino]propoxy}phenyl)-propionic acid	rt: 8.79 MS [M+1] ⁺ : 579	A
I_375	IIa_373	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(<i>tert</i> -butylcyclobutanecarbonylamino)-ethoxy]phenyl}propionic acid	rt: 8.443 MS [M+1] ⁺ : 543	A
I_376	IIa_374	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[<i>tert</i> -butyl-(3-cyclopentylpropionyl)-amino]ethoxy}phenyl)propionic acid	rt: 9.194 MS [M+1] ⁺ : 585	A
I_377	II_1	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylindan-5-ylamino)ethoxy]-phenyl}propionic acid	8.98 (bs, 1H), 7.56 (d, 2H), 7.45-7.37 (ca, 5H), 7.27-7.19 (ca, 8H), 7.01 (t, 1H), 6.71-6.65 (ca, 3H), 6.54-6.41 (ca, 2H), 4.60 (s, 2H), 4.27 (m, 1H), 4.07 (t, 2H), 3.76 (t, 2H), 3.27 (dd, 1H), 3.08 (m, 1H), 2.80 (ca, 4H), 2.01 (ca, 2H)	A
I_378	II_2	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl(2,6-difluorophenyl)amino]-ethoxy}phenyl)propionic acid	rt: 9.342 MS [M+1] ⁺ : 607	A
I_379	II_3	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-(2-fluorobenzyl)-amino]ethoxy}phenyl)propionic acid	rt: 9.516 MS [M+1] ⁺ : 624	A
I_380	II_4	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(2-fluorophenyl)amino]-ethoxy}phenyl)propionic acid	8.98 (bs, 1H), 7.56 (d, 2H), 7.46-7.37 (ca, 5H), 7.32-7.18 (m, 9H), 6.98-6.91 (ca, 2H), 6.70-6.65 (ca, 3H), 6.44 (t, 1H), 4.46 (s, 2H), 4.27 (m, 1H), 3.99 (t, 2H), 3.56 (t, 2H), 3.27 (m, 1H), 3.08 (m, 1H)	A
I_381	II_5	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylbenzyl)phenylamino]-ethoxy}phenyl)propionic acid	8.88 (bs, 1H), 7.57 (d, 2H), 7.55-7.32 (ca, 6H), 7.24-7.09 (ca, 8H), 6.75-6.64 (ca, 5H), 6.58 (t, 1H), 4.55 (s, 2H), 4.33 (m, 1H), 4.11 (t, 2H), 3.80 (t, 2H), 3.25 (m, 1H), 3.09 (m, 1H), 2.34 (s, 3H)	A
I_382	II_6	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(2-methoxybenzyl)amino]ethoxy}phenyl)-propionic acid	rt: 9.546 MS [M+1] ⁺ : 636	A
I_383	II_7	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxybenzyl)- <i>m</i> -tolylamino]-ethoxy}phenyl)propionic acid	rt: 9.461 MS [M+1] ⁺ : 615	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_384	II_8	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methoxybenzyl)phenylamino]propoxy}phenyl)propionic acid	rt: 9.292 MS [M+1] ⁺ : 615	A
I_385	II_9	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzyl- <i>o</i> -tolylamino)ethoxy]phenyl}propionic acid	rt: 9.523 MS [M+1] ⁺ : 585	A
I_386	II_10	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-ethylphenyl)amino]ethoxy}phenyl)propionic acid	8.98 (bs, 1H), 7.56 (d, 2H), 7.45-7.37 (ca, 5H), 7.28-7.20 (m, 9H), 7.08 (t, 1H), 6.70 (d, 2H), 6.58-6.52 (ca, 2H), 6.43 (t, 1H), 4.62 (s, 2H), 4.27 (m, 1H), 4.09 (t, 2H), 3.78 (t, 2H), 3.27 (m, 1H), 3.08 (m, 1H), 2.53 (q, 2H), 1.14 (t, 3H)	A
I_387	II_11	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-fluorophenyl)amino]ethoxy}phenyl)propionic acid	8.98 (bs, 1H), 7.56 (d, 2H), 7.46-7.37 (ca, 5H), 7.31-7.17 (ca, 8H), 7.08 (m, 1H), 6.70 (ca, 3H), 6.48-6.32 (ca, 3H), 4.62 (s, 2H), 4.27 (m, 1H), 4.08 (t, 2H), 3.78 (t, 2H), 3.27 (dd, 1H), 3.08 (m, 1H)	A
I_388	II_12	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-(3-methoxybenzyl)amino]ethoxy}phenyl)propionic acid	rt: 9.428 MS [M+1] ⁺ : 636	A
I_389	II_13	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-(3-methoxybenzyl)amino]ethoxy}phenyl)propionic acid	rt: 9.375 MS [M+1] ⁺ : 636	A
I_390	II_14	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxybenzyl)- <i>m</i> -tolylamino]ethoxy}phenyl)propionic acid	rt: 9.354 MS [M+1] ⁺ : 615	A
I_391	II_15	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methoxybenzyl)phenylamino]propoxy}phenyl)propionic acid	rt: 9.259 MS [M+1] ⁺ : 615	A
I_392	II_16	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzyl- <i>m</i> -tolylamino)ethoxy]phenyl}propionic acid	8.98 (bs, 1H), 7.56 (d, 2H), 7.45-7.37 (ca, 5H), 7.27-7.19 (ca, 7H), 7.05 (t, 1H), 6.71-6.68 (ca, 3H), 6.56-6.42 (ca, 4H), 4.61 (s, 2H), 4.28 (m, 1H), 4.07 (t, 2H), 3.77 (t, 2H), 3.26 (dd, 1H), 3.06 (m, 1H), 2.25 (s, 3H)	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_393	II_17	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(4-chlorophenyl)amino]ethoxy}phenyl)propionic acid	8.98 (bs, 1H), 7.56 (d, 2H), 7.47-7.39 (ca, 5H), 7.30-7.16 (ca, 8H), 7.08 (d, 2H), 6.72-6.61 (ca, 4H), 6.44 (t, 1H), 4.60A (s, 2H), 4.27 (m, 1H), 4.07 (t, 2H), 3.77 (t, 2H), 3.26 (dd, 1H), 3.08 (m, 1H)	
I_394	II_18	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(4-fluorophenyl)amino]ethoxy}phenyl)propionic acid	8.98 (bs, 1H), 7.56 (d, 2H), 7.46-7.37 (ca, 5H), 7.32-7.19 (ca, 8H), 6.89-6.84 (ca, 2H), 6.72-6.61 (ca, 4H), 6.44A (t, 1H), 4.57 (s, 2H), 4.28 (m, 1H), 4.06 (t, 2H), 3.75 (t, 2H), 3.27 (dd, 1H), 3.08 (m, 1H)	
I_395	II_19	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-methylbenzyl)phenylamino]ethoxy}phenyl)propionic acid	8.96 (bs, 1H), 7.55 (d, 2H), 7.46-7.37 (ca, 5H), 7.24-7.09 (m, 9H), 6.72-6.64 (ca, 5H), 6.45 (t, 1H), 4.58 (s, 2H), 4.27A (m, 1H), 4.06 (t, 2H), 3.77 (t, 2H), 3.27 (dd, 1H), 3.08 (m, 1H), 2.30 (s, 3H)	
I_396	II_20	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-methoxybenzyl)phenylamino]propoxy}phenyl)propionic acid	rt: 9.178 MS [M+1] ⁺ : 615	A
I_397	II_21	(S)-2-(Benzoylphenylamino)-3-{4-[2-(di- <i>p</i> -tolylamino)ethoxy]phenyl}-propionic acid	8.96 (bs, 1H), 7.53 (d, 2H), 7.48-7.35 (ca, 5H), 7.22-7.15 (m, 9H), 7.03 (d, 1H), 6.89 (d, 1H), 6.69-6.65 (ca, 3H), 6.43A (t, 1H), 4.23 (m, 1H), 4.02 (bs, 4H), 3.25 (m, 1H), 3.05 (m, 1H), 2.27 (s, 6H). .	
I_398	II_22	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzyl- <i>p</i> -tolylamino)ethoxy]phenyl}-propionic acid	8.83 (bs, 1H), 7.50-6.93 (ca, 17H), 6.60-6.55 (ca, 4H), 6.34 (t, 1H), 4.53 (s, 2H), 4.21 (m, 1H), 3.93 (t, 2H), 3.62 (t, 2H), 3.17 (dd, 1H), 2.98 (m, 1H), 2.19 (s, 3H)	A
I_399	II_23	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylindan-5-ylamino)propoxy]phenyl}propionic acid	rt: 9.736 MS [M+1] ⁺ : 625	A
I_400	II_24	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-ethylphenyl)amino]propoxy}phenyl)propionic acid	rt: 9.747 MS [M+1] ⁺ : 613	A
I_401	II_25	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzyl- <i>m</i> -tolylamino)propoxy]phenyl}propionic acid	rt: 9.549 MS [M+1] ⁺ : 599	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_402	II_26	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzyl- <i>p</i> -tolylamino)propoxy]phenyl}-propionic acid	rt: 9.526 MS [M+1] ⁺ : 599	A
I_403	II_27	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclohexylamino)propoxy]phenyl}propionic acid methyl ester	rt: 6.67 MS [M+1] ⁺ : 591	A
I_404	II_28	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylphenylamino)propoxy]phenyl}-propionic acid	8.92 (bs, 1H), 7.56 (d, 2H), 7.46-7.37 (ca, 5H), 7.30-7.10 (ca, 10H), 6.76-6.61 (ca, 5H), 6.44 (t, 1H), 4.53 (s, 2H), 4.28 (m, 1H), 3.92 (t, 2H), 3.62 (t, 2H), 3.22 (dd, 1H), 3.10 (m, 1H), 2.09-1.98 (ca, 2H)	A
I_405	II_29	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)isobutylamino]ethoxy}phenyl)propionic acid	rt: 9.565 MS [M+1] ⁺ : 555	A
I_406	II_30	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyl- <i>o</i> -tolylamino)ethoxy]phenyl}-propionic acid	rt: 9.729 MS [M+1] ⁺ : 551	A
I_407	II_31	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(isobutyl- <i>o</i> -tolylamino)propoxy]phenyl}propionic acid	rt: 9.443 MS [M+1] ⁺ : 565	A
I_408	II_32	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)isobutylamino]ethoxy}phenyl)propionic acid	rt: 9.445 MS [M+1] ⁺ : 555	A
I_409	II_33	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyl- <i>m</i> -tolylamino]ethoxy}phenyl)propionic acid	rt: 9.65 MS [M+1] ⁺ : 551	A
I_410	II_34	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[isobutyl- <i>m</i> -tolylamino]propoxy}phenyl)propionic acid	rt: 9.573 MS [M+1] ⁺ : 565	A
I_411	II_35	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylphenethylamino)ethoxy]phenyl}propionic acid	8.99 (bs, 1H), 7.57 (d, 2H), 7.46-7.36 (ca, 4H), 7.32-7.21 (ca, 11H), 7.13 (d, 2H), 6.73-6.69 (ca, 3H), 6.44 (t, 1H), 4.23 (m, 1H), 3.94 (t, 2H), 3.76 (s, 2H), 3.27 (m, 1H), 3.07 (m, 1H), 2.93 (t, 2H), 2.81 (bs, 4H)	A
I_412	II_36	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutylmethyl- <i>o</i> -tolylamino)ethoxy]phenyl}propionic acid	rt: 9.089 MS [M+1] ⁺ : 563	A
I_413	II_37	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclopentylamino)ethoxy]phenyl}propionic acid	rt: 6.418 MS [M+1] ⁺ : 563	A
I_414	II_38	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclopentylphenylamino)propoxy]phenyl}propionic acid	rt: 6.97 MS [M+1] ⁺ : 563	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_415	II_39	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopentylmethyl-(2-fluorophenyl)amino]ethoxy}phenyl)-propionic acid	rt: 9.937 MS [M+1] ⁺ : 581	A
I_416	II_40	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentylmethylphenylamino)-ethoxy]phenyl}propionic acid	8.84 (bs, 1H), 7.57-7.41 (ca, 7H), 7.22-7.16 (ca, 4H), 6.75-6.55 (ca, 7H), 4.31 (ca, 3H), 3.98 (t, 1H), 3.71 (t, 2H), 3.30-3.20 (ca, 3H), 3.09 (m, 1H), 2.29 (m, 1H), 1.75-1.54 (ca, 8H)	A
I_417	II_41	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylcyclopropylmethylamino)-ethoxy]phenyl}propionic acid	rt: 6.432 MS [M+1] ⁺ : 549	A
I_418	II_42	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(benzylcyclopropylmethylamino)-propoxy]phenyl}propionic acid	rt: 6.47 MS [M+1] ⁺ : 563	A
I_419	II_43	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxybenzyl)phenylamino]-ethoxy}phenyl)propionic acid	8.98 (bs, 1H), 7.57 (d, 2H), 7.48-7.39 (ca, 5H), 7.27-7.13 (ca, 6H), 7.05 (d, 1H), 6.88-6.80 (ca, 2H), 6.73-6.60 (ca, 5H), 6.44 (t, 1H), 4.59 (s, 2H), 4.27 (m, 1H), 4.10 (t, 2H), 3.85 (s, 3H), 3.79 (t, 2H), 3.27 (dd, 1H), 3.08 (m, 1H)	A
I_420	II_44	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxybenzyl)phenylamino]-ethoxy}phenyl)propionic acid	8.98 (bs, 1H), 7.57 (d, 2H), 7.48-7.39 (ca, 5H), 7.27-7.13 (ca, 6H), 6.85-6.62 (ca, 8H), 6.44 (t, 1H), 4.60 (s, 2H), 4.27 (m, 1H), 4.10 (t, 2H), 3.85 (s, 3H), 3.79 (t, 2H), 3.27 (m, 1H), 3.08 (m, 1H)	A
