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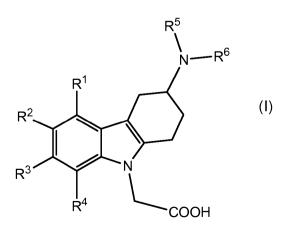
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(54) Title: (3-AMINO-1,2,3,4-TETRAHYDRO-9H-CARBAZOL-9-YL)-ACETIC ACID DERIVATIVES



(57) Abstract: The present invention relates to (3-amino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid derivatives of Formula (I) wherein R^1 , R^2 , R^3 , R^4 , R^5 and R^6 are as described in the description and their use as prostaglandin receptor modulators, most particularly as prostaglandin D_2 receptor modulators, in the treatment of various prostaglandin-mediated diseases and disorders, to pharmaceutical compositions containing these compounds and to processes for their preparation.

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(3-Amino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic Acid Derivatives

5 Field of the invention:

The present invention relates to (3-amino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid derivatives of Formula I and their use as prostaglandin receptor modulators, most particularly as prostaglandin D₂ receptor ("DP receptor") modulators, in the treatment of various prostaglandin-mediated diseases and disorders, to pharmaceutical compositions containing these compounds and to processes for their preparation. In particular, such derivatives may be used alone or in pharmaceutical compositions for the treatment of both, chronic and acute allergic/immune diseases/disorders such as allergic asthma, rhinitis, allergic rhinitis, chronic obstructive pulmonary disease (COPD), dermatitis, inflammatory bowel disease, rheumatoid arthritis, allergic nephritis, conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, systemic mast cell disorders, anaphylactic shock, urticaria, eczema, itching, inflammation, ischemia-reperfusion injury, cerebrovascular disorders, pleuritis, ulcerative colitis, eosinophil-related diseases, such as Churg-Strauss syndrome and sinusitis, and basophil-related diseases, such as basophilic leukemia and basophilic leukocytosis, in humans and other mammals.

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Background of the invention:

As a response to allergen exposure in allergic conditions, mast cells are activated and release chemotactic key mediators like histamine, thromboxane A2 (TxA2), cysteinyl leukotrienes (CysLTs) and prostaglandin D₂ (PGD₂). These mediators interact with their respective receptors and cause physiological effects such as increased vascular permeability, edema, pruritus, nasal and pulmonary congestion, bronchoconstriction, and mucus secretion. An increased vascular permeability for example, allows excessive infiltration of eosinophilic and basophilic leukocytes into the tissue and thus amplifies the allergic response.

30 Current treatments of allergic diseases comprise agents that can block or otherwise interrupt such interactions, *e.g.* anti-histamines (histamine H1 receptor antagonists), leukotriene receptor antagonists, beta-adrenergic receptor agonists, and corticosteroids. Generally,

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treatments with anti-histamines and leukotriene antagonists are limited in efficacy, and long-term usage of corticosteroids is associated with unwanted side effects.

PGD₂ is an agonist known to act on two G-protein-coupled receptors, the PGD₂ receptor 5 DP1 and the recently identified CRTH2 (chemoattractant receptor-homologous molecule expressed on Th2 cells) receptor (also referred to as "DP2 receptor").

Elevated PGD₂ levels are considered to cause inflammation actions as observed in allergic diseases such as allergic rhinitis, allergic asthma, allergic conjunctivitis, atopic dermatitis and the like. Therefore, blocking the interaction of PGD2 with its receptors is considered a useful therapeutic strategy for the treatment of such diseases.

WO 01/79169 discloses (tetrahydrocarbazol-1-yl)acetic acid derivatives as PGD₂ receptor antagonists.

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GB 2388540 (Bayer AG) discloses the use of ramatroban ((3R)-3-(4-fluorobenzenesulfonamido)-1,2,3,4-tetrahydrocarbazole-9-propionic acid), a TxA2 receptor (also referred to as "TP receptor") antagonist with additional antagonistic activity on CRTH2, for the prophylaxis and treatment of allergic diseases, such as asthma, allergic rhinitis or allergic conjunctivitis. In T. Ishizuka et al., Cardiovascular Drug Rev. 2004, 22(2), 71-90 effects of ramatroban on late-phase inflammation are described. Furthermore, oral bioavailability of ramatroban and its ability to inhibit prostaglandin D₂-induced eosinophil migration in vitro has been reported (Journal of Pharmacology and Experimental Therapeutics, 305(1), p.347-352 (2003)).

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WO 03/097598 and WO 03/097042 disclose Ramatroban analogues with CRTH2 antagonistic activity. Ulven et al, in J. Med. Chem. 2005, 48(4), 897-900 disclose further ramatroban analogues.

30 The compounds of the invention are structurally different from corticosteroids, antihistamines, leukotriene antagonists or beta-adrenergic agonists.

Description of the invention:

i) The present invention relates to (3-amino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid compounds of the Formula **I**:

$$R^{2}$$
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{5}
 R^{7}
 R^{1}

5 I

wherein

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R¹, R², R³ and R⁴ independently represent hydrogen, C₁₋₅-alkyl, C₁₋₅-alkoxy, C₂₋₄-alkenyl, halogen, nitro, cyano, halo-C₁₋₆-alkoxy, halo-C₁₋₆-alkyl, C₁₋₆-alkylsulfonyl, or formyl;

 R^5 represents hydrogen, C_{2-4} -alkenyl, C_{1-6} -alkyl, C_{3-10} -cycloalkyl- C_{1-4} -alkyl, C_{1-3} -alkoxy- C_{1-4} -alkyl, aryl- C_{1-4} -alkyl, or aryloxy- C_{1-4} -alkyl;

wherein aryl refers to a phenyl- or naphthyl-group, which group is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy, C_{1-4} -alkoxy, C_{1-4} -alkyl, halogen, trifluoromethyl, and trifluoromethoxy; and

 R^6 represents aryl- C_{1-3} -alkoxy- C_{1-3} -alkoxycarbonyl; aryl- C_{1-3} -alkoxycarbonyl; aryl- C_{1-3} -alkoxy- C_{1-3} -alkoxy- C_{1-3} -alkylcarbonyl; aryl- C_{1-4} -alkylcarbonyl; aryloxy- C_{1-3} -alkylcarbonyl; C_{3-10} -cycloalkyl- C_{1-3} -alkylcarbonyl; aryl- C_{3-6} -cycloalkylcarbonyl; or R^7 - C_{1-4} -alkylcarbonyl, wherein the bridging C_{1-4} -alkyl group may additionally be mono-substituted with aryl, and R^7 represents arylaminocarbonyl,

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heteroarylaminocarbonyl, C_{1-6} -alkylaminocarbonyl, or aryl-C₁₋₃-alkylaminocarbonyl; wherein

- aryl refers to a phenyl- or naphthyl-group, which group is unsubstituted, mono- or di-substituted with a group independently selected from C₁₋₂-alkylendioxy, C₁₋₆alkoxy, C₁₋₆-alkyl, C₁₋₆-alkylsulfonyl, halogen, hydroxy, halo-C₁₋₆-alkyl, halo-C₁₋₆alkoxy, C₁₋₆-alkylthio, and C₁₋₄-alkoxycarbonylamino; and
- heteroaryl refers to an aromatic ring system containing 5 to 10 ring atoms, one to three of these being heteroatoms, independently selected from nitrogen, oxygen or sulfur;

10 or a salt of such a compound.

> In another aspect, the invention provides a pharmaceutical composition comprising a compound of the invention, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

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The invention also provides a use of a compound of the invention, or a pharmaceutically acceptable salt thereof, for the preparation of a medicament for the prevention and/or treatment of chronic and acute allergic/immune diseases/disorders, comprising allergic asthma, rhinitis, allergic rhinitis, chronic obstructive pulmonary disease, dermatitis, inflammatory bowel disease, rheumatoid arthritis, allergic nephritis, conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, systemic mast cell disorders, anaphylactic shock, urticaria, eczema, itching, inflammation, ischemia-reperfusion injury, cerebrovascular disorders, pleuritis, ulcerative colitis, eosinophil-related diseases comprising Churg-Strauss syndrome and sinusitis, and basophil-related diseases, comprising basophilic leukemia and basophilic leukocytosis.

The invention also provides a method of preventing and/or treating chronic and acute allergic/immune diseases/disorders, comprising allergic asthma, rhinitis, allergic rhinitis, chronic obstructive pulmonary disease, dermatitis, inflammatory bowel disease, rheumatoid arthritis, allergic nephritis, conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, systemic mast cell disorders, anaphylactic shock, urticaria, eczema, itching, inflammation, ischemia-reperfusion injury, cerebrovascular disorders, pleuritis, ulcerative colitis, eosinophil-related diseases comprising Churg-Strauss syndrome and sinusitis, and basophil-

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related diseases, comprising basophilic leukemia and basophilic leukocytosis, the method comprising administering to a patient in need thereof, an effective amount of a pharmaceutical composition of the invention.

- 5 ii) In another embodiment, herein described are compounds of Formula I according to embodiment i), wherein
 - R⁵ represents hydrogen, alkenyl (especially allyl or vinyl), or C₁₋₆-alkyl; and
 - R^6 represents C_{1-9} -alkylaminocarbonyl, C_{1-9} -alkylaminothiocarbonyl, C_{1-9} -alkylcarbonyl, C₁₋₉-alkoxycarbonyl, arylalkenylcarbonyl, arylaminocarbonyl, arylaminothiocarbonyl, aryl-
- C₁₋₃-alkoxy-C₁₋₃-alkoxycarbonyl, aryl-C₁₋₃-alkoxycarbonyl, aryl-C₁₋₃-alkylaminocarbonyl, 10 aryl- C_{1-3} -alkylcarbonyl, arylcarbonyl, arylcarbonyl, arylcarbonyl- C_{1-4} -alkylcarbonyl, aryloxy- C_{1-3} -alkylcarbonyl, arylsulfonylaminocarbonyl, cycloalkyldiaryl-C₁₋₃-alkylcarbonyl, C₁₋₃-alkylcarbonyl, heterocyclylcarbonyl, heteroaryl- C_{1-3} -alkylcarbonyl, or heteroarylcarbonyl;
- 15 wherein aryl is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy; C_{1-6} -alkoxy; C_{1-6} -alkyl; C_{1-6} -alkylsulfonyl; phenyl which is unsubstituted, mono- or di-substituted with substituents independently selected from halogen, trifluoromethyl, methoxy and methyl; naphthyl; phenyl-C₁₋₃-alkyl, wherein the phenyl group is unsubstituted, mono- or di-substituted with substituents independently 20 selected from halogen, trifluoromethyl, methoxy and methyl; naphthyl-C₁₋₃-alkyl; phenoxy, wherein the phenyl group is unsubstituted, mono- or di-substituted with substituents independently selected from halogen, trifluoromethyl, methoxy and methyl; naphthyloxy; halogen; hydroxy; halo- C_{1-6} -alkyl; and halo- C_{1-6} -alkoxy.
- 25 iii) A further embodiment describes compounds of Formula I according to embodiment ii), wherein
 - R¹, R², R³ and R⁴ independently represent hydrogen; C₁₋₅-alkyl, especially methyl, or isopropyl; C₁₋₅-alkoxy, especially methoxy; alkenyl, especially allyl or vinyl; halogen, halo-C₁₋₆-alkyl, especially especially fluoro, or chloro; trifluoromethyl;
- 30 C₁₋₆-alkylsulfonyl, especially methanesulfonyl;
 - R^5 represents hydrogen; alkenyl, especially ethenyl, or 2-propenyl; or $C_{1\text{-}6}$ -alkyl, especially methyl, ethyl, or propyl; and

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R⁶ represents C₁₋₉-alkylaminocarbonyl, such as butylaminocarbonyl; C₁₋₉-alkylcarbonyl, such as propylcarbonyl, isobutylcarbonyl, hexylcarbonyl, or nonylcarbonyl; C₁₋₉-alkoxycarbonyl, such as propoxycarbonyl, *tert*-butoxycarbonyl, or isobutoxycarbonyl; arylalkenylcarbonyl, such as naphthalenylethenylcarbonyl (especially 2-naphthalen-2-ylethenylcarbonyl), phenylethenylcarbonyl; or arvlaminocarbonyl. such as naphthalenaminocarbonyl naphthalen-1-aminocarbonyl), (especially or phenylaminocarbonyl; aryl-C₁₋₃-alkoxy-C₁₋₃-alkoxycarbonyl, as benzyloxyethoxycarbonyl 2-benzyloxy-ethoxycarbonyl); (especially aryl- C_{1-3} -alkoxycarbonyl, such as benzyloxycarbonyl; aryl- C_{1-3} -alkylaminocarbonyl, such as benzylaminocarbonyl, or phenylethylaminocarbonyl; aryl-C₁₋₃-alkylcarbonyl, such phenylmethylcarbonyl, phenylethylcarbonyl (especially 2-phenylethyl-carbonyl), naphthalenylethylcarbonyl (especially 2-naphthalen-2-yl-ethylcarbonyl); aryl-C₁₋₃-alkoxy- C_{1-3} -alkylcarbonyl, such as benzyloxymethyl-carbonyl; arylcarbonyl, phenylcarbonyl; arylcarbonyl-C₁₋₄-alkylcarbonyl, such as phenylcarbonylethylcarbonyl 2-phenylcarbonyl-ethylcarbonyl); aryloxy-C₁₋₃-alkylcarbonyl, phenoxymethylcarbonyl; arylsulfonylaminocarbonyl, such as phenylsulfonylaminocarbonyl; cycloalkyl-C₁₋₃-alkylcarbonyl, such cyclopentylethylcarbonyl (especially as 2-cyclopentylethylcarbonyl), or indanylmethylcarbonyl (especially indan-2-ylmethylcarbonyl); diaryl- C_{1-3} -alkylcarbonyl, such as 1,2-diphenylethylcarbonyl, or 2,2-diphenylethylcarbonyl; heterocyclylcarbonyl, such as dihydroindolylcarbonyl (especially 2,3-dihydro-1*H*-indole-2-carbonyl); heteroaryl-C₁₋₃-alkylcarbonyl, such as benzimidazolyl-C₁₋₃-alkylcarbonyl (especially 2-1*H*-benzimidazol-2-yl-ethylcarbonyl), or indolyl-C₁₋₃-alkylcarbonyl, such as indolylethylcarbonyl (especially 2-1*H*-indol-3-ylethylcarbonyl), or thienylmethylcarbonyl (especially 2-thienylmethylcarbonyl), or pyridinylethylcarbonyl (especially 2-(pyridin-3-yl)ethylcarbonyl); or heteroarylcarbonyl, such as indolylcarbonyl (especially 1*H*-indole-2-yl-carbonyl); wherein aryl (especially phenyl or naphthyl) is unsubstituted, mono- or di-substituted with (a) group(s) independently selected from C_{1-2} -alkylendioxy (especially methylendioxy), C_{1-6} -alkoxy (especially methoxy), C_{1-6} -alkyl (especially methyl, ethyl, isopropyl, or tertbutyl), C₁₋₆-alkylsulfonyl (especially methanesulfonyl), halogen (especially chloro, fluoro or bromo), hydroxy, and halo- C_{1-6} -alkyl (especially trifluoromethyl).

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- iv) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to iii), wherein R¹ represents hydrogen, or halogen.
- v) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to iv), wherein R² represents hydrogen, trifluoromethyl, or halogen (especially hydrogen, or halogen).
 - vi) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to v), wherein R³ represents hydrogen, or halogen.
 - vii) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to vi), wherein R^4 represents hydrogen, alkenyl (especially allyl or vinyl), halogen (especially chloro or bromo), C_{1-6} -alkylsulfonyl (especially methanesulfonyl); especially hydrogen or halogen.
 - viii) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to vii), wherein R^1 , R^3 and R^4 represent hydrogen.
- ix) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to viii), wherein R² represents fluoro.
- x) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to ix), wherein R⁵ represents hydrogen, C₁₋₆-alkyl (especially C₁₋₃-alkyl), cycloalkyl-C₁₋₄-alkyl (especially cyclopropylmethyl), C₁₋₃-alkoxy-C₁₋₄-alkyl (especially 2-methoxyethyl), aryl-C₁₋₄-alkyl (especially naphthylmethyl, or preferably phenyl-C₂₋₃-alkyl), or aryloxy-C₁₋₄-alkyl (especially phenoxyethyl); wherein aryl (especially phenyl) is unsubstituted (preferred), or mono- or di-substituted with a group independently selected from C₁₋₂-alkylendioxy, C₁₋₄-alkoxy, C₁₋₄-alkyl, halogen, trifluoromethyl, and trifluoromethoxy.
 - xi) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to ix), wherein R^5 represents hydrogen; C_{1-3} -alkyl (especially methyl); cyclopropylmethyl; 2-methoxyethyl; phenyl- C_{2-3} -alkyl; or phenoxyethyl, wherein

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the phenyl group is unsubstituted (preferred), or mono-substituted with a group selected from C_{1-2} -alkylendioxy, C_{1-4} -alkoxy, C_{1-4} -alkyl, halogen, trifluoromethyl, and trifluoromethoxy (especially trifluoromethyl).

- 5 xii) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to ix), wherein R⁵ represents C₁₋₃-alkyl (especially methyl); cyclopropylmethyl; 2-methoxyethyl; phenyl-C₂₋₃-alkyl; or phenoxyethyl, wherein the phenyl group is unsubstituted (preferred), or mono-substituted with a group selected from C₁₋₂-alkylendioxy, C₁₋₄-alkoxy, C₁₋₄-alkyl, halogen, trifluoromethyl, and trifluoromethoxy (especially trifluoromethyl).
 - xiii) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to ix), wherein R^5 represents hydrogen, C_{1-3} -alkyl (especially methyl), cyclopropylmethyl, or 2-methoxyethyl
 - xiv) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to ix), wherein R⁵ represents hydrogen, methyl, ethyl, or *n*-propyl.
- 20 xv) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to ix), wherein R⁵ represents hydrogen.
 - xvi) A further embodiment of the invention relates to compounds of Formula I according to any one of embodiments i) and iv) to ix), wherein R⁵ represents phenyl-C₂₋₃-alkyl.
 - xvii) A further embodiment relates to compounds of Formula **I** according to any one of embodiments i) and iv) to xvi), wherein R^6 represents C_{1-9} -alkylaminocarbonyl; C_{1-9} -alkylcarbonyl; C_{1-9} -alkoxycarbonyl; arylaminocarbonyl; cycloalkylaminocarbonyl; arylaminocarbonyl; arylaminocarbonyl; cycloalkylaminocarbonyl; arylaminocarbonyl; ary

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 R^7 - C_{1-4} -alkylcarbonyl, wherein the bridging C_{1-4} -alkyl group may additionally be monosubstituted with aryl, and R^7 represents arylaminocarbonyl, heteroarylaminocarbonyl, C_{1-6} -alkylaminocarbonyl, or aryl- C_{1-3} -alkylaminocarbonyl;

wherein aryl is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy, C_{1-6} -alkoxy, C_{1-6} -alkyl, C_{1-6} -alkylsulfonyl, halogen, hydroxy, halo- C_{1-6} -alkyl, halo- C_{1-6} -alkoxy, C_{1-6} -alkylthio, and C_{1-4} -alkoxycarbonylamino.

xviii) A further embodiment relates to compounds of Formula I according to any one of R^6 represents embodiments xvi), wherein i) and iv) to aryl-C₁₋₃-alkoxycarbonyl; aryl-C₁₋₃-alkylaminocarbonyl; aryl-C₁₋₆-alkylcarbonyl; aryl- C_{1-3} -alkoxy- C_{1-3} -alkylcarbonyl; arylcarbonyl-C₁₋₄-alkylcarbonyl; aryloxy-C₁₋₃-alkylcarbonyl; cycloalkyl-C₁₋₃-alkylcarbonyl; diaryl-C₁₋₃-alkylcarbonyl; aryl- $C_{3.6}$ -cycloalkylcarbonyl; or R^7 - $C_{1.4}$ -alkylcarbonyl, wherein the bridging $C_{1.4}$ -alkyl group may additionally be mono-substituted with aryl, and R⁷ represents arylaminocarbonyl. heteroarylaminocarbonyl,

 C_{1-6} -alkylaminocarbonyl, or aryl- C_{1-3} -alkylaminocarbonyl;

wherein aryl is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy, C_{1-6} -alkoxy, C_{1-6} -alkyl, C_{1-6} -alkyl, halo- C_{1-6} -alkoxy, C_{1-6} -alkoxy, C_{1-6} -alkoxy, and C_{1-4} -alkoxycarbonylamino.

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xix) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to xvi), wherein R^6 represents aryl- C_{1-3} -alkoxycarbonyl; aryl- C_{1-3} -alkylaminocarbonyl; aryl- C_{1-6} -alkylcarbonyl; aryloxy- C_{1-3} -alkylcarbonyl; diaryl- C_{1-3} -alkylcarbonyl; or R^7 - C_{1-4} -alkylcarbonyl, wherein the bridging C_{1-4} -alkyl group may additionally be mono-substituted with aryl, and R^7 represents arylaminocarbonyl, or C_{1-6} -alkylaminocarbonyl;

wherein aryl is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy, C_{1-6} -alkoxy, C_{1-6} -alkyl, C_{1-6} -alkylsulfonyl, halogen, hydroxy, halo- C_{1-6} -alkoxy, C_{1-6} -alkoxy, C_{1-6} -alkoxycarbonylamino.

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xx) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to xvi), wherein R^6 represents aryl- C_{1-2} -alkoxycarbonyl; aryl- C_{1-2} -alkylcarbonyl; aryl- C_{1-2} -alkylcarbonyl; or diaryl- C_{2-3} -

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alkylcarbonyl; or R^7 - C_{24} -alkylcarbonyl, wherein the bridging C_{24} -alkyl group may additionally be mono-substituted with aryl, and R^7 represents arylaminocarbonyl, or C_{1-4} -alkylaminocarbonyl;

wherein aryl is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy, C_{1-6} -alkoxy, C_{1-6} -alkyl, C_{1-6} -alkylsulfonyl, halogen, hydroxy, trifluoromethyl, and trifluoromethoxy.

xxi) A further embodiment relates to compounds of Formula I according to any one of R^6 embodiments xvi), wherein i) and iv) to represents aryl-C₁₋₂-alkylaminocarbonyl; wherein aryl is unsubstituted, mono- or di-substituted with a independently selected from C_{1-2} -alkylendioxy, C_{1-6} -alkoxy, C_{1-6} -alkylsulfonyl, halogen, hydroxy, trifluoromethyl, and trifluoromethoxy.

xxii) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to xvi), wherein R^6 represents aryl- C_{1-2} -alkoxycarbonyl; aryl- C_{1-4} -alkylcarbonyl; aryloxy- C_{1-2} -alkylcarbonyl; or diaryl- C_{2-3} -alkylcarbonyl; wherein aryl is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy, C_{1-6} -alkoxy, C_{1-6} -alkyl, C_{1-6} -alkylsulfonyl, halogen, hydroxy, trifluoromethyl, and trifluoromethoxy.

xxiii) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to xxii), wherein, in case R^6 represents a group which contains aryl, the aryl group is phenyl which unsubstituted, or mono- or di-substituted with a group independently selected from C_{1-4} -alkoxy, C_{1-4} -alkyl, halogen, and trifluoromethyl.

xxiv) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to xvi), wherein R^6 represents $C_{1\cdot 4}$ -alkylcarbonyl (especially acetyl); or aryl- $C_{2\cdot 4}$ -alkylcarbonyl, wherein aryl is unsubstituted, mono- or di-substituted with a group independently selected from $C_{1\cdot 4}$ -alkoxy, $C_{1\cdot 4}$ -alkyl, halogen, and trifluoromethyl.

xxv) A further embodiment relates to compounds of Formula I according to any one of embodiments i) and iv) to xvi), wherein R⁶ represents aryl-C₂₋₄-alkylcarbonyl, wherein aryl

is unsubstituted, mono- or di-substituted with a group independently selected from C₁₄alkoxy, C₁₋₄-alkyl, halogen, and trifluoromethyl.

xxvi) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to xxv), wherein, in case R^o represents a group which contains a carbonyl group and one or more aryl moieties, said group is such that it contains a bridging group between the carbonyl group and said aryl moiety (moieties) of said R⁶, wherein the carbonyl moiety and at least one of the aryl moieties are directly attached to different atoms of said bridging group.

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xxvii) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to xxv), wherein, in case R⁶ represents a group which contains a carbonyl group and exactly one aryl moiety, said group is such that it contains a bridging group between the carbonyl group and said aryl moiety of said R⁶, wherein the carbonyl moiety and the aryl moiety are directly attached to the same atom of said bridging group.

xxviii) A further embodiment relates to compounds of Formula I according to embodiment i), wherein

R¹ represents hydrogen, or halogen;

R² represents hydrogen, trifluoromethyl, or halogen; 20

R³ represents hydrogen, or halogen:

R⁴ represents hydrogen, halogen (especially chloro or bromo), or C₁₋₆-alkylsulfonyl (especially methanesulfonyl);

 $R^{5} \ \ represents \ \ hydrogen, \ \ C_{1\text{--}6}\text{-}alkyl, \ \ cycloalkyl-} C_{1\text{--}4}\text{-}alkyl, \ \ C_{1\text{--}3}\text{-}alkoxy-} C_{1\text{--}4}\text{-}alkyl, \ \ aryl C_{1-4}$ alkyl, or aryloxy C_{1-4} alkyl;

wherein aryl is unsubstituted, mono-substituted with a group selected from trifluoromethyl; and

 R^6 C_{1-9} -alkylaminocarbonyl; C_{1-9} -alkylcarbonyl; represents C_{1-9} -alkoxycarbonyl; arylalkenylcarbonyl; arylaminocarbonyl; aryl- C_{1-3} -alkoxy- C_{1-3} -alkoxycarbonyl; aryl- C_{1-3} alkoxycarbonyl; aryl-C₁₋₃-alkylaminocarbonyl; aryl-C₁₋₆-alkylcarbonyl; aryl-C₁₋₃-alkoxy-C₁₋₃-alkylcarbonyl; arylcarbonyl; arylcarbonyl-C₁₋₄-alkylcarbonyl; aryloxy- C_{1-3} alkylcarbonyl; arylsulfonylaminocarbonyl; cycloalkyl-C₁₋₃-alkylcarbonyl; diaryl-C₁₋₃alkylcarbonyl; heterocyclylcarbonyl; heteroaryl-C₁₋₃-alkylcarbonyl; heteroarylcarbonyl;

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aryl-C₃₋₆-cycloalkylcarbonyl; cycloalkylcarbonyl; or R⁷-C₁₋₄-alkylcarbonyl, wherein the bridging C₁₋₄-alkyl group may additionally be mono-substituted with aryl or disubstituted with hydroxy, and R⁷ represents arylaminocarbonyl, heteroarylaminocarbonyl, C_{1-6} -alkylaminocarbonyl, or aryl- C_{1-3} -alkylaminocarbonyl;

- 5 wherein aryl is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy; C_{1-6} -alkoxy; C_{1-6} -alkyl; C_{1-6} -alkylsulfonyl; halogen; hydroxy; trifluoromethyl; trifluoromethoxy; C_{1-6} -alkylthio; and C_{1-4} -alkoxycarbonylamino.
- xxix) A further embodiment relates to compounds of Formula I according to any one of 10 embodiments i) to xxviii), wherein the position C(3) of the tetrahydrocarbazole ring of Formula **I** is (*S*)-configurated.
 - xxx) A further embodiment relates to compounds of Formula I according to any one of embodiments i) to xxviii), wherein the position C(3) of the tetrahydrocarbazole ring of Formula I is (R)-configurated.
 - Described compounds of Formula I are selected from the group consisting of: (3S)-[3-(3,3-diphenyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 20 (3R)-{3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9yl }-acetic acid;
 - (3S)-[6-fluoro-3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic
 - (3R)-{3-[2-(4-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-
- 25 yl}-acetic acid;
 - (3S)-{3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
 - (3R)-(3-isobutoxycarbonylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;
 - (3R)-[6-fluoro-3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-{3-[3-(4-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
 - (3R)-(3-benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;

(3S)-(3-benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid; and

(3S)-{3-[2-(4-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}acetic acid.

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Other compounds of Formula I are selected from the group consisting of:

- (3R)-{3-[2-(2-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
- (3S)-{3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-
- 10 yl}-acetic acid;
 - (3S)-[6-fluoro-3-(4-oxo-4-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]acetic acid;
 - (3S)-[6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 15 (3*S*)-{3-[(2,3-dihydro-1*H*-indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*carbazol-9-yl}-acetic acid;
 - (3S)- $(3-\{[2-(4-chloro-phenyl)-acetyl]-ethyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9<math>H$ carbazol-9-yl)-acetic acid;
 - (3R)-(3-propoxycarbonylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;
- 20 (3R)-[6-fluoro-3-(2-p-tolyloxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-{6-fluoro-3-[methyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
 - (3S)-[6-fluoro-3-(3-1*H*-indol-3-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-
- 25 acetic acid;
 - (3S)-[3-(3-benzo[1,3]dioxol-5-yl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-{6-fluoro-3-[ethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}acetic acid;
- (3S)-{3-[2-(4-chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-30 acetic acid;
 - (3S)-[3-(2,3-diphenyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;

- (3R)-[6-fluoro-3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid; (3S)-{3-[3-(3,4-difluoro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid;
- (3S)-[3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 5 (3R)-[3-(2-benzyloxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid; (3S)-{6-fluoro-3-[3-(2-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-fluoro-3-[propyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
- 10 (3S)-[3-(2-benzyloxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yll-acetic acid; (3R)-(3-benzyloxycarbonylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid; (3R)-{6-fluoro-3-[2-(4-methoxy-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9H-carbazol-9yl}-acetic acid;
- (3R) [3 [3 (4 chloro phenyl) propionylamino] 6 fluoro 1,2,3,4 tetrahydro 9H carbazol 9 15 yl}-acetic acid;
 - (3*S*)-{3-[4-(4-bromo-phenyl)-4-oxo-butyrylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*carbazol-9-yl}-acetic acid;
 - (3S)- $(3-\{[2-(4-chloro-phenyl)-acetyl]-propyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9<math>H$ carbazol-9-yl)-acetic acid;
- (3R)-(3-phenylacetylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid; 20 (3R)-{3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9yl}-acetic acid;
 - (3S)-{6-fluoro-3-[2-(4-trifluoromethyl-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
- 25 (3R)-(6-fluoro-3-phenylacetylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid; (3S)-{6-fluoro-3-[3-(2-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
 - (3S)-[3-(3-1H-benzoimidazol-2-yl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl]-acetic acid;
- 30 (3S)-{6-fluoro-3-[3-(4-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
 - (3S)-[6-fluoro-3-(2-p-tolyloxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;

- (3R)-[6-fluoro-3-(2-p-tolyl-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid; (3R)-{3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9yl}-acetic acid;
- (3R)-[3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 5 (3S)-[6-fluoro-3-(3-p-tolyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-(3-benzyloxycarbonylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;
 - (3S)-[6-fluoro-3-(2-p-tolyl-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-[3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3S)-{6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-10 yl}-acetic acid;
 - (3R)-[3-(2-benzyloxy-ethoxycarbonylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-[6-fluoro-3-(3-naphthalen-2-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]acetic acid;
 - (3S)-{6-fluoro-3-[4-(4-methanesulfonyl-phenyl)-4-oxo-butyrylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)- $(3-\{[2-(4-chloro-phenyl)-acetyl]-methyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9<math>H$ carbazol-9-yl)-acetic acid;
- (3S)-[3-(3-phenylsulfonyl-ureido)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid; 20 (3S)-{6-fluoro-3-[3-(4-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid;
 - (3R)-[3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3R)- $\{3-[2-(4-chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9$ *H* $-carbazol-9-yl\}-$
- 25 acetic acid;
 - (3R)-[6-fluoro-3-(3-p-tolyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3R)-{6-fluoro-3-[3-(4-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid;
- (3S)-{6-fluoro-3-[3-(4-hydroxy-3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-30 9H-carbazol-9-yl}-acetic acid;
 - (3S)-{6-fluoro-3-[2-(3-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;

- (3*S*)-{6-fluoro-3-[2-(4-methoxy-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*R*)-{3-[2-(3-chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{6-fluoro-3-[2-(4-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid; (3*R*)-(3-*tert*-butoxycarbonylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*R*)-{3-[2-(3,4-dichloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 10 (3*S*)-(3-isobutoxycarbonylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*S*)-{6-fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid; and (3*S*)-[6-fluoro-3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid.
- Other compounds of Formula I are selected from the group consisting of:

 (3R)-[3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;

 (3S)-[3-(2-benzyloxy-ethoxycarbonylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-[3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 20 (3*S*)-(3-propoxycarbonylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*S*)-[3-(2-thiophen-2-yl-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*S*)-(3-phenylacetylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*R*)-[3-(3-phenylsulfonyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3*S*)-[3-(3-benzyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*R*)-[3-(3-naphthalen-1-yl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3*S*)-(3-decanoylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*S*)-[6-fluoro-3-(3-naphthalen-2-yl-acryloylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-{3-[2-(4-*tert*-butyl-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-(3-benzyloxycarbonylamino-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid:

