(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
22 June 2006 (22.06.2006)

PCT

(10) International Publication Number
WO 2006/063841 A2

(51) International Patent Classification: Not classified

(21) International Application Number:
PCT/EP2005/013557

(22) International Filing Date:
16 December 2005 (16.12.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
04030114.5 17 December 2004 (17.12.2004) EP

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(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

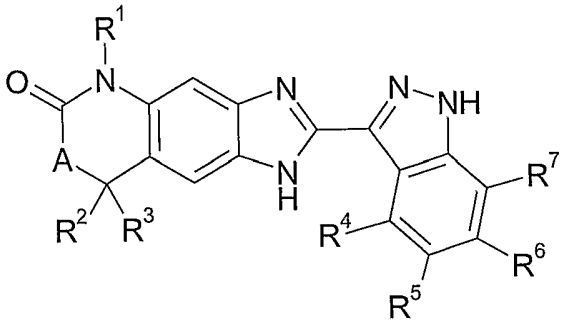
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:
— *of inventorship (Rule 4.17(iv))*

Published:
— *without international search report and to be republished upon receipt of that report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) **Title:** TRYCYCLIC HETEROCYCLES, THEIR MANUFACTURE AND USE AS PHARMACEUTICAL AGENTS



(I)

(57) **Abstract:** Objects of the present invention are the compounds of formula (I), their pharmaceutically acceptable salts, enantiomeric forms, diastereoisomers and racemates, the preparation of the above-mentioned compounds, medicaments containing them and their manufacture, as well as the use of the above-mentioned compounds in the control or prevention of illnesses such as cancer.

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Tricyclic heterocycles, their manufacture and use as pharmaceutical agents

The present invention relates to novel tricycles, to a process for their manufacture, pharmaceutical compositions containing them and their manufacture as well as the use of these compounds as pharmaceutically active agents.

Background of the invention

Protein kinases regulate many different signaling processes by adding phosphate groups to proteins (Hunter, T., Cell 50 (1987) 823-829); particularly serine/threonine kinases phosphorylate proteins on the alcohol moiety of serine or threonine residues. The serine/threonine kinase family includes members that control cell growth, migration, differentiation, gene expression, muscle contraction, glucose metabolism, cellular protein synthesis, and regulation of the cell cycle.

The Aurora kinases are a family of serine/threonine kinases that are believed to play a key role in the protein phosphorylation events that are essential for the completion of essential mitotic events. The Aurora kinase family is made up of three key members: Aurora A, B and C (also known as Aurora-2, Aurora-1 and Aurora-3 respectively). Aurora-1 and Aurora-2 are described in US 6,207,401 of Sugen and in related patents and patent applications, e.g. EP 0 868 519 and EP 1 051 500.

For Aurora A there is increasing evidence that it is a novel proto-oncogene. Aurora A gene is amplified and transcript/protein is highly expressed in a majority of human tumor cell lines and primary colorectal, breast and other tumors. It has been shown that Aurora A overexpression leads to genetic instability shown by amplified centrosomes and significant increase in aneuploidy and transforms Rat1 fibroblasts and mouse NIH3T3 cells in vitro. Aurora A-transformed NIH3T3 cells grow as tumors in nude mice (Bischoff, J.R., and Plowman, G.D., Trends Cell Biol. 9 (1999) 454-459; Giet, R., and Prigent, C., J. Cell Sci. 112 (1999) 3591-3601; Nigg, E.A., Nat. Rev. Mol. Cell Biol. 2 (2001) 21-32; Adams, R.R., et al., Trends Cell Biol. 11 (2001) 49-54). Moreover, amplification of Aurora A is associated with aneuploidy and aggressive clinical behavior (Sen, S., et al., J. Natl.Cancer Inst. 94 (2002) 1320-1329) and amplification of its locus correlates with poor prognosis for patients with node-negative breast cancer (Isola, J.J., et al., Am. J. Pathology 147

(1995) 905-911). For these reasons it is proposed that Aurora A overexpression contributes to cancer phenotype by being involved in chromosome segregation and mitotic checkpoint control.

Human tumor cell lines depleted of Aurora A transcripts arrest in mitosis. Accordingly, the specific inhibition of Aurora kinase by selective inhibitors is recognized to stop uncontrolled proliferation, re-establish mitotic checkpoint control and lead to apoptosis of tumor cells. In a xenograft model, an Aurora inhibitor therefore slows tumor growth and induces regression (Harrington, E.A., et al., Nat. Med. 10 (2004) 262-267).

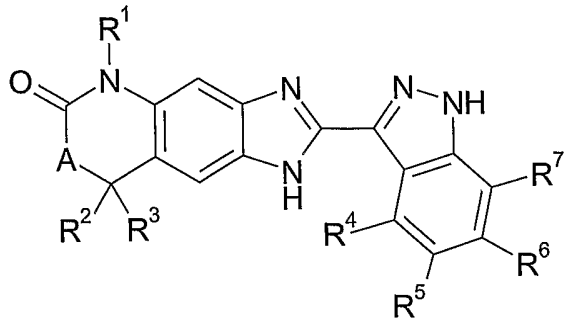
Low molecular weight inhibitors for protein kinases are widely known in the state of the art. For Aurora inhibition such inhibitors are based on i.e. quinazoline derivatives as claimed in the following patents and patent applications: WO 00/44728; WO 00/47212; WO 01/21594; WO 01/21595; WO 01/21596; WO 01/21597; WO 01/77085; WO 01/55116; WO 95/19169; WO 95/23141; WO 97/42187; WO 99/06396; pyrazole and triazole derivatives as claimed in the following patents and patent applications: WO 02/22601; WO 02/22602; WO 02/22603; WO 02/22604; WO 02/22605; WO 02/22606; WO 02/22607; WO 02/22608; WO 02/50065; WO 02/50066; WO 02/057259; WO 02/059112; WO 02/059111; WO 02/062789; WO 02/066461; WO 02/068415; pyrimidine derivatives: WO 03/077921; WO 03/078423; WO 03/078426; WO 03/078427; WO 04/000833 or imidazole, oxazole and thiazole derivatives: WO 02/96905; WO 04/005283.

Some tricyclic heterocycles or related compounds are known as inhibitors of erythrocyte aggregation from Mertens, A., et al., J. Med. Chem. 30 (1987) 1279-1287; von der Saal, W., et al., J. Med. Chem. 32 (1989) 1481-1491; US 4,666,923A; US 4,695,567A; US 4,863,945A and US 4,954,498A.

WO 03/035065 relates to benzimidazole derivatives as kinase inhibitors, especially as inhibitors against KDR, SYK and ITK tyrosine kinases. WO 01/02369 and WO 01/53268 relate to indazole derivatives as kinase inhibitors, especially as inhibitors against VGEF, LCK, FAK, TEK, CHK-1 and CDKs, with antiproliferative activity.

Summary of the invention

The present invention relates to tricyclic heterocycles of the general formula I



formula I

wherein,

R^1 is hydrogen;

alkyl, alkenyl, alkynyl,
wherein said alkyl, alkenyl or alkynyl is optionally substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino, dialkylamino, cycloalkyl, heterocyclyl, dialkylphosphinoyl, alkoxyalkoxy, alkyl-O-C(O)-, cyano, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, $(alkyl)_3Si$-O-, H_2N-C(S)-, HO-C(O)-, H_2N-C(O)-, alkyl-$S(O)_2$-NH- or phenyl-$S(O)_2$-NH-;

arylalkyl,
wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, $(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy, halogenated $(C_1$-$C_4)$alkyl, halogenated $(C_1$-$C_4)$alkoxy or alkylsulfonyl;

heteroarylalkyl,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms

being carbon atoms, and wherein the heteroaryl is optionally substituted one or several times by alkyl or halogen;

heterocyclyl-C(O)-$(CH_2)_n$-;

R^8-NH-C(O)-$(CH_2)_n$-; or

R^9-C(O)-NH-$(CH_2)_n$-;

R^8 is hydroxy, alkoxy, benzyloxy, alkyl,
wherein said alkyl is optionally substituted one to three times by halogen, hydroxy, alkoxy, amino, alkylamino or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^9 is cycloalkyl, heterocyclyl, benzylamino, alkyl,
wherein said alkyl is optionally substituted one to three times by halogen, hydroxy, alkoxy, amino, alkylamino or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected

independently from N, O or S and the remaining ring atoms being carbon atoms;

n is 1, 2 or 3;

m is 0 or 1;

R^2 is hydrogen or alkyl; and

R^3 is hydrogen or alkyl,

or alternatively

R^2 and R^3 form together with the carbon atom to which they are attached a cycloalkyl ring;

R^4 and R^7 independently represent hydrogen or halogen;

R^5 is hydrogen, halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl, alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms, and wherein the heteroaryl is optionally substituted one or several times by alkyl;

or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen, halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl, alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl, halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms, and wherein the heteroaryl is optionally substituted one or several times by alkyl; or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

X is -NH-, -N(alkyl)-, -O-, -$S(O)_2$NH- , -NHS$(O)_2$-, -NHC(O)-, -N(alkyl)C(O)-, -C(O)-, -OC(O)NH-, -C(O)NH- or -C(O)N(alkyl)-;

A is a single bond or -CH_2-;

and all pharmaceutically acceptable salts thereof.

The compounds according to this invention show activity as protein kinase inhibitors. Many diseases are associated with abnormal cellular responses triggered by protein kinase mediated events. These diseases include autoimmune diseases, inflammatory diseases, neurological and neurodegenerative diseases, cancer, cardiovascular diseases, allergies and asthma, Alzheimer's disease or hormone-related diseases. Accordingly, there has been a substantial effort in medicinal chemistry to find protein kinase inhibitors that are effective as therapeutic agents.

The compounds according to this invention in particular show activity as Aurora family kinase inhibitors, especially as Aurora A kinase inhibitors, and may therefore be useful for the treatment of diseases mediated by said kinase. Aurora A inhibition leads to cell cycle arrest in the G2 phase of the cell cycle and exerts an antiproliferative effect in tumor cell lines. This indicates that Aurora A inhibitors may be useful in the treatment of i.e. hyperproliferative diseases such as cancer and in particular colorectal, breast, lung, prostate, pancreatic, gastric, bladder, ovarian, melanoma, neuroblastoma, cervical, kidney or renal cancers, leukemias or lymphomas. Treatment of acute-myelogenous leukemia (AML, acute lymphocytic leukemia (ALL) and gastrointestinal stromal tumor (GIST) is included.

Objects of the present invention are the compounds of formula I and their tautomers, pharmaceutically acceptable salts, enantiomeric forms, diastereoisomers and racemates, their use as Aurora kinase inhibitors, the preparation of the above-mentioned compounds, medicaments containing them and their manufacture as well as the use of the above-mentioned compounds in the control or prevention of illnesses, especially of illnesses and disorders as mentioned above or in the manufacture of corresponding medicaments.

Detailed description of the invention

The term "alkyl" as used herein means a saturated, straight-chain or branched-chain hydrocarbon containing from 1 to 6, preferably 1 to4, carbon atoms, such as methyl, ethyl, n-propyl, isopropyl, n-butyl, 2-butyl, t-butyl.

The term "alkenyl" as used herein means an unsaturated straight-chain or branched aliphatic hydrocarbon group containing one double bond and having 2 to 6, preferably 2 to 4 carbon atoms. Examples of such "alkenyl group" are vinyl (ethenyl), allyl, isopropenyl, 1-propenyl, 2-methyl-1-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 2-ethyl-1-butenyl, 3-methyl-2-butenyl, 1-pentenyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 4-methyl-3-pentenyl, 1-hexenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl and 5-hexenyl, preferably allyl and 3-butenyl.

The term "alkynyl" as used herein means an unsaturated straight-chain or branched aliphatic hydrocarbon group containing one triple bond and having 2 to 6, preferably 2 to 4 carbon atoms. Examples of such "alkynyl group" are ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, 1-pentynyl, 2-pentynyl, 3-pentynyl, 4-pentynyl, 1-hexynyl, 2-hexynyl, 3-hexynyl, 4-hexynyl and 5-hexynyl.

The term "alkoxy" as used herein means an alkyl-O- group wherein the alkyl is defined as above.

The term "alkylamino" as used herein means an alkyl-NH- group wherein the alkyl is defined as above.

The term "dialkylamino" as used herein means an $(alkyl)_2N$- group wherein the alkyl is defined as above.

The term "dialkylphosphinoyl" as used herein means a $(alkyl)_2P(=O)$- group wherein the alkyl is defined as above.

The term "alkylsulfanyl" as used herein means an alkyl-S- group wherein the alkyl is defined as above.

The term "alkylsulfinyl" as used herein means an alkyl-S(O)- group wherein the alkyl is defined as above.

The term "alkylsulfonyl" as used herein means an alkyl-$S(O)_2$- group wherein the alkyl is defined as above.

The term "alkoxyalkoxy" as used herein means an alkoxy group as defined above which attached to the alkyl of a second alkoxy group. Examples include 2-methoxy-ethoxy, 2-ethoxy-ethoxy, 1-ethoxy-ethoxy, 3-methoxy-propoxy, 2-methoxy-propoxy, methoxy-methoxy and the like.

If the alkyl group is "optionally substituted one or several times by halogen", it is preferably substituted by fluorine. Examples are difluoromethyl, trifluoromethyl, 2,2,2-trifluoroethyl, perfluorethyl, difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, perfluoroethoxy and the like, especially trifluoromethyl and trifluoromethoxy.

The term "halogenated alkyl" as used herein means an alkyl group as defined above which is substituted one or several times by halogen, preferably by fluorine or chlorine, especially fluorine. Examples are difluoromethyl, trifluoromethyl, 2,2,2-trifluoroethyl, perfluorethyl, and the like, especially trifluoromethyl.

The term "halogenated alkoxy" as used herein means an alkoxy group as defined above which is substituted one or several times by halogen, preferably by fluorine or chlorine, especially fluorine. Examples are difluoromethoxy, trifluoromethoxy, 2,2,2-trifluoroethoxy, perfluoroethoxy and the like, especially trifluoromethoxy.

The term "halogen" as used in the definitions of R^1, R^5 and R^6 means fluorine, chlorine, bromine and iodine, preferably fluorine, chlorine or bromine and especially fluorine and chlorine.

The term "halogen" as used in the definitions of R^4 and R^7 means fluorine, chlorine or bromine, preferably fluorine and chlorine and especially fluorine.

The term "cycloalkyl" means a monocyclic saturated hydrocarbon ring with 3 to 7, preferably 3 to 6, ring atoms. Examples of such saturated carbocyclic groups are cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl, preferably cyclopropyl. The cycloalkyl ring which is formed by R^2 and R^3 together with the carbon atom to which they are attached is preferably a cyclopentyl or cyclohexyl ring, especially a cyclopentyl ring.

The term "heterocyclyl" means a saturated, monocyclic hydrocarbon ring with 5 to 6 ring atoms which contains up to 3, preferably 1 or 2 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms. Such saturated heterocyclic group can be optionally substituted one to three, preferably one or two times by a) alkyl, which is defined as above, preferably methyl, b) -C(O)-alkyl, preferably acetyl, c) oxo or d) $-S(O)_2$-alkyl. Preferably the heterocyclic group can be optionally substituted by alkyl. Examples of such saturated heterocyclic groups are pyrrolidinyl, morpholinyl, piperazinyl, N-methyl-piperazinyl, piperidyl, N-acetyl-piperazinyl, N-methanesulfonyl-piperazinyl, N-isopropyl-piperazinyl, thiazolidinyl, thiomorpholinyl, 1,1-dioxo-$1\lambda^6$-thiomorpholin-4-yl (or 1,1-dioxido-thiomorpholin-4-yl), 1-oxo-$1\lambda^4$-thiomorpholin-4-yl (or 1-oxido-thiomorpholin-4-yl) and the like, preferably pyrrolidinyl, morpholinyl, piperazinyl, N-methyl-piperazinyl, piperidyl and more preferably morpholinyl.

The term "aryl" means a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms. Examples of such aryl groups are phenyl and naphthyl, preferably phenyl.

The term "heteroaryl" means a mono- or bicyclic aromatic ring with 5 to 10, preferably 5 to 6, ring atoms, which contains up to 4, preferably up to 3, more preferably 1 or 2 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms. Examples of such heteroaryl groups are e.g. pyridyl, thienyl, benzimidazolyl, pyrimidyl, thiazolyl, tetrazolyl, quinolyl, pyridazinyl, pyrazinyl, oxazolyl, quinazolinyl, indolyl, benzothiophenyl, benzofuranyl and the like, preferably pyridyl, thienyl, benzimidazolyl, pyrimidyl, thiazolyl, tetrazolyl, quinolyl or pyridazinyl, and especially pyridyl.

In one preferred embodiment of the invention the heteroaryl in the heteroarylalkyl group as defined in R^1 is selected from pyridyl, thiazolyl, tetrazolyl, thienyl, pyrimidyl, or pyridazinyl, and especially from pyridyl, thiazolyl or tetrazolyl.

In one preferred embodiment of the invention the heteroaryl in the definition of R^8 and R^9 is selected from pyridyl or thienyl and especially from pyridyl.

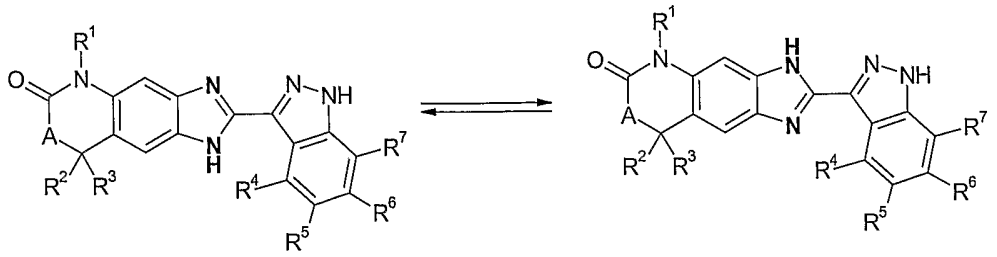
In one preferred embodiment of the invention the heteroaryl in the heteroarylalkyl group as defined in R^5 and R^6 is selected from pyridyl or thienyl, and especially from pyridyl.

In one preferred embodiment of the invention the heteroaryl in the definition of R^5 and R^6 is selected from pyridyl or thienyl and especially from pyridyl.

The term "arylalkyl" as used herein means a (C_1-C_4)alkyl group as defined above , in which one of the hydrogen atoms is replaced by an aryl group. Examples of arylalkyl groups are benzyl, 2-phenylethyl, 3-phenylpropyl, 4-chlorobenzyl, 4-methoxybenzyl and the like, preferably benzyl.

The term "heteroarylalkyl" as used herein means a (C_1-C_4)alkyl group as defined above , in which one of the hydrogen atoms is replaced by an heteroaryl group. Examples of heteroarylalkyl groups are pyridylmethyl, thienylmethyl and the like. The term "optionally substituted one or several times" as used herein means in general optionally substituted one to six times, preferably one to three times. If the aryl (or aryl part of the arylalkyl group) in the definitions of R^1, R^5 or R^6 is substituted one or several times it is substituted preferably one to three, and more preferably one or two times. If the heteroaryl (or heteroaryl part of heteroarylalkyl group) in the definitions of R^1, R^5 or R^6 is substituted one or several times it is substituted preferably one or two, and more preferably one time.

The compounds of formula I can exist in different tautomeric forms and in variable mixtures thereof. All tautomeric forms of the compounds of formula I and mixtures thereof are an objective of the invention. For example, the imidazole part of the tricyclic ring system of formula I can exist in two tautomeric forms as shown here below:



formula I

As used herein, in relation to mass spectrometry (MS) the term "API+" refers to positive atmospheric pressure ionization mode, the term "API-" refers to negative atmospheric pressure ionization mode, the term "ESI+" refers to positive electrospray ionization mode and the term "ESI-" refers to negative electrospray ionization mode.

An embodiment of the invention are the compounds of formula I, wherein

R^1	is hydrogen;
	alkyl, alkenyl, alkynyl, wherein said alkyl, alkenyl or alkynyl is optionally substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino dialkylamino, cycloalkyl, heterocyclyl or dialkylphosphinoyl;
	arylalkyl, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or
	heteroarylalkyl, wherein the heteroaryl is optionally substituted one or several times by alkyl or halogen;
R^2	is hydrogen or alkyl; and
R^3	is hydrogen or alkyl, or alternatively R^2 and R^3 form together with the carbon atom to which they are attached a cycloalkyl ring;
R^4 and R^7	independently represent hydrogen or halogen;
R^5	is hydrogen, halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid, alkyl, alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;
	aryl-X-, wherein the aryl is optionally substituted one or several

times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

arylalkyl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroaryl-X-, wherein the heteroaryl is optionally substituted one or several times by alkyl;

R^6 is hydrogen, halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid, alkyl, alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

arylalkyl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroaryl-X-, wherein the heteroaryl is optionally substituted one or several times by alkyl;

X is -NH-, -N(alkyl)-, -O-, -$S(O)_2$NH- , -NHS$(O)_2$-, -NHC(O)-, -N(alkyl)C(O)-, -C(O)NH- or -C(O)N(alkyl)-;

A is a single bond or -CH_2-.

and all pharmaceutically acceptable salts thereof.

Another embodiment of the invention are the compounds of formula I, wherein

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

A is $-CH_2-$.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;

alkyl, alkenyl or alkynyl,
wherein said alkyl, alkenyl or alkynyl is optionally substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino dialkylamino, cycloalkyl, heterocyclyl or dialkylphosphinoyl.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;

alkyl, alkenyl or alkynyl,
wherein said alkyl, alkenyl or alkynyl is optionally substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino dialkylamino, cycloalkyl, heterocyclyl or dialkylphosphinoyl; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl; and

A is a single bond.

Such compounds are for example:

5-Ethyl-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one;

2-(1*H*-Indazol-3-yl)-5,7,7-trimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one;

2-(1*H*-Indazol-3-yl)-7,7-dimethyl-5-propyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one;

2-(1*H*-Indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one;

2-(1*H*-Indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one;

2-(1*H*-Indazol-3-yl)-spiro[7,7-cyclopentan-5,7-dihydro-3H-imidazo[4,5-f]indol-6]-one; and

5-Allyl-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl; and

A is $-CH_2-$.

Such a compound is for example:

2-(1*H*-Indazol-3-yl)-8,8-dimethyl-1,5,7,8-tetrahydro-imidazo[4,5-*g*]quinolin-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is alkyl, wherein said alkyl is substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino dialkylamino, cycloalkyl, heterocyclyl or dialkylphosphinoyl; and

A is a single bond.

Such compounds are for example:

2-(1*H*-Indazol-3-yl)-7,7-dimethyl-5-(3-morpholin-4-yl-propyl)-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one; and

5-Cyclopropylmethyl-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 arylalkyl, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is heteroarylalkyl, wherein the heteroaryl is optionally substituted one or several times by alkyl or halogen; and

A is a single bond.

An embodiment of the invention are the compounds of formula I, wherein

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;

alkyl, alkenyl or alkynyl,
wherein said alkyl, alkenyl or alkynyl is optionally substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino dialkylamino, cycloalkyl, heterocyclyl or dialkylphosphinoyl;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is alkyl; and

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;

alkyl or alkenyl;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is alkyl, wherein said alkyl is substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino dialkylamino, cycloalkyl, heterocyclyl or dialkylphosphinoyl;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 arylalkyl, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is heteroarylalkyl, wherein the heteroaryl is optionally substituted one or several times by alkyl or halogen;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

X is -NH-, -N(alkyl)- or -O-.

Another embodiment of the invention are the compounds of formula I, wherein

X is -NH-, -N(alkyl)- or -O-; and

A is a single bond.

embodiment of the invention are the Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl ; and

X is -NH-, -N(alkyl)- or -O-.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl;

X is -NH-, -N(alkyl)- or -O-; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

X is $-S(O)_2NH-$ or $-NHS(O)_2-$.

Another embodiment of the invention are the compounds of formula I, wherein

X is $-S(O)_2NH-$ or $-NHS(O)_2-$; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl;

X is $-S(O)_2NH-$ or $-NHS(O)_2-$; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

X is -NHC(O)-, -N(alkyl)C(O)-, -C(O)NH- or -C(O)N(alkyl)-.

Another embodiment of the invention are the compounds of formula I, wherein

X is -NHC(O)-, -N(alkyl)C(O)-, -C(O)NH- or -C(O)N(alkyl)-; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl;

X is -NHC(O)-, -N(alkyl)C(O)-, -C(O)NH- or -C(O)N(alkyl)-; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is hydrogen.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl;

R^5 is hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^6 is hydrogen.