I_421	II_45	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxyphenyl)phenylamino]-ethoxy}phenyl)propionic acid	8.97 (bs, 1H), 7.55-7.35 (ca, 6H), 7.28-6.95 (ca, 11H), 6.68-6.40 (ca, 5H), 4.23 (m, 1H), 4.05A (bs, 4H), 3.71 (s, 3H), 3.25 (m, 1H), 3.05 (m, 1H)	A
I_422	II_46	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-methoxybenzyl)phenylamino]-ethoxy}phenyl)propionic acid	8.98 (bs, 1H), 7.57 (d, 2H), 7.48-7.39 (ca, 5H), 7.27-7.13 (ca, 7H), 6.85 (d, 2H), 6.75-6.60 (ca, 5H), 6.42 (t, 1H), 4.59A (s, 2H), 4.27 (m, 1H), 4.10 (t, 2H), 3.79 (ca, 2H), 3.76 (s, 3H), 3.27 (m, 1H), 3.08 (m, 1H)	A
I_423	II_47	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4- <i>tert</i> -butylbenzyl)phenylamino]-ethoxy}phenyl)propionic acid	rt: 9.939 MS [M+1] ⁺ : 627	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_424	II_48	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylphenylamino)ethoxy]phenyl}-propionic acid	8.70 (d, 1H), 7.60-7.40 (ca, 4H), 7.40-7.10 (m, 9H), 7.10-7.00 (ca, 4H), 6.80-6.60 (ca, 4H), 7.60-7.45 (ca, 2H), 4.60 (s, 2H), 4.31 (bs, 1H), 4.07 (t, 2H), 3.77 (t, 2H), 3.13 (dd, 1H), 2.96 (dd, 1H).	A
I_425	II_49	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutylmethylphenylamino)-ethoxy]phenyl}propionic acid	8.98 (d, 1H), 7.56 (d, 2H), 7.46-7.38 (ca, 4H), 7.21-7.15 (ca, 5H), 6.73-6.63 (ca, 6H), 6.45 (t, 1H), 4.27 (m, 1H), 3.97 (t, 2H), 3.68 (t, 2H), 3.37 (d, 2H), 3.26 (dd, 1H), 2.68 (m, 1H), 2.03-1.70 (ca, 6H)	A
I_426	II_50	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclohexylphenylamino)ethoxy]-phenyl}propionic acid	rt: 9.029 MS [M+1] ⁺ : 563	A
I_427	II_51	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclohexylmethylphenylamino)-ethoxy]phenyl}propionic acid	8.98 (d, 1H), 7.57 (d, 2H), 7.46-7.38 (ca, 4H), 7.21-7.15 (ca, 6H), 6.67-6.63 (ca, 5H), 6.44 (t, 1H), 4.27 (m, 1H), 3.98 (t, 2H), 3.70 (t, 2H), 3.26 (dd, 1H), 3.17 (d, 2H), 3.06 (m, 1H), 1.80-1.50 (ca, 11H)	A
I_428	II_52	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopentylphenylamino)ethoxy]-phenyl}propionic acid	rt: 7.669 MS [M+1] ⁺ : 549	A
I_429	II_53	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropylmethylphenylamino)-ethoxy]phenyl}propionic acid	8.98 (bs, 1H), 7.56 (d, 2H), 7.44-7.40 (ca, 4H), 7.23-7.18 (ca, 6H), 6.78-6.66 (ca, 5H), 6.44 (t, 1H), 4.27 (m, 1H), 4.04 (t, 2H), 3.76 (t, 2H), 3.29-3.24 (ca, 3H), 3.06 (m, 1H), 1.00-0.80 (m, 1H), 0.54-0.40 (ca, 2H), 0.26-0.21 (ca, 2H)	A
I_430	II_54	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(diphenylamino)ethoxy]phenyl}-propionic acid	8.62 (d, 1H), 7.60-7.44 (ca, 4H), 7.38 (t, 1H), 7.32 (dd, 2H), 7.24 (td, 4H), 7.07 (d, 2H), 6.99 (d, 4H), 6.91 (t, 2H), 6.82 (d, 1H), 6.73 (d, 2H), 6.57 (t, 1H), 4.50 (m, 1H), 4.05 (s, 4H), 3.25-2.95 (ca, 2H).	A
I_431	IIa_375	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)naphthalen-1-ylamino]ethoxy}phenyl)propionic acid	rt: 8.502 MS [M+1] ⁺ : 599	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_432	Ila_376	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(but-2-(<i>E</i>)-enoylnaphthalen-1-ylamino)ethoxy]phenyl}propionic acid	rt: 8.432 MS [M+1] ⁺ : 599	A
I_433	Ila_377	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropanecarbonylnaphthalen-1-ylamino)ethoxy]phenyl}propionic acid	rt: 8.485 MS [M+1] ⁺ : 587	A
I_434	Ila_378	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(naphthalen-1-ylpropionylamino)-ethoxy]phenyl}propionic acid	rt: 8.563 MS [M+1] ⁺ : 599	A
I_435	Ila_379	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)naphthalen-2-ylamino]ethoxy}phenyl)propionic acid	rt: 8.599 MS [M+1] ⁺ : 599	A
I_436	Ila_380	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(but-2-(<i>E</i>)-enoylnaphthalen-2-ylamino)ethoxy]phenyl}propionic acid	rt: 8.491 MS [M+1] ⁺ : 599	A
I_437	Ila_381	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(naphthalen-2-ylpropionylamino)-ethoxy]phenyl}propionic acid	rt: 8.494 MS [M+1] ⁺ : 587	A
I_438	Ila_382	(S)-3-{4-[2-(Acetylnaphthalen-2-ylamino)ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.099 MS [M+1] ⁺ : 573	A
I_439	Ila_383	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)-(3-methylsulfanylphenyl)amino]ethoxy}-phenyl)propionic acid	rt: 8.343 MS [M+1] ⁺ : 595	A
I_440	Ila_384	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(3-methylsulfanylphenyl)amino]ethoxy}-phenyl)propionic acid	rt: 8.261 MS [M+1] ⁺ : 595	A
I_441	Ila_385	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-methylsulfanylphenyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.51 MS [M+1] ⁺ : 597	A
I_442	Ila_386	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methylacryloyl)-(4-methylsulfanylphenyl)amino]ethoxy}-phenyl)propionic acid	rt: 8.36 MS [M+1] ⁺ : 595	A
I_443	Ila_387	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(<i>E</i>)-enoyl-(4-methylsulfanylphenyl)amino]ethoxy}-phenyl)propionic acid	rt: 8.262 MS [M+1] ⁺ : 595	A
I_444	Ila_388	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(4-methylsulfanylphenyl)amino]ethoxy}-phenyl)propionic acid	rt: 8.519 MS [M+1] ⁺ : 597	A
I_445	Ila_389	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(4-methylsulfanylphenyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.522 MS [M+1] ⁺ : 597	A
I_446	Ila_390	(S)-3-{4-[2-(Acetylnaphthalen-1-ylamino)ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.599 MS [M+1] ⁺ : _	A
I_447	Ila_391	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclopropanecarbonylnaphthalen-2-ylamino)ethoxy]phenyl}propionic acid	rt: 8.627 MS [M+1] ⁺ : _	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_448	IIa_392	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(2-fluorophenyl)amino]propoxy}phenyl)propionic acid	rt: 8.464 MS [M+1] ⁺ : 583	A
I_449	IIa_393	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutanecarbonyl-(2-fluorophenyl)amino]propoxy}phenyl)propionic acid	rt: 8.603 MS [M+1] ⁺ : 595	A
I_450	IIa_394	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(2-fluorophenyl)amino]propoxy}phenyl)propionic acid	rt: 8.269 MS [M+1] ⁺ : 581	A
I_451	IIa_395	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid	rt: 8.451 MS [M+1] ⁺ : 583	A
I_452	II_55	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclohexylmethyl-(2-fluorophenyl)amino]ethoxy}phenyl)propionic acid	rt: 10.216 MS [M+1] ⁺ : 595	A
I_453	II_56	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-chlorophenyl)-cyclopentylmethylamino]ethoxy}phenyl)propionic acid	rt: 10.146 MS [M+1] ⁺ : 597, 599	A
I_454	II_57	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutylmethyl-(3-fluorophenyl)amino]propoxy}phenyl)propionic acid	rt: 9.757 MS [M+1] ⁺ : 581	A
I_455	II_58	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutylmethyl- <i>m</i> -tolylamino)propoxy]phenyl}propionic acid	rt: 8.973 MS [M+1] ⁺ : 577	A
I_456	II_59	(R)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzylphenylamino)ethoxy]phenyl}propionic acid	rt: 9.268 MS [M+1] ⁺ : 571	A
I_457	II_60	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-chlorophenyl)-cyclopentylmethylamino]ethoxy}phenyl)propionic acid	rt: 10.276 MS [M+1] ⁺ : 597, 599	A
I_458	II_61	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-fluorophenyl)thiophen-2-ylmethylamino]ethoxy}phenyl)propionic acid	rt: 9.166 MS [M+1] ⁺ : 595	A
I_459	II_62	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)isobutylamino]propoxy}phenyl)propionic acid	rt: 9.755 MS [M+1] ⁺ : 569	A
I_460	II_63	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopentylmethyl-(2-fluorophenyl)amino]propoxy}phenyl)propionic acid	rt: 10.09 MS [M+1] ⁺ : 595	A
I_461	II_64	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(cyclobutylmethyl- <i>o</i> -tolylamino)propoxy]phenyl}propionic acid	rt: 8.508 MS [M+1] ⁺ : 577	A
I_462	II_65	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-fluorophenyl)thiophen-2-ylmethylamino]ethoxy}phenyl)propionic acid	rt: 9.089 MS [M+1] ⁺ : 595	A
I_463	II_66	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(thiophen-2-ylmethyl- <i>m</i> -tolylamino)ethoxy]phenyl}propionic acid	rt: 9.325 MS [M+1] ⁺ : 591	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_464	II_67	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(thiophen-3-ylmethyl- <i>m</i> -tolylamino)-propoxy]phenyl}propionic acid methyl ester	rt: 9.412 MS [M+1] ⁺ : 605	A
I_465	II_68	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(furan-2-ylmethyl- <i>m</i> -tolylamino)-propoxy]phenyl}propionic acid	rt: 9.242 MS [M+1] ⁺ : 589	A
I_466	II_69	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(4-fluorophenyl)thiophen-2-ylmethylamino]ethoxy}phenyl)-propionic acid	rt: 9.077 MS [M+1] ⁺ : 595	A
I_467	II_70	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(phenylthiophen-2-ylmethylamino)-ethoxy]phenyl}propionic acid	rt: 9.11 MS [M+1] ⁺ : 577	A
I_468	II_71	(S)-2-(2-Benzoylphenylamino)-3-{4-[3-(phenylthiophen-2-ylmethylamino)-propoxy]phenyl}propionic acid	rt: 9.251 MS [M+1] ⁺ : 591	A
I_469	IIa_396	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[benzyl-(3-methoxypropionyl)-amino]ethoxy}phenyl)propionic acid	rt: 7.751 MS [M+1] ⁺ : 581	A
I_470	IIa_397	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[benzyl-(3-methoxypropionyl)-amino]propoxy}phenyl)propionic acid	rt: 7.849 MS [M+1] ⁺ : 595	A
I_471	IIa_398	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxypropionyl)-phenylamino]ethoxy}phenyl)propionic acid	rt: 7.665 MS [M+1] ⁺ : 567	A
I_472	IIa_399	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methoxypropionyl)-phenylamino]propoxy}phenyl)-propionic acid	rt: 7.85 MS [M+1] ⁺ : 581	A
I_473	IIa_400	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)propionylamino]-propoxy}phenyl)propionic acid	rt: 8.169 MS [M+1] ⁺ : 569	A
I_474	IIa_401	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)pent-4-enoylamino]propoxy}phenyl)propionic acid	rt: 8.514 MS [M+1] ⁺ : 595	A
I_475	IIa_402	(S)-3-(4-{3-[Acryloyl-(2-fluorophenyl)-amino]propoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid	rt: 8.046 MS [M+1] ⁺ : 567	A