- (3*S*)-(3-benzyloxycarbonylamino-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- (3*S*)-[6-fluoro-3-(3-pyridin-3-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- 5 (3*R*)-[3-(3-benzyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*S*)-[3-(3-methyl-butyrylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*R*)-{6-fluoro-3-[2-(4-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-[3-(3-phenyl-ureido)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- (3*S*)-[3-(3-cyclopentyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*R*)-[3-(2-thiophen-2-yl-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*S*)-(3-butyrylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*R*)-(3-benzyloxycarbonylamino-8-chloro-5-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid:
- 15 (3*S*)-(3-benzyloxycarbonylamino-8-chloro-5-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(3-heptanoylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*R*)-[3-(3-cyclopentyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3R)-(3-decanoylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;
- 20 (3*R*)-(3-benzoylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*R*)-[3-(3-butyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*S*)-{6-fluoro-3-[(1*H*-indole-2-carbonyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - $(3R)-[3-(3-\text{methyl-butyrylamino})-1,2,3,4-\text{tetrahydro-}9H-\text{carbazol-}9-\text{yl}]-\text{acetic acid}; \text{ and } 1-(3-\text{methyl-butyrylamino})-1,2,3,4-\text{tetrahydro-}9H-\text{carbazol-}9-\text{yl}]-\text{acetic acid}; \text{ acid$
- 25 (3S)-[3-(3-butyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid.
 - In another embodiment preferred compounds of Formula I are selected from the group consisting of:
 - (3R)-[3-(3-Benzyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 30 (3*S*)-[3-(3-Benzyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*S*)-[6-Fluoro-3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*R*)-[3-(3-Benzyl-ureido)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;

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- (3S)-[3-(3-Benzyl-ureido)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3R)-[8-Chloro-6-fluoro-3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 5 (3*S*)-[8-Chloro-6-fluoro-3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*R*)-(3-Benzyloxycarbonylamino-6-trifluoromethyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid·
 - (3*S*)-(3-Benzyloxycarbonylamino-6-trifluoromethyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*R*)-(3-Benzyloxycarbonylamino-8-bromo-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(3-Benzyloxycarbonylamino-8-bromo-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 15 (3*R*)-(3-Benzyloxycarbonylamino-6-fluoro-8-vinyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(3-Benzyloxycarbonylamino-6-fluoro-8-vinyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3R)-(3-Benzyloxycarbonylamino-6-fluoro-8-methanesulfonyl-1,2,3,4-tetrahydro-9H-carbazol 9 yl) acetic acid;
 - (3*S*)-(3-Benzyloxycarbonylamino-6-fluoro-8-methanesulfonyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(3-Benzyloxycarbonylamino-6-fluoro-8-methyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 25 (3*S*)-(3-Benzyloxycarbonylamino-7-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(8-Allyl-3-benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*R*)-(3-Benzyloxycarbonylamino-8-chloro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid:
 - (3*S*)-{3-[3-(2,4-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*S*)-[6-Fluoro-3-(3-naphthalen-1-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3*R*)-{6-Fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{6-Fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{6-Fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-
- 10 yl}-acetic acid;

- (3*R*)-{6-Fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*S*)-{6-Fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 15 (3*R*)-{6-Fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{6-Fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-y₁}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3R)-{6-Fluoro-3-[2-(2-methylphenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-{6-Fluoro-3-[2-(2-methylphenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(2,5-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(4-trifluoromethyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-
- 30 carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(2,6-Dichloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*S*)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*S*)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{6-Fluoro-3-[3-(4-iodo-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(4-isopropyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[3-(3-trifluoromethyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-
- 10 carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(2,4-Dichloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(4-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 15 (3*S*)-{3-[3-(3,5-Bis-trifluoromethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(4-Ethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[3-(3-iodo-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-
- 20 yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(4-methanesulfonyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(2,3-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-{3-[3-(2-Bromo-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(3-trifluoromethoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{3-[3-(2.4-Dimethyl-phenyl)-propionylamino]-6-fluoro-1.2.3.4-tetrahydro-9H-
- 30 carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(3-Bromo-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*S*)-{3-[3-(3-*tert*-Butoxycarbonylamino-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*S*)-{6-Fluoro-3-[(*S*)-3-(4-fluoro-phenyl)-2-phenyl-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{6-Fluoro-3-[(*S*)-3-(4-methoxy-phenyl)-2-phenyl-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(2-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-(6-Fluoro-3- $\{[(2RS)-1,2,3,4$ -tetrahydro-naphthalene-2-carbonyl]-amino $\}$ -1,2,3,4-
- 10 tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(6-Fluoro-3-{[(2*RS*)-8-methoxy-1,2,3,4-tetrahydro-naphthalene-2-carbonyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(6-Fluoro-3-{(2*RS*)-2-[(4-fluoro-phenylcarbamoyl)-methyl]-3-phenyl-propionylamino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 15 (3*S*)-{3-[(2*RS*)-2-Benzyl-3,3-dimethyl-butyrylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-(6-Fluoro-3-{[(2*RS*)-8-methoxy-1,2,3,4-tetrahydro-naphthalene-2-carbonyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 20 yl}-acetic acid;
 - (3*S*)-(6-Fluoro-3-{[(2*RS*)-8-methoxy-1,2,3,4-tetrahydro-naphthalene-2-carbonyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-{6-Fluoro-3-[(2R)-2-methyl-3-phenyl-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-[3-(2,2-Dimethyl-3-phenyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-[6-Fluoro-3-(3-methyl-3-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3S)- $\{6$ -Fluoro-3-[(3S)-3-phenyl-butyrylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl $\}$ -acetic acid;
 - (3S)-[3-(2-Benzyloxy-acetylamino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-[6-Fluoro-3-(4-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;

- (3S)-{3-[(2R,3R)-2,3-Dihydroxy-3-(2-methoxy-phenylcarbamoyl)-propionylamino]-6fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3R)-{8-Chloro-6-fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
- 5 (3S)-{8-Chloro-6-fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3R)-{8-Chloro-6-fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-{8-Chloro-6-fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9H-
- 10 carbazol-9-vl}-acetic acid:
 - (3R)-{8-Chloro-6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1.2.3.4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-{8-Chloro-6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
- 15 (3R)-{8-Chloro-6-fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-{8-Chloro-6-fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3R)-{8-Chloro-6-fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-
- 20 carbazol-9-yl}-acetic acid;
 - (3S)-{8-Chloro-6-fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3R)-{8-Chloro-6-fluoro-3-[3-(2-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
- 25 (3S)-{8-Chloro-6-fluoro-3-[3-(2-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3R)-[8-Chloro-6-fluoro-3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9yl]-acetic acid;
- (3S)-[8-Chloro-6-fluoro-3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-30 acetic acid;
 - (3R)-{8-Chloro-6-fluoro-3-[3-(2-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;

- (3*S*)-{8-Chloro-6-fluoro-3-[3-(2-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*R*)-{8-Chloro-3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{8-Chloro-3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-[8-Chloro-6-fluoro-3-(3-1*H*-indol-3-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-[8-Chloro-6-fluoro-3-(3-1H-indol-3-yl-propionylamino)-1,2,3,4-tetrahydro-9H-
- 10 carbazol-9-yl]-acetic acid;
 - (3*R*)-{8-Chloro-3-[2-(2-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-3-[2-(2-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 15 (3*R*)-{8-Chloro-6-fluoro-3-[2-(2-methylphenyl)-oxy-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-6-fluoro-3-[2-(2-methylphenyl)-oxy-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3R)-[3-(3-Benzo[1,3]dioxol-5-yl-propionylamino)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-1
- 20 9H-carbazol-9-yl]-acetic acid;
 - (3*S*)-[3-(3-Benzo[1,3]dioxol-5-yl-propionylamino)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*R*)-{8-Chloro-6-fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-{8-Chloro-6-fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{8-Chloro-3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{8-Chloro-3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-
- 30 carbazol-9-yl}-acetic acid;
 - (3R)-{8-Chloro-3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*S*)-{8-Chloro-3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*R*)-[8-Chloro-6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- 5 (3*S*)-[8-Chloro-6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-[6-Fluoro-3-(1-methyl-3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- $(3S)-\{3-[3-(2-Chloro-benzyl)-1-methyl-ureido]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-1,2,3,4-tetrahydro-9-1,2,3,4-tetrahy$
- 10 yl}-acetic acid;
 - (3S)-[3-(3-Benzyl-1-methyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-[3-(Benzyloxycarbonyl-methyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- 15 {(3*S*)-3-[(2-Chloro-benzyloxycarbonyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-(6-Fluoro-3-{[2-(4-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-(6-Fluoro-3-{methyl-[2-(4-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9H-
- 20 carbazol-9-yl)-acetic acid;
 - (3*S*)-(6-Fluoro-3-{[2-(2-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-{6-Fluoro-3-[(2-indan-2-yl-acetyl)-methyl-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-(3-{[2-(3-Chloro-phenyl)-acetyl]-methyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(6-Fluoro-3-{methyl-[2-(3-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- (3*S*)-(6-Fluoro-3-{[2-(3-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9*H*-30 carbazol-9-yl)-acetic acid;
 - (3S)-(3-{[2-(2-Chloro-phenoxy)-acetyl]-methyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;

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- (3S)- $(3-\{[2-(4-Chloro-phenoxy)-acetyl]-methyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9<math>H$ carbazol-9-yl)-acetic acid;
- (3S)-(6-Fluoro-3-{[3-(3-methoxy-phenyl)-propionyl]-methyl-amino}-1,2,3,4-tetrahydro-9Hcarbazol-9-yl)-acetic acid;
- 5 (3S)-(6-Fluoro-3-{methyl-[2-(2-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9*H*carbazol-9-yl)-acetic acid:
 - (3S)-{3-[(3,3-Diphenyl-propionyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid;
- (3S)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-methyl-amino}-1,2,3,4-tetrahydro-9H-
- 10 carbazol-9-yl)-acetic acid;
 - (3S)-{6-Fluoro-3-[(3-1H-indol-3-yl-propionyl)-methyl-amino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-{3-[(2-Benzyloxy-acetyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9vl}-acetic acid:
- (3S)-{3-[(2,3-Diphenyl-propionyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-15 9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[[3-(2-methoxy-phenyl)-propionyl]-(3-phenyl-propyl)-amino]-1,2,3,4tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{3-[Acetyl-(3-phenyl-propyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-
- 20 acetic acid;

- (3S)-{3-[3-Benzyl-(1-cyclopropylmethyl)-ureido]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid;
- (3S)-[3-(Benzyloxycarbonyl-cyclopropylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl]-acetic acid;
- 25 (3S)-{3-[Cyclopropylmethyl-(3-phenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-{3-[Cyclopropylmethyl-((S)-2-methyl-3-phenyl-propionyl)-amino]-6-fluoro-1,2,3,4tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-(3-{Cyclopropylmethyl-[3-(2-methoxy-phenyl)-propionyl]-amino}-6-fluoro-1,2,3,4tetrahydro-9H-carbazol-9-yl)-acetic acid;
 - (3S)-(3-{[2-(3-Chloro-phenoxy)-acetyl]-cyclopropylmethyl-amino}-6-fluoro-1,2,3,4tetrahydro-9H-carbazol-9-yl)-acetic acid;

- (3*S*)-{3-[Cyclopropylmethyl-(3,3-diphenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3S)-{3-[Cyclopropylmethyl-(2-naphthalen-1-yl-acetyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-(3-{Benzyloxycarbonyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-(3-{Acetyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-(6-Fluoro-3-{propionyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-
- 10 tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(6-Fluoro-3-{(3-phenyl-propionyl)-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 15 (3*S*)-{6-Fluoro-3-[(2-phenoxy-ethyl)-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[((*S*)-2-methyl-3-phenyl-propionyl)-(2-phenoxy-ethyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 20 tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[Acetyl-(2-phenoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{3-[3-Benzyl-1-(2-methoxy-ethyl)-ureido]-6-fluoro-1,2,3,4-tetrahydro-9*II*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-{3-[Benzyloxycarbonyl-(2-methoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[(2-methoxy-ethyl)-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[(2-methoxy-ethyl)-((*S*)-2-methyl-3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-(6-Fluoro-3-{(2-methoxy-ethyl)-[3-(2-methoxy-phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;

- (3S)-{3-[[2-(3-Chloro-phenoxy)-acetyl]-(2-methoxy-ethyl)-amino]-6-fluoro-1,2,3,4tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3S)-{3-[(3,3-Diphenyl-propionyl)-(2-methoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3S)-{6-Fluoro-3-[(2-methoxy-ethyl)-(2-naphthalen-1-yl-acetyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-(6-Fluoro-3- $\{[(2S)$ -2-methyl-3-phenyl-propionyl $\}$ -phenethyl-amino $\}$ -1,2,3,4tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- (3S)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-phenethyl-amino}-1,2,3,4-tetrahydro-
- 9H-carbazol-9-yl)-acetic acid; 10
 - (3S)-[3-(Acetyl-phenethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-{6-Fluoro-3-[(2-naphthalen-1-yl-acetyl)-phenethyl-amino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
- (3S)-{6-Fluoro-3-[phenethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-15 9-yl}-acetic acid;
 - (3S)-[3-(3-Benzyl-1-naphthalen-1-ylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl]-acetic acid;
 - (3S)-[3-(Benzyloxycarbonyl-naphthalen-1-ylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9H-
- 20 carbazol-9-yl]-acctic acid;
 - (3S)-{6-Fluoro-3-[naphthalen-1-ylmethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[((S)-2-methyl-3-phenyl-propionyl)-naphthalen-1-ylmethyl-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-naphthalen-1-ylmethyl-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-{3-[(3,3-Diphenyl-propionyl)-naphthalen-1-ylmethyl-amino]-6-fluoro-1,2,3,4tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3S)-[3-(Acetyl-naphthalen-1-ylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9yı j-acetic acıa; **3**U
 - (3S)-[6-Fluoro-3-(naphthalen-1-ylmethyl-propionyl-amino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;

- (3*S*)-{3-[(*RS*)-2-Benzyl-3-(2-methylphenyl)-carbamoyl-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*S*)-{3-[(*RS*)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{3-[(*RS*)-2-Benzyl-3-(4-chloro-phenylcarbamoyl)-propionylamino]-6-fluoro-1.2.3.4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[(*RS*)-2-Benzyl-3-(4-fluoro-benzylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - [(3S)-3-((RS)-2-Benzyl-3-propylcarbamoyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-
- 10 9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-[6-Fluoro-3-(3-thiophen-2-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-{3-[3-(3-Chloro-isoxazol-5-yl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 15 (3*S*)-[6-Fluoro-3-(3-pyrimidin-2-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*S*)-{6-Fluoro-3-[3-phenyl-4-([1,3,4]thiadiazol-2-ylcarbamoyl)-butyrylamino]-1,2,3,4-
 - (35)-{6-Fluoro-3-[3-phenyl-4-([1,3,4]thiadiazol-2-ylcarbamoyl)-butyrylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-[3-(1,3-Dibenzyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 20 (3*S*)-(3-{Acetyl-[2-(2-fluoro-phenyl)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(3-{Acetyl-[2-(3-fluoro-phenyl)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 H- carbazol-9-tetrahydro-9 m-
- 25 yl]-acetic acid; and
 - (3*S*)-(3-{Cyclohexylmethyl-[3-(2-methoxy-phenyl)-propionyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid.
- Unless explicitly stated otherwise, the general terms and names used hereinbefore and hereinafter preferably have within the context of this disclosure the following meanings:
 - Where the plural form is used for compounds, salts, pharmaceutical compositions, diseases and the like, this is intended to mean also a single compound, salt, or the like.

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Any reference to a compound of Formula I is to be understood as referring also to salts (especially pharmaceutically acceptable salts) of a compound of Formula I, as appropriate and expedient.

5 The term "comprising" as used in this specification and claims means "consisting at least in part of". When interpreting statements in this specification and claims which includes the "comprising", other features besides the features prefaced by this term in each statement can also be present. Related terms such as "comprise" and "comprised" are to be interpreted in similar manner.

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In this specification where reference has been made to patent specifications, other external documents, or other sources of information, this is generally for the purpose of providing a context for discussing the features of the invention. Unless specifically stated otherwise, reference to such external documents is not to be construed as an admission that such documents, or such sources of information, in any jurisdiction, are prior art, or form part of the common general knowledge in the art.

In the description in this specification reference may be made to subject matter that is not within the scope of the claims of the current application. That subject matter should be readily identifiable by a person skilled in the art and may assist in putting into practice the invention as defined in the claims of this application.

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

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The term "bridging group" or "bridging atom" as used herein means a group or atom that is placed between two distinct moieties of the molecule.

Examples for such bridging groups are the bridging C₁₋₆-alkyl group in an aryl-C₁₋₆-alkylcarbonyl group which is placed between the aryl and the carbonyl moiety; the bridging C₁₋₄-alkyl group in an aryl-C₁₋₄-alkyl group, which is placed between the aryl moiety and the parent molecular moiety; or the bridging C₁₋₄-alkyl group in an R^7 - C_{1-4} -alkylcarbonyl group which is placed between the R^7 -group and the carbonyl moiety.

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An example for such bridging atoms is the bridging carbon atom of a methylene (-CH₂-) group in a benzyloxy or benzylamino group, which is placed between the phenyl ring and the oxygen atom, or the phenyl ring and the nitrogen atom, respectively.

5 The term "alkyl" as used herein, alone or in any combination, refers to a saturated aliphatic group including a straight or branched hydrocarbon chain containing the indicated number of carbon atoms, for example $C_{1.9}$ -alkyl, i.e. an alkyl having 1-9 carbon atoms. Representative examples of alkyl groups include, but are not limited to, methyl, ethyl, *n*-propyl, *iso*-propyl, *n*-butyl, *tert*-butyl, *iso*-butyl (or also referred to as "2-methylpropyl"), 10 *n*-pentyl (also referred to as "*n*-amyl"), *iso*-pentyl (also referred to as "*iso*-amyl"), *n*-hexyl, n-heptyl, and n-octyl. Preferred are methyl, ethyl, n-propyl, iso-propyl, n-butyl, and iso-butyl. Most preferred are methyl, ethyl, n-propyl, and iso-propyl.

A bridging C₁₋₆-alkyl group as used in "aryl-C₁₋₆-alkylcarbonyl" as defined for R⁶ preferably means a C₂₋₄-alkyl group, whereby the aryl moiety and the carbonyl moiety are preferably 15 attached to two different carbon atoms of the bridging C₂₋₄-alkyl group. Preferred examples of bridging C₁₋₆-alkyl groups as used in R⁶ being aryl-C₁₋₆-alkylcarbonyl are ethane-1,2-diyl, propane-1,2-diyl, propane-1,3-diyl, and 2-methyl-propane-1,2-diyl.

In another embodiment a bridging C₁₋₆-alkyl group as used in "aryl-C₁₋₆-alkylcarbonyl" as defined for R⁶ means the respective C₁₋₆-alkyl group, whereby the aryl moiety and the carbonyl moiety preferably are attached to the same carbon atom of the bridging C_{1-6} -alkyl group. Examples of such bridging C₁₋₆-alkyl groups as used in R⁶ being aryl- C_{1-6} -alkylcarbonyl are a methylene group (preferred) and ethane-1,1-diyl.

A bridging C₁₋₃-alkyl group as used in "diaryl-C₁₋₃-alkylcarbonyl" as defined for R⁶ preferably means a C2-3-alkyl group, whereby the carbonyl moiety and at least one of the aryl groups are preferably attached to two different carbon atoms of the bridging C_{2-3} -alkyl group. Preferred examples of such bridging C₁₋₃-alkyl groups as used in R⁶ being diaryl- C_{1-3} -alkylcarbonyl are ethane-1,2,2-triyl, and ethane-1,1,2-triyl.

A bridging C₁₋₄-alkyl group as used in "R⁷-C₁₋₄-alkylcarbonyl, wherein the bridging C₁₋₄-alkyl group may additionally be mono-substituted with aryl" as defined for R⁶ 30 preferably means a C₂₋₄-alkyl group (particularly, if the additional aryl substituent is present, it means propane-1,2,3-triyl) whereby the group R⁷, the carbonyl moiety, and the aryl substituent (if present) preferably are attached to two (three, if the additional aryl substituent is present) different carbon atoms of the bridging $C_{2\text{-}4}$ -alkyl group. Examples of bridging $C_{1\text{-}4}$ -alkyl groups as used in R^6 being " R^7 - $C_{1\text{-}4}$ -alkylcarbonyl, wherein the bridging $C_{1\text{-}4}$ -alkyl group may additionally be mono-substituted with aryl" are ethane-1,2-diyl, propane-1,2-diyl, propane-1,3-diyl, 2-phenyl-propane-1,3-diyl, and 1-phenyl-propane-2,3-diyl; preferred are 2-phenyl-propane-1,3-diyl, and 1-phenyl-propane-2,3-diyl, especially 1-phenyl-propane-2,3-diyl.

An example of a bridging C_{1-4} -alkyl group as used in R^6 being " R^7 - C_{1-4} -alkylcarbonyl, wherein the bridging C_{1-4} -alkyl group may additionally be disubstituted with hydroxy" is 1,2-dihydroxyethane-1,2-diyl.

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The term "alkenyl" as used herein, alone or in any combination, refers to a straight or branched hydrocarbon chain containing 2-7, preferably 2-4, carbon atoms with at least one carbon-carbon double bond ($R_aR_bC=CR_cR_d$). R_a - R_d refer to substituents, each individually and independently selected from hydrogen and alkyl. Representative examples of alkenyl include, but are not limited to, ethenyl (also referred to as "vinyl"), 2-propenyl (also referred to as "allyl"), 2-methyl-2-propenyl, 3-butenyl, 4-pentenyl, and 5-hexenyl, especially ethenyl or 2-propenyl.

The term "C₁₋₂-alkylendioxy" as used herein, alone or in any combination, refers to an -O(CH₂)_nO- group, wherein n is 1 or 2, and wherein the oxygen atoms are attached to two adjacent carbon atoms of the parent molecular moiety, preferably the two adjacent carbon atoms of a phenyl ring.

The term "alkoxy" as used herein, alone or in any combination, refers to an alkyl group attached to the parent molecular moiety through an oxygen bridge. Representative examples of alkoxy include, but are not limited to, methoxy, ethoxy, propoxy, 2-propoxy, butoxy, *tert*-butoxy, pentoxy, and hexyloxy, especially methoxy.

The term "alkoxycarbonyl", as used herein, alone or in any combination, refers to an alkoxy group attached to the parent molecular moiety through a carbonyl group. Representative examples of alkoxycarbonyl include, but are not limited to, methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, and iso-butoxycarbonyl, especially methoxy. R⁶ representing alkoxycarbonyl preferably means *n*-propoxycarbonyl, and *iso*-butoxycarbonyl.

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The term "alkylcarbonyl" as used herein, alone or in any combination, refers to an alkyl group attached to the parent molecular moiety through a carbonyl group. Representative examples of alkylcarbonyl include, but are not limited to, acetyl, 1-oxopropyl, 2,2-dimethyl-1-oxopropyl, 1-oxobutyl, and 1-oxopentyl. Further examples are 1-oxo-2-methyl-butyl, and 3,3-dimethyl-1-oxopropyl. Preferred are acetyl, 1-oxopropyl, 1-oxobutyl, 1-oxo-2-methyl-butyl, and 3,3-dimethyl-1-oxopropyl.

The term "alkylsulfonyl", as used herein, alone or in any combination, refers to an alkyl group attached to the parent molecular moiety through a sulfonyl group. Representative examples of alkylsulfonyl include, but are not limited to, methanesulfonyl and ethanesulfonyl, preferably methanesulfonyl.

The term "aminocarbonyl" (also referred to as "carbamoyl") as used herein, alone or in any combination, refers to an amino group attached to the parent molecular moiety through a carbonyl group.

The term "aryl" or "aryl group", as used herein, alone or in any combination, refers to an aromatic carbocyclic group from 6 to 14 carbon atoms having a single ring or multiple condensed rings, and preferably refers to a phenyl or naphthyl, very preferably to a phenyl group. An aryl group is preferably unsubstituted. In another embodiment the aryl group may be substituted as specifically described in the embodiments of the present invention. If an aryl group is mono- or di-substituted, preferred but not limiting examples are 4-trifluoromethyl-phenyl, 3-trifluoromethyl-phenyl, 2,5-bis-trifluoromethyl-phenyl, 3,5-bistrifluoromethyl-phenyl, 3-trifluoromethoxy-phenyl, 4-chlorophenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 2,4-dichlorophenyl, 4-methylphenyl, 3-methylphenyl, 2-methylphenyl, 2,4-dimethylphenyl, 4-ethylphenyl, 4-isopropylphenyl, 4-tert.-butylphenyl, 2-fluorophenyl, 3,4-difluorophenyl, 4-fluorophenyl, 3-fluorophenyl, 4-iodophenyl, 3-bromophenyl, 2-bromophenyl, 4-methoxyphenyl, 3-methoxyphenyl, 2-methoxyphenyl, 2,3-dimethoxyphenyl, 2,4-dimethoxyphenyl, 2,5-dimethoxyphenyl, 4-hydroxyphenyl, 3-hydroxyphenyl. 2-hydroxyphenyl. 4-methylthio-phenyl. 4-methanesulfonyl-phenyl. and 3-tert.-butoxycarbonylamino-phenyl.

The term "arylalkenyl", as used herein, alone or in any combination, refers to an aryl group attached to the parent molecular moiety through an alkenyl group. Representative examples of arylalkenyl include, but are not limited to, 2-phenylethenyl, 3-phenylpropen-2-yl, and 2naphth-2-ylethenyl.

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The term "aryloxy", as used herein, alone or in any combination, refers to an aryl group attached to the parent molecular moiety through an oxygen bridge.

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The term "arylsulfonyl", as used herein, alone or in any combination, refers to an aryl group attached to the parent molecular moiety through a sulfonyl group.

The term "carbonyl", as used herein, alone or in any combination, refers to a -C(O)- group.

The term "thiocarbonyl", as used herein, alone or in any combination, refers to a -C(S)-

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The term "carboxy", as used herein, alone or in any combination, refers to a -CO₂H group.

The term "cyano", as used herein, alone or in any combination, refers to a -C≡N group.

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The term "cycloalkyl", as used herein, alone or in any combination, refers to a saturated cyclic hydrocarbon moiety containing 3-10 carbon atoms (for example C₃₋₆-cycloalkyl means a cycloalkyl having 3 to 6 carbon atoms), preferably a cyclopentyl or cyclohexyl radical, whereby said radicals, especially the cyclopentyl radical, may be substituted with an annellated benzene ring. In another embodiment said benzene ring may be mono-, or disubstituted, wherein the substituent(s) are independently selected from C_{1,4}-alkyl, C_{1-4} -alkoxy, and halogen (especially C_{1-4} -alkoxy). In case the cycloalkyl group is used as a bridging group as for example in an "aryl-C₃₋₆-cycloalkylcarbonyl" group as defined for R⁶, it is preferably a cyclopropane-diyl, cyclopentane-diyl or cyclohexane-diyl radical (especially cyclopropane-1,2-diyl) said radical being preferably unsubstituted.

The term "formyl", as used herein, alone or in any combination, refers to a -C(O)H group.

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The term "halo" or "halogen", as used herein, alone or in any combination, refers to fluorine, bromine, chlorine, or iodine, and unless specifically indicated otherwise, it refers to especially fluorine or chlorine.

- The term "haloalkyl", as used herein, alone or in any combination, refers to an alkyl group having at least one hydrogen atom replaced with a halogen atom. Representative examples of haloalkyl include, but are not limited to, chloromethyl, 2-fluoroethyl, trifluoromethyl, pentafluoroethyl, and 2-chloro-3-fluoropentyl, preferably trifluoromethyl.
- The term "haloalkoxy", as used herein, alone or in any combination, refers to an alkoxy group having at least one hydrogen atom replaced with a halogen atom. Representative examples of haloalkoxy include, but are not limited to, chloromethoxy, 2-fluoroethoxy, trifluoromethoxy, and pentafluoroethoxy, preferably trifluoromethoxy.
- The term "heterocyclyl", as used herein, alone or in any combination, refers to a monocyclic, bicyclic or polycyclic non-aromatic ring system containing up to 15 ring atoms (preferably 5 to 10 ring atoms), at least one of these being a heteroatom, preferably one to three heteroatoms, independently selected from nitrogen, oxygen or sulfur, preferably nitrogen. This ring system may be saturated, partially saturated, or unsaturated, and preferably contains one or two ring heteroatoms selected from nitrogen. Representative examples of heterocyclyl include, but are not limited to, dihydroindolyl (especially dihydroindol-2-yl) and chromane (especially chroman-3-yl).

The term "heteroaryl", as used herein, alone or in any combination, has the meaning as defined for heterocyclyl above, with the difference that the ring system is aromatic.

The term "heteroarylalkyl", as used herein, alone or in any combination, refers to a heteroaryl group attached to the parent molecular moiety through an alkyl group. Representative examples of heteroarylalkyl include, but are not limited to, thienylalkyl (especially thien-2-ylalkyl), isoxazolylalkyl (especially 3-chloro-isoxazole-5-ylalkyl), pyridylalkyl (especially pyridine-3-ylalkyl), pyrimidylalkyl (especially pyrimidine-2 ylalkyl), indolylalkyl (especially indol 3 ylalkyl), and benzoimidazolylalkyl (especially

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benzoimidazol-2-ylalkyl).

The term "heteroarylcarbonyl", as used herein, alone or in any combination, refers to a heteroaryl group attached to the parent molecular moiety through a carbonyl group. A representative example of heteroarylcarbonyl includes, but is not limited to, indolylcarbonyl (especially indol-2-ylcarbonyl).

The term "heteroarylamino", as used herein, alone or in any combination, refers to a heteroaryl group attached to the parent molecular moiety through an amino group. A representative example of heteroarylamino includes, thiadiazolylamino (especially 1,3,4thiadiazol-2-yl-amino).

The term "heterocyclylcarbonyl", as used herein, alone or in any combination, refers to a heterocyclyl group attached to the parent molecular moiety through a carbonyl group. A representative example of heterocyclylcarbonyl includes, dihydroindolylcarbonyl (especially dihydroindol-2-ylcarbonyl) and chromanecarbonyl (especially chroman-3-ylcarbonyl).

The term "hydroxy" or "hydroxyl" as used herein, alone or in any combination, refers to an 20 -OH group

The term "nitro", as used herein, alone or in any combination, refers to a -NO₂ group.

The term "oxo", as used herein, alone or in any combination, refers to an =O group.

The term "oxy", as used herein, alone or in any combination, refers to an -O- group.

The terms "sulfonyl", as used herein, alone or in any combination, refer to an -S(O)₂- group.

30 The term "acyl" as used herein refers to groups containing a carbonyl group that is linked to a carbon atom such as C₁₋₉-alkylcarbonyl, arylalkenylcarbonyl, aryl-C₁₋₆-alkylcarbonyl, aryl-C₁₋₃-alkoxy-C₁₋₃-alkylcarbonyl, arylcarbonyl, arylcarbonyl-C₁₋₄-alkylcarbonyl, aryloxy-C₁₋₃-alkylcarbonyl, cycloalkyl-C₁₋₃-alkylcarbonyl, diaryl-C₁₋₃-alkylcarbonyl,

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heterocyclylcarbonyl, heteroaryl-C₁₋₃-alkylcarbonyl, heteroarylcarbonyl, arvl-C₃₋₆-cycloalkylcarbonyl, cycloalkylcarbonyl, or R⁷-C₁₋₄-alkylcarbonyl groups as used in R⁶ of Formula I.

In analogy, the term "acylamino" as used herein refers to an acyl group as described before that is linked to the parent molecular moiety through a nitrogen atom.

The term "ureido" as used herein refers to groups such as C_{1.9}-alkylaminocarbonyl, arylaminocarbonyl, or aryl-C₁₋₃-alkylaminocarbonyl that are linked to the parent molecular moiety through a nitrogen atom.

The term "oxycarbonylamino" as used herein refers to groups such as C₁₋₉-alkoxycarbonyl, aryl- C_{1-3} -alkoxycarbonyl, or aryl- C_{1-3} -alkoxy- C_{1-3} -alkoxycarbonyl that are linked to the parent molecular moiety through a nitrogen atom.

The compounds of Formula I may contain one or more stereogenic or asymmetric centers, such as one or more asymmetric carbon atoms. Substituents at a double bond or a ring may be present in cis- (= Z-) or trans (= E-) form unless indicated otherwise. The compounds of Formula I may thus be present as mixtures of stereoisomers or preferably as pure stereoisomers. Mixtures of stereoisomers may be separated in a manner known to a person skilled in the art.

20 The compounds of the present invention have useful, in particular pharmacologically useful, properties. They bind to the CRTH2 receptor and thus modulate the effects of endogenous PGD₂. The compounds according to Formula I may be used for the preparation of a medicament, and are suitable, for the prevention and/or treatment of diseases selected from the group consisting of chronic and acute allergic/immune diseases/disorders, comprising 25 allergic asthma, rhinitis, allergic rhinitis, chronic obstructive pulmonary disease (COPD), dermatitis, inflammatory bowel disease, rheumatoid arthritis, allergic nephritis, conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, systemic mast cell disorders, anaphylactic shock, urticaria, eczema, itching, inflammation, ischemiareperfusion injury, cerebrovascular disorders, pleuritis, ulcerative colitis, eosinophil-related diseases comprising Churg-Strauss syndrome and sinusitis, and basophil-related diseases, 30 comprising basophilic leukemia and basophilic leukocytosis, in humans and other mammals.

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A compound of Formula I or a pharmaceutical composition comprising a compound of Formula I may be used for the preparation of a medicament, and is suitable, for the prevention and/or treatment of diseases selected from the group consisting of both chronic and acute allergic/immune diseases/disorders such as those mentioned in the paragraph before, such as especially allergic asthma, rhinitis, allergic rhinitis, COPD, dermatitis, inflammatory bowel disease, and rheumatoid arthritis.