Another embodiment of the invention are the compounds of formula I, wherein

R^6 is hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl; and

R^6 is hydrogen.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;
alkyl or alkenyl;

R^6 is hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid or alkyl, wherein the alkyl group is optionally substituted one or several times by halogen;

R^6 is hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;

R^6 is hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is aryl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl, halogenated (C_1-C_4)alkoxy;

R^6 is hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is arylalkyl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl, halogenated (C_1-C_4)alkoxy; or

heteroaryl-X-, wherein the heteroaryl is optionally substituted one or several times by alkyl;

R^6 is hydrogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is hydrogen;

R^6 is halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid or alkyl, wherein the alkyl group is optionally substituted one or several times by halogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is hydrogen;

R^6 is alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is hydrogen;

R^6 is aryl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^5 is hydrogen;

R^6 is arylalkyl-X-, wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl, halogenated (C_1-C_4)alkoxy; or

heteroaryl-X-, wherein the heteroaryl is optionally substituted one or several times by alkyl; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen,

alkyl, alkenyl,
wherein said alkyl is optionally substituted one or several times by hydroxy, alkoxy, amino, dialkylamino, cycloalkyl, heterocyclyl, dialkylphosphinoyl, alkoxyalkoxy, alkyl-O-C(O)-, cyano, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, $(alkyl)_3Si$-O-, H_2N-

C(S)-, HO-C(O)-, H_2N-C(O)-, alkyl-$S(O)_2$-NH- or phenyl-$S(O)_2$-NH-;

arylalkyl,
wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by alkylsulfonyl;

heteroarylalkyl,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

heterocyclyl-C(O)-$(CH_2)_n$-;

R^8-NH-C(O)-$(CH_2)_n$-; or

R^9-C(O)-NH-$(CH_2)_n$-;

R^8 is hydroxy, alkoxy, benzyloxy, alkyl,
wherein said alkyl is optionally substituted one to three times by hydroxy or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen or (C_1-C_4)alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^9 is cycloalkyl, heterocyclyl, benzylamino, alkyl;

phenyl-$(CH_2)_m$-; or

heteroaryl-$(CH_2)_m$-;
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

n is 1, 2 or 3;

m is 0 or 1;

R^4 and R^7 represent hydrogen;

R^5 is hydrogen, halogen, cyano, nitro, amino, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl-X-, wherein the alkyl group is optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, nitro, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, (C_1-C_4)alkyl, (C_1-C_4)alkoxy or halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms; or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen, halogen, carboxylic acid, H_2N-C(O)-, alkyl-X-;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; or

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; and

X is -NH-, -O-, -$S(O)_2$NH-, -NHC(O)-, -C(O)-, -OC(O)NH- or -C(O)NH-.

Another embodiment of the invention are the compounds of formula I, wherein

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen,

alkyl, alkenyl,
wherein said alkyl is optionally substituted one or several times by hydroxy, alkoxy, amino, dialkylamino, cycloalkyl, heterocyclyl, dialkylphosphinoyl, alkoxyalkoxy, alkyl-O-C(O)-, cyano, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, $(alkyl)_3Si$-O-, H_2N-C(S)-, HO-C(O)-, H_2N-C(O)-, alkyl-$S(O)_2$-NH- or phenyl-$S(O)_2$-NH-;

arylalkyl,
wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by alkylsulfonyl;

heteroarylalkyl,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

heterocyclyl-C(O)-$(CH_2)_n$-;

R^8-NH-C(O)-$(CH_2)_n$-; or

R^9-C(O)-NH-$(CH_2)_n$-;

R^8 is hydroxy, alkoxy, benzyloxy, alkyl,
wherein said alkyl is optionally substituted one to three times by hydroxy or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen or $(C_1$-$C_4)$alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^9 is cycloalkyl, heterocyclyl, benzylamino, alkyl;

phenyl-$(CH_2)_m$-; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

n is 1, 2 or 3;

m is 0 or 1;

R^4 and R^7 represent hydrogen;

R^5 is hydrogen, halogen, cyano, nitro, amino, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl-X-, wherein the alkyl group is optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, nitro, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, (C_1-C_4)alkyl, (C_1-C_4)alkoxy or halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms; or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen, halogen, carboxylic acid, H_2N-C(O)-, alkyl-X-;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; or

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms;

X is -NH-, -O-, -$S(O)_2$NH-, -NHC(O)-, -C(O)-, -OC(O)NH- or -C(O)NH-; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;

alkyl, alkenyl,
wherein said alkyl is optionally substituted one or several times by hydroxy, alkoxy, amino, dialkylamino, cycloalkyl, heterocyclyl, dialkylphosphinoyl, alkoxyalkoxy, alkyl-O-C(O)-, cyano, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, $(alkyl)_3Si$-O-, H_2N-C(S)-, HO-C(O)-, H_2N-C(O)-, alkyl-$S(O)_2$-NH- or phenyl-$S(O)_2$-NH-;

arylalkyl,
wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by alkylsulfonyl;

heteroarylalkyl,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

heterocyclyl-C(O)-$(CH_2)_n$;

R^8-NH-C(O)-$(CH_2)_n$-; or

R^9-C(O)-NH-$(CH_2)_n$-;

R^8 is hydroxy, alkoxy, benzyloxy, alkyl,
wherein said alkyl is optionally substituted one to three times by hydroxy or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen or $(C_1$-$C_4)$alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^9 is cycloalkyl, heterocyclyl, benzylamino, alkyl;

phenyl-$(CH_2)_m$-; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

n is 1, 2 or 3;

m is 0 or 1;

R^4 and R^7 represent hydrogen;

R^5 is hydrogen, halogen, cyano, nitro, amino, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl-X-, wherein the alkyl group is optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, nitro, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, (C_1-C_4)alkyl, (C_1-C_4)alkoxy or halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms; or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4

heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen, halogen, carboxylic acid, H_2N-C(O)-, alkyl-X-;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; or

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms;

X is -NH-, -O-, -$S(O)_2$NH-, -NHC(O)-, -C(O)-, -OC(O)NH- or -C(O)NH-; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Such compounds are e.g. selected from the group of:

2-(1H-Indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1*H*-Indazol-3-yl)-spiro[7,7-cyclopentan-5,7-dihydro-3H-imidazo[4,5-f]indol-6]-one or according to the actual IUPAC-nomenclature: 2-(1H-Indazol-3-yl)-spiro-5,7-dihydro[cyclopentane-1',7-imidazo[4,5-f]indol]-6(3H)-one;

2-(1H-Indazol-3-yl)-7-methyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one and

7-Ethyl-2-(1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is alkyl or alkenyl;

R^4, R^5, R^6 and R^7 represent hydrogen ; and

A is a single bond.

Such compounds are e.g. selected from the group of:

5-Allyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5,7,7-trimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-propyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5,7,7-Triethyl-2-(1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one; and

5-But-3-enyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is alkyl, wherein said alkyl is substituted one to three times by hydroxy, alkoxy, amino, dialkylamino, dialkylphosphinoyl, alkoxyalkoxy, cyano, cycloalkyl, heterocyclyl, alkylsulfanyl, alkylsulfinyl or alkylsulfonyl;

R^4, R^5, R^6 and R^7 represent hydrogen ; and

A is a single bond.

Such compounds are e.g. selected from the group of:

5-Cyclopropylmethyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1*H*-Indazol-3-yl)-7,7-dimethyl-5-(3-morpholin-4-yl-propyl)-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one;

2-(1H-Indazol-3-yl)-5-[2-(2-methoxy-ethoxy)-ethyl]-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-(2-methoxy-ethyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(3-piperidin-1-yl-propyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Diisopropylamino-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(3-Dimethylamino-propyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Diethylamino-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetonitrile;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(2-methylsulfanyl-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Hydroxy-3-morpholin-4-yl-propyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(Dimethyl-phosphinoylmethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Hydroxy-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2,3-Dihydroxy-propyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Amino-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-(2-methanesulfinyl-ethyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one; and

2-(1H-Indazol-3-yl)-5-(2-methanesulfonyl-ethyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is alkyl, wherein said alkyl is substituted one or several times by alkyl-O-C(O)-, $(alkyl)_3Si$-O-, H_2N-C(S)-, HO-C(O)-, H_2N-C(O)-, alkyl-$S(O)_2$-NH- or phenyl-$S(O)_2$-NH-;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Such compounds are e.g. selected from the group of:

[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid ethyl ester;

5-[2-(tert-Butyl-dimethyl-silanyloxy)-ethyl]-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-thioacetamide;

[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-benzenesulfonamide; compound with acetic acid; and

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-methanesulfonamide.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is arylalkyl,
wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by alkylsulfonyl; or

heteroarylalkyl,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Such compounds are e.g. selected from the group of:

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-pyridin-3-ylmethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Benzyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-(4-methanesulfonyl-benzyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-thiazol-2-ylmethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one; and

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(1H-tetrazol-5-ylmethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is heterocyclyl-C(O)-$(CH_2)_n$-;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Such compounds are e.g. selected from the group of:

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(2-morpholin-4-yl-2-oxo-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethyl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one; and

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(2-oxo-2-piperidin-1-yl-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is R^8-NH-C(O)-$(CH_2)_n$-;

R^4, R^5, R^6 and R^7 represent hydrogen ; and

A is a single bond.

Such compounds are e.g. selected from the group of:

N-(2-Dimethylamino-ethyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-Benzyl-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-pyridin-3-ylmethyl-acetamide;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-phenyl-acetamide;

N-(4-Fluoro-phenyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-(4-Fluoro-benzyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-(3,5-Dimethoxy-benzyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-(2,3-Dihydroxy-propyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-Hydroxy-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-Benzyloxy-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide; and

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-methoxy-acetamide.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is R^9-C(O)-NH-$(CH_2)_n$-;

R^4, R^5, R^6 and R^7 represent hydrogen; and

A is a single bond.

Such compounds are e.g. selected from the group of:

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-benzamide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-2-phenyl-acetamide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-nicotinamide;

Cyclopropanecarboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide;

Morpholine-4-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide;

Pyrrolidine-1-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide;

4-Methyl-piperazine-1-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-acetamide; and

1-Benzyl-3-{2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-urea.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen or alkyl;

R^4 and R^7 represent hydrogen;

R^5 is hydrogen, halogen, cyano, nitro, amino, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl-X-, wherein the alkyl group is optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, nitro, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms; or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic

aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen;

X is -NH-, -O-, -$S(O)_2$NH-, -NHC(O)-, -C(O)-, -OC(O)NH- or -C(O)NH-; and

A is a single bond.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is alkyl;

R^4 and R^7 represent hydrogen;

R^5 is halogen, cyano, nitro, amino, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)- or CH_3O-N(CH_3)-C(O)-;

R^6 is hydrogen ; and

A is a single bond.

Such compounds are e.g. selected from the group of:

5-Ethyl-2-(5-fluoro-1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(5-Chloro-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid;

5-Ethyl-7,7-dimethyl-2-(5-nitro-1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carbonitrile;

2-(5-Bromo-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

3-(5-Isopropyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid amide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid methyl ester;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid methoxy-methyl-amide;

2-(5-Amino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one; and

2-(5-Amino-1H-indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1	is alkyl;
R^4 and R^7	represent hydrogen;
R^5	is alkyl-X, wherein the alkyl group is optionally substituted one or several times by halogen;
	heterocyclyl-X-; or
	aralkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms;
R^6	is hydrogen;
X	is -NH-,-O- or -C(O)-; and
A	is a single bond.

Such compounds are e.g. selected from the group of:

5-Ethyl-7,7-dimethyl-2-(5-trifluoromethoxy-1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(piperidine-1-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(4-methyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(morpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-[5-(4-Acetyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-2-[5-(4-isopropyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(thiazolidine-3-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-2-[5-(4-methanesulfonyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-[5-(1,1-Dioxo-1λ^6-thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(1-oxo-1λ^4-thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(5-Acetyl-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(5-Benzylamino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one; and

2-(5-Benzyloxy-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen or alkyl;

R^4 and R^7 represent hydrogen;

R^5 is alkyl-X-;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen or halogenated (C_1-C_4)alkoxy; or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen;

X is -NHC(O)-; and

A is a single bond.

Such compounds are e.g. selected from the group of:

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid ethylamide;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid benzylamide;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid phenylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-2-ylmethyl)-amide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-3-ylmethyl)-amide; compound with acetic acid;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-4-ylmethyl)-amide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid phenylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid ethylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 2,4-difluoro-benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 3-trifluoromethoxy-benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 4-difluoromethoxy-benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 3-chloro-benzylamide; and

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 4-trifluoromethoxy-benzylamide.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is alkyl;

R^4 and R^7 represent hydrogen;

R^5 is cycloalkyl-X-, heterocyclyl-X-, alkyl-X-,

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally

substituted one or several times by halogen;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, (C_1-C_4)alkyl, (C_1-C_4)alkoxy; or

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen;

X is -C(O)NH-; and

A is a single bond.

Such compounds are e.g. selected from the group of:

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-o-tolyl-acetamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-phenyl-acetamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-isonicotinamide;

Pyridine-2-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-p-tolyl-acetamide;

2-(3,5-Dimethoxy-phenyl)-N-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-acetamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-fluoro-benzamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-(4-fluoro-phenyl)-acetamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-nicotinamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-propionamide;

Cyclopropanecarboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzamide;

Cyclohexanecarboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

4-Methyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

Piperidine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

Morpholine-4-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

Pyrrolidine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

4-Methyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-acetamide; and

4-Acetyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide.

Another embodiment of the invention are the compounds of formula I, wherein

R^1	is alkyl;
R^4 and R^7	represent hydrogen;
R^5	is aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, nitro, $(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy, halogenated $(C_1$-$C_4)$alkoxy or alkylsulfonyl;
R^6	is hydrogen;
X	is -$S(O)_2$NH-; and
A	is a single bond.

Such compounds are e.g. selected from the group of:

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-methoxy-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-nitro-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-3-methoxy-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-trifluoromethoxy-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-fluoro-benzenesulfonamide;

3-Chloro-*N*-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-3-methyl-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-2-methanesulfonyl-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-2,5-difluoro-benzenesulfonamide;

4-Fluoro-N-[3-(5-isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzenesulfonamide;

N-[3-(5-Isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-methanesulfonyl-benzenesulfonamide; and

N-[3-(5-Isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-nitro-benzenesulfonamide.

Another embodiment of the invention are the compounds of formula I, wherein

R^1	is alkyl;
R^4 and R^7	represent hydrogen;
R^5	is arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon;
R^6	is hydrogen;
X	is -OC(O)NH-; and
A	is a single bond.

Such a compound is e.g.:

[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-carbamic acid benzyl ester.

Another embodiment of the invention are the compounds of formula I, wherein

R^1	is alkyl;
R^4 and R^7	represent hydrogen;
R^5	is hydrogen;

R^6 is halogen, carboxylic acid, H_2N-C(O)-, alkyl-X-;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; or

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms;

X is -NHC(O)-; and

A is a single bond.

Such compounds are e.g. selected from the group of:

2-(6-Bromo-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid benzylamide;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid ethylamide;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid phenylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid ethylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid phenylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid benzylamide; and

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid amide.

Another embodiment of the invention are the compounds of formula I, wherein

A is $-CH_2-$.

Another embodiment of the invention are the compounds of formula I, wherein

R^1 is hydrogen or alkyl;

R^4, R^5, R^6 and R^7 represent hydrogen ; and

A is $-CH_2-$.

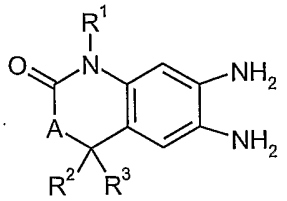
Such compounds are e.g. selected from the group of:

2-(1H-Indazol-3-yl)-8,8-dimethyl-1,5,7,8-tetrahydro-imidazo[4,5-g]quinolin-6-one; and

5-Ethyl-2-(1H-indazol-3-yl)-8,8-dimethyl-3,5,7,8-tetrahydro-imidazo[4,5-g]quinolin-6-one.

Another embodiment of the invention is a process for the preparation of the compounds of formula I, wherein

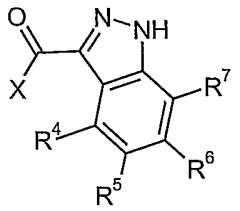
a) a compound of formula II



formula II,

wherein R^1 to R^3 and A have the significance given above for formula I;

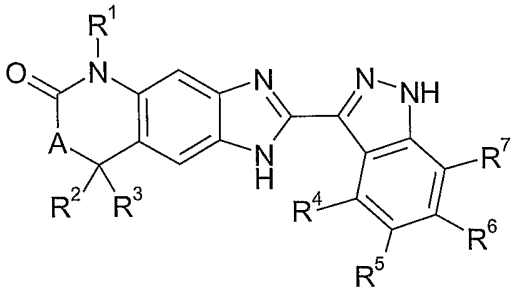
is reacted with a compound of formula III,



formula III,

wherein X is -OH, -Cl, -H or -OMe and R^4 to R^7 have the significance given above for formula I;

to give the compounds of formula I,



formula I,

wherein R^1 to R^7 and A have the significance given above for formula I;

b) said compound of formula I is isolated from the reaction mixture, and

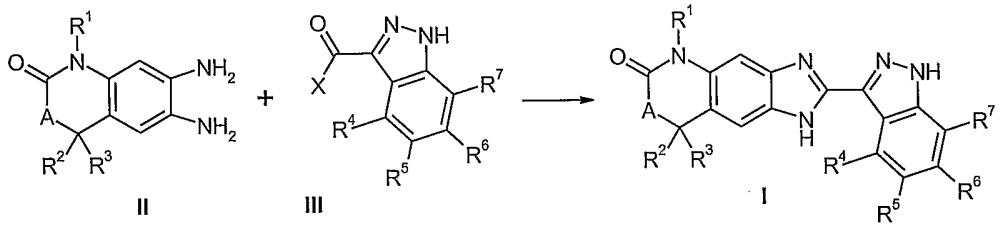
c) if desired, converted it into a pharmaceutically acceptable salt.

The tricyclic compounds of formula I, or a pharmaceutically acceptable salt thereof, which are subject of the present invention, may be prepared by any process known to be applicable to the preparation of chemically-related compounds. Such processes, when used to prepare a compound of the formula I, or a pharmaceutically-acceptable salt thereof, are illustrated by the following representative schemes 1 and 2 and examples in which, unless otherwise stated, A, R^1, R^2, R^3, R^4, R^5, R^6 and R^7 have the significance given herein before. Necessary starting materials may be obtained by standard procedures of organic chemistry.

The preparation of such starting materials is described within the accompanying examples or in the literature cited below with respect to scheme 1 to 4. Alternatively necessary starting materials are obtainable by analogous procedures to those illustrated which are within the ordinary skill of an organic chemist.

The imidazole ring system of formula I can be formed by different synthetic pathways in analogy to methods described in the literature (e.g. see Mertens, A., et al., J. Med. Chem. 30 (1987) 1279-1287 and US 4,695,567A).

One route for the preparation of compounds of formula I (Scheme 1) starts from diamines of formula II which can be reacted with carboxylic acids (compounds of formula III with X = OH), acid chlorides (X = Cl), aldehydes (X = H), methyl carboxylates (X = OMe) or activated esters (X = e.g. hydroxybenzotriazole). For detailed procedures see the literature cited above.

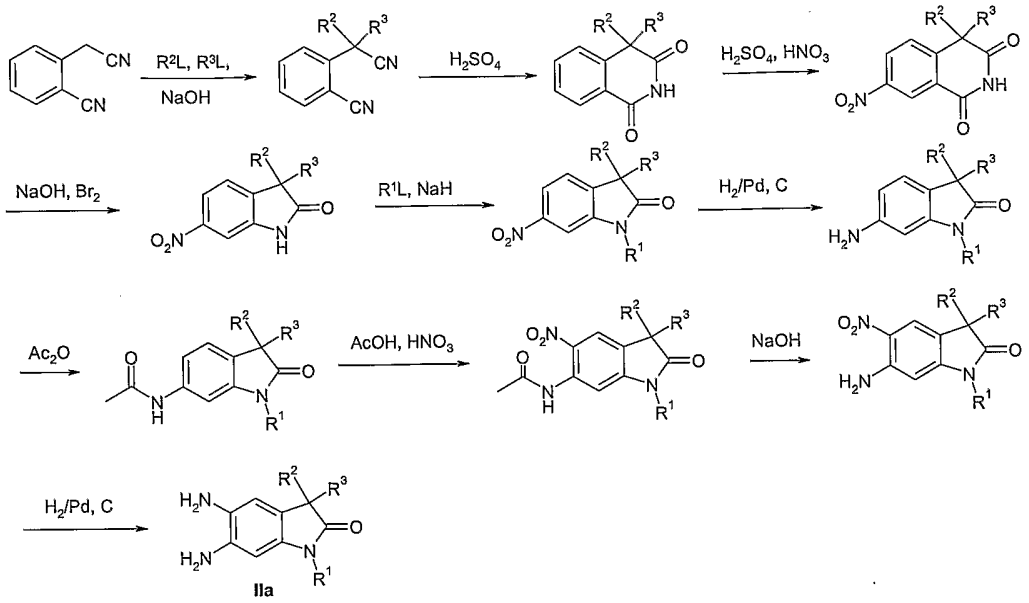


II III I

Scheme 1

In scheme 1, R^1, R^2, R^3, R^4, R^5, R^6, R^7 and A have the significance as given above for formula I.

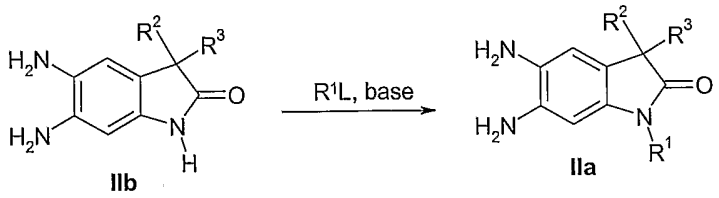
The synthesis of the corresponding diamines of formula II or precursors thereof is described in Mertens, A., et al., J.Med.Chem. 30 (1987) 1279-1287, von der Saal, W., et al. J.Med.Chem. 32 (1989) 1481-1491, US 4,666,923A, US 4,695,567A, US 4,863,945A and US 4,985,448A. For instance, the diamines of formula II, wherein A is a single bond are named IIa and can be synthesized according to US 4,666,923A, DE 34 10 168 and Mertens, A., et al., J. Med. Chem. 30 (1987) 1279-1287 as shown in scheme 2:



Scheme 2

In scheme 2, R^1, R^2 and R^3 have the significance as given above for formula I, except that R^1 is not hydrogen, and L represents a leaving group as e.g. iodine, bromine, chlorine, triflate and the like.

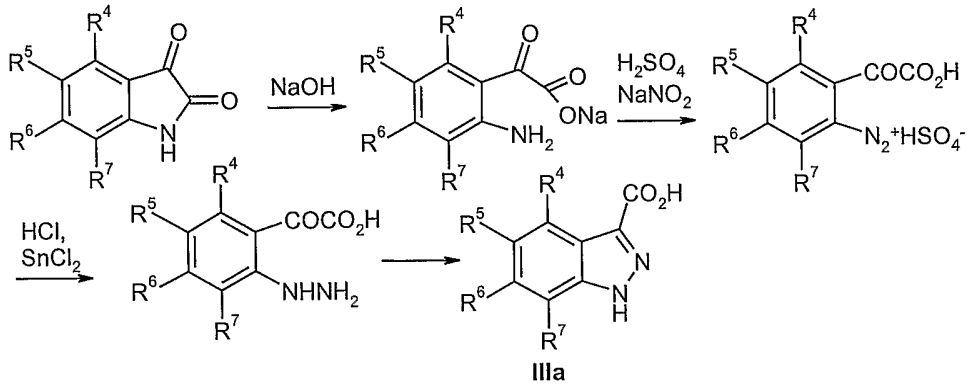
In an alternative procedure diamines of formula IIa can be obtained by an alkylation of diamines of formula IIb as shown in scheme 2a. Diamines of formula IIb can be synthesized according to scheme 2 under omission of the fifth step.



Scheme 2a

In scheme 2a, R^1, R^2 and R^3 have the significance as given above for formula I, except that R^1 is not hydrogen, and L represents a leaving group as e.g. iodine, bromine, chlorine, triflate and the like. The alkylation reaction is typically carried out in the presence of a base such as sodium hydride, potassium hydride and the like, especially sodium hydride, in inert solvents such as dimethylformamide (DMF), N-methyl-pyrrolidinone (NMP), tetrahydrofuran and the like.

Indazoles of formula III in scheme 1 are either commercially available or they can be prepared by different synthetic routes according to the nature of "X". If "X" is hydroxy the corresponding 3-indazolecarboxylic acids are named IIIa and can be manufactured e.g. as shown in the following scheme 3.

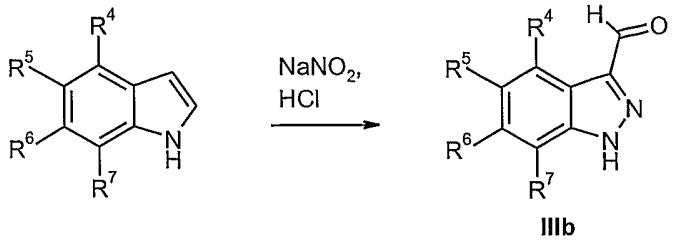


Scheme 3

In scheme 3, R^4, R^5, R^6 and R^7 have the significance as given above for formula I. As described in Snyder, H.R., et al., J. Am. Chem. Soc. (1952) 2009-2012, 3-indazolecarboxylic acids of formula IIIa can be prepared from isatins by basic ring opening, followed by diazotation of the amino group, reduction to the hydrazine and condensation to give the desired indazole.

The necessary isatins are either commercially available or may be obtained by standard procedures of organic chemistry, e.g. by reaction of the corresponding aniline with oxalylchloride. The reaction starts with an N-acylation, followed by an intramolecular acylation which can be catalyzed by Lewis acids. (e.g. Piggott, M.J. and Wege, D., Australian Journal of Chemistry 53 (2000) 749-754; March, J., Advanced Organic Chemistry 4th ed. (1992) 539-542) More often the corresponding aniline is reacted with chloral hydrate (2,2,2-trichlor-1,1-ethanediol) and hydroxylamine (hydrochloride) (via the hydroxyiminoacetamides) in a cyclization reaction to the desired isatins (e.g. Sheibley, F.E., and McNulty, J.S., J. Org. Chem. 21 (1956) 171-173; Lisowski, V., et al., J. Org. Chem. 65 (2000) 4193-4194).

If "X" is hydrogen the corresponding 1H-Indazole-3-carbaldehydes are named IIIb and can be manufactured e.g. as shown in the following scheme 4.



IIIb

Scheme 4

In scheme 4, R^4, R^5, R^6 and R^7 have the significance as given above for formula I. The compounds of formula IIIb can be synthesized from suitably substituted indoles by treatment with $NaNO_2$/HCl as described e.g. in Sall, D.J., et al., J. Med. Chem. 40 (1997) 2843-2857.