I_476	IIa_403	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(<i>E</i>)-enoyl-(2-fluorophenyl)-amino]propoxy}phenyl)propionic acid	rt: 8.208 MS [M+1] ⁺ : 581	A
I_477	IIa_404	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)-(3-methylbutyryl)-amino]propoxy}phenyl)propionic acid	rt: 8.701 MS [M+1] ⁺ : 597	A
I_478	IIa_405	(<i>R</i>)-2-(2-Benzoylphenylamino)-3-(4-{2-[phenyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.24 MS [M+1] ⁺ : 579	A
I_479	IIa_406	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid	rt: 8.243 MS [M+1] ⁺ : 581	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_480	Ila_407	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid	rt: 8.232 MS [M+1] ⁺ : 581	A
I_481	Ila_408	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(E)-enoyl-(2-methoxyphenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.038 MS [M+1] ⁺ : 579	A
I_482	Ila_409	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[but-2-(E)-enoyl-(3-methoxyphenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.053 MS [M+1] ⁺ : 579	A
I_483	Ila_410	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxyphenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid	rt: 8.541 MS [M+1] ⁺ : 595	A
I_484	Ila_411	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)-(3-methylbutyryl)amino]propoxy}phenyl)propionic acid	rt: 8.701 MS [M+1] ⁺ : 597	A
I_485	Ila_412	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxyphenyl)-(3-methylbutyryl)amino]ethoxy}phenyl)-propionic acid	rt: 8.479 MS [M+1] ⁺ : 595	A
I_486	Ila_413	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)pent-4-enoylamino]propoxy}phenyl)propionic acid	rt: 8.513 MS [M+1] ⁺ : 595	A
I_487	Ila_414	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutanecarbonyl-(3-fluorophenyl)amino]propoxy}phenyl)-propionic acid	rt: 8.596 MS [M+1] ⁺ : 595	A
I_488	Ila_415	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclobutanecarbonyl-(4-fluorophenyl)amino]propoxy}phenyl)-propionic acid	rt: 8.583 MS [M+1] ⁺ : 595	A
I_489	Ila_416	(R)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylphenylamino)-ethoxy]phenyl}propionic acid	rt: 8.416 MS [M+1] ⁺ : 563	A
I_490	Ila_417	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(2-methoxyphenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.082 MS [M+1] ⁺ : 579	A
I_491	Ila_418	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(3-fluorophenyl)amino]propoxy}phenyl)-propionic acid	rt: 8.313 MS [M+1] ⁺ : 581	A
I_492	Ila_419	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(4-fluorophenyl)amino]propoxy}phenyl)-propionic acid	rt: 8.293 MS [M+1] ⁺ : 581	A
I_493	Ila_420	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxyphenyl)-propionylamino]ethoxy}phenyl)-propionic acid	rt: 7.996 MS [M+1] ⁺ : 567	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_494	Ila_421	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)propionylamino]propoxy}phenyl)propionic acid	rt: 8.175 MS [M+1] ⁺ : 569	A
I_495	Ila_422	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxyphenyl)propionylamino]ethoxy}phenyl)-propionic acid	rt: 7.947 MS [M+1] ⁺ : 567	A
I_496	Ila_423	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-fluorophenyl)propionylamino]propoxy}phenyl)propionic acid	rt: 8.16 MS [M+1] ⁺ : 569	A
I_497	Ila_424	(R)-2-(2-Benzoylphenylamino)-3-(4-{2-(phenylpropionylamino)ethoxy}phenyl)propionic acid	rt: 7.952 MS [M+1] ⁺ : 593	A
I_498	Ila_425	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid	rt: 8.434 MS [M+1] ⁺ : 583	A
I_499	Ila_426	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(3-methoxyphenyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.218 MS [M+1] ⁺ : 581	A
I_500	Ila_427	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(4-fluorophenyl)isobutyrylamino]propoxy}phenyl)propionic acid	rt: 8.424 MS [M+1] ⁺ : 583	A
I_501	Ila_428	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-fluorophenyl)pentanoylamino]propoxy}phenyl)propionic acid	rt: 8.73 MS [M+1] ⁺ : 597	A
I_502	Ila_429	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-fluorophenyl)pentanoylamino]propoxy}phenyl)propionic acid	rt: 8.726 MS [M+1] ⁺ : 597	A
I_503	Ila_430	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(2-methoxyphenyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.274 MS [M+1] ⁺ : 581	A
I_504	Ila_431	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(3-fluorophenyl)amino]propoxy}phenyl)propionic acid	rt: 8.447 MS [M+1] ⁺ : 583	A
I_505	Ila_432	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[butyryl-(3-methoxyphenyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.222 MS [M+1] ⁺ : 581	A
I_506	Ila_433	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(4-fluorophenyl)amino]propoxy}phenyl)propionic acid	rt: 8.436 MS [M+1] ⁺ : 583	A
I_507	Ila_434	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-(2-fluorophenyl)amino]propoxy}phenyl)-propionic acid	rt: 8.792 MS [M+1] ⁺ : 597	A
I_508	Ila_435	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(2-methoxyphenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.656 MS [M+1] ⁺ : 595	A
I_509	Ila_436	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2,2-dimethylpropionyl)-(3-fluorophenyl)amino]propoxy}phenyl)-propionic acid	rt: 8.784 MS [M+1] ⁺ : 597	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_510	Ila_437	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-(3-methoxyphenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.61 MS [M+1] ⁺ : 595	A
I_511	Ila_438	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(3-methylsulfanylphenyl)amino]ethoxy}-phenyl)propionic acid	rt: 8.483 MS [M+1] ⁺ : 597	A
I_512	Ila_439	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methoxyphenyl)-propionylamino]propoxy}phenyl)-propionic acid	rt: 8.122 MS [M+1] ⁺ : 581	A
I_513	Ila_440	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(2-methoxyphenyl)amino]propoxy}-phenyl)propionic acid	rt: 8.213 MS [M+1] ⁺ : 593	A
I_514	Ila_441	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[isobutyryl-(2-methoxyphenyl)-amino]propoxy}phenyl)propionic acid	rt: 8.385 MS [M+1] ⁺ : 595	A
I_515	Ila_442	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(E)-enoyl-(2-methoxyphenyl)amino]propoxy}-phenyl)propionic acid	rt: 8.159 MS [M+1] ⁺ : 593	A
I_516	Ila_443	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(2-methoxyphenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid	rt: 8.163 MS [M+1] ⁺ : 593	A
I_517	Ila_444	(S)-3-(4-{3-[Acryloyl-(2-methoxyphenyl)amino]propoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid	rt: 8.015 MS [M+1] ⁺ : 579	A
I_518	Ila_445	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(2-methoxyphenyl)amino]-propoxy}phenyl)propionic acid	rt: 8.395 MS [M+1] ⁺ : 595	A
I_519	Ila_446	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methoxyphenyl)-propionylamino]propoxy}phenyl)-propionic acid	rt: 8.111 MS [M+1] ⁺ : 581	A
I_520	Ila_447	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[cyclopropanecarbonyl-(3-methoxyphenyl)amino]propoxy}-phenyl)propionic acid	rt: 8.246 MS [M+1] ⁺ : 593	A
I_521	Ila_448	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[isobutyryl-(3-methoxyphenyl)-amino]propoxy}phenyl)propionic acid	rt: 8.374 MS [M+1] ⁺ : 595	A
I_522	Ila_449	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(E)-enoyl-(3-methoxyphenyl)amino]propoxy}-phenyl)propionic acid	rt: 8.204 MS [M+1] ⁺ : 593	A
I_523	Ila_450	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[(3-methoxyphenyl)-(2-methylacryloyl)amino]propoxy}-phenyl)propionic acid	rt: 8.134 MS [M+1] ⁺ : 593	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_524	Ila_451	(S)-3-(4-{3-[Acryloyl-(3-methoxyphenyl)amino]propoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid	rt: 8.045 MS [M+1] ⁺ : 579	A
I_525	Ila_452	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[butyryl-(3-methoxyphenyl)amino]propoxy}phenyl)propionic acid	rt: 8.381 MS [M+1] ⁺ : 595	A
I_526	Ila_453	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(2-methoxyphenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.42 MS [M+1] ⁺ : 593	A
I_527	Ila_454	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[isobutyryl-(2-methoxyphenyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.27 MS [M+1] ⁺ : 581	A
I_528	Ila_455	(S)-3-{4-[2-(Acryloylnaphthalen-1-ylamino)ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.35 MS [M+1] ⁺ : 585	A
I_529	Ila_456	(S)-3-{4-[2-(Acryloylnaphthalen-2-ylamino)ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 8.418 MS [M+1] ⁺ : 585	A
I_530	Ila_457	(S)-3-(4-{2-[Acryloyl-(3-methylsulfanylphenyl)amino]ethoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid	rt: 8.172 MS [M+1] ⁺ : 581	A
I_531	Ila_458	(S)-3-(4-{2-[Acryloyl-(4-methylsulfanylphenyl)amino]ethoxy}-phenyl)-2-(2-benzoylphenylamino)-propionic acid	rt: 8.182 MS [M+1] ⁺ : 581	A
I_532	Ila_459	(S)-3-(4-{3-[Acryloyl-(3-fluorophenyl)-amino]propoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid	rt: 8.098 MS [M+1] ⁺ : 567	A
I_533	Ila_460	(S)-2-(2-Benzoylphenylamino)-3-(4-{3-[but-2-(E)-enoyl-(3-fluorophenyl)-amino]propoxy}phenyl)propionic acid	rt: 8.274 MS [M+1] ⁺ : 581	A
I_534	Ila_461	(S)-3-(4-{2-[Acryloyl-(2-methoxyphenyl)amino]ethoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid	rt: 7.886 MS [M+1] ⁺ : 565	A
I_535	Ila_462	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2-methoxyphenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.037 MS [M+1] ⁺ : 579	A
I_536	Ila_463	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclopropanecarbonyl-(3-methoxyphenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.081 MS [M+1] ⁺ : 579	A
I_537	Ila_464	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[cyclobutanecarbonyl-(3-methoxyphenyl)amino]ethoxy}phenyl)-propionic acid	rt: 8.384 MS [M+1] ⁺ : 593	A
I_538	Ila_465	(S)-3-(4-{2-[Acryloyl-(3-methoxyphenyl)amino]ethoxy}phenyl)-2-(2-benzoylphenylamino)propionic acid	rt: 7.884 MS [M+1] ⁺ : 565	A