In another aspect, the compounds of Formula I may be used as standard or reference compounds in tests or assays involving the modulation of the CRTH2 receptor. Such compounds could be made commercially available for use as a reference, quality standard or control, for example in pharmaceutical research when developing new assays or protocols related to CRTH2 receptor activity.

As mentioned earlier, compounds of Formula I modulate the PGD₂ activation of the CRTH2 receptor. The biological effect of such compounds may be tested in a variety of in vitro, ex vivo and in vivo assays. The ability of the compounds of Formula I to bind to the CRTH2 receptor may be measured by methods similar to those described in the literature (Arimura A. et al., J. Pharmacol. Exp. Ther. 2001, 298(2), 411-419; and Sawyer N. et al., Br. J. Pharmacol, 2002, 137, 1163-1172, respectively) and by the assays described below in the experimental part.

A functional assay with cells expressing the human CRTH2 (hCRTH2) receptor may be used to detect changes in the levels of intracellular calcium concentration following compound treatment. After addition of the compound, the cells are challenged with PGD₂. In a Fluorescent Imaging Plate Reader (FLIPRTM, Molecular Devices, Sunnyvale, California), fluorescence emission is recorded during both additions, emission peak values above base level after PGD₂ addition are exported, and normalized to low controls (no PGD₂) and high controls (no active compound). The relative values of the remaining activity are used to determine IC₅₀ values by curve fitting the data to a single site to a fourparameter logistic sigmoid dose response curve of the equation $(A+((B-A)/(1+((C/x)^D))))$.

The ability of the compounds to modulate PGD₂ induced changes of intracellular calcium

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levels *via* CRTH2 receptor activation may be measured by methods known to one skilled in the art or by the assay described below in the experimental part.

The present invention relates also to pharmaceutical compositions comprising a compound of Formula I, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier; to the use of such pharmaceutical compositions for the therapeutic, in a broader aspect of the invention also prophylactic, treatment of the diseases/disorders mentioned herein; to the compounds of Formula I, or pharmaceutically acceptable salts thereof, for use as a medicament; and to the use of a compound of Formula I, or a pharmaceutically acceptable salt thereof, for the preparation of a pharmaceutical composition for the prevention and/or treatment of the diseases/disorders mentioned herein.

The pharmaceutical compositions according to the invention are those for enteral administration, such as nasal, buccal, rectal, dermal or, especially oral administration, and for parenteral administration, such as intramuscular, intravenous or subcutaneous, intrasternal, intravitreal, injection or infusion, to warm-blooded animals, especially humans. Such compositions comprise an effective dose of the pharmaceutically active ingredient, alone or together with a pharmaceutically acceptable carrier. The dosage of the active ingredient depends on the species of warm-blooded animal, the body weight, the age and the individual conditions, individual pharmacokinetic data, the disease/disorder to be treated and the mode of administration.

The production of the pharmaceutical compositions can be effected in a manner which will be familiar to any person skilled in the art (see for example Mark Gibson, Editor, Pharmaceutical Preformulation and Formulation, IHS Health Group, Englewood, CO, USA, 2001; Remington, *The Science and Practice of Pharmacy*, 20th Edition, Philadelphia College of Pharmacy and Science) by bringing the described compounds of Formula I or their pharmaceutically acceptable salts, optionally in combination with other therapeutically valuable substances, into a galenical administration form together with suitable, non-toxic, inert, therapeutically compatible solid or liquid carrier materials and, if desired, usual pharmaceutical adjuvants.

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In one embodiment, the invention also relates to a method for the prevention or treatment of diseases/disorders that respond to an inhibition of the CRTH2 receptor in particular to a method for the prevention or treatment of the diseases/disorders mentioned herein, said methods comprising administering to a patient a pharmaceutically active amount of a compound of Formula I, or a pharmaceutically acceptable salt thereof.

A further aspect of the invention is a process for the preparation of compounds of Formula I. Compounds according to Formula I of the present invention can be prepared according to the sequence of reactions outlined in the schemes below wherein R¹, R², R³, R⁴, R⁵, R⁶ and R⁷ are as defined for Formula I. Other abbreviations used are defined in the experimental section. In some instances the generic groups R¹, R², R³, R⁴, R⁵, R⁶ and R⁷ might be incompatible with the assembly illustrated in the schemes below and, therefore, will require the use of protecting groups (PG). For example it may be necessary to protect reactive functional groups such as hydroxy, amino, imino, thio or carboxy groups, where these are desired in the final product, to avoid their unwanted participation in the reactions. The use of protecting groups is well known in the art (see for example "Protective Groups in Organic Synthesis", T.W. Greene, P.G.M. Wuts, Wiley-Interscience, 1999). It will be assumed that such protecting groups are as necessary in place. In the following description, for example, PG, when used as amino-protecting group, preferably refers to a group such as tert-butoxycarbonyl, benzyloxycarbonyl, or allyloxycarbonyl, most preferably tertbutoxycarbonyl. Further, L refers to a leaving group, such as activated (for examples as mesylate, active ester etc.) or non-activated hydroxy, or halo, in particular chloro or bromo. Further, R and R' independently refer to a C₁₋₄-alkyl group, preferably ethyl or *tert*-butyl, whereby when R' is present R is preferably ethyl and R' is preferably *tert*.-butyl.

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In general, all chemical transformations can be performed according to well-known standard methodologies as described in the literature, for example those described by Larock R. C. in "Comprehensive organic transformations: a guide to functional group preparations", VCH publishers, 1999, or as described in the procedures below. The compounds obtained may also be converted into pharmaceutically acceptable salts thereof in a manner known per se.

Generally, compounds of Formula I are obtained from an ester of Structure 1, wherein R represents C₁₋₄-alkyl, preferably ethyl, or tert-butyl, by hydrolysis of the ester group using

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routine procedures, for example stirring an intermediate of Structure 1 with aq. lithium, sodium or potassium hydroxide in an organic co-solvent such as an alcohol, like MeOH or EtOH; THF; acetone; MeCN; or TFA, respectively.

Structure 1, wherein R represents C₁₋₄-alkyl

An intermediate of Structure 1 is obtained by reacting an intermediate of Structure 2a or 2b, or a salt thereof, such as the hydrochloride salt, with a reagent of Formula L-R⁶, wherein R⁶ is as defined for Formula I and L is a leaving group as defined before. R⁶ transferring reagent of Structure L-R⁶ may be a chloroformate; or an acyl halide, preferably an acid chloride, or acid bromide, used as such; or generated *in situ* from the corresponding commercially available or well known carboxylic acid with an activating reagent, such as a halogenating reagent under conditions known to a skilled person, preferably by means of oxalyl chloride or phosphorous oxychloride; or an acyl anhydride, transferring R⁶ in the presence of a base, such as Et₃N, DIEA, *N*-ethyl-morpholine, *N*-methylpiperidine, or pyridine, in a suitable solvent, such as THF, or DCM.

In another aspect, an intermediate of Structure **2a** or **2b** is condensed with a commercially available or well known carboxylic acid in the presence of a coupling reagent, such as DCC, diisopropylcarbodiimide, HATU and the like, in the presence of a base described hereinabove, to form an intermediate of Structure **1**.

In a further aspect, an intermediate of Structure **2a** or **2b** is reacted with a commercially available isocyanate or isothiocyanate in the presence of a base to form an intermediate of Structure **1**.

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$$R^{5}$$
 R^{1}
 R^{2}
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{5}
 R^{1}
 R^{5}
 R^{1}
 R^{5}
 R^{1}
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 R^{3}
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 R^{1}
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 R^{1}
 R^{5}
 R^{5

2a: R⁵ 🕒 H

Structure

2b: $R^5 = H$

In a specific case, intermediates of Structure 1, wherein R⁴ represents an C₁₋₅-alkyl, allyl, vinyl, or a methanesulfonyl group, is obtained by reacting intermediates of Structure 2c wherein R⁴ represents halogen, preferably I or Br, or a methanesulfonyloxy, or a toluenesulfonyloxy group, with reagents such as tetramethyltin, allyltributyltin, a complex of vinylboronic anhydride and pyridine together with a base, such as K₂CO₃, in the presence of a palladium catalyst such as *tetrakis*(triphenylphosphine)palladium(0), or the like, or sodium methanesulfinate in the presence of copper (I) iodide, respectively, in a polar aprotic solvent such as DMF, or DME, or NMP, at a temperature between 60°C and 130°C.

A substituent R⁵ in an intermediate of Structure **2a** is obtained by reacting an intermediate of Structure **2b** with the respective aldehyde in a solvent such as DCM or the like in presence of a reducing agent, such as sodium triacetoxyborohydride, and a base, such as DIEA.

Alternatively, an intermediate of Structure 2a, wherein R^5 is not hydrogen, is obtained from an intermediate of Structure 2b via a sulfonamide of Structure 3a. First, an intermediate of Structure 2b is reacted with p-nitrobenzenesulfonyl chloride in a solvent such as DCM, THF or another suitable organic solvent, in the presence of a base, such as DIEA, with or without a catalytic amount of N,N-dimethyl-aminopyridine, to afford the desired sulfonamide of Structure 3a. In a second step, in order to afford a sulfonamide of Structure 3b, the sulfonamide of Structure 3a is easily alkylated with the respective commercially available or well known alkylating agent R^5 -L, with K_2CO_3 or any other suitable base, in an organic solvent, such as toluene, preferably in the presence of a phase transfer agent, such as tetrabutylammonium bromide in accordance to a procedure described in the literature (C. Peña et al., Tetrahedron Lett. 2005, 46, 2783-2787). Specifically, a methyl group is

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introduced by reaction of a sulfonamide of Structure 3a either with methyl iodide or with diazomethane dissolved in diethylether.

Subsequently, the sulfonamide of Structure 3b is treated in a typical procedure according to S.C. Miller and coworker (J. Am. Chem. Soc. 1997, 119, 2301-2302) with a thiol, such as thiophenol, or thioacetic acid, in the presence of a base, such as DBU or the like, in a suitable organic solvent, such as DMF, to remove the sulfonamido group, furnishing an intermediate of Structure 2a.

3a, wherein R⁵ represents H Structure

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An intermediate of Structure 2b is obtained after removal of the protective group (PG) from an intermediate of Structure 2c, applying reaction conditions known to a skilled person. Preferably, the PG is a group such as tert-butoxycarbonyl, benzyloxycarbonyl, or allyloxycarbonyl, most preferably tert-butoxycarbonyl.

3b, wherein R⁵ \oplus H

An intermediate of Structure 2c is generated by reacting an intermediate of Structure 4 with a compound of Formula L-CH₂CO₂R wherein R and L are as defined before, in the presence of a base, such as cesium carbonate, sodium hydride, potassium tert-butanolate or the like, in a suitable solvent, such as acetone, MeCN, THF or dioxane. Suitable L is a leaving group such as halo, in particular bromo or chloro; mesyloxy or tosyloxy. Preferably, the compound of Formula L-CH₂CO₂R is ethyl bromoacetate.

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An intermediate of Structure 4, with PG as described hereinabove, is obtained in a Fischertype indole synthesis according to the literature (J. D. Ha et al., Bulletin of the Korean Soc. Chem. 2004, 25, 1784-1790): reaction of a commercially available or well known hydrazine of Structure 5 (either as a free base or as a salt) and a cyclohexanone of Structure 6, which is commercially available or whose synthesis is as described in the above mentioned literature, furnishes the desired intermediate of Structure 4 as a racemate.

$$R^2$$
 R^3
 N
 N^2
 N^2
 N^3
 N^4
 N^4

In another aspect, an intermediate of Structure 4 is obtained through protection of the amino group in a tetrahydrocarbazol-3-ylamine of Structure 7 with a hereinabove described PG applying methods known to a skilled person.

$$R^2$$
 R^3
 R^4
 R^4
 R^4
 R^4
 R^4

Both, the (R)- and the (S)-enantiomer of starting tetrahydrocarbazol-3-ylamine of Structure 20 7 are obtained in a stereospecific reaction following a procedure described in literature (Rosentreter U. et al., Arzneim.-Forsch. 1989, 39(12), 1519-1521; and EP 0242518).

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A synthesis of racemic ethyl (3RS)-(3-amino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetate hydrochloride is described in the literature (Ulven, T.; Kostenis, E. J. Med. Chem. 2005, 48, 897-900).

5 A stereoselective synthesis of methyl (3R)-(3-tert-butoxycarbonylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetate is described in WO 03/097598.

$$R^{1}$$
 R^{2}
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{5}
 R^{5}
 R^{6}
 R^{1}
 R^{2}
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{5

8a, wherein R' represents H and R represents C_{1-4} -alkyl Structure 8b, wherein R' and R independently represent C_{1-4} -alkyl

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In a particular case, a compound of Structure 1, wherein R⁶ represents "R⁷-C₁₋₄alkylcarbonyl, wherein the bridging C₁₋₄-alkyl group may additionally be mono-substituted with aryl, and R^7 represents arylaminocarbonyl, heteroarylaminocarbonyl, C_{1-6} alkylaminocarbonyl, or aryl-C₁₋₃-alkylaminocarbonyl", is obtained by reaction of the respective compound of Structure 8a with the respective amine, in the presence of a coupling reagent, such as DCC, diisopropylcarbodiimide, HATU or the like, in the presence Et₃N, DIEA, or the like, in a solvent such as DCM or DMF.

A compound of Structure 8a wherein the bridging C₁₋₄-alkyl group may additionally be mono-substituted with aryl is obtained by treating a respective compound of Structure 8b, wherein R' represents C₁₋₄-alkyl, preferentially tert-butyl, as a protecting group, with reaction with TFA in DCM or hydrochloric acid in an organic solvent, such as dioxane, diethylether, AcOEt, or the like, at room temperature.

$$OOO$$
 OOO
 OOO

25 Structure 9, wherein R' represents C₁₋₄-alkyl

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A compound of Structure **8b**, is obtained by reacting a compound of Structure **2a** or **2b** with the corresponding compound of Structure **9**, wherein the bridging $C_{1.4}$ -alkyl group may additionally be mono-substituted with aryl, which are commercially available or synthesized according to well known methods such as enolate alkylation (see for example: J. Org. Chem. **1986**, 51(6), 938-940), in the presence of a coupling reagent, such as DCC, diisopropylcarbodiimide, HATU, or the like, in the presence of a base such as Et₃N, DIEA, or the like, in a solvent such as DCM or DMF.

Whenever the compounds of Formula I are obtained in the form of mixtures of enantiomers, the enantiomers can be separated using methods known to the one skilled in the art: e.g. by formation and separation of diastereomeric salts or by HPLC over a chiral stationary phase such as a Regis Whelk-O1(R,R) (10 μ m) column, a Daicel ChiralCel OD-H (5-10 μ m) column, or a Daicel ChiralPak IA (10 μ m) or AD-H (5 μ m) column. Typical conditions of chiral HPLC are an isocratic mixture of eluent A (EtOH, in presence or absence of an amine such as Et₃N, diethylamine) and eluent B (hexane), at a flow rate of 0.8 to 150 mL/min.

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Experimental section:

AcOEt Ethyl acetate
AcOH Acetic acid
aq. aqueous

Bdg Binding

BSA Bovine Serum Albumin

CC Column chromatography on silica gel DBU 1,8-Diazabicyclo[5.4.0]undec-7-ene

10 DCC 1,3-Dicyclohexylcarbodiimide

DCM Dichloromethane

DIEA *N*,*N*-Diisopropylethylamine

DMAP *N,N*-Dimethyl-4-aminopyridine

DME Dimethoxyethane

15 DMF Dimethylformamide

DMSO Dimethylsulfoxide

EDTA Ethylene Diamine Tetraacetic Acid

ESI-MS Electrospray Ionization Mass Spectroscopy

Et₃N Triethylamine

20 FC Flash chromatography on silica gel

h hour(s)

HATU *O*-(7-Aza-1*H*-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium

hexafluorophosphate

HPLC High Performance Liquid Chromatography

25 l liter(s)

LC-MS Liquid Chromatography – Mass Spectroscopy

Me Methyl

MeCN Acetonitrile
MeI Methyl iodide

30 MeOH Methanol

mesyl Methanesulfonyl

Meth. Method min minute(s)

10 Aug 2012	
2007282949	

MS	Mass Spectroscopy
MW	Molecular Weight
N	Normality of solution

NaBH(OAc)₃ Sodium triacetoxyborohydride

5 **NMP** N-Methylpyrrolidinone

organic org.

PBS Phosphate Buffered Saline

PG **Protecting Group** PGD₂ Prostaglandin D₂

10 **PMSF** Phenylmethylsulfonyl fluoride

> rt room temperature

second(s) S saturated sat. substituted subst.

15 **TFA** Trifluoroacetic acid

> THF Tetrahydrofuran

tlc thin layer chromatography

tosyl Toluenesulfonyl retention time t_R

20 Tris Tris-(hydroxymethyl)aminomethane buffer

Chemistry

General remarks

All solvents and reagents are used as obtained from commercial sources unless otherwise 25 indicated.

Temperatures are indicated in degrees Celsius (°C). Unless otherwise indicated, the reactions take place at room temperature (rt).

In mixtures, relations of parts of solvent or eluent or reagent mixtures in liquid form are given as volume relations (v/v), unless indicated otherwise.

30 Analytical HPLC conditions as used in the Examples below:

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HPLC/MS analyses are performed on a Waters 2795 Alliance HPLC instrument, equipped with a Waters 996 Photodiode Array Detector and a Micromass ZQTM Waters mass spectrometer (electron spray ionization), detection at 200-400 nm (LC-1 and LC-2), or on a Agilent 1100 system, equipped with a Dionex P580 binary pump, a Dionex PDA-100 Photodiode Array Detector and a Finnigan AQA mass spectrometer (LC-3).

The LC retention times are obtained using the following elution conditions:

- LC-1: Analytical HPLC on a XterraTM MS C18 column (4.6x50 mm, 5 μm, Waters); Linear gradient of water/ 0.06% formic acid (A) and MeCN/ 0.06% formic acid (B) from 5% to 95% B over 1 min; flow rate 3 ml/min, detection at 215 nm.
- LC-2: Analytical HPLC on a Zorbax[®] SB-AQ column (4.6x50 mm, 5μm, Agilent); Linear gradient of water/ 0.06% formic acid (A) and MeCN/ 0.06% formic acid (B) from 5% to 95% B over 1 min; flow rate 3 ml/min, detection at 215 nm.
- LC-3: Analytical HPLC on a Zorbax[®] SB-AQ column (4.6x50 mm, 5μm, Agilent); Linear gradient of water/ 0.05% TFA (A) and MeCN (B) from 5% to 95% B over 1 min; flow rate 4.5 ml/min, detection at 215 nm.
 - Preparative HPLC/MS purifications are performed on a Waters HPLC system, equipped with a Waters 600 controller, a Waters 2767 sample manager, a Waters 996 Photodiode Array Detector, and a Micromass ZQTM Waters mass spectrometer (electron spray ionization), detection at 200-400 nm, using a Zorbax® PrepHT SB.Aq (5 μm, 21.2x50 mm) or a Phenomenex® Gemini column (10 μm, 21.2x50 mm), with a linear gradient of water/ 0.02% formic acid (A) and MeCN/ 0.02% formic acid (B) over 5 min; flow rate 4 ml/min, detection at 215 nm.
- ¹H NMR spectra are recorded either on a Varian Mercury 300VX FT-NMR spectrometer or on a Bruker Advance II 400 spectometer. Chemical shifts (δ) are reported in parts per million (ppm) relative to proton resonances resulting from incomplete deuteration of the NMR solvent, *e.g.* for dimetylsulfoxide δ (H) 2.49 ppm, for chloroform δ (H) 7.24 ppm, and the abbreviations s, d, t, q, m and br refer to singlet, doublet, triplet, quartet, multiplet, and broad, respectively.

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Synthesis of Compounds of Formula I:

The following examples illustrate the preparation of pharmacologically active compounds of the invention but do not at all limit the scope thereof. First the synthesis of Example compounds is described, followed by the description of the synthesis of intermediates and starting materials. Whenever used in the experimental part, generic Structures 1 to 9 refer to the Structures described in preceeding general description of the preparation of compounds of Formula I.

General method for saponification of intermediates of Structure 1:

10 Aq. 1N LiOH or 1N NaOH (1 ml, 1 mmol) is added to a stirred solution of the appropriate compound of Structure 1 (0.105 mmol) in THF (1 ml) and the resulting biphasic mixture is continued to stir overnight. DCM (2 ml) and AcOH (1 ml), or 2N HCl, are added to the reaction mixture. The aq. layer, obtained after phase separation, is extracted three times with DCM (1 ml). The combined org. phases are washed with brine and dried over Na₂SO₄ and 15 the solvent is evaporated. Purification is performed by CC with a 1:1 mixture of AcOEt/ heptane containing 1% AcOH, or by preparative HPLC to give the desired compound of Formula I in 6 to 98% yield.

Listed in Table 1 below are examples of compounds of Formula I, prepared according to the 20 above-mentioned method with the corresponding compound of Structure 1 as starting material.

Table 1

Example	Compound of Formula I	Formula MW	t _R [min] LC-MS	MS Data
			Method	m/z
				[M+H]*
1	(3R)-[3-(3-Butyl-ureido)-1,2,3,4-tetrahydro-	C19H25N3O3	1.01	344.08
	9 <i>H</i> -carbazol-9-yl]-acetic acid	343.426	LC-1	
2	(3 <i>R</i>)-[3-(3-Benzyl-ureido)-1,2,3,4-tetrahydro-	C22H23N3O3	1.15	378.04
	9H -carbazol-9-yl]-acetic acid	377.443	LC-1	
3	(3 <i>R</i>)-[3-(3-Phenethyl-ureido)-1,2,3,4-	C23H25N3O3	1.32	392.05
	tetrahydro-9 <i>H</i> -carbazol-9-vll-acetic acid	391.47	I C-1	

4	(3R)-[3-(3-Naphthalen-1-yl-ureido)-1,2,3,4-	C25H23N3O3	1.7	413.97
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	413.476	LC-1	
5	(3R)-[3-(3-Phenylsulfonyl-ureido)-1,2,3,4-	C21H21N3O5S	1.13	[M+Na]
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	427.48	LC-1	449.90
6	(3R)-(3-tert-Butoxycarbonylamino-1,2,3,4-	C19H24N2O4	1.05	[M+Na]
	tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	344.10	LC-1	367.07
7	(3R)-(3-Propoxycarbonylamino-1,2,3,4-	C18H22N2O4	1.35	331.03
	tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	330.383	LC-1	
8	(3R)-(3-Isobutoxycarbonylamino-1,2,3,4-	C19H24N2O4	1.69	345.03
	tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	344.41	LC-1	
9	(3R)-(3-Benzyloxycarbonylamino-1,2,3,4-	C22H22N2O4	1.82	[M+Na]⁺
	tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	378.427	LC-1	400.96
10	(3R)-[3-(2-Benzyloxy-ethoxycarbonylamino)-	C24H26N2O5	1.77	[M+Na]
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic	422.479	LC-1	444.94
	acid			
11	(3R)-(3-Benzoylamino-1,2,3,4-tetrahydro-9H-	C21H20N2O3	1.00	349.00
	carbazol-9-yl)-acetic acid	348.401	LC-2	
12	(3R)-[3-(2-Phenoxy-acetylamino)-1,2,3,4-	C22H22N2O4	1.43	379.04
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	378.427	LC-1	
13	(3R)-(3-Phenylacetylamino-1,2,3,4-	C22H22N2O3	1.21	363.02
	tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	362.428	LC-1	
14	(3 <i>R</i>)-[3-(2-Thiophen-2-yl-acetylamino)-	C20H20N2O3S	1.11	368.96
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic	368.456	LC-1	
	acid			
15	(3 <i>R</i>)-[3-(3-Phenyl-propionylamino)-1,2,3,4-	C23H24N2O3	1.36	377.04
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	376.455	LC-1	
16	(3R)-[3-(2-Benzyloxy-acetylamino)-1,2,3,4-	C23H24N2O4	1.44	392.98
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	392.454	LC-1	
17	(3R)-[3-(3-Methyl-butyrylamino)-1,2,3,4-	C19H24N2O3	0.97	329.08
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	328.411	LC-1	
18	(3R)-[3-(3-Cyclopentyl-propionylamino)-	C22H28N2O3	1.77	369.07
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic	368.475	LC-1	
	acid			

19	(3 <i>R</i>)-(3-Decanoylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C24H34N2O3 398.545	2.77 LC-1	399.10
20	(3 <i>S</i>)-[3-(3-Butyl-ureido)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C19H25N3O3 343.426	0.98 LC-2	344.18
21	(3 <i>S</i>)-[3-(3-Benzyl-ureido)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C22H23N3O3 377.443	0.99 LC-2	378.18
22	(3 <i>S</i>)-[3-(3-Phenethyl-ureido)-1,2,3,4- tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C23H25N3O3 391.47	1.01 LC-2	392.17
23	(3 <i>S</i>)-[3-(3-Phenylsulfonyl-ureido)-1,2,3,4- tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C21H21N3O5S 427.48	0.99 LC-2	428.05
24	(3 <i>S</i>)-[3-(3-Phenyl-ureido)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C21H21N3O3 363.416	1.00 LC-2	364.13
25	(3 <i>S</i>)-(3-Propoxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C18H22N2O4 330.383	1.01 LC-2	331.17
26	(3 <i>S</i>)-(3-Isobutoxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C19H24N2O4 344.41	1.04 LC-2	345.15
27	(3 <i>S</i>)-(3-Benzyloxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C22H22N2O4 378.427	1.06 LC-2	379.15
28	(3 <i>S</i>)-[3-(2-Benzyloxy-ethoxycarbonylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C24H26N2O5 422.479	1.06 LC-2	423.20
29	(3 <i>S</i>)-[3-(2-Phenoxy-acetylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C22H22N2O4 378.427	1.02 LC-2	379.08
30	(3 <i>S</i>)-(3-Phenylacetylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C22H22N2O3 362.428	1.00 LC-2	363.16
31	(3 <i>S</i>)-[3-(2-Thiophen-2-yl-acetylamino)- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C20H20N2O3S 368.456	0.99 LC-2	369.11
32	(3 <i>S</i>)-[3-(3-Phenyl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C23H24N2O3 376.455	1.02 LC-2	377.08
33	(3 <i>S</i>)-[3-(2-Benzyloxy-acetylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C23H24N2O4 392.454	1.03 LC-2	393.14
34	(3 <i>S</i>)-[3-(3-Methyl-butyrylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C19H24N2O3 328.411	0.97 LC-2	329.16

35	(3S)-[3-(3-Cyclopentyl-propionylamino)-	C22H28N2O3	1.01	369.18
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic	368.475	LC-2	
	acid			
36	(3S)-(3-Decanoylamino-1,2,3,4-tetrahydro-	C24H34N2O3	1.16	398.82
	9 <i>H</i> -carbazol-9-yl)-acetic acid	398.545	LC-2	
37	(3 <i>S</i>)-(3-Butyrylamino-1,2,3,4-tetrahydro-9 <i>H</i> -	C18H22N2O3	0.94	315.18
	carbazol-9-yl)-acetic acid	314.384	LC-2	
38	(3S)-(3-Heptanoylamino-1,2,3,4-tetrahydro-	C21H28N2O3	1.06	357.20
	9 <i>H</i> -carbazol-9-yl)-acetic acid	356.464	LC-2	
39	(3R)-[6-Fluoro-3-(2-phenoxy-acetylamino)-	C22H21N2O4F	1.04	397.16
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic	396.417	LC-2	
	acid			
40	(3R)-(6-Fluoro-3-phenylacetylamino-1,2,3,4-	C22H21N2O3F	1.01	381.16
	tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	380.418	LC-2	
41	(3 <i>R</i>)-[6-Fluoro-3-(3-phenyl-propionylamino)-	C23H23N2O3F	1.03	395.22
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic	394.445	LC-2	
	acid			
42	(3R)-{3-[2-(4-Chloro-phenyl)-acetylamino]-6-	C22H20N2O3CIF	1.05	415.16
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	414.863	LC-2	
	acetic acid			
43	(3 <i>R</i>)-{6-Fluoro-3-[2-(4-methoxy-phenyl)-	C23H23N2O4F	1.01	411.22
	acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-	410.444	LC-2	
	9-yl}-acetic acid	0001100110005		005.00
44	(3 <i>R</i>)-[6-Fluoro-3-(2- <i>p</i> -tolyl-acetylamino)-	C23H23N2O3F	1.04	395.22
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	394.445	LC-2	
45	(3 <i>R</i>)-{3-[2-(3,4-Dichloro-phenyl)-	C22H19N2O3Cl2F	1.09	447.16
70	acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	449.308	LC-2	447.10
	carbazol-9-yl}-acetic acid	443.000	LO 2	
46	(3R)-{3-[2-(3-Chloro-phenyl)-acetylamino]-6-	C22H20N2O3CIF	1.06	415.16
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	414.863	LC-2	
	acetic acid			
47	(3R)-{6-Fluoro-3-[2-(4-trifluoromethyl-phenyl)-	C23H20N2O3F4	1.08	449.17
	acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-	448.415	LC-2	
	9-yl}-acetic acid			

48	(3 <i>R</i>)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C22H20N2O4CIF 430.862	1.08 LC-2	431.16
49	(3 <i>R</i>)-[6-Fluoro-3-(2- <i>p</i> -tolyloxy-acetylamino)- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C23H23N2O4F 410.444	1.08 LC-2	411.22
50	(3 <i>R</i>)-{3-[2-(2-Chloro-phenoxy)-acetylamino]- 6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}- acetic acid	C22H20N2O4CIF 430.862	1.07 LC-2	431.16
51	(3 <i>R</i>)-{3-[2-(3-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C22H20N2O4CIF 430.862	1.08 LC-2	431.16
52	(3 <i>R</i>)-{6-Fluoro-3-[3-(4-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O4F 424.471	1.03 LC-2	425.28
53	(3 <i>R</i>)-[6-Fluoro-3-(3- <i>p</i> -tolyl-propionylamino)- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C24H25N2O3F 408.472	1.06 LC-2	409.21
54	(3 <i>R</i>)-{3-[3-(4-Chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O3CIF 428.89	1.07 LC-2	429.15
55	(3 <i>R</i>)-{3-[3-(2-Chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O3CIF 428.89	1.07 LC-2	429.15
56	(3 <i>R</i>)-{3-[3-(3-Chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O3CIF 428.89	1.07 LC-2	429.15
57	(3S)-{3-[2-(4-Chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C22H20N2O3CIF 414.863	1.05 LC-2	415.23
58	(3S)-{6-Fluoro-3-[2-(4-methoxy-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H23N2O4F 410.444	1.01 LC-2	411.22
59	(3S)-[6-Fluoro-3-(2-p-tolyl-acetylamino)- 1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid	C23H23N2O3F 394.445	1.01 LC-2	395.15

60	(3 <i>S</i>)-[6-Fluoro-3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C22H21N2O4F 396.417	1.04 LC-2	397.23
61	(3 <i>S</i>)-[6-Fluoro-3-(3-phenyl-propionylamino)- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C23H23N2O3F 394.445	1.03 LC-2	395.22
62	(3 <i>S</i>)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C22H20N2O4CIF 430.862	1.08 LC-2	431.16
63	(3 <i>S</i>)-[6-Fluoro-3-(2- <i>p</i> -tolyloxy-acetylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C23H23N2O4F 410.444	1.07 LC-2	411.22
64	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O4F 424.471	1.03 LC-2	425.21
65	(3 <i>S</i>)-[6-Fluoro-3-(3- <i>p</i> -tolyl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C24H25N2O3F 408.472	1.06 LC-2	409.21
66	(3 <i>S</i>)-{3-[3-(4-Chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O3CIF 428.89	1.07 LC-2	429.15
67	(3 <i>S</i>)-{3-[3-(2-Chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O3CIF 428.89	1.07 LC-2	429.15
68	(3 <i>S</i>)-{3-[3-(3-Chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O3CIF 428.89	1.07 LC-2	429.15
69	(3 <i>S</i>)-{3-[3-(3,4-Difluoro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H21N2O3F3 430.425	1.05 LC-2	431.26
70	(3 <i>S</i>)-{6-Fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -	C24H25N2O4F 424.471	1.03 LC-2	425.21
71	carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(2-methoxy-phenyl)- propionylamino]-1,2,3,4-tetrahydro-9H- carbazol-9-yl}-acetic acid	C24H25N2O4F 424.471	1.04 LC-2	425.21

72	(3S)-[3-(2,3-Diphenyl-propionylamino)-6-	C29H27N2O3F	1.12	471.27
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-	470.542	LC-2	
	acetic acid			
73	(3S)-[3- $(3,3$ -Diphenyl-propionylamino)-6-	C29H27N2O3F	1.1	471.20
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-	470.542	LC-2	
	acetic acid			
74	(3 <i>S</i>)-{3-[4-(4-Bromo-phenyl)-4-oxo-	C24H22N2O4BrF	1.07	503.06
	butyrylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	501.351	LC-2	
	carbazol-9-yl}-acetic acid			
75	(3 <i>S</i>)-[6-Fluoro-3-(4-oxo-4-phenyl-	C24H23N2O4F	1.02	423.20
	butyrylamino)-1,2,3,4-tetrahydro-9H-	422.455	LC-2	
	carbazol-9-yl]-acetic acid			
76	(3S)-{6-Fluoro-3-[4-(4-methanesulfonyl-	C25H25N2O6FS	0.97	501.19
	phenyl)-4-oxo-butyrylamino]-1,2,3,4-	500.545	LC-2	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid			
77	(3S)-[6-Fluoro-3-(2-indan-2-yl-acetylamino)-	C25H25N2O3F	1.07	421.19
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic	420.483	LC-2	
	acid			
78	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-hydroxy-3-methoxy-	C24H25N2O5F	0.98	441.00
	phenyl)-propionylamino]-1,2,3,4-tetrahydro-	440.47	LC-2	
	9 <i>H</i> -carbazol-9-yl}-acetic acid			
79	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-hydroxy-phenyl)-	C23H23N2O4F	0.97	411.02
	propionylamino]-1,2,3,4-tetrahydro-9H-	410.444	LC-2	
	carbazol-9-yl}-acetic acid			
80	(3 <i>S</i>)-{6-Fluoro-3-[3-(3-hydroxy-phenyl)-	C23H23N2O4F	0.99	411.02
	propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -	410.444	LC-2	
	carbazol-9-yl}-acetic acid			
81	(3 <i>S</i>)-{6-Fluoro-3-[3-(2-hydroxy-phenyl)-	C23H23N2O4F	1.02	411.02
	propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -	410.444	LC-2	
	carbazol-9-yl}-acetic acid			
82	(3 <i>S</i>)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-	C23H22N3O3F	1.04	408.04
	amino]-6-fluoro-1,2,3,4-tetrahydro-9H-	407.444	LC-2	
	carbazol-9-yl}-acetic acid			
83	(3 <i>S</i>)-[6-Fluoro-3-(3-1 <i>H</i> -indol-3-yl-	C25H24N3O3F	1.04	434.07
	propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -	433.482	LC-2	
	carbazol-9-yl]-acetic acid			

84	(3 <i>S</i>)-[3-(3-1 <i>H</i> -Benzoimidazol-2-yl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C24H23N4O3F 434.47	0.79 LC-2	435.04
85	(3 <i>S</i>)-[3-(3-Benzo[1,3]dioxol-5-yl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C24H23N2O5F 438.454	1.04 LC-2	438.99
86	(3 <i>S</i>)-{6-Fluoro-3-[(1 <i>H</i> -indole-2-carbonyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H20N3O3F 405.428	1.07 LC-2	406.03
87	(3 <i>S</i>)-[6-Fluoro-3-(3-pyridin-3-yl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C22H22N3O3F 395.433	0.7 LC-3	396.11
88	(3 <i>S</i>)-{3-[2-(4- <i>tert</i> -Butyl-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C26H29N2O3F 436.525	1.02 LC-3	437.17
89	(3 <i>S</i>)-{6-Fluoro-3-[2-(4-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H20N2O3F4 448.415	0.98 LC-3	449.14
90	(3 <i>S</i>)-{6-Fluoro-3-[2-(3-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H20N2O3F4 448.415	0.98 LC-3	449.09
91	(3 <i>S</i>)-{6-Fluoro-3-[2-(4-trifluoromethyl-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H20N2O4F4 464.414	1.00 LC-3	465.15
92	(3 <i>S</i>)-[6-Fluoro-3-(3-naphthalen-2-yl-acryloylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -	C27H23N2O3F 442.489	1.01 LC-3	443.07
93	carbazol-9-yl]-acetic acid (3S)-[6-Fluoro-3-(3-naphthalen-2-yl- propionylamino)-1,2,3,4-tetrahydro-9H-	C27H25N2O3F 444.505	0.99 LC-3	445.15
94	carbazol-9-yl]-acetic acid (3S)-{6-Fluoro-3-[methyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O3F 408.472	0.98 LC-3	409.16
95	(3S)-(3-{[2-(4-Chloro-phenyl)-acetyl]-methyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C23H22N2O3CIF 428.89	0.99 LC-3	429.10

96	(3 <i>S</i>)-{6-Fluoro-3-[ethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C25H27N2O3F 422.498	0.99 LC-3	423.10
97	(3 <i>S</i>)-(3-{[2-(4-Chloro-phenyl)-acetyl]-ethyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C24H24N2O3CIF 442.917	1.01 LC-3	443.06
98	(3 <i>S</i>)-{6-Fluoro-3-[propyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C26H29N2O3F 436.525	1.02 LC-3	437.20
99	(3 <i>S</i>)-(3-{[2-(4-Chloro-phenyl)-acetyl]-propylamino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C25H26N2O3CIF 456.943	1.03 LC-3	457.21
100	(3 <i>RS</i>)-(3-Benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C22H21N2O4F 396.410	0.98 LC-3	397.11
101	(3 <i>RS</i>)-(3-Benzyloxycarbonylamino-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C22H20N2O4CIF 430.862	1.01 LC-3	431.05
102	(3 <i>RS</i>)-(3-Benzyloxycarbonylamino-8-chloro-5-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C22H20N2O4CIF 430.862	1.01 LC-3	431.04

Listed in Table 1a below are further compounds of Formula I, prepared according to the abovementioned general method with the corresponding compound of Structure 1 as starting material.