Certain substituents on the groups R^1, R^5 and R^6 may not be inert to the conditions of the synthesis sequences described above and may require protection by standard protecting groups known in the art. For instance, an amino or hydroxyl group may be protected as an acetyl or tert.-butoxycarbonyl derivative. Alternatively, some substituents may be derived from others at the end of the reaction sequence. For instance, a compound of formula I may be synthesized bearing a nitro-, an ethoxycarbonyl, an ether, a sulfonic acid substituent on the group R^5 and R^6, which substituents are finally converted to an amino- (e.g. by reduction of a nitro group or cleavage of a suitable amino protection group (e.g. removal of a Boc group with TFA)), alkylamino- (e.g. by reductive amination of an amino group), dialkylamino- (e.g. by alkylation of an amino group, reduction of an appropriate acylamino group with lithium aluminum hydride or Eschweiler-Clarke reaction with an appropriate amino or alkylamino group), acylamino- (by amide formation from an amino group e.g. with appropriate acyl halides or with appropriate carboxylic acids after their activation with CDI, EDC etc.), alkylsulfonylamino (e.g. by reaction of an amino group with sulfonyl chlorides), arylsulfonylamino substituent (e.g. by reaction of an amino group with sulfonyl chlorides), hydroxyl- (by cleavage of a suitable hydroxy protection group (e.g. hydrogenolytic removal of a benzyl ether or oxidative cleavage of a p-methoxy benzyl ether), ether- (e.g. by Williamson's ether synthesis from a hydroxyl group) or to a carboxamide substituent (e.g. by amide formation from a carboxylic acid group with appropriate amines after activation of the carboxylic acid group with CDI, EDC etc. or conversion to an acyl chloride), or to a sulfonamide substituent by standard procedures.

Medicaments containing a compound of the present invention or a pharmaceutically acceptable salt thereof and a therapeutically inert carrier are an object of the present invention, as is a process for their production, which comprises bringing one or more compounds of the present invention and/or pharmaceutically acceptable salts and, if desired, one or more other therapeutically valuable substances into a galenical administration form together with one or more therapeutically inert carriers.

In accordance with the invention the compounds of the present invention as well as their pharmaceutically acceptable salts are useful in the control or prevention of illnesses. Based on their Aurora tyrosine kinase inhibition and their antiproliferative activity, said compounds are useful for the treatment of diseases such as cancer in humans or animals and for the production of corresponding medicaments. The dosage depends on various factors such as manner of administration, species, age and/or individual state of health.

An embodiment of the invention is a pharmaceutical composition, containing one or more compounds according to formula I, together with pharmaceutically acceptable excipients.

Another embodiment of the invention is a medicament containing one or more compounds of formula I as active ingredients together with pharmaceutically acceptable adjuvants for the treatment of diseases mediated by an inappropriate activation of Aurora family tyrosine kinases.

Another embodiment of the invention is a pharmaceutical composition, containing one or more compounds according to formula I, for the inhibition of tumor growth.

Another embodiment of the invention is a medicament containing one or more compounds of formula I as active ingredients together with pharmaceutically acceptable adjuvants for the treatment of colorectal, breast, lung, prostate, pancreatic, gastric, bladder, ovarian, melanoma, neuroblastoma, cervical, kidney or renal cancers, leukemias or lymphomas.

Another embodiment of the invention is a medicament containing one or more compounds of formula I as active ingredients together with pharmaceutically acceptable adjuvants for the treatment of acute-myelogenous leukemia (AML, acute lymphocytic leukemia (ALL) and gastrointestinal stromal tumor (GIST).

Another embodiment of the invention is the use of one or more compounds of formula I for the manufacture of medicaments for the treatment of diseases mediated by an inappropriate activation of Aurora family tyrosine kinases.

Another embodiment of the invention is the use of a compound according to formula I, for the manufacture of corresponding medicaments for the inhibition of tumor growth.

Another embodiment of the invention is the use of a compound according to formula I, for the manufacture of corresponding medicaments for the treatment of colorectal, breast, lung, prostate, pancreatic, gastric, bladder, ovarian, melanoma, neuroblastoma, cervical, kidney or renal cancers, leukemias or lymphomas.

Another embodiment of the invention is the use of a compound according to formula I, for the treatment of acute-myelogenous leukemia (AML, acute lymphocytic leukemia (ALL) and gastrointestinal stromal tumor (GIST).

Another embodiment of the invention is the use of the compounds of formula I as Aurora A tyrosine kinase inhibitors.

Another embodiment of the invention is the use of the compounds of formula I as anti-proliferating agents.

Another embodiment of the invention is the use of one or more compounds of formula I for the treatment of cancer.

The compounds according to the present invention may exist in the form of their pharmaceutically acceptable salts. The term "pharmaceutically acceptable salt" refers to conventional acid-addition salts that retain the biological effectiveness and properties of the compounds of formula I and are formed from suitable non-toxic organic or inorganic acids. Sample acid-addition salts include those derived from

inorganic acids such as hydrochloric acid, hydrobromic acid, hydroiodic acid, sulfuric acid, sulfamic acid, phosphoric acid and nitric acid, and those derived from organic acids such as p-toluenesulfonic acid, naphthalenesulfonic acid, naphthalenedisulfonic acid, methanesulfonic acid, ethanesulfonic acid and the like. The chemical modification of a pharmaceutical compound (i.e. a drug) into a salt is a technique well known to pharmaceutical chemists to obtain improved physical and chemical stability, hygroscopicity, flowability and solubility of compounds. See e.g. Stahl, P. H., and Wermuth, G., (editors), Handbook of Pharmaceutical Salts, Verlag Helvetica Chimica Acta (VHCA), Zürich, (2002) or Bastin, R.J., et al., Organic Proc. Res. Dev. 4 (2000) 427-435.

The compounds of formula I can contain one or several chiral centers and can then be present in a racemic or in an optically active form. The racemates can be separated according to known methods into the enantiomers. For instance, diastereomeric salts which can be separated by crystallization are formed from the racemic mixtures by reaction with an optically active acid such as e.g. D- or L-camphorsulfonic acid. Alternatively separation of the enantiomers can also be achieved by using chromatography on chiral HPLC-phases which are commercially available.

Pharmacological activity

The compounds of formula I and their pharmaceutically acceptable salts possess valuable pharmacological properties. It has been found that said compounds show activity as inhibitors of the Aurora kinase family and also show anti-proliferative activity. Consequently the compounds of the present invention are useful in the therapy and/or prevention of illnesses with known over-expression of kinases of the Aurora family preferably Aurora A, especially in the therapy and / or prevention of illnesses mentioned above. The activity of the present compounds as inhibitors of the Aurora kinase family is demonstrated by the following biological assay:

IC_{50} determination for inhibitors of Aurora A

(96 MTP-ELISA)

Assay principle

Aurora A is a serine threonine kinase involved in spindle assembly and chromosome segregation.

The assay is a typically ELISA-type assay where biotinylated substrate (PKB-GSK2) is phosphorylated. Phosphorylation is detected by peroxidase (POD) labelled polyclonal antibody (PAK<M-Ig>S-IgG-POD) and phosphopeptide monoclonal antibody (Mab) (MAK<P-GSK>M-27E5-IgG). The assay is validated for IC_{50} - determination.

Materials

Assay plates	96-well polystyrene plates, streptavidin-coated,
Samples	10 mM in dimethylsulfoxide (DMSO)
Aurora A-His-4	C-terminally Histidine$_4$ (His_4)-tagged Aurora A full-length protein, stock solution 0,7 mg/ml, final conc.: 250ng/ml
PKB-GSK2	biotinylated peptide derived from human GSK3-alpha sequence (Biotin-SGRARTSSFAEPGG-$CONH_2$), stock solution 600µM, final conc.: 200 nM
PAK<M-Ig>S-IgG- POD	Anti-mouse IgG, horse radish peroxidase(HRP)-linked Antibody, diluted in 3% BSA/PBS-T (1:10000), (Cell Signaling, Cat. No.: 7076)
MAK<P-GSK>M-27E5-IgG	Phospho-GSK-3-alpha (Ser 21) (27E5) Monoclonal Antibody, stock solution 1,85mg/ml, diluted in 3% BSA/PBS-T (1:6000), final conc.: 0,31µg/ml, (Cell Signaling, Cat. No.: 9337B)

ATP	Adenosine-5'-triphosphate 1 mM, diluted in kinase buffer, (Roche Diagnostics GmbH, Cat. No.: 127531-001,), final conc.: 4µM
TRIS	2-Amino-2-hydroxymethyl-1,3-propoanediol ("tris-(hydroxymethyl)-aminomethane") (MERCK , Cat. No.: 108382.2500)
BSA	Bovine Serum Albumin Fraction V, fatty acid free (Roche Diagnostics GmbH, Cat. No. 9100221)
EDTA	Titriplex III (di-Sodium-EDTA di-Hydrate), 120 mM, (MERCK, Cat. No.: 1.08418.1000)
ABTS buffer	ABTS (2,2'-azino-bis(3-ethylbenzthiazoline-6-sulfonic acid))16,7 mg/ml (Roche Diagnostics GmbH, Cat. No.: 1204530)
ABTS tablets	dissolve one ABTS tablet in 50 ml of working solution (ABTS buffer) (Roche Diagnostics GmbH, Cat. No.: 1112422)
Tween 20	Polysorbat 20 (Roche Diagnostics GmbH, Cat. No.: 10006394-001)
DTT	1,4-Dithiothreitol (Roche Diagnostics GmbH, Cat. No.: 197777)
$MgCl_2 \times 6H_2O$	MERCK, Cat. No.: 105833.1000
Kinase buffer	50 mM TRIS, 10 mM $MgCl_2$, 1 mM DTT, 0,1% Tween 20, pH 7,8
PBS-T (= Wash buffer)	(PBS-T) 10 g/l PBS(Phosphate buffered saline) with 0,033% Tween 20
3% BSA/PBS-T	3 % BSA dissolved in PBS-T

Method

This assay is performed in 96-well format for IC_{50} determination with 5 samples (each with 8 concentrations by twofold testing), 100 µl incubation volume and the following plate layout:

	1	2	3	4	5	6	7	8	9	10	11	12
A	NC	RS a	RS a	S1a	S1a	S2a	S2a	NC	S3a	S3a	S4a	S4a
B	NC	RS b	RS b	S1b	S1b	S2b	S2b	NC	S3b	S3b	S4b	S4b
C	NC	RS c	RS c	S1c	S1c	S2c	S2c	NC	S3c	S3c	S4c	S4c
D	NC	RS d	RS d	S1d	S1d	S2d	S2d	NC	S3d	S3d	S4d	S4d
E	PC	RS e	RS e	S1e	S1e	S2e	S2e	PC	S3e	S3e	S4e	S4e
F	PC	RS f	RS f	S1f	S1f	S2f	S2f	PC	S3f	S4f	S4f	S4f
G	PC	RS g	RS g	S1g	S1g	S2g	S2g	PC	S3g	S4g	S4g	S4g
H	PC	RS h	RS h	S1h	S1h	S2h	S2h	PC	S3h	S4h	S4h	S4h

NC negative control, without ATP, 1% DMSO

PC positive control, with ATP, 1% DMSO

S samples, with ATP, 1 % DMSO, final conc.: a =100 µM, b =20 µM, c =4 µM, d =0.8 µM, e =0.16 µM, f =0.032 µM, g =0.0064 µM, h =0.00128 µM

Step / Action

1. Sample preparation: add 24 µl per well samples (descending sequence) diluted in kinase buffer to assay plate (final conc. for DMSO 1%).
2. Add directly 16 µl Aurora-A-his-4 diluted in kinase buffer to assay plate.
3. Add directly 40 µl per well PKB-GSK2/ATP mixture to assay plate, (final conc.: Aurora A = 250 ng/ml, GSK2 = 200 nM, ATP = 4 µM). Negative control: without ATP.
4. Incubate assay plate for exactly 90 min at room temperature.
5. Stop reaction by adding 20 µl EDTA in all wells.
6. Wash assay plate 3 x with 200 µl washing buffer per well.

7. Add 100 µl MAK<P-GSK>M27E5-IgG (1:10000) and PAK<M-Ig>S-IgG-POD (1:6000) dissolved in 3% BSA/PBS-T to assay plate per well.
8. Incubate assay plate for 60 min at room temperature.
9. Wash assay plate 3 x with 200 µl washing buffer per well
10. Add 100 µl ABTS solution to assay plate per well, incubate for approx. 4 min at RT on MTP shaker.
11. Measure absorption at 405/492 nm.
12. Calculate % inhibition as:

1)

$$(1- (E_{sample} - E_{NC})/(E_{PC} - E_{NC})) \times 100$$

13. Calculate IC_{50} using a non-linear curve fit (XLfit software (ID Business Solution Ltd., Guilford, Surrey, UK))

Results: Table 1

Example No.	IC50 Aurora A kinase inhibition [nM]
7	14
6	28
2, 3, 5, 8, 15, 16, 17, 18, 19, 21, 23, 24, 27, 28, 29, 30, 33, 34, 35, 36, 37, 38, 39,40, 42, 43, 44, 48, 49, 52, 53,	1-100
9, 10, 11, 13, 26	100-250

Antiproliferative activity

The activity of the present compounds as antiproliferative agents is demonstrated by the following biological assay:

CellTiter-Glo™ assay in HCT 116 cells

The CellTiter-Glo™ Luminescent Cell Viability Assay (Promega) is a homogeneous method of determining the number of viable cells in culture based on quantitation of the ATP present, which signals the presence of metabolically active cells.

HCT 116 cells (human colon carcinoma, ATCC-No. CCl-247) were cultivated in RPMI 1640 medium with GlutaMAX™ I (Invitrogen, Cat-No. 61870-010), 2,5 % Fetal Calf Serum (FCS, Sigma Cat-No. F4135 (FBS)); 100Units/ml penicillin/100µg/ml streptomycin (= Pen/Strep from Invitrogen Cat.No. 15140). For the assay the cells were seeded in 384 well plates, 1000 cells per well, in the same medium. The next day the test compounds were added in various concentrations ranging from 30 µM to 0.0015 µM (10 concentrations, 1:3 diluted). After 5 days the CellTiter-Glo™ assay was done according to the instructions of the manufacturer (CellTiter-Glo™ Luminescent Cell Viability Assay, from Promega). In brief: the cell-plate was equilibrated to room temperature for approximately 30 minutes and than the CellTiter-Glo™ reagent was added. The contents were carefully mixed for 15 minutes to induce cell lysis. After 45 minutes the luminescent signal was measured in Victor 2, (scanning multiwell spectrophotometer, Wallac).

Details:

1st. day:

- Medium: RPMI 1640 with GlutaMAX™ I (Invitrogen, Cat-Nr. 61870), 5 % FCS (Sigma Cat.-No. F4135), Pen/Strep (Invitrogen, Cat No. 15140).
- HCT116 (ATCC-No. CCl-247): 1000 cells in 60 µl per well of 384 well plate (Greiner 781098, µClear-plate white)
- After seeding incubate plates 24 h at 37°C, 5% CO_2

2nd. day : Induction (Treatment with compounds, 10 concentrations):

In order to achieve a final concentration of 30 µM as highest concentration 3,5 µl of 10 mM compound stock solution were added directly to 163 µl media. Then step e) of the dilution procedure described below, was followed.

In order to achieve the second highest to the lowest concentrations, a serial dilution with dilution steps of 1:3 was followed according to the procedure (a -e) as described here below:

a) for the second highest concentration add 10 µl of 10 mM stock solution of compound to 20 µl dimethylsulfoxide (DMSO)

b) dilute 8x 1:3 (always 10 µl to 20 µl DMSO) in this DMSO dilution row (results in 9 wells with concentrations from 3333,3 µM to 0.51 µM)

c) dilute each concentration 1: 47,6 (3,5 µl compound dilution to 163 µl media)

e) add 10 µl of every concentration to 60 µl media in the cell plate resulting in final concentration of DMSO : 0.3 % in every well and resulting in 10 final concentration of compounds ranging from 30 µM to 0.0015 µM.

- Each compound is tested in triplicate.
- Incubate 120 h (5 days) at 37°C, 5% CO_2

Analysis:

-Add 30 µl CellTiter-Glo™ Reagent (prepared from CellTiter-Glo™ Buffer and CellTiter-Glo™ Substrate (lyophilized) purchased from Promega) per well,
-shake 15 minutes at room temperature
-incubate further 45 minutes at room temperature without shaking

Measurement:

-Victor 2 scanning multiwell spectrophotometer (Wallac), Luminescence mode (0.5 sec/read, 477 nm)

-Determine IC50 using a non-linear curve fit (XLfit software (ID Business Solution Ltd., Guilford, Surrey, UK))

With all compounds a significant inhibition of HCT 116 cell viability was detected, which is exemplified by the compounds shown in Table 1.

Results: Table 2

Example No.	IC50 HCT 116 [µM]
2	0.18
32	0.24
7	0.28
14	0.64

Example No.	IC50 HCT 116 [μM]
29	1.235
1, 3, 4, 5, 6, 8, 9, 20, 11, 13, 15,17, 19, 21, 22, 23, 25,26, 28, 29, 34, 35, 37, 39, 40, 41, 43, 46, 47, 50, 51, 52, 55, 56, 58, 61, 65, 66, 69, 70, 72, 77, 79, 81, 85, 86, 88, 90, 95, 96, 101, 103, 108, 113, 116, 117, 122, 1, 24, 1, 27, 130, 132, 134, 137, 138, 140, 141, 142, 143, 144	0.1-1.0
20, 36, 49, 59, 64, 82, 91, 100, 104, 110, 128,145	1.0-10

The compounds according to this invention and their pharmaceutically acceptable salts can be used as medicaments, e.g. in the form of pharmaceutical compositions. The pharmaceutical compositions can be administered orally, e.g. in the form of tablets, coated tablets, dragées, hard and soft gelatine capsules, solutions, emulsions or suspensions. The administration can, however, also be effected rectally, e.g. in the form of suppositories, or parenterally, e.g. in the form of injection solutions.

The above-mentioned pharmaceutical compositions can be obtained by processing the compounds according to this invention with pharmaceutically inert, inorganic or organic carriers. Lactose, corn starch or derivatives thereof, talc, stearic acids or it's salts and the like can be used, for example, as such carriers for tablets, coated tablets, dragées and hard gelatine capsules. Suitable carriers for soft gelatine capsules are, for example, vegetable oils, waxes, fats, semi-solid and liquid polyols and the like. Depending on the nature of the active substance no carriers are, however, usually required in the case of soft gelatine capsules. Suitable carriers for the production of solutions and syrups are, for example, water, polyols, glycerol, vegetable oil and the like. Suitable carriers for suppositories are, for example, natural or hardened oils, waxes, fats, semi-liquid or liquid polyols and the like.

The pharmaceutical compositions can, moreover, contain preservatives, solubilizers, stabilizers, wetting agents, emulsifiers, sweeteners, colorants, flavorants, salts for varying the osmotic pressure, buffers, masking agents or antioxidants. They can also contain still other therapeutically valuable substances.

A pharmaceutical compositions comprise e.g. the following:

a) Tablet Formulation (Wet Granulation):

Item	Ingredients	mg/tablet			
1.	Compound of formula (I)	5	25	100	500
2.	Lactose Anhydrous DTG	125	105	30	150
3.	Sta-Rx 1500	6	6	6	30
4.	Microcrystalline Cellulose	30	30	30	150
5.	Magnesium Stearate	1	1	1	1
	Total	167	167	167	831

Manufacturing Procedure:

1. Mix items 1, 2, 3 and 4 and granulate with purified water.
2. Dry the granules at 50°C.
3. Pass the granules through suitable milling equipment.
4. Add item 5 and mix for three minutes; compress on a suitable press.

b) Capsule Formulation:

Item	Ingredients	mg/capsule			
1.	Compound of formula (I)	5	25	100	500
2.	Hydrous Lactose	159	123	148	---
3.	Corn Starch	25	35	40	70
4.	Talc	10	15	10	25
5.	Magnesium Stearate	1	2	2	5
	Total	200	200	300	600

Manufacturing Procedure:

1. Mix items 1, 2 and 3 in a suitable mixer for 30 minutes.
2. Add items 4 and 5 and mix for 3 minutes.
3. Fill into a suitable capsule.

c) Micro suspension

1. Weigh 4.0 g glass beads in custom made tube GL 25, 4 cm (the beads fill half of the tube).
2. Add 50 mg compound, disperse with spatulum and vortex.
3. Add 2 ml gelatin solution (weight beads: gelatin solution = 2:1) and vortex.
4. Cap and wrap in aluminum foil for light protection.
5. Prepare a counter balance for the mill.
6. Mill for 4 hours, 20/s in a Retsch mill (for some substances up to 24 hours at 30/s).
7. Extract suspension from beads with two layers of filter (100 µm) on a filter holder, coupled to a recipient vial by centrifugation at 400 g for 2 min.
8. Move extract to measuring cylinder.
9. Repeat washing with small volumes(here 1 ml steps) until final volume is reached or extract is clear.
10. Fill up to final volume with gelatin and homogenize.

The following examples and references are provided to aid the understanding of the present invention, the true scope of which is set forth in the appended claims. It is understood that modifications can be made in the procedures set forth without departing from the spirit of the invention.

Examples

Experimental Procedures:

A: starting materials

Preparation of 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one

i) 1-Ethyl-3,3-dimethyl-6-nitro-1,3-dihydro-indol-2-one

A solution of 3,3-dimethyl-6-nitro-1,3-dihydro-indol-2-one (6g, 29.10 mmol) in anhydrous *N,N*-dimethylformamide (DMF) (35 ml) was treated with sodium hydride. The resulting suspension was stirred for 1 h at 60°C. A solution of bromo-ethane (2.17 mL, 3.17 g, 29.10 mmol) in DMF (10 ml) was added. The mixture was

allowed to cool to room temperature and stirred for 1 h. After removal of the solvent the mixture was quenched with water (100 ml) and extracted with ethyl acetate (3 x 100 ml). The extract was dried over Na_2SO_4, evaporated and the crude product was purified by column chromatography on silica gel. Elution with ethyl acetate/*n*-heptane (1:3) yielded 5.94 g (87%) of a yellow solid.

MS: M = 235.3 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.16 (t, 3H), 1.32 (s, 6 H), 3.81 (q, 2H), 7.66 (d, 1H), 7.86 (s, 1H), 7.97 (d, 1H)

ii) 6-Amino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one

To a solution of 1-ethyl-3,3-dimethyl-6-nitro-1,3-dihydro-indol-2-one (5.9 g, 25.19 mmol) in methanol/tetrahydrofuran (THF) (1:1, 80 ml) palladium on charcoal (10 %, 1.2 g) was added and the mixture hydrogenated at room temperature for 4 h. After filtration and evaporation of the solvents 5.05 g (98%) 6-amino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one was isolated as white solid.

MS: M = 205.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.11 (t, 3H), 1.17 (s, 6H), 3.58 (q, 2H), 5.12 (br, 2H), 6.21 (d, 1H), 6.25 (s, 1H), 6.92 (d, 1H)

iii) *N*-(1-Ethyl-3,3-dimethyl-2-oxo-2,3-dihydro-1H-indol-6-yl)-acetamide

A solution of 6-amino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one (5.05 g, 24.72 mmol) in acetic anhydride (80 ml) was stirred at room temperature for 4 h. The mixture was poured onto ice water (150 ml), allowed to warm to room temperature and was stirred again for 2 h. After extraction with ethyl acetate (3 x 100 ml), the combined organic layers were washed with sat. $NaHCO_3$-solution (3 x 100 ml), brine (100 ml) and dried over sodium sulfate. After removal of the solvent the crude product was purified by column chromatography on silica gel (ethyl acetate/*n*-heptane 1:1) yielding 5.6 g (91 %) *N*-(1-ethyl-3,3-dimethyl-2-oxo-2,3-dihydro-1H-indol-6-yl)-acetamide as light yellow solid.

MS: M = 247.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.13 (t, 3H), 1.23 (s, 6H), 2.04 (s, 3H), 3.63 (q, 2H), 7.12 (d, 1 H), 7.23 (d, 1H), 7.37 (s, 1H), 9.97 (br, 1H)

iv) *N*-(1-ethyl-3,3-dimethyl-5-nitro-2-oxo-2,3-dihydro-1H-indol-6-yl)-acetamide

To a solution of *N*-(1-ethyl-3,3-dimethyl-2-oxo-2,3-dihydro-1H-indol-6-yl)-acetamide (5.6 g, 22.73 mmol) in acetic anhydride (70 ml) nitric acid (100 %, 1.96 g, 1.29 ml, 31.2 mmol) was added at 0 °C. The mixture was stirred for 30 min, then poured onto ice water (150 ml). After stirring for 4 h the mixture was extracted with ethyl acetate (3 x 100 ml). The combined organic layers were washed with sodium hydroxide solution (1M, 100 ml) and water (100 ml), dried over sodium sulfate and concentrated. The crude product was purified by column chromatography on silica gel (ethyl acetate/n-heptane 1:1) to yield 5.2 g (78 %) N-(1-ethyl-3,3-dimethyl-5-nitro-2-oxo-2,3-dihydro-1H-indol-6-yl)-acetamide as a yellow solid.

MS: M = 292.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.16 (t, 3H), 1.31 (s, 6H), 2.13 (s, 3H), 3.71 (m, 2H), 7.54 (s, 1 H), 8.12 (s, 1H), 10.39 (br, 1H)

v) 6-Amino-1-ethyl-3,3-dimethyl-5-nitro-1,3-dihydro-indol-2-one

N-(1-ethyl-3,3-dimethyl-5-nitro-2-oxo-2,3-dihydro-1H-indol-6-yl)-acetamide (5.2 g, 17.85 mmol) was dissolved in ethanol (40 ml). After addition of hydrochloric acid (25 %, 8 ml, 81.44 mmol) the mixture was stirred under reflux for 3 h. The reaction mixture was allowed to cool down to room temperature and then quenched with water (80 ml). The yellow precipitate was isolated by suction and washed with ethanol/water (1:1). The solid was dissolved in ethyl acetate, dried over sodium sulfate and concentrated to yield 4.15 g (93 %) 6-amino-1-ethyl-3,3-dimethyl-5-nitro-1,3-dihydro-indol-2-one as a orange solid.

