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(54) **Title**: METHOD OF INCREASING CELLULAR PHOSPHATIDYL CHOLINE BY DGAT1 INHIBITION

(57) **Abstract**: Method of increasing phosphatidylcholine *in vivo* by inhibiting the enzymatic activity of DGAT1 to treat diseases which may be caused or exacerbated by inadequate phosphatidylcholine production, including atherosclerosis and ulcerative colitis.

Method of Increasing Cellular Phosphatidyl Choline by DGAT1 Inhibition

Diacylglycerol acyl transferase 1 (DGAT1) inhibition as an approach to the therapeutic increase of phosphatidylcholine in plasma lipoproteins and intestinal epithelium.

Phosphatidylcholine is a phospholipid class that forms a large fraction of cellular membranes and secreted lipoproteins in humans. Phosphatidylcholine is synthesized *in vivo* by the reaction of cytidine-diphosphocholine (CDP-choline) with diacylglycerol (DAG); this reaction is enzymatically catalyzed by CDP-choline:1,2-diacylglycerol phosphocholine transferase (Kent C, Biochim. Biophys. Acta, 1733: 53-66, 2005). DAG is a metabolic intermediate that can be converted to either phospholipids or triglycerides (Figure1) by different enzymatically catalyzed reactions (Coleman RA, Prog. Lipid Res., 34: 134-176, 2004). The structural properties of phosphatidylcholine in lipid bilayers have been studied by calorimetric and X-ray crystallographic methods (Goni FM, *et al.* Prog. Lipid Res. 38: 1-48, 1999). The choline moeity of phosphatidylcholine can be removed by phospholipase D to produce phosphatidic acid, a lipid that can act as a second messenger during cellular signal tranduction (Jenkins GM, *et al.,* Cell. Mol. Life Sci. 62: 2305-2316, 2005); action of phospholipase C on phosphatidylcholine produces DAG in intracellular locations that activate protein kinase C (among other events) and leads to a host of different effects (Becker KP, *et al.* Cell Mol. Life Sci. 62: 1448-1461, 2005).

In addition to its roles in cellular membrane and signal transduction, phosphatidylcholine is a component of high density lipoprotein (HDL), which is a key component of the mammalian reverse cholesterol transport system. Current understanding of reverse cholesterol transport indicates that HDL is first secreted as a cholesterol deficient discoid particle, comprised principally of phospholipids and apolipoprotein A1, which can remove cholesterol effluxed from tissues and transport it to the liver for excretion. The liver is also the primary site of HDL biosynthesis and secretion, but the intestine is also capable of producing HDL and may play a role in the cardiovascular protection afforded by reverse cholesterol transport (Kruit JK, *et al.*, World J. Gastroenterol., 12: 6429-6439, 2006). The net effect of reverse cholesterol transport is antiatherogenic (Lewis GF, Curr. Opin. Cardiol., 21: 345-352, 2006) and intravenous infusion of HDL has been found to

reduce atheroma volume in humans (Newton RA, *et al.* Atherosclerosis Supplements, 3: 31-38, 2002).

Phosphatidylcholine has also been shown to be deficient in the intestinal mucosa of patients with ulcerative colitis compared to healthy volunteers (Ehehalt R, Scand. J. of Gastroenterology, 39: 737-742). Orally dosed preparations of phosphatidylcholine have been shown to be efficacious in treating symptoms of ulcerative colitis in humans (Stremmel W, Gut, 54: 966-971, 2005). Some insight into the mechanism by which exogenous phosphatidylcholine might ameliorate colitis symptoms was obtained by exposing the Caco-2 colon carcinoma cell line to the proinflammatory cytokine tumor necrosis factor-alpha (TNF-alpha) in the presence and absence of phosphatidylcholine. TNF-alpha activation of the proinflammatory protein kinase signal transduction cascades and the resulting increases in gene expression were all reduced relative to controls by phosphatidylcholine treatment of Caco-2 cells (Treede I, J. Biol. Chem., 282: 27155-27164, 2007).

We have discovered that inhibition of DGAT1 in cell culture directs exogenous fatty acids to phosphatidylcholine, potentially providing an approach to increasing phosphatidylcholine content of the gut mucosa or stimulating reverse cholesterol transport

DGAT is an enzyme that catalyzes the last step in triacylglycerol biosynthesis. DGAT catalyzes the coupling of a 1,2-diacylglycerol with a fatty acyl-CoA resulting in Coenzyme A and triacylglycerol. Two enzymes that display DGAT activity have been identified: DGAT1 (acyl coA-diacylglycerol acyl transferase 1, see Cases et al, Proc. Natl. Acad. Sci. 95:13018-13023, 1998) and DGAT2 (acyl coA-diacylglycerol acyl transferase 2, see Cases et al, J. Biol. Chem. 276:38870-38876, 2001). DGAT1 and DGAT2 do not share significant protein sequence homology. Importantly, DGAT1 knockout mice are protected from high fat diet-induced weight gain and insulin resistance (Smith et al, Nature Genetics 25:87-90, 2000). The phenotype of the DGAT1 knockout mice suggests that a DGAT1 inhibitor has utility for the treatment of obesity and obesity-associated complications.

Brief Description of the Figures

Figure 1. Biosynthetic pathway for phosphatidylcholine and triacylglycerol; MGAT, monoacylglycerol acyltransferase; CTP, CDP-choline: diacylglycerol phosphocholine transferase; DGAT, diacylglycerol acyltransferase; LPAAT, lysophosphatidic acid acyltransferase; GPAT, glycerol-3-phosphate acyltransferase.

Figure 2. Effect of DGAT1 inhibition by {5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl]-(6-methoxy-pyridin-3-yl)-amine on triglyceride and phosphatidylcholine biosynthesis in C2C12 cells. ^{13}C oleate containing triglyceride (open circles, solid error bars) decreases with increasing DGAT1 inhibitor and can be fit by equation X: maximum triglyceride synthesis = 90% of control; minimum triglyceride synthesis = 13% of control, IC_{50} = 0.030 μM, and the slope = 0.073, correlation coefficient = 0.996. ^{13}C dioleoylphosphatidyl choline increased with increasing DGAT1 inhibitor and can be fit to equation Y: maximum 13C dioleoylphosphatidylcholine = 1.6; minimum 13C dioleoylphosphatidylcholine = 1.0; EC_{50} = 0.054 μM; slope = 1.0; correlation coefficient = 0.998 (open squares, limits to error bars shown; expressed as a ratio to ^{12}C phosphatidylcholine with a molecular weight corresponding to a PC containing one oleate and one palmitate group).

Ulcerative colitis patients have been found to have lower levels of phosphatidylcholine in the intestinal mucosa relative to healthy control subjects. Orally dosed preparations of phosphatidylcholine have been found to relieve some ulcerative colitis symptoms and *in vitro* these preparations inhibit the proinflammatory effects of tumor necrosis factor alpha. The present invention discloses that inhibition of DGAT1 in a tissue culture line in the presence of exogenous fatty acid leads to incorporation of the exogenous fatty acid into phosphatidylcholine. Thus, orally active or parenterally administered DGAT1 inhibitors provide a novel approach to treatment of ulcerative colitis.

In addition, low human plasma levels of nascent or discoid high density lipoprotein (HDL), which is comprised of phosphatidylcholine and apolipoproteins, can increase the risk for atherosclerosis. Inhibition of DGAT1 may promote production of phosphatidylcholine containing HDL and reduce the risk of atherosclerosis.

Methods

C2C12 Cell Culture

C2C12 cells were from ATCC and cultured in 24 mM glucose DMEM (Dulbecco's Minimal Essential Medium) supplemented with 10% FBS and 1% penicillin and streptomycin. C2C12 cells were plated in 96 well plates at density of eighteen thousand cells/well in DMEM 0.5 mM glucose supplemented with 10% FBS and 1% penicillin and streptomycin (seeding medium) 24 hours before the assay. BSA conjugated $^{13}C_{18}$ oleate was diluted to 250μM in DMEM at 5 mM glucose supplemented with 10% FBS and 1% penicillin and streptomycin (assay medium) and 500 μl was transferred to each well of a 96 well plate. {5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl]-(6-methoxy-pyridin-3-yl)-amine was added to assay medium in a 96 well plate. The plate was covered and shaken to mix compound with assay media. Seeding media was removed from the cells and replaced with 100 μl assay media containing the {5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl]-(6-methoxy-pyridin-3-yl)-amine. Each point was measured in quadruplicate. Cells were incubated with compound and substrate for 2 hours at 37 °C. After incubation, the medium was aspirated from cells and replaced with 100 μl/well butanol. The plate was covered with an adhesive seal and allowed to sit at room temperature for about 1 hour. The plate was spun at 1000 revolutions per minute for 5 min. 80 μl of butanol extract was removed and transferred to 384-well plate prior to analysis.

Mass Spectrometric AnalysisA 5-μL aliquot of extract was injected into a 100-μL / min stream of a solvent, comprised of 95% methanol, 5% water v/v, containing 25 mM ammonium acetate, which flowed into the ionization source of an electrospray ionization mass spectrometer.

For triglycerides, a constant neutral mass loss scan measured the losses of neutral fatty acids from the $[M+NH_4]^+$ cations of the cellular triglycerides. Consecutive scans at differing mass loss settings recorded each expected fatty acid expected to occur in the triglyceride pool, as follows. Quantitation was effected by measuring the ion count attributable fatty acid loss peaks.

Neutral loss mass (Daltons)	Free fatty acid (#carbons:# double bonds)
271	C16:1
273	C16:0
297	C18:2
299	C18:1
301	C18:0

For phosphatidylcholine measurements, a scan measuring the parent masses of m/z 184 (the ion corresponding to phosphocholine cation) specifically identifies the Phosphatidyl Choline $[M+H]^+$ protonated molecules.

Data were obtained using an Aquity HPLC, Quattro Premier mass spectrometer and MassLynx 4.1 data analysis software (Waters).

The ion counts corresponding to triglyceride containing three $^{13}C_{18}$ oleate acyl chains were measured as a function of DGAT1 inhibitor concentration and converted to a % of control using equation 1.

Equation 1 $$\%activity = 100 \times \frac{(sample\_ioncount)}{(control\_ioncout)}$$

The inhibitor concentration response of triglyceride synthesis was fit to equation 2 to determine the IC_{50} of the inhibitor.

Equation 2 $$\%activity = \frac{Max - Min}{1 + \left(\frac{[inhibitor]}{IC_{50}} \right)^{slope}}$$

Phosphatidylcholine was measured by normalizing the ion count for phosphatidylcholine containing two $^{13}C_{18}$ oleate chains to that of phosphatidylcholine containing an oleate chain and a palmitate chain. The inhibitor concentration dependence of the phosphatidylcholine ratio was fitted to equation 3 to determine the EC_{50} of the inhibitor.

Equation 3 $$\%activity = Max - \frac{Max - Min}{1 + \left(\frac{[inhibitor]}{EC_{50}} \right)^{slope}}$$

Results

Phosphatidylcholine levels in C2C12 myoblasts treated with DGAT1 inhibitors and exogenous $^{13}C_{18}$ oleate.

To assess the effects of DGAT1 inhibition on phosphatidylcholine levels in cells, we exposed C2C12 murine myoblasts to exogenous $^{13}C_{18}$ oleate and {5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl]-(6-methoxy-pyridin-3-yl)-amine at various concentrations. As shown in Figure 2, inhibition of DGAT1 activity with {5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl]-(6-methoxy-pyridin-3-yl)-amine inhibited the incorporation of $^{13}C_{18}$ oleate into triglycerides in a concentration dependent manner. Concurrently, more $^{13}C_{18}$ oleate was incorporated into phosphatidylcholine in a concentration dependent manner that was found to be inversely proportional to the inhibition of triglyceride synthesis. These results are consistent with the biosynthetic scheme shown in Figure 1, i.e. when diacylglycerol incorporation into triglyceride is inhibited, the metabolic flux is shifted in such a way that fatty acids are incorporated into phosphatidylcholine.

Tumor necrosis factor alpha (TNF-alpha) is a proinflammatory cytokine which has been neutralized *in vivo* with soluble receptors and antibodies in the context of inflammatory bowel diseases (Tilg H, *et al.* Expert Opin. Biol. Ther. 7: 1051-1059 (2007). The recent observations that exogenous phosphatidylcholine, but not lysophosphatidic acid, can reduce the activation of nuclear factor kappa-B and also expression of TNF-alpha induced genes in intestinal cells suggests a mechanism by which phosphatidylcholine preparations exert their therapeutic effect in ulcerative colitis patients. Our results show that DGAT1 inhibition can redirect incorporation of exogenous fatty acids from the intracellular triglyceride pool to the intracellular phosphatidylcholine pool, with potential therapeutic effects in ulcerative colitis.

Increasing transport of cholesterol from peripheral tissues to the bile for excretion requires high density lipoprotein (HDL). HDL is secreted initially in a nascent or discoid form that acquires apolipoprotein A-1 and then accepts cholesterol from the other plasma lipoproteins. The discoid form is a phospholipid membrane containing phosphatidylcholine, among other phospholipids. A DGAT1 mediated increase in the availability of phosphatidylcholine in an organ that produces nascent HDL could improve reverse cholesterol transport in patients with atherosclerosis.

The present invention contemplates DGAT1 inhibitors as a compound present in a pharmaceutical composition. In view of the close relationship between the free compounds, the prodrug derivatives and the compounds in the form of their salts, whenever a compound is referred to in this context, a prodrug derivative and a corresponding salt is also intended, provided such is possible or appropriate under the circumstances.

Listed below are definitions of various terms used to describe the DGAT1 compounds. These definitions apply to the terms as they are used throughout the specification unless they are otherwise limited in specific instances either individually or as part of a larger group, e.g., wherein an attachment point of a certain group is limited to a specific atom within that group.