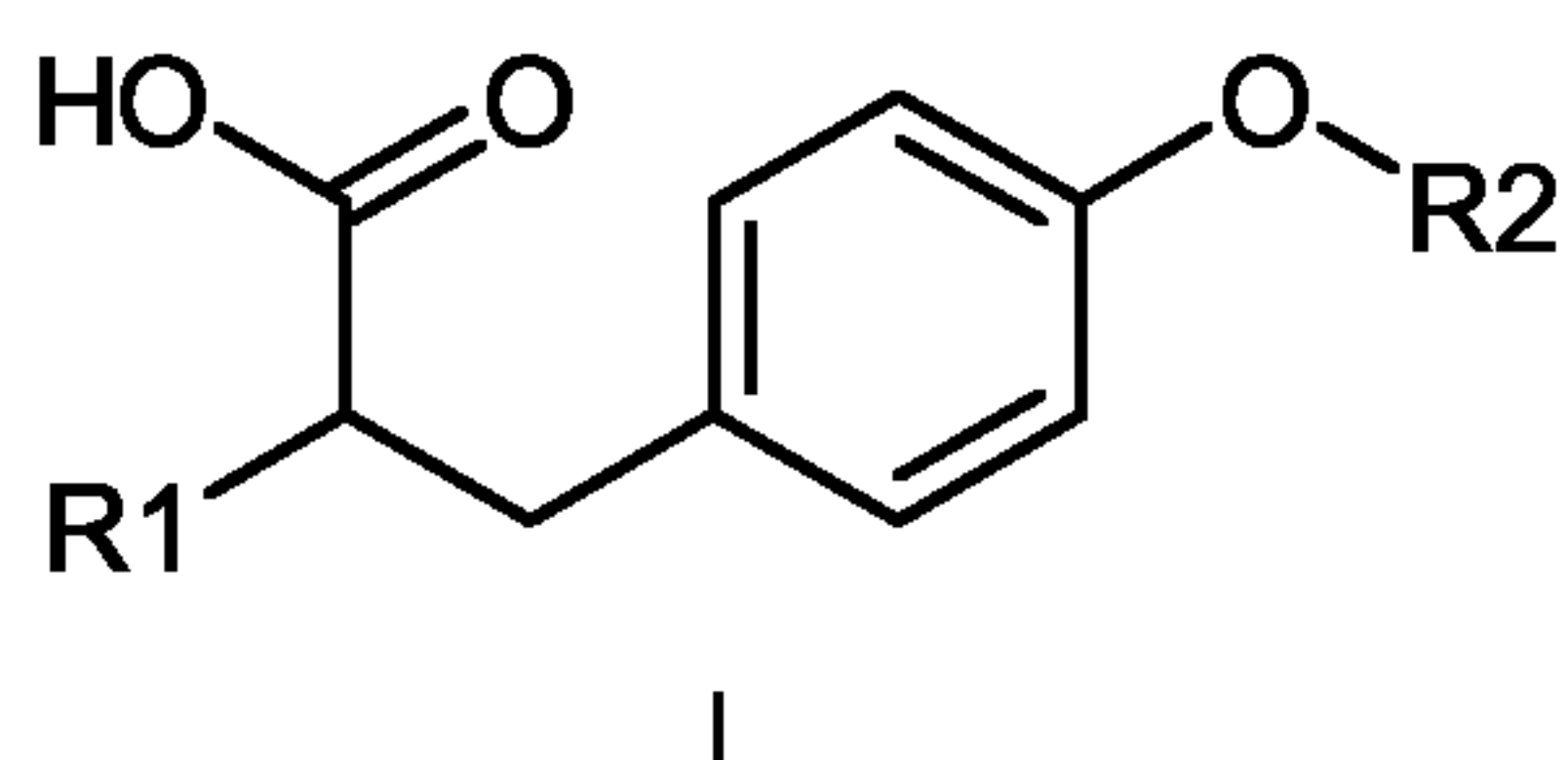
Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_539	Ila_466	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3-methoxyphenyl)-(2-methylacryloyl)amino]ethoxy}phenyl)-propionic acid	rt: 7.975 MS [M+1] ⁺ : 579	A
I_540	Ila_467	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(naphthalene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.236 MS [M+1] ⁺ : 587	A
I_541	Ila_468	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(naphthalene-2-carbonyl)-propylamino]ethoxy}phenyl)propionic acid	rt: 8.511 MS [M+1] ⁺ : 601	A
I_542	Ila_469	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(quinoline-2-carbonyl)amino]ethoxy}phenyl)propionic acid	rt: 7.881 MS [M+1] ⁺ : 588	A
I_543	Ila_470	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl(quinoline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.2 MS [M+1] ⁺ : 602	A
I_544	Ila_471	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(quinoxaline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 7.756 MS [M+1] ⁺ : 589	A
I_545	Ila_472	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl(quinoxaline-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.077 MS [M+1] ⁺ : 603	A
I_546	Ila_473	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 7.732 MS [M+1] ⁺ : 543	A
I_547	Ila_474	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl(thiophene-2-carbonyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.04 MS [M+1] ⁺ : 557	A
I_548	Ila_475	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl(pyridine-3-carbonyl)amino]ethoxy}phenyl)propionic acid	rt: 6.713 MS [M+1] ⁺ : 538	A
I_549	Ila_476	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl(pyridine-3-carbonyl)amino]ethoxy}phenyl)propionic acid	rt: 7.037 MS [M+1] ⁺ : 552	A
I_550	Ila_477	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl-(3-thiophen-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid	rt: 7.924 MS [M+1] ⁺ : 569	A
I_551	Ila_478	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl-(3-thiophen-2-ylacryloyl)-amino]ethoxy}phenyl)propionic acid	rt: 8.236 MS [M+1] ⁺ : 583	A
I_552	Ila_479	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(3,3-dimethylbutyryl)propylamino]ethoxy}phenyl)propionic acid	rt: 8.572 MS [M+1] ⁺ : 545	A
I_553	Ila_480	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl-(3-pyridin-3-ylpropionyl)-amino]ethoxy}phenyl)propionic acid	rt: 5.866 MS [M+1] ⁺ : 566	A
I_554	Ila_481	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[propyl-(3-pyridin-3-ylpropionyl)-amino]ethoxy}phenyl)propionic acid	rt: 6.125 MS [M+1] ⁺ : 580	A
I_555	Ila_482	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(cyclobutanecarbonylpropylamino)-ethoxy]phenyl}propionic acid	rt: 8.138 MS [M+1] ⁺ : 529	A