MS: M = 250.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.15 (t, 3H), 1.27 (s, 6H), 3.64 (m, 2H), 6.54 (s, 1 H), 7.67 (br, 2H), 7.95 (s, 1H)

vi) 5,6-Diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one

To a solution of 6-amino-1-ethyl-3,3-dimethyl-5-nitro-1,3-dihydro-indol-2-one (4.15 g, 16.65 mmol) in ethanol (80 ml) PtO_2 (0.4 g) was added and the mixture hydrogenated at room temperature for 3.5 h. After filtration and evaporation of the solvents 3.25 g (89 %) 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one was isolated as orange solid.

MS: M = 220.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.10 (t, 3H), 1.13 (s, 6H), 3.53 (m, 2H), 4.08 (br, 2H), 4.48 (br, 2H), 6.27 (s, 1H), 6.50 (s, 1H)

Preparation of 5,6-diamino-1,3,3-trimethyl-1,3-dihydro-indol-2-one

5,6-diamino-1,3,3-trimethyl-1,3-dihydro-indol-2-one was prepared in an analogous 6-step-synthesis as described for 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one.

MS: M = 206.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.57 (s, 6H), 3.43 (s, 3H), 4.94 (br, 4H), 6.66 (s, 1H), 6.95 (s, 1H)

Preparation of 5,6-diamino-3,3-dimethyl-1-propyl-1,3-dihydro-indol-2-one

5,6-diamino-3,3-dimethyl-1-propyl-1,3-dihydro-indol-2-one was prepared in an analogous 6-step-synthesis as described for 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one.

MS: M = 234.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 0.82 (t, 3H), 1.15 (s, 6H), 1.58 (m, 2H), 3.46 (q, 2H), 4.16 (br, 2H), 4.45 (br, 2H), 6.27 (s, 1H), 6.50 (s, 1H)

Preparation of 5,6-diamino-1-isopropyl-3,3-dimethyl-1,3-dihydro-indol-2-one

5,6-diamino-3,3-dimethyl-1-isopropyl-1,3-dihydro-indol-2-one was prepared in an analogous 6-step-synthesis as described for 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one.

MS: M = 234.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.12 (s, 6H), 1.33 (d, 6H), 4.09 (br, 2H), 4.40 (m, 1H), 4.46 (br, 2H), 6.46 (s, 1H), 6.48 (s, 1H)

Preparation of 5,6-diamino-3,3-dimethyl-1-(3-morpholin-4-yl-propyl)-1,3-dihydro-indol-2-one

5,6-diamino-3,3-dimethyl-1-(3-morpholin-4-yl-propyl)-1,3-dihydro-indol-2-one was prepared in an analogous 6-step-synthesis as described for 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one.

MS: M = 319.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.14 (s, 6H), 1.70 (m, 2H), 2.26 (t, 2H), 2.33 (m, 4H), 3.56 (m, 6H), 4.39 (br, 4H), 6.28 (s, 1H), 6.50 (s, 1H)

Preparation of 1-allyl-5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one

A solution of 5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one (US 4,666,923A) (1 g, 5.23 mmol) in anhydrous DMF (30 ml) was treated with sodium hydride (130 mg, 5.15 mmol) and stirred for 1 h at room temperature. 3-bromo-propene (450 µl, 629 mg, 5.20 mmol) was added dropwise. The resulting mixture was stirred for 4 h at room temperature and than poured into water (150 ml) and extracted with ethyl acetate (3 x 70 ml). The extract was dried over magnesium sulfate, evaporated carefully and under argon atmosphere and the crude product was purified by HPL chromatography. Yield 540 mg (45%) of a light yellow solid.

MS: M = 232.4 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.22 (s, 6H), 4.19 (br, 4H), 4.54 (br, 2H), 5.08 (m, 1H), 5.18 (m, 1H), 5.87 (m, 1H), 6.24 (s, 1H), 6.57 (s, 1H)

Preparation of 5,6-diamino-1-cyclopropylmethyl-3,3-dimethyl-1,3-dihydro-indol-2-one

5,6-diamino-1-cyclopropylmethyl-3,3-dimethyl-1,3-dihydro-indol-2-one was prepared in an analogous synthesis as described for 1-allyl-5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one.

MS: M = 246.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 0.26 (m, 2H), 0.43 (m, 2H), 1.07 (m, 1H), 1.14 (s, 6H), 3.43 (d, 2H), 4.50 (br, 4H), 6.34 (s, 1H), 6.50 (s, 1H)

Preparation of 1H-indazole-3-carbaldehyde

i) (1H-indazol-3-yl)-methanol

1H-indazole-3-carboxylic acid (1 g, 6.17 mmol) was dissolved in diethyl ether (23 ml) the resulting solution was cooled to 0°C. Under an argon atmosphere and constant cooling a solution of lithium aluminium hydride (1 M in diethylether, 12.4 ml, 12.4 mmol) was added. The suspension was stirred at room temperature for 5 h and then quenched with sat. Na_2SO_4-solution (4 ml) and sat. $NaHCO_3$-solution (4 ml). After addition of ethyl acetate and stirring a jelly precipitate was formed. It was filtered and washed three times with ethyl acetate. The filtrate was concentrated to yield 645 mg (71 %) of a light yellow solid.

MS: M = 147.1 (ESI-)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 4.78 (d, 2H), 5.19 (t, 1H), 7.08 (t, 1H), 7.32 (t, 1H), 7.48 (d, 1H), 7.84 (d, 1H), 12.76 (br, 1H)

ii) 1H-indazole-3-carbaldehyde

(1H-indazol-3-yl)-methanol (200 mg, 1.35 mmol) was dissolved in dichloromethane (10 ml). After addition of MnO2 (1.3 g, 13.46 mmol) it was stirred at room temperature for 16 h. The mixture was filtered and the filtrate was concentrated to yield 150 mg (76 %) 1H-indazole-3-carbaldehyde.

MS: M = 145.0 (API-)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 7.37 (t, 1H), 7.51 (t, 1H), 7.71 (d, 1H), 8.14 (d, 1H), 10.20 (s, 1H), 14.17 (br, 1H)

B: Final products

Example 1

5-Cyclopropylmethyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

5,6-Diamino-1-cyclopropylmethyl-3,3-dimethyl-1,3-dihydro-indol-2-one (190 mg, 0.82 mmol), 1H-indazole-3-carbaldehyde (80 mg, 0.82 mmol) and toluene-4-sulfonic acid monohydrate (10.5 mg, 0.05 mmol) were dissolved in ethanol (4 ml). Air was bubbled through the solution and it was stirred for 1 h under reflux. The mixture was concentrated and the crude product was purified by HPL chromatography. Yield 56 mg (29 %) of a yellow solid.

MS: M = 372.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 0.37 (m, 2H), 0.49 (m, 2H), 1.19 (m, 1H), 1.35 (s, 6H), 3.67 (m, 2H), 7.11 and 7.43 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.45 (d, 1H), 7.49 and 7.73 (s, 1H, two tautomeric forms), 7.65 (d, 1H), 8.51 (t, 1H), 12.91 and 12.99 (br, 1H, two tautomeric forms), 13.54 and 13.58 (br, 1H, two tautomeric forms)

Example 2

5-Allyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 1, 1-allyl-5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one was prepared from the appropriate starting material.

MS: M = 358.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.38 (s, 6H), 4.39 (d, 2H), 5.18 (m, 2H), 5.91 (m, 1H), 6.96 and 7.27 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.47 (t, 1H), 7.64 (d, 1H), 7.48 and 7.75 (s, 1H, two tautomeric forms), 8.49 (d, 1H), 12.90 and 13.00 (br, 1H, two tautomeric forms), 13.54 and 13.58 (br, 1H, two tautomeric forms)

Example 3

5-Ethyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

5,6-Diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one (400 mg, 1.82 mmol), and 1H-indazole-3-carboxylic acid (296 mg, 1.82 mmol) were mixed with polyphosphoric acid (6.08 g, 62.02 mmol) and phosphorus pentoxide (1.68 g, 11.86 mmol) and stirred under nitrogen at 150 °C for 6 h. It was quenched with ice water (50 ml) and the resulting suspension was adjusted to pH 7 – 8 by adding aqueous ammonia. The crude product was isolated by suction and washed with water. The solid was dried and purified by column chromatography on silica gel. Elution with ethyl acetate yielded 280 mg (97 %) of 5-ethyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one as a light yellow solid.

MS: M = 346.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.34 (s, 6H), 3.78 (q, 2H), 7.04 and 7.39 (s, 1H, two tautomeric forms), 7.31 (t, 1H), 7.47 (t, 1H), 7.47 and 7.74 (s, 1H, two tautomeric forms), 7.65 (d, 1H), 8.51 (d, 1H), 12.96 (br, 1H), 13.58 (br, 1H)

Example 4

2-(1H-indazol-3-yl)-5,7,7-trimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 3, 2-(1H-indazol-3-yl)-5,7,7-trimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one was prepared from the appropriate starting material.

MS: M = 332.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.35 (s, 6H), 3.22 (s, 3H), 7.02 and 7.34 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.48 (d, 1H), 7.47 and 7.72 (s, 1H, two tautomeric forms), 7.64 (d, 1H), 8.50 (t, 1H), 12.96 and 13.00 (br, 1H, two tautomeric forms), 13.55 and 13.59 (br, 1H, two tautomeric forms)

Example 5

2-(1H-indazol-3-yl)-7,7-dimethyl-5-propyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 3, 2-(1H-indazol-3-yl)-7,7-dimethyl-5-propyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one was prepared from the appropriate starting material.

MS: M = 360.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 0.89 (t, 3H), 1.34 (s, 6H), 1.68 (m, 2H), 3.73 (m, 2H), 7.02 and 7.38 (s, 1H, two tautomeric forms), 7.29 (t, 1H), 7.46 (t, 1H), 7.63 (d, 1H), 7.44 and 7.73 (s, 1H, two tautomeric forms), 8.51 (d, 1H), 12.90 and 12.99 (br, 1H), 13.53 and 13.56 (br, 1H, two tautomeric forms)

Example 6

2-(1H-indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 3, 2-(1H-indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one was prepared from the appropriate starting material.

MS: M = 360.2 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.32 (s, 6H), 1.48 (d, 6H), 4.56 (m, 1H), 7.14 and 7.43 (s, 1H, two tautomeric forms), 7.29 (t, 1H), 7.45 (d, 1H), 7.48 and 7.71 (s, 1H, two tautomeric forms), 7.63 (d, 1H), 8.50 (t, 1H), 12.84 and 12.97 (br, 1H), 13.54 and 13.57 (br, 1H)

Example 7

2-(1H-indazol-3-yl)-7,7-dimethyl-5-(3-morpholin-4-yl-propyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 3, 2-(1H-indazol-3-yl)-7,7-dimethyl-5-(3-morpholin-4-yl-propyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one was prepared from the appropriate starting material.

MS: M = 445.2 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.34 (s, 6H), 1.81 (m, 2H), 2.33 (m, 6H), 3.60 (m, 4H), 3.78 (m, 2H), 7.09 and 7.43 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.47 (t, 1H), 7.49 and 7.72 (s, 1H, two tautomeric forms), 7.64 (d, 1H), 8.50 (d, 1H), 12.97 and 12.99 (br, 1H, two tautomeric forms), 13.56 (br, 1H)

Example 8

2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

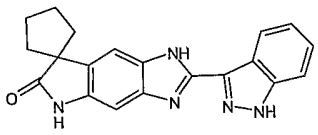
In an analogous manner as described for example 3, 2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one was prepared from the appropriate starting material.

MS: M = 318.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.32 (s, 6H), 6.94 and 7.10 (s, 1H, two tautomeric forms), 7.29 (t, 1H), 7.47 (t, 1H), 7.38 and 7.64 (s, 1H, two tautomeric forms), 7.63 (d, 1H), 8.49 (d, 1H), 10.30 (br, 1H), 12.77 and 12.92 (br, 1H, two tautomeric forms), 13.55 (br, 1H)

Example 9

2-(1H-indazol-3-yl)-spiro[7,7-cyclopentan-5,7-dihydro-3H-imidazo[4,5-f]indol]-6-one(or according to the actual IUPAC-nomenclature:2-(1H-Indazol-3-yl)-spiro-5,7-dihydro[cyclopentane-1',7-imidazo[4,5-f]indol]-6(3H)-one)



In an analogous manner as described for example 3, 2-(1H-indazol-3-yl)-spiro[7,7-cyclopentan-5,7-dihydro-3H-imidazo[4,5-f]indol]-6-one was prepared from the appropriate starting material.

MS: M = 344.0 (API+)

Example 10

2-(1H-Indazol-3-yl)-8,8-dimethyl-1,5,7,8-tetrahydro-imidazo[4,5-g]quinolin-6-one

In an analogous manner as described for example 3, 2-(1H-Indazol-3-yl)-8,8-dimethyl-1,5,7,8-tetrahydro-imidazo[4,5-g]quinolin-6-one was prepared from the appropriate starting material.

MS: M = 332.4 (ES+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.31 (s, 3H), 1.32 (s, 3H), 2.38 (s, 2H), 7.07 and 7.23 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.38 and 7.64 (s, 1H, two tautomeric forms), 7.47 (t, 1H), 7.64 (m, 1H), 8.49 (d, 1H), 10.15 and 10.20 (br, 1H, two tautomeric forms), 12.76 and 12.83 (br, 1H, two tautomeric forms), 13.57 and 13.60 (br, 1H, two tautomeric forms)

Example 11

2-(1H-Indazol-3-yl)-7-methyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 3, 2-(1*H*-indazol-3-yl)-7-methyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one was prepared from 5,6-diamino-3-methyl-1,3-dihydro-indol-2-one (DE3417643A1) and 1H-indazole-3-carboxylic acid.

MS: M = 304.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.39 (d, 3H), 3.47 (m, 1H), 6.92 and 7.08 (s, 1H, two tautomeric forms), 7.29 (t, 1H), 7.37 and 7.60 (s, 1H), two tautomeric forms), 7.46 (t, 1H), 7.62 (d, 1H), 8.48 (d, 1H), 10.28 and 10.33 (br, 1H, two tautomeric forms), 12.77 and 12.88 (br, 1H, two tautomeric forms), 13.53 (br, 1H)

Example 12

5,7,7-Triethyl-2-(1*H*-indazol-3-yl)-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one

To a solution of 1H-indazole-3-carboxylic acid (100mg, 0.617mmol), 1-hydroxybenzotriazole hydrate (113.3mg, 0.740mmol) and triethylamine (187.2mg, 1.85mmol) in DMF (4ml) was added N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide hydrochloride (141.9mg, 0.740mmol). After 30 minutes at room temperature a solution of 5,6-diamino-1,3,3-triethyl-1,3-dihydro-indol-2-one (152.5mg, 0.617mmol) in DMF (2ml) was added and stirring continued for further 20 minutes. The solvent was evaporated under reduced pressure and the residue was dissolved in water. The aqueous phase was extracted twice with ethyl acetate and the solvent of the combined organic phases was evaporated yielding 346mg of an oil that was used without further purification. The oil was dissolved in ethanol (7ml), treated with aqueous HCl solution (32%, 4ml) and heated under reflux for 2h. The solvent was evaporated, the residue alkalized with aqueous ammonia (25%). The aqueous phase was extracted three times with ethyl acetate and the combined organic phases were washed with brine, dried over $MgSO_4$ and evaporated. The residue was subjected to silica gel chromatography (ethyl acetate/ heptane 1:1->2:1->9:1) to yield 126mg 5,7,7-triethyl-2-(1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (0.337mmol, 55%).

MS: M = 374.1 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 0.48 (t, 6H), 1.29 (t, 3H), 1.82 (m, 4H), 3.80 (t, 2H), 7.03 and 7.34 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.38 and 7.63 (s, 1H, two tautomeric forms), 7.47 (t, 1H), 7.64 (d, 1H), 8.50 (d, 1H), 12.93 (br, 1H), 13.54 (br, 1H)

Example 13

7-Ethyl-2-(1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 12, 7-ethyl-2-(1*H*-indazol-3-yl)-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one was prepared from 5,6-diamino-3-ethyl-1,3-dihydro-indol-2-one (DE3417643A1) and 1H-indazole-3-carboxylic acid.

MS: M = 318.0 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 0.81 (t, 3H), 1.87 - 1.99 (m, 2H), 3.48 (m, 1H), 6.92 and 7.08 (s, 1H, two tautomeric forms), 7.29 (t, 1H), 7.37 and 7.59 (s, 1H, two tautomeric forms), 7.46 (t, 1H), 7.63 (d, 1H), 8.49 (d, 1H), 10.29 and 10.34 (br, 1H, two tautomeric forms), 12.77 and 1287 (br, 1H, two tautomeric forms), 13.53 (br, 1H)

Example 14

5-Ethyl-2-(1H-indazol-3-yl)-8,8-dimethyl-3,5,7,8-tetrahydro-imidazo[4,5-g]quinolin-6-one

A mixture of 6,7-diamino-1-ethyl-4,4-dimethyl-3,4-dihydro-1H-quinolin-2-one (70mg, 0.300mmol), 1H-indazole-3-carbaldehyde (44mg, 0.301mmol) and sulfur (10.5mg, 0.327mmol) in DMF (3ml) was heated at 155°C for 30 minutes. After cooling to room temperature the reaction mixture was treated with water. After stirring for 30 minutes the precipitate was filtered off and washed with water to yield 94mg 5-ethyl-2-(1H-indazol-3-yl)-8,8-dimethyl-3,5,7,8-tetrahydro-imidazo[4,5-g]quinolin-6-one (87%).

MS: M = 360.3 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.20 (bt, 3H), 1.30 (s, 6H), 2.46 (s, 2H), 4.08 (bq, 2H), 7.07 - 7.82 (m, 2H), 7.30 (t, 1H), 7.47 (t, 1H), 7.65 (d, 1H), 8.51 (d, 1H), 12.81 and 12.89 (bs, 1H), 13.59 (s, 1H)

Example 15

5-But-3-enyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 14, 5-but-3-enyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one was prepared from 5,6-diamino-1-but-3-enyl-3,3-dimethyl-1,3-dihydro-indol-2-one and 1H-indazole-3-carbaldehyde. 5,6-Diamino-1-but-3-enyl-3,3-dimethyl-1,3-dihydro-indol-2-one was prepared in an analogous manner as described for 1-allyl-5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one (see part A, starting materials) using 4-bromo-1-butene instead of 3-bromo-propene as alkylating agent.

MS: M = 372.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.33 (s, 6H), 2.44 (m, 2H), 3.83 (t, 2H), 4.91 - 5.07 (m, 2H), 5.82 (m, 1H), 7.04 - 7.70 (m, 2H), 7.30 (t, 1H), 7.47 (t, 1H), 7.64 (d, 1H), 8.50 (d, 1H), 12.85 - 13.09 (bs, 1H), 13.56 (bs, 1H)

In an analogous manner as described for example 15 the following examples 16-25 were prepared from the appropriate starting materials:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
16	2-(1*H*-Indazol-3-yl)-7,7-dimethyl-5-pyridin-3-ylmethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one	1.42 (s, 6H), 5.06 (s, 2H), 6.94 and 7.27 (s, 1H, two tautomeric forms), 7.28 - 7.77 (m, 6h), 8.46 - 8.49 (m, 2H), 8.62 and 8.67 (s, 1H, two tautomeric forms), 12.84 and 13.01 (s, 1H, two tautomeric forms), 13.55 (s, 1H)	409.1(API+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
17	2-(1*H*-Indazol-3-yl)-5-[2-(2-methoxy-ethoxy)-ethyl]-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one	1.34 (s, 6H), 3.19 (s, 3H), 3.38 - 3.51 (m, 2H), 3.54 (t, 2H), 3.69 (t, 2H), 3.93 (t, 2H), 7.12 - 7.49 (m,4H), 7.64 and 7.66 (s, 1H, two tautomeric forms), 8.49 and 8.51 (s, 1H, two tautomeric forms), 12.96 (s, 1H), 13.56 (s, 1H)	420.2 (ESI+)
18	2-(1*H*-Indazol-3-yl)-5-(2-methoxy-ethyl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one	1.34 (s, 6H), 3.27 (s, 3H), 3.62 (q, 2H), 3.93 (q, 2H), 7.10 and 7.72 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.40 - 7.49 (m, 2H), 7.65 (d, 1H), 8.51 (t, 1H), 12.91 and 12.98 (s, 1H, two tautomeric forms), 13.54 and 13.58 (s, 1H, two tautomeric forms)	376.1 (API+)
19	2-(1*H*-Indazol-3-yl)-7,7-dimethyl-5-(3-piperidin-1-yl-propyl)-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one	1.34 (s, 6H), 1.41 (m, 2H), 1.55 (m, 4H), 1.85 (m, 2H), 2.44 (m, 3H), 3.78 (m, 2H), 7.07 and 7.43 (s, 1H), 7.30 (t, 1H), 7.44 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (m, 1H), 8.50 (m, 1H), 12.95 and 12.99 (s, 1H), 13.54 and 13.58 (s, 1H)	443.1 (API+)
20	5-(2-Diisopropylamino-ethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one	(400MHz, CDCl3) 1.00 (d, 12H), 1.49 (s, 6H), 2.96 (t, 2H), 3.12 (m, 2H), 4.75 (m, 2H), 7.34 (m, 3H), 7.48 (m, 1H), 7.56 (d, 1H), 7.85 (s, 1H), 8.63 (d, 1H)	445.2 (API+)
21	5-(3-Dimethylamino-propyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one	1.34 (s, 6H), 1.76 - 1.81 (m, 2H), 2.17 (s, 6H), 2.27 - 2.32 (m, 2H), 3.77 (t, 2H), 7.09 and 7.39 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.46 (d, 1H), 7,49 and 7.72 (s, 1H, two tautomeric forms), 7.64 (d, 1H), 8.50 (t, 1H), 12.92 and 12.99 (s, 1H, two tautomeric forms), 13.54 and 13.58 (s, 1H, two tautomeric forms)	403.1 (API+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
22	5-(2-Diethylamino-ethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one	0.91 (t, 6H), 1.34 (s, 6H), 2.67 (m, 2H), 3.22 (t, 4H), 3.37 (t, 2H), 4.11 (t, 2H), 7.06 and 7.34 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.43 - 7.47 (m, 1H), 7.49 and 7.70 (s, 1H, two tautomeric forms), 7.64 (d, 1H), 8.50 (d, 1H), 12.90 and 12.98 (s, 1H, two tautomeric forms), 13.54 (s, 1H)	417.2 (API+)
23	[2-(1*H*-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-acetic acid ethyl ester	1.21 (t, 3H), 1.37 (s, 6H), 4.16 (q, 2H), 4.63 (s, 2H), 7.01 and 7.33 (s, 1H), 7.30 (t, 1H), 7.47 and 7.75 (s, 1H), 7.47 (t, 1H), 7.64 (d, 1H), 8.49 (d, 1H), 12.95 and 13.01 (s, 1H), 13.54 and 13.58 (s, 1H)	404.0 (API+)
24	[2-(1*H*-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-acetonitrile	1.39 (s, 6H), 5.04 (d, 2H), 7.28 and 7.52 (s, 1H), 7.30 (t, 1H), 7.47 (t, 1H), 7.58 and 7.81 (s, 1H), 7.65 (d, 1H), 8.51 (m, 1H), 13.11 (s, 1H), 13.58 and 13.61 (s, 1H)	357.1 (API+)
25	2-(1*H*-Indazol-3-yl)-7,7-dimethyl-5-(2-methylsulfanyl-ethyl)-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one	1.36 (s, 6H), 2.14 (s, 3H), 2.83 (m, 2H), 3.98 (t, 2H), 7.08 and 7.74 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.46 (m, 2H), 7.65 (d, 1H), 8.50 (s, 1H), 12.93 and 13.01 (s, 1H, two tautomeric forms), 13.57 (s, 1H)	392.3 (ESI+)

Example 26

5-Benzyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 1, 5-benzyl-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one was prepared from 5,6-diamino-1-benzyl-3,3-dimethyl-1,3-dihydro-indol-2-one and 1H-indazole-3-carbaldehyde. 5,6-Diamino-1-benzyl-3,3-dimethyl-1,3-dihydro-indol-2-one was prepared in an analogous manner as described for 1-allyl-5,6-diamino-3,3-

dimethyl-1,3-dihydro-indol-2-one (see part A, starting materials) using benzyl bromide instead of 3-bromo-propene as alkylating agent.