The term "substituted or unsubstituted alkyl" refers to straight- or branched-chain hydrocarbon groups having 1-20 carbon atoms, preferably 1-10 carbon atoms, containing 0 to 3 substituents. Exemplary unsubstituted alkyl groups include methyl, ethyl, propyl, isopropyl, *n*-butyl, *t*-butyl, isobutyl, pentyl, hexyl, isohexyl, heptyl, 4,4-dimethylpentyl, octyl and the like. Substituted alkyl groups include, but are not limited to, alkyl groups substituted by one or more of the following groups: halo, hydroxy, alkanoyl, alkoxy, alkoxycarbonyl, alkoxycarbonyloxy, alkanoyloxy, thiol, alkylthio, alkylthiono, alkylsulfonyl, sulfamoyl, sulfonamido, carbamoyl, cyano, carboxy, acyl, aryl, alkenyl, alkynyl, aralkyl, aralkanoyl, aralkylthio, arylsulfonyl, arylthio, aroyl, aroyloxy, aryloxycarbonyl, aralkoxy, guanidino, optionally substituted amino, heterocyclyl.

The term "lower alkyl" refers to those alkyl groups as described above having 1-7, preferably 2-4 carbon atoms.

The term "halogen" or "halo" refers to fluorine, chlorine, bromine and iodine.

The term "alkenyl" refers to any of the above alkyl groups having at least two carbon atoms and further containing a carbon to carbon double bond at the point of attachment. Groups having 2-4 carbon atoms are preferred.

The term "alkynyl" refers to any of the above alkyl groups having at least two carbon atoms and further containing a carbon to carbon triple bond at the point of attachment. Groups having 2-4 carbon atoms are preferred.

The term "alkylene" refers to a straight-chain bridge of 4-6 carbon atoms connected by single bonds, e.g., $-(CH_2)x-$, wherein x is 4-6, which may be interrupted with one or more heteroatoms selected from O, S, S(O), $S(O)_2$ or NR, wherein R may be hydrogen, alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl, heteroaralkyl, acyl, carbamoyl, sulfonyl, alkoxycarbonyl, aryloxycarbonyl or aralkoxycarbonyl and the like; and the alkylene may further be substituted with one or more substituents selected from optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, oxo, halogen, hydroxy, carboxy, alkoxy, alkoxycarbonyl and the like.

The term "cycloalkyl" refers to optionally substituted monocyclic, bicyclic or tricyclic hydrocarbon groups of 3-12 carbon atoms, each of which may contain one or more carbon to carbon double bonds, or the cycloalkyl may be substituted by one or more substituents, such as alkyl, halo, oxo, hydroxy, alkoxy, alkanoyl, acylamino, carbamoyl, alkylamino, dialkylamino, thiol, alkylthio, cyano, carboxy, alkoxycarbonyl, sulfonyl, sulfonamido, sulfamoyl, heterocyclyl and the like.

The term "carboxamide" refers to –C(O)-NHR , wherein R is selected from hydrogen, a C_1-C_8 alkyl group, a cycloalkyl group, a substituted or unsubstituted aryl group, a substituted or unsubstituted heterocyclyl group, and carboxamide is preferably –C(O)-NH_2.

Exemplary monocyclic hydrocarbon groups include, but are not limited to, cyclopropyl, cyclobutyl, cyclopentyl, cyclopentenyl, cyclohexyl and cyclohexenyl and the like.

Exemplary bicyclic hydrocarbon groups include bornyl, indyl, hexahydroindyl, tetrahydronaphthyl, decahydronaphthyl, bicyclo[2.1.1]hexyl, bicyclo[2.2.1]heptyl, bicyclo[2.2.1]heptenyl, 6,6-dimethylbicyclo[3.1.1]heptyl, 2,6,6-trimethylbicyclo[3.1.1]heptyl, bicyclo[2.2.2]octyl and the like.

Exemplary tricyclic hydrocarbon groups include adamantyl and the like.

The term "alkoxy" refers to alkyl-O-. The term "alkanoyl" refers to alkyl-C(O)-. The term "alkanoyloxy" refers to alkyl-C(O)-O-. The terms "alkylamino" and "dialkylamino" refer to alkyl-NH- and $(alkyl)_2N$-, respectively. The term "alkanoylamino" refers to alkyl-C(O)-NH-. The term "alkylthio" refers to alkyl-S-. The term "alkylthiono" refers to alkyl-S(O)-. The term "alkylsulfonyl" refers to alkyl-$S(O)_2$-. The term "alkoxycarbonyl" refers to alkyl-O-C(O)-. The term "alkoxycarbonyloxy" refers to alkyl-O-C(O)O-.

The term "carbamoyl" refers to $H_2NC(O)$-, alkyl-NHC(O)-, $(alkyl)_2NC(O)$-, aryl-NHC(O)-, alkyl(aryl)-NC(O)-, heteroaryl-NHC(O)-, alkyl(heteroaryl)-NC(O)-, aralkyl-NHC(O)-, alkyl(aralkyl)-NC(O)- and the like.

The term "sulfamoyl" refers to $H_2NS(O)_2$-, alkyl-$NHS(O)_2$-, $(alkyl)_2NS(O)_2$-, aryl-$NHS(O)_2$, alkyl(aryl)-$NS(O)_2$-, $(aryl)_2NS(O)_2$-, heteroaryl-$NHS(O)_2$-, aralkyl-$NHS(O)_2$-, heteroaralkyl-$NHS(O)_2$- and the like.

The term "sulfonamido" refers to alkyl-$S(O)_2$-NH-, aryl-$S(O)_2$-NH-, aralkyl-$S(O)_2$-NH-, heteroaryl-$S(O)_2$-NH-, heteroaralkyl-$S(O)_2$-NH-, alkyl-$S(O)_2$-N(alkyl)-, aryl-$S(O)_2$-N(alkyl)-, aralkyl-$S(O)_2$-N(alkyl)-, heteroaryl-$S(O)_2$-N(alkyl)-, heteroaralkyl-$S(O)_2$-N(alkyl)- and the like.

The term "sulfonyl" refers to alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl and the like.

The term “optionally substituted amino” refers to a primary or secondary amino group which may optionally be substituted by a substituent such as alkyl, acyl, sulfonyl, alkoxycarbonyl, cycloalkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, aralkoxycarbonyl, heteroaralkoxycarbonyl, carbamoyl and the like.

The term “aryl” refers to monocyclic or bicyclic aromatic hydrocarbon groups having 6-12 carbon atoms in the ring portion, such as phenyl, biphenyl, naphthyl and tetrahydronaphthyl, each of which may optionally be substituted by 1-4 substituents, such as optionally substituted alkyl, trifluoromethyl, cycloalkyl, halo, hydroxy, alkoxy, acyl, alkanoyloxy, aryloxy, optionally substituted amino, thiol, alkylthio, arylthio, nitro, cyano, carboxy, alkoxycarbonyl, carbamoyl, alkylthiono, sulfonyl, sulfonamido, heterocyclyl and the like.

The term “monocyclic aryl” refers to optionally substituted phenyl as described under aryl.

The term “aralkyl” refers to an aryl group bonded directly through an alkyl group, such as benzyl. The term “aralkanoyl” refers to aralkyl-C(O)-. The term “aralkylthio” refers to aralkyl-S-. The term “aralkoxy” refers to an aryl group bonded directly through an alkoxy group. The term “arylsulfonyl” refers to aryl-$S(O)_2$-. The term “arylthio” refers to aryl-S-. The term “aroyl” refers to aryl-C(O)-. The term “aroyloxy” refers to aryl-C(O)-O-. The term “aroylamino” refers to aryl-C(O)-NH-. The term “aryloxycarbonyl” refers to aryl-O-C(O)-.

The term “heterocyclyl” or “heterocyclo” refers to an optionally substituted, fully saturated or unsaturated, aromatic or nonaromatic cyclic group, e.g., which is a 4- to 7-membered monocyclic, 7- to 12-membered bicyclic or 10- to 15-membered tricyclic ring system, which has at least one heteroatom in at least one carbon atom-containing ring. Each ring of the heterocyclic group containing a heteroatom may have 1, 2 or 3 heteroatoms selected from nitrogen atoms, oxygen atoms and sulfur atoms, where the nitrogen and sulfur heteroatoms may also optionally be oxidized. The heterocyclic group may be attached at a heteroatom or a carbon atom.

Exemplary monocyclic heterocyclic groups include pyrrolidinyl, pyrrolyl, pyrazolyl, oxetanyl, pyrazolinyl, imidazolyl, imidazolinyl, imidazolidinyl, triazolyl, oxazolyl, oxazolidinyl, isoxazolinyl, isoxazolyl, thiazolyl, thiadiazolyl, thiazolidinyl, isothiazolyl, isothiazolidinyl, furyl, tetrahydrofuryl, thienyl, oxadiazolyl, piperidinyl, piperazinyl, 2-oxopiperazinyl, 2-oxopiperidinyl, 2-oxopyrrolodinyl, 2-oxoazepinyl, azepinyl, 4-piperidonyl, pyridyl, pyridyl N-oxide, pyrazinyl, pyrimidinyl, pyridazinyl, tetrahydropyranyl, morpholinyl, thiamorpholinyl, thiamorpholinyl sulfoxide, thiamorpholinyl sulfone, 1,3-dioxolane and tetrahydro-1,1-dioxothienyl, 1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl and the like.

Exemplary bicyclic heterocyclic groups include indolyl, dihydroidolyl, benzothiazolyl, benzoxazinyl, benzoxazolyl, benzothienyl, benzothiazinyl, quinuclidinyl, quinolinyl, tetrahydroquinolinyl, decahydroquinolinyl, isoquinolinyl, tetrahydroisoquinolinyl, decahydroisoquinolinyl, benzimidazolyl, benzopyranyl, indolizinyl, benzofuryl, chromonyl, coumarinyl, benzopyranyl, cinnolinyl, quinoxalinyl, indazolyl, pyrrolopyridyl, furopyridinyl

(such as furo[2,3-c]pyridinyl, furo[3,2-b]-pyridinyl] or furo[2,3-b]pyridinyl), dihydroisoindolyl, 1,3-dioxo-1,3-dihydroisoindol-2-yl, dihydroquinazolinyl (such as 3,4-dihydro-4-oxo-quinazolinyl), phthalazinyl and the like.

Exemplary tricyclic heterocyclic groups include carbazolyl, dibenzoazepinyl, dithienoazepinyl, benzindolyl, phenanthrolinyl, acridinyl, phenanthridinyl, phenoxazinyl, phenothiazinyl, xanthenyl, carbolinyl and the like.

The term "heterocyclyl" includes substituted heterocyclic groups. Substituted heterocyclic groups refer to heterocyclic groups substituted with 1, 2 or 3 substituents. Exemplary substituents include, but are not limited to, the following: optionally substituted alkyl; hydroxyl (or protected hydroxyl); halo; oxo, i.e., =O; optionally substituted amino; alkoxy; cycloalkyl; carboxy; heterocyclooxy; alkoxycarbonyl, such as unsubstituted lower alkoxycarbonyl; mercapto; nitro; cyano; sulfamoyl; alkanoyloxy; aroyloxy; arylthio; aryloxy; alkylthio; formyl; carbamoyl; aralkyl; or aryl optionally substituted with alkyl, cycloalkyl, alkoxy, hydroxyl, amino, acylamino, alkylamino, dialkylamino or halo.

The term "heterocyclooxy" denotes a heterocyclic group bonded through an oxygen bridge.

The terms "saturated or unsaturated heterocycloalkyl" or "heterocycloalkyl" refers to nonaromatic heterocyclic or heterocyclyl groups as described above.

The term "heteroaryl" refers to an aromatic heterocycle, e.g., monocyclic or bicyclic aryl, such as pyrrolyl, pyrazolyl, imidazolyl, triazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, furyl, thienyl, pyridyl, pyridyl N-oxide, pyrazinyl, pyrimidinyl, pyridazinyl, indolyl, benzothiazolyl, benzoxazolyl, benzothienyl, quinolinyl, isoquinolinyl, benzimidazolyl, benzofuryl and the like, optionally substituted by, e.g., lower alkyl, lower alkoxy or halo. The term "heteroarylsulfonyl" refers to heteroaryl-$S(O)_2$-. The term "heteroaroyl" refers to heteroaryl-C(O)-. The term "heteroaroylamino" refers to heteroaryl-C(O)NH-. The term "heteroaralkyl" refers to a heteroaryl group bonded through an alkyl group. The term "heteroaralkanoyl" refers to heteroaralkyl-C(O)-. The term "heteroaralkanoylamino" refers to heteroaralkyl-C(O)NH-.

The term “acyl” refers to alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl and the like. The term “acylamino” refers to alkanoylamino, aroylamino, heteroaroylamino, aralkanoylamino, heteroaralkanoylamino and the like.

The term “divalent” refers to a residue linked to at least two residues and optionally having further substituents. As an example, within the context of the present invention the expression “substituted or unsubstituted divalent phenyl residue” is considered to be equivalent to the expression “substituted or unsubstituted phenylene residue”.