Example Number	Starting product	Compound name	¹ H-NMR/LC-MS	HPLC Method
I_556	Ila_483	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(isobutyrylpropylamino)ethoxy]-phenyl}propionic acid	rt: 7.963 MS [M+1] ⁺ : 517	A
I_557	Ila_484	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(butyrylpropylamino)ethoxy]phenyl}-propionic acid	rt: 7.945 MS [M+1] ⁺ : 517	A
I_558	Ila_485	(S)-3-{4-[2-(Benzoylethylamino)-ethoxy]phenyl}-2-(2-benzoylphenylamino)propionic acid	rt: 7.725 MS [M+1] ⁺ : 537	A
I_559	Ila_486	(S)-2-(2-Benzoylphenylamino)-3-{4-[2-(benzoylpropylamino)ethoxy]phenyl}-propionic acid	rt: 8.03 MS [M+1] ⁺ : 551	A
I_560	Ila_487	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[ethyl-(3-phenylacryloyl)amino]-ethoxy}phenyl)propionic acid	rt: 8.034 MS [M+1] ⁺ : 563	A
I_561	Ila_488	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-ethylamino]ethoxy}phenyl)propionic acid	rt: 8.102 MS [M+1] ⁺ : 517	A
I_562	Ila_489	(S)-2-(2-Benzoylphenylamino)-3-(4-{2-[(2,2-dimethylpropionyl)-propylamino]ethoxy}phenyl)propionic acid	rt: 8.44 MS [M+1] ⁺ : 531	A