MS: M = 408.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.43 (d, 6H), 5.00 (s, 2H), 6.88 and 7.17 (s,1H, two tautomeric forms), 7.26 - 7.45 (m, 7H), 7.47 and 7.77 (s, 1H, two tautomeric forms), 7.63 (d, 1H), 8.46 (m, 1H), 12.80 and 13.99 (s, 1H), 13,54 (d, 1H)

In an analogous manner as described for example 26 the following examples 27-29 were prepared from the appropriate starting materials:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
27	2-(1H-Indazol-3-yl)-5-(4-methanesulfonyl-benzyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.43 (s, 3H), 1.45 (s, 3H), 3.18 (m, 3H), 5.13 (m, 2H), 6.88 and 7.23 (s, 1H), 7.28 (m, 1H), 7.45 (t, 1H), 7.49 and 7.79 (s, 1H), 7.54 (d, 1H), 7.62 (m, 2H), 7.92 (m, 2H), 8.45 (m, 1H), 12.82 and 13.02 (s, 1H), 13.50 and 13.57 (s, 1H)	486.2 (ESI+)
28	5-[2-(tert-Butyl-dimethyl-silanyloxy)-ethyl]-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	-0.07 (s, 6H), 0.76 (m, 9H), 1.34 (m, 6H), 3.87 (m, 4H), 7.08 and 7.37 (s, 1H), 7.29 (m, 1H), 7.42 and 7.69 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.49 (d, 1H), 12.92 and 12.96 (s, 1H), 13.53 and 13.57 (s, 1H)	476.3 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
29	5-(2-Hydroxy-3-morpholin-4-yl-propyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.34 (s, 6H), 2.40 (m, 6H), 3.51 - 3.71 (m, 5H), 3.85 (m, 1H), 4.08 (m, 1H), 4.95 (m, 1H), 7.14 and 7.42 (s, 1H), 7.29 (t, 1H), 7.44 and 7.70 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.50 (m, 1H), 11.94 (bs, 1H), 12.94 and 12.97 (s, 1H)	461.5 (ESI+)

Example 30

5-(Dimethyl-phosphinoylmethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 3, 5-(dimethyl-phosphinoylmethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one was prepared from 5,6-diamino-1-(dimethyl-phosphinoylmethyl)-3,3-dimethyl-1,3-dihydro-indol-2-one and 1H-indazole-3-carboxylic acid. 5,6-Diamino-1-(dimethyl-phosphinoylmethyl)-3,3-dimethyl-1,3-dihydro-indol-2-one was prepared in an analogous manner as described for 1-allyl-5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one (see part A, starting materials) using chloromethyl(dimethyl)phosphine oxide instead of 3-bromo-propene as alkylating agent.

MS: M = 408.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.38 (m, 6H), 1.47 (s, 3H), 1.50 (s, 3H), 4.22 (m, 2H), 7.29 (t, 1H), 7.31 and 7.44 (s, 1H), 7.46 (t, 1H), 7.58 and 7.73 (s, 1H), 7.64 (m, 1H), 8.50 (m, 1H), 12.97 and 13.00 (s, 1H), 13.53 and 13.58 (s, 1H)

Example 31

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-thioacetamide

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-thioacetamide was obtained as a byproduct in the synthesis of [2-(1H-

indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetonitrile (example 24).

MS: M = 391.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.40 (bs, 6H), 4.62 (bs, 2H), 6.85 and 7.09 (s, 1H), 7.29 (t, 1H), 7.44 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.50 (d, 1H), 9.41and 9.82 (s, 2H), 12.89 and 12.98 (s, 1H), 13.52 and 13.57 (s, 1H)

Example 32

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-thiazol-2-ylmethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

A solution of 2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-thioacetamide (example 31, 25mg, 0.064mmol) and 1,2-dichloro-diethylether (11mg, 0.066mmol) in DMF (0.3ml) was heated to 140°C for 3.5h. Purification by HPLC chromatography yielded 12.8mg 2-(1H-indazol-3-yl)-7,7-dimethyl-5-thiazol-2-ylmethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (0.031mmol, 48%).

MS: M = 413.0 (API-)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.41 (s, 6H), 5.32 (s, 2H), 7.06 and 7.28 (s, 1H), 7.28 (t, 1H), 7.45 and 7.77 (s, 1H), 7.46 (t, 1H), 7.63 (d, 1H), 7.68 (d, 1H), 7.79 (d, 1H), 8.47 (d, 1H), 12.89 and 13.03 (s, 1H), 13.55 (s, 1H)

Example 33

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(1H-tetrazol-5-ylmethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

A solution of [2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetonitrile (example 24, 50mg, 0.140mmol), sodium azide (109.5mg, 1.68mmol) and ammonium chloride (91mg, 1.701mmol) in DMF (1.5ml) in a sealed tube was heated in a microwave at 15 Watt for 40 minutes. During that time temperature reached 225°C and pressure 14bar. After cooling to room temperature the reaction mixture was added to saturated $NaHCO_3$ solution

(35ml). The aqueous phase was washed twice with ethyl acetate and then acidified with concentrated hydrochloric acid to pH1. The aqueous phase was extracted with n-butanol, the organic phase was dried and the solvent evaporated. The residue was triturated with diisopropyl ether and ethyl acetate and then purified by HPLC chromatography to yield 18.9mg 2-(1H-indazol-3-yl)-7,7-dimethyl-5-(1H-tetrazol-5-ylmethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (0.047mmol, 34%).

MS: M = 398.0 (API-)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.40 (s, 6H), 5.33 (s, 2H), 6.96 - 7.82 (m, 2H), 7.29 (t, 1H), 7.46 (t, 1H), 7.63 (d, 1H), 8.48 (d, 1H), 12.91 and 13.03 (s, 1H), 13.55 (s, 1H)

Example 34

5-(2-Hydroxy-ethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one

To a solution of 5-[2-(tert-butyl-dimethyl-silanyloxy)-ethyl]-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 28, 80mg, 0.168mmol) in THF (2ml) was added a solution of tetrabutylammonium fluoride (1M, 505µl, 0.505mmol). After 1h at room temperature the reaction mixture was concentrated and the residue treated with water. The resulting precipitate was filtered off: 25mg 5-(2-hydroxy-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (0.069mmol, 41%).

MS: M = 362.3 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.34 (s, 6H), 3.65 (t, 2H), 3.81 (t, 2H), 4.90 (bs, 1H), 7.13 - 7.76 (m, 2H), 7.29 (t, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.50 (d, 1H)

Example 35

5-(2,3-Dihydroxy-propyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

In an analogous manner as described for example 1, 5-(2,3-Dihydroxy-propyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one was prepared from 5,6-diamino-1-(2,2-dimethyl-[1,3]dioxolan-4-ylmethyl)-3,3-dimethyl-1,3-dihydro-indol-2-one and 1H-indazole-3-carbaldehyde. 5,6-Diamino-1-(2,2-dimethyl-[1,3]dioxolan-4-ylmethyl)-3,3-dimethyl-1,3-dihydro-indol-2-one was prepared in an analogous manner as described for 1-allyl-5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one (see part A, starting materials) using 4-bromomethyl-2,2-dimethyl-[1,3]dioxolane instead of 3-bromo-propene as alkylating agent.

MS: M = 392.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.35 (s, 6H), 3.39 (bd, 2H), 3.58 - 3.95 (m, 3H), 4.68 (bs, 1H), 4.95 (bs, 1H), 7.15 and 7.42 (s, 1H), 7.29 (t, 1H), 7.46 and 7.70 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.50 (m. 1H), 12.90 and 12.96 (s, 1H), 13.52 and 13.57 (s, 1H)

Example 36

[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid

A solution of [2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid ethyl ester (example 23, 50mg, 0.124mmol) in THF (4ml) was treated with lithium hydroxide (6mg, 0.250mmol) and heated to 70°C. After 2 and 3.5h further four and two equivalents lithium hydroxide were added to the reaction mixture. After 5h the mixture was cooled to room temperature and treated with water. The aqueous phase was washed twice with ethyl acetate and then acidified with 1M hydrochloric acid to pH2-3. The aqueous phase was extracted with ethyl acetate, the combined organic phases were dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 7.8mg [2-(1*H*-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-acetic acid (0.021mmol, 17%).

MS: M = 373.9 (API-)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.37 (s, 6H), 4.49 (d, 2H), 6.97 and 7.29 (s, 1H), 7.29 (t, 1H), 7.44 and 7.73 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.49 (d, 1H), 12.92 and 12.99 (s, 1H), 13.53 and 13.58 (s, 1H)

Example 37

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide

A mixture of [2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid ethyl ester (example 23, 100mg, 0.248mmol), methanol (1 drop) and ammonia (25%, 910µl, 13.5mmol) was stirred at room temperature. After 12h further ammonia (25%, 910µl, 13.5mmol) was added. After 5h the suspension was treated with water and the aqueous phase was extracted three times with ethyl acetate. The combined organic phases were dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 54mg 2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide (0.143mmol, 58%).

MS: M = 375.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.37 (s, 6H), 4.34 (s, 2H), 6.89 and 7.17 (s, 1H), 7.26 and 7.66 (s, 2H), 7.29 (t, 1H), 7.44 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.50 (d, 1H), 12.90 and 12.98 (s, 1H), 13.54 (s, 1H)

Example 38

N-(2-Dimethylamino-ethyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide

A mixture of [2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid ethyl ester (example 23, 50mg, 0.124mmol), N,N`-dimethylethylendiamine (159µl, 1.37mmol) and ammonium chloride (2mg, 0.037mmol) was heated to 105°C in a sealed tube. After 1h the reaction mixture was cooled to room temperature and treated with water. The precipitate formed was filtered off and washed with water. The combined aqueous phases were extracted

three times with ethyl acetate. The combined organic phases were dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 38.5mg N-(2-dimethylamino-ethyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide (0.086mmol, 70%).

MS: M = 446.2 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.37 (s, 6H), 2.15 (bs, 3H), 2.18 (bs, 3H), 2.32 (t, 2H), 3.20 (t, 2H), 4.37 (s, 2H), 6.88 and 7.17 (s, 1H), 7.29 (t, 1H), 7.44 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.13 (m, 1H), 8.49 (d, 1H), 12.90 and 12.98 (s, 1H), 13.53 and 13.57 (s, 1H)

In an analogous manner as described for example 38 the following examples 39-47 were prepared from the appropriate starting materials:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
39	N-Benzyl-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide	1.38 (s, 6H), 4.34 (m, 2H), 4.46 (s, 2H), 6.96 and 7.27 (s, 1H), 7.19 - 7.38 (m, 6H), 7.46 (d, 1H), 7.49 and 7.73 (s, 1H), 7.64 (d, 1H), 8.50 (t, 1H), 8.74 (bd, 1H), 12.93 and 12.99 (s, 1H), 13.54 and 13.58 (s, 1H)	465.0 (API+)
40	2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(2-morpholin-4-yl-2-oxo-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.37 (s, 6H), 3.45 (m, 2H), 3.62 (m, 4H), 3.70 (m, 2H), 4.69 (d, 2H), 6.96 an 7.29 (s, 1H), 7.29 (t, 1H), 7.43 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.49 (s, 1H), 12.89 and 12.97 (s, 1H), 13.52 and 13.57 (s, 1H)	445.0 (API+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
41	2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-pyridin-3-ylmethyl-acetamide	1.38 (bs, 6H), 4.37 (m, 2H), 4.47 (s, 2H), 6.95 and 7.24 (s, 1H), 7.30 (m, 1H), 7.37 (m, 1H), 7.46 (d, 1H), 7.48 and 7.69 (s, 1H), 7.64 (d, 1H), 7.70 (m, 1H), 8.44 - 8.56 (m, 3H), 8.80 (t, 1H), 12.93 and 12.99 (s, 1H), 13.54 and 13.58 (s, 1H)	466.1 (API+)
42	2-(1H-Indazol-3-yl)-7,7-dimethyl-5-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethyl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.37 (s, 6H), 2.22 (s, 3H), 2.29 (bt, 2H), 2.41 (bt, 2H), 3.46 (bt, 2H), 3.60 (bt, 2H), 4.68 (bs, 2H), 6.93 and 7.25 (s, 1H), 7.29 (t, 1H), 7.43 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.49 (d, 1H), 12.86 and 12.97 (s, 1H), 13.55 (bs, 1H)	458.2 (API+)
43	2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-phenyl-acetamide	1.40 (s, 6H), 4.63 (s, 2H), 6.98 - 7.76 (m, 2H), 7.07 (t, 1H), 7.23 - 7.36 (m, 3H), 7.46 (t, 1H), 7.58 - 7.67 (m, 3H), 8.48 (m, 1H), 10.41 (d, 1H), 12.89 and 12.99 (s, 1H), 13.52 and 13.57 (s, 1H)	451.1 (API+)
44	2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(2-oxo-2-piperidin-1-yl-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.37 (s, 6H), 1.46 (m, 2H), 1.62 (m, 4H), 3.44 (m, 2H), 3.55 (m, 2H), 4.65 (s, 2H), 6.93 and 7.24 (s, 1H), 7.29 (t, 1H), 7.43 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.49 (d, 1H), 12.85 and 12.97 (s, 1H), 13.51 and 13.57 (s, 1H)	443.1 (API+)
45	N-(4-Fluoro-phenyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide	1.39 (s, 6H), 4.62 (s, 2H), 7.00 and 7.29 (s, 1H), 7.16 (m, 2H), 7.29 (m, 1H), 7.46 and 7.74 (s, 1H), 7.46 (m, 1H), 7.36 (m, 3H), 8.48 (m, 1H), 10.48 (m, 1H), 12.89 and 12.99 (s, 1H), 13.52 and 13.57 (s, 1H)	469.2 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
46	N-(4-Fluoro-benzyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide	1.38 (s, 6H), 4.32 (m, 2H), 4.45 (s, 2H), 6.94 and 7.21 (s,1H), 7.11 - 7.20 (m, 2H), 7.26 - 7.40 (m, 3H), 7.46 (m, 1H), 7.48 and 7.73 (s, 1H), 7.64 (d, 1H), 8.50 (m, 1H), 8.75 (m, 1H), 12.93 and 12.99 (s, 1H), 13.54 and 13.58 (s, 1H)	483.0 (ESI+)
47	N-(3,5-Dimethoxy-benzyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide	1.37 (s, 6H), 3.70 (s, 3H), 3.73 (s, 3H), 4.27 (m, 2H), 4.47 (m, 2H), 6.36 (s, 1H), 6.46 (m, 2H), 6.96 and 7.23 (s, 1H), 7.30 (m, 1H), 7.45 (m, 1H), 7.48 and 7.72 (m, 1H), 7.64 (m, 1H), 8.50 (d, 1H), 8.69 (m, 1H), 12.89 and 12.98 (s, 1H), 13.53 and 13.57 (s, 1H)	225.1 (ESI+)

Example 48

N-(2,3-Dihydroxy-propyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide

In an analogous manner as described for example 38, N-(2,3-dihydroxy-propyl)-2-[2-(1*H*-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-*f*]indol-5-yl]-acetamide was prepared using 2,2-dimethyl-1,3-dioxolane-4-methanamine instead of N,N`-dimethylethylendiamine.

MS: M = 449.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.37 (s, 6H), 3.03 (m, 1H), 3.28 - 3.56 (m, 4H), 4.41 (s, 2H), 4.55 (bt, 1H), 4.82 (bd, 1H), 6.90 and 7.17 (s, 1H), 7.29 (t, 1H), 7.43 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.17 (bt, 1H), 8.49 (d, 1H), 12.89 and 12.98 (s, 1H), 13.55 (bs, 1H)

Example 49

N-Hydroxy-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide

A mixture of [2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid ethyl ester (example 23, 100mg, 0.248mmol), hydroxylamine (2M in MeOH, 1240µl, 2.48mmol) and potassium hydroxide (15.5mg, 0.276mmol) was stirred under an argon atmosphere for 1.5h at room temperature. The solvent was evaporated and the residue dissolved in water. The aqueous phase was extracted three times with ethyl acetate. The combined organic phases were dried over $MgSO_4$ and the solvent was evaporated. The residue was triturated with diisopropyl ether and dried in vacuum to yield 52mg N-hydroxy-2-[2-(1*H*-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-*f*]indol-5-yl]-acetamide (0.133mmol, 54%).

MS: M = 391.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.37 (s, 6H), 4.32 (s, 2H), 6.97 and 7.25 (s, 1H), 7.29 (t, 1H), 7.44 and 7.72 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.50 (d, 1H), 9.02 (s, 1H), 10.90 (d, 1H), 12.96 and 12.99 (s, 1H), 13.53 and 13.58 (s, 1H)

Example 50

N-Benzyloxy-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide

To a solution of N-hydroxy-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide (example 49, 20mg, 0.051mmol) in ethanol (0.5ml) was added a solution of potassium hydroxide (3.5mg, 0.054mmol) in water. After 5 minutes benzyl bromide (10.1mg, 0.059mmol) was added and the reaction mixture was stirred at room temperature under an argon atmosphere. After 5h further 0.2 equivalents benzyl bromide were added and stirring was continued overnight. The solvent was evaporated and the residue was triturated with diethyl ether. The precipitate was filtered off and purified by HPLC chromatography to yield 9mg *N*-benzyloxy-2-[2-(1*H*-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-acetamide (0.019mmol, 37%)

MS: M = 481.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.38 (s, 6H), 4.33 (s, 2H), 4.84 (s, 2H), 6.98 and 7.23 (s, 1H), 7.30 (t, 1H), 7.34 - 7.50 (m, 6H), 7.53 and 7.73 (s, 1H), 7.64 (d, 1H), 8.51 (m, 1H), 11.54 (bs, 1H), 12.95 (bs, 1H), 13.56 (bs, 1H)

Example 51

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-methoxy-acetamide

To a solution of O-methylhydroxylamine hydrochloride (18mg, 0.215mmol) in dichloromethane (2ml) was added triethylamine (21.8mg, 30µl, 0.215mmol) and then [2-(1*H*-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-acetic acid (example 36, 80mg, 0.213mmol), N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide hydrochloride (49mg, 0.256mmol) and hydroxybenzotriazole hydrate (39mg, 0.255mmol). After 3.5h at room temperature the solvent was evaporated, the residue treated with saturated bicarbonate solution and the aqueous phase extracted three times with ethyl acetate. The combined organic phases were dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 2.4mg 2-[2-(1*H*-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-*N*-methoxy-acetamide (0.006mmol, 2.8%)

MS: M = 405.0 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.37 (s, 6H), 3.65 (s, 3H), 4.31 (s, 2H), 6.96 and 7.24 (s, 1H), 7.29 (t, 1H), 7.44 and 7.73 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.49 (d, 1H), 11.51 (bs, 1H), 12.94 and 12.99 (s, 1H), 13.54 and 13.58 (s, 1H)

Example 52

5-(2-Amino-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetonitrile (1645mg, 4.61mmol) was hydrogenated in 2M methanolic ammonia in the presence of Raney-Nickel (1650mmg, 280mmol) for 13h at

30mbar. The catalyst was filtered off and the solvent evaporated. The residue was triturated with water and in dried in vacuum to yield 1200mg 5-(2-amino-ethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one (3.33mmol, 72%)

MS: M = 361.2 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.34 (s, 6H), 2.82 (t, 2H), 3.75 (t, 2H), 7.05 - 7.76 (m, 2H), 7.30 (t, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.50 (d, 1H)

Example 53

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-benzamide

To a solution of benzoic acid (6.5mg, 0.053mmol) in dichloromethane (1ml) were added N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide hydrochloride (15mg, 0.078mmol) and hydroxybenzotriazole hydrate (12mg, 0.078mmol). After 50 minutes at room temperature a solution of 5-(2-amino-ethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one (example 52, 18.7mg, 0.052mmol) in DMF (1ml) was added and stirring continued for 2h. The solvent was evaporated, the residue treated with saturated bicarbonate solution and the aqueous phase extracted three times with ethyl acetate. The combined organic phases were dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 6.8mg *N*-{2-[2-(1*H*-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-ethyl}-benzamide (0.015mmol, 28%).

MS: M = 465.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.32 (s, 6H), 3.58 (bt, 2H), 3.94 (bt, 2H), 7.13 - 7.81 (m, 2H), 7.30 (bt, 1H), 7.37 - 7.55 (m, 5H), 7.64 (d, 1H), 7.76 (d, 1H), 8.50 (m, 1H), 8.64 (bt, 1H), 12.97 (s, 1H), 13.54 (s, 1H)

In an analogous manner as described for example 53 the following example 54 was prepared from the appropriate starting materials:

Example 54

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-2-phenyl-acetamide

MS: M = 479.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.35 (s, 6H), 3.33 (s, 2H), 3.38 (m, 2H), 3.84 (t, 1H), 7.16 (m, 5H), 7.31 (s, 1H), 7.40 (t, 1H), 7.54 (t, 1H), 7.67 (s, 1H), 7.74 (d, 1H), 8.25 (t, 1H), 8.51 (d, 1H), 14.09 (s, 1H)

Example 55

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-nicotinamide

To a solution of 5-(2-amino-ethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one (example 52, 50mg, 0.139mmol) in THF (2ml) and DMF (0.3ml) at 0°C were added nicotinyl chloride hydrochloride (50mg, 0.281mmol) and diisopropylethylamine (82mg, 0.632mmol). After 5h at room temperature the solvent was evaporated and methanol (1ml) and KOH (1M solution, 1ml) were added. After 30 minutes at room temperature the solvent was evaporated and the residue purified by HPLC chromatography to yield 36.5mg *N*-{2-[2-(1*H*-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-ethyl}-nicotinamide (0.078mmol, 56%).

MS: M = 466.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.31 (bs, 6H), 3.60 (m, 2H), 3.96 (t, 2H), 7.14 and 7.42 (s, 1H), 7.30 (m, 1H), 7.45 and 7.70 (s, 1H), 7.47 (m, 2H), 7.64 (m, 1H), 8.07 (d, 1H), 8.50 (t, 1H), 8.65 (m, 1H), 8.80 - 8.92 (m, 2H), 12.97 (s, 1H), 13.53 and 13.58 (s, 1H)

In an analogous manner as described for example 55 the following examples 56-61 were prepared from the appropriate acyl chlorides, carbamoyl chlorides and sulfonyl chlorides:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
56	Cyclopropanecarboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide	0.57 (m, 2H), 0.64 (m, 2H), 1.34 (m, 6H), 1.43 (m, 1H), 3.35 (m, 2H), 3.79 (t, 2H), 7.08 and 7.41 (s, 1H), 7.30 (m, 1H), 7.41 and 7.70 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.23 (m, 1H), 8.51 (m, 1H), 12.95 (s, 1H), 13.52 and 13.57 (s, 1H)	429.2 (ESI+)
57	Morpholine-4-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide	1.34 (s, 6H), 3.14 - 3.22 (m, 6H), 3.44 (m, 4H), 3.80 (bt, 2H), 6.74 (m, 1H), 7.11 and 7.41 (s, 1H), 7.29 (t, 1H), 7.43 and 7.69 (s, 1H), 7.46 (t, 1H), 7.64 (d, 1H), 8.51 (m, 1H), 12.96 (s, 1H), 13.52 and 13.57 (s, 1H)	472.1 (API-)
58	Pyrrolidine-1-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide	1.34 (s, 6H), 1.69 (m, 4H), 3.13 (m, 4H), 3.29 (m, 2H), 3.79 (t, 2H), 6.29 (m,1H), 7.14 and 7.41 (s, 1H), 7.29 (m, 1H), 7.46 and 7.68 (s, 1H), 7.46 (m, 1H), 7.64 (m, 1H), 8.51 (m, 1H), 12.95 and 12.97 (s, 1H), 13.51 and 13.56 (s, 1H)	456.28 (ESI-)
59	4-Methyl-piperazine-1-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide	1.34 (m, 6H), 2.06 (m, 3H), 2.14 (m, 4H), 3.21 (m, 4H), 3.79 (m, 2H), 6.70 (t, 1H), 7.11 and 7.41 (s, 1H), 7.29 (m, 1H), 7.43 and 7.69 (s, 1H), 7.46 (m, 1H), 7.64 (m, 1H), 8.51 (m, 1H), 12.95 (s, 1H), 13.51 and 13.56 (s, 1H)	485.20 (ESI-)
60	N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-benzenesulfonamide	1.33 (s, 6H), 3.02 (m, 2H), 3.80 (m, 2H), 7.07 and 7.34 (s, 1H), 7.30 (t, 1H), 7.42 and 7.71 (s, 1H), 7.47 (t, 1H), 7.54 - 7.67 (m, 5H), 7.81 (m, 2H), 8.51 (m, 1H), 13.00 (s, 1H), 13.56 (s, 1H)	523.1 (API+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
61	N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-methanesulfonamide	1.35 (s, 6H), 2.91 (s, 3H), 3.24 (m, 2H), 3.85 (m, 2H), 7.10 and 7.40 (s, 1H), 7.30 (m, 2H), 7.44 and 7.72 (s, 1H), 7.46 (m, 1H), 7.64 (d, 1H), 8.50 (m, 1H), 12.99 (s, 1H), 13.54 and 13.58 (s, 1H)	439.3 (ESI+)

Example 62

N-{2-[2-(1*H*-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-ethyl}-acetamide

To a solution of 5-(2-amino-ethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one (example 52, 50mg, 0.139mmol) in pyridine (0.5ml) was added acetic anhydride (142mg, 131µl, 1.39mmol). After 2h at room temperature the reaction mixture was treated with water and the solvent was evaporated. To the residue methanol (1ml) and KOH (1M solution, 1ml) were added. After 90 minutes at room temperature the solvent was evaporated and the residue purified by HPLC chromatography to yield 16.5mg *N*-{2-[2-(1*H*-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-ethyl}-acetamide (0.041mmol, 30%).

MS: M = 403.3 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.33 (bs, 3H), 1.35 (bs, 3H), 1.73 and 1.75 (s, 3H), 3.30 (m, 2H), 3.79 (m, 2H), 7.07 and 7.40 (s, 1H), 7.30 (m, 1H), 7.42 and 7.70 (s, 1H), 7.46 (m, 1H), 7.64 (m, 1H), 8.02 (m, 1H), 8.50 (m, 1H), 12.96 (s, 1H), 13.52 and 13.57 (s, 1H)

Example 63

1-Benzyl-3-{2-[2-(1*H*-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-ethyl}-urea

To a solution of 5-(2-amino-ethyl)-2-(1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one (example 52, 100mg, 0.277mmol) in DMF (2ml) were added triethylamine (55.9mg, 77µl, 0.552mmol) and benzyl isocyanate (41mg, 0.308mmol) and heated under reflux for 6h under an argon atmosphere. After

cooling to room temperature the reaction mixture was treated with water and the aqueous phase was extracted three times with ethyl acetate. The combined organic phases were washed with brine, dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 37mg 1-benzyl-3-{2-[2-(1*H*-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3*H*-imidazo[4,5-*f*]indol-5-yl]-ethyl}-urea (0.075mmol, 27%).