For example, WO 2007/126957 discloses a DGAT1 inhibitor compound having the following structure

A-L1-B-C-D-L2-E

and pharmaceutically acceptable salts, and prodrugs thereof, wherein

- A is a substituted or unsubstituted alkyl, cycloalkyl, aryl, or heterocyclyl group,
- L1 is selected from the group consisting of:
    * an amine group –NH-
    * a substituted amine group of the formula –N(CH_3)-, -CH_2-NH- or

      -CH_2-CH_2-NH-,
    * an amide group –C(O)-NH- ,
    * a sulphonamide group –S(O)$_2$-NH-, or
    * a urea group –NHC(O)-NH-,
- B is a substituted or unsubstituted, monocyclic, 5- or 6-membered divalent heteroaryl group,
    - C-D is selected from the following cyclic structures:
        * C-D together is a substituted or unsubstituted divalent biphenyl group,

* C is a substituted or unsubstituted divalent phenyl group and D is a single bond,

* C is a substituted or unsubstituted divalent phenyl group, and D is a substituted or unsubstituted divalent non-aromatic monocyclic ring which is selected from a saturated or unsaturated divalent cycloalkyl group or a saturated or unsaturated divalent heterocycloalkyl group,

* C-D together is a spiro residue, wherein

  • the first cyclic component is a benzo-fused cyclic component wherein the ring which is fused to the phenyl part is a 5- or 6-membered ring, optionally comprising one or more heteroatoms, the first cyclic component being attached to the moiety B via its phenyl part, and

  • the second cyclic component is a cycloalkyl or cycloalkylidenyl residue which is attached to L2,

- L2 is selected from the group consisting of:

  * a single bond,

  * a divalent residue having the following structure:

$$-[R^1]_a-[R^2]_b-[C(O)]_c-[N(R^3)]_d-[R^4]_e-[R^5]_f-$$

wherein

a is 0 or 1,

b is 0 or 1,

c is 0 or 1,

d is 0 or 1,

e is 0 or 1,

f is 0 or 1,

with the proviso that (a+b+c+d+e+f) > 0, and c=1 if d=1,

R^1, R^2, R^4 and R^5, which can be the same or different, are a substituted or unsubstituted divalent alkyl, cycloalkyl, alkenyl, alkynyl, alkylene, aryl or heterocyclyl residue,

R^3 is H or hydrocarbyl,

or R^3 and R^4 form together with the nitrogen atom to which they are attached a 5- or 6-membered heterocycloalkyl group,

with the proviso that R^1 and R^2 are not both alkyl if c=1 and d=e=f=0 and the carbonyl carbon atom is attached to the moiety E,

* an alkylidenyl group which is linked to the moiety D via a double bond, and

- E is selected from the group consisting of:

* a sulphonic acid group and derivatives thereof,

* a carboxyl group and derivatives thereof, wherein the carboxyl carbon atom is attached to L2,

* a phosphonic acid group and derivatives thereof,

* an alpha-keto hydroxyalkyl group,

* a hydroxyalkyl group wherein the carbon atom bonded to the hydroxyl group is further substituted with one or two trifluoro-methyl groups,

* a substituted or unsubstituted five-membered heterocyclyl residue having in the ring at least two heteroatoms and at least one carbon atom, wherein

• the at least one carbon atom of the ring is bonded to two heteroatoms;

• at least one of the heteroatoms to which the carbon atom of the ring is bonded is a member of the ring;

• and at least one of the heteroatoms to which the carbon atom of the ring is bonded or at least one of the heteroatoms of the ring is bearing a hydrogen atom;

with the provisos that

- L2 is not a single bond or a divalent alkyl group if the moiety D is a single bond,
- L2 is not a single bond if the moiety D is an unsubstituted divalent phenyl group and E is a carboxylic acid or a derivative thereof,
- E is not a carboxamide group if L2 comprises an amide group,
- E is not a –COOH group if D is a single bond and L2 is a $–N(CH_3)-C(O)-$ group wherein the carbonyl carbon atom is attached to the moiety E,
- L2 is not a divalent N-methyl piperidinyl group if the moiety E is a pyridinyl-1,2,4-triazolyl group,
- L2 is not $–C(O)-[R^4]_e-[R^5]_f–$ when C is a substituted or unsubstituted divalent phenyl group and D is a single bond,

or a pharmaceutically acceptable salt thereof,

Compounds of the present invention may be prepared from commercially available reagents employing general synthetic techniques known to those skilled in the art.

WO 2007/126957 discloses the synthetic reaction schemes suitable for preparing such compounds. WO 2007/126957 specifically disclose the following compounds.
(4-{4-[2-(3-Fluorophenylamino)-pyrimidin-5-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(2-Phenylaminopyrimidin-5-yl)-phenyl]-cyclohexyl}-acetic acid,
4-{4-[2-(3-Fluorophenylamino)-pyrimidin-5-yl]-phenyl}-2,2-dimethyl-4-oxo-butyric acid,
(1S,2S)-2-{4-[2-(3-Fluorophenylamino)-pyrimidin-5-yl]-benzoyl}-cyclopentanecarboxylic acid,
(1S,2S)-2-{4-[2-(3-Chlorophenylamino)-pyrimidin-5-yl]-benzoyl}-cyclopentanecarboxylic acid,
(4-{4-[2-(3-Methoxyphenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Fluorophenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(2-Chlorophenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Cyanophenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Trifluoromethylphenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[2-(3-Fluorophenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
3-{4'-[2-(3-Fluorophenylamino)-thiazol-4-yl]-biphenyl-4-yl}-propionic acid,
{4'-[2-(3-Fluorophenylamino)-thiazol-4-yl]-biphenyl-4-yl}-acetic acid,
(4-{4-[2-(3-Chlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(4-Chlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(4-Methoxyphenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(2-Fluorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(2-Phenylaminooxazol-5-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[2-(3-Fluorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(2-Chlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Cyanophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(2-Cyclohexylaminooxazol-5-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[2-(3,4-Dichlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Chloro-4-fluorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(4-Chloro-3-trifluoromethylphenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3,5-Difluorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3,5-Dichlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(2-Chloro-4-trifluoromethylphenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(2-Trifluoromethylphenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Fluoro-4-methylphenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(2-p-Tolylaminooxazol-5-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[2-(3-Chloro-4-methylphenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetic acid,
4-(4-{4-[2-(3-Chlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-butyric acid,
(E)-4-(4-{4-[2-(3-Chlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-but-2-enoic acid,
3-[2-(4-{4-[2-(3-Chlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetylamino]-propionic acid,
{[2-(4-{4-[2-(3-Chlorophenylamino)-oxazol-5-yl]-phenyl}-cyclohexyl)-acetyl]-methyl-amino}-acetic acid,
{4'-[2-(3-Chlorophenylamino)-oxazol-5-yl]-biphenyl-4-yl}-acetic acid,
3-{4'-[2-(3-Chlorophenylamino)-oxazol-5-yl]-biphenyl-4-yl}-propionic acid,
4-{4'-[2-(3-Chlorophenylamino)-oxazol-5-yl]-biphenyl-4-yl}-2,2-dimethyl-4-oxo-butyric acid,

4-{4'-[2-(3-Chlorophenylamino)-oxazol-5-yl]-biphenyl-4-yl}-4-oxo-butyric acid,
4-{4'-[2-(3-Chlorophenylamino)-oxazol-5-yl]-biphenyl-4-carbonyl}-cyclohexanecarboxylic acid,
(4-{4-[2-(3-Chlorophenylamino)-oxazol-5-yl]-phenyl}-3,6-dihydro-2H-pyridin-1-yl)-oxo-acetic acid,
4-{4-[2-(3-Chloro-phenylamino)-oxazol-5-yl]-phenyl}-3,6-dihydro-2H-pyridine-1-sulfonic acid amide,
4-{4-[2-(3-Chloro-phenylamino)-oxazol-5-yl]-phenyl}-3,6-dihydro-2H-pyridine-1-sulfonic acid amide-N-carboxylic acid tert-butyl ester,
4-(4-{4-[2-(3-Chloro-phenylamino)-oxazol-5-yl]-phenyl}-3,6-dihydro-2H-pyridin-1-yl)-2,2-dimethyl-4-oxo-butyric acid,
4-(4-{4-[2-(3-Chloro-phenylamino)-oxazol-5-yl]-phenyl}-3,6-dihydro-2H-pyridin-1-yl)-4-oxo-butyric acid,
2-(4-{4-[2-(3-Chloro-phenylamino)-oxazol-5-yl]-phenyl}-3,6-dihydro-2H-pyridine-1-carbonyl)-benzoic acid,
(1R,2R)-2-{4'-[2-(3-Chlorophenylamino)-oxazol-5-yl]-biphenyl-4-carbonyl}-cyclohexanecarboxylic acid,
(trans)-2-{4'-[2-(3-Chlorophenylamino)-oxazol-5-yl]-biphenyl-4-carbonyl}-cyclohexanecarboxylic acid,
(trans)-2-{4'-[2-(3-Chlorophenylamino)-oxazol-5-yl]-biphenyl-4-carbonyl}-cyclopentanecarboxylic acid,
(4-{4'-[2-(3-Chloro-phenylamino)-oxazol-5-yl]-biphenyl-4-yl}-cyclohexyl)-acetic acid,
(4-{5-[6-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridin-3-yl]-spirocyclohexylidenyl-1,1'-indanyl}-acetic acid,
(4-{5-[6-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridin-3-yl]-spirocyclohexyl-1,1'-indanyl}-acetic acid,
(4-{4-[6-(3-Chloro-phenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-methylphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Trifluoromethylphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Methoxyphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Fluorophenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Methoxyphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Methoxyphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[5-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid ,
(4-{4-[5-(Pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(5-Phenylaminopyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(5-Cyanopyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Trifluoromethylpyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(4-Trifluoromethylphenylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Methylpyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Trifluoromethylpyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid methyl ester,
(4-{4-[5-(5-Chloropyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Methoxypyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Fluoropyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Acetylaminopyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(3-Methoxy-5-phenylamino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(3-Methoxy-5-(3-fluorophenyl)amino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(3-Methoxy-5-(4-trifluoromethyl-phenyl)amino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(3-Methoxy-5-(3-chlorophenyl)amino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(3-Fluoro-phenylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3-Chloro-phenylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(1-Methyl-1H-pyrazol-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Fluoro-6-methoxy-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Isoxazol-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{5-[5-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridin-2-yl]-spirocyclohexylidenyl-1,1'-indanyl}-acetic acid,
(4-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Fluoro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(6-m-Tolylamino-pyridazin-3-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[6-(3-Trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Cyano-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Fluoro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[6-(4-Chloro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(6-p-Tolylamino-pyridazin-3-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[6-(4-Trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Chloro-4-methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Chloro-2-methyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(6-Phenylamino-pyridazin-3-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[6-(3-Chloro-2-methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(4-Methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(4-Trifluoromethoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(4-Fluoro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(6-Amino-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(Methyl-m-tolyl-amino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{6-[(3-Chloro-phenyl)-methyl-amino]-pyridazin-3-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{6-[(3-Methoxy-phenyl)-methyl-amino]-pyridazin-3-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[6-(2-Methyl-6-trifluoromethyl-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Chloro-2-methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
2-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoylamino}-3-methyl-butyric acid,
(S)-1-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoyl}-pyrrolidine-2-carboxylic acid,
(1S,2R)-2-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoylamino}-cyclopentanecarboxylic acid,
3-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoylamino}-propionic acid,
(S)-3-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoylamino}-5-methyl-hexanoic acid,
(1S,2R)-2-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoylamino}-cyclohexanecarboxylic acid,

(S)-1-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoyl}-piperidine-2-carboxylic acid,
2-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoylamino}-2-methyl-propionic acid,
4-{4-[6-(3-Trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexanecarboxylic acid,
2-(4-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetamide,
(6-{4-[4-(2H-Tetrazol-5-ylmethyl)-cyclohexyl]-phenyl}-pyridazin-3-yl)-(6-trifluoromethyl-pyridin-3-yl)-amine,
3-(4-{4-[6-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexylmethyl)-4H-[1,2,4]oxadiazol-5-one,
(1-{4-[6-(3-Trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-piperidin-4-yl)-acetic acid,
(4-{4-[4-Methyl-6-(6-trifluoromethyl-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[4-Methyl-6-(4-trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid
(4-{4-[5-(6-Trifluoromethyl-pyridin-3-ylamino)-pyrazin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2,2-Dimethyl-propionylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(6-Methoxy-pyridin-3-ylamino)-5-methyl-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-Fluoro-6-(6-methoxy-pyridin-3-ylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
Oxo-(4-{4-[6-(6-trifluoromethyl-pyridin-3-ylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(5-Acetylamino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(3-Trifluoromethyl-benzoylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(Pyridine-2-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[3-(4-Trifluoromethoxy-phenyl)-ureido]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[3-(2-Trifluoromethyl-phenyl)-ureido]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(3-o-Tolyl-ureido)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,

[4-(4-{5-[(1-Methyl-1H-indole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(1H-Indole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(Pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(6-Methyl-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Bromo-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Chloro-6-methoxy-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Isobutyl-isoxazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(3-tert-Butyl-1-methyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-tert-Butyl-1H-pyrazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Isopropyl-isoxazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
{4-[4-(5-Isobutoxycarbonylamino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
[4-(4-{5-[((S)-5-Oxo-pyrrolidine-2-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(4-Fluoro-3-trifluoromethyl-benzoylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(4-Trifluoromethyl-benzoylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(6-Trifluoromethyl-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(3-Fluoro-5-trifluoromethyl-benzoylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(Tetrahydro-pyran-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Bromo-2-methoxy-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(1,5-Dimethyl-1H-pyrazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,

[4-(4-{5-[(5-Methoxy-1H-indole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(2,5-Dimethyl-1H-pyrrole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(1-Methyl-5-trifluoromethyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
{4-[4-(5-{[4-(Morpholine-4-sulfonyl)-1H-pyrrole-2-carbonyl]-amino}-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(2-Fluoro-2-methyl-propionylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(1-Methyl-3-trifluoromethyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid methyl ester,
(4-{4-[5-(2-Methyl-2-pyrazol-1-yl-propionylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(5-Isopropyl-isoxazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(1-Methyl-3-trifluoromethyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Cyclopropyl-isoxazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Cyclopropyl-isoxazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid methyl ester,
[4-(4-{5-[(5-Cyclopropyl-isoxazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(6-Methoxy-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(2,2-Dimethyl-butyrylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Methoxy-2-methyl-propionylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(1,5-Dimethyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(Tetrahydro-pyran-4-yloxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(5-Cyclopropylmethoxycarbonylamino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,