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CLAIMS

1. A compound of formula I



its stereoisomers and mixtures thereof, its polymorphs and mixtures thereof,
and the pharmaceutically acceptable solvates and addition salts of all of them,
5 wherein

R1 represents the radical 2-benzoylphenylamino;

R2 represents $-(CH_2)_s-N(COR_3)-A-J-T$ or $-(CH_2)_s-N(R_4)-B-J-T$;

R3 represents $-(C_1-C_{10})$ alkyl optionally substituted by one or more
substituents selected from $-F$, $-Cl$, $-Br$ and $-O(C_1-C_4)$ alkyl;
10 $-(C_2-C_6)$ alkenyl; $-(C_2-C_6)$ alkynyl; $-(C_1-C_3)$ alkylene-Y;
 $-(C_2-C_3)$ alkenylene-Y; $-(C_2-C_3)$ alkynylene-Y or -Y;

R4 represents $-(C_4-C_{10})$ alkyl optionally substituted by one or more
substituents selected from $-F$, $-Cl$, $-Br$ and $-O(C_1-C_4)$ alkyl;
15 $-(C_2-C_6)$ alkenyl; $-(C_2-C_6)$ alkynyl; $-(C_1-C_4)$ alkylene-Y;
 $-(C_2-C_4)$ alkenylene-Y; $-(C_2-C_4)$ alkynylene-Y or -Y;

s represents 2 or 3;