MS: M = 492.51 (ESI-)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) 1.34 (m, 6H), 3.33 (m, 2H), 3.79 (t, 2H), 4.19 (m, 2H), 6.11 (t, 1H), 6.41 (m, 1H), 7.11 - 7.72 (m, 2H), 7.11 - 7.34 (m, 6H), 7.47 (m, 1H), 7.64 (m, 1H), 8.51 (m, 1H), 12.95 and 12.97 (s, 1H), 13.51 and 13.57 (s, 1H)

Example 64

2-(1*H*-Indazol-3-yl)-5-(2-methanesulfinyl-ethyl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one

To a solution of 2-(1H-indazol-3-yl)-7,7-dimethyl-5-(2-methylsulfanyl-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 25, 40mg, 0.102mmol) in dichloromethane (1.5ml) was added a solution of 3-chloroperoxybenzoic acid (18.3mg, 0.082mmol) in dichloromethane (0.5ml). After 15 minutes the solvent was evaporated and the residue purified by HPLC chromatography to yield 21mg 2-(1*H*-Indazol-3-yl)-5-(2-methanesulfinyl-ethyl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one (0.052mmol, 50%).

MS: M = 408.0 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.36 (s, 6H), 2.63 (s, 3H), 3.04 (m, 2H), 4.15 (t, 2H), 7.16 and 7.74 (s, 1H, two tautomeric forms), 7.30 (t, 1H), 7.47 (m, 2h), 7.65 (d, 1H), 8.51 (d, 1H), 13.01 (s, 1H), 13.59 (s, 1H)

Example 65

2-(1*H*-Indazol-3-yl)-5-(2-methanesulfonyl-ethyl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one

To a solution of 2-(1H-indazol-3-yl)-7,7-dimethyl-5-(2-methylsulfanyl-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 25, 40mg, 0.102mmol) in dichloromethane (1.5ml) was added a solution of 3-chloroperoxybenzoic acid (68.7mg, 0.308mmol) in dichloromethane (0.5ml). After 2h at room temperature the solvent was evaporated and the residue purified by HPLC chromatography to yield 25.3mg 2-(1*H*-indazol-3-yl)-5-(2-methanesulfonyl-ethyl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one (0.060mmol, 58%).

MS: M = 424.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.36 (s, 6H), 3.11 (m, 3H), 3.56 (m, 2H), 4.21 (m, 2H), 7.13 and 7.75 (s, 1H, two tautomeric forms), 7.31 (t, 1H), 7.47 (m, 2h), 7.65 (d, 1H), 8.51 (t, 1H), 12.99 and 13.02 (s, 1H, two tautomeric forms), 13.54 and 13.59 (s, 1H, two tautomeric forms)

Example 66

5-Ethyl-2-(5-fluoro-1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one

In an analogous manner as described for example 3, 5-ethyl-2-(5-fluoro-1*H*-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one was prepared from 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-dihydro-indol-2-one (see part A, starting materials) and 5-fluoro-1H-indazole-3-carboxylic acid (prepared from 5-fluoroisatin according to WO03/035065, reference example 26 and J.Am.Chem.Soc. 1952 (74), 2009-2012).

MS: M = 364.3 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.33(s, 6H), 3.78 (bq, 2H), 7.03 and 7.39 (s, 1H), 7.39 (m, 1H), 7.44 and 7.74 (s, 1H), 7.70 (m, 1H), 8.13 (m, 1H), 12.97 and 13.03 (s, 1H), 13.69 (s, 1H)

In an analogous manner as described for example 66 the following examples 67-68 were prepared from the appropriate isatins:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
67	5-Ethyl-7,7-dimethyl-2-(5-trifluoromethoxy-1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 3.79 (m, 2H), 7.04 and 7.80 (s, 1H, two tautomeric forms), 7.43 - 7.49 (m, 2H), 7.78 (m, 1H), 8.41 (d, 1H), 13.04 and 13.10 (s, 1H, two tautomeric forms), 13.83 and 13.88 (s, 1H, two tautomeric forms)	430.0 (API+)
68	2-(5-Chloro-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (m, 3H), 1.33 (s, 6H), 3.78 (m, 2H), 7.03 and 7.43 (s, 1H), 7.45 and 7.77 (s, 1H), 7.49 (m, 1H), 7.70 (m, 1H), 8.52 (m, 1H), 13.01 and 13.07 (s, 1H), 13.76 (bs, 1H)	380.1 (ESI+)

Example 69

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid

i) 3-Formyl-1H-indazole-5-carboxylic acid

To a mixture of indole-5-carboxylic acid (5.5g, 0.0338mol) in water (250ml) was added $NaNO_2$ (23.5g, 0.338mol) and hydrochloride solution (6N, 42ml, 0.293mol). After 12h at room temperature the precipitate was filtered off, washed with water (270ml) and dried at 50°C to yield 5.36g 3-formyl-1H-indazole-5-carboxylic acid (0.028mol, 83%) which was used without further purification.

ii) 3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid

A mixture of 6,7-diamino-1-ethyl-4,4-dimethyl-3,4-dihydro-1H-quinolin-2-one (1.1g, 0.005mol), 3-formyl-1H-indazole-5-carboxylic acid (1.0g, 0.005mol) and sulfur (0.176g, 0.005mol) in DMF (25ml) was heated under reflux for 4.5h. After

cooling to room temperature, the reaction mixture was poured into water. After stirring for 15 minutes the precipitate was filtered off, washed thoroughly with water and dried in vacuo over P_2O_5 to yield 1.74g 3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (0.004mol, 87%).

MS: M = 390.4 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.34 (s, 6H), 3.79 (b, 2H), 7.04 and 7.46 (s, 1H, two tautomeric forms), 7.51 and 7.84 (s, 1H, two tautomeric forms), 7.70 (d, 1H), 8.02 (d, 1H), 9.22 and 9.24 (s, 1H, two tautomeric forms), 12.87 (br, 1H), 13.05 and 13.11 (s, 1H, two tautomeric forms), 13.82 and 13.86 (s, 1H, two tautomeric forms)

In an analogous manner as described for example 69 the following examples 70-74 were prepared from the appropriate indoles:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
70	2-(6-Bromo-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.20 (t, 3H), 1.33 (s, 6H), 3.78 (m, 2H), 7.03 and 7.37 (s, 1H), 7.44 and 7.72 (s, 1H), 7.45 (m, 1H), 7.89 (m, 1H), 8.44 (m, 1H), 13.01 and 13.07 (s, 1H), 13.67 and 13.71 (s, 1H)	425.6 (API+)
71	5-Ethyl-7,7-dimethyl-2-(5-nitro-1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (m, 3H), 1.34 (m, 6H), 3.79 (m, 2H), 7.05 and 7.48 (s, 1H), 7.52 and 7.87 (s, 1H), 7.85 (m,1H), 8.31 (m, 1H), 9.44 (m, 1H), 13.19 and 13.25 (s, 1H), 14.19 (s, 1H)	391.04 (ES+)
72	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carbonitrile	1.21 (m, 3H), 1.34 (s, 6H), 3.79 (m, 2H), 7.05 and 7.44 (s, 1H), 7.47 and 7.79 (s, 1H), 7.83 (m, 2H), 8.95 (m, 1H), 13.14 and 13.20 (s, 1H), 14.06 and 14.09 (s, 1H)	371.06(ES+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
73	2-(5-Bromo-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (m, 3H), 1.33 (s, 6H), 3.78 (m, 2H), 7.03 and 7.44 (s, 1H), 7.45 and 7.78 (s, 1H), 7.58 (m, 1H), 7.65 (m, 1H), 8.69 (m, 1H), 13.00 and 13.06 (s, 1H), 13.73 and 13.77 (s, 1H)	423.9 (ESI-)
74	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid	1.21 (t, 3H), 1.34 (s, 6H), 3.78 (m, 2H), 7.04 and 7.40 (s, 1H, two tautomeric forms), 7.46 and 7.74 (s, 1H, two tautomeric forms), 7.87 (d, 1H), 8.23 (s, 1H), 8.57 (d, 1H), 13.02 and 13.08 (br, 1H, two tautomeric forms), 13.12 (br, 1H), 13.86 and 13.90 (br, 1H, two tautomeric forms)	390.3 (ESI+)

Example 75

3-(5-Isopropyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid

In an analogous manner as described for example 69ii, 3-(5-isopropyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid was prepared from 3-formyl-1H-indazole-5-carboxylic acid (see example 69i) and 5,6-diamino-3,3-dimethyl-1-isopropyl-1,3-dihydro-indol-2-one (see part A, starting materials).

MS: M = 404.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.32 (s, 6H), 1.48 (m, 6H), 4.53 - 4.70 (m, 1H), 7.15 and 7.45 (s, 1H, two tautomeric forms), 7.58 and 7.83 (s, 1H, two tautomeric forms), 7.71 (d, 1H), 8.02 (d, 1H), 9.23 (s, 1H), 12.90 (br, 1H), 12.97 and 13.09 (s, 1H, two tautomeric forms), 13.82 and 13.87 (s, 1H, two tautomeric forms)

Example 76

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid ethylamide

i) 3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid

In an analogous manner as described for example 69ii, 3-(7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid was prepared from 3-formyl-1H-indazole-5-carboxylic acid (see example 69i) and 5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one (US 4,666,923A) and was used without further purification.

ii) 3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid ethylamide

A mixture of 3-(7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (130mg, 0.342mmol), ethylamine (171µl, 0.342mmol), O-(7-azabenzotriazol-1-yl)-N,N,N',N'-tetramethyluronium hexafluorophosphate (134mg, 0.342mmol), triethylamine (38mg, 52.3µl, 0.376mmol) and DMF (2ml) in a sealed tube was heated in a microwave at 100°C for 15 minutes. The mixture was treated with water and the aqueous phase was extracted three times with ethyl acetate. The combined organic phases were washed with water, dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 27mg 3-(7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid ethylamide (0.069mmol, 20%).

MS: M = 389.1 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.18 (t, 3H), 1.33 (s, 6H), 3.34 (m, 2H), 6.95 and 7.16 (s, 1H, two tautomeric forms), 7.39 and 7.71 (s, 1H, two tautomeric forms), 7.65 (d, 1H), 7.91 (d, 1H), 8.59 (b, 1H), 8.98 (s, 1H), 10.30 (b, 1H), 12.83 (b, 1H), 13.71 (b, 1H)

In an analogous manner as described for example 76 the following examples 77-78 were prepared from the appropriate amines:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
77	3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid benzylamide	1.32 (s, 6H), 4.54 (d, 2H), 6.94 and 7.15 (s, 1H, two tautomeric forms), 7.25 (m, 1H), 7.35 (m, 5H), 7.38 and 7.69 (s, 1H, two tautomeric forms), 7.66 (s, 1H), 7.97 (m, 1H), 9.04 8 (s, 1H), 9.17 (m, 1H), 10.27 and 10.32 (s, 1H, two tautomeric forms,), 13.72 (br, 1H)	451.1 (API+)
78	3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid phenylamide	1.32 (s, 6 H), 6.95 and 7.16 (s, 1H, two tautomeric forms), 7.12 (t, 1H), 7.37 (d, 2H), 7.4 and 7.73 (s, 1H, two tautomeric forms), 7.74 (t, 1H), 7.83 (d, 2H), 8.01 (m, 1H), 9.09 (s, 1H), 10.30 and 10.33 (s, 1H, two tautomeric forms), 10.45 (s,1H), 12.87 and 13.03 (s, 1H, two tautomeric forms), 13.80 (br, 1H)	437.5 (ESI+)

Example 79

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid benzylamide

A mixture of 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid (example 69, 120mg, 0.308mmol), 1,1'-carbonyl-diimidazole (60mg, 0.370mmol) and THF (10ml) was heated under reflux for 1.5h and then cooled to room temperature. Benzylamine (49.5mg, 50.5µl, 0.462mmol) was added and the mixture was stirred overnight. The mixture was poured into water and the aqueous phase was extracted three times with ethyl acetate. The combined organic phases were washed with bicarbonate solution, water, diluted acetic acid, water, diluted ammonia and water and dried over $MgSO_4$. The solvent was evaporated. The residue was purified by silicagel chromatography (dichloromethane/methanol 98:2->90:10) to yield 52mg 3-(5-

ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid benzylamide (0.105mmol, 34%)

MS: M = 479.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.33 (s, 6H), 3.78 (q, 2H), 4.54 (d, 2H), 7.04 and 7.44 (s, 1H, two tautomeric forms), 7.25 (t, 1H), 7.33 - 7.38 (m, 6H), 7.45 and 7.78 (s, 1H, two tautomeric forms), 7.68 (d, 1H), 7.98 (d, 1H), 9.05 and 9.07 (s, 1H, two tautomeric forms), 9.18 (t, 1H), 13.00 and 13.06 (s, 1H, two tautomeric forms), 13.76 (br, 1H)

In an analogous manner as described for example 79 the following examples 80-82 were prepared from the appropriate amines:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
80	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-2-ylmethyl)-amide; compound with acetic acid	1.21 (t, 3H), 1.33 (s, 6H), 3.78 (q, 2H), 4.63 (d, 2H), 7.04 and 7.37 (s, 1H, two tautomeric forms), 7.28 (t, 1H), 7.39 and 7.78 (s, 1H, two tautomeric forms), 7.45 (d, 1H), 7.70 (d, 1H), 7.77 (t, 1H); 8.01 (d, 1H), 8.54 (d, 1H), 9.08 and 9.11 (s, 1H, two tautomeric forms), 9.24 (t, 1H), 13.01 and 13.07 (s, 1H, two tautomeric forms), 13.76 (br, 1H)	480.2 (ESI+)
81	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-3-ylmethyl)-amide; compound with acetic acid	1.21 (t, 3H), 1.33 (s,1H), 3.78 (q, 2H), 4.56 (d, 2H), 7.04 and 7.44 (s, 1H, two tautomeric forms), 7.36 - 7.39 (m, 1H), 7.46 and 7.78 (s, 1H, two tautomeric forms), 7.69 (d, 1H), 7.77 (d, 1H), 7.96 (d, 1H), 8.47 (d, 1H), 8.61 (s,1H), 9.24 (t, 1H), 13.01 and 13.07 (s, 1H, two tautomeric forms), 13.76 (br, 1H)	480.3 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
82	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-4-ylmethyl)-amide; compound with acetic acid	1.21, (t, 3H), 1.33 (s, 6H), 3.78 (q,2H), 4.56 (d, 2H), 7.04 and 7.44 (s, 1H, two tautomeric forms), 7.35 (d, 2H), 7.46 and 7.78 (s, 1H, two tautomeric forms), 7.71 (d, 1H), 7.99 (d, 1H), 8.52 (d, 2H), 9.08 (br, 1H), 9.27 (t, 1H), 13,02 and 13.08 (s, 1H, two tautomeric forms), 13.79 (br, 1H)	480.3 (ESI+)

Example 83

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid phenylamide

To a suspension of 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid (example 69, 150mg, 0.385mmol) and DMF (7µl) in THF (9ml) was added dropwise a solution of oxalyl chloride (195.6mg, 132µl, 1.54mmol) in THF (1ml) at room temperature. After 1h further 2 equivalents of oxalyl chloride were added. After 2h reaction was complete. The reaction mixture was added dropwise to a cooled solution (5°C) of aniline (109.8mg, 107µl, 1.15mmol) and triethylamine (233.8mg, 321µl, 2.31mmol) in THF (5ml) over 20 minutes. The mixture was allowed to warm to room temperature and reaction was complete after 2h. The mixture was washed with brine, sodium carbonate solution and again brine. The solvent was evaporated and the residue was purified by silicagel chromatography (ethyl acetate) to yield 148mg 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid phenylamide (0.312mmol, 81%)

MS: M = 465.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.33 (s, 6H), 3.78 (q, 2H), 7.05 and 7.48 (s, 1H, two tautomeric forms), 7.12 (t, 1H), 7.39 (t, 2H), 7.46 and 7.84 (s, 1H, two tautomeric forms), 7.74 (d, 1H), 7.83 (d, 2H), 8.02 (d, 1H), 9.11 and 9.12 (s, 1H, two tautomeric forms), 10.46 and 10.48 (s, 1H, two tautomeric forms), 13.04 and 13.10 (s, 1H, two tautomeric forms), 13.80 and 13.84 (s, 1H, two tautomeric forms)

In an analogous manner as described for example 83 the following examples 84-98 were prepared from the appropriate amines:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
84	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid ethylamide	1.18 (t, 3H), 1.21 (t, 3H), 1.33 (s, 3H), 1,34 (s, 3H), 3.36 (q, 2H), 3.79 (q, 2H), 7.04 and 7.45 (s, 1H, two tautomeric forms), 7.46 and 7.79 (s, 1H, two tautomeric forms), 7.76 (d, 1H), 7.91 (d, 1H), 8.59 (t, 1H), 8.99 and 9.01 (s, 1H, two tautomeric forms), 13,00 and 13,06 (s, 1H, two tautomeric forms), 8.99 and 9.01 (s, 1H, two tautomeric forms)	417.2 (ESI+)
85	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 2,4-difluoro-benzylamide	1.21 (t, 3H), 1.33 (s, 6H), 3.78 (q, 2H), 4.54 (d, 2H), 7.04 and 7.44 (s, 1H, two tautomeric forms), 7.08 (t, 1H), 7.24 (t, 1H), 7.46 and 7.78 (s, 1H, two tautomeric forms), 7.47 (q, 1H), 7.69 (d, 1H), 7.97 (d, 1H); 9.05 and 9.07 (s, 1H, two tautomeric forms), 9.16 (t, 1H), 13.01 and 13.07 (s, 1H, two tautomeric forms), 13.75 (br, 1H)	515.3 (ESI+)
86	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 3-trifluoromethoxy-benzylamide	1.21 (t, 3H), 1.33 (s, 6H), 3.78 (q, 2H), 4.59 (d, 2H), 7.04 and 7.41 (s, 1H, two tautomeric forms), 7.26 (d, 1H), 7.36 (s, 1H), 7.43 (d, 1H), 7.49 (m,1H), 7.51 and 7.77 (s, 1H, two tautomeric forms), 7.70 (d, 1H), 7.97 (d, 1H), 9.07 (d, 1H), 9.26 (t, 1H), 13.01 and 13.07 (s, 1H; two tautomeric forms), 13.76 (br, 1H)	563.2 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
87	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 4-difluoromethoxy-benzylamide	1.21 (t, 3H), 1.33 (s, 6H), 3.78 (q, 2H), 4.52 (d, 2H), 7.04 and 7.38 (s, 1H, two tautomeric forms), 7.16 (d, 2H), 7.20 (t, 1H), 7.42 (d, 2H), 7.46 and 7.77 (s, 1H, two tautomeric forms), 7.68 (d, 1H), 7.97 (d, 1H), 9.04 and 9.07 (s, 1H, two tautomeric forms), 9.19 (t, 1H), 13.01 and 13.07 (s, 1H, two tautomeric forms), 13.76 (br, 1H)	545.2 (ESI+)
88	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 3-chloro-benzylamide	1.21 (t, 3H), 1.33 (s, 6H), 3.78 (q, 2H), 4.54 (d, 2H), 7.04 and 7.35 (s, 1H, two tautomeric forms), 7.32 - 7.46 (m, 4H), 7.43 and 7.78 (s, 1H, two tautomeric forms), 7.69 (d, 1H), 7.99 (d, 1H), 9.06 and 9.08 (s, 1H, two tautomeric forms), 9.23 (t, 1H), 13.01 and 13.07 (s, 1H, two tautomeric forms), 13.75 and 13.80 (s, 1H, two tautomeric forms)	513.3 (ESI+)
89	5-Ethyl-7,7-dimethyl-2-[5-(piperidine-1-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 1.45 - 1.70 (m, 6H), 3.4 - 3.7 (m, 4H), 3.78 (q, 2H), 7.03 and 7.42 (s, 1H, two tautomeric forms), 7.45 and 7.75 (s, 1H, two tautomeric forms), 7.47 (d, 1H), 7.68 (d, 1H), 8.54 and 8.57 (s, 1H, two tautomeric forms),13.00 and 13.06 (s, 1H, two tautomeric forms), 13.71 (br, 1H)	457.1 (API+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
90	5-Ethyl-7,7-dimethyl-2-[5-(4-methyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 2.24 (s, 3H); 2.39(br, 4H), 3.58 (br, 4H), 3.78 (q, 2H), 7.04 and 7.41 (s, 1H, two tautomeric forms), 7.45 and 7.75 (s, 1H, two tautomeric forms), 7.48 (d, 1H), 7.69 (d, 1H), 8.57 and 8.60 (s, 1H, two tautomeric forms),13.00 and 13.06 (s, 1H, two tautomeric forms), 13.74 and 13.77 (s, 1H, two tautomeric forms)	472.3 (ESI+)
91	5-Ethyl-7,7-dimethyl-2-[5-(morpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 3.4 - 3.7 (m, 8H), 3.79 (q, 2H), 7.03 and 7.41 (s, 1H, two tautomeric forms), 7.45 and 7.76 (s, 1H, two tautomeric forms), 7.51 (d, 1H), 7.69 (d, 1H), 8.59 and 8.62 (s, 1H, two tautomeric forms),13.00 and 13.06 (s, 1H, two tautomeric forms), 13.73 (br, 1H)	459.3 (ESI+)
92	2-[5-(4-Acetyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 2.04 (s, 3H), 3.4 - 3.7 (m, 8H), 3.78 (q, 2H), 7.04 and 7.41 (s, 1H, two tautomeric forms), 7.45 and 7.75 (s, 1H, two tautomeric forms), 7.53 (d, 1H), 7.70 (d, 1H), 8.61 and 8.63 (s, 1H, two tautomeric forms),13.02 and 13.08 (s, 1H, two tautomeric forms), 13.74 and 13.78 (s, 1H, two tautomeric forms)	500.4 (ESI+)
93	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 4-trifluoromethoxy-benzylamide	1.21 (t, 3H), 1.33 (s, 6H), 3.78 (q, 2H), 4.59 (d, 2H), 7.04 and 7.44 (s, 1H, two tautomeric forms), 7.35 (d, 2H), 7.46 and 7.77 (s, 1H, two tautomeric forms), 7.50 (d, 2H), 7.70 (d, 1H), 7.98 (d, 1H), 9.06 and 9.08 (s, 1H, two tautomeric forms), 9.24 (t, 1H), 13.01 and 13.08 (s, 1H, two tautomeric forms), 13.76 (br, 1H)	563.4 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
94	5-Ethyl-2-[5-(4-isopropyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one; compound with acetic acid	1.00 (d, 6H),1.21 (t, 3H), 1.33 (s, 6H), 2.72 (br, 4H), 3.60 (br, 4H), 3.78 (q, 2H), 7.04 and 7.40 (s, 1H, two tautomeric forms), 7.45 and 7.77 (s, 1H, two tautomeric forms), 7.48 (d, 1H), 7.68 (d, 1H), 8.58 and 8.61 (s, 1H, two tautomeric forms), 13.01 and 13.07 (s, 1H, two tautomeric forms), 13.72 and 13.76 (s, 1H, two tautomeric forms)	500.4 (ESI+)
95	5-Ethyl-7,7-dimethyl-2-[5-(thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 2.67 (br, 4H), 3.78 (m, 6H), 7.04 and 7.41 (s, 1H, two tautomeric forms), 7.45 and 7.75 (s, 1H, two tautomeric forms), 7.49 (d, 1H), 7.69 (d, 1H), 8.55 and 8.58 (s, 1H, two tautomeric forms), 13.01 and 13.07 (s, 1H, two tautomeric forms), 13.73 (br, 1H)	475.3 (ESI+)
96	5-Ethyl-7,7-dimethyl-2-[5-(thiazolidine-3-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 3.07 (br, 2H), 3.78 (br, 2H), 3.86 (br, 2H), 4.67 (br, 2H), 7.04 and 7.43 (s, 1H, two tautomeric forms), 7.45 and 7.77 (s, 1H, two tautomeric forms), 7.64 (d, 1H), 7.70 (d, 1H), 8.72 and 8.74 (s, 1H, two tautomeric forms), 13.03 and 13.09 (s, 1H, two tautomeric forms), 13.77(br, 1H)	461.3 (ESI+)
97	5-Ethyl-2-[5-(4-methanesulfonyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 2.92 (s, 3H), 3.19 (br, 4H), 3.68 (br, 4H), 3.78 (q, 2H), 7.04 and 7.39 (s, 1H, two tautomeric forms), 7.45 and 7.73 (s, 1H, two tautomeric forms), 7.52 (d, 1H), 7.70 (d, 1H), 8.61 and 8.64 (s, 1H, two tautomeric forms), 13.02 and 13.08 (s, 1H, two tautomeric forms), 13.75 and 13. 78 (s, 1H, two tautomeric forms)	536.5 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
98	2-[5-(1,1-Dioxo-1λ^6-thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one	1.21 (t, 3H), 1.33 (s, 6H), 3.29 (br, 4H), 3.78 (q, 2H), 3.95 (br, 4H), 7.04 and 7.37 (s, 1H, two tautomeric forms), 7.46 and 7.72 (s, 1H, two tautomeric forms), 7.60 (d, 1H), 7.69 (d, 1H), 8.66 and 8.68 (s, 1H, two tautomeric forms), 13.01 and 13.08 (s, 1H, two tautomeric forms), 13.75 and 13. 79 (s, 1H, two tautomeric forms)	507.4 (ESI+)

Example 99

5-Ethyl-7,7-dimethyl-2-[5-(1-oxo-1λ^4-thiomorpholine-4-carbonyl)-1*H*-indazol-3-yl]-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one

5-Ethyl-7,7-dimethyl-2-[5-(1-oxo-1λ^4-thiomorpholine-4-carbonyl)-1*H*-indazol-3-yl]-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one was isolated as a byproduct during formation of 5-ethyl-7,7-dimethyl-2-[5-(thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 95).