(4-{4-[5-(Tetrahydro-furan-2-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Tetrahydro-pyran-2-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3-Methyl-oxetan-3-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Tetrahydro-pyran-4-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Methyl-pyridin-3-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[3-(4-Chloro-3-trifluoromethyl-phenyl)-ureido]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
{4-[4-(5-Isopropylcarbamoyl-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(6-Carbamoyl-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(6-Isopropylcarbamoyl-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(6-Trifluoromethyl-pyridin-3-ylcarbamoyl)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(4-Trifluoromethyl-benzenesulfonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3-Trifluoromethyl-benzenesulfonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(1,2-Dimethyl-1H-imidazole-4-sulfonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Fluoro-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Isopropoxy-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Bromo-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Methoxy-pyrimidin-5-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Methylsulfanyl-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-([1,2,4]Triazin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Dimethylamino-pyrimidin-5-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Methylsulfanyl-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3,5-Difluoro-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[5-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid methyl ester,
(4-{4-[5-(5-Chloro-6-methoxy-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Fluoro-4-methyl-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3-Chloro-5-methyl-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Difluoromethyl-6-methoxy-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Methanesulfonyl-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[3-Fluoro-5-(6-trifluoromethyl-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(1H-Benzoimidazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Trifluoromethyl-[1,3,4]oxadiazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Methyl-benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Chloro-benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid methyl ester,
(4-{4-[5-(6-Chloro-benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Chloro-6-methoxy-benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-tert-Butyl-[1,3,4]oxadiazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(6-Trifluoromethyl-pyridin-3-ylamino)-pyrimidin-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(5-Chloro-pyridin-2-ylamino)-pyrimidin-5-yl]-phenyl}-cyclohexyl)-acetic acid
Oxo-(4-{4-[6-(6-trifluoromethyl-pyridin-3-ylamino)-pyridin-3-yl]-phenyl}-piperidin-1-yl)-acetic acid,
(4-Hydroxy-4-{4-[6-(6-trifluoromethyl-pyridin-3-ylamino)-pyridin-3-yl]-phenyl}-piperidin-1-yl)-acetic acid,

(4-{4-[6-(2-Methyl-6-trifluoromethyl-pyridin-3-ylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
or in any case a pharmaceutically acceptable salt thereof.

Another example of DGAT1 compounds are disclosed in International Patent Application PCT/US2007/081607 (WO 2008/048991). The disclosed compounds have the following basic formula.

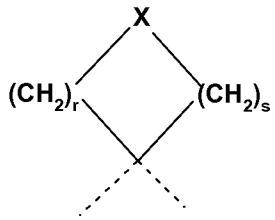
A-L1-B-C-D

wherein

- A is selected from a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalky, substituted or unsubstituted aryl, and a substituted or unsubstituted heterocyclyle, wherein A is linked to L1 via a carbon member of the ring when A is a ring,
- L1 is selected from the group consisting of:
  * an amine group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–N(R_3)–$,
  * a thiocarbamoyl group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–N(R_3)\ –C(S)–$,
  * an amide group of the formula $–C(O)\text{-}N(R_3)–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–$,
  * an amidine group of the formula $–C(NH)–N(R_3)–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–$,
  * an amide group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–C(O)\text{-}N(R_3)–$,
  * a sulphonamide group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m\ –S(O)_2\text{-}N(R_3)\text{-}$,
  * a carbamate group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m\ –(O)–C(O)\text{-}N(R_3)–$, or
  * a urea group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m\ –N(R_3)–C(O)\text{-}N(R_{3A})–$,

wherein;

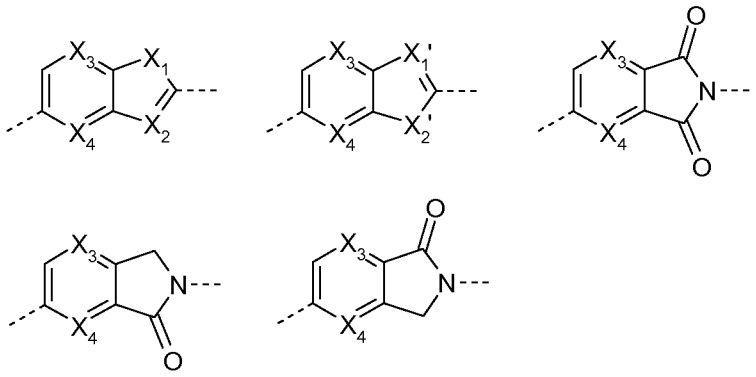
- R_3 and R_{3A} are, independently from each other, hydrogen or lower alkyl,
- m, n and p are, independently from each other, zero or an integer from 1 to 2,
- m + m + p is between 0 and 6, and is preferably 0, 1, 2 or 3
- R_4 and $R_{4'}$ are, independently from each other, hydrogen, halogen, hydroxyl, lower alkoxy, lower alkoxycarbonyl, carboxy or lower alkyl, or R_4 and $R_{4'}$ are joined together to form a spiro residue of the formula



wherein;

- X is $NR_{3'}$, O, S or $CR_{3''}R_{4''}$
- r and s are, independently from each other, zero or an integer from 1 to 3,
- $R_{3'}$ is hydrogen or lower alkyl,
- $R_{3''}$ is hydrogen, halogen, hydroxyl, alkoxy, or lower alkyl,
- $R_{4''}$ is hydrogen or lower alkyl;

- B is a substituted or unsubstituted divalent heteroaryl group selected from one of the groups below:

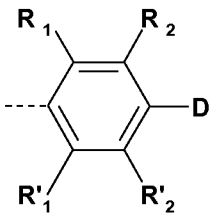


wherein;

X_1 and X_2' are independently selected from O, NH, NR_9 or S, wherein R_9 is selected from lower alkyl, lower alkylamino, lower alkoxyalkyl, lower hydroxyalkyl,

X_1', X_2, X_3 and X_4 are independently selected from N, or CH,

- C is



wherein

- R_1 is selected from hydrogen, cyano, lower alkylsulfonylamino, alkanoylamino, halogen, lower alkyl, trifluoromethyl, lower alkoxy, lower alkylamino, lower dialkylamino, and NO_2,
- R'_1, R_2 and R'_2 are independently selected from hydrogen, halogen, trifluoromethyl, aryloxy, lower alkyl, lower alkoxy, lower alkylamino, lower dialkylamino, and NO_2,

or

- C may also be a substituted or unsubstituted bicyclic aryl or heteroaryl group,

- D is selected from hydrogen, halogen, hydroxyl, cyano, alkanoylamino, carboxy, carbamoyl, $–O–L_2–E$, $–S–L_2–E'$, $–C(O)-O–L_2–E$, $–L_2–E''$, and $–NR_6–L_2–E'$,
- L_2 is $–(CH_2)_{n'}–(CR_5R_{5'})_{p'}–(CH_2)_{m'}–$
- E is;

  alkyl, acyl, alkoxycarbonyl, phosphonic acid, phosphonate, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonyl, $-SO_2-OH$, sulfamoyl, sulfonylcarbamoyl, sulfonyloxy, sulfonamido, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl, and when n' + m' + p' is equal to zero, E is not sulfonyloxy or sulfonamido,

- E' is;

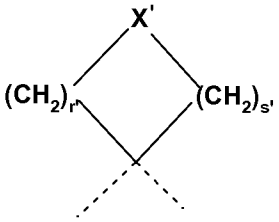
  alkyl, acyl, alkoxycarbonyl, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonylcarbamoyl, sulfonyl, $-SO_2-OH$, sulfamoyl, sulfonamido, phosphonic acid, phosphonate, sulfonyloxy, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl, and when n' + m' + p' is equal to zero, E' is not sulfamoyl, sulfonamido, phosphonic acid, phosphonate, or sulfonyloxy,

  - E'' is;

    alkyl, acyl, alkoxycarbonyl, phosphonic acid, phosphonate, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonyl, sulfamoyl, sulfonyloxy, sulfonamido, $-SO_2-OH$, sulfonylcarbamoyl, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl,

- m', n' and p' are, independently from each other, an integer from 0 to 4,
- m' + n' + p' is between 0 and 12, and is preferably 0, 1, 2, 3 or 4,

- R_5 and $R_{5'}$ are, independently from each other, hydrogen, halogen, hydroxyl, lower alkoxy, or lower alkyl, or R_5 and $R_{5'}$ are joined together to form a spiro residue of the formula



wherein;

- X' is NR_x, O, S or $CR_{x'}R_{x''}$
- r' and s' are, independently from each other, zero or an integer from 1 to 3,
- R_x is hydrogen or lower alkyl,
- $R_{x'}$ is hydrogen, halogen, hydroxyl, alkoxy, or lower alkyl,
- $R_{x''}$ is hydrogen or lower alkyl;

or a prodrug or a pharmaceutically acceptable salt thereof for the manufacture of a medicament for the treatment of DGAT especially DGAT1 associated disorders.

WO 2008/048991 discloses the synthetic reaction schemes suitable for preparing such compounds. WO 2008/048991 specifically disclose the following compounds.
[2-(2-Chloro-phenyl)-3H-benzoimidazol-5-yl]-carbamic acid ethyl ester
[2-(4-Methoxy-2-methyl-phenyl)-3H-benzoimidazol-5-yl]-carbamic acid ethyl ester
[2-(2,6-Dimethyl-phenyl)-3H-benzoimidazol-5-yl]-carbamic acid ethyl ester
[2-(2,4-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-carbamic acid ethyl ester
[2-(2,3-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-carbamic acid ethyl ester
N-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-butyramide
N-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-3-methyl-butyramide
N-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-2-ethoxy-acetamide
N-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-2-phenyl-acetamide
N-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-3-methyl-benzamide
N-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-2,4,6-trimethyl-benzenesulfonamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid propylamide

2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid butylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid benzylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (2-methoxy-ethyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid isopropylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid cyclohexylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid isobutyl-methyl-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid diethylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid benzyl-methyl-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid ((R)-1-phenyl-ethyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid ((S)-1-phenyl-ethyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (1,2,3,4-tetrahydro-naphthalen-1-yl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (Rindan-1-ylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (biphenyl-3-ylmethyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (biphenyl-4-ylmethyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid 2-methyl-benzylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid phenethyl-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (2-o-tolyl-ethyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid phenylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid o-tolylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (4-chloro-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (4-dimethylcarbamoyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-methoxy-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (4-methoxy-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-isopropoxy-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-ethoxy-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide

2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,5-dimethyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid p-tolylamide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-cyano-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-acetyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (4-fluoro-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (4-cyano-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-4-fluoro-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dichloro-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (4-fluoro-3-methyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-4-methyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-difluoro-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethoxy-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (1H-indazol-5-yl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (1H-indazol-6-yl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (2-methyl-benzothiazol-6-yl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (2-methyl-benzothiazol-5-yl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid quinoli6-ylamide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid pyridin-2-ylamide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (6-chloro-pyridin-2-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (6-methyl-pyridin-2-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid quinoxalin-6-ylamide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (6-chloro-pyridin-3-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid pyridin-3-ylamide

2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (5-chloro-pyridin-2-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (5-methyl-pyridin-2-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (4-methyl-pyridin-2-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (6-chloro-pyridazin-3-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid pyrazin-2-ylamide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (4-methyl-pyrimidin-2-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid pyridazin-3-ylamide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (6-chloro-pyrazin-2-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (5-chloro-pyrimidin-2-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid pyrimidin-4-ylamide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid [3-(2H-tetrazol-5-yl)-phenyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(3-chloro-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-bromo-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-fluoro-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(3,4-dimethyl-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(3-ethoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-methoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(2-methoxy-phenyl)-ethyl]-amide

2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(3-fluoro-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(2,4-dichloro-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(2-ethoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-ethyl-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(2,4-dimethyl-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid ((R)-2-phenyl-propyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(3,4-dimethoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(3-bromo-4-methoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(2-fluoro-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(2,5-dimethoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-phenoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-ethoxy-3-methoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-ethoxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(2,6-dichloro-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-hydroxy-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid [2-(2,5-dimethyl-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (5-chloro-benzo[b]thiophen-3-ylmethyl)- amide

2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (2-pyridin-2-yl-ethyl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (2-pyridin-3-yl-ethyl)-amide
2-(2,6-Dichloro-phenyl)-1H-benzoimidazole-5-carboxylic acid (2-pyridin-4-yl-ethyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-methyl-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-sulfonic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-sulfonic acid (2-methyl-benzothiazolyl-5-yl)-amide
2-(2,6-Dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-o-Tolyl-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
{4-[6-(3-Chloro-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenoxy}-acetic acid ethyl ester
{4-[6-(3-Chloro-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenoxy}-acetic acid
{4-[6-(3-Chloro-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3-methyl-phenyl}-carbamic acid ethyl ester
2-Phenyl-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Chloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(3-Chloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(4-Chloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Chloro-6-nitro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Methoxy-naphthalen-1-yl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Methoxy-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Trifluoromethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Fluoro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Cyano-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Chloro-6-fluoro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2,3-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2,5-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2,4-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide

2-(4-Methoxy-naphthalen-1-yl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(4-Acetylamino-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(3-Phenoxy-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-Naphthalen-1-yl-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
4-[6-(3-Chloro-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-benzoic acid methyl ester
2-(4-Cyano-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2,6-Dimethoxy-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(4-tert-Butyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2,6-Dinitro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2,6-Difluoro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Fluoro-6-methoxy-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Fluoro-6-trifluoromethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Chloro-6-methanesulfonylamino-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2-Acetylamino-6-chloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-phenyl)-amide
4-[6-(3-Chloro-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3-methyl-benzoic acid
4-[6-(3-Chloro-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3-methyl-benzoic acid methyl ester
2-(4-Acetylamino-2,6-dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-[2,6-dimethyl-4-(2-oxo-2-pyrrolidin-1-yl-ethoxy)-phenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
Toluene-4-sulfonic acid 4-[6-(3,4-dimethyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenyl ester
2-[2,6-dimethyl-4-(2-pyrrolidin-1-yl-ethoxy)-phenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-[2,6-Dimethyl-4-(1H-tetrazol-5-yl-methoxy)-phenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
{4-[6-(3,4-Dimethyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenoxy}-acetic acid ethyl ester