A represents $-(C_1-C_4)$ alkylene-; $-(C_2-C_4)$ alkenylene-;
 $-(C_2-C_4)$ alkynylene-; $-(C_1-C_4)$ alkylene-Z-, wherein the alkylene part
is attached to the N atom and Z is attached to J; or -Z-;

20 B represents $-(C_4)$ alkylene-; $-(C_2-C_4)$ alkenylene-; $-(C_2-C_4)$ alkynylene-;
 $-(C_1-C_4)$ alkylene-Z-, wherein the alkylene part is attached to the N
atom and Z is attached to J; or -Z-;

J represents a single bond or a biradical selected from the following
groups:

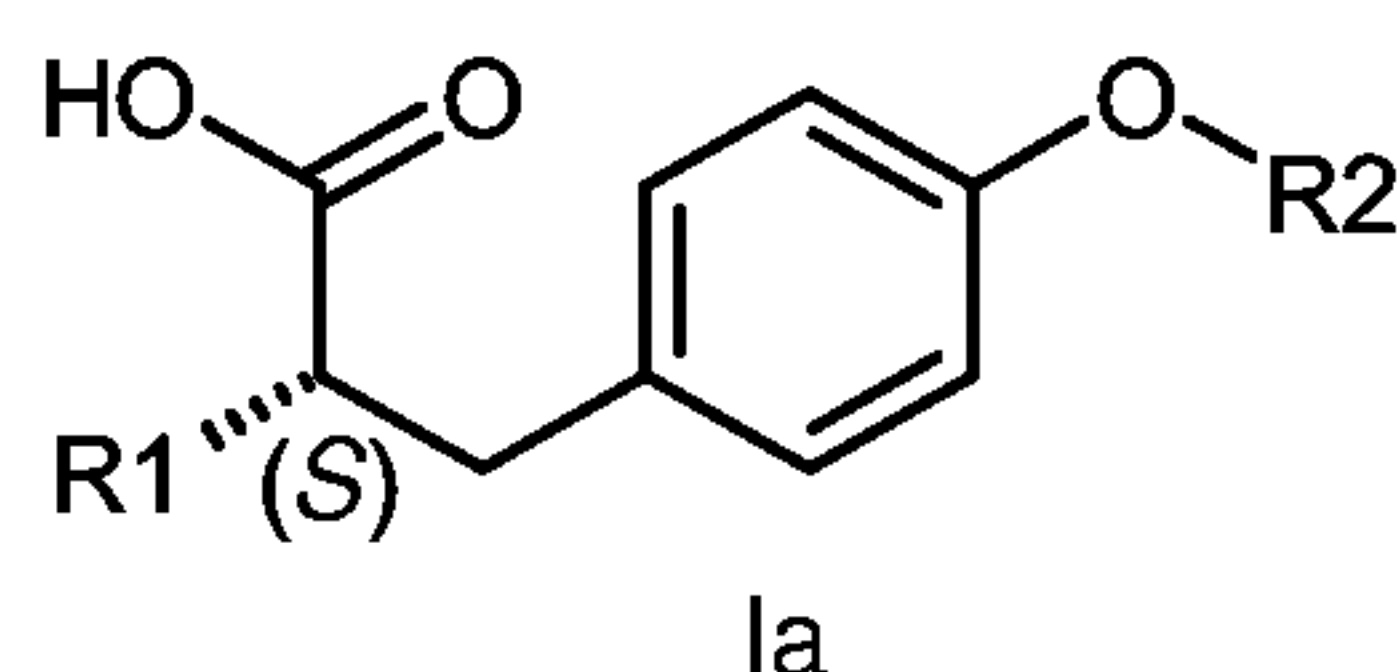
25 a) $-(CH_2)_{1-4}$ -, $-O$ -, $-S$ -, $-SO$ -, $-SO_2$ -, $-CO$ -, $-OCO$ -, $-COO$ -,
 $-OCONR_5$ -, $-NR_5COO$ -, $-CONR_5$ -, $-NR_5CO$ -, $-NR_5$ -,
 $-NR_5SO_2$ -, $-SO_2NR_5$ -; and

b) $-O-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-O-, $-S-(C_1-C_4)$ alkyl-,
30 $-(C_1-C_4)$ alkyl-S-, $-SO-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-SO-,
 $-SO_2-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-SO₂-, $-OCO-(C_1-C_4)$ alkyl-,
 $-COO-(C_1-C_4)$ alkyl-, $-(C_1-C_4)$ alkyl-OCO-, $-(C_1-C_4)$ alkyl-
COO-, $-OCONR_5-(C_1-C_4)$ alkyl-, $-NR_5COO-(C_1-C_4)$ alkyl-,

- 5 -(C₁-C₄)alkyl-OCONR₅-, -(C₁-C₄)alkyl-NR₅COO-,
 -CONR₅-(C₁-C₄)alkyl-, -NR₅CO-(C₁-C₄)alkyl-,
 -(C₁-C₄)alkyl-CONR₅-, -(C₁-C₄)alkyl-NR₅CO-,
 -NR₅-(C₁-C₄)alkyl-, -(C₁-C₄)alkyl-NR₅-,
 -SO₂NR₅-(C₁-C₄)alkyl-, -NR₅SO₂-(C₁-C₄)alkyl-,
 -(C₁-C₄)alkyl-SO₂NR₅-, -(C₁-C₄)alkyl-NR₅SO₂-;
- T represents -H, -(C₁-C₄)alkyl, -(C₂-C₄)alkenyl, -(C₂-C₄)alkynyl or -Y;
- Y represents a monoradical coming from a cycle selected from a
 10 (C₃-C₆)cycloalkane, cyclohexene, a heterocycle, benzene and a
 bicycle, wherein all these cycles can be optionally substituted with
 one or more substituents selected from the group -OH, -CHO, -SH,
 -NO₂, -CN, -F, -Cl, -Br, -CO(C₁-C₄)alkyl, -COO(C₁-C₄)alkyl,
 -OCO(C₁-C₄)alkyl, -S(C₁-C₄)alkyl, -SO(C₁-C₄)alkyl,
 -SO₂(C₁-C₄)alkyl, -SO₂-O(C₁-C₄)alkyl, -O-SO₂(C₁-C₄)alkyl, -NR₅R₆,
 15 -CONR₅R₆, -(C₁-C₄)alkyl optionally substituted by one ore more
 -OH or -F and -O(C₁-C₄)alkyl optionally substituted by one ore more
 -OH or -F, and wherein the cycles (C₃-C₆)cycloalkane, cyclohexene
 and bicycle can also be optionally substituted with one or more
 substituents oxo;
- 20 Z represents a biradical coming from a cycle selected from a (C₃-C₆)-
 cycloalkane, cyclohexene, a heterocycle, benzene and a bicycle,
 wherein all these cycles can be optionally substituted with one or
 more substituents selected from the group -OH, -CHO, -SH, -NO₂,
 -CN, -F, -Cl, -Br, -CO(C₁-C₄)alkyl, -COO(C₁-C₄)alkyl,
 25 -OCO(C₁-C₄)alkyl, -S(C₁-C₄)alkyl, -SO(C₁-C₄)alkyl,
 -SO₂(C₁-C₄)alkyl, -SO₂-O(C₁-C₄)alkyl, -O-SO₂(C₁-C₄)alkyl, -NR₅R₆,
 -CONR₅R₆, -(C₁-C₄)alkyl optionally substituted by one ore more
 -OH or -F and -O(C₁-C₄)alkyl optionally substituted by one ore more
 -OH or -F, and wherein the cycles (C₃-C₆)cycloalkane, cyclohexene
 30 and bicycle can also be optionally substituted with one or more
 substituents oxo;
- R₅ and R₆ independently represent -H or -(C₁-C₄)alkyl;
- a heterocycle in the above definitions represents a five- or six-membered
 aromatic ring containing from one to three heteroatoms independently
 35 selected from O, S and N, wherein said ring can be attached to the rest of the
 molecule through a carbon or a nitrogen atom; and

a bicycle in the above definitions represents a partially unsaturated, saturated or aromatic seven- to ten-membered ring optionally containing from one to three heteroatoms independently selected from O, S and N, wherein said ring or rings can be attached to the rest of the molecule through a carbon or a nitrogen atom.