MS: M = 491.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.33 (s, 6H), 3.50 - 3.60 (m, 4H), 3.70 - 3.85 (m, 6H), 7.03 and 7.39 (s, 1H, two tautomeric forms), 7.45 and 7.74 (s, 1H, two tautomeric forms), 7.55 (d, 1H), 7.71 (d, 1H), 8.64 (br, 1H), 13.01 and 13.07 (s, 1H, two tautomeric forms), 13.75 and 13.79 (s, 1H, two tautomeric forms)

Example 100

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid amide

To a suspension of 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid (example 69, 500mg, 1.28mmol) and DMF (1 drop) in THF (15ml) at 0°C under a nitrogen atmosphere was added oxalyl chloride (494mg, 335µl, 3.89mmol). The mixture was allowed to warm to room

temperature and stirred for 5.5h. After 3 and 4h additional 1 and 0.5 equivalents of oxalyl chloride were added. The reaction mixture was added to an aqueous solution of ammonia (25%, 250ml, 3339mmol) stirred for 1h at room temperature. The aqueous phase was extracted three times with ethyl acetate and the solvent of the combined organic phases was evaporated. The residue was triturated with diisopropyl ether/n-heptane and with water and then dried in vacuum. 410mg 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid amide (1.056mmol, 82%) were obtained.

MS: M = 389.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.22 (t, 3H), 1.36 (s, 6H), 3.81 (q, 2H), 7.28 (br, 1H), 7.41 (br, 1H), 7.68 (br, 1H), 7,71 (m, 1H), 7.99 (m,1H), 8.09 (br, 1H), 9.10 (s, 1H), 14.04 (br, 1H)

Example 101

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid methyl ester

To a suspension of 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid (example 69, 200mg, 0.513mmol) and DMF (9µl) in THF (20ml) was added dropwise a solution of oxalyl chloride (260.6mg, 176µl, 2.05mmol) in THF (2ml) at room temperature. After 1h reaction was complete. The reaction mixture was cooled to 5°C and a mixture of methanol (329mg, 416µl, 10.27mmol) and triethylamine (260mg, 358µl, 2.56mmol) was added dropwise. The reaction mixture was warmed to 30°C. After 1h the solvent was evaporated and the residue dissolved in ethyl acetate. The organic phase was washed with bicarbonate solution and three times with water. The solvent was evaporated and the residue was dried in vacuum to yield 213mg 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid methyl ester (0.507mmol, 99%)

MS: M = 404.1 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.34 (s, 6H), 3.80 (q, 2H), 3.94 (s, 3H), 7.05 and 7.47 (s, 1H, two tautomeric forms), 7.50 and 7.84 (s, 1H, two

tautomeric forms), 7.74 (d, 1H), 8.04 (d, 1H), 9.22 and 9.24 (s, 1H, two tautomeric forms), 13.06 and 13.12 (s, 1H, two tautomeric forms), 13. 87 and 13.91 (s, 1H, two tautomeric forms)

Example 102

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid methoxy-methyl-amide

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid methoxy-methyl-amide was prepared in an analogous manner as described for example 83 from N,O-dimethylhydroxylamine hydrochloride as amine instead of aniline and pyridine as base instead of triethylamine.

MS: M = 433.1 (API+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.33 (s, 6H), 3.24 and 3.34 (s, 3H, two tautomeric forms), 3.58 and 3.59 (s, 3H, two tautomeric forms), 3.78 (q, 2H), 7.04 and 7.44 (s, 1H, two tautomeric forms), 7.46 and 7.78 (s, 1H, two tautomeric forms), 7.67 - 7.73 (m, 2H), 8.86 and 8.87 (s, 1H, two tautomeric forms), 13.02 and 13.08 (s, 1H, two tautomeric forms), 13.74 and 13. 78 (s, 1H, two tautomeric forms)

Example 103

2-(5-Acetyl-1*H*-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one

To a suspension of 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-5-carboxylic acid methoxy-methyl-amide (example 102, 100mg, 0.231mmol) in THF (5ml) under a nitrogen atmosphere at 0°C was added methylmagnesium iodide (3M in diethylether, 231µl, 0.694mmol). After 1.5h at 5°C additional 3 equivalents of methylmagnesium iodide were added and the mixture was allowed to warm to room temperature. After 12h the mixture was poured into water (9ml)/acetic acid solution (25%, 1ml). The organic phase was separated and washed with bicarbonate solution. The aqueous phases were washed with ethyl acetate, the combined organic phases washed with water and dried over $MgSO_4$.

The solvent was evaporated and the residue purified by silica gel chromatography (dichloromethane/methanol, 98:2->95:5) to yield 32mg 2-(5-acetyl-1*H*-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one (0.08mmol, 35%)

MS: M = 388.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.34 (s, 6H), 2.71 (s, 3H), 3.78 (q, 2H), 7.04 and 7.47 (s, 1H, two tautomeric forms), 7.47 and 7.82 (s, 1H, two tautomeric forms), 7.72 (d, 1H), 8.05 (d, 1H), 9.18 (s, 1H), 13.06 (br, 1H), 13. 86 (br, 1H)

Example 104

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-6-carboxylic acid benzylamide

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazole-6-carboxylic acid benzylamide was prepared in an analogous manner as described for example 76ii from 3-(7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid and benzyl amine. 3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid was prepared in an analogous manner as described for example 69 from indole-6-carboxylic acid and 5,6-diamino-3,3-dimethyl-1,3-dihydro-indol-2-one (US 4,666,923A) and was used without further purification.

MS: M = 451.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.32 (s, 6H), 4.54 (d, 2H), 6.94 and 7.11 (s, 1H, two tautomeric forms), 7.25 (m, 1H), 7.33 - 7.36 (m, 4H), 7.39 and 7.66 (s, 1H, two tautomeric forms), 7.82 (d, 1H), 8.17 (s, 1H), 8.53 (m, 1H), 9.24 (m, 1H), 10.28 and 10.32 (br, 1H, two tautomeric forms), 12.83 and 12.98 (br, 1H, two tautomeric forms)

In an analogous manner as described for example 104 the following examples 105-106 were prepared from the appropriate amines:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
105	3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid ethylamide	1.17 (t, 3H), 1.32 (s, 6H), 3.34 (m, 2H), 6.94 and 7.11 (s, 1H, two tautomeric forms), 7.38 and 7.65 (s, 1H, two tautomeric forms), 7.76 (d, 1H), 8.10 (s, 1H), 8.50 (m, 1H), 8.65 (s, 1H), 10.28 and 10.32 (br, 1H, two tautomeric forms), 12.81 and 12.97 (br, 1H, two tautomeric forms), 13.77 (br, 1H)	389.1 (ESI+)
106	3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid phenylamide	1.33 (s, 6H), 6.95 and 7.13 (s, 1H, two tautomeric forms), 7.13 (t, 1H), 7.38 (m, 2H), 7.38 and 7.67 (s, 1H, two tautomeric forms), 7.81 - 7.87 (m, 3H), 8.24 (s, 1H), 8.57 (d, 1H), 10.32 (br, 1H), 10.45 (br, 1H),	437.2 (ESI+)

In an analogous manner as described for example 79 the following examples 107-109 were prepared from 3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid (example 74) and the appropriate amines:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
107	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid ethylamide	1.17 (t, 3H), 1.23 (m, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 3.37 (m, 2H), 3.79 (m, 2H), 7.04 and 7.39 (s, 1H, two tautomeric forms), 7.45 and 7.74 (s, 1H, two tautomeric forms), 7.77 (m, 1H), 8.11 (s, 1H), 8.51 (m, 1H), 8.66 (m, 1H), 12.98 and 13.04 (br, 1H, two tautomeric forms), 13.79 and 13.83 (br, 1H, two tautomeric forms)	417.3 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
108	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid phenylamide	1.22 (t, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 3.80 (m, 2H), 7.05 and 7.37 (s, 1H, two tautomeric forms), 7.13 (t, 1H), 7.39 (s, 1H), 7.41 (d, 1H), 7.46 and 7.76 (s, 1H, two tautomeric forms), 7.81 (s, 1H), 7.84 (s, 1H), 7.87 (m, 1H), 8.24 (s, 1H), 8.59 (m, 1H), 10.45 (s, 1H), 13.01 and 13.07 (br, 1H, two tautomeric forms), 13.89 and 13.93 (br, 1H, two tautomeric forms)	465.3 (ESI+)
109	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid benzylamide	1.21 (m, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 3.79 (m, 2H), 4.54 (d, 2H), 7.04 and 7.33 (s, 1H, two tautomeric forms), 7.26 (m, 1H), 7.35-7.40 (m, 4H), 7.45 and 7.74 (s, 1H, two tautomeric forms), 7.83 (m, 1H), 8.18 (m, 1H), 8.54 (m, 1H), 9.24 (m, 1H), 12.99 and 13.05 (br, 1H, two tautomeric forms), 13.82 and 13.86 (br, 1H, two tautomeric forms)	479.3 (ESI+)

In an analogous manner as described for example 100 the following example 110 was prepared from 3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid (example 74):

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
110	3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid amide	1.21 (t, 3H), 1.34 (s, 6H), 3.79 (m, 2H), 7.04 and 7.39 (s, 1H, two tautomeric forms), 7.47 and 7.74 (s, 1H, two tautomeric forms), 7.47 (s, 1H), 7.81 (d, 1H), 8.16 (m, 2H), 8.51 (d, 1H), 12.99 and 13.05 (br, 1H, two tautomeric forms), 13.83 (br, 1H)	389.2 (ESI+)

Example 111

2-(5-Amino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one

5-Ethyl-7,7-dimethyl-2-(5-nitro-1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 71, 3.9g, 9.99mmol) was hydrogenated in methanol (300ml) and THF (300ml) over Raney/Nickel at 30mbar for 8h. The catalyst was filtered off and washed with methanol. The solvent was evaporated to yield 3.4g 2-(5-amino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (9.43mmol, 94%)

MS: M = 361.1 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (m, 3H), 1.33 (m, 6H), 3.78 (m, 2H), 5.05 (s, 2H), 6.87 (m, 1H), 7.00 and 7.28 (s, 1H), 7.33 (m, 1H), 7.40 and 7.64 (s, 1H), 7.56 (d, 1H), 12.70 and 12.76 (s, 1H), 13.08 and 13.13 (s, 1H)

Example 112

2-(5-Amino-1H-indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one

5-Isopropyl-7,7-dimethyl-2-(5-nitro-1H-indazol-3-yl)-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one (1.9g, 4.69mmol; obtained in an analogous manner as described in example 71 from 5,6-diamino-1-isopropyl-3,3-dimethyl-1,3-dihydro-indol-2-one and 5-nitro-1H-indazole-3-carbaldehyde) was hydrogenated in methanol (25ml) and THF (25ml) over Pd/C (2g) for 2h. The catalyst was filtered off and washed with methanol. The solvent was evaporated to yield 1.43g 2-(5-amino-1H-indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one (3.82mmol, 81%)

MS: M = 375.29 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.31 (s, 6H), 1.47 (m, 6H), 4.59 (m, 1H), 6.93 (d, 1H), 7.23 (bs, 1H), 7.38 (d, 1H), 7.53 (bs, 1H), 7.66 (s, 1H), 13.20 (s, 1H)

Example 113

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-2-*o*-tolyl-acetamide

To a solution of o-tolylacetic acid (83mg, 0.610mmol) in absolute DMF (3ml) under a nitrogen atmosphere were added N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide hydrochloride (128mg, 0.668mmol) and hydroxybenzotriazole hydrate (102mg, 0.666mmol). After 90 minutes at room temperature 2-(5-amino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 111, 200mg, 0.555mmol) was added and stirring continued for 4h. The reaction mixture was treated with water (35ml) and the aqueous phase extracted twice with ethyl acetate (2x50ml). The combined organic phases were washed with bicarbonate solution and brine, dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 170mg *N*-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-2-*o*-tolyl-acetamide (0.345mmol, 62%).

MS: M = 491.4 (ESI-)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.29 (m, 3H), 1.33 (s, 6H), 2.36 (s, 3H), 3.74 (s, 2H), 3.78 (m, 2H), 7.02 and 7.36 (s, 1H, two tautomeric forms), 7.18 (m, 3H), 7.31 (t, 1H), 7.43 and 7.71 (s, 1H, two tautomeric forms), 7.59 (m, 1H), 7.68 (t, 1H), 8.78 (d, 1H), 10.31 (s, 1H), 12.87 and 12.92 (br, 1H, two tautomeric forms), 13.47 and 13.51 (br, 1H, two tautomeric forms)

In an analogous manner as described for example 113 the following examples 114-120 were prepared from the appropriate carboxylic acids:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
114	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-phenyl-acetamide	1.20 (t, 3H), 1.33 (s, 6H), 3.69 (s, 2H), 3.78 (m, 2H), 7.02 and 7.39 (s, 1H, two tautomeric forms), 7.27 (m, 1H), 7.34-7.40 (m, 4H), 7.43 and 7.71 (s, 1H, two tautomeric forms), 7.58 (m, 1H), 7.69 (m, 1H), 8.76 (d, 1H), 10.34 (s, 1H), 12.87 and 12.93 (br, 1H, two tautomeric forms), 13.47 and 13.51 (br, 1H, two tautomeric forms)	479.0 (API+)
115	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-isonicotinamide	1.21 (t, 3H), 1.34 (s, 6H), 3.78 (m, 2H), 7.17 (s, 1H), 7.56 (s, 1H), 7.67 (d, 1H), 7.77 (d, 1H), 7.95 (m, 1H), 7.97 (m, 1H), 8.81 (d ,1 H), 8.82 (d, 1H), 8.88 (s, 1H)	466.2 (ESI+)
116	Pyridine-2-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide	1.21 (t, 3H), 1.34 (s, 6H), 3.79 (m, 2H), 7.20 (br, 1H), 7.60 (br, 1H), 7.64 (d, 1H), 7.70 (m, 1H), 7.82 (m, 1H), 8.11 (m, 1H), 8.22 (d, 1H), 8.77 (m, 1H), 9.10 (s, 1H), 10.73 (br, 1H)	466.1 (ESI+)
117	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-p-tolyl-acetamide	1.21 (t, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 2.29 (s, 3H), 3.64 (s, 2H), 3.79 (m, 2H), 7.02 and 7.36 (s, 1H, two tautomeric forms), 7.16 (d, 2H), 7.28 (d, 2H), 7.43 and 7.71 (s, 1H, two tautomeric forms), 7.58 (m, 1H), 7.68 (m, 1H), 8.75 (m, 1H), 10.29 (br, 1H), 12.87 and 12.93 (br, 1H, two tautomeric forms), 13.46 and 13.51 (br, 1H, two tautomeric forms)	491.2 (ESI-)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
118	2-(3,5-Dimethoxy-phenyl)-N-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-acetamide	1.21 (m, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 3.61 (s, 2H), 3.75 (s, 6H), 3.79 (m, 2H), 6.41 (s, 1H), 6.57 (d, 2H), 7.02 and 7.36 (s, 1H, two tautomeric forms), 7.43 and 7.72 (s, 1H, two tautomeric forms), 7.58 (m, 1H), 7.69 (m, 1H), 8.76 (d, 1H), 10.28 (s, 1H), 12.87 and 12.93 (br, 1H, two tautomeric forms), 13.47 and 13.51 (br, 1H, two tautomeric forms)	539.3 (ESI+)
119	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-fluoro-benzamide	1.21 (t, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 3.79 (m, 2H), 7.04 and 7.36 (s, 1H, tautomeric forms), 7.40 (m, 2H), 7.45 and 7.71 (s, 1H, two tautomeric forms), 7.64 (m, 1H), 7.85 (m, 1H), 8.14 (m, 2H), 8.91 (d, 1H), 10.46 (s, 1H), 12.91 and 12.97 (br, 1H, two tautomeric forms), 13.53 and 13.57 (br, 1H, two tautomeric forms)	483.1 (ESI+)
120	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-(4-fluoro-phenyl)-acetamide	1.21 (t, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 3.75 (s, 2H), 3.79 (m, 2H), 7.02 and 7.35 (s, 1H, two tautomeric forms), 7.18 (t, 2H), 7.42 and 7.71 (s, 1H, two tautomeric forms), 7.43 (m, 2H), 7.58 (m, 1H), 7.69 (m, 1H), 8.76 (d, 1H), 10.32 (s, 1H), 12.86 and 12.92 (br, 1H, two tautomeric forms), 13.46 and 13.51 (br, 1H, two tautomeric forms)	497.1 (ESI+)

Example 121

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-nicotinamide

To a solution of 2-(5-amino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 111, 150mg, 0.416mmol) in absolute THF (2ml) and absolute DMF (0.2ml) at 0°C were added nicotinyl chloride

hydrochloride (65mg, 0.459mmol) and diisopropylethylamine (134mg, 1.04mmol) under a nitrogen atmosphere. After 5h at room temperature the reaction mixture was treated with KOH (1M solution, 0.4ml). After 15 minutes at room temperature the solvent was evaporated and the residue purified by HPLC chromatography to yield 115mg *N*-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-nicotinamide (0.247mmol, 59%).

MS: M = 466.1 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (t, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 3.79 (m, 2H), 7.04 and 7.35 (s, 1H, tautomeric forms), 7.45 and 7.71 (s, 1H, two tautomeric forms), 7.59-7.67 (m, 2H), 7.85 (m, 1H), 8.39 (d, 1H), 8.79 (m, 1H), 8.94 (m, 1H), 9.20 (s, 1H), 10.64 (br, 1H), 12.92 and 12.98 (br, 1H, two tautomeric forms), 13.55 and 13.59 (br, 1H, two tautomeric forms)

In an analogous manner as described for example 121 the following examples 122-141 were prepared from the appropriate acyl chlorides, carbamoyl chlorides and sulfonyl chlorides:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
122	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-propionamide	1.14 (t, 3H), 1.21 (m, 3H), 1.33 (s, 6H), 2.36 (m, 2H), 3.78 (m, 2H), 7.02 and 7.35 (s, 1H), 7.43 and 7.65 (s, 1H), 7.56 (d, 1H), 7.68 (m, 1H), 8.75 (m, 1H), 10.00 (s, 1H), 12.86 and 12.92 (s, 1H), 13.45 and 13.49 (s, 1H)	417.2 (ESI+)
123	Cyclopropanecarboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide	0.83 (m, 4H), 1.21 (m, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 1.84 (m, 1H), 3.78 (m, 2H), 7.02 and 7.34 (s, 1H, two tautomeric forms), 7.43 and 7.69 (s, 1H, two tautomeric forms), 7.56 (m, 1H), 7.68 (m, 1H), 8.77 (d, 1H), 10.33 (s, 1H), 12.86 and 12.92 (br, 1H, two tautomeric forms), 13.45 and 13.49 (br ,1H, two tautomeric forms)	429.6 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
124	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzamide	1.21 (t, 3H), 1.33 (s, 6H), 3.79 (m, 2H), 7.19 (br, 1H), 7.54-7.64 (m, 5H), 7.83 (m, 1H), 8.04 (m, 1H), 8.06 (s, 1H), 8.92 (s, 1H), 10.43 (br, 1H)	465.6 (ESI+)
125	Cyclohexanecarboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide	1.16-1.29 (m, 5H), 1.27 (m, 2H), 1.34 (m, 6H), 1.46 (m, 2H), 1.68 (m, 1H), 1.77-1.87 (m, 3H), 2.34 (m, 1H), 3.78 (m, 2H), 7.02 and 7.36 (s, 1H, tautomeric forms), 7.44 and 7.71 (s, 1H, two tautomeric forms), 7.56 (m, 1H), 7.65 (m, 1H), 8.80 (d, 1H), 9.94 (s, 1H), 12.86 and 12.92 (br, 1H, two tautomeric forms), 13.44 and 13.48 (br, 1H, two tautomeric forms)	491.4 (ESI-)
126	4-Methyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide	1.21 (m, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 2.23 (s, 3H), 2.35 (m, 4H), 3.49 (m, 4H), 3.79 (m, 2H), 7.02 and 7.34 (s, 1H, two tautomeric forms), 7.43 and 7.69 (s, 1H, two tautomeric forms), 7.51 (m, 1H), 7.58 (m, 1H), 8.48 (m, 1H), 8.69 (s, 1H), 12.85 and 12.91 (br, 1H, two tautomeric forms), 13.38 and 13.43 (br, 1H, 2 tautomeric forms)	487.3 (ESI+)
127	Piperidine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide	1.21 (t, 3H), 1.33 (s, 6H), 1.53 (m, 4H), 1.61 (m, 2H), 3.47 (m, 4H), 3.78 (q, 2H), 6.93 - 7.77 (m, 2H), 7.50 (d, 1H), 7.57 (m, 1H), 8.47 (s, 1H), 8.61 (s, 1H), 12.89 (bs, 1H), 13.40 (s, 1H)	472.5 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
128	Morpholine-4-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide	1.21 (t, 3H), 1.33 (s, 6H), 3.48 (m, 4H), 3.65 (m, 4H), 3.78 (m, 2H), 7.03 and 7.32 (bs, 1H), 7.44 and 7.67 (bs, 1H), 7.52 (d, 1H), 7.58 (m, 1H), 8.49 (s, 1H), 8.72 (s, 1H), 12.91 (bs, 1H), 13.53 (bs, 1H)	474.3 (ESI+)
129	Pyrrolidine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide	1.21 (t, 3H), 1.33 (m, 6H), 1.88 (m, 4H), 3.42 (m, 4H), 3.78 (m, 2H), 7.02 and 7.34 (s, 1H), 7.43 and 7.69 (s, 1H), 7.50 (m, 1H), 7.64 (d, 1H), 8.30 (s, 1H), 8.53 (m, 1H), 12.83 and 12.89 (s, 1H), 13.37 and 13.41 (s, 1H)	458.2 (ESI+)
130	[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-carbamic acid benzyl ester	1.21 (m, 3H), 1.33 (m, 6H), 3.79 (m, 2H), 5.21 (s, 2H), 7.00 - 7.74 (m, 2H), 7.32 - 7.51 (m, 6H), 7.56 (m, 1H), 8.72 (m, 1H), 9.83 (s, 1H), 12.85 and 12.91 (s, 1H), 13.44 and 13.49 (s, 1H)	495.2 (ESI+)
131	4-Methyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide	1.21 (m, 3H), 1.33 (s, 6H), 2.22 (s, 3H), 2.35 (m, 4H), 3.49 (m, 4H), 3.78 (m, 2H), 7.02 and 7.34 (s, 1H), 7.43 and 7.69 (s, 1H), 7.51 (m, 1H), 7.57 (m, 1H), 8.48 (m, 1H), 8.68 (s, 1H), 12.84 and 12.90 (s, 1H), 13.38 and 13.42 (s, 1H)	487.2 (ESI+)
132	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzenesulfonamide	1.21 (m, 3H), 1.33 (s, 3H), 1.35 (s, 3H), 3.79 (m, 2H), 7.01 and 7.35 (s, 1H, two tautomeric forms), 7.22 (m, 1H), 7.42 and 7.74 (s, 1H, two tautomeric forms), 7.49-7.58 (m, 4H), 7.73 (m, 2H), 8.22 (m, 1H), 10.19 (s, 1H), 12.87 and 12.94 (br, 1H, two tautomeric forms), 13.51 and 13.55 (br, 1H, two tautomeric forms)	501.1 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
133	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-methoxy-benzenesulfonamide	1.21 (m, 3H), 1.35 (s, 6H), 3.74 (s, 3H), 3.79 (m, 2H), 7.02 (d, 2H), 7.04 and 7.35 (s, 1H, two tautomeric forms), 7.23 (d, 1H), 7.43 and 7.71 (s, 1H, two tautomeric forms), 7.51 (d, 1H), 7.67 (d, 2H), 8.20 (br, 1H), 12.90 (br, 1H), 13.52 (br, 1H)	531.4 (ESI+)
134	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-nitro-benzenesulfonamide	1.22 (m, 3H), 1.33 (s, 3H), 1.35 (s, 3H), 3.80 (m, 2H), 7.02 and 7.36 (s, 1H, two tautomeric forms), 7.26 (m, 1H), 7.43 and 7.72 (s, 1H, two tautomeric forms), 7.58 (dd, 1H), 7.77-7.84 (m, 2H), 7.94-7.99 (m, 2H), 8.28 (dd, 1H), 10.60 (s, 1H), 12.91 and 12.97 (br, 1H, two tautomeric forms), 13.57 and 13.61 (br, 1H, two tautomeric forms)	546.3 (ESI+)
135	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-3-methoxy-benzenesulfonamide	1.22 (m, 3H), 1.33 (s, 3H), 1.35 (s, 3H), 3.75-3.82 (m, 5H), 7.02 and 7.34 (s, 1H, two tautomeric forms), 7.12 (m, 1H), 7.24 (m, 1H), 7.29-7.32 (m, 2H), 7.40 and 7.70 (s, 1H, two tautomeric forms), 7.43 (m, 1H), 7.54 (dd, 1H), 8.27 (dd, 1H), 10.19 and 10.21 (br, 1H, two tautomeric forms), 12.89 and 12.95 (br, 1H, two tautomeric forms), 13.52 and 13.57 (br, 1H, two tautomeric forms)	531.2 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
136	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-trifluoromethoxy-benzenesulfonamide	1.22 (m, 3H), 1.33 (s, 3H), 1.36 (s, 3H), 3.80 (m, 2H), 7.01 and 7.35 (s, 1H, two tautomeric forms), 7.22 (m, 1H), 7.43 and 7.74 (s, 1H, two tautomeric forms), 7.48-7.55 (m, 3H), 7.71 (m, 1H), 7.97 (d, 1H), 8.24 (d, 1H), 10.45 (s, 1H), 12.88 and 12.94 (br, 1H, two tautomeric forms), 13.51 and 13.56 (br, 1H, two tautomeric forms)	585.3 (ESI+)
137	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-fluoro-benzenesulfonamide	1.22 (m, 3H), 1.33 (s, 3H), 1.35 (s, 3H), 3.80 (m, 2H), 7.02 and 7.35 (s, 1H, two tautomeric forms), 7.20 (m, 1H), 7.38 (m, 2H), 7.43 and 7.71 (s, 1H, two tautomeric forms), 7.54 (m, 1H), 7.79 (m, 2H), 8.22 (m, 1H), 10.20 (s, 1H), 12.89 and 12.95 (s, 1H, two tautomeric forms), 13.53 and 13.58 (s, 1H, two tautomeric forms)	516.9 (ESI-)
138	3-Chloro-N-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzenesulfonamide	1.23 (m, 3H), 1.34 (m, 6H), 3.79 (m, 2H), 7.01 and 7.35 (s, 1H), 7.19 (m, 1H), 7.42 and 7.71 (s, 1H), 7.53 (m, 2H), 7.65 (m, 2H), 7.78 (m, 1H), 8.20 (s, 1H), 10.32 (bs, 1H), 12.88 and 12.93 (s, 1H), 13.50 and 13.54 (s, 1H)	535.0 (ESI+)
139	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-3-methyl-benzenesulfonamide	1.20 (m, 3H), 1.34 (m, 6H), 2.31 (m, 3H), 3.79 (m, 2H), 7.01 and 7.35 (s, 1H), 7.22 (m, 1H), 7.38 (m, 2H), 7.43 and 7.71 (s, 1H), 7.53 (m, 2H), 7.64 (s, 1H), 8.24 (m, 1H), 10.17 (s, 1H), 12.88 and 12.94 (s, 1H), 13.50 and 13.55 (s, 1H)	515.1 (ESI+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
140	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-methanesulfonyl-benzenesulfonamide	1.22 (m, 3H), 1.34 (m, 6H), 3.58 (s, 3H), 3.80 (m, 2H), 7.01 and 7.35 (s, 1H), 7.17 (m, 1H), 7.42 and 7.71 (s, 1H), 7.52 (d, 1H), 7.79 (m, 1H), 7.88 (m, 1H), 7.98 (d, 1H), 8.27 (m, 2H), 9.55 (s, 1H), 12.89 and 12.95 (s, 1H), 13.54 and 13.58 (s, 1H)	579.4 (ESI+)
141	N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2,5-difluoro-benzenesulfonamide	1.22 (m, 3H), 1.34 (m, 6H), 3.79 (m, 2H), 7.01 and 7.35 (s, 1H), 7.25 (m, 1H), 7.43 and 7.71 (s, 1H), 7.47 - 7.67 (m, 4H), 8.25 (m, 1H), 10.69 (s, 1H), 12.90 and 12.95 (s, 1H), 13.54 and 13.58 (s, 1H)	537.4 (ESI+)