2-(4-Cyano-2,6-dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
Trifluoro-methanesulfonic acid 4-[6-(3,4-dimethyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenyl ester
2-(2,6-Dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(4-Hydroxy-2,6-dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(4-Methoxy-2,6-dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(4-Carbamoylmethoxy-2,6-dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dimethyl-4-methylcarbamoylmethoxy-phenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(4-Dimethylcarbamoylmethoxy-2,6-dimethyl-phenyl)-3H-benzoimidazole-5 -carboxylic acid (3,4-dimethyl-phenyl)-amide
Methanesulfonic acid 4-[6-(3,4-dimethyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenyl ester
{4-[6-(3,4-Dimethyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenoxy}-acetic acid
2-{2,6-Dimethyl-4-[2-(4-methyl-piperazin-1-yl)-2-oxo-ethoxy]-phenyl}-3H benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
4-[6-(3,4-Dimethyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-benzoic acid
2-[2,6-dimethyl-4-(4-methyl-piperazine-1-carbonyl)-phenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-[2,6-Dimethyl-4-(2H-tetrazol-5-yl)-phenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-ylmethyl]-(3,4-dimethyl-phenyl)-amine
2-(4-Carbamoylmethoxy-2,6-dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (2-methyl-benzothiazol-5-yl)-amide
2-(2,6-Dimethyl-4-methylcarbamoylmethoxy-phenyl)-3H-benzoimidazole-5-carboxylic acid (2-methyl-benzothiazol-5-yl)-amide
2-(4-Dimethylcarbamoylmethoxy-2,6-dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid (2-methyl-benzothi

azol-5-yl)-amide
2-[2,6-Dimethyl-4-(2-oxo-2-pyrrolidin-1-yl-ethoxy)-phenyl]-3H-benzoimi
dazole-5-carboxylic acid (2-methyl-benzothiazol-5-yl)-amide
Trifluoro-methanesulfonic acid 3,5-dimethyl-4-[6-(2-methyl-benzothiazol-5-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl ester
Methanesulfonic acid 3,5-dimethyl-4-[6-(2-methyl-benzothiazol-5-ylcarb
amoyl)-1H-benzoimidazol-2-yl]-phenyl ester
Toluene-4-sulfonic acid 3,5-dimethyl-4-[6-(2-methyl-benzothiazol-5-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl ester
{3,5-Dimethyl-4-[6-(2-methyl-benzothiazol-5-ylcarbamoyl)-1Hbenzoimida
zol-2-yl]-phenoxy}-acetic acid ethyl ester
{3,5-dimethyl-4-[6-(2-methyl-benzothiazol-5-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3,5-dimethoxyphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid benzo[1,3]dioxol-5-ylamide

2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-chloro-4-methoxyphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-trifluoromethylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-trifluoromethylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-trifluoromethoxyphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-fluoro-3-trifluoromethylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3,5-difluorophenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-nitrophenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2,4-dichlorophenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3,5-dichlorophenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2-fluorophenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-chloro-2-fluorophenyl)-amide

2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid biphenyl-4-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-phenoxyphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2-methoxyphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-methanesulfonylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid m-tolylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-phenoxyphenyl)-amide

2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-cyano-4-methylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-tert-butylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3,5-di-tert-butylphenyl)-amide
3-{[2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carbonyl]-amino}-benzoic acid methyl ester
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-dimethylaminophenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-phenylpropyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-oxazol-5-yl-phenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-oxazol-5-yl-phenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid naphthalen-2-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (5-oxo-5,6,7,8-tetrahydronaphthalen-2-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid indan-5-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2-oxo-4-trifluoromethyl-2H-chromen-7-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-methylthiazol-2-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4,5-dimethylthiazol-2-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (5,6,7,8-tetrahydronaphthalen-2-yl)-amide

2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (8-oxo-5,6,7,8-tetrahydronaphthalen-2-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (8-hydroxy-5,6,7,8-tetrahydronaphthalen-2-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-phenylbutyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid endo-bicyclo[2.2.1]hept-2-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid exo-bicyclo[2.2.1]hept-2-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid adamantan-2-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-methyl-2-oxo-2H-chromen-7-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2,3-dihydrobenzo[1,4]dioxin-6-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid [2-(pyrrolidine-1-carbonyl)-phenyl]-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-butylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-cyclohexylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-tert-butylcyclohexyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid quinolin-7-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid isoquinolin-3-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2-methylquinolin-6-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-methoxynaphthalen-2-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid quinolin-3-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-methoxymethyl-2-oxo-2H-chromen-7-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid anthracen-2-ylamide
(E)-3-(4-{[2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carbonyl]-amino}-phenyl)-acrylic acid ethyl ester
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-ethylphenyl)-amide

2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-isopropylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2,6-dimethoxyphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2,5-di-tert-butylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2,6-diisopropylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (3-phenylcarbamoylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-fluorophenoxy)-pyridin-3-yl]-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-chloro-3-trifluoromethylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-sec-butylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2-phenyl-2H-pyrazol-3-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (2-methyl-5-phenyl-2H-pyrazol-3-yl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (8-hydroxyquinolin-2-yl)-amide
2-(2,3-Dimethylphenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethylphenyl)-amide
2-(2,6-Dimethylphenyl)-3H-benzoimidazole-5-carboxylic acid naphthalen-2-ylamide
2-(2,6-Dimethylphenyl)-3H-benzoimidazole-5-carboxylic acid (4-methyl-2-oxo-2H-chromen-7-yl)-amide
2-(4-Chloro-phenyl)-3-(2-hydroxy-ethyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3-(2-hydroxy-ethyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2-Chloro-6-nitro-phenyl)-3-(2-hydroxy-ethyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dimethyl-phenyl)-3-(2-hydroxy-ethyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dimethoxy-phenyl)-3-(2-hydroxy-ethyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide

2-(2-Chloro-phenyl)-3-(2-hydroxy-ethyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(4-Chloro-phenyl)-3-methyl-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-3-methyl-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2-Chloro-6-nitro-phenyl)-3-methyl-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dimethyl-phenyl)-3-methyl-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dimethoxy-phenyl)-3-methyl-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2-Chloro-phenyl)-3-methyl-3H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dichlorophenyl)-1-methyl-1H-benzoimidazole-5-carboxylic acid (3,4-dimethylphenyl)-amide
2-(2,6-dichloro-phenyl)-1H-indole-5-carboxylic acid (2-methyl-benzothiazol-5-yl)-amide
2-(2,6-Dichloro-phenyl)-1H-indole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-1H-indole-5-carboxylic acid (3-chloro-phenyl)-amide
2-(2,6-dichloro-phenyl)-benzooxazole-6-carboxylic acid (2-o-tolyl-ethyl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid (3-chloro-phenyl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid (3,4-dimethyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid (3,5-dimethyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid p-tolylamide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid (3-chloro-4-methyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid (4-fluoro-3-methyl-phenyl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid (2-methyl-benzothiazol-6-yl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid (1H-indazol-5-yl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid (1H-indazol-6-yl)-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid [2-(2-methoxy-phenyl)-ethyl]-amide

2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid [2-(3-fluoro-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid [2-(4-fluoro-phenyl)-ethyl]-amide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid benzylamide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid 2-methyl-benzylamide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid 2-chloro-benzylamide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid 3-methoxy-benzylamide
2-(2,6-Dichloro-phenyl)-benzooxazole-6-carboxylic acid 4-methoxy-benzylamide
2-(2,6-Dichlorophenyl)-benzooxazole-5-carboxylic acid (3,4-dimethylphenyl)-amide
2-(2,6-Dichlorophenyl)-benzooxazole-5-carboxylic acid (2-methylbenzothiazol-5-yl)-amide
2-(2,6-Dichlorophenyl)-benzooxazole-5-carboxylic acid [2-(4-ethylphenyl)-ethyl]-amide
2-(2,6-Dichlorophenyl)-benzooxazole-5-carboxylic acid (3-phenylpropyl)-amide
2-(4-Dimethylcarbamoylmethoxy-2,6-dimethylphenyl)-benzooxazole-5-carboxylic acid (3,4-dimethylphenyl)-amide
{4-[5-(3,4-Dimethyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenylamino}-acetic acid methyl ester
{4-[5-(3,4-Dimethylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethylphenylamino}-acetic acid
2-[4-(2-Hydroxyethylamino)-2,6-dimethylphenyl]-1H-benzoimidazole-5-carboxylic acid (3,4-dimethyl-phenyl)-amide
3-{4-[5-(3,4-Dimethylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethylphenyl}-propionic acid tert-butyl ester
3-{4-[5-(3,4-dimethylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethylphenyl}-propionic acid
2-(2,6-Dimethylphenyl)-1,3-dioxo-2,3-dihydro-1H-isoindole-5-carboxylic acid (3,4-dimethylphenyl)-amide
2-(2,6-Dichlorophenyl)-1,3-dioxo-2,3-dihydro-1H-isoindole-5-carboxylic acid (3,4-dimethylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid isoquinolin-1-ylamide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-vinylphenyl)-amide
2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (4-cyanophenyl)-amide
3-(4-{[2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carbonyl]-amino}-phenyl)-propionic acid

3-(4-{[2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carbonyl]-amino}-phenyl)-propionic acid ethyl ester

2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid (1,1-dimethylindan-5-yl)-amide

2-(2,6-Dichlorophenyl)-3H-benzimidazole-5-carboxylic acid decylamide

2-(2,6-Dichlorophenyl)-3H-benzimidazole-5-carboxylic acid [2-(4-tert-butylphenyl)-ethyl] amide

2-(2-Chloro-6-methylphenyl)-3H-benzoimidazole-5-carboxylic acid (3,4-dimethylphenyl)-amide

2-(2-Chloro-6-trifluoromethylphenyl)-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide

2-(2,4-Dichloro-6-methoxyphenyl)-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide

2-(3,5-Dichloro-pyridin-4-yl)-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide

2-(2,6-Dichlorophenyl)-3H-benzoimidazole-5-carboxylic acid [2-(4-chlorophenyl)-2-oxo-ethyl]-amide

2-(2,6-Dichlorophenyl)-3H-benzimidazole-5-carboxylic acid [2-(4-chlorophenyl)-1-methyl-2-oxoethyl]-amide

2-(2,6-Dichlorophenyl)-6,7-difluoro-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide

N-[2-(2,6-Dichlorophenyl)-3H-benzoimidazol-5-yl]-3,4-dimethylbenzamide

Quinoline-2-carboxylic acid [2-(2,6-dichlorophenyl)-3H-benzimidazol-5-yl]-amide

2-(2,6-Dimethylphenyl)-3H-benzimidazole-5-carboxylic acid (4-tert-butylphenyl)-amide

1-[2-(2,6-Dichlorophenyl)-3H-benzimidazol-5-yl]-3-(3,4-dimethylphenyl)-urea

2-(2,4,6-Trichlorophenyl)-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide

2-(2,6-Dimethylphenyl)-1H-indole-6-carboxylic acid (4-tert-butylphenyl)-amide

2-(2,6-Dichlorophenyl)-1H-indole-6-carboxylic acid (3,4-dimethylphenyl)-amide

2-(2,6-Dichlorophenyl)-1H-indole-6-carboxylic acid quinolin-2-ylamide

2-(2,6-Dimethylphenyl)-1H-indole-6-carboxylic acid quinolin-2-ylamide

2-(2,6-Dichlorophenyl)-1H-indole-6-carboxylic acid (6-trifluoromethylpyridin-3-yl)-amide

2-(2,6-Dichlorophenyl)-1-ethoxy-1H-indole-6-carboxylic acid (3,4-dimethylphenyl)-amide

2-(2,6-Dimethylphenyl)-1H-indole-6-carboxylic acid (3,4-dimethylphenyl)-amide

2-(2,6-Dichlorophenyl)-1H-indole-6-carboxylic acid thiazolo[5,4-b]pyridin-2-ylamide

2-(2,6-Dichlorophenyl)-1H-indole-6-carboxylic acid (5-bromothiazolo[5,4-b]pyridin-2-yl)-amide
2-(2,6-Dichloro-4-morpholin-4-yl-phenyl)-1H-indole-6-carboxylic acid quinolin-2-ylamide
3-{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-indol-2-yl]-phenyl}-propionic acid methyl ester
3-{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-indol-2-yl]-phenyl}-propionic acid
3-{4-[6-(4-tert-Butylphenylcarbamoyl)-1H-indol-2-yl]-3,5-dimethylphenyl}-propionic acid
3-{3,5-Dichloro-4-[6-(quinolin-2-ylcarbamoyl)-1H-indol-2-yl]-phenyl}-propionic acid hydrochloride salt
2-(2,6-Dichloro-4-hydroxyphenyl)-1H-indole-6-carboxylic acid quinolin-2-ylamide
3-{4-[5-(3,4-Dimethylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethylphenyl}-propionic acid
3-{4-[6-(3,4-Dimethylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethylphenyl}-propionic acid methyl ester
3-{4-[6-(5,6-Dimethylpyridin-2-ylcarbamoyl)-1H-benzimidazol-2-yl]-3,5-dimethylphenyl}-propionic acid
{3,5-Dichloro-4-[6-(3,4-dimethylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid methyl ester
{3,5-Dichloro-4-[6-(3,4-dimethyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid
{3-Chloro-4-[6-(3,4-dimethylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid methyl ester
{3-Chloro-4-[6-(3,4-dimethylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid
{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid methyl ester
{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid
2-[4-((R)-2,2-Dimethyl-[1,3]dioxolan-4-ylmethoxy)-2,6-dimethylphenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethylphenyl)-amide
2-[4-((S)-2,3-Dihydroxy-propoxy)-2,6-dimethylphenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethylphenyl)-amide
2-[4-((S)-2,2-Dimethyl-[1,3]dioxolan-4-ylmethoxy)-2,6-dimethylphenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethylphenyl)-amide