5 Table 1a

Example	Compound of Formula I	Formula MW	t _R [min] LCMS	MS Data m/z
			Method	[M+H] ⁺
103	(3RS)-[3-(3-Benzyl-ureido)-6-fluoro-	C22H22N3O3F	0.92	396.17
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-	395.433	LC-3	
	acetic acid			
104	(3 <i>S</i>)-[6-Fluoro-3-(3-phenethyl-	C23H24N3O3F	0.92	410.52
	ureido)-1,2,3,4-tetrahydro-9 <i>H</i> -	409.46	LC-3	
	carbazol-9-yl]-acetic acid			

105	(3 <i>RS</i>)-[3-(3-Benzyl-ureido)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C22H21N3O3CIF 429.878	0.95 LC-3	430.07
106	(3 <i>RS</i>)-[8-Chloro-6-fluoro-3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C23H23N3O3CIF 443.905	0.97 LC-3	444.07
107	(3 <i>RS</i>)-(3-Benzyloxycarbonylamino-6-trifluoromethyl-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C23H21N2O4F3 446.424	1.02 LC-3	447.25
108	(3 <i>RS</i>)-(3-Benzyloxycarbonylamino-8-bromo-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C22H20N2O4BrF 475.313	1.02 LC-3	474.98
109	(3 <i>RS</i>)-(3-Benzyloxycarbonylamino-6-fluoro-8-vinyl-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C24H23N2O4F 422.455	1.01 LC-3	423.15
110	(3 <i>RS</i>)-(3-Benzyloxycarbonylamino-6-fluoro-8-methanesulfonyl-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C23H23N2O6FS 474.508	0.95 LC-3	475.15
111	(3 <i>S</i>)-(3-Benzyloxycarbonylamino-6-fluoro-8-methyl-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C23H23N2O4F 410.444	0.99 LC-3	411.14
112	(3 <i>S</i>)-(3-Benzyloxycarbonylamino-7-chloro-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C22H20N2O4CIF 430.862	1.01 LC-3	431.16
113	(3 <i>S</i>)-(8-Allyl-3-benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C25H25N2O4F 436.482	1.02 LC-3	437.1
114	(3 <i>R</i>)-(3-Benzyloxycarbonylamino-8-chloro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C22H21N2O4CI 412.872	1 LC-3	413.03
115	(3 <i>S</i>)-{3-[3-(2,4-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C25H27N2O5F 454.496	0.95 LC-3	455.11

116	(3 <i>S</i>)-[6-Fluoro-3-(3-naphthalen-1-yl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C27H25N2O3F 444.505	0.99 LC-3	445.14
117	(3 <i>RS</i>)-{6-Fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H23N2O5F 426.443	0.94 LC-3	427.16
118	(3 <i>RS</i>)-{6-Fluoro-3-[3-(2-methylphenyl)-propionylamino]- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O3F 408.472	0.97 LC-3	409.08
119	(3 <i>RS</i>)-{6-Fluoro-3-[3-(3-methylphenyl)-propionylamino]- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O3F 408.472	0.97 LC-3	409.11
120	(3 <i>RS</i>)-{6-Fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O4F 424.471	0.94 LC-3	425.1
121	(3 <i>RS</i>)-{6-Fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H23N2O5F 426.443	0.95 LC-3	427.12
122	(3 <i>RS</i>)-{6-Fluoro-3-[2-(2-methylphenoxy)-acetylamino]- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H23N2O4F 410.444	0.98 LC-3	411
123	(3 <i>S</i>)-{3-[3-(2,5-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C25H27N2O5F 454.496	0.94 LC-3	455.19
124	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-trifluoromethyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H22N2O3F4 462.442	0.99 LC-3	463.15

125	(3 <i>S</i>)-{3-[3-(2,6-Dichloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H21N2O3Cl2F 463.335	0.99 LC-3	463.07
126	(3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C25H21N2O3F7 530.439	1.04 LC-3	530.97
127	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O3FS 440.538	0.97 LC-3	441.1
128	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-iodo-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O3FI 520.337	0.99 LC-3	521.03
129	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-isopropyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C26H29N2O3F 436.525	1.01 LC-3	437.17
130	(3 <i>S</i>)-{6-Fluoro-3-[3-(3-trifluoromethyl phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	- C24H22N2O3F4 462.442	0.99 LC-3	463.13
131	(3 <i>S</i>)-{3-[3-(2,4-Dichloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H21N2O3Cl2F 463.335	1.01 LC-3	463.08
132	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O3F2 412.435	0.95 LC-3	413.08
133	(3 <i>S</i>)-{3-[3-(3,5-Bis-trifluoromethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C25H21N2O3F7 530.439	1.03 LC-3	530.99
134	(3 <i>S</i>)-{3-[3-(4-Ethyl-phenyl)- propionylamino]-6-fluoro-1,2,3,4-	C25H27N2O3F 422.498	0.99 LC-3	423.12

	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid			
135	(3 <i>S</i>)-{6-Fluoro-3-[3-(3-iodo-phenyl)-propionylamino]-1,2,3,4-tetrahydro-	C23H22N2O3FI 520.337	0.97 LC-3	521.41
	9 <i>H</i> -carbazol-9-yl}-acetic acid	0_0.00		
136	(3 <i>S</i>)-{6-Fluoro-3-[3-(4-	C24H25N2O5FS	0.87	473.12
	methanesulfonyl-phenyl)-	472.536	LC-3	
	propionylamino]-1,2,3,4-tetrahydro-			
107	9 <i>H</i> -carbazol-9-yl}-acetic acid	0051107110055	0.04	455.40
137	(3 <i>S</i>)-{3-[3-(2,3-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-	C25H27N2O5F 454.496	0.94 LC-3	455.16
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic	454.490	LU-3	
	acid			
138	(3 <i>S</i>)-{3-[3-(2-Bromo-phenyl)-	C23H22N2O3BrF	0.98	474.97
	propionylamino]-6-fluoro-1,2,3,4-	473.341	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
139	(3 <i>S</i>)-{6-Fluoro-3-[3-(3-	C24H22N2O4F4	1	479.11
	trifluoromethoxy-phenyl)-	478.441	LC-3	
	propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid			
140	(3 <i>S</i>)-{3-[3-(2,4-Dimethyl-phenyl)-	C25H27N2O3F	0.99	423.14
	propionylamino]-6-fluoro-1,2,3,4-	422.498	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
141	(3 <i>S</i>)-{3-[3-(3-Bromo-phenyl)-	C23H22N2O3BrF	0.98	474.93
	propionylamino]-6-fluoro-1,2,3,4-	473.341	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
142	acid (3 <i>S</i>)-{3-[3-(3- <i>tert</i> -	C28H32N3O5F	0.98	510.17
142	Butoxycarbonylamino-phenyl)-	509.576	U.90 LC-3	310.17
	propionylamino]-6-fluoro-1,2,3,4-	303.373	200	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
143	(3 <i>S</i>)-{6-Fluoro-3-[(<i>S</i>)-3-(4-fluoro-	C29H26N2O3F2	1.02	489.15
	phenyl)-2-phenyl-propionylamino]-	488.532	LC-3	

	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid			
144	(3 <i>S</i>)-{6-Fluoro-3-[(<i>S</i>)-3-(4-methoxy-	C30H29N2O4F	1.01	501.16
	phenyl)-2-phenyl-propionylamino]- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}- acetic acid	500.568	LC-3	
145	$(3S)\hbox{-}\{6\hbox{-Fluoro-}3\hbox{-}[3\hbox{-}(2\hbox{-fluoro-phenyl})\hbox{-}$	C23H22N2O3F2	0.95	413.09
	propionylamino]-1,2,3,4-tetrahydro- 9 <i>H</i> -carbazol-9-yl}-acetic acid	412.435	LC-3	
146	(3 <i>S</i>)-(6-Fluoro-3-{[(2 <i>RS</i>)-1,2,3,4-	C25H25N2O3F	0.98	421.11
	tetrahydro-naphthalene-2-carbonyl]- amino}-1,2,3,4-tetrahydro-9 <i>H</i> - carbazol-9-yl)-acetic acid	420.483	LC-3	
147	(3 <i>S</i>)-(6-Fluoro-3-{[(2 <i>RS</i>)-8-methoxy-	C26H27N2O4F	0.98	451.08
	1,2,3,4-tetrahydro-naphthalene-2-	450.508	LC-3	
	carbonyl]-amino}-1,2,3,4-tetrahydro-			
	9 <i>H</i> -carbazol-9-yl)-acetic acid			
148	(3 <i>S</i>)-(6-Fluoro-3-{(2 <i>RS</i>)-2-[(4-fluoro-	C31H29N3O4F2	0.98	546.06
	phenylcarbamoyl)-methyl]-3-phenyl-	545.584	LC-3	
	propionylamino}-1,2,3,4-tetrahydro-			
	9 <i>H</i> -carbazol-9-yl)-acetic acid			
149	(3 <i>S</i>)-{3-[(2 <i>RS</i>)-2-Benzyl-3,3-	C27H31N2O3F	1.02	451.12
	dimethyl-butyrylamino]-6-fluoro-	450.552	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid			
150	(3 <i>S</i>)-(6-Fluoro-3-{[(2 <i>RS</i>)-8-methoxy-	C24H23N2O4F	0.95	423.11
	1,2,3,4-tetrahydro-naphthalene-2-	422.455	LC-3	
	carbonyl]-amino}-1,2,3,4-tetrahydro-			
	9 <i>H</i> -carbazol-9-yl)-acetic acid			
151	$(3S)\hbox{-}\{6\hbox{-}Fluoro\hbox{-}3\hbox{-}[3\hbox{-}(3\hbox{-}fluoro\hbox{-}phenyl)\hbox{-}$	C23H22N2O3F2	0.94	413.08
	propionylamino]-1,2,3,4-tetrahydro-	412.435	LC-3	
	9 <i>H</i> -carbazol-9-yl}-acetic acid			
152	(3 <i>S</i>)-(6-Fluoro-3-{[(2 <i>RS</i>)-8-methoxy-	C24H23N2O3F	0.96	407.1
	1,2,3,4-tetrahydro-naphthalene-2-	406.456	LC-3	
	carbonyl]-amino}-1,2,3,4-tetrahydro-			
	9 <i>H</i> -carbazol-9-yl)-acetic acid			

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153	$(3S)$ -{6-Fluoro-3-[$(2R)$ -2-methyl-3-	C24H25N2O3F	0.95	409.11
	phenyl-propionylamino]-1,2,3,4-	408.472	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
154	(3S)-[3-(2,2-Dimethyl-3-phenyl-	C25H27N2O3F	0.99	423.19
	propionylamino)-6-fluoro-1,2,3,4-	422.498	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic			
	acid			
155	(3 <i>S</i>)-[6-Fluoro-3-(3-methyl-3-phenyl-	C25H27N2O3F	1	423.2
	butyrylamino)-1,2,3,4-tetrahydro-9H-	422.498	LC-3	
	carbazol-9-yl]-acetic acid			
156	(3 <i>S</i>)-{6-Fluoro-3-[(3 <i>S</i>)-3-phenyl-	C24H25N2O3F	0.95	409.2
	butyrylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -	408.472	LC-3	
	carbazol-9-yl}-acetic acid			
157	(3S)-[3-(2-Benzyloxy-acetylamino)-6-	C23H23N2O4F	0.94	411.06
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	410.444	LC-3	
	carbazol-9-yl]-acetic acid			
158	(3S)-[6-Fluoro-3-(4-phenyl-	C24H25N2O3F	0.96	409.16
	butyrylamino)-1,2,3,4-tetrahydro-9H-	408.472	LC-3	
	carbazol-9-yl]-acetic acid			
159	$(3S)$ - $\{3-[(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3-Dihydroxy-3-(2-2)-(2R,3R)-2,3$	C25H26N3O7F	0.86	500.19
	methoxy-phenylcarbamoyl)-	499.493	LC-3	
	propionylamino]-6-fluoro-1,2,3,4-			
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
160	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[3-(2-	C24H24N2O3CIF	1	443.11
	methylphenyl)-propionylamino]-	442.917	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
	acetic acid			
161	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[2-(2-	C23H22N2O5CIF	0.98	461.18
	methoxy-phenoxy)-acetylamino]-	460.888	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
	acetic acid			
162	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[3-(3-	C23H22N2O4CIF	0.88	445.32
	hydroxy-phenyl)-propionylamino]-	444.889	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			

acetic acid

163	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[3-(3-	C24H24N2O4CIF	0.98	459.04
	methoxy-phenyl)-propionylamino]-	458.916	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
	acetic acid			
164	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[3-(3-	C24H24N2O3CIF	1.01	443.01
	methylphenyl)-propionylamino]-	442.917	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
	acetic acid			
165	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[3-(2-	C23H22N2O4CIF	0.92	486.38
	hydroxy-phenyl)-propionylamino]-	444.889	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
100	acetic acid	000110011000015	0.00	100 10
166	(3 <i>RS</i>)-[8-Chloro-6-fluoro-3-(3-phenyl-		0.98	429.13
	propionylamino)-1,2,3,4-tetrahydro-	428.89	LC-3	
107	9 <i>H</i> -carbazol-9-yl]-acetic acid	CO41 104N1004CIE	0.00	450.00
167	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[3-(2-	C24H24N2O4CIF	0.99	459.03
	methoxy-phenyl)-propionylamino]-	458.916	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid			
168	(3 <i>RS</i>)-{8-Chloro-3-[3-(3-chloro-	C23H21N2O3Cl2F	1.01	463.11
.00	phenyl)-propionylamino]-6-fluoro-	463.335	LC-3	400.11
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	100.000	200	
	acetic acid			
169	(3 <i>RS</i>)-[8-Chloro-6-fluoro-3-(3-1 <i>H</i> -	C25H23N3O3CIF	0.97	468.11
	indol-3-yl-propionylamino)-1,2,3,4-	467.927	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic			
	acid			
170	(3 <i>RS</i>)-{8-Chloro-3-[2-(2-chloro-	C22H19N2O4Cl2F	1.01	465.09
	phenoxy)-acetylamino]-6-fluoro-	465.307	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
	acetic acid			
171	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[2-(2-	C23H22N2O4CIF	1.02	445.11
	methylphenyl)-oxy-acetylamino]-	444.889	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			

acetic acid

172	(3 <i>RS</i>)-[3-(3-Benzo[1,3]dioxol-5-yl-propionylamino)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C24H22N2O5CIF 472.899	0.93 LC-3	473.17
173	(3 <i>RS</i>)-{8-Chloro-6-fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H22N2O5CIF 460.888	0.99 LC-3	461.09
174	(3 <i>RS</i>)-{8-Chloro-3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C22H19N2O4Cl2F 465.307	1.02 LC-3	465
175	(3 <i>RS</i>)-{8-Chloro-3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H21N2O3Cl2F 463.335	1.01 LC-3	463.07
176	(3 <i>RS</i>)-[8-Chloro-6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C25H24N2O3CIF 454.928	1.01 LC-3	455.16
177	(3 <i>S</i>)-[6-Fluoro-3-(1-methyl-3-phenethyl-ureido)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C24H26N3O3F 423.486	0.98 LC-3	429.13
178	(3 <i>S</i>)-{3-[3-(2-Chloro-benzyl)-1-methyl-ureido]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C23H23N3O3CIF 443.905	0.97 LC-3	444.16
179	(3 <i>S</i>)-[3-(3-Benzyl-1-methyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	- C23H24N3O3F 409.46	0.94 LC-3	410.12
180	(3 <i>S</i>)-[3-(Benzyloxycarbonyl-methyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C23H23N2O4F 410.444	1.01 LC-3	411.07
181	{(3S)-3-[(2-Chloro-benzyloxycarbonyl)-methyl-amino]-6-	C23H22N2O4CIF 444.889	1.03 LC-3	445.15

	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid			
182	(3S)-(6-Fluoro-3-{[2-(4-methoxy-	C24H25N2O4F	0.95	425.19
	phenyl)-acetyl]-methyl-amino}-	424.471	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-			
	acetic acid			
183	(3 <i>S</i>)-(6-Fluoro-3-{methyl-[2-(4-	C24H25N2O3F	0.98	409.18
	methylphenyl)-acetyl]-amino}-	408.472	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-			
	acetic acid			
184	(3 <i>S</i>)-(6-Fluoro-3-{[2-(2-methoxy-	C24H25N2O4F	0.96	425.18
	phenyl)-acetyl]-methyl-amino}-	424.471	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-			
	acetic acid			
185	(3 <i>S</i>)-{6-Fluoro-3-[(2-indan-2-yl-	C26H27N2O3F	1.01	435.16
	acetyl)-methyl-amino]-1,2,3,4-	434.509	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
186	(3 <i>S</i>)-(3-{[2-(3-Chloro-phenyl)-acetyl]-		0.99	429.13
	methyl-amino}-6-fluoro-1,2,3,4-	428.89	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic			
107	acid	0041105110005	0.00	400.4
187	(3S)-(6-Fluoro-3-{methyl-[2-(3-	C24H25N2O3F	0.98	409.1
	methylphenyl)-acetyl]-amino}-	408.472	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid			
188	(3 <i>S</i>)-(6-Fluoro-3-{[2-(3-methoxy-	C24H25N2O4F	0.95	425.08
100	phenyl)-acetyl]-methyl-amino}-	424.471	U.93 LC-3	425.00
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-	424.471	LO-3	
	acetic acid			
189	(3 <i>S</i>)-(3-{[2-(2-Chloro-phenoxy)-	C23H22N2O4CIF	0.97	445.38
	acetyl]-methyl-amino}-6-fluoro-	444.889	LC-3	1 10.00
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-			
	acetic acid			
190	(3 <i>S</i>)-(3-{[2-(4-Chloro-phenoxy)-	C23H22N2O4CIF	0.98	445.39
	acetyl]-methyl-amino}-6-fluoro-	444.889	LC-3	
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	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid			
191	(3 <i>S</i>)-(6-Fluoro-3-{[3-(3-methoxy-phenyl)-propionyl]-methyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C25H27N2O4F 438.497	0.96 LC-3	439.47
192	(3S)-(6-Fluoro-3-{methyl-[2-(2-methylphenyl)-acetyl]-amino}- 1,2,3,4-tetrahydro-9H-carbazol-9-yl)- acetic acid	C24H25N2O3F 408.472	0.96 LC-3	409.48
193	(3 <i>S</i>)-{3-[(3,3-Diphenyl-propionyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C30H29N2O3F 484.569	1.02 LC-3	485.52
194	(3 <i>S</i>)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-methyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C25H27N2O4F 438.497	0.97 LC-3	439.45
195	(3 <i>S</i>)-{6-Fluoro-3-[(3-1 <i>H</i> -indol-3-yl-propionyl)-methyl-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C26H26N3O3F 447.508	0.94 LC-3	448.44
196	(3 <i>S</i>)-{3-[(2-Benzyloxy-acetyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O4F 424.471	0.94 LC-3	425.44
197	(3 <i>S</i>)-{3-[(2,3-Diphenyl-propionyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C30H29N2O3F 484.569	1.04 LC-3	485.53
198	(3 <i>S</i>)-{6-Fluoro-3-[[3-(2-methoxy-phenyl)-propionyl]-(3-phenyl-propyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C33H35N2O4F 542.649	1.07 LC-3	543.18
199	(3 <i>S</i>)-{3-[Acetyl-(3-phenyl-propyl)- amino]-6-fluoro-1,2,3,4-tetrahydro- 9 <i>H</i> -carbazol-9-yl}-acetic acid	C25H27N2O3F 422.498	1.01 LC-3	423.14

200	(3 <i>S</i>)-{3-[3-Benzyl-(1-cyclopropylmethyl)-ureido]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C26H28N3O3F 449.524	0.99 LC-3	450.2
201	(3 <i>S</i>)-[3-(Benzyloxycarbonyl-cyclopropylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C26H27N2O4F 450.508	1.05 LC-3	451.15
202	(3 <i>S</i>)-{3-[Cyclopropylmethyl-(3-phenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C27H29N2O3F 448.536	1.02 LC-3	449.25
203	(3S)-{3-[Cyclopropylmethyl-((S)-2-methyl-3-phenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C28H31N2O3F 462.563	1.03 LC-3	463.27
204	(3 <i>S</i>)-(3-{Cyclopropylmethyl-[3-(2-methoxy-phenyl)-propionyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C28H31N2O4F 478.562	1.02 LC-3	479.28
205	(3S)-(3-{[2-(3-Chloro-phenoxy)-acetyl]-cyclopropylmethyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C26H26N2O4CIF 484.953	1.03 LC-3	485.2
206	(3 <i>S</i>)-{3-[Cyclopropylmethyl-(3,3-diphenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C33H33N2O3F 524.634	1.07 LC-3	525.26
207	(3S)-{3-[Cyclopropylmethyl-(2-naphthalen-1-yl-acetyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C30H29N2O3F 484.569	1.04 LC-3	485.26
208	(3 <i>S</i>)-(3-{Benzyloxycarbonyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C31H28N2O5F4 584.564	1.15 LC-3	585.14

209	(3 <i>S</i>)-(3-{Acetyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C25H24N2O4F4 492.468	1.07 LC-3	493.17
210	(3S)-(6-Fluoro-3-{propionyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid	C26H26N2O4F4 506.494	1.08 LC-3	507.18
211	(3 <i>S</i>)-(6-Fluoro-3-{(3-phenyl-propionyl)-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C32H30N2O4F4 582.592	1.13 LC-3	583.14
212	(3S)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C33H32N2O5F4 612.618	1.13 LC-3	613.26
213	(3 <i>S</i>)-{6-Fluoro-3-[(2-phenoxy-ethyl)-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C31H31N2O4F 514.595	1.06 LC-3	515.17
214	(3S)-{6-Fluoro-3-[((S)-2-methyl-3-phenyl-propionyl)-(2-phenoxy-ethyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C32H33N2O4F 528.622	1.07 LC-3	529.26
215	(3S)-{6-Fluoro-3-[[3-(2-methoxy-phenyl)-propionyl]-(2-phenoxy-ethyl)-amino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid	C32H33N2O5F 544.621	1.06 LC-3	545.25
216	(3 <i>S</i>)-{3-[Acetyl-(2-phenoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C24H25N2O4F 424.471	0.98 LC-3	425.19
217	(3 <i>S</i>)-{3-[3-Benzyl-1-(2-methoxyethyl)-ureido]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic	C25H28N3O4F 453.512	0.97 LC-3	454.26

acid

218	(3 <i>S</i>)-{3-[Benzyloxycarbonyl-(2-	C25H27N2O5F	0.97	454.26
	methoxy-ethyl)-amino]-6-fluoro-	454.496	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
	acetic acid			
219	$(3S)$ -{6-Fluoro-3-[(2-methoxy-ethyl)-	C26H29N2O4F	0.98	453.25
	(3-phenyl-propionyl)-amino]-1,2,3,4-	452.524	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
220	$(3S)$ -{6-Fluoro-3-[(2-methoxy-ethyl)-	C27H31N2O4F	1	467.18
	((S)-2-methyl-3-phenyl-propionyl)-	466.551	LC-3	
	amino]-1,2,3,4-tetrahydro-9 <i>H</i> -			
	carbazol-9-yl}-acetic acid			
221	(3S)-(6-Fluoro-3-{(2-methoxy-ethyl)-	C27H31N2O5F	0.98	483.19
	[3-(2-methoxy-phenyl)-propionyl]-	482.55	LC-3	
	amino}-1,2,3,4-tetrahydro-9H-			
	carbazol-9-yl)-acetic acid			
222	(3 <i>S</i>)-{3-[[2-(3-Chloro-phenoxy)-	C25H26N2O5CIF	1	489.17
	acetyl]-(2-methoxy-ethyl)-amino]-6-	488.941	LC-3	
	fluoro-1,2,3,4-tetrahydro-9H-			
	carbazol-9-yl}-acetic acid			
223	(3 <i>S</i>)-{3-[(3,3-Diphenyl-propionyl)-(2-	C32H33N2O4F	1.04	529.28
	methoxy-ethyl)-amino]-6-fluoro-	528.622	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
	acetic acid			
224	(3S)-{6-Fluoro-3-[(2-methoxy-ethyl)-	C29H29N2O4F	1.01	489.24
	(2-naphthalen-1-yl-acetyl)-amino]-	488.557	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-			
	acetic acid			
225	$(3S)$ - $(6$ -Fluoro- 3 - $\{[(2S)$ - 2 -methyl- 3 -	C32H33N2O3F	1.07	513.27
	phenyl-propionyl]-phenethyl-amino}-	512.623	LC-3	
	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-			
	acetic acid			
226	(3 <i>S</i>)-(6-Fluoro-3-{[3-(2-methoxy-	C32H33N2O4F	1.06	529.27
	phenyl)-propionyl]-phenethyl-amino}-	528.622	LC-3	

	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-			
	acetic acid			
227	(3S)-[3-(Acetyl-phenethyl-amino)-6-	C24H25N2O3F	0.98	409.16
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	408.472	LC-3	
	carbazol-9-yl]-acetic acid			
228	(3 <i>S</i>)-{6-Fluoro-3-[(2-naphthalen-1-yl-	C34H31N2O3F	1.08	535.27
	acetyl)-phenethyl-amino]-1,2,3,4-	534.629	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
229	(3 <i>S</i>)-{6-Fluoro-3-[phenethyl-(3-	C31H31N2O3F	1.06	499.2
	phenyl-propionyl)-amino]-1,2,3,4-	498.596	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
230	(3 <i>S</i>)-[3-(3-Benzyl-1-naphthalen-1-	C33H30N3O3F	1.05	536.25
	ylmethyl-ureido)-6-fluoro-1,2,3,4-	535.617	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic			
	acid			
231	(3 <i>S</i>)-[3-(Benzyloxycarbonyl-	C33H29N2O4F	1.09	537.24
	naphthalen-1-ylmethyl-amino)-6-	536.601	LC-3	
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -			
	carbazol-9-yl]-acetic acid			
232	(3 <i>S</i>)-{6-Fluoro-3-[naphthalen-1-	C34H31N2O3F	1.07	535.25
	ylmethyl-(3-phenyl-propionyl)-	534.629	LC-3	
	amino]-1,2,3,4-tetrahydro-9 <i>H</i> -			
	carbazol-9-yl}-acetic acid			
233	(3 <i>S</i>)-{6-Fluoro-3-[((<i>S</i>)-2-methyl-3-	C35H33N2O3F	1.09	549.26
	phenyl-propionyl)-naphthalen-1-	548.656	LC-3	
	ylmethyl-amino]-1,2,3,4-tetrahydro-			
224	9 <i>H</i> -carbazol-9-yl}-acetic acid	CaellaanaO4E	1.07	EGE 26
234	(3 <i>S</i>)-(6-Fluoro-3-{[3-(2-methoxy-	C35H33N2O4F 564.655	1.07 LC-3	565.26
	phenyl)-propionyl]-naphthalen-1-	564.655	LU-3	
	ylmethyl-amino}-1,2,3,4-tetrahydro-			
235	9 <i>H</i> -carbazol-9-yl)-acetic acid (3 <i>S</i>)-{3-[(3,3-Diphenyl-propionyl)-	C40H35N2O3F	1.11	611.21
200	naphthalen-1-ylmethyl-amino]-6-	610.727	LC-3	011.21
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	010.727	LO-3	
	114010-1,2,0,4-1611411yu10-311-			

236	(3S)-[3-(Acetyl-naphthalen-1-	C27H25N2O3F	1	445.24
	ylmethyl-amino)-6-fluoro-1,2,3,4-	444.505	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic			
	acid			
237	(3 <i>S</i>)-[6-Fluoro-3-(naphthalen-1-	C28H27N2O3F	1.01	459.15
	ylmethyl-propionyl-amino)-1,2,3,4-	458.531	LC-3	
	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic			
	acid			
238	(3 <i>S</i>)-{3-[(<i>RS</i>)-2-Benzyl-3-(2-	C32H32N3O4F	0.97	542.22
	methylphenyl)-carbamoyl-	541.621	LC-3	
	propionylamino]-6-fluoro-1,2,3,4-			
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
239	(3 <i>S</i>)-{3-[(<i>RS</i>)-2-Benzyl-3-(3-	C32H32N3O5F	0.97	558.24
	methoxy-phenylcarbamoyl)-	557.620	LC-3	
	propionylamino]-6-fluoro-1,2,3,4-			
	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic			
	acid			
240	(3S)-{3-[(RS)-2-Benzyl-3-(4-chloro-	C31H29N3O4CIF	1.01	562.09
	phenylcarbamoyl)-propionylamino]-6-	562.039	LC-3	
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -			
	carbazol-9-yl}-acetic acid			
241	(3S)-{3-[(RS)-2-Benzyl-3-(4-fluoro-	C32H31N3O4F2	0.96	560.21
	benzylcarbamoyl)-propionylamino]-6-	559.611	LC-3	
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -			
	carbazol-9-yl}-acetic acid			
242	[(3 <i>S</i>)-3-((<i>RS</i>)-2-Benzyl-3-	C28H32N3O4F	0.91	494.25
	propylcarbamoyl-propionylamino)-6-	493.577	LC-3	
	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -			
	carbazol-9-yl]-acetic acid			
243	(3S)-[6-Fluoro-3-(3-thiophen-2-yl-	C21H21FN2O3S	0.93	400.61
	propionylamino)-1,2,3,4-tetrahydro-	400.47	LC-3	
	9 <i>H</i> -carbazol-9-yl]-acetic acid			

244	(3 <i>S</i>)-{3-[3-(3-Chloro-isoxazol-5-yl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	C20H19CIFN3O4 419.83	0.91 LC-3	420.09
245	(3 <i>S</i>)-[6-Fluoro-3-(3-pyrimidin-2-yl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C21H21FN4O3 396.41	0.8 LC-3	397.11
246	(3 <i>S</i>)-{6-Fluoro-3-[3-phenyl-4- ([1,3,4]thiadiazol-2-ylcarbamoyl)- butyrylamino]-1,2,3,4-tetrahydro-9 <i>H</i> - carbazol-9-yl}-acetic acid	C27H26FN5O4S 535.59	0.87 LC-3	536.14
247	(3 <i>S</i>)-[3-(1,3-Dibenzyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C29H28FN3O3 485.55	1.02 LC.3	486.22
248	(3 <i>S</i>)-(3-{Acetyl-[2-(2-fluoro-phenyl)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C24H24F2N2O3 426.46	0.99 LC-3	427.07
249	(3 <i>S</i>)-(3-{Acetyl-[2-(3-fluoro-phenyl)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C24H24F2N2O3 426.46	0.99 LC-3	427.07
250	(3 <i>S</i>)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	C29H34N3O3F 491.605	1.06 LC-3	492.26
251	(3 <i>S</i>)-(3-{Cyclohexylmethyl-[3-(2-methoxy-phenyl)-propionyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	C31H37N2O4F 520.643	1.10 LC-3	521.25

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Synthesis of Precursors and Intermediates:

General Methods for the synthesis of intermediates of Structure 1:

$$R^{5}$$
 $N-R^{6}$
 R^{2}
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{6}
 R^{7}
 R^{8}
 R^{1}
 R^{7}
 R^{8}

Structure 1, wherein R represents C₁₋₄-alkyl

N-Carbamoylation of an intermediate of Structure 2a or 2b:

The appropriate isocyanate (0.132 mmol) and a catalytical amount of DMAP are added to a 0°C cold solution of a hydrochloride of the appropriate intermediate of Structure 2a or 2b (0.11 mmol) and Et₃N (0.034 ml, 0.242 mmol) in DCM (2 ml). The reaction mixture is stirred at rt overnight. Then, a 1:4 mixture (1 ml) of sat. NaHCO₃ solution and H₂O is added. After phase separation, the aq. layer is extracted three times with DCM. The combined org. phases are washed with 10% citric acid. The solvent is evaporated and the pure [3-ureido-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetate derivative of Structure 1 is obtained by preparative HPLC with 8 to 98% yield.