In an analogous manner as described for example 121 the following examples 142-144 were prepared from 2-(5-Amino-1H-indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one (example 112) and the appropriate sulfonyl chlorides:

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
142	4-Fluoro-N-[3-(5-isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzenesulfonamide	1.31 (s, 3H), 1.33 (s, 3H), 1.47 (d, 3H), 1.50 (d, 3H), 4.60 (m, 1H), 7.12 and 7.37 (s, 1H, two tautomeric forms), 7.20 (t, 1H), 7.35-7.41 (m, 2H), 7.42 and 7.70 (s, 1H, two tautomeric forms), 7.53 (m, 1H), 7.79 (dd, 2H), 8.20 (s, 1H), 12.80 and 12.93 (br, 1H, two tautomeric forms), 13.53 and 13.58 (br, 1H, two tautomeric forms)	532.9 (API+)

Example No	Systematic Name	^{1}H-NMR (400 MHz, DMSO): δ (ppm) =	MS: M =
143	N-[3-(5-Isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-methanesulfonyl-benzenesulfonamide	1.33 (m, 6H), 1.49 (m, 6H), 3.58 (m, 3H), 4.51 - 4.69 (m, 1H), 7.12 and 7.51 (s, 1H), 7.16 (m, 1H), 7.41 (d, 1H), 7.53 and 7.70 (s, 1H), 7.79 (m, 1H), 7.87 (t, 1H), 7.98 (m, 1H), 8.21 - 8.31 (m, 2H), 9.54 (s, 1H), 12.79 and 12.92 (s, 1H), 13.53 and 13.57 (s, 1H)	593.2 (ESI+)
144	N-[3-(5-Isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-nitro-benzenesulfonamide	1.32 (s, 3H), 1.34 (s, 3H), 1.47 (d, 3H), 1.50 (d, 3H), 4.60 (m, 1H), 7.13 and 7.42 (s, 1H, two tautomeric forms), 7.26 (m, 1H), 7.42 and 7.71 (s, 1H, two tautomeric forms), 7.57 (d, 1H), 7.80 (m, 2H), 7.97 (m, 2H), 8.28 (m, 1H), 10.60 (br, 1H), 12.81 and 12.93 (br, 1H, two tautomeric forms), 13.55 and 13.59 (br, 1H, two tautomeric forms)	558.2 (ESI-)

Example 145

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-acetamide

To a solution of 2-(5-amino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 111, 220mg, 0.610mmol) in pyridine (3ml) was added acetic anhydride (623mg, 576µl, 6.10mmol). After 12h at room temperature the pyridine was evaporated and the residue was treated with $CHCl_3$ (5ml), MeOH (10ml) and KOH (1M, 3ml). After 6h at room temperature water was added and the aqueous phase extracted three times with ethyl acetate. The combined organic phases were washed with HCl solution (1M) and brine, were dried over $MgSO_4$ and the solvent was evaporated to yield 225mg *N*-[3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-acetamide (0.559mmol, 92%).

MS: M = 403.2 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (m, 3H), 1.33 (s, 3H), 1.34 (s, 3H), 2.09 (s, 3H), 3.78 (m, 2H), 7.03 and 7.34 (s, 1H, two tautomeric forms), 7.44 and 7.69 (s, 1H, two tautomeric forms), 7.57 (m, 1H), 7.69 (m, 1H), 8.70 (m, 1H), 10.08 (br, 1H), 12.87 and 12.93 (s, 1H, two tautomeric forms), 13.46 and 13.50 (s, 1H, two tautomeric forms)

Example 146

4-Acetyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-amide

To a solution 2-(5-amino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one (example 111, 200mg, 0.555mmol) in absolute THF (15ml) under a nitrogen atmosphere was added 1,1'-carbonyl-diimidazole (432mg, 2.64mmol). After heating under reflux for 12h a solution of 1-acetylpiperazine (356mg, 2.77mmol) in THF (3ml) was added and the reaction mixture was again heated under reflux for 12h. The solvent was evaporated and methanol (5ml) and KOH (1M solution, 1ml) were added. After 4h at room temperature water was added and the aqueous phase extracted three times with ethyl acetate. The combined organic phases were washed with HCl solution (1M) and brine, dried over $MgSO_4$ and the solvent was evaporated. The residue was purified by HPLC chromatography to yield 75mg 4-acetyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-amide (0.146mmol, 26%).

MS: M = 515.5 (ESI+)

^{1}H-NMR (400 MHz, DMSO): δ (ppm) = 1.21 (m, 3H), 1.33 (m, 6H), 2.06 (s, 3H), 3.45 - 3.57 (m, 8H), 3.79 (m, 2H), 7.02 and 7.33 (s, 1H), 7.43 and 7.68 (s, 1H), 7.52 (m, 1H), 7.59 (m, 1H), 8.49 (m, 1H), 8.78 (s, 1H), 12.85 and 12.91 (s, 1H), 12.39 and 13.44 (s, 1H)

According to the described examples 1-146 and the schemes 1 -4 the following examples 147-148 can be prepared from the appropriate starting materials:

Example No	Systematic Name
147	2-(5-Benzylamino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one
148	2-(5-Benzyloxy-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one

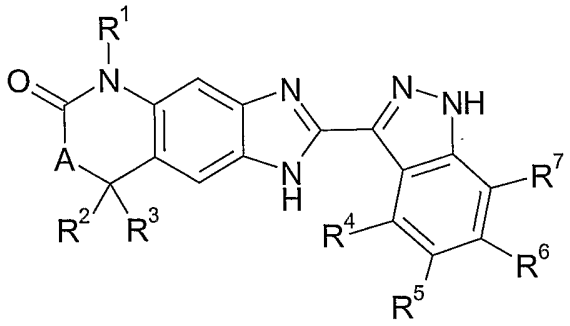
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WO 03/078426
WO 03/078427
WO 04/000833
WO 04/005283
WO 95/19169
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Patent Claims

1. A compound according to formula I,



formula I

wherein,

R^1 is hydrogen;

alkyl, alkenyl, alkynyl,
wherein said alkyl, alkenyl or alkynyl is optionally substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino, dialkylamino, cycloalkyl, heterocyclyl, dialkylphosphinoyl, alkoxyalkoxy, alkyl-O-C(O)-, cyano, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, $(alkyl)_3Si$-O-, H_2N-C(S)-, HO-C(O)-, H_2N-C(O)-, alkyl-$S(O)_2$-NH- or phenyl-$S(O)_2$-NH-;

arylalkyl,
wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, $(C_1$-$C_4)$alkyl, $(C_1$-$C_4)$alkoxy, halogenated $(C_1$-$C_4)$alkyl, halogenated $(C_1$-$C_4)$alkoxy or alkylsulfonyl,

heteroarylalkyl,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms

being carbon atoms, and wherein the heteroaryl is optionally substituted one or several times by alkyl or halogen;

heterocyclyl-C(O)-$(CH_2)_n$-;

R^8-NH-C(O)-$(CH_2)_n$-; or

R^9-C(O)-NH-$(CH_2)_n$-;

R^8 is hydroxy, alkoxy, benzyloxy, alkyl,
wherein said alkyl is optionally substituted one to three times by halogen, hydroxy, alkoxy, amino, alkylamino or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^9 is cycloalkyl, heterocyclyl, benzylamino, alkyl,
wherein said alkyl is optionally substituted one to three times by halogen, hydroxy, alkoxy, amino, alkylamino or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected

independently from N, O or S and the remaining ring atoms being carbon atoms;

n is 1, 2 or 3;

m is 0 or 1;

R^2 is hydrogen or alkyl; and

R^3 is hydrogen or alkyl,
or alternatively
R^2 and R^3 form together with the carbon atom to which they are attached a cycloalkyl ring;

R^4 and R^7 independently represent hydrogen or halogen;

R^5 is hydrogen, halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl, alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl, halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms, and wherein the heteroaryl is optionally substituted one or several times by alkyl;

or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen, halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid, CH_3-O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl, alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl, halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms, and wherein the heteroaryl is optionally substituted one or several times by alkyl;

or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

X is -NH-, -N(alkyl)-, -O-, -$S(O)_2NH$- , -$NHS(O)_2$-, -NHC(O)-, -N(alkyl)C(O)-, -C(O)-, -OC(O)NH-, -C(O)NH- or -C(O)N(alkyl)-;

A is a single bond or -CH_2-;

and all pharmaceutically acceptable salts thereof.

2. The compounds according to claim 1, wherein

R^1 is hydrogen;

alkyl, alkenyl, alkynyl,
wherein said alkyl, alkenyl or alkynyl is optionally substituted one or several times by halogen, hydroxy, alkoxy, amino, alkylamino dialkylamino, cycloalkyl, heterocyclyl or dialkylphosphinoyl;

arylalkyl, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroarylalkyl, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms and wherein the heteroaryl is optionally substituted one or several times by alkyl or halogen;

R^5 is hydrogen, halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid, alkyl, alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms and wherein the heteroaryl is optionally substituted one or several times by alkyl.

R^6 is hydrogen, halogen, cyano, nitro, amino, hydroxy, sulfonic acid, carboxylic acid, alkyl, alkyl-X-, wherein the alkyl groups are optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, cyano, nitro, amino, hydroxy, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkyl or halogenated (C_1-C_4)alkoxy; or

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms and wherein the heteroaryl is optionally substituted one or several times by alkyl;

X is -NH-, -N(alkyl)-, -O-, -$S(O)_2$NH- , -NHS$(O)_2$-, -NHC(O)-, -N(alkyl)C(O)-, -C(O)NH- or -C(O)N(alkyl)-.

3. The compounds according to any one of claims 1 to 2, wherein

R^1 is hydrogen;

alkyl, alkenyl,
wherein said alkyl is optionally substituted one or several times by hydroxy, alkoxy, amino, dialkylamino, cycloalkyl, heterocyclyl, dialkylphosphinoyl, alkoxyalkoxy, alkyl-O-C(O)-, cyano, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, $(alkyl)_3Si$-O-, H_2N-C(S)-, HO-C(O)-, H_2N-C(O)-, alkyl-$S(O)_2$-NH- or phenyl-$S(O)_2$-NH-;

arylalkyl,
wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by alkylsulfonyl,

heteroarylalkyl,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

heterocyclyl-C(O)-$(CH_2)_n$-;

R^8-NH-C(O)-$(CH_2)_n$-; or

R^9-C(O)-NH-$(CH_2)_n$-;

R^8 is hydroxy, alkoxy, benzyloxy, alkyl,
wherein said alkyl is optionally substituted one to three times by hydroxy or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen or $(C_1$-$C_4)$alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected

independently from N, O or S and the remaining ring atoms being carbon atoms;

R^9 is cycloalkyl, heterocyclyl, benzylamino, alkyl;

phenyl-$(CH_2)_m$-; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

n is 1, 2 or 3;

m is 0 or 1;

R^4 and R^7 represent hydrogen;

R^5 is hydrogen, halogen, cyano, nitro, amino, carboxylic acid, CH_3O-C(O)-, H_2N-C(O)-, CH_3O-N(CH_3)-C(O)-, cycloalkyl-X-, heterocyclyl-X-, alkyl-X-, wherein the alkyl group is optionally substituted one or several times by halogen;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, nitro, (C_1-C_4)alkyl, (C_1-C_4)alkoxy, halogenated (C_1-C_4)alkoxy or alkylsulfonyl;

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by halogen, (C_1-C_4)alkyl, (C_1-C_4)alkoxy or halogenated (C_1-C_4)alkoxy;

heteroaryl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms; or

heteroarylalkyl-X-, wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^6 is hydrogen, halogen, carboxylic acid, H_2N-C(O)-, alkyl-X-;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; or

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; and

X is -NH-, -O-, -$S(O)_2$NH-, -NHC(O)-, -C(O)-, -OC(O)NH- or -C(O)NH-.

4. The compounds according to any one of claims 1 to 3, wherein

A is a single bond.

5. The compounds according to claim 4, wherein

R^1 is hydrogen;

alkyl, alkenyl,
wherein said alkyl is optionally substituted one or several times by hydroxy, alkoxy, amino, dialkylamino, cycloalkyl, heterocyclyl, dialkylphosphinoyl, alkoxyalkoxy, alkyl-O-C(O)-, cyano, alkylsulfanyl, alkylsulfinyl, alkylsulfonyl, $(alkyl)_3Si$-O-, H_2N-C(S)-, HO-C(O)-, H_2N-C(O)-, alkyl-$S(O)_2$-NH- or phenyl-$S(O)_2$-NH-;

arylalkyl,
wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms and wherein the aryl is optionally substituted one or several times by alkylsulfonyl;

heteroarylalkyl,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5

to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

heterocyclyl-C(O)-$(CH_2)_n$-;

R^8-NH-C(O)-$(CH_2)_n$-; or

R^9-C(O)-NH-$(CH_2)_n$-;

R^8 is hydroxy, alkoxy, benzyloxy, alkyl,
wherein said alkyl is optionally substituted one to three times by hydroxy or dialkylamino;

phenyl-$(CH_2)_m$-,
wherein the phenyl is optionally substituted one three times by halogen or (C_1-C_4)alkoxy; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

R^9 is cycloalkyl, heterocyclyl, benzylamino, alkyl;

phenyl-$(CH_2)_m$-; or

heteroaryl-$(CH_2)_m$-,
wherein the heteroaryl is a mono- or bicyclic aromatic ring with 5 to 10 ring atoms, which contains up to 4 heteroatoms selected independently from N, O or S and the remaining ring atoms being carbon atoms;

n is 1, 2 or 3; and

m is 0 or 1.

6. The compounds according to claim 5, wherein

R^4, R^5, R^6 and R^7 represent hydrogen.

7. The compounds according to claim 6 selected from the group of:

2-(1H-Indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1*H*-Indazol-3-yl)-spiro[7,7-cyclopentan-5,7-dihydro-3H-imidazo[4,5-f]indol-6]-one or according to the actual IUPAC-nomenclature: 2-(1H-Indazol-3-yl)-spiro-5,7-dihydro[cyclopentane-1',7-imidazo[4,5-f]indol]-6(3H)-one;

2-(1H-Indazol-3-yl)-7-methyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

7-Ethyl-2-(1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Allyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5,7,7-trimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-propyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5,7,7-Triethyl-2-(1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-But-3-enyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Cyclopropylmethyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1*H*-Indazol-3-yl)-7,7-dimethyl-5-(3-morpholin-4-yl-propyl)-5,7-dihydro-3*H*-imidazo[4,5-*f*]indol-6-one;

2-(1H-Indazol-3-yl)-5-[2-(2-methoxy-ethoxy)-ethyl]-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-(2-methoxy-ethyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(3-piperidin-1-yl-propyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Diisopropylamino-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(3-Dimethylamino-propyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Diethylamino-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetonitrile;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(2-methylsulfanyl-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Hydroxy-3-morpholin-4-yl-propyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(Dimethyl-phosphinoylmethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Hydroxy-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2,3-Dihydroxy-propyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-(2-Amino-ethyl)-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-(2-methanesulfinyl-ethyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-(2-methanesulfonyl-ethyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;.

[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid ethyl ester;

5-[2-(tert-Butyl-dimethyl-silanyloxy)-ethyl]-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-thioacetamide;

[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetic acid;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-benzenesulfonamide; compound with acetic acid;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-methanesulfonamide;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-pyridin-3-ylmethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Benzyl-2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-5-(4-methanesulfonyl-benzyl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-thiazol-2-ylmethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(1H-tetrazol-5-ylmethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(2-morpholin-4-yl-2-oxo-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethyl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(1H-Indazol-3-yl)-7,7-dimethyl-5-(2-oxo-2-piperidin-1-yl-ethyl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

N-(2-Dimethylamino-ethyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-Benzyl-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-pyridin-3-ylmethyl-acetamide;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-phenyl-acetamide;

N-(4-Fluoro-phenyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-(4-Fluoro-benzyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-(3,5-Dimethoxy-benzyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-(2,3-Dihydroxy-propyl)-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-Hydroxy-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

N-Benzyloxy-2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-acetamide;

2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-N-methoxy-acetamide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-benzamide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-2-phenyl-acetamide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-nicotinamide;

Cyclopropanecarboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide;

Morpholine-4-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide;

Pyrrolidine-1-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide;

4-Methyl-piperazine-1-carboxylic acid {2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-amide;

N-{2-[2-(1H-Indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-acetamide; and

1-Benzyl-3-{2-[2-(1H-indazol-3-yl)-7,7-dimethyl-6-oxo-6,7-dihydro-3H-imidazo[4,5-f]indol-5-yl]-ethyl}-urea.

8. The compounds according to claim 4, wherein

R^1 is hydrogen or alkyl;

R^4 and R^7 represent hydrogen; and

R^6 is hydrogen.

9. The compounds according to claim 8 selected from the group of:

5-Ethyl-2-(5-fluoro-1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(5-Chloro-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid;

5-Ethyl-7,7-dimethyl-2-(5-nitro-1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carbonitrile;

2-(5-Bromo-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

3-(5-Isopropyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid amide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid methyl ester;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid methoxy-methyl-amide;

2-(5-Amino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(5-Amino-1H-indazol-3-yl)-5-isopropyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-(5-trifluoromethoxy-1H-indazol-3-yl)-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(piperidine-1-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(4-methyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(morpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-[5-(4-Acetyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-2-[5-(4-isopropyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(thiazolidine-3-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-2-[5-(4-methanesulfonyl-piperazine-1-carbonyl)-1H-indazol-3-yl]-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-[5-(1,1-Dioxo-1λ^6-thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

5-Ethyl-7,7-dimethyl-2-[5-(1-oxo-1λ^4-thiomorpholine-4-carbonyl)-1H-indazol-3-yl]-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(5-Acetyl-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

2-(5-Benzylamino-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one;

2-(5-Benzyloxy-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-1H-imidazo[4,5-f]indol-6-one;.

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid ethylamide;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid benzylamide;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid phenylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-2-ylmethyl)-amide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-3-ylmethyl)-amide; compound with acetic acid;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid (pyridin-4-ylmethyl)-amide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid phenylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid ethylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 2,4-difluoro-benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 3-trifluoromethoxy-benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 4-difluoromethoxy-benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 3-chloro-benzylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-5-carboxylic acid 4-trifluoromethoxy-benzylamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-o-tolyl-acetamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-phenyl-acetamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-isonicotinamide;

Pyridine-2-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-p-tolyl-acetamide;

2-(3,5-Dimethoxy-phenyl)-N-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-acetamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-fluoro-benzamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-(4-fluoro-phenyl)-acetamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-nicotinamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-propionamide;

Cyclopropanecarboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzamide;

Cyclohexanecarboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

4-Methyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

Piperidine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

Morpholine-4-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

Pyrrolidine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

4-Methyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-acetamide;

4-Acetyl-piperazine-1-carboxylic acid [3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-amide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-methoxy-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-nitro-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-3-methoxy-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-trifluoromethoxy-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-4-fluoro-benzenesulfonamide;

3-Chloro-*N*-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-3-methyl-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-2-methanesulfonyl-benzenesulfonamide;

N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-*f*]indol-2-yl)-1*H*-indazol-5-yl]-2,5-difluoro-benzenesulfonamide;

4-Fluoro-N-[3-(5-isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-benzenesulfonamide;

N-[3-(5-Isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-methanesulfonyl-benzenesulfonamide;

N-[3-(5-Isopropyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-2-nitro-benzenesulfonamide;

[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-carbamic acid benzyl ester.

10. The compounds according to claim 4, wherein

R^1 is alkyl;

R^4 and R^7 represent hydrogen;

R^5 is hydrogen;

R^6 is halogen, carboxylic acid, H_2N-C(O)-, alkyl-X-;

aryl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; or

arylalkyl-X-, wherein the aryl is a mono- or bicyclic aromatic ring with 6 to 10 ring carbon atoms; and

X is -NHC(O)-.

11. The compounds according to claim 10 selected from the group of:

2-(6-Bromo-1H-indazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-one;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid benzylamide;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid ethylamide;

3-(7,7-Dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid phenylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid ethylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid phenylamide;

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid benzylamide; and

3-(5-Ethyl-7,7-dimethyl-6-oxo-3,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazole-6-carboxylic acid amide.

12. The compounds according to any one of claims 1 to 3, wherein

A is $-CH_2-$.

13. The compounds according to claim 12 selected from the group of:

2-(1H-Indazol-3-yl)-8,8-dimethyl-1,5,7,8-tetrahydro-imidazo[4,5-g]quinolin-6-one; and

5-Ethyl-2-(1H-indazol-3-yl)-8,8-dimethyl-3,5,7,8-tetrahydro-imidazo[4,5-g]quinolin-6-one.

14. The compounds according to any one of claims 1 to 3, wherein

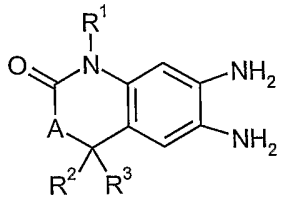
R^1 is alkyl.

15. The compounds according to any one of claims 1 to 3, wherein

R^4, R^5, R^6 and R^7 represent hydrogen.

16. A process for the preparation of the compounds of formula I, wherein

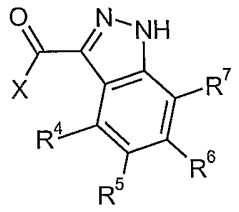
a) a compound of formula II



formula II,

wherein R^1 to R^3 and A have the significance given above for formula I in claim 1;

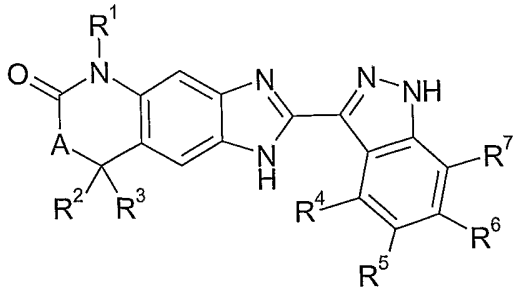
is reacted with a compound of formula III,



formula III,

wherein X is -OH, -Cl, -H or -OMe and R^4 to R^7 have the significance given above for formula I in claim 1;

to give the compounds of formula I,



formula I,

wherein R^1 to R^7 and A have the significance given above for formula I in claim 1;

b) said compound of formula I is isolated from the reaction mixture, and

c) if desired, converted it into a pharmaceutically acceptable salt.

17. A pharmaceutical composition, containing one or more compounds as claimed in any one of claims 1 to 15 together with pharmaceutically acceptable adjuvants.

18. A pharmaceutical composition according to claim 17 for the inhibition of tumor growth.

19. The use of a compound in any one of claims 1 to 15 for the manufacture of corresponding medicaments for the inhibition of tumor growth.

20. The use of one or more compounds in any one of claims 1 to 15 for the treatment of cancer.