2-[4-((R)-2,3-Dihydroxy-propoxy)-2,6-dimethylphenyl]-3H-benzoimidazole-5-carboxylic acid (3,4-dimethylphenyl)-amide
2-[4-((R)-2,2-Dimethyl-[1,3]dioxolan-4-ylmethoxy)-2,6-dimethylphenyl]-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide
2-[4-((S)-2,3-Dihydroxypropoxy)-2,6-dimethylphenyl]-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide
3-{4-[6-(Quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propionic acid
3-{3,5-Dimethyl-4-[6-(naphthalen-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propionic acid
3-{4-[6-(Isoquinolin-1-ylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethylphenyl}-propionic acid
{3,5-Dichloro-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid methyl ester
{3,5-Dichloro-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxy}-acetic acid
2-(2,6-Dichloro-4-dimethylcarbamoylmethoxyphenyl)-3H-benzoimidazole-5-carboxylic acid quinolin-2-ylamide
{3,5-Dichloro-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxymethyl}-phosphonic acid diethylester
{3,5-Dichloro-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenoxymethyl}-phosphonic acid
3-{3,5-Dichloro-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propionic acid
3-{4-[6-(4-tert-Butylphenylcarbamoyl)-1H-benzimidazol-2-yl]-3,5-dimethylphenyl}-propionic acid
(E)-3-{4-[6-(4-tert-Butylphenylcarbamoyl)-1H-benzimidazol-2-yl]-3,5-dimethylphenyl}-acrylic acid
{4-[6-(4-tert-Butylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethylphenoxy}-acetic acid
3-{4-[6-(4-tert-Butylphenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethylphenyl}-2,2-dimethylpropionic acid
3-{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-2,2-dimethyl-propionic acid
3-{3,5-Dimethyl-4-[5-(6-trifluoromethyl-pyridin-3-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-2,2-dimethylpropionic acid

(2-{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-ethyl)-phosphonic acid
(3-{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propyl)-phosphonic acid diethyl ester
(3-{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propyl)-phosphonic acid
(3-{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propyl)-phosphonic acid monoethyl ester
(3-{3,5-Dimethyl-4-[6-(6-trifluoromethyl-pyridin-3-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propyl)-phosphonic acid
(3-{4-[6-(4-tert-Butyl-phenylcarbamoyl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenyl}-propyl)-phosphonic acid
3-{3,5-Dichloro-4-[6-(6-trifluoromethylpyridin-3-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propionic acid
(3,4-Dimethylphenyl)-{1-[2-(2,6-dimethylphenyl)-3H-benzoimidazol-5-yl]-2,2,2-trifluoroethyl}-amine
3-{3,5-Dimethyl-4-[6-(quinolin-2-ylcarbamoyl)-1H-benzoimidazol-2-yl]-phenyl}-propionic acid

or any pharmaceutically acceptable salt or prodrug thereof.

Another series of DGAT1 inhibitor compounds are described in International Patent Application No. PCT/EP08/062900 and by the following formula

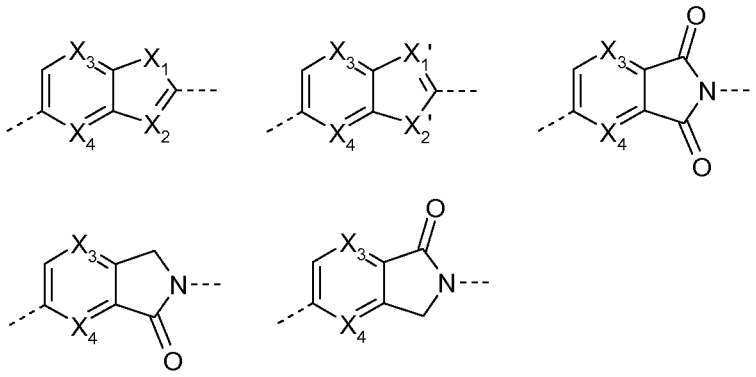
A-Q-B-C-D

wherein

A is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, optionally substituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or a substituted or unsubstituted heterocyclyl;

Q is a divalent or trivalent cycloalkyl, aryl, heterocycle or heteroaryl;

B is a substituted or unsubstituted divalent heteroaryl group selected from one of the groups below:

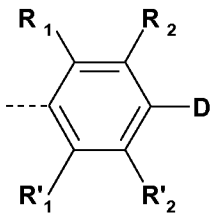


wherein;

X_1 and X_2' are independently selected from O, NH, NR_9 or S, wherein R_9 is selected from lower alkyl, lower alkylamino, lower alkoxyalkyl, lower hydroxyalkyl,

X_1', X_2, X_3 and X_4 are independently selected from N, or CH,

C is



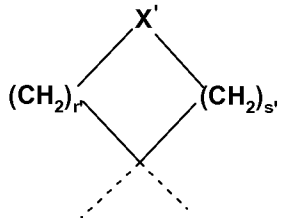
wherein

- R_1 is selected from hydrogen, cyano, lower alkylsulfonylamino, alkanoylamino, halogen, lower alkyl, trifluoromethyl, lower alkoxy, lower alkylamino, lower dialkylamino, and NO_2,
- R'_1, R_2 and R'_2 are independently selected from hydrogen, halogen, trifluoromethyl, aryloxy, lower alkyl, lower alkoxy, lower alkylamino, lower dialkylamino, and NO_2,

or

- C may also be a substituted or unsubstituted bicyclic aryl or heteroaryl group,

- D is selected from hydrogen, halogen, hydroxyl, cyano, alkanoylamino, carboxy, carbamoyl, $–O–L_2–E$, $–S–L_2–E'$, $–C(O)-O–L_2–E$, $–L_2–E''$, and $–NR_6–L_2–E'$,
- L_2 is $–(CH_2)_{n'}–(CR_5R_{5'})_p–(CH_2)_{m'}–$
- E is alkyl, acyl, alkoxycarbonyl, phosphonic acid, phosphonate, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonyl, $-SO_2-OH$, sulfamoyl, sulfonylcarbamoyl, sulfonyloxy, sulfonamido, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl, and when n' + m' + p' is equal to zero, E is not sulfonyloxy or sulfonamido,
- E' is alkyl, acyl, alkoxycarbonyl, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonylcarbamoyl, sulfonyl, $-SO_2-OH$, sulfamoyl, sulfonamido, phosphonic acid, phosphonate, sulfonyloxy, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl, and when n' + m' + p' is equal to zero, E' is not sulfamoyl, sulfonamido, phosphonic acid, phosphonate, or sulfonyloxy,
- E'' is alkyl, acyl, alkoxycarbonyl, phosphonic acid, phosphonate, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonyl, sulfamoyl, sulfonyloxy, sulfonamido, $-SO_2-OH$, sulfonylcarbamoyl, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl,
- m', n' and p' are, independently from each other, an integer from 0 to 4,
- m' + n' + p' is between 0 and 12, preferably 0, 1, 2, 3 or 4,
- R_5 and $R_{5'}$ are, independently from each other, hydrogen, halogen, hydroxyl, lower alkoxy, or lower alkyl, or R_5 and $R_{5'}$ are joined together to form a spiro residue of the formula



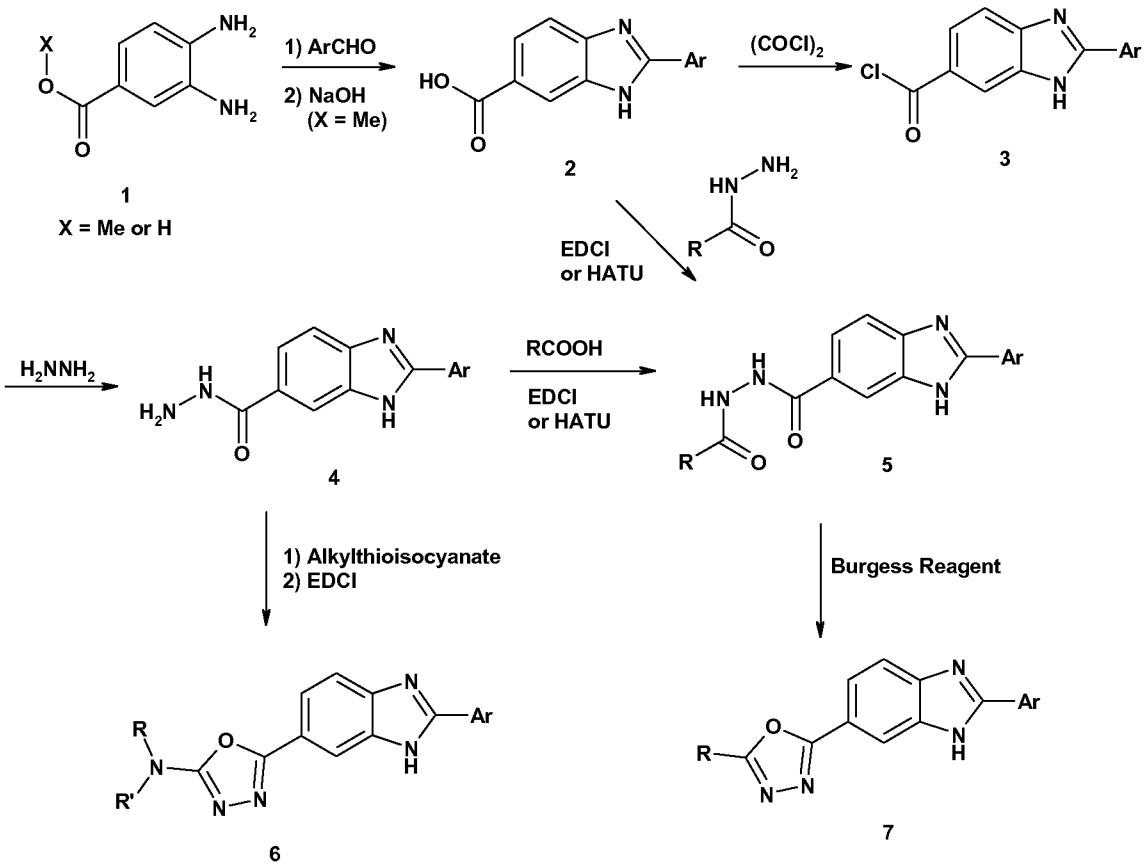
wherein

- X' is NR_x, O, S or $CR_{x'}R_{x''}$
- r' and s' are, independently from each other, zero or an integer from 1 to 3,
- R_x is hydrogen or lower alkyl,
- $R_{x'}$ is hydrogen, halogen, hydroxyl, alkoxy, or lower alkyl,
- $R_{x''}$ is hydrogen or lower alkyl; or

a stereoisomer, enantiomer or tautomer thereof, a pharmaceutically acceptable salt thereof, or a prodrug thereof.

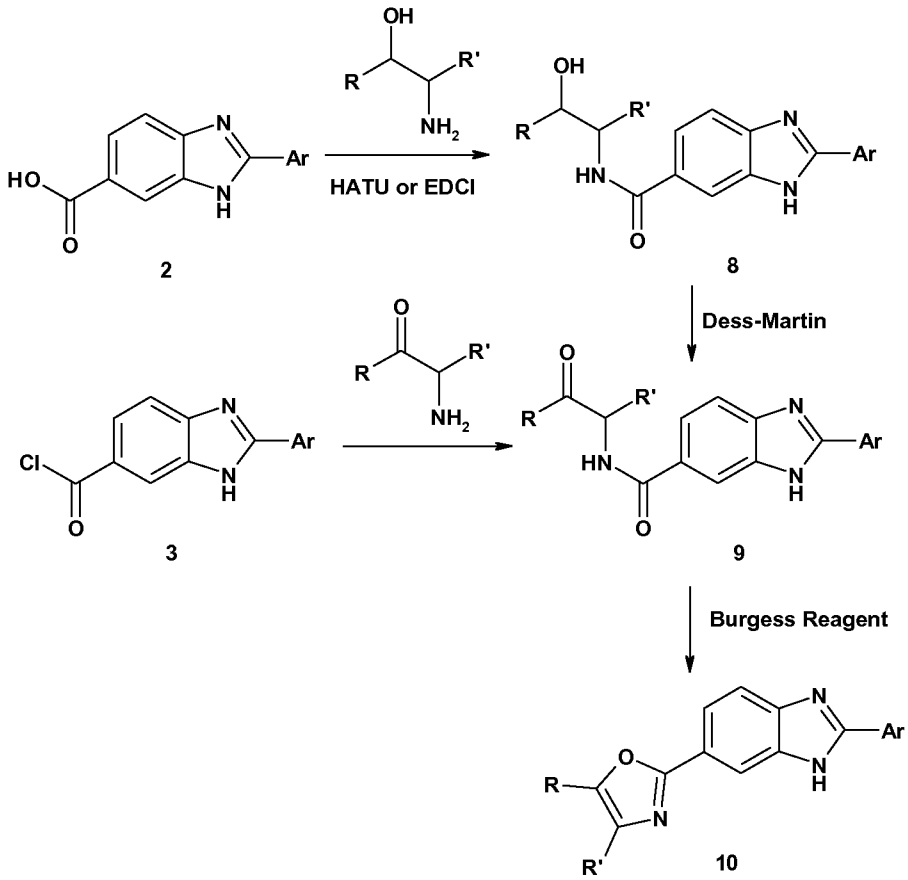
The synthetic scheme to make the above compounds from formula A-Q-B-C-D are as follows:

Scheme 1.