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Listed in Table 2 below are ethyl [3-ureido-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetate derivatives of Structure 1, prepared according to the above mentioned method, with the corresponding compound of Structure 2a or 2b as starting material.

Table 2

Interm	ediates of Structure 1:	Formula	t _R [min]	MS Data
Ethyl	[3-ureido-1,2,3,4-tetrahydro-9 <i>H</i> -	MW	LC-MS	m/z
carbaz	ol-9-yl]-acetate derivatives		Method	[M+H]⁺
Ethyl	(3R)-[3-(3-butyl-ureido)-1,2,3,4-	C21H29N3O3	1.1	372.05
tetrahyo	dro-9 <i>H-</i> carbazol-9-yl]-acetate	371.47	LC-2	3/2.05
Ethyl	(3 <i>R</i>)-[3-(3-benzyl-ureido)-1,2,3,4-	C24H27N3O3	1.99	406.06
tetrahyo	dro-9 <i>H-</i> carbazol-9-yl]-acetate	405.496	LC-1	406.06
Ethyl	(3R)-[3-(3-phenethyl-ureido)-	C25H29N3O3	2.15	400.04
1,2,3,4-	-tetrahydro-9 <i>H</i> -carbazol-9-yl]-	419.523	LC-1	420.04

acetate

Ethyl (3 <i>R</i>)-[3-(3-naphthalen-1-yl-ureido)-	C27H27N3O3	1.19	
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-			441.95
acetate	441.52	LC-2	
Ethyl (3 <i>R</i>)-[3-(3-phenylsulfonyl-ureido)-	C23H25N3O5S	1.96	
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-			454.1
acetate	455.534	LC-1	
Ethyl (3 <i>S</i>)-[3-(3-butyl-ureido)-1,2,3,4-	C21H29N3O3	1.08	372.16
tetrahydro-9 <i>H-</i> carbazol-9-yl]-acetate	371.479	LC-2	3/2.10
Ethyl (3 <i>S</i>)-[3-(3-benzyl-ureido)-1,2,3,4-	C24H27N3O3	1.1	406.16
tetrahydro-9 <i>H-</i> carbazol-9-yl]-acetate	405.496	LC-2	400.10
Ethyl (3 <i>S</i>)-[3-(3-phenethyl-ureido)-1,2,3,4-	C25H29N3O3	1.12	420.15
tetrahydro-9 <i>H-</i> carbazol-9-yl]-acetate	419.523	LC-2	420.13
Ethyl (3 <i>S</i>)-[3-(3-phenylsulfonyl-ureido)-	COOLIDENIOOEC	1.00	
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-	C23H25N3O5S	1.09	454.16
acetate	455.534	LC-2	
Ethyl (3 <i>S</i>)-[3-(3-phenyl-ureido)-1,2,3,4-	C23H25N3O3	1.11	222.4
tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	391.47	LC-2	392.17

Listed in Table 2a below are further ethyl [3-ureido-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetate derivatives of Structure **1**, prepared according to the above mentioned method, with the corresponding compound of Structure **2a** or **2b** as starting material.

Table 2a

Intermediates of Structure 1:	Formula	
Ethyl [3-ureido-1,2,3,4-tetrahydro-9H-	MW	
carbazol-9-yl]-acetate derivatives		
Ethyl (3 <i>RS</i>)-[3-(3-benzyl-ureido)-6-fluoro-1,2,3,4-	C25H28FN3O3	
tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	437.51	
Ethyl (3 S)-[6-fluoro-3-(3-phenethyl-ureido)-	C25H28N3O3F	
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	437.51	

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Ethyl (3 <i>RS</i>)-[3-(3-benzyl-ureido)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C24H25CIFN3O3 457.93
Ethyl (3 <i>RS</i>)-[8-chloro-6-fluoro-3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C25H27CIFN3O3 471.95
Ethyl (3 <i>S</i>)-[6-fluoro-3-(1-methyl-3-phenethyl-ureido)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C26H30FN3O3 451.53
Ethyl (3 <i>S</i>)-{3-[3-(2-chloro-benzyl)-1-methyl-ureido]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H27CIFN3O3 471.95
Ethyl (3 <i>S</i>)-[3-(3-benzyl-1-methyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C25H28FN3O3 437.51
Ethyl (3 <i>S</i>)-{3-[3-benzyl-(1-cyclopropylmethyl)-ureido]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C28H32FN3O3 477.57
Ethyl (3 <i>S</i>)-{3-[3-benzyl-1-(2-methoxy-ethyl)-ureido]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H32FN3O4 481.56
Ethyl (3 <i>S</i>)-[3-(3-benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C31H37N3O3F 519.655
Ethyl (3 <i>S</i>)-[3-(1,3-Dibenzyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C29H28FN3O3 513.60

2) Reaction of intermediates of Structure 2a or 2b with chloroformates:

The appropriate chloroformate (neat) and a catalytical amount of DMAP is added to a 0°C cold solution of a hydrochloride of the appropriate intermediate of Structure **2a** or **2b** (0.132 mmol) and Et₃N (0.034 ml, 0.242 mmol) in DCM (2 ml). The reaction mixture is stirred at rt overnight. Then, a 1:4 mixture (1 ml) of sat. NaHCO₃ solution and H₂O is added. After

phase separation, the aq. layer is extracted three times with DCM. The combined org. phases are washed with 10% citric acid. The solvent is evaporated and the pure (3-oxycarbonylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure 1 is obtained by preparative HPLC with 5 to 96% yield.

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Listed in Table 3 below are ethyl (3-oxycarbonylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivatives of Structure 1, prepared according to the above mentioned method, with the corresponding compound of Structure 2a or 2b as starting material.

Table 3

Intermediates of Structure 1:	Formula	t _R [min]	MS Data
Ethyl (3-oxycarbonylamino-1,2,3,4-	MW	LC-MS	m/z
tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate		Method	
derivatives			
Ethyl (3 <i>R</i>)-(3-propoxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C20H26N2O4	1.14	380.98
	358.436	LC-2	[M+Na] ⁺
Ethyl (3 <i>R</i>)-(3-isobutoxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C21H28N2O4	1.20	395.04
	372.463	LC-2	[M+Na] ⁺
Ethyl (3 <i>R</i>)-(3-benzyloxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C24H26N2O4	1.17	407.02
	406.48	LC-2	[M+H] ⁺
Ethyl (3 <i>R</i>)-[3-(2-benzyloxy-ethoxycarbonylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C26H30N2O5	1.17	473.01
	450.533	LC-2	[M+Na] ⁺
Ethyl (3 <i>S</i>)-(3-propoxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C20H26N2O4	1.12	381.09
	358.436	LC-2	[M+Na] ⁺
Ethyl (3 <i>S</i>)-(3-isobutoxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C21H28N2O4	1.15	373.13
	372.463	LC-2	[M+H] ⁺
Ethyl (3 <i>S</i>)-(3-benzyloxycarbonylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C24H26N2O4	1.16	407.2
	406.48	LC-2	[M+H] ⁺

(3S)-[3-(2-benzyloxy-Ethyl C26H30N2O5 1.17 473.14 ethoxycarbonylamino)-1,2,3,4-450.533 LC-2 [M+Na]+ tetrahydro-9H-carbazol-9-yl]-acetate

Listed in Table 3a below are further ethyl (3-oxycarbonylamino-1,2,3,4-tetrahydro-9Hcarbazol-9-yl)-acetate derivatives of Structure 1, prepared according to the above mentioned method, with the corresponding intermediate of Structure 2a or 2b as starting material.

5 Table 3a

Intermediates of Structure 1:	Formula
Ethyl (3-oxycarbonylamino-1,2,3,4-tetrahydro-	MW
9 <i>H</i> -carbazol-9-yl)-acetate derivatives	
Ethyl (3 <i>RS</i>)-(3-benzyloxycarbonylamino-6-trifluoromethyl-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C25H25N2O4F3 474.478
Ethyl (3 <i>RS</i>)-(3-benzyloxycarbonylamino-8-bromo-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C24H24N2O4BrF 503.367
Ethyl (3 <i>RS</i>)-(3-benzyloxycarbonylamino-6-fluoro-8-vinyl-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H27N2O4F 450.508
Ethyl (3 <i>RS</i>)-(3-benzyloxycarbonylamino-6-fluoro-8-methanesulfonyl-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C25H27N2O6FS 502.561
Ethyl (3 S)-(3-benzyloxycarbonylamino-6-fluoro-8-methyl-1,2,3,4-tetrahydro-9 H -carbazol-9-yl)-acetate	C25H27N2O4F 438.497
Ethyl (3 <i>S</i>)-(3-benzyloxycarbonylamino-7-chloro-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C24H24CIFN2O4 458.91
Ethyl (3 <i>S</i>)-(8-allyl-3-benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C27H29N2O4F 464.535

Ethyl (3 R)-(3-benzyloxycarbonylamino-8-chloro-	C24H25N2O4CI
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	440.926
Ethyl (3 <i>S</i>)-[3-(benzyloxycarbonyl-methyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C25H27N2O4F 438.494
Ethyl {(3 <i>S</i>)-3-[(2-chloro-benzyloxycarbonyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O4CIF 472.939
Ethyl (3 <i>S</i>)-[3-(benzyloxycarbonyl-cyclopropylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C28H31N2O4F 478.558
Ethyl (3 <i>S</i>)-(3-{benzyloxycarbonyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C33H32N2O5F4 612.614
Ethyl (3 <i>S</i>)-{3-[benzyloxycarbonyl-(2-methoxyethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H31N2O5F 482.546

3) N-Acylation of an intermediate of Structure 2a or 2b: Method (A)

The appropriate acid chloride (0.132 mmol) and a catalytical amount of DMAP are added to a stirred solution of a hydrochloride of the appropriate intermediate of Structure **2a** or **2b** (0.11 mmol) and Et₃N (0.034 ml, 0.242 mmol) in DCM (2 ml) at 0°C, and the resulting reaction mixture is kept stirring at rt overnight. Then, a (1:4) mixture (1 ml) of sat. NaHCO₃ and H₂O is added. After phase separation, the aq. layer is extracted three times with DCM, and the combined org. layers are washed with 10% citric acid to remove DMAP. The solvent is evaporated and the pure ethyl (3-acylamido-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure **1** is isolated by preparative HPLC in 10 to 95 % yield.

Method (B)

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A solution of a hydrochloride of the appropriate intermediate of Structure **2a** or **2b** (0.075 mmol) and DIEA (0.15 mmol) in a 4:1 mixture (2 ml) of dry DMF and THF is added

dropwise to a stirred solution of the appropriate carboxylic acid (0.113 mmol), HATU (0.15 mmol) and DIEA (0.15 mmol) in a 4:1 mixture (2 ml) of dry DMF and THF at 0°C. The mixture is stirred at rt for 1 h, or overnight, then sat. NaHCO₃ solution is added. After phase separation, the aq. layer is extracted three times with DCM. The combined org. phases are evaporated. The crude ethyl (3-acylamido-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure 1 is obtained with >50% yield and is either used as such in the next step or purified by prepaprative HPLC to give the pure ethyl (3-acylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure 1 with 13 to 95% yield.

Listed in Table 4 below are crude ethyl (3-acylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivatives of Structure **1**, prepared according to the above mentioned methods (A) or (B), with the corresponding intermediate of Structure **2a** or **2b** as starting material.

Table 4

Intern	nediates of Structure 1:	Formula	t _R [min]	MS Data
Ethyl	(3-acylamino-1,2,3,4-tetrahydro-9 <i>H</i> -	MW	LC-MS	m/z
carba	zol-9-yl)-acetate derivatives		Method	[M+H] ⁺
Ethyl	(3 <i>R</i>)-(3-benzoylamino-1,2,3,4-tetrahydro-9 <i>H</i> -	C23H24N2O3	1.11	399.00
carba	zol-9-yl)-acetate	376.455	LC-2	[M+Na] ⁺
Ethyl	(3R)-[3-(2-phenoxy-acetylamino)-1,2,3,4-	C24H26N2O4	1.13	428.93
tetrah	ydro-9 <i>H</i> -carbazol-9-yl]-acetate	406.48	LC-2	[M+Na] ⁺
Ethyl	(3 <i>R</i>)-(3-phenylacetylamino-1,2,3,4-	C24H26N2O3	1.11	412.97
tetrah	ydro-9 <i>H</i> -carbazol-9-yl)-acetate	390.481	LC-2	[M+Na] ⁺
Ethyl	(3 <i>R</i>)-[3-(2-thiophen-2-yl-acetylamino)-1,2,3,4-	C22H24N2O3S	1.09	396.98
tetrah	ydro-9 <i>H</i> -carbazol-9-yl]-acetate	396.51	LC-2	390.90
Ethyl	(3 <i>R</i>)-[3-(3-phenyl-propionylamino)-1,2,3,4-	C25H28N2O3	1.13	427.03
tetrah	ydro-9 <i>H</i> -carbazol-9-yl]-acetate	404.508	LC-2	[M+Na] ⁺
Ethyl	(3R)-[3-(2-benzyloxy-acetylamino)-1,2,3,4-	C25H28N2O4	1.14	421.07
tetrah	ydro-9 <i>H</i> -carbazol-9-yl]-acetate	420.507	LC-2	421.07
Ethyl	(3R)-[3-(3-methyl-butyrylamino)-1,2,3,4-	C21H28N2O3	1.09	357.1
tetrah	ydro-9 <i>H</i> -carbazol-9-yl]-acetate	356.464	LC-2	357.1
Ethyl	(3R)-[3-(3-cyclopentyl-propionylamino)-	C24H32N2O3	1.17	397.05
1,2,3,	4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	396.529	LC-2	397.05
Ethyl	(3R)-(3-decanoylamino-1,2,3,4-tetrahydro-	C26H38N2O3	1.28	449.01
9 <i>H</i> -ca	rbazol-9-yl)-acetate	426.599	LC-2	[M+Na] ⁺

Ethyl (3 <i>S</i>)-[3-(2-phenoxy-acetylamino)-1,2,3,4-	C24H26N2O4	1.03	407.23
tetrahydro-9H-carbazol-9-yl]-acetate	406.48	LC-2	407.23
Ethyl (3 <i>S</i>)-(3-phenylacetylamino-1,2,3,4-	C24H26N2O3	1.1	391.13
tetrahydro-9H-carbazol-9-yl)-acetate	390.481	LC-2	391.13
Ethyl (3S)-[3-(2-thiophen-2-yl-acetylamino)-1,2,3,4-	C22H24N2O3S	1.1	207
tetrahydro-9H-carbazol-9-yl]-acetate	396.51	LC-2	397
Ethyl (3 <i>S</i>)-[3-(3-phenyl-propionylamino)-1,2,3,4-	C25H28N2O3	1.13	405.12
tetrahydro-9H-carbazol-9-yl]-acetate	404.508	LC-2	403.12
Ethyl (3 <i>S</i>)-[3-(2-benzyloxy-acetylamino)-1,2,3,4-	C25H28N2O4	1.14	421.09
tetrahydro-9H-carbazol-9-yl]-acetate	420.507	LC-2	421.09
Ethyl (3 <i>S</i>)-[3-(3-methyl-butyrylamino)-1,2,3,4-	C21H28N2O3	1.1	357.13
tetrahydro-9H-carbazol-9-yl]-acetate	356.464	LC-2	357.13
Ethyl (3 <i>S</i>)-[3-(3-cyclopentyl-propionylamino)-	C24H32N2O3	1.18	397.16
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	396.529	LC-2	397.10
Ethyl (3 <i>S</i>)-(3-decanoylamino-1,2,3,4-tetrahydro-	C26H38N2O3	1.15	427.32
9H-carbazol-9-yl)-acetate	426.599	LC-2	427.32
Ethyl (3 <i>S</i>)-(3-butyrylamino-1,2,3,4-tetrahydro-9 <i>H</i> -	C20H26N2O3	1.07	040 15
carbazol-9-yl)-acetate	342.437	LC-2	343.15
Ethyl (3 <i>S</i>)-(3-heptanoylamino-1,2,3,4-tetrahydro-	C23H32N2O3	1.18	385.18
9H-carbazol-9-yl)-acetate	384.518	LC-2	303.10
Ethvl (3R)-[6-fluoro-3-(2-phenoxy-acetylamino)-	C24H25N2O4F	1.15	425.28
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	424.471	LC-2	425.20
Ethyl (3 <i>R</i>)-(6-fluoro-3-phenylacetylamino-1,2,3,4-	C24H25N2O3F	1.12	409.28
tetrahydro-9H-carbazol-9-yl)-acetate	408.472	LC-2	400.20
Ethyl $(3R)$ -[6-Fluoro-3-(3-phenyl-propionylamino)-	C25H27N2O3F	1.15	423.27
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	422.498	LC-2	420.27
Ethyl (3 <i>R</i>)-{3-[2-(4-Chloro-phenyl)-acetylamino]-6-	C24H24N2O3CIF	1.18	443.21
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	442.917	LC-2	440.21
Ethyl (3 <i>R</i>)-{6-fluoro-3-[2-(4-methoxy-phenyl)-	C25H27N2O4F	1.12	
acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	438.497	LC-2	439.2
acetate	400.407	LO 2	
Ethyl (3 <i>R</i>)-[6-fluoro-3-(2- <i>p</i> -tolyl-acetylamino)-	C25H27N2O3F	1.14	423.34
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	422.498	LC-2	120.04
Ethyl (3 <i>R</i>)-{3-[2-(3,4-dichloro-phenyl)-acetylamino]-	C24H23N2O3Cl2F	1.18	477.22
6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	477.362	LC-2	.,,

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acetate

Ethyl $(3R)$ - $\{3-[2-(3-chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-acetate$	C24H24N2O3CIF 442.917	1.16 LC-2	443.28
Ethyl (3 <i>R</i>)-{6-fluoro-3-[2-(4-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H24N2O3F4 476.469	1.17 LC-2	477.29
Ethyl (3 <i>R</i>)-{3-[2-(4-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C24H24N2O4CIF 458.916	1.18 LC-2	459.28
Ethyl (3 <i>R</i>)-[6-fluoro-3-(2- <i>p</i> -tolyloxy-acetylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C25H27N2O4F 438.497	1.18 LC-2	439.27
$\label{eq:continuous} \mbox{Ethyl (3\it{R})-{3-[2-(2-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9\it{H}-carbazol-9-yl}-acetate$	C24H24N2O4CIF 458.916	1.17 LC-2	459.21
Ethyl (3 R)-{3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C24H24N2O4CIF 458.916	1.18 LC-2	459.21
Ethyl (3 <i>R</i>)-{6-fluoro-3-[3-(4-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O4F 452.524	1.14 LC-2	453.26
Ethyl (3 <i>R</i>)-[6-fluoro-3-(3- <i>p</i> -tolyl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C26H29N2O3F 436.525	1.17 LC-2	437.26
Ethyl $(3R)$ - $\{3-[3-(4-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-acetate$	C25H26N2O3CIF 456.943	1.18 LC-2	457.2
Ethyl $(3R)$ - $\{3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-acetate$	C25H26N2O3CIF 456.943	1.18 LC-2	457.2
Ethyl (3 <i>R</i>) {3 [3 (3 chloro phenyl) propionylamino] 6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O3CIF 456.943	1.17 LC-2	457.2
Ethyl (3 S)-{3-[2-(4-chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C24H24N2O3CIF 442.917	1.15 LC-2	443.21
Ethyl (3 <i>S</i>)-{6-fluoro-3-[2-(4-methoxy-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H27N2O4F 438.497	1.12 LC-2	439.33
Ethyl (3 <i>S</i>)-[6-fluoro-3-(2-p-tolyl-acetylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C25H27N2O3F 422.498	1.15 LC-2	423.27

Ethyl (3 S)-[6-fluoro-3-(2-phenoxy-acetylamino)-	C24H25N2O4F	1.15	425.28
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	424.471	LC-2	423.20
$\label{eq:energy} \mbox{Ethyl} \qquad \mbox{(3S)-[6-fluoro-3-(3-phenyl-propionylamino)-}$	C25H27N2O3F	1.14	423.27
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	422.498	LC-2	423.27
$\label{eq:continuous} $	C24H24N2O4CIF	1.17	459.21
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	458.916	LC-2	459.21
Ethyl (3 S)-[6-fluoro-3-(2- p -tolyloxy-acetylamino)-	C25H27N2O4F	1.18	439.27
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	438.497	LC-2	409.27
Fthyl $(3.S)$ -{6-fluoro-3-[3-(4-methoxy-phenyl)-	C26H29N2O4F	1.14	
propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-	452.524	LC-2	453.33
yl}-acetate	432.324	LO-2	
Ethyl $(3S)$ -[6-fluoro-3- $(3-p$ -tolyl-propionylamino)-	C26H29N2O3F	1.17	437.33
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	436.525	LC-2	407.00
$ \label{eq:continuous} $	C25H26N2O3CIF	1.17	
6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	456.943	LC-2	457.27
acetate	450.540	LO-2	
$\label{eq:continuous} \mbox{Ethyl } \mbox{ (3S)-{3-[3-(2-chloro-phenyl)-propionylamino]-}}$	C25H26N2O3CIF	1.17	
6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	456.943	LC-2	457.27
acetate	400.040	LO 2	
$ \label{eq:continuous} $	C25H26N2O3CIF	1.18	
6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	456.943	LC-2	457.27
acetate	.00.0.0		
Ethyl $(3S)$ - $\{3-[3-(3,4-difluoro-phenyl)-$	C25H25N2O3F3	1.17	
propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	458.479	LC-2	459.28
carbazol-9-yl}-acetate	100.170	LO L	
Ethyl $(3S)$ -{6-fluoro-3-[3-(3-methoxy-phenyl)-	C26H29N2O4F	1.14	
propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-	452.524	LC-2	453.33
yl}-acetate	102.02 1	202	
Ethyl $(3S)$ -{6-fluoro-3-[3-(2-methoxy-phenyl)-	C26H29N2O4F	1.16	
propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-	452.524	LC-2	453.33
yl}-acetate	402.024	LO 2	
Ethyl (3 <i>S</i>)-[3-(2,3-diphenyl-propionylamino)-6-	C31H31N2O3F	1.21	499.39
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	498.596	LC-2	455.55
Ethyl (3 <i>S</i>)-[3-(3,3-diphenyl-propionylamino)-6-	C31H31N2O3F	1.2	499.39
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	498.596	LC-2	₹33.03

Ethyl (3S)-[6-fluoro-3-(2-phenoxy-acetylamino)-	C24H25N2O4F	1.15	405.00
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	424.471	LC-2	425.28
Ethyl (3 <i>S</i>)-[6-fluoro-3-(3-phenyl-propionylamino)-	- C25H27N2O3F	1.14	423.27
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	422.498	LC-2	423.27
Ethyl (3S)-{3-[2-(4-chloro-phenoxy)-acetylamino]-6-	- C24H24N2O4CIF	1.17	459.21
$fluoro\hbox{-}1,2,3,4\hbox{-}tetra hydro\hbox{-}9\emph{-}H\hbox{-}carbazol\hbox{-}9\hbox{-}yI\}\hbox{-}acetate$	458.916	LC-2	459.21
Ethyl (3 <i>S</i>)-[6-fluoro-3-(2- <i>p</i> -tolyloxy-acetylamino)-	C25H27N2O4F	1.18	439.27
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	438.497	LC-2	439.27
Fthyl (3 <i>S</i>)-{6-fluoro-3-[3-(4-methoxy-phenyl)-	C26H29N2O4F	1.14	
$propionylamino] 1, 2, 3, 4-tetra hydro-9 \emph{H-} carbazol-9-$	452.524	LC-2	453.33
yl}-acetate	432.324	LO 2	
Ethyl (3 <i>S</i>)-[6-fluoro-3-(3- <i>p</i> -tolyl-propionylamino)-	C26H29N2O3F	1.17	437.33
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	436.525	LC-2	407.00
$\label{eq:continuous} \mbox{Ethyl} \ \ (3\mbox{\it S})\mbox{-} \{3\mbox{-} [3\mbox{-} (4\mbox{-}chloro\mbox{-}phenyl)\mbox{-}propionylamino}]\mbox{\it ethyl} \ \ \mbox{\it ethyl} \ \ \mbox{\it ethyl} \mbox{\it ethyl} \ \mbox{\it ethyl} \$	C25H26N2O3CIF	1.17	
6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	456.943	LC-2	457.27
acetate	430.943	LU-2	
Ethyl $(3S)$ - $\{3-[3-(2-chloro-phenyl)-propionylamino]$	C25H26N2O3CIF	1.17	
6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	456.943	LC-2	457.27
acetate	430.343	LU-2	
Ethyl $(3S)$ - $\{3-[3-(3-chloro-phenyl)-propionylamino]$	C25H26N2O3CIF	1.18	
6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	456.943	LC-2	457.27
acetate	100.010		
Ethyl $(3S)$ - $\{3-[3-(3,4-difluoro-phenyl)-($	C25H25N2O3F3	1.17	
propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	458.479	LC-2	459.28
carbazol-9-yl}-acetate	400.473	LO <u>2</u>	
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(3-methoxy-phenyl)	C26H29N2O4F	1.14	
$propionylamino] 1, 2, 3, 4-tetra hydro-9 \emph{H-} carbazol-9-$	452.524	LC-2	453.33
yl}-acetate	402.024	LO 2	
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(2-methoxy-phenyl)-	C26H29N2O4F	1.16	
$propionylamino] 1, 2, 3, 4-tetra hydro-9 \emph{H-} carbazol-9-$	452.524	LC-2	453.33
yl}-acetate	402.024	LO 2	
Ethyl (3 <i>S</i>)-[3-(2,3-diphenyl-propionylamino)-6-	C31H31N2O3F	1.21	499.39
$fluoro\hbox{-}1,2,3,4\hbox{-}tetra hydro\hbox{-}9\emph{-}H\hbox{-}carbazol\hbox{-}9\hbox{-}yI]\hbox{-}acetate$	498.596	LC-2	700.00
Ethyl (3 <i>S</i>)-[3-(3,3-diphenyl-propionylamino)-6-	C31H31N2O3F	1.2	499.39
$fluoro\hbox{-}1,2,3,4\hbox{-}tetra hydro\hbox{-}9\emph{-}H\hbox{-}carbazol\hbox{-}9\hbox{-}yI]\hbox{-}acetate$	498.596	LC-2	700.UU

Ethyl $(3S)$ -{3-[4-(4-bromo-phenyl)-4-oxo-butyrylamino]-6-fluoro-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C26H26N2O4BrF 529.404	1.17 LC-2	531.25
Ethyl (3 <i>S</i>)-[6-fluoro-3-(4-oxo-4-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C26H27N2O4F 450.508	1.12 LC-2	451.25
Ethyl (3 S)-{6-Fluoro-3-[4-(4-methanesulfonyl-phenyl)-4-oxo-butyrylamino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C27H29N2O6FS 528.599	1.07 LC-2	529.31
Ethyl (3 S)-[6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9 H -carbazol-9-yl]-acetate	C27H29N2O3F 448.536	1.18 LC-2	449.31
Ethyl (3 S)-{6-fluoro-3-[3-(4-hydroxy-3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C26H29FN2O5 468.52	1.08 LC-3	469.04
Ethyl (3 S)-{6-fluoro-3-[3-(4-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C25H27FN2O4 438.49	1.07 LC-3	439.06
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H27FN2O5 439.49	1.08 LC-3	439.06
Ethyl (3 S)-{6-fluoro-3-[3-(2-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C25H27FN2O6 440.49	n. d. LC-3	n. d.
Ethyl (3 S)-{3-[(2,3-dihydro-1 H -indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C25H26FN3O3 435.49	1.14 LC-3	436.08
Ethyl (3 <i>S</i>)-[6-fluoro-3-(3-1 <i>H</i> -indol-3-yl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C27H28FN3O3 461.53	1.15 LC-3	462.05
Ethyl (3 <i>S</i>)-[3-(3-1 <i>H</i> -benzoimidazol-2-yl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C26H27FN4O3 462.52	0.86 LC-3	463.09
Ethyl (3 S)-[3-(3-benzo[1,3]dioxol-5-yl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9 H -carbazol-9-yl]-acetate	C26H27FN2O5 466.5	1.15 LC-3	467.03

Ethyl (3 S)-{6-fluoro-3-[(1 H -indole-2-carbonyl)-amino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C25H24FN3O3 433.47	n. d. LC-3	n. d.
Ethyl (3 S)-[6-fluoro-3-(3-pyridin-3-yl-propionylamino)-1,2,3,4-tetrahydro-9 H -carbazol-9-yl]-acetate	C24H26FN3O3 423.48	n. d. LC-3.	n. d.
Ethyl $(3S)$ - $\{3-[2-(4-tert-butyl-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-acetate$	C28H33FN2O3 464.57	n. d. LC-3	n. d.
Ethyl $(3S)$ -{6-fluoro-3-[2-(4-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C25H24F4N2O3 476.46	n. d. LC-3	n. d.
Ethyl $(3S)$ -{6-fluoro-3-[2-(3-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C25H24F4N2O3 476.46	1.26 LC-2	477.04
Ethyl (3 S)-{6-fluoro-3-[2-(4-trifluoromethyl-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C25H24F4N2O4 492.46	n. d. LC-3.	n. d.
Ethyl (3 S)-[6-fluoro-3-(3-naphthalen-2-yl-acryloylamino)-1,2,3,4-tetrahydro-9 H -carbazol-9-yl]-acetate	C29H27FN2O3 470.53	1.29 LC-2	471.05
Ethyl (3 S)-[6-fluoro-3-(3-naphthalen-2-yl-propionylamino)-1,2,3,4-tetrahydro-9 H -carbazol-9-yl]-acetate	C29H29FN2O3 472.55	1.27 LC-2	473.08
Ethyl $(3S)$ -{6-fluoro-3-[methyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 H -carbazol-9-yl}-acetate	C26H29FN2O3 436.52	1.08 LC-3	437.28
Ethyl $(3S)$ - $(3-\{[2-(4-chloro-phenyl)-acetyl]-methyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetate$	C25H26CIFN2O3 450.94	1.09 LC-0	473.08
Ethyl (3 <i>S</i>)-{6-fluoro-3-[ethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H31FN2O3 450.55	1.09 LC-3	451.23
Ethyl $(3S)$ - $(3-\{[2-(4-chloro-phenyl)-acetyl]-ethyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetate$	C26H28CIFN2O3 470.96	1.1 LC-3	471.22

Ethyl (3 <i>S</i>)-{6-fluoro-3-[propyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C28H33FN2O3 464.57	1.11 LC-3	465.23
Ethyl (3 <i>S</i>)-(3-{[2-(4-chloro-phenyl)-acetyl]-propylamino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-vl)-acetate	C27H30CIFN2O 484.99	1.12 LC-3	485.20

Listed in Table 4a below are further ethyl (3-acylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivatives of Structure 1, prepared according to the above mentioned methods (A) or (B), with the corresponding intermediate of Structure 2a or 2b as starting material.