2. A compound according to claim 1 wherein the configuration of the chiral carbon attached to R1 is (S), thus having the formula Ia.



3. A compound according to claim 1 or 2, wherein R2 represents $-(CH_2)_s-N(COR_3)-A-J-T$.

4. A compound according to claim 3, wherein R3 represents $-(C_1-C_{10})$ alkyl optionally substituted by one or more substituents selected from -F, -Cl, -Br and $-O(C_1-C_4)$ alkyl; $-(C_2-C_6)$ alkenyl; $-(C_1-C_3)$ alkylene-Y; $-(C_2-C_3)$ alkenylene-Y; $-(C_2-C_3)$ alkynylene-Y or -Y; and Y in R3 represents a monoradical coming from a cycle selected from a (C_3-C_6) cycloalkane, a heterocycle, benzene and a bicycle, wherein all these cycles can be optionally substituted as defined in claim 1.

5. A compound according to claim 3, wherein A represents $-(C_1-C_4)$ alkylene-; $-(C_1-C_4)$ alkylene-Z- or -Z-; Z in A represents a biradical coming from a cycle selected from a (C_3-C_6) cycloalkane, a heterocycle, benzene and a bicycle, wherein all these cycles can be optionally substituted with one or more substituents selected from the group -F, -Cl, -Br, $-S(C_1-C_4)$ alkyl, $-(C_1-C_4)$ alkyl optionally substituted by one or more -OH or -F and $-O(C_1-C_4)$ alkyl optionally substituted by one or more -OH or -F; J represents a single bond; and T represents -H.

6. A compound according to claim 5 wherein Z in A represents an unsubstituted biradical coming from a cycle selected from a (C_3-C_6) cycloalkane and benzene.

7. A compound according to claim 1 or 2, wherein R2 represents $-(CH_2)_s-N(R_4)-B-J-T$.
8. A compound according to claim 7, wherein R4 represents $-(C_4-C_{10})$ alkyl optionally substituted by one or more substituents selected from -F, -Cl, -Br and $-O(C_1-C_4)$ alkyl; $-(C_1-C_4)$ alkylene-Y; or -Y; and Y in R4 represents a monoradical coming from a cycle selected from a (C_3-C_6) cycloalkane, a heterocycle, benzene and a bicycle, wherein all these cycles can be optionally substituted as defined in claim 1.
9. A compound according to claim 7, wherein B represents $-(C_1-C_4)$ alkylene-Z- or -Z-; Z in B represents a biradical coming from a cycle selected from a (C_3-C_6) cycloalkane, heterocycle, benzene and bicycle, wherein all these groups can be optionally substituted as defined in claim 1; J represents a single bond; and T represents -H.
10. A pharmaceutical composition which comprises a therapeutically effective amount of the compound of formula I as defined in any one of the claims 1 to 9, together with appropriate amounts of pharmaceutically acceptable excipients.
11. Use of the compound of formula I as defined in any of the claims 1 to 9 for the manufacture of a medicament for the treatment or prevention of diseases mediated by $PPAR\gamma$.
12. Use of the compound of formula I as defined in any of the claims 1 to 9 for the manufacture of a medicament for the treatment or prevention of metabolic diseases in a mammal, including a human.
13. Use according to claim 12 wherein the metabolic disease is selected from non-insulin-dependent diabetes *mellitus* and obesity.
14. Use of the compound of formula I as defined in any of the claims 1 to 9 for the manufacture of a medicament for the treatment or prevention of cardiovascular diseases associated with metabolic syndrome, inflammatory diseases, cancer, bone diseases, skin wound healing, cutaneous disorders associated with an anomalous differentiation of epidermic cells, and other

disorders where insulin resistance is a component in a mammal, including a human.

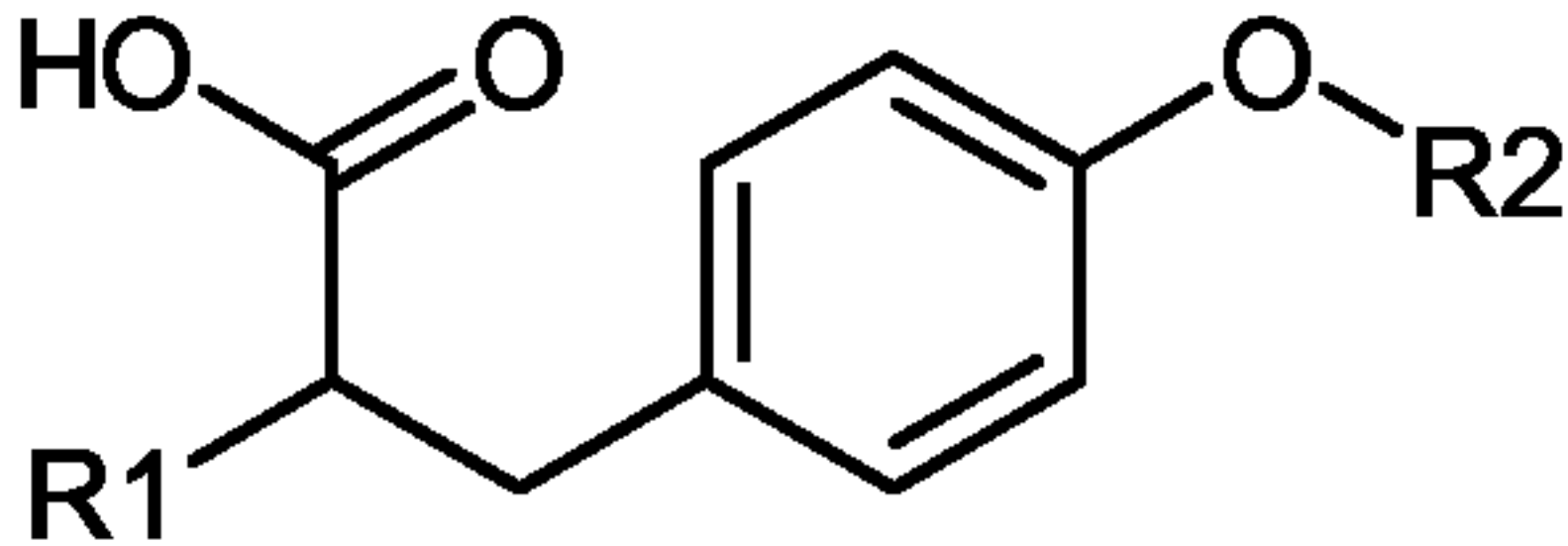
15. A method for the treatment or prevention of diseases mediated by
5 PPAR γ comprising the administration to a mammal, including a human, of an effective amount of a compound of formula I as defined in any of the claims 1 to 9.

16. A method for the treatment or prevention of metabolic diseases comprising
10 the administration to a mammal, including a human, of an effective amount of a compound of formula I as defined in any of the claims 1 to 9.

17. A method according to claim 16 wherein the metabolic disease is selected from non-insulin-dependent diabetes *mellitus* and obesity.

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18. A method for the treatment or prevention of cardiovascular diseases associated with metabolic syndrome, inflammatory diseases, cancer, bone diseases, skin wound healing, cutaneous disorders associated with an anomalous differentiation of epidermic cells and other disorders where insulin
20 resistance is a component, comprising the administration to a mammal, including a human, of an effective amount of a compound of formula I as defined in any of the claims 1 to 9.



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