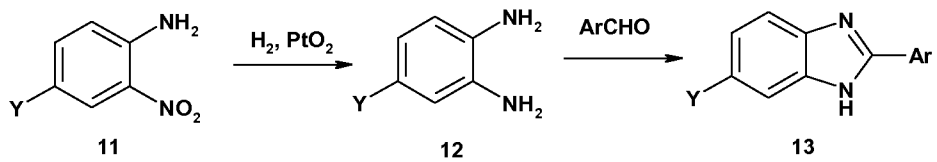
Oxidative cyclocondensation of 3,4-diamino-benzoic acid or its methyl ester with substituted benzaldehyde provides the benzimidazole core. The reaction is carried out in the open air in oxidizing media, such as DMSO or nitrobenzene, preferably the former, in the presence of a catalyst such as Oxone, FeCl3, Sc(OTf)3/Cu(OTf)2, or Yb(OTf)3/Cu(OTf)2. After saponification of the ester, resulting carboxylic acid is converted to acid chloride by the action of oxalyl chloride and ensuing amidation with hydrazine in the presence of base such as, but not limited to, TEA, DIPEA, pyridine, or Na2CO3, affords compounds 4. Compounds 4 are converted to compounds 5 by amidation reactions with a variety of alkyl or aromatic carboxylic acids by coupling reagents such as, but not limited to, EDCI or HATU. Comounds 5 are alternatively obtained from compounds 3 by amidation reactions with a variety of acyl hydrazides in analogous fashion. Compounds 5 are converted to form compounds 7 by cyclocondensation. In addition, compounds 4 are transformed to thioureas and ensuing cyclization using EDCI affords compounds 6.

Scheme 2.



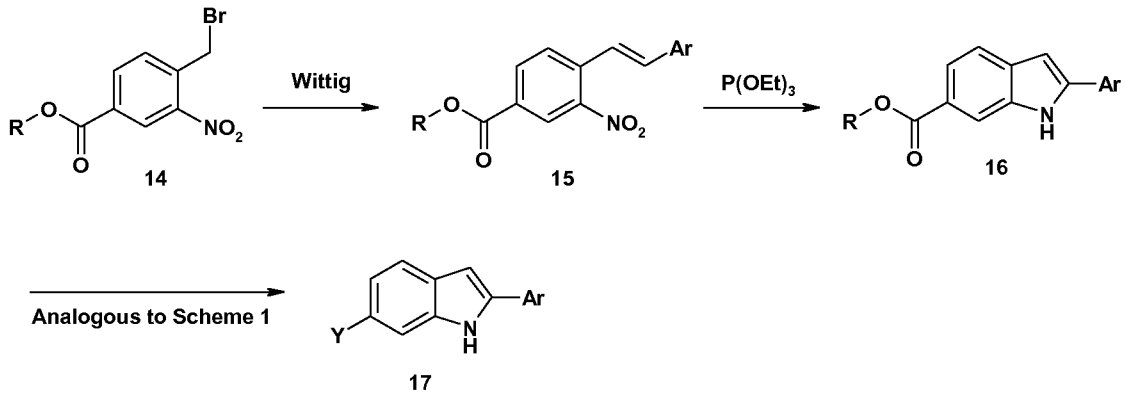
In the similar fashion, compounds 2 is converted to compounds 8 by amidation reactions with a variety of 1,2-aminoalcohols in the presence of coupling reagents such as, but not limited to, HATU or EDCI. Oxidation of the hydroxyl group in compounds 8 affords compounds 9, which undergo cyclocondensation to provide compounds 10. Compounds 9 are alternatively obtained from compounds 3 by amidation reaction with a variety of aminoketones.

Scheme 3.



In another form of synthesis, the benzimidazole formation by cyclocondensation can be carried out at the later stage, with the eventual 5-substituent pre-installed on the ring. Commercially available 4-amino-3-nitrobenzoic acid are converted to compounds 11 analogous to Scheme 2.

Scheme 4.



Compounds 14 are converted to compounds 17 by transformations such as Wittig olefination and reductive cyclization using $P(OEt)_3$, followed by procedures described in Scheme 1.

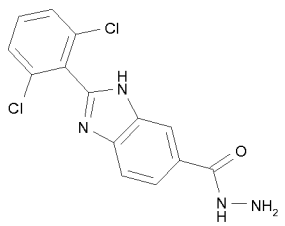
HPLC Method 10: 4.6 mm x 5 cm Inersil C8-3 reverse phase, 3.0 m particle size running a gradient of 10-90% MeCN/water (5mM ammonium formate) over a period of 2 min at a flow rate of 4 mL/min at 50 °C. DAD-UV detection, 220-600 nm.

Synthesis of Intermediates of A-Q-B-C-D formula

The following intermediates are used in preparation of the Examples.

Intermediate 1.

2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid hydrazide



A. 2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid methyl ester. Slowly add a solution of $FeCl_3$ (1.46 g, 9.02 mmol) in DMSO (10 mL) to a stirring solution of 2,6-dichloro-benzaldehyde (5.27 g, 30.1 mmol) and 3,4-diamino-benzoic acid methyl ester (5.00 g, 30.1 mmol) in DMSO (90 mL). Allow the reaction to stir open to the air for 17 hr. Add additional $FeCl_3$ (0.73g, 4.51 mmol). Stir for an additional 24hr. Dilute with EtOAc (600 mL) and extract with water (3 x 50 mL). Dry the organic phase over Na_2SO_4 and evaporate the solvent. Triturate the residue with DCM to afford the desired product as a light tan solid: 1H NMR (400 MHz, MeOD) δ ppm 3.96 (s, 3 H) 7.55 - 7.63 (m, 3 H) 7.71 (d, *J*=8.21 Hz, 1 H) 8.04 (dd, *J*=8.53, 1.58 Hz, 1 H) 8.37 (br. s., 1 H); (M+H)+ 320.9.

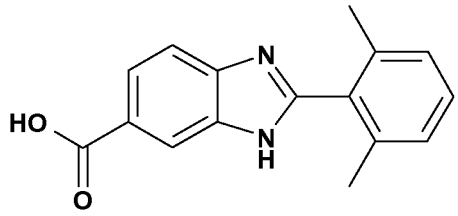
B. 2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid. Take 2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid methyl ester (1.00 g, 3.11 mmol) up in MeOH (6 mL) and 1 N NaOH (6 mL) and stir for 24 hr. Add additional 1 N NaOH (6 mL) and stir for an additional 30 hr. Concentrate under reduced pressure. Neutralize the concentrate at 0°C by the dropwise addition of 1 N HCl (12 mL). Collect the resulting precipitate and wash with water followed by Et_2O. Dry the solid in a vac oven to afford the desired acid as a tan solid: 1H NMR (400 MHz, DMSO-*d*6) δ ppm 7.60 - 7.67 (m, 1 H) 7.68 (s, 2 H) 7.70 (d, *J*=2.15 Hz, 1 H) 7.89 (d, *J*=8.46 Hz, 1 H) 8.24 (br. s., 1 H) 12.83 (br. s., 1 H) 13.23 (br. s., 1 H); (M+H)+ 306.9.

C. 2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid hydrazide. Suspend 2-(2,6-dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid (2.50 g, 8.14 mmol) in DCM (35 mL) and cool to 0° C under N_2. Add DMF (0.2 mL). Add oxalyl chloride (0.75 mL, 8.55 mmol) in a dropwise manner. After 30 min allow the reaction to warm to room temp. After stirring at room temp for 1hr, add TEA (2.38 mL, 17.1 mmol). Add the reaction mixture to a flask charged with hydrazine (2.56 mL, 81.4 mmol), DCM (40 mL), and THF (10 mL) at 0° C under N_2. Upon addition allow the reaction mixture to warm to room temp. After 17 hr dilute the reaction with sat $NaHCO_3$ and collect the resulting solid. Take the solid up in EtOAc (800 mL) and extract with water (50 mL). Dry the organic phase over Na_2SO_4 and concentrate. Filter the resulting precipitate and wash with Et_2O to yield the desired hydrazide as a tan solid: 1H NMR (400 MHz, DMSO-*d*6) δ ppm 4.52 (br. s., 2 H) 7.58 - 7.66 (m + tautomer, 1 H) 7.68 (s, 1 H) 7.70 (d, *J*=2.02 Hz, 1 H) 7.74 (br. s., 1 H) 7.80 (tautomer, d, *J*=8.46 Hz, 1 H) 8.04 (tautomer, br.

s., 1 H) 8.21 (tautomer, br. s., 1 H) 9.78 (d, *J*=12.88 Hz, 1 H) 13.08 (br. s., 1 H); (M+H)+ 320.9.

Intermediate 2.

2-(2,6-Dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid.



A. 2-(2,6-Dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid methyl ester.

To a solution of 10.0 g (60.2 mmol) of methyl-3,4-diaminobenzoate, 10.5320 (60.2 mmol) of 2,6-dichlorobenzaldehyde, and 100 mL DMSO was added 1.9642 g (9.64 mmol) of $FeCl_3$ portion-wise over 5 min. The dark brown solution was allowed to stir open to air at r.t. for 48h. The reaction mixture was extracted with EtOAc, then washed with water, brine, and dried with Na_2SO_4. Most of the solvent was removed *in vaccuo* until solid precipitated out. Filtered off solid to obtain the title compound. 1H NMR (400 MHz, *DMSO-d*6) δ ppm 2.15 (s, 6 H) 3.93 (s, 3 H) 7.26 (d, *J*=7.45 Hz, 2 H) 7.37 - 7.42 (m, 1 H) 7.65 (d, *J*=8.34 Hz, 0.5 H) 7.80 - 7.83 (m, 0.5 H) 7.87 - 7.95 (m, 1 H) 8.16 (d, *J*=1.14 Hz, 0.4 H) 8.33 (s, 0.5 H) 13.00 (d, *J*=15.28 Hz, 1 H). MS (m/z) 281.1 M (+1), t_R = 1.30, Meth 10

B. 2-(2,6-Dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid.

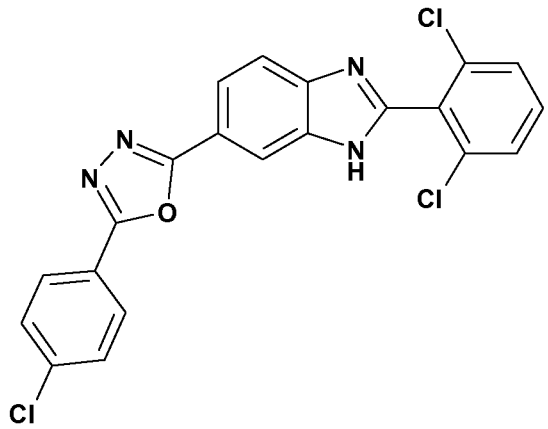
To a tan suspension of 7.23 g (25.8 mmol) of 2-(2,6-Dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid methyl ester in 50 mL MeOH was added 80 mL of NaOH (1N). Allowed to stir at r.t. for 48 h. The redish/brown solution was dried, and to the residue was added 50 mL water, and brought to pH 4. Solid was filtered off to give near quantitative yield of title compound as an off-white solid. 1H NMR (400 MHz, *DMSO-d*6) δ ppm 2.03 (s, 6 H) 7.14 (d, *J*=7.58 Hz, 2 H) 7.27 (t, *J*=7.64 Hz, 1 H) 7.50 (d, *J*=8.46 Hz, 0.5 H) 7.67 (d, *J*=8.46 Hz, 0.5 H) 7.78 (dd, *J*=15.09, 8.40 Hz, 1 H) 8.03 (s, 0.5 H) 8.20 (s, 0.5 H) 12.64 (br. s., 1 H) 12.85 (d, *J*=16.29 Hz, 1 H). MS (m/z) 267.1 M (+1), t_R = 0.87, Meth 10

EXAMPLES of A-Q-B-C-D formula compounds

The following Examples are intended to illustrate the invention and are not to be construed as being limitations thereon. If not mentioned otherwise, all evaporations are performed under reduced pressure, preferably between about 50 mmHg and 100 mmHg. The structure of final products, intermediates and starting materials is confirmed by standard analytical methods, e.g., microanalysis, melting point (m.p.) and spectroscopic characteristics, e.g., MS, IR and NMR. Abbreviations used are those conventional in the art.

Example 1-1

6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dichloro-phenyl)-1H-benzoimidazole.