5 Table 4a

Intermediates of Structure 1:	Formula
Ethyl (3-acylamino-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate derivatives	MW
Ethyl (3 <i>S</i>)-{3-[3-(2,4-dimethoxy-phenyl)-propionylamino]-6-fluoro-I,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H31N2O5F 482.546
Ethyl (3 <i>S</i>)-[6-fluoro-3-(3-naphthalen-1-yl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C29H29N2O3F 472.555
Ethyl (3 <i>RS</i>)-{6-fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H27N2O5F 454.493
Ethyl (3 <i>RS</i>)-{6-fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O3F 436.522
Ethyl (3 <i>RS</i>)-{6-fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-vl}-acetate	C26H29N2O3F 436.522
Ethyl (3 <i>RS</i>)-{6-fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O4F 452.521

Ethyl (3 <i>RS</i>)-{6-fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H27N2O5F 454.493
Ethyl (3 <i>RS</i>)-{6-fluoro-3-[2-(2-methylphenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H27N2O4F 438.494
Ethyl (3 <i>S</i>)-{3-[3-(2,5-dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C221 101 N2O5F 482.546
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(4-trifluoromethyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H26N2O3F4 490.492
Ethyl (3 <i>S</i>)-{3-[3-(2,6-dichloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H25N2O3Cl2F 491.385
Ethyl (3 <i>S</i>)-{3-[3-(2,5-bis-trifluoromethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H25N2O3F7 558.489
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O3FS 468.588
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(4-iodo-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O3FI 548.387
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(4-isopropyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C28H33N2O3F 464.575
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(3-trifluoromethyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H26N2O3F4 490.492
Ethyl (3 <i>S</i>)-{3-[3-(2,4-dichloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H25N2O3Cl2F 491.385

Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(4-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O3F2 440.485
Ethyl (3 <i>S</i>)-{3-[3-(3,5-bis-trifluoromethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H25N2O3F7 558.489
Ethyl (3 <i>S</i>)-{3-[3-(4-ethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H31N2O3F 450.548
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(3-iodo-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O3FI 548.387
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(4-methanesulfonyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O5FS 500.586
Ethyl (3 <i>S</i>)-{3-[3-(2,3-dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H31N2O5F 482.546
Ethyl (3 <i>S</i>)-{3-[3-(2-bromo-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O3BrF 501.391
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(3-trifluoromethoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H26N2O4F4 506.401
Ethyl (3 <i>S</i>)-{3-[3-(2,4-dimethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H31N2O3F 450.548
Ethyl (3 <i>S</i>)-{3-[3-(3-bromo-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O3BrF 501.391
Ethyl (3 <i>S</i>)-{3-[3-(3- <i>tert</i> -butoxycarbonylamino-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C30H36N3O5F 537.626

Ethyl (3 <i>S</i>)-{6-fluoro-3-[(<i>S</i>)-3-(4-fluoro-phenyl)-2-phenyl-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C31H30N2O3F2 516.582
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(<i>S</i>)-3-(4-methoxy-phenyl)-2-phenyl-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C32H33N2O4F 528.618
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(2-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O3F2 440.485
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[(2 <i>RS</i>)-1,2,3,4-tetrahydro-naphthalene-2-carbonyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C27H29N2O3F 448.533
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[(2 <i>RS</i>)-8-methoxy-1,2,3,4-tetrahydro-naphthalene-2-carbonyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	G28H31N2O4F 478.558
Ethyl (3 <i>S</i>)-(6-fluoro-3-{(2 <i>RS</i>)-2-[(4-fluoro-phenylcarbamoyl)-methyl]-3-phenyl-propionylamino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C33H33N3O4F2 573.634
Ethyl (3 <i>S</i>)-{3-[(2 <i>RS</i>)-2-benzyl-3,3-dimethyl-butyrylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C29H35N2O3F 478.602
Ethyl (3 <i>S</i>)-(3-{[(3 <i>RS</i>)-chroman-3-carbonyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H27N2O4F 450.505
Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-(3-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	G25H26N2O3F2 440.485
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[(1 <i>R</i> ,2 <i>R</i>)-2-phenyl-cyclopropanecarbonyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H27N2O3F 434.506

Ethyl (3 <i>S</i>)-{6-fluoro-3-[(2 <i>R</i>)-2-methyl-3-phenyl-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O3F 436.522
Ethyl (3 <i>S</i>)-[3-(2,2-dimethyl-3-phenyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C27H31N2O3F 450.552 450.548
Ethyl (3 <i>S</i>)-[6-fluoro-3-(3-methyl-3-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C27H31N2O3F 450.548
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(3 <i>S</i>)-3-phenyl-butyrylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O3F 436.522
Ethyl (3 <i>S</i>)-[3-(2-benzyloxy-acetylamino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C25H27N2O4F 438.494
Ethyl (3 <i>S</i>)-[6-fluoro-3-(4-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C26H29N2O3F 436.522
Ethyl (3 <i>S</i>)-{3-[(2 <i>R</i> ,3 <i>R</i>)-2,3-dihydroxy-3-(2-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H30N3O7F 527.543
Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H28N2O3CIF 470.967
Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O5CIF 488.938
Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O4CIF 472.939

Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H28N2O4CIF 486.966
Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C22H28N2O3CIF 470.967
Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[3-(2-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O4CIF 472.939
Ethyl (3 <i>RS</i>)-[8-chloro-6-fluoro-3-(3-phenyl-proplonylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C25H26N2O3CIF 456.94
Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[3-(2-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H28N2O4CIF 486.966
Ethyl (3 <i>RS</i>)-{8-chloro-3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H25N2O3Cl2F 491.385
Ethyl (3 <i>RS</i>)-[8-chloro-6-fluoro-3-(3-1 <i>H</i> -indol-3-yl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C27H27N3O3CIF 495.977
Ethyl (3 <i>RS</i>)-{8-chloro-3-[2-(2-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C24H23N2O4Cl2F 493.357
Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[2-(2-methylphenyl)-oxy-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O4CIF 472.939
Ethyl (3 <i>RS</i>)-[3-(3-benzo[1,3]dioxol-5-yl-propionylamino)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C26H26N2O5CIF 500.949
Ethyl (3 <i>RS</i>)-{8-chloro-6-fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H26N2O5CIF 488.938

Ethyl (3 <i>RS</i>)-{8-chloro-3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C24H23N2O4Cl2F 493.357
Ethyl (3 <i>RS</i>)-{8-chloro-3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H25N2O3Cl2F 491.385
Ethyl (3 <i>RS</i>)-[8-chloro-6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C27H28N2O3CIF 482.978
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[2-(4-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H29N2O4F 452.521
Ethyl (3 <i>S</i>)-(6-fluoro-3-{methyl-[2-(4-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C22H20N2O3F 436.522
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[2-(2-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H29N2O4F 452.521
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(2-indan-2-yl-acetyl)-methyl-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C28H31N2O3F 462.559
Ethyl (3 <i>S</i>)-(3-{[2-(3-chloro-phenyl)-acetyl]-methyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C25H26N2O3CIF 456.94
Ethyl (3 <i>S</i>)-(6-fluoro-3-{methyl-[2-(3-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H29N2O3F 430.522
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[2-(3-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H29N2O4F 452.521
Ethyl (3 <i>S</i>)-(3-{[2-(2-chloro-phenoxy)-acetyl]-methyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C25H26N2O4CIF 472.939

Ethyl (3 <i>S</i>)-(3-{[2-(4-chloro-phenoxy)-acetyl]-methyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C25H26N2O4CIF 472.939
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[3-(3-methoxy-phenyl)-propionyl]-methyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C27H31N2O4F 466.547
Ethyl (3 <i>S</i>)-(6-fluoro-3-{methyl-[2-(2-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H29N2O3F 436.522
Ethyl (3 <i>S</i>)-{3-[(3,3-diphenyl-propionyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C32H33N2O3F 512.619
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-methyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C27H31N2O4F 466.547
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(3-1H-indol-3-yl-propionyl)-methyl-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C28H30N3O3F 475.558
Ethyl (3 <i>S</i>)-{3-[(2-benzyloxy-acetyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O4F 452.521
Ethyl (3 <i>S</i>)-{3-[(2,3-diphenyl-propionyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C32H33N2O3F 512.619
Ethyl (3 <i>S</i>)-{6-fluoro-3-[[3-(2-methoxy-phenyl)-propionyl]-(3-phenyl-propyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C35H39N2O4F 570.699
Ethyl (3 <i>S</i>)-{3-[acetyl-(3-phenyl-propyl)-amino]-6-fluoro-1.2.3.4-tetrahvdro-9 <i>H</i> -carbazol-9-vl}-acetate	C27H31N2O3F 450.548
Ethyl (3 <i>S</i>)-{3-[cyclopropylmethyl-(3-phenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C29H33N2O3F 476.586

Ethyl (3 <i>S</i>)-{3-[cyclopropylmethyl-((<i>S</i>)-2-methyl-3-phenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C30H35N2O3F 490.613
Ethyl (3 <i>S</i>)-(3-{cyclopropylmethyl-[3-(2-methoxy-phenyl)-propionyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C30H35N2O4F 506.612
Ethyl (3 <i>S</i>)-(3-{[2-(3-chloro-phenoxy)-acetyl]-cyclopropylmethyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C28H30N2O4CIF 513.003
Ethyl (3 <i>S</i>)-{3-[cyclopropylmethyl-(3,3-diphenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C35H37N2O3F 552.684
Ethyl (3 <i>S</i>)-{3-[cyclopropylmethyl-(2-naphthalen-1-yl-acetyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C32H33N2O3F 512.619
Ethyl (3 <i>S</i>)-(3-{acetyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C27H28N2O4F4 520.518
Ethyl (3 <i>S</i>)-(6-fluoro-3-{propionyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C28H30N2O4F4 534.544
Ethyl (3 <i>S</i>)-(6-fluoro-3-{(3-phenyl-propionyl)-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C34H34N2O4F4 610.642
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C35H36N2O5F4 640.668
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(2-phenoxy-ethyl)-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C33H35N2O4F 542.645

Ethyl (3 <i>S</i>)-{6-fluoro-3-[((<i>S</i>)-2-methyl-3-phenyl-propionyl)-(2-phenoxy-ethyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C34H37N2O4F 556.672
Ethyl (3 <i>S</i>)-{6-fluoro-3-[[3-(2-methoxy-phenyl)-propionyl]-(2-phenoxy-ethyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C34H37N2O5F 572.671
Ethyl (3 <i>S</i>)-{3-[acetyl-(2-phenoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H29N2O4F 452.521
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(2-methoxy-ethyl)-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C28H33N2O4F 480.574
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(2-methoxy-ethyl)-((S)-2-methyl-3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C29H35N2O4F 494.601
Ethyl (3 <i>S</i>)-(6-fluoro-3-{(2-methoxy-ethyl)-[3-(2-methoxy-phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C29H35N2O5F 510.6
Ethyl (3 <i>S</i>)-{3-[[2-(3-chloro-phenoxy)-acetyl]-(2-methoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C27H30N2O5CIF 516.991
Ethyl (3 <i>S</i>)-{3-[(3,3-diphenyl-propionyl)-(2-methoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C34H37N2O4F 556.672
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(2-methoxy-ethyl)-(2-naphthalen-1-yl-acetyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C31H33N2O4F 516.607
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[(2 <i>S</i>)-2-methyl-3-phenyl-propionyl]-phenethyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C34H37N2O3F 540.673
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-phenethyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C34H37N2O4F 556.672

Ethyl (3 <i>S</i>)-[3-(acetyl-phenethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C26H29N2O3F 436.522
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(2-naphthalen-1-yl-acetyl)-phenethyl-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C36H35N2O3F 562.679
Ethyl (3 <i>S</i>)-{6-fluoro-3-[phenethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C33H35N2O3F 526.646
Ethyl (3 <i>S</i>)-[3-(3-benzyl-1-naphthalen-1-ylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C35H34N3O3F 563.667
Ethyl (3 <i>S</i>)-[3-(benzyloxycarbonyl-naphthalen-1-ylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C35H31N2O4F 564.651
Ethyl (3 <i>S</i>)-{6-fluoro-3-[naphthalen-1-ylmethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C36H35N2O3F 562.679
Ethyl (3 <i>S</i>)-{6-fluoro-3-[((<i>S</i>)-2-methyl-3-phenyl-propionyl)-naphthalen-1-ylmethyl-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C37H37N2O3F 576.706
Ethyl (3 <i>S</i>)-(6-fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-naphthalen-1-ylmethyl-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C37H37N2O4F 592.705
Ethyl (3 <i>S</i>)-{3-[(3,3-diphenyl-propionyl)-naphthalen-1-ylmethyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C42H39N2O3F 638.777
Ethyl (3 <i>S</i>)-[3-(acetyl-naphthalen-1-ylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C29H29N2O3F 472.555
Ethyl (3 <i>S</i>)-[6-fluoro-3-(naphthalen-1-ylmethyl-propionyl-amino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C30H31N2O3F 486.581

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Ethyl (3 <i>S</i>)-{6-fluoro-3-[3-phenyl-4- ([1,3,4]thiadiazol-2-ylcarbamoyl)-butyrylamino]- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C29H30FN5O4S 563.64
Ethyl (3 <i>S</i>)-[6-fluoro-3-(3-thiophen-2-yl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C23H25FN2O3S 428.012
Ethyl (3 <i>S</i>)-{3-[3-(3-chloro-isoxazol-5-yl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C22H23CIFN3O4 447.88
Ethyl (3 <i>S</i>)-[6-Fluoro-3-(3-pyrimidin-2-yl-propionylamino)-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	C23H25FN4O3 424.46
Ethyl (3 <i>S</i>)-(3-{acetyl-[2-(2-fluoro-phenyl)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H28F2N2O3 454.51
Ethyl (3 <i>S</i>)-(3-{acetyl-[2-(3-fluoro-phenyl)-ethyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C26H28F2N2O3 454.51
Ethyl (3 <i>S</i>)-(3-{cyclohexylmethyl-[3-(2-methoxy-phenyl)-propionyl]-amino}-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C33H41N2O4F 548.693

General Method for the Preparation of Intermediates of Structure 1, wherein R⁴ represents C₁₋₅-alkyl or allyl

To a stirred and degassed solution of an appropriately protected ethyl (3-amino-8-bromo-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure **2c** (0.2 mmol) and Pd(PPh₃)₄ (0.02 mmol, 0.1 eq.) in dry DMF (1.5 ml) is added under inert atmosphere the appropriate tetraC₁₋₅-alkyltin or allyltrialkyltin, respectively (0.22 mmol, 1.1 eq.). The reaction mixture is allowed to stir overnight at 110°C. After cooling to rt, acetonitrile (1 ml) and heptane (1 ml) are added. The acetonitrile-DMF phase is washed three times with heptane. Water is then added and the resulting aq. phase is extracted twice with AcOEt. The combined org. phases are washed with brine and dried over Na₂SO₄. Evaporation of the solvent *in vaccuo* yields the protected ethyl (3-amino-8-C₁₋₅-alkyl-1,2,3,4-tetrahydro-9*H*-

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carbazol-9-yl)-acetate derivative or ethyl (3-amino-8-allyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure **1**, respectively.

Intermediates of Structure 1 wherein R⁴ represents C₁₋₅-alkyl or allyl:

5 Ethyl (3*S*)-(3-benzyloxycarbonylamino-6-fluoro-8-methyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate is obtained in quantative yield as a yellow oil. $t_R = 1.09 \text{ min (LC-3)}$, ESI-MS (pos.): m/z 439.15 [M+H]⁺.

Ethyl (3*S*)-(3-benzyloxycarbonylamino-6-fluoro-8-allyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate is obtained in quantative yield as a yellow oil. $t_R = 1.11 \text{ min (LC-3)}$, ESI-MS (pos.): m/z 465.22 [M+H]⁺.

General Method for the Preparation of Intermediates of Structure 1 wherein R⁴ represents vinyl

A suspension of an appropriately protected ethyl (3-amino-8-bromo-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure **2c** (0.4 mmol), vinylboronic anhydride pyridine complex (0.22 mmol, 0.56 eq.), Pd(PPh₃)₄ (23 mg, 0.02 mmol, 0.05 eq.), and K₂CO₃ (55 mg, 0.4 mmol, 1 eq.) in 1 ml (DME/ H₂O) is stirred for 4 h at reflux. Water is added and the resulting aq. phase is extracted three times with AcOEt. The combined org. phases are dried over Na₂SO₄, filtered and concentrated *in vaccuo*. The crude product is purified by FC (heptane / AcOEt, 3:1) to yield protected ethyl (3-amino-8-vinyl-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetate derivatives of Structure **1**.

Intermediate of Structure 1 wherein R⁴ represents vinyl:

Ethyl (3*S*)-(3-benzyloxycarbonylamino-6-fluoro-8-vinyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate is obtained in 87% yield as a white solid. t_R = 1.11 min (LC-3), ESI-MS (pos.): m/z 451.19 [M+H]⁺.

General Method for the Preparation of Intermediates of Structure 1 wherein R⁴ represents C_{1 a-}alkylsulfonyl

A solution of an appropriately protected ethyl (3-amino-8-bromo-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure **2c** (0.238 mmol), cuprous iodide (204 mg, 1.073 mmol, 4.5 eq.) and sodium methanesulfinate (129 mg, 1.073 mmol, 4.5 eq.) in degassed NMP (5 ml) is heated under inert atmosphere at 140°C overnight. Then, the mixture is diluted with heptane (5 ml) and AcOEt (5 ml) and filtered over a pad of silica gel

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with AcOEt as eluent. The solvent is removed in vaccuo and the residue dissolved in AcOEt and H₂O. The phases are separated and the aq. phase is extracted twice with AcOEt. The combined org. phases are washed with brine and H₂O, dried over Na₂SO₄ and concentrated in vacuuo to yield the corresponding ethyl (3-amino-8-methanesulfonyl-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetate derivative of Structure 1.

Intermediate of Structure 1 wherein R⁴ represents C₁₋₆-alkylsulfonyl:

(3RS)-(3-benzyloxycarbonylamino-6-fluoro-8-methanesulfonyl-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetate is obtained in quantitative yield as a brown solid. $t_R = 1.04$ min (LC-3), ESI-MS (pos.): m/z 503.12 [M+H]⁺.

General Methods for the Preparation of Intermediates of Structure 2a:

$$R^{2}$$
 R^{3}
 R^{4}
 R^{0}
 R^{0}

Structure

2a: R⁵ 🕒 H

2b: $R^5 = H$

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Method (A)

Step A) 4-Nitro-benzenesulfonylation of an intermediate of Structure 2b to yield an ethyl [3-(4-nitro-benzenesulfonylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetate derivative of Structure 3a:

A catalytical amount of DMAP and p-nitrobenzenesulfonyl chloride (223 mg, 1.01 mmol) 20 are added to an ice cold stirred solution of the appropriate (3-amino-1,2,3,4-tetrahydro-9Hcarbazol-9-yl)-acetate derivative hydrochloride of Structure 2b (0.92 mmol) and pyridine (0.96 ml, 11.9 mmol) in DCM. The reaction mixture is allowed to warm up to rt and is continued to stir overnight. The reaction is then quenched by addition of H₂O and sat. NaHCO₃ solution. After phase separation the aq. phase is extracted with DCM. The 25 combined org. phases are dried over Na₂SO₄, filtered, and the solvent is evaporated to dryness. The crude product is filtered through a plug of silica gel (heptane/AcOEt, 2:1) to give the desired intermediate of Structure 3a.

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Intermediate of Structure **3a**: Ethyl (3*S*)-[6-fluoro-3-(4-nitro-benzenesulfonylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetate is obtained in 71% yield as a yellow solid: $t_R = 1.05 \text{ min (LC-3)}$, ESI-MS (pos.): m/z 476.12 [M+H]⁺.

5 <u>Step B) *N*-Substitution of a 4-nitro-benzenesulfonamide intermediate of Structure **3a** to yield intermediates of Structure **3b**:</u>

Following a procedure described in the literature (Peña, C. et al. Tetrahedron Lett. **2005**, 46, 2783-2787), a stirred suspension of the appropriate intermediate of Structure **3a** (0.21 mmol), K₂CO₃ (291 mg, 2.1 mmol) and tetrabutylammonium bromide (6.78 mg, 0.021 mmol) in toluene (2 ml) is heated at 70°C for 30 min before adding the corresponding alkylating agent R⁵-L (0.841 mmol). The reaction mixture is continued to stir at 70°C overnight, cooled to rt, and treated with sat. NH₄Cl solution. After phase separation, the aq. layer is extracted three times with DCM. The combined org. phases are dried over Na₂SO₄, filtered, and the solvent evaporated to dryness, affording the corresponding intermediate of Structure **3b** in quantitative yield.

Listed in Table 5 below are intermediates of Structure **3b**, prepared according to the above-mentioned method.

Table 5

Intermediate	s of Structure 3b	Formula MW	t _R [min] Meth.	MS Data m/z [M+H] ⁺
Ethyl benzenesulfo 9 <i>H</i> -carbazol-9	(3 <i>S</i>)-{6-fluoro-3-[methyl-(4-nitro- nyl)-amino]-1,2,3,4-tetrahydro- 9-yl}-acetate	C23H24N3O6F 489.52	1.09 (LC-3)	490.05
Ethyl benzenesulfo 9 <i>H</i> -carbazol-9	(3 <i>S</i>)-{6-fluoro-3-[ethyl-(4-nitro-nyl)-amino]-1,2,3,4-tetrahydro- 9-yl}-acetate	C24H26N3O6FS 503.549	1.11 LC-3	504.15
Ethyl benzenesulfo 9 <i>H</i> -carbazol-9	(3 <i>S</i>)-{6-fluoro-3-[propyl-(4-nitro- nyl)-amino]-1,2,3,4-tetrahydro- 9-yl}-acetate	C25H28N3O6FS 517.576	1.13 LC-3	518.23

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Listed in Table 5a below are further intermediates of Structure 3b, prepared according to the above-mentioned method.

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Table 5a

Intermediates of Structure 3b	Formula MW	t _R [min] Method	MS Data m/z [M+H] ⁺
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(4-nitro-benzenesulfonyl)-(3-phenyl-propyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C31H32N3O6FS 593.674	1.16 LC-3	594.12
Ethyl (3 <i>S</i>){-3-[cyclopropylmethyl-(4-nitrobenzenesulfonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C26H28N3O6FS 529 587	1.12 I C-3	530.02
Ethyl (3 <i>S</i>)-(6-fluoro-3-{(4-nitro-benzenesulfonyl)-[2-(3-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C31H29N3O7F4S 663.643	1.17 LC-3	664.17
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(4-nitro-benzenesulfonyl)-(2-phenoxy-ethyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C30H30N3O7FS 595.646	1.14 LC-3	596.18
Ethyl (3 <i>S</i>)-{6-fluoro-3-[(2-methoxy-ethyl)-(4-nitro-benzenesulfonyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	C25H28N3O7FS 533.575	1.09 LC-3	534.16

Step C) Cleavage of the 4-nitro-benzenesulfonyl group to yield an intermediate of Structure 2a:

In analogy to the literature (Miller, S. C.; Scanlan, T. S. *J. Am. Chem. Soc.* **1997,** *119*, 2301-2302), mercaptoacetic acid (0.019 ml, 0.267 mmol) and DBU (0.081 ml, 0.53 mmol) are added to a stirred solution of an intermediate of Structure **3b** (0.179 mmol) in dry DMF (2 ml). The reaction mixture is allowed to stir overnight, then, at rt, sat. Na₂CO₃ solution, H₂O and DCM are added. After phase separation, the org. layer is extracted twice with sat. Na₂CO₃ solution, and twice with H₂O. The combined org. phases are washed with brine and dried over Na₂SO₄. After filtration, the solvent is evaporated and the residue is purified by preparative tlc on silica gel (DCM/MeOH/NH₄OH, 90:10:1) to give the desired intermediate of Structure **2a** in 30-40% yield.

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Listed in Table 6 below are intermediates of Structure **2a**, prepared according to the above-mentioned method.

Intermediates of Structure 2a	Formula MW	t _R [min] Method	MS Data m/z [M+H] ⁺
Faller J. (2.0). (6.4)			
Ethyl (3S)-(6-fluoro-3-methylamino-1,2,3,4-	C17H21FN2O2	0.76	305.19
tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	304.36	LC-3	000.10
Ethyl (3 <i>S</i>)-(6-fluoro-3-ethylamino-1,2,3,4-	C18H23FN2O2	0.78	319.14
tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	318.39	LC-3	313.14
Ethyl (3S)-(6-fluoro-3-propylamino-1,2,3,4-	C19H25FN2O2	0.81	333.15
tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	332.41	LC-3	333.13

Table 6

5 Listed in Table 6a below are further intermediates of Structure **2a**, prepared according to the abovementioned method.

Table 6a

Intermediates of Structure 2a	Formula	t _R [min]	MS Data
	MW	LC-MS	m/z
		Method	[M+H] ⁺
Ethyl (3S)-[6-fluoro-3-(3-phenyl-propylamino)-	C25H29N2O2F	0.91	400.15
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	408.515	LC-3	409.15
Ethyl (3 <i>S</i>)-[3-(cyclopropylmethyl-amino)-6-	C20H25N2O2F	0.82	
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-	344.428	LC-3	345.18
acetate	344.420	LO-3	
Ethyl (3S)-{6-fluoro-3-[2-(4-trifluoromethyl-	C25H26N2O3F4	0.95	
phenoxy)-ethylamino]-1,2,3,4-tetrahydro-9H-			479.07
carbazol-9-yl}-acetate	478.484	LC-3	
Ethyl (3S)-[6-fluoro-3-(2-phenoxy-ethylamino)-	C24H27N2O3F	0.88	411.10
1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetate	410.487	LC-3	411.10
Ethyl (3 <i>S</i>)-[6-fluoro-3-(2-methoxy-ethylamino)-	C19H25N2O3F	0.77	240.15
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	348.417	LC-3	349.15

Method (B)

To a stirred suspension of an appropriate ethyl (3-amino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative hydrochloride of Structure **2b** (0.73 mmol) and DIEA (0.769 mmol,

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0.132 ml, 1.05 eq.) and the corresponding aldehyde (0.806 mmol, 1.1 eq.) in DCM (10 ml) is added NaBH(OAc)₃ (1.62 mmol, 2.2 eq.). The reaction mixture is stirred overnight and diluted with DCM and sat. NaHCO₃ solution. The resulting aq. phase is extracted three times with DCM. The combined org. phases are dried over Na₂SO₄, filtered, and the solvent is evaporated to dryness. The crude product is purified by flash-chromatography on silica gel (DCM/MeOH, 95:5) to give the desired intermediate of Structure 2a in 66 to 95% yield.

Listed in Table 6b below are intermediates of Structure 2a, prepared according to the abovementioned method.

10 Table 6b

Intermediates of Structure 2a	Formula	t _R [min]	MS Data
	MW	LC-MS	m/z
		Method	[M+H] ⁺
Ethyl (3 S)-(6-fluoro-3-phenethylamino-1,2,3,4-	C24H27N2O2F	0.88	395.18
tetrahydro-9H-carbazol-9-yl)-acetate	394.488	LC-3	393.10
Ethyl (3S)-{6-fluoro-3-[(naphthalen-1-ylmethyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	C27H27N2O2F 430.521	0.91 LC-3	431.22
acetate	0001105110005	0.00	
Ethyl (3 <i>S</i>)-(3-benzylamino-6-fluoro-1,2,3,4-	C23H25N2O2F	0.89	381.16
tetrahydro-9H-carbazol-9-yl)-acetate	380.461	LC-3	
Ethyl (3 <i>S</i>)-{6-fluoro-3-[2-(2-fluoro-phenyl)-	C24H26N2O2F2	0.88	
ethylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	412.478	LC-3	413.14
Ethyl (3 <i>S</i>)-{6-fluoro-3-[2-(3-fluoro-phenyl)-	C24H26N2O2F2	0.88	
ethylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-	412.478	LC-3	413.14
yl}-acetate	412.470	LU-3	
Ethyl (3 <i>S</i>)-[3-(cyclohexylmethyl-amino)-6-fluoro-	C23H31N2O2F	0.88	387.20
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	386.509	LC-3	307.20

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General Procedures for the Preparation of Intermediates of Structure 2b:

$$R^{2}$$
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{7}
 R^{1}
 R^{1}
 R^{2}
 R^{1}
 R^{2}
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{2}
 R^{4}
 R^{5}
 R^{5}
 R^{4}
 R^{5}
 R^{5

5 Cleavage of PG= *tert*-butoxycarbonyl

To a stirred solution of an ethyl (3-tert-butoxycarbonylamino-1,2,3,4-tetrahydro-9H-carbazol 9 yl) acetate derivative of Structure 2c (1.61 mmol) in THF (4 ml) is added 2N HCl (2 ml) in diethylether, or in AcOEt. The reaction mixture is stirred overnight, and the formed precipitate is filtered off, rinsed with diethylether and dried to give the desired intermediate of Structure 2b as a white solid in quantitative yield.

Listed in Table 7 below are intermediates of Structure **2b**, prepared according to the above-mentioned method, with corresponding intermediate of Structure **2c** as starting material.

Table 7

Intermediates of Structure 2b		Formula	t _R [min]	MS Data
		MW	Method	m/z [M+H] ⁺
				(parent)
Ethyl (3F	R)-(3-amino-1,2,3,4-tetrahydro-9 <i>H</i> -	C16H21N2O2Cl	0.74	273.16
carbazol-9-yl)-acetate hydrochloride		308.807	LC-2	273.10
Ethyl (35	5)-(3-amino-1,2,3,4-tetrahydro-9 <i>H</i> -	C16H21N2O2CI	0.74	273.16
carbazol-9-yl)-acetate hydrochloride		308.807	LC-2	273.10
Ethyl	(3R)-(3-amino-6-fluoro-1,2,3,4-	C16H20CIFN2O2	0.74	
tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate		C 16H2UCIFN2U2	• • • • • • • • • • • • • • • • • • • •	291.15
hydrochloride		326.79	LC-2	
Ethyl	(3 <i>S</i>)-(3-amino-6-fluoro-1,2,3,4-	C16H20N2O2CIF	0.70	
tetrahydro-9H-carbazol-9-yl)-acetate			0.73	291.11
hydrochlorid	e	326.79 L0		

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Cleavage of PG= benzyloxycarbonyl

To a stirred solution of an ethyl (3-benzyloxycarbonylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetate derivative of Structure **2c** (7.58 mmol) in AcOH (85 ml) and EtOH (20 ml) is added Pd /C (806 mg, 0.76 mmol, 0.1 eq.). The reaction mixture is stirred for 1h under a H₂ atmosphere then diluted with DCM and filtered over a plug of celite. A solution of 4M HCl in dioxane (30 ml, 10 eq.) is added to the filtrate and the solvents are removed *in vaccuo* to give an intermediate of Structure **2b**.

Listed in Table 7a below are further intermediates of Structure 2b, prepared according to the above-mentioned methods, with the corresponding intermediate of Structure 2c as starting material.

Table 7a

Intermediates of Structure 2b	Formula	t _R [min]	MS Data
	MW	LC-MS	m/z
		Method	[M+H] ⁺
Ethyl (3 <i>RS</i>)-(3-amino-8-chloro-6-fluoro-1,2,3,4-C16H19N2O2Cl2		0.78	325.05
tetrahydro-carbazol-9-yl)-acetate hydrochloride	361.243	LC-3	323.05
Ethyl (3 <i>RS</i>)-(3-amino-6-fluoro-1,2,3,4-	C20H19N2O2F	1.02	200.40
tetrahydro-carbazol-9-vl)-acetate hydrochloride	338.381	LC-3	339.12

General Method for the Synthesis of Intermediates of Structure 2c:

Structure 2c

Alkylation of an intermediate of Structure 4:

A solution of e.g. ethyl bromoacetate (1.25 ml, 11.25 mmol) in dry DMF (20 ml) is added dropwise to a heated (60°C) solution of an intermediate of Structure **4** (10.22 mmol) and Cs₂CO₃ (9.99 g, 30.67 mmol) in dry DMF (50 ml) over a period of 15 min. The resulting suspension is continued to stir at 60°C for 1 h, or overnight. After cooled to rt, the reaction

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mixture is filtered and washed with DCM. The DCM is evaporated and the residue is partitioned between AcOEt and H₂O. The aq. layer is extracted three times with AcOEt. The combined org. layers are washed with H₂O and brine, dried over MgSO₄ and filtered. The solvent is evaporated and the solid residue is purified by FC with a continuous gradient of eluents from AcOEt/ heptane 1:99 to 1:1 to give the desired intermediate of Structure 2c in 40 to 80% yield.

Listed in Table 8 below are intermediates of Structure **2c**, prepared according to the above-mentioned method, starting from corresponding intermediate of Structure **4**.

10 Table 8

Intermediates of Structu	ıre 2c	Formula	t _R [min]	MS Data
		MW	LC-MS	m/z
			Method	[M+Na]⁺
				or [M+H]
Ethyl	(3 <i>R</i>)-(3-tert-	- COAL IOONIO A	1 15	
butoxycarbonylamino-1,2,	,3,4-	C21H28N2O4	1.15	394.95
tetrahydro-9 <i>H</i> -carbazol-9-	-yl)-acetate	372.463	LC-2	
Ethyl	(3 <i>S</i>)-(3-tert	- 004110001004	4.45	
butoxycarbonylamino-1,2,	,3,4-	C21H28N2O4	1.15	395.15
tetrahydro-9H-carbazol-9-	-yl)-acetate	372.463	LC-2	
Ethyl	(3 <i>R</i>)-(3-tert-	-		
butoxycarbonylamino-6-fle	uoro-	C21H27FN2O4	1.15	410.00
1,2,3,4-tetrahydro-9 <i>H</i> -car	bazol-9-yl)-	390.45	LC-2	413.09
acetate				
Ethyl	(3 <i>S</i>)-(3-tert	-		
butoxycarbonylamino-6-flo	uoro-	C21H27FN2O4	1.16	413.09
1,2,3,4-tetrahydro-9 <i>H</i> -car	bazol-9-yl)-	390.45	LC-2	413.09
acetate				
Ethyl	(3 <i>RS</i>)-(3-	-		
benzyloxycarbonylamino-	8-chloro-5-	C24H24N2O4CIF	1.11	458.99
fluoro-1,2,3,4-tetrahydro-9	9 <i>H</i> -carbazol-	458.916	LC-3	450.99
9-yl)-acetate				
Ethyl	(3 <i>RS</i>)-(3-	C24H25N2O4F	1.06	
benzyloxycarbonylamino-	6-fluoro-			425.22
1,2,3,4-tetrahydro-9 <i>H</i> -car	bazol-9-yl)-	424.47	LC-3	

acetate

Ethyl (3RS)-(3-

benzyloxycarbonylamino-8-chloro-6- C24H24N2O4CIF 1.11 459.05 LC-3 fluoro-1,2,3,4-tetrahydro-9H-carbazol-458.916

9-yl)-acetate

Listed in Table 8a below are further intermediates of Structure 2c, prepared according to the above-mentioned method, starting from corresponding intermediate of Structure 4.

Table 8a

Intermediates of Structure 2c	Formula MW	t _R [min] LC-MS Method	MS Data m/z [M+H] ⁺
Ethyl (3 <i>RS</i>)-(3-benzyloxycarbonylamino-6-trifluoromethyl-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C25H25N2O4F3 474.478	1.11 LC-3	475.14
Ethyl (3 <i>RS</i>)-(3-benzyloxycarbonylamino-8-bromo-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C24H24N2O4BrF 503.367	1.11 LC-3	505.11
Ethyl (3 <i>S</i>)-(3-benzyloxycarbonylamino-7-chloro-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C24H24CIFN2O4 458.91	not determined	not determined
Ethyl (3 <i>R</i>)-(3-benzyloxycarbonylamino-8-chloro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetate	C24H25N2O4CI 440.926	1.1 LC-3	441.07

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Listed in Table 9 below are intermediates of Structure 4, prepared in analogy to the procedure described in the literature (Ha, J. D. et al., Bulletin of the Korean Soc. Chem. **2004**, *25*, 1784-1790).

Table 9

Intermediates of Structure 4	Formula	t _R [min]	MS Data
	MW	Method	m/z [M+H]*
(3 <i>RS</i>)-(8-Chloro-5-fluoro-2,3,4,9-	C20H18N2O2CIF	1.07	
tetrahydro-1 <i>H</i> -carbazol-3-yl)-		1.07 LC-3	373.03
carbamic acid benzyl ester	372.825	LU-3	

1	w
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(3 <i>RS</i>)-(8-Chloro-6-fluoro-2,3,4,9-tetrahydro-1 <i>H</i> -carbazol-3-yl)-carbamic acid benzyl ester	C20H18N2O2CIF 372.825	1.06 LC-3	372.99
(3 <i>RS</i>)-(6-Fluoro-2,3,4,9-tetrahydro-1 <i>H</i> -carbazol-3-yl)-carbamic acid	C20H19N2O2F 338.38	1.02 LC-3	339.12
Delizyi ester			

Listed in Table 9a below are further intermediates of Structure 4, prepared according to the abovementioned procedure.

Table 9a

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Intermediates of Structure 4	Formula MW	t _R [min] Method	MS Data m/z [M+H] ⁺
(3 <i>RS</i>)-(6-Trifluoromethyl-2,3,4,9-tetrahydro-1 <i>H</i> -carbazol-3-yl)-carbamic acid benzyl ester	C21H19N2O2F3 388.388	1.07 LC-3	389.08
(3 <i>RS</i>)-(8-Bromo-6-fluoro-2,3,4,9-tetrahydro-1 <i>H</i> -carbazol-3-yl)-carbamic acid benzyl ester	C20H18N2O2BrF 417.277	1.07 LC-3	417.03
(3 <i>RS</i>)-(7-Chloro-6-fluoro-2,3,4,9-tetrahydro-1 <i>H</i> -carbazol-3-yl)-carbamic acid benzyl ester	C20H18N2O2CIF 372.825	1.05 LC-3	373.07
(3 <i>R</i>)-(8-Chloro-2,3,4,9-tetrahydro-1 <i>H</i> -carbazol-3-yl)-carbamic acid benzyl ester	C20H19N2O2CI 354.836	1.05 LC-3	355.11

General Method for the Preparation of Intermediates of Structure 1 from intermediates of Structure 8a

To a solution of the respective amine (0.140 mmol, 1.5 eq.), HATU (0.140 mmol, 1.5eq.) and DIEA (0.048 ml, 0.280 mmol, 3 eq.) in 0.5 ml (DMF/THF 4:1) is added a solution of an intermediate of Structure **8a** in 0.5 ml (DMF/THF 4:1). The reaction mixture is stirred for 20h, then diluted with DCM and sat. NaHCO₃ solution. After stirring for an additional 1h, H₂O is added and the org. phase is separated. The aq. phase is extracted with DCM, the

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combined org. extracts are concentrated under a stream of air to yield the desired crude intermediate of Structure 1.

Listed in Table 10 below are intermediates of Structure 1, prepared according to the abovementioned method with corresponding intermediate of Structure 8a as starting material.

Table 10

Intermediates of Structure 1 starting from intermediates of Structure 8a	Formula MW	t _R [min]	MS Data m/z
Ethyl (2.0) (2.1/20) 2 hannyl 2 (2		Method	[M+H]⁺
Ethyl (3 <i>S</i>) {3 [(<i>RS</i>) 2 benzyl 3 (2	COALIGE ENGOA	1.00	
methylbenzyl)-carbamoyl-propionylamino]-6-	C34H36FN3O4	1.06	570.21
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	569.67	LC-3	
acetate			
Ethyl (3 <i>S</i>)-{3-[(<i>RS</i>)-2-benzyl-3-(3-methoxy-	00.000		
phenylcarbamoyl)-propionylamino]-6-fluoro-	C34H36FN3O5	1.06	586.21
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	585.67	LC-3	
Ethyl (3 <i>S</i>)-{3-[(<i>RS</i>)-2-benzyl-3-(4-chloro-	C33H33CIFN3O4	1.09	
phenylcarbamoyl)-propionylamino]-6-fluoro-	590.08	LC-3	590.2
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	333.33		
Ethyl (3 <i>S</i>)-{3-[(<i>RS</i>)-2-benzyl-3-(4-fluoro-	0041105501004	4.05	
benzylcarbamoyl)-propionylamino]-6-fluoro-	C34H35F2N3O4	1.05	588.25
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	587.66	LC-3	
Ethyl [(3S)-3-((RS)-2-benzyl-3-propylcarbamoyl-			
	C30H36FN3O4	1	E00.00
propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	521.62	LC-3	522.26
carbazol-9-yl]-acetate			

General Method for the Preparation of Intermediates of Structure 8a from intermediates of Structure 8b

$$R^{5}$$
 O O OR R^{2} R^{3} N O OR OR

Structure

8a, wherein R' represents H and R represents C₁₋₄-alkyl

8b, wherein R' and R independently represent C_{1-4} -alkyl

A solution of an intermediate of Structure **8b** (0.54 mmol) and TFA (0.8 ml, 10 mmol, 20 eq.) in DCM (8 ml) is stirred for 2.5h. The volatiles are removed under reduced pressure to yield an intermediate of Structure **8a**.

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Intermediate of Structure **8a**: 3-benzyl-N-(9-ethoxycarbonylmethyl-6-fluoro-2,3,4,9-tetrahydro-1H-carbazol-3-yl)-succinamic acid is quantitative yield as light brown foam. $t_R = 0.97 \text{ min (LC-3)}$, ESI-MS (pos.): m/z 481.22 [M+H]⁺.

General Method for the Preparation of Intermediates of Structure 8b from intermediates of Structure 2a or 2b

A solution of an appropriate intermediate of Structure **2a** or **2b** (2.16 mmol), an appropriate C₁₋₄alkanedicarboxylic acid mono-ester of Structure **9** (4.05 mmol, 1.9 eq.), DIEA (1.5 ml, 8.65 mmol, 4 eq.) and HATU (1.64 g, 4.32 mmol, 2 eq.) in 10 ml (DMF / THF, 4:1) is stirred overnight. The reaction mixture is diluted with AcOEt and sat. NaHCO₃. The aq. phase is extracted twice with AcOEt. The combined org. extracts are washed with brine, dried over MgSO₄, and concentrated *in vacuo*. The residue is purified by flash-chromatography on silica gel with a gradient of heptane/AcOEt to yield the desired intermediate of Structure **8b**.

Intermediate of Structure 8b:

3-Benzyl-N-(9-ethoxycarbonylmethyl-6-fluoro-2,3,4,9-tetrahydro-1H-carbazol-3-yl)-succinamic acid *tert*-butyl ester is obtained in 25% yield as an orange oil: $t_R = 1.10$ min (LC-3), ESI-MS (pos.): m/z 537.28 [M+H]⁺.

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Starting materials:

Starting materials of Structure 4:

(3R)-(2,3,4,9-tetrahydro-1H-carbazol-3-yl)-carbamic acid 1,1-dimethylethyl ester,

(3S)-(2,3,4,9-tetrahydro-1*H*-carbazol-3-yl)-carbamic acid 1,1-dimethylethyl ester,

10 (3R)-(6-fluoro-2,3,4,9-tetrahydro-1*H*-carbazol-3-yl)-carbamic acid 1,1-dimethylethyl ester, and

(3S)-(6-fluoro-2,3,4,9-tetrahydro-1*H*-carbazol-3-yl)-carbamic acid 1,1-dimethylethyl ester; as well as

Starting materials of Structure 7:

15 (3R)-(2,3,4,9-tetrahydro-1H-carbazol-3-ylamine),

(3S)-(2,3,4,9-tetrahydro-1*H*-carbazol-3-ylamine),

(3R)-(6-fluoro-2,3,4,9-tetrahydro-1H-carbazol-3-ylamine), and

(3S)-(6-fluoro-2,3,4,9-tetrahydro-1*H*-carbazol-3-ylamine),

are prepared according to literature procedures (Rosentreter U. et al., Arzneim.-Forsch.

20 **1989**, *39*(12), 1519-1521); EP 0242518; Ha J. D. *et al.*, Bulletin of the Korean Soc. Chem. **2004**, *25*, 1784-1790; WO 03/033099).

Starting materials of Structure 9:

Starting materials of Structure **9** are commercially available or synthesized according to well known methods (see for example: J. Org. Chem. **1986**, *51*(6), 938-940).

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NMR data of selected compounds are given in Table 11 below.

Table 11

Compound	Chemical shifts (δ) in parts per million (ppm)	Solvent
(3S)-[3-(3-Cyclopentyl-	0.99 (br.s, 2H), 1.41-1.64 (m, 9H), 1.98 (m, 2H),	
propionylamino)-1,2,3,4-	2.06 (<i>t</i> , 2H), 2.48-2.72 (<i>m</i> , 4H), 2.99 (<i>m</i> , 1H), 4.33 (<i>m</i> , 1H), 4.63 (<i>s</i> , 2H), 6.03 (<i>d</i> , 1H), 6.94-	CDCL
tetrahydro-9H-carbazol-9-	4.33 (m, 1H), 4.63 (s, 2H), 6.03 (d, 1H), 6.94-	CDC13
yl]-acetic acid	7.14 (<i>m</i> , 3H), 7.32 (<i>d</i> , 2H).	
(3RS)-[3-(3-Benzyl-	1.78 (m, 1 H), 2.02 (m, 1 H), 2.48 (m, 1 H), 2.69	DMSO-

ureido)-6-fluoro-1,2,3,4-	(m, 2 H), 2.92 (dd, 1 H), 3.93 (m, 1 H), 4.23 (m, 2	d_6
tetrahydro-9H-carbazol-9-	H), 4.86 (d, 2 H), 6.09 (d, 1 H), 6.30 (t, 1 H), 6.88	
yl]-acetic acid	(td, 1 H), 7.15 (dd, 1 H), 7.24 (m, 3 H), 7.32 (m, 3	
	H)	
(26) [C Elvara 2 [2 (4	1.19 (d, 6 H), 1.73 (m, 1 H), 1.97 (m, 1 H), 2.38	
(3 <i>S</i>)-{6-Fluoro-3-[3-(4-	(t, 2 H), 2.36-2.45 (m, 1H), 2.70 (m, 2 H), 2.80 (t,	
isopropyl-phenyl)-	2H), 2.82-2.90 (m, 2H), 4.01 (m, 1 H), 4.87 (m, 2	DMSO-
propionylamino]-1,2,3,4-	H), 6.88 (dt, 1 H), 7.01 (m, 4 H), 7.33 (dd, 1 H),	d_6
tetrahydro-9 <i>H</i> -carbazol-9-	7.93 (d, 1 H), 12.90 (bs, 1H)	
yl}-acetic acid		
	1.59 (m, 0.5 H), 1.74 (m, 1 H), 1.91 (m, 0.5 H),	
(3S)-(6-Fluoro-3-{(2RS)-2-	2.32 (m, 2 H), 2.68 (m, 4 H), 2.90 (m, 1 H), 3.08	
[(4-fluoro-	(m, 1 H), 3.57 (m, 1 H), 3.95 (m, 1 H), 4.84 (m, 2	71.60
phenylcarbamoyl)-methyl]-	H), 6.87 (m, 1 H), 6.97 (d, 0.5 H), 7.10 (m, 2.5	DMSO-
3-phenyl-propionylamino}-	H), 7.21 (m, 3 H), 7.30 (m, 3 H), 7.60 (m, 2 H),	d_6
1,2,3,4-tetrahydro-9 <i>H</i> -	7.97 (t, 1 H), 9.99 (d, 1 H), 13.00 (br.s, 1H)	
carbazol-9-yl)-acetic acid		
(3S)-[3-	0.26 (m, 2 H), 0.47 (d, 2 H), 1.07 (m, 1 H), 2.05	
(Benzyloxycarbonyl-	(m, 1 H), 2.18 (m, 1 H), 2.82 (m, 2H), 3.21 (d, 2	
cyclopropylmethyl-amino)-	H), 4.10 (m, 1 H), 4.88 (s, 2 H), 5.14 (s, 2 H),	DMSO-
6-fluoro-1,2,3,4-	6.88 (t, 1 H), 7.19 (d, 1 H), 7.45 (m, 6 H), 12.90	d_6
tetrahydro-9H-carbazol-9-	(br.s, 1H).	
yl]-acetic acid		
(3S)-{3-	206 (*** 24) 277 (*** (4) 220 (*** 24) 245	
[Benzyloxycarbonyl-(2-	2.06 (m, 2 H), 2.77 (m, 6 H), 3.29 (m, 2 H), 3.45	DMCO
methoxy-ethyl)-amino]-6-	(m, 3 H), 4.08 (dd, 1 H), 4.88 (m, 2 H), 5.13 (s, 2 H), 6.00 (c, 1 H), 7.16 (c, 1 H), 7.24 (c, 6 H)	DMSO-
fluoro-1,2,3,4-tetrahydro-	H), 6.89 (m, 1 H), 7.16 (m, 1 H), 7.34 (m, 6 H),	d_6
9 <i>H</i> -carbazol-9-yl}-acetic	13.00 (br. s, 1H).	
acid		
(3 <i>S</i>)-{6-Fluoro-3-[[3-(2-	1.93 (dd, 1 H), 2.09 (m, 1 H), 2.75 (m, 8 H), 3.66	DMSO-
methoxy-phenyl)-	(m, 3 H), 3.77 (m, 2 H), 4.13 (m, 3 H), 4.90 (m, 2	d_6
propionyl]-(2-phenoxy-	H), 6.90 (m, 6 H), 7.17 (m, 3 H), 7.33 (m, 3 H),	
propionall (2 phonoxy	H), 6.90 (m, 6 H), 7.17 (m, 3 H), 7.33 (m, 3 H),	

ethyl)-amino]-1,2,3,4-	12.90 (br. s, 1H)	
tetrahydro-9H-carbazol-9-		
yl}-acetic acid		
(3S)-{6-Fluoro-3-	1.93 (m, 2 H), 2.70-3.08 (m, 8 H), 4.41 (m, 0.5	
[naphthalen-1-ylmethyl-(3-	H), 4.86 (m, 2.5 H), 5.05 (m, 2 H), 6.87 (m, 1 H),	DMSO-
phenyl-propionyl)-amino]-	7.04 (m, 2 H), 7.19 (m, 3 H), 7.35 (m, 3 H), 7.56	d_6
1,2,3,4-tetrahydro-9 <i>H</i> -	(m, 3 H), 7.83 (m, 1 H), 7.97 (m, 1 H), 8.15 (m, 1	ub
carbazol-9-yl}-acetic acid	H), 13.00 (br.s, 1H).	
carbazor-y-yr ₃ -acetic acid		
(3RS)-(3-	1.76 (m, 1H), 2.05 (m, 1H), 2.48 (m, 1H), 2.68	
Benzyloxycarbonylamino-	(<i>m</i> , 1H), 2.75 (<i>t</i> , 1H), 2.93 (<i>d</i> , 1H), 3.77 (<i>m</i> , 1H),	DMSO-
6-fluoro-1,2,3,4-	4.85 (s, 2H), 5.05 (s, 2H), 6.87 (dt, 1H), 7.14 (dd,	$\begin{vmatrix} b_{11130} \\ d_{6} \end{vmatrix}$
tetrahydro-9H-carbazol-9-	1H), 7.29-7.38 (<i>m</i> , 5H), 7.46 (<i>m</i> , 1H).	146
yl)-acetic acid		
(3 <i>S</i>)-Ethyl [3-(3-butyl-	0.86 (t, 3H), 1.20 (t, 3H), 1.31 (m, 2H), 1.42 (m,	
ureido)-1,2,3,4-tetrahydro-	2H), 2.06 (<i>m</i> , 2H), 2.64 (<i>dd</i> , 1H), 2.70 (<i>m</i> , 2H),	DMSO-
9 <i>H</i> -carbazol-9-yl]-acetate	3.03-3.10 (m, 3H), 4.18 (q, 2H), 4.28 (m, 1H),	d_6
	4.71 (s, 2H), 7.04-7.21 (m, 3H), 7.45 (d, 1H).	
(3S)-Ethyl $(3-$	0.93 (t, 3H), 1.24 (t, 3H), 1.63 (m, 2H), 2.03-2.14	
propoxycarbonylamino-	(m, 2H), 2.65 (dd, 1H), 2.77 (t, 2H), 3.12 (dd,	CDCl ₃
1,2,3,4-tetrahydro-9 <i>H</i> -	1H), 4.02 (t, 2H), 4.20 (m, 4H), 4.72 (s, 2H),	
carbazol-9-yl)-acetate	7.07-7.14 (<i>m</i> , 1H, H), 7.17 (<i>m</i> , 2H), 7.44 (<i>d</i> , 1H).	
(3 <i>S</i>)- Ethyl [3-(2-phenoxy-	1.24 (t, 3H), 2.04 (m, 1H), 2.17 (m, 1H), 2.60-	
acetylamino)-1,2,3,4-	2.86 (m, 3H), 3.15 (dd, 1H), 4.20 (q, 2H), 4.53	CDCl ₃
tetrahydro-9 <i>H</i> -carbazol-9-	(m, 1H), 4.49 (s, 2H), 4.72 (s, 2H), 6.71 (d, 1H),	5
yl]-acetate	6.90 (s, 2H), 7.02-7.27 (m, 6H), 7.45 (d, 1H).	
(3R)- Ethyl $(3-tert-$	1.25 (t, 3H), 1.45 (s, 9H), 1.99 (m, 1H), 2.12 (m,	
butoxycarbonylamino-	1H), 2.63 (dd, 1H,), 2.75 (m, 2H), 3.09 (dd, 1H),	CDCl ₃
1,2,3,4-tetrahydro-9 <i>H</i> -	4.16 (m, 1H), 4.21 (d, 2H), 4.72 (s, 2H), 7.18 (m,	
carbazol-9-yl)-acetate	3H), 7.45 (<i>d</i> , 1H).	
(3 <i>R</i>)- Ethyl {6-fluoro-3-[2-	1.24 (t, 3H), 2.04 (m, 2H), 2.60 (m, 2H), 2.70 (dt,	
(4-trifluoromethyl-phenyl)-	1H), 3.01 (dd, 1H), 3.54 (s, 2H), 4.19 (q, 2H),	CDCl ₃
acetylamino]-1,2,3,4-	4.43 (m, 1H), 4.66 (s, 2H), 5.58 (d, 1H), 6.88 (dt,	

tetrahydro-9H-carbazol-9-	1H), 7.06 (m, 2H), 7.27 (m, 1H), 7.39 (d, 2H),	
yl}-acetate	7.54 (<i>d</i> , 2H).	
(3 <i>RS</i>)- Ethyl (3-	1.18 (t, 3H), 1.76 (m, 1H), 2.03 (m, 1H), 2.46 (m,	
benzyloxycarbonylamino-	1H), 2.63-2.80 (m, 2H), 2.90 (dd, 1H), 3.75 (m,	DMSO-
8-chloro-6-fluoro-1,2,3,4-	1H), 4.14 (q, 2H), 5.03 (s, 2H), 5.15 (s, 2H), 7.01	d_6
tetrahydro-9H-carbazol-9-	(dd, 1H), 7.19 (dd, 1H), 7.27-7.36 (m, 5), 7.45 (d,	u_6
yl)-acetate	1H).	
(3 <i>S</i>)- Ethyl [6-fluoro-3-(4-nitro-benzenesulfonylamino)-	1.28 (t, 3H), 2.07 (dd, 2H), 2.54 (dd, 1H), 2.73 (t, 2H), 2.87 (dd, 1H), 3.94 (m, 1H), 4.21 (d, 2H), 4.68 (s, 2H), 4.90 (d, 1H), 6.85-6.94 (m, 2H),	CDCl ₃
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetate	7.06 (dd, 1H), 8.03 (d, 1H), 8.30 (d, 1H).	
(3 <i>S</i>)- Ethyl {6-fluoro-3-[methyl-(4-nitro-benzenesulfonyl)-amino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetate	1.27 (<i>t</i> , 3H), 1.85 (<i>m</i> , 1H), 2.01 (<i>m</i> , 1H), 2.65 (<i>dd</i> , 1H), 2.75-2.82 (<i>m</i> , 3H), 2.94 (<i>s</i> , 3H), 4.20 (<i>d</i> , 2H), 4.36 (<i>m</i> , 1H), 4.67 (<i>s</i> , 2H), 6.90 (<i>dt</i> , 1H), 6.97 (<i>dd</i> , 1H), 7.06 (<i>dd</i> , 1H), 8.03 (<i>d</i> , 1H), 8.37 (<i>d</i> , 1H).	CDCl ₃
(3RS)-(8-Chloro-6-fluoro-2,3,4,9-tetrahydro-1 <i>H</i> -carbazol-3-yl)-carbamic	1.76 (<i>m</i> , 1H), 1.99 (<i>m</i> , 1H), 2.48 (<i>m</i> , 1H), 2.74-2.93 (<i>m</i> , 3H), 3.80 (<i>m</i> , 1H), 5.03 (<i>s</i> , 2H), 7.00 (<i>dd</i> , 1H), 7.10 (<i>dd</i> , 1H), 7.28-7.36 (<i>m</i> , 5H), 7.43 (<i>d</i> , 1H), 11.10 (<i>dd</i> , 1H)	DMSO-
acid benzyl ester	1H), 11.10 (s, 1H).	

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Biological assays:

Preparation of hCRTH2 receptor membranes and radioligand binding assay:

Preparation of the membranes and radioligand binding assays are performed according to known procedures (e.g. Sawyer N. et al., Br. J. Pharmacol. 2002, 137, 1163-1172). A clonal HEK 293 cell line, expressing high level of recombinant hCRTH2 receptor, is selected for the preparation of membranes. Cells are detached from culture plates in 5 ml buffer A per plate (5 mM Tris, pH 7.4, 1 mM MgCl₂, 0.1 mM PMSF, 0.1 mM phenanthroline) using a police rubber and transferred into centrifugation tubes and frozen at -80°C. After thawing, the cells are centrifuged at 500 g for 5 min and then resuspended in buffer A. Cells are then fragmented by homogenization with a Polytron cell homogenizer for 30 s. The membrane fragments are collected by centrifugation at 3000 g for 40 min and resuspended in buffer B (50 mM Tris, pH 7.4, 25 mM MgCl₂, 250 mM saccharose) and aliquots are stored at -20°C.

Binding assay is performed in a total volume of 250 μl. In each well, 75 μl buffer C (50 mM Tris, pH 7.4, 100 mM NaCl, 1 mM EDTA, 0.1% BSA (protease free), 0.01 % NaN₃) is mixed with 50 µl {³H}-PGD₂ (2.5 nM, 220'000 dpm/well, Amersham Biosciences, TRK734), 100 µl CRTH2 membranes to give 80 µg per well, and 25 µl of test compound in buffer C containing 1% DMSO. For unspecific binding, PGD₂ is added to the reaction mixture at 1 µM final concentration. This binding assay mix is incubated at rt for 90 min and then filtered through a GF/C filter plate. The filter is washed three times with ice cold binding buffer C. Then, Microscint-40 (Packard, 40 µl/well) is added and the receptor bound radioactivity is quantified by scintillation counting in a "TopCount" benchtop microplate scintillation counter (Packard).

Results for ligand binding to the hCRTH2 receptor:

25 Antagonistic activities (IC₅₀ values) of compounds of Formula I are in the range of 0.1 to 10000 nM with respect to the hCRTH2 receptor (preferred compounds: < 1000 nM, more preferred compounds: < 100 nM, most preferred compounds: < 10 nM). IC₅₀ values of 242 from 251 exemplified compounds (9 IC₅₀ values being not available) are in the range of 0.4-2050 nM with an average of 97 nM with respect to the hCRTH2 receptor. Antagonistic 30 activities of selected compounds are displayed in Table 12.

Table 12

IC ₅₀ (nM) (3S)-[3-(3,3-Diphenyl-propionylamino)-6-fluoro-1,2,3,4- tetrahydro-9H-carbazol-9-yl]-acetic acid (3S)-(3-{Acetyl-[2-(2-fluoro-phenyl)-ethyl]-amino}-6- fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid (3S)-(6-Fluoro-3-{(2-methoxy-ethyl)-[3-(2-methoxy-phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9H- carbazol-9-yl)-acetic acid (3S)-{3-[(RS)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4- tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4- tetrahydro-9H-carbazol-9-yl]-acetic acid (3S)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4- tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{3-[(2,3-Dihydro-1H-indole-2-carbonyl)-amino]-6- fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)- propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl}- acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)- propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}- acetic acid	Compound	hCRTH2 Bdg
tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3 <i>S</i>)-(3-{Acetyl-[2-(2-fluoro-phenyl)-ethyl]-amino}-6- fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid (3 <i>S</i>)-(6-Fluoro-3-{(2-methoxy-ethyl)-[3-(2-methoxy-phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid (3 <i>S</i>)-{3-[(<i>RS</i>)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3 <i>S</i>)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid		IC_{50} (nM)
(3S)-(3-{Acetyl-[2-(2-fluoro-phenyl)-ethyl]-amino}-6- fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid (3S)-(6-Fluoro-3-{(2-methoxy-ethyl)-[3-(2-methoxy-phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid (3S)-{3-[(RS)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3S)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	(3S)-[3-(3,3-Diphenyl-propionylamino)-6-fluoro-1,2,3,4-	2
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid (3 <i>S</i>)-(6-Fluoro-3-{(2-methoxy-ethyl)-[3-(2-methoxy-phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid (3 <i>S</i>)-{3-[(<i>RS</i>)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3 <i>S</i>)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-phenyl}-14 propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-15	tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	
(3S)-(6-Fluoro-3-{(2-methoxy-ethyl)-[3-(2-methoxy-phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid (3S)-{3-[(RS)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid (3S)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{3-[(2,3-Dihydro-1H-indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-15	(3S)-(3-{Acetyl-[2-(2-fluoro-phenyl)-ethyl]-amino}-6-	4
phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> - carbazol-9-yl)-acetic acid (3 <i>S</i>)-{3-[(<i>RS</i>)-2-Benzyl-3-(3-methoxy- phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4- tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3 <i>S</i>)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6- fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)- propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}- acetic acid (3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	
carbazol-9-yl)-acetic acid (3S)-{3-[(RS)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid (3S)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{3-[(2,3-Dihydro-1H-indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-15	(3S)-(6-Fluoro-3-{(2-methoxy-ethyl)-[3-(2-methoxy-	6
(3S)-{3-[(RS)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3S)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetraliydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	phenyl)-propionyl]-amino}-1,2,3,4-tetrahydro-9H-	
phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4- tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3 <i>S</i>)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6- fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)- propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	carbazol-9-yl)-acetic acid	
tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3 <i>S</i>)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6- fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)- propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	(3S)-{3-[(RS)-2-Benzyl-3-(3-methoxy-	6
(3S)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3S)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-phenyl}-acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-15	phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-	
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid (3 <i>S</i>)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro- 1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6- fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)- propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	
(3S)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-phenyl}-14 propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-15	(3S)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-	6
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6- fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)- propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	
(3S)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-fluoronylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-fluoromethyl-phenyl)-fluoromethyl-phenyl}-fluoromethyl-phenyl	(3S)-{3-[2-(4-Chloro-phenoxy)-acetylamino]-6-fluoro-	9
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid (3 <i>S</i>)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)- propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}- acetic acid (3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	1,2,3,4-tetraliydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	
(3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)- 14 propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl}- acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)- 15	(3S)-{3-[(2,3-Dihydro-1 <i>H</i> -indole-2-carbonyl)-amino]-6-	11
propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}- acetic acid (3 <i>S</i>)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	
acetic acid (3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	(3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-	14
$(3S)$ - $\{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-$	propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	
	acetic acid	
propionylaminol-6-fluoro-1 2 3 4-tetrahydro-9H-	(3S)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-	15
propronytaminoj-o-moro-1,2,2,7-camyaro-711-	propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	
carbazol-9-yl}-acetic acid	carbazol-9-yl}-acetic acid	
(3S)-[3-(3-Benzo[1,3]dioxol-5-yl-propionylamino)-6- 15	(3S)-[3-(3-Benzo[1,3]dioxol-5-yl-propionylamino)-6-	15
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	
(3S)-{6-Fluoro-3-[ethyl-(3-phenyl-propionyl)-amino]-	(3S)-{6-Fluoro-3-[ethyl-(3-phenyl-propionyl)-amino]-	16
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	
(3 <i>S</i>)-{3-[3-(2,4-Dichloro-phenyl)-propionylamino]-6-	(3S)-{3-[3-(2,4-Dichloro-phenyl)-propionylamino]-6-	16
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	

(3S)-(6-Fluoro-3-{[(2RS)-8-methoxy-1,2,3,4-tetrahydro-	18
naphthalene-2-carbonyl]-amino}-1,2,3,4-tetrahydro-9 <i>H</i> -	
carbazol-9-yl)-acetic acid	
$(3S)$ - $\{6$ -Fluoro-3- $[((S)$ -2-methyl-3-phenyl-propionyl)- $(2$ -	21
phenoxy-ethyl)-amino]-1,2,3,4-tetrahydro-9H-carbazol-	
9-yl}-acetic acid	
(3RS)-(3-Benzyloxycarbonylamino-6-trifluoromethyl-	26
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl)-acetic acid	
(3S)-{6-Fluoro-3-[3-(2-hydroxy-phenyl)-	33
propionylamino]-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-	
acetic acid	
(3R)-{3-[3-(3-Chloro-phenyl)-propionylamino]-6-	39
fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	
(3S)-{6-Fluoro-3-[3-(3-trifluoromethoxy-phenyl)-	47
propionylamino]-1,2,3,4-tetrahydro-9 <i>H-</i> carbazol-9-yl}-	
acetic acid	
(3RS)-[8-Chloro-6-fluoro-3-(3-phenyl-propionylamino)-	57
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	
(3S)-{3-[(2-Benzyloxy-acetyl)-methyl-amino]-6-fluoro-	60
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	
(3R)-[6-Fluoro-3-(3-p-tolyl-propionylamino)-1,2,3,4-	61
tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	
(3S)-{6-Fluoro-3-[3-(4-methanesulfonyl-phenyl)-	72
propionylamino]-1,2,3,4-tetrahydro-9 <i>H-</i> carbazol-9-yl}-	
acetic acid	
(3S)-(3-Isobutoxycarbonylamino-1,2,3,4-tetrahydro-9H-	84
carbazol-9-yl)-acetic acid	
(3S)-{3-[3-(3-tert-Butoxycarbonylamino-phenyl)-	93
propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9 <i>H</i> -	
carbazol-9-yl}-acetic acid	

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(3S)-[3-(2-Benzyloxy-ethoxycarbonylamino)-1,2,3,4-	117
tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	
(3R)-[3-(3-Phenylsultonyl-ureido)-1,2,3,4-tetrahydro-	147
9H-carbazol-9-yl]-acetic acid	
(3R)-[3-(3-Naphthalen-1-yl-ureido)-1,2,3,4-tetrahydro-	152
9H-carbazol-9-yl]-acetic acid	
(3R)-[3-(2-Thiophen-2-yl-acetylamino)-1,2,3,4-	297
tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	
(3R)-[3-(3-Cyclopentyl-propionylamino)-1,2,3,4-	400
tetrahydro-9 <i>H</i> -carbazol-9-yl]-acetic acid	
(3R)-(3-Benzoylamino-1,2,3,4-tetrahydro-9H-carbazol-	488
9-yl)-acetic acid	
(3S)-{6-Fluoro-3-[(1 <i>H</i> -indole-2-carbonyl)-amino]-	824
1,2,3,4-tetrahydro-9 <i>H</i> -carbazol-9-yl}-acetic acid	
(3S)-[3-(3-Butyl-ureido)-1,2,3,4-tetrahydro-9H-	896
carbazol-9-yl]-acetic acid	

Intracellular calcium mobilization assay (FLIPR):

Cells (HEK-293), stably expressing the hCRTH2 receptor under the control of the cytomegalovirus promotor from a single insertion of the expression vector pcDNA5 (Invitrogen), are grown to confluency in DMEM (low glucose, Gibco) medium supplemented with 10% fetal calf serum (Bioconcept, Switzerland) under standard mammalian cell culture conditions (37°C in a humidified atmosphere of 5% CO₂). Cells are detached from culture dishes using a dissociation buffer (0.02% EDTA in PBS, Gibco) for 1 min, and collected by centrifugation at 200 g at rt for 5 min in assay buffer (equal parts of Hank's BSS (HBSS, Bioconcept) and DMEM (low glucose, without phenol red, Gibco)). After incubation for 45 min (37°C and 5% CO₂) in the presence of 1 µM Fluo-4 and 0.04% Pluronic F-127 (both Molecular Probes), and 20 mM HEPES (Gibco) in assay buffer, the cells are washed with and resuspended in assay buffer, then seeded onto 384-well FLIPR assay plates (Greiner) at 50,000 cells in 66 µl per well, and sedimented by centrifugation.

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Stock solutions of test compounds are made up at a concentration of 10 mM in DMSO, and serially diluted in assay buffer to concentrations required for inhibition dose response curves. Prostaglandin D₂ (Biomol, Plymouth Meeting, PA) is used as an agonist.

A FLIPR384 instrument (Molecular Devices) is operated according to the manufacturer's standard instructions, adding 4 μ l of test compound dissolved at 10 mM in DMSO and diluted prior to the experiment in assay buffer to obtain the desired final concentration. 10 μ l of 80 nM prostaglandin D₂ (Biomol, Plymouth Meeting, PA) in assay buffer, supplemented with 0.8% bovine serum albumin (fatty acid content <0.02%, Sigma), is then added to obtain a final concentration of 10 nM and 0.1%, respectively. Changes in fluorescence are monitored before and after the addition of test compounds at λ_{ex} =488 nm and λ_{em} =540 nm. Emission peak values above base level after prostaglandin D₂ addition are exported after base line subtraction. Values are normalized to high-level control (no test compound added) after subtraction of base line value (no prostaglandin D₂ added). The program XLlfit 3.0 (IDBS) is used to fit the data to a single site dose response curve of the equation (A+((B-A)/(1+((C/x)^D))))) and to calculate the IC₅₀ values.

What we claim is:

1. A compound of Formula I:

$$R^{2}$$
 R^{3}
 R^{4}
 R^{4}
 R^{5}
 R^{5}
 R^{6}
 R^{5}
 R^{6}
 R^{7}
 R^{7}
 R^{7}
 R^{7}

I

5

wherein

 R^1 , R^2 , R^3 and R^4 independently represent hydrogen, C_{1-5} -alkyl, C_{1-5} -alkoxy, C_{2-4} -alkenyl, halogen, nitro, cyano, halo- C_{1-6} -alkoxy, halo- C_{1-6} -alkyl, C_{1-6} -alkylsulfonyl, or formyl;

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 R^5 represents hydrogen, $C_{2\text{-}4}$ -alkenyl, $C_{1\text{-}6}$ -alkyl, $C_{3\text{-}10}$ -cycloalkyl- $C_{1\text{-}4}$ -alkyl, $C_{1\text{-}3}$ -alkoxy- $C_{1\text{-}4}$ -alkyl, aryl- $C_{1\text{-}4}$ -alkyl, or aryloxy- $C_{1\text{-}4}$ -alkyl;

wherein aryl refers to a phenyl- or naphthyl-group, which group is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy, C_{1-4} -alkoxy, C_{1-4} -alkyl, halogen, trifluoromethyl, and trifluoromethoxy; and

 R^6 represents aryl- C_{1-3} -alkoxy- C_{1-3} -alkoxycarbonyl; aryl- C_{1-3} -alkoxycarbonyl; aryl- C_{1-3} -alkoxy- C_{1-3} -alkylcarbonyl; aryl- C_{1-4} -alkylcarbonyl; aryloxy- C_{1-3} -alkylcarbonyl; C_{3-10} -cycloalkyl- C_{1-3} -alkylcarbonyl; aryl- C_{1-3} -alkylcarbonyl; aryl- C_{1-3} -alkylcarbonyl; aryl- C_{1-3} -alkylcarbonyl; aryl- C_{3-6} -cycloalkylcarbonyl; or R^7 - C_{1-4} -alkylcarbonyl, wherein the bridging C_{1-4} -alkyl group may additionally be mono-substituted with aryl, and R^7 represents arylaminocarbonyl, heteroarylaminocarbonyl, C_{1-6} -alkylaminocarbonyl, or aryl- C_{1-3} -alkylaminocarbonyl; wherein

- aryl refers to a phenyl- or naphthyl-group, which group is unsubstituted, mono- or di-substituted with a group independently selected from C_{1-2} -alkylendioxy, C_{1-6} -alkyl, C_{1-6} -alkylsulfonyl, halogen, hydroxy, halo- C_{1-6} -alkyl, halo- C_{1-6} -alkylthio, and C_{1-4} -alkoxycarbonylamino; and
- heteroaryl refers to an aromatic ring system containing 5 to 10 ring atoms, one to three of these being heteroatoms, independently selected from nitrogen, oxygen or sulfur;

or a salt of such a compound.

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- 2. A compound according to claim 1, wherein R^1 , R^3 and R^4 represent hydrogen; or a salt of such a compound.
- 3. A compound according to claim 1 or 2, wherein R² represents hydrogen, trifluoromethyl,
 or halogen;
 or a salt of such a compound.
- A compound according to any one of claims 1 to 3, wherein R⁵ represents hydrogen; C₁₋₃-alkyl; cyclopropylmethyl; 2-methoxyethyl; phenyl-C₂₋₃-alkyl; or phenoxyethyl, wherein the phenyl group is unsubstituted, or mono-substituted with a group selected from C₁₋₂-alkylendioxy, C₁₋₄-alkoxy, C₁₋₄-alkyl, halogen, trifluoromethyl, and trifluoromethoxy; or a salt of such a compound.
- 5. A compound according to any one of claims 1 to 3, wherein
 R⁵ represents hydrogen, methyl, ethyl, or *n*-propyl;
 or a salt of such a compound.
- 6. A compound according to any one of claims 1 to 5, wherein R⁶ represents aryl-C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkylcarbonyl; aryl-C₁₋₃-alkylcarbonyl; aryl-C₁₋₃-alkylcarbonyl; aryloxy-C₁₋₃-alkylcarbonyl; C₃₋₁₀-cycloalkyl-C₁₋₃-alkylcarbonyl; diaryl-C₁₋₃-alkylcarbonyl; aryl-C₃₋₆-cycloalkylcarbonyl; or R⁷-C₁₋₄-alkylcarbonyl, wherein the bridging C₁₋₄-alkyl group may additionally be mono-

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substituted with aryl, and R⁷ represents arylaminocarbonyl, heteroarylaminocarbonyl, C₁₋₆alkylaminocarbonyl, or aryl-C₁₋₃-alkylaminocarbonyl; wherein

- aryl refers to a phenyl- or naphthyl-group, which group is unsubstituted, mono- or di-substituted with a group independently selected from C₁₋₂-alkylendioxy, C₁₋₆alkoxy, C₁₋₆-alkyl, C₁₋₆-alkylsulfonyl, halogen, hydroxy, halo-C₁₋₆-alkyl, halo-C₁₋₆alkoxy, C₁₋₆-alkylthio, and C₁₋₄-alkoxycarbonylamino; and
- heteroaryl refers to an aromatic ring system containing 5 to 10 ring atoms, one to three of these being heteroatoms, independently selected from nitrogen, oxygen or sulfur:
- 10 or a salt of such a compound.
 - 7. A compound according to any one of claims 1 to 5, wherein R⁶ represents arvlaryl-C₁₋₂-alkylaminocarbonyl; aryl-C₁₋₄-alkylcarbonyl; C_{1-2} -alkoxycarbonyl; C_{1-2} -alkylcarbonyl; diaryl- C_{2-3} -alkylcarbonyl; or R^7 - C_{2-4} -alkylcarbonyl, wherein the bridging C_{2-4} -alkyl group may additionally be mono-substituted with aryl, and \mathbb{R}^7 represents arylaminocarbonyl, or C₁₋₄-alkylaminocarbonyl;
 - wherein aryl refers to a phenyl- or naphthyl-group, which group is unsubstituted, mono- or di-substituted with a group independently selected from C₁₋₂-alkylendioxy, C₁₋₆-alkoxy, C₁₋₆ 6-alkyl, C_{1.6}-alkylsulfonyl, halogen, hydroxy, trifluoromethyl, and trifluoromethoxy;
- 20 or a salt of such a compound.
 - 8. A compound according to any one of claims 1 to 5, wherein R⁶ represents arvl-C₂₄alkylcarbonyl, wherein aryl refers to a phenyl-group, which group is unsubstituted, monoor di-substituted with a group independently selected from $C_{1,4}$ -alkoxy, $C_{1,4}$ -alkyl, halogen, and trifluoromethyl;
- 25 or a salt of such a compound.
 - 9. A compound according to claim 1 selected from the group consisting of:
- (3S)-[3-(3,3-diphenyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic 30 acid;
 - (3R)-{3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9yl }-acetic acid;

- (3*S*)-[6-fluoro-3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3R)-{3-[2-(4-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-y1}-acetic acid;
 - (3*R*)-[6-fluoro-3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3S)-{3-[3-(4-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-
- 10 yl}-acetic acid;
 - (3*R*)-(3-benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*S*)-(3-benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-{3-[2-(4-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 15 (3*R*)-{3-[2-(2-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-[6-fluoro-3-(4-oxo-4-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-
- 20 acetic acid:
 - (3S)-[6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-(3-{[2-(4-chloro-phenyl)-acetyl]-ethyl-amino}-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 25 (3*R*)-[6-fluoro-3-(2-*p*-tolyloxy-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-{6-fluoro-3-[methyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3S)-[6-fluoro-3-(3-1*H*-indol-3-yl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-30 acetic acid;
 - (3*S*)-[3-(3-benzo[1,3]dioxol-5-yl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;

- (3S)-{6-fluoro-3-[ethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}acetic acid;
- (3S)-{3-[2-(4-chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}acetic acid;
- 5 (3S)-[3-(2,3-diphenyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3R)-[6-fluoro-3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid; (3S)-{3-[3-(3,4-difluoro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 10 (3S)-[3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yll-acetic acid; (3R)-[3-(2-benzyloxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid; (3S)-{6-fluoro-3-[3-(2-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid;
 - (3S)-{6-fluoro-3-[propyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
- 15 (3S)-[3-(2-benzyloxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid; (3R)-(3-benzyloxycarbonylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid; (3R)-{6-fluoro-3-[2-(4-methoxy-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9H-carbazol-9yl}-acetic acid;
- 20 (3R)-{3-[3-(4-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9yl }-acetic acid;
 - (3*S*)-{3-[4-(4-bromo-phenyl)-4-oxo-butyrylamino}-6-fluoro-1,2,3,4-tetrahydro-9*H*carbazol-9-yl}-acetic acid;
 - (3S)- $(3-\{[2-(4-chloro-phenyl)-acetyl]-propyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9<math>H$ -
- 25 carbazol-9-yl)-acetic acid;
 - (3R)-(3-phenylacetylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid; (3R)-{3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-
 - yl}-acetic acid;
 - (3S)-{6-fluoro-3-[2-(4-trifluoromethyl-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9H-
- 30 carbazol-9-yl}-acetic acid;
 - (3R)-(6-fluoro-3-phenylacetylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;
 - (3S)-{6-fluoro-3-[3-(2-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;

- (3*S*)-[3-(3-1*H*-benzoimidazol-2-yl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3S)-{6-fluoro-3-[3-(4-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-[6-fluoro-3-(2-*p*-tolyloxy-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*R*)-[6-fluoro-3-(2-*p*-tolyl-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*R*)-{3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 10 (3R)-[3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3S)-[6-fluoro-3-(3-*p*-tolyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-(3-benzyloxycarbonylamino-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;
 - (3S)-[6-fluoro-3-(2-p-tolyl-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 15 (3*S*)-[3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*S*)-{6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-
 - (3S)-{6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3R)-[3-(2-benzyloxy-ethoxycarbonylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid:
- 20 (3*S*)-[6-fluoro-3-(3-naphthalen-2-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-{6-fluoro-3-[4-(4-methanesulfonyl-phenyl)-4-oxo-butyrylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - $(3S)-(3-\{[2-(4-chloro-phenyl)-acetyl]-methyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9H-noro-1,2,3,4-tetr$
- 25 carbazol-9-yl)-acetic acid;
 - (3*S*)-{6-fluoro-3-[3-(4-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3R)-[3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3*R*)-{3-[2-(4-chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-
- 30 acetic acid;
 - (3*R*)-[6-fluoro-3-(3-*p*-tolyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;

- (3*R*)-{6-fluoro-3-[3-(4-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*S*)-{6-fluoro-3-[3-(4-hydroxy-3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{6-fluoro-3-[2-(3-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-fluoro-3-[2-(4-methoxy-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - $(3R)-\{3-[2-(3-chloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl\}-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-1,2,3,4-tetrahydro-9-yl]-1,2,3,4$
- 10 acetic acid;
 - (3*S*)-{6-fluoro-3-[2-(4-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{3-[2-(3,4-dichloro-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 15 (3*S*)-{6-fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-[6-fluoro-3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*R*)-[3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-[3-(2-benzyloxy-ethoxycarbonylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic
- 20 acid;
 - (3S)-[3-(2-phenoxy-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-[3-(2-thiophen-2-yl-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-(3-phenylacetylamino-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-[3-(3-benzyl-ureido)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 25 (3*S*)-{3-[2-(4-*tert*-butyl-phenyl)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-(3-benzyloxycarbonylamino-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-(3-benzyloxycarbonylamino-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-
- 30 acetic acid;
 - (3*S*)-[6-fluoro-3-(3-pyridin-3-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid:
 - (3R)-[3-(3-benzyl-ureido)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;

- (3*R*)-{6-fluoro-3-[2-(4-trifluoromethyl-phenyl)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3S)-[3-(3-cyclopentyl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- (3R)-[3-(2-thiophen-2-yl-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 5 (3*R*)-(3-benzyloxycarbonylamino-8-chloro-5-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-(3-benzyloxycarbonylamino-8-chloro-5-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; and
- (3*R*)-[3-(3-cyclopentyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; or a salt of such a compound.
 - 10. A compound according to claim 1 selected from the group consisting of:
 - (3R)-[3-(3-Benzyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-[3-(3-Benzyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 15 (3*S*)-[6-Fluoro-3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid; (3*R*)-[3-(3-Benzyl-ureido)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-[3-(3-Benzyl-ureido)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- 20 (3*R*)-[8-Chloro-6-fluoro-3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-[8-Chloro-6-fluoro-3-(3-phenethyl-ureido)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3R)-(3-Benzyloxycarbonylamino-6-trifluoromethyl-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-
- 25 acetic acid;
 - (3S)-(3-Benzyloxycarbonylamino-6-trifluoromethyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3R)-(3-Benzyloxycarbonylamino-8-bromo-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;
- 30 (3*S*)-(3-Benzyloxycarbonylamino-8-bromo-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3R)-(3-Benzyloxycarbonylamino-6-fluoro-8-vinyl-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;

- (3*S*)-(3-Benzyloxycarbonylamino-6-fluoro-8-vinyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- (3*R*)-(3-Benzyloxycarbonylamino-6-fluoro-8-methanesulfonyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 5 (3*S*)-(3-Benzyloxycarbonylamino-6-fluoro-8-methanesulfonyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-(3-Benzyloxycarbonylamino-6-fluoro-8-methyl-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-(3-Benzyloxycarbonylamino-7-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-
- 10 acetic acid;
 - (3*S*)-(8-Allyl-3-benzyloxycarbonylamino-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3R)-(3-Benzyloxycarbonylamino-8-chloro-1,2,3,4-tetrahydro-9H-carbazol-9-yl)-acetic acid;
- 15 (3*S*)-{3-[3-(2,4-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-[6-Fluoro-3-(3-naphthalen-1-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3R)-{6-Fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-
- 20 yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{6-Fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-{6-Fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{6-Fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-
- 30 yl}-acetic acid;
 - (3*R*)-{6-Fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*S*)-{6-Fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*R*)-{6-Fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{6-Fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{6-Fluoro-3-[2-(2-methylphenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[2-(2-methylphenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-
- 10 yl}-acetic acid;
 - (3*S*)-{3-[3-(2,5-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(4-trifluoromethyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 15 (3*S*)-{3-[3-(2,6-Dichloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(2,5-Bis-trifluoromethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[3-(4-methylsulfanyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-
- 20 carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(4-iodo-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(4-isopropyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-{6-Fluoro-3-[3-(3-trifluoromethyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(2,4-Dichloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[3-(4-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-
- 30 yl}-acetic acid;
 - (3*S*)-{3-[3-(3,5-Bis-trifluoromethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*S*)-{3-[3-(4-Ethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*S*)-{6-Fluoro-3-[3-(3-iodo-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-{6-Fluoro-3-[3-(4-methanesulfonyl-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{3-[3-(2,3-Dimethoxy-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - $(3S)-\{3-[3-(2-Bromo-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-fluoro-1,2,3,4-tetrahydro-9-fluoro-1,2,3,4-tetrahy$
- 10 yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(3-trifluoromethoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(2,4-Dimethyl-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 15 (3*S*)-{3-[3-(3-Bromo-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[3-(3-*tert*-Butoxycarbonylamino-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[(S)-3-(4-fluoro-phenyl)-2-phenyl-propionylamino]-1,2,3,4-tetrahydro-
- 20 9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[(*S*)-3-(4-methoxy-phenyl)-2-phenyl-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[3-(2-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-(6-Fluoro-3-{(2*RS*)-2-[(4-fluoro-phenylcarbamoyl)-methyl]-3-phenyl-propionylamino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; (3*S*)-{3-[(2*RS*)-2-Benzyl-3,3-dimethyl-butyrylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*S*)-{6-Fluoro-3-[3-(3-fluoro-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-30 yl}-acetic acid;
- (3*S*)-{6-Fluoro-3-[(2*R*)-2-methyl-3-phenyl-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*S*)-[3-(2,2-Dimethyl-3-phenyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3*S*)-[6-Fluoro-3-(3-methyl-3-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- 5 (3*S*)-{6-Fluoro-3-[(3*S*)-3-phenyl-butyrylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-[3-(2-Benzyloxy-acetylamino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid:
 - (3S)-[6-Fluoro-3-(4-phenyl-butyrylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- 10 (3*R*)-{8-Chloro-6-fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-6-fluoro-3-[3-(2-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{8-Chloro-6-fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-6-fluoro-3-[2-(2-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{8-Chloro-6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 20 (3*S*)-{8-Chloro-6-fluoro-3-[3-(3-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-{8-Chloro-6-fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{8-Chloro-6-fluoro-3-[3-(3-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9H-
- 25 carbazol-9-yl}-acetic acid;
 - (3*R*)-{8-Chloro-6-fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-6-fluoro-3-[3-(3-methylphenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 30 (3*R*)-{8-Chloro-6-fluoro-3-[3-(2-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-6-fluoro-3-[3-(2-hydroxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*R*)-[8-Chloro-6-fluoro-3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3S)-[8-Chloro-6-fluoro-3-(3-phenyl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- 5 (3*R*)-{8-Chloro-6-fluoro-3-[3-(2-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-6-fluoro-3-[3-(2-methoxy-phenyl)-propionylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3R)-{8-Chloro-3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-
- 10 carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-3-[3-(3-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*R*)-[8-Chloro-6-fluoro-3-(3-1*H*-indol-3-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- 15 (3*S*)-[8-Chloro-6-fluoro-3-(3-1*H*-indol-3-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*R*)-{8-Chloro-3-[2-(2-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{8-Chloro-3-[2-(2-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9H-
- 20 carbazol-9-yl}-acetic acid;
 - (3*R*)-{8-Chloro-6-fluoro-3-[2-(2-methylphenyl)-oxy-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{8-Chloro-6-fluoro-3-[2-(2-methylphenyl)-oxy-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*R*)-[3-(3-Benzo[1,3]dioxol-5-yl-propionylamino)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3*S*)-[3-(3-Benzo[1,3]dioxol-5-yl-propionylamino)-8-chloro-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3R)-{8-Chloro-6-fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9H-
- 30 carbazol-9-yl}-acetic acid; (3S)-{8-Chloro-6-fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-te
 - (3*S*)-{8-Chloro-6-fluoro-3-[2-(3-methoxy-phenoxy)-acetylamino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3R)-{8-Chloro-3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
- (3S)-{8-Chloro-3-[2-(3-chloro-phenoxy)-acetylamino]-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
- 5 (3R)-{8-Chloro-3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-{8-Chloro-3-[3-(2-chloro-phenyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3R)-[8-Chloro-6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-
- 10 yl]-acetic acid;
 - (3S)-[8-Chloro-6-fluoro-3-(2-indan-2-yl-acetylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]acetic acid;
 - (3S)-[6-Fluoro-3-(1-methyl-3-phenethyl-ureido)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
- (3S)-{3-[3-(2-Chloro-benzyl)-1-methyl-ureido]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-15 yl }-acetic acid;
 - (3S)-[3-(3-Benzyl-1-methyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid;
 - (3S)-[3-(Benzyloxycarbonyl-methyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-
- 20 acetic acid;
 - {(3S)-3-[(2-Chloro-benzyloxycarbonyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-(6-Fluoro-3-{[2-(4-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9Hcarbazol-9-yl)-acetic acid;
- (3S)-(6-Fluoro-3-{methyl-[2-(4-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9H-25 carbazol-9-yl)-acetic acid;
 - (3S)-(6-Fluoro-3-{[2-(2-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9Hcarbazol-9-yl)-acetic acid;
 - (3S)-{6-Fluoro-3-[(2-indan-2-yl-acetyl)-methyl-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-
- yl}-acetic acid; 30
 - (3S)- $(3-\{[2-(3-Chloro-phenyl)-acetyl]-methyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9<math>H$ carbazol-9-yl)-acetic acid;

- (3S)-(6-Fluoro-3-{methyl-[2-(3-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9Hcarbazol-9-yl)-acetic acid;
- (3S)-(6-Fluoro-3-{[2-(3-methoxy-phenyl)-acetyl]-methyl-amino}-1,2,3,4-tetrahydro-9Hcarbazol-9-yl)-acetic acid;
- 5 (3S)- $(3-\{[2-(2-Chloro-phenoxy)-acetyl]-methyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9<math>H$ carbazol-9-yl)-acetic acid;
 - (3S)- $(3-\{[2-(4-Chloro-phenoxy)-acetyl]-methyl-amino\}-6-fluoro-1,2,3,4-tetrahydro-9<math>H$ carbazol-9-vl)-acetic acid:
- (3S)-(6-Fluoro-3-{[3-(3-methoxy-phenyl)-propionyl]-methyl-amino}-1,2,3,4-tetrahydro-9H-
- carbazol-9-yl)-acetic acid; 10
 - (3S)-(6-Fluoro-3-{methyl-[2-(2-methylphenyl)-acetyl]-amino}-1,2,3,4-tetrahydro-9Hcarbazol-9-yl)-acetic acid;
 - (3S)-{3-[(3,3-Diphenyl-propionyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl}-acetic acid;
- (3*S*)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-methyl-amino}-1,2,3,4-tetrahydro-9*H*-15 carbazol-9-yl)-acetic acid;
 - (3S)-{6-Fluoro-3-[(3-1H-indol-3-yl-propionyl)-methyl-amino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-{3-[(2-Benzyloxy-acetyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-
- 20 yl}-acetic acid;
 - (3S)-{3-[(2,3-Diphenyl-propionyl)-methyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[[3-(2-methoxy-phenyl)-propionyl]-(3-phenyl-propyl)-amino]-1,2,3,4tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3S)-{3-[3-Benzyl-(1-cyclopropylmethyl)-ureido]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-25 9-yl}-acetic acid;
 - (3S)-[3-(Benzyloxycarbonyl-cyclopropylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl]-acetic acid;
- (3S)-{3-[Cyclopropylmethyl-(3-phenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9H-30 carbazol-9-yl}-acetic acid;
 - (3S)-{3-[Cyclopropylmethyl-((S)-2-methyl-3-phenyl-propionyl)-amino]-6-fluoro-1,2,3,4tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

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- (3S)-(3-{Cyclopropylmethyl-[3-(2-methoxy-phenyl)-propionyl]-amino}-6-fluoro-1,2,3,4tetrahydro-9H-carbazol-9-yl)-acetic acid;
- (3S)-(3-{[2-(3-Chloro-phenoxy)-acetyl]-cyclopropylmethyl-amino}-6-fluoro-1,2,3,4tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 5 (3S)-{3-[Cyclopropylmethyl-(3,3-diphenyl-propionyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{3-[Cyclopropylmethyl-(2-naphthalen-1-yl-acetyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-vl}-acetic acid:
 - (3S)-(3-{Benzyloxycarbonyl-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-6-fluoro-
- 1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid; 10
 - (3S)-(6-Fluoro-3-{(3-phenyl-propionyl)-[2-(4-trifluoromethyl-phenoxy)-ethyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-[2-(4-trifluoromethyl-phenoxy)ethyl]-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
- 15 (3S)-{6-Fluoro-3-[(2-phenoxy-ethyl)-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)- $\{6$ -Fluoro-3- $\{((S)-2$ -methyl-3-phenyl-propionyl)- $\{2$ -phenoxy-ethyl)-amino $\}$ - $\{1,2,3,4$ tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[[3-(2-methoxy-phenyl)-propionyl]-(2-phenoxy-ethyl)-amino]-1,2,3,4-
- tetrahydro-9*H*-carbazol-9-yl}-acetic acid; 20
 - (3S)-{3-[3-Benzyl-1-(2-methoxy-ethyl)-ureido]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9yl}-acetic acid;
 - (3S)-{3-[Benzyloxycarbonyl-(2-methoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
- (3S)-{6-Fluoro-3-[(2-methoxy-ethyl)-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9H-25 carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[(2-methoxy-ethyl)-((S)-2-methyl-3-phenyl-propionyl)-amino]-1,2,3,4tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-(6-Fluoro-3- $\{(2$ -methoxy-ethyl)-[3-(2-methoxy-phenyl)-propionyl $\}$ -amino $\}$ -1,2,3,4-
- 30 tetrahydro-9H-carbazol-9-yl)-acetic acid;
 - (3S)- $\{3-[[2-(3-Chloro-phenoxy)-acetyl]-(2-methoxy-ethyl)-amino]-6-fluoro-1,2,3,4$ tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

- (3*S*)-{3-[(3,3-Diphenyl-propionyl)-(2-methoxy-ethyl)-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- (3*S*)-{6-Fluoro-3-[(2-methoxy-ethyl)-(2-naphthalen-1-yl-acetyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 5 (3*S*)-(6-Fluoro-3-{[(2*S*)-2-methyl-3-phenyl-propionyl]-phenethyl-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-phenethyl-amino}-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3S)-{6-Fluoro-3-[(2-naphthalen-1-yl-acetyl)-phenethyl-amino]-1,2,3,4-tetrahydro-9H-
- 10 carbazol-9-yl}-acetic acid;
 - (3*S*)-{6-Fluoro-3-[phenethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-[3-(3-Benzyl-1-naphthalen-1-ylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- 15 (3*S*)-[3-(Benzyloxycarbonyl-naphthalen-1-ylmethyl-amino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
 - (3S)-{6-Fluoro-3-[naphthalen-1-ylmethyl-(3-phenyl-propionyl)-amino]-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-{6-Fluoro-3-[((S)-2-methyl-3-phenyl-propionyl)-naphthalen-1-ylmethyl-amino]-
- 20 1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-(6-Fluoro-3-{[3-(2-methoxy-phenyl)-propionyl]-naphthalen-1-ylmethyl-amino}-
 - 1,2,3,4-tetrahydro-9*H*-carbazol-9-yl)-acetic acid;
 - (3*S*)-{3-[(3,3-Diphenyl-propionyl)-naphthalen-1-ylmethyl-amino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 25 (3*S*)-{3-[(*RS*)-2-Benzyl-3-(2-methylphenyl)-carbamoyl-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[(*RS*)-2-Benzyl-3-(3-methoxy-phenylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
- 30 tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3*S*)-{3-[(*RS*)-2-Benzyl-3-(4-fluoro-benzylcarbamoyl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl}-acetic acid;

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- [(3S)-3-((RS)-2-Benzyl-3-propylcarbamoyl-propionylamino)-6-fluoro-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]-acetic acid;
- (3S)-[6-Fluoro-3-(3-thiophen-2-yl-propionylamino)-1,2,3,4-tetrahydro-9H-carbazol-9-yl]acetic acid;
- 5 (3S)-{3-[3-(3-Chloro-isoxazol-5-yl)-propionylamino]-6-fluoro-1,2,3,4-tetrahydro-9Hcarbazol-9-yl}-acetic acid;
 - (3S)-[6-Fluoro-3-(3-pyrimidin-2-yl-propionylamino)-1,2,3,4-tetrahydro-9*H*-carbazol-9-yl]acetic acid:
 - (3S)-{6-Fluoro-3-[3-phenyl-4-([1,3,4]thiadiazol-2-ylcarbamoyl)-butyrylamino]-1,2,3,4-
- 10 tetrahydro-9*H*-carbazol-9-yl}-acetic acid;
 - (3S)-[3-(1,3-Dibenzyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9-yl]-acetic acid; (3S)-[3-(3-Benzyl-1-cyclohexylmethyl-ureido)-6-fluoro-1,2,3,4-tetrahydro-9H-carbazol-9yl]-acetic acid; and
- (3S)-(3-{Cyclohexylmethyl-[3-(2-methoxy-phenyl)-propionyl]-amino}-6-fluoro-1,2,3,4-15 tetrahydro-9H-carbazol-9-yl)-acetic acid; or a salt of such a compound.
- 11. A pharmaceutical composition comprising a compound according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable 20 carrier.
 - 12. A compound according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, or a pharmaceutical composition according to claim 11, for use as a medicament.
 - 13. Use of a compound according to any one of claims 1 to 10, or a pharmaceutically acceptable salt thereof, for the preparation of a medicament for the prevention and/or treatment of chronic and acute allergic/immune diseases/disorders, comprising allergic asthma, rhinitis, allergic rhinitis, chronic obstructive pulmonary disease, dermatitis, inflammatory bowel disease, rheumatoid arthritis, allergic nephritis, conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, systemic mast cell disorders, anaphylactic shock, urticaria, eczema, itching, inflammation, ischemia-reperfusion injury, cerebrovascular disorders, pleuritis, ulcerative colitis, eosinophil-related diseases comprising Churg-Strauss

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syndrome and sinusitis, and basophil-related diseases, comprising basophilic leukemia and basophilic leukocytosis.

- A method of preventing and/or treating chronic and acute allergic/immune 14. diseases/disorders, comprising allergic asthma, rhinitis, allergic rhinitis, chronic obstructive pulmonary disease, dermatitis, inflammatory bowel disease, rheumatoid arthritis, allergic nephritis, conjunctivitis, atopic dermatitis, bronchial asthma, food allergy, systemic mast cell disorders, anaphylactic shock, urticaria, eczema, itching, inflammation, ischemiareperfusion injury, cerebrovascular disorders, pleuritis, ulcerative colitis, eosinophil-related diseases comprising Churg-Strauss syndrome and sinusitis, and basophil-related diseases, comprising basophilic leukemia and basophilic leukocytosis, the method comprising administering to a patient in need thereof, an effective amount of a pharmaceutical composition of claim 11.
- 15 15. A compound as claimed in claim 1, substantially as herein described with reference to any example thereof.
 - 16. A pharmaceutical composition as claimed in claim 11, substantially as herein described with reference to any example thereof.
 - 17. A use as claimed in claim 13, substantially as herein described with reference to any example thereof.
- 18. A method as claimed in claim 14, substantially as herein described with reference to 25 any example thereof.