A. 4-Chloro-benzoic acid N'-[2-(2,6-dichloro-phenyl)-3H-benzoimidazole-5-carbonyl]-hydrazide.

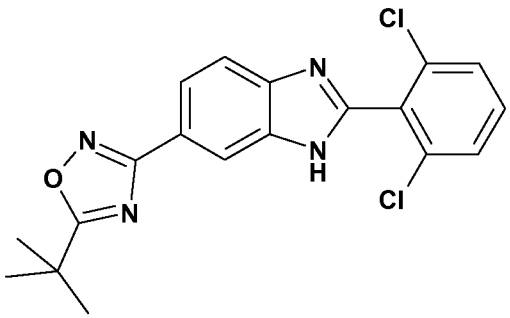
To a yellow solution of 1.00 g (3.26 mmol) of 2-(2,6-Dimethyl-phenyl)-3H-benzoimidazole-5-carboxylic acid, .5554 g (3.26mmol) of 4-chloro-benzoic hydrazide, and 18 mL of DMF was added .7501 g (3.91 mmol) of EDCI, and .5280 g (3.91 mmol) of HOBt. It was allowed to stir at r.t. for 6 h. 20 mL of water was and the resulting precipitates were collected by filtration to give the title compound as white solid. 1H NMR (400 MHz, *DMSO-d*6) δ ppm 7.59 - 7.72 (m, 5 H) 7.81 - 7.89 (m, 1.5 H) 7.93 - 7.99 (m, 2.5 H) 8.17 (s, 0.5 H) 8.34 (s, 0.5 H) 10.51 - 10.66 (m, 2H) 13.23 (d, *J*=28.67 Hz, 1 H). MS (m/z) 460.9 M (+1), t_R = 1.18 (broad), Meth 10

B. 6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dichloro-phenyl)-1H-benzoimidazole.

To a 20 mL microwave vial was added .500 g (1.09 mmol) of 4-Chloro-benzoic acid N'-[2-(2,6-dichloro-phenyl)-3H-benzoimidazole-5-carbonyl]-hydrazide, 13 mL of THF, and .5187 g (2.18 mmol) of Burgess Reagent. The suspension was placed in the microwave at 150 ˚C for 20 min. The crude solution was concentrated and the residue was purified by silica gel column chromatography (ACN/DCM, 1:9 to 6:4) to give of the title compound. 1H NMR (400 MHz, *DMSO-d*6) δ ppm 7.62 - 7.67 (m, 1 H) 7.68 - 7.74 (m, 4.5 H) 7.78 - 7.81 (m, 0.6 H) 7.94 (d, *J*=8.46 Hz, 0.5 H) 8.02 - 8.10 (m, 1 H) 8.17 - 8.22 (m, 2 H) 8.33 (d, *J*=1.01 Hz, 0.4 H) 8.49 - 8.52 (m, 0.6 H) 13.36 (d, *J*=10.36 Hz, 1 H). MS (m/z) 442.9 M (+1), t_R = 1.49, Meth 10

Example 1-6

6-(5-tert-Butyl-[1,2,4]oxadiazol-3-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole.



A. 2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carbonitrile.

In a 20 ml scint. vial was added .500 g (2.86 mmol) of 2,6-Dichloro-benzaldehyde, .3804 g (2.86 mmol) of 3,4-diamino-benzonitrile, and 6 mL DMSO. To the brown solution was added .1995 g (1.23 mmol) of $FeCl_3$. Allowed stir at r.t. open to air for 18 h. Extracted with EtOAc and washed with water, and brine. Dried and purified on silica gel column chromatography (EtOAc/Hep, 1:9 to 7:3) to give of the title compound. 1H NMR (400 MHz, *DMSO-d*6) δ ppm 7.62 - 7.72 (m, 4 H) 7.79 (br. s., 1 H) 8.27 (br. s., 1 H) 13.48 (br. s., 1 H). MS (m/z) 288.1 M (+1), t_R = 1.18, Meth 10

B. 2-(2,6-Dichloro-phenyl)-N-hydroxy-3H-benzoimidazole-5-carboxamidine.

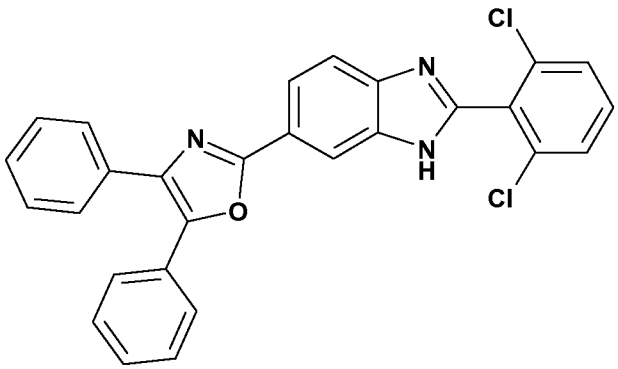
To a 25 ml rbf was added .100 g (.347 mmol) of 2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carbonitrile, 2 mL of EtOH, .0482 g (.694 mmol) of hydroxyl amine HCl, and .048 mL (.694 mmol) of Et_3N. It was allowed stir at 80 ˚C, under reflux, for 4 h. It was reduced *in vacuo* and the crude was used directly in the next reaction. MS (m/z) 321.1 M (+1), t_R = 0.93, Meth 10

C. 6-(5-tert-Butyl-[1,2,4]oxadiazol-3-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole.

To a 25 ml rbf was added .111 g (.347 mmol) of 2-(2,6-Dichloro-phenyl)-N-hydroxy-3H-benzoimidazole-5-carboxamidine, 2.5 mL of pivalic anhydride (solvent). It was allowed to stir at 100 ˚C for 18 h. It was cooled to room temperature and extracted with EtOAc. The organic layer was washed with water, 1N KOH, and brine. It was dried with $MgSO_4$ and the volatiles were removed in vacuo. The crude was purified on silica gel column chromatography (EtOAc/Hep, 1:9 to 5:5) to give the title compound. 1H NMR (400 MHz, *DMSO-d6*) δ ppm 1.48 (s, 9 H) 7.62 - 7.67 (m, 1 H) 7.68 - 7.76 (m, 2.5 H) 7.84 - 7.98 (m, 1.5 H) 8.18 (br. s., 0.5 H) 8.32 (br. s., 0.5 H) 13.20 (br. s., 1 H). MS (m/z) 387.1 M (+1), t_R = 1.55, Meth 10

Example 1-24

2-(2,6-Dichloro-phenyl)-6-(4,5-diphenyl-oxazol-2-yl)-1H-benzoimidazole.



A. 2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid ((1R,2S)-2-hydroxy-1,2-diphenyl-ethyl)-amide.

To a 20 mL scint. vial was added .200 g (.651 mmol) of Intermediate 1, .0825 g (.716 mmol) of 2-amino cyclohexanol, 5 mL of DMF, .1815 mL (1.30 mmol) of Et_3N, and .4952 g (1.3 mmol) of HATU. Allowed to stir at r.t. for 18 h. Extracted with EtOAc, washed with water, brine, and dried with Na_2SO_4. The title compound was prepared analogous to step A of Example 1-24. Used directly in next reaction. MS (m/z) 502.1 M (+1), t_R = 1.34, Meth 10

B. 2-(2,6-Dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid ((R)-2-oxo-1,2-diphenyl-ethyl)-amide.

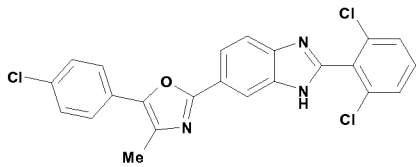
The title compound was prepared analogous to step B of Example 1-24. The crude was used directly in next reaction. MS (m/z) 500.1 M (+1), t_R = 1.46, Meth 10

C. 2-(2,6-Dichloro-phenyl)-6-(4,5-diphenyl-oxazol-2-yl)-1H-benzoimidazole.

The title compound was prepared analogous to Example 1-24 with the exception that the brown solution was concentrated and the residue purified on silica gel column chromatography (EtOAc/DCM, 1:9 to 6:4) to give the title compound. 1H NMR (400 MHz, *DMSO-d*6) δ ppm 7.42 - 7.56 (m, 6.5 H) 7.64 - 7.80 (m, 8 H) 7.91 (d, *J*=8.59 Hz, 0.6 H) 8.04 - 8.12 (m, 1 H) 8.29 (d, *J*=0.88 Hz, 0.5 H) 8.46 (d, *J*=0.76 Hz, 0.5 H) 13.27 (s, 1 H). MS (m/z) 482.1 M (+1), t_R = 1.79, Meth 10

Example 1-25

6-[5-(4-Chlorophenyl)-4-methyl-oxazol-2-yl]-2-(2,6-dichlorophenyl)-1H-benzoimidazole



A. 2-Bromo-1-(4-chlorophenyl)-propan-1-one

A stirred solution of p-chloropropiophenone (2.0 g, 11.9 mmol) in dichloromethane (35 mL) was treated with one drop of 48% HBr and one drop of bromine. When the color had discharged, bromine (608 µL, 1.90 gm, 11.9 mmol) was added dropwise. The solution was stirred until 15 min after the color had fully discharged, then the mixture was concentrated under reduced pressure to give the title compound.

B. N,N-Diformyl-2-amino-1-(4-chlorophenyl)-propiophenone

A solution of 2-bromo-1-(4-chlorophenyl)-propan-1-one from step A and sodium diformyl amide (1.24 gm, 13.0 mmol) in DMF (10 mL) was stirred at RT for 18 h. The solution was poured into ethyl acetate and extracted once with water and five times with brine. The organic phase was dried, filtered, and the solvent removed under reduced pressure. The residue was purified by chromatograpy using a gradient of 20-50% heptane/ethyl acetate to give the title compound.

C. 1-(4-Chlorophenyl)-2-aminopropiophenone hydrochloride

A solution of N,N-diformyl-2-amino-1-(4-chlorophenyl)-propiophenone (2.36 g, 9.85 mmol) in 40 mL 19:1 ethanol/conc. HCl was stirred at RT for 18 h. The solvent was removed under reduced pressure to give the title compound.

D. 2-(2,6-Dichlorophenyl)-3H-benzimidazole-5-carboxylic acid [2-(4-chlorophenyl)-1-methyl-2-oxoethyl]-amide

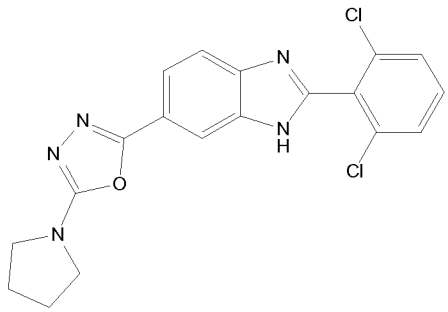
A mixture of1-(4-chlorophenyl)-2-aminopropiophenone hydrochloride (365 mg, 1.66 mmol), 2-(2,6-dichlorophenyl)-3H-benzimidazole-5-carbonyl chloride hydrochloride (600 mg, 1.66 mmol) (Example 1-27, step E), and triethylamine (924 µL, 671 mg, 6.63 mmol) in THF (25 mL) was stirred at RT for 18 hrs. The solution was poured into ethyl acetate and extracted with water and brine. The organic layer was dried, filtered, and the solvent removed under reduced pressure. The residue was chromatographed using a gradient of 50-90% heptane/ethyl acetate to give the title compound.). MS: m/z 473.9 (M+1); H^1-NMR (acetone-d6): δ 8.57 (m, broad, 1H), 8.37 (m, 3H), 8.11 (m, broad, 1H), 7.83 (m, 5H), 5.92 (quintet, J = 7.2 Hz, 1H), 1.75 (d, J = 7.0 Hz, 3H).

E. 6-[5-(4-Chlorophenyl)-4-methyloxazol-2-yl]-2-(2,6-dichlorophenyl)-1H-benzimidazole

A mixture of 2-(2,6-dichlorophenyl)-3H-benzimidazole-5-carboxylic acid [2-(4-chlorophenyl)-1-methyl-2-oxoethyl]-amide (480 mg, 1.02 mmol) and Burgess Reagent (848 mg, 4.06 mmol) in THF (10 mL) was heated in a microwave apparatus at 150 °C for 30 min. The mixture was poured into ethyl acetate and extracted with water and brine. The organic layer was dried, filtered, and the solvent removed under reduced pressure. The residual oil was triturated with acetonitrile to afford the title compound. H^1-NMR (DMSO-d6): δ 8.40 (s, broad, 0.5H), 8.22 (s, broad, 0.5H), 8.0 (m, 1H), 7.72 (m, 8H), 2.47 (s, 3H). MS: m/z 455.9 (M+1); High resolution MS (M+H): theory: 454.0281, measured: 454.0279.

Example 1-34

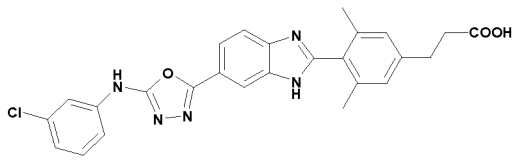
2-(2,6-Dichloro-phenyl)-6-(5-pyrrolidin-1-yl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole.



A 20 % solution of phosgene in toluene (163 uL, 0.310 mmol) was added dropwise to a solution of pyrrolidine (51 uL, 0.620 mmol) in THF (2 mL) and EDIPA (216 uL, 1.24 mmol) at 0° C under N_2. The reaction was stirred for 20 min before the addition of 2-(2,6-dichloro-phenyl)-3H-benzoimidazole-5-carboxylic acid hydrazide (100 mg, 0.310 mmol) and NMP (1 mL). The ice bath was removed after 2 hr and the reaction allowed to stir overnight. The reaction was heated to 60° C for 1.5 hr before being concentrated. The concentrate was added to a microwave vial charged with Burgess reagent (220 mg, 0.930 mmol) and the mixture heated to 150° C for 15 min by microwave irradiation. The reaction was partitioned between EtOAc and H_2O. The organic phase was concentrated and purified by reverse phase HPLC (25 – 50 % ACN / H_2O + 5 mM NH_4OH) to afford the title compound: 1H NMR (400 MHz, MeOD) δ ppm 2.05 - 2.14 (m, 4 H) 3.58 - 3.67 (m, 4 H) 7.55 - 7.64 (m, 3 H) 7.79 (br. s., 1 H) 7.94 (d, *J*=8.34 Hz, 1 H) 8.19 (br. s., 1 H); MS m/z = 400.1 (M+1).

Example 1-59

3-(4-{6-[5-(3-Chlorophenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid



A. 2,2,2-Trifluoro-N-(4-formyl-3,5-dimethylphenyl)-acetamide

To a solution of N-(4-bromo-3,5-dimethylphenyl)-2,2,2-trifluoroacetamide (US Patent 6,391,865) (14.0 g. 47.3 mmol) in THF (200 mL) under nitrogen atmosphere at -78 °C was added slowly methyllithium/LiBr (44.1 mL of a 1.5 M solution in Et_2O, 66.2 mmol). After 5 min of stirring, sec-BuLi (47.3 mL of a 1.4 M solution in cyclohexane, 66.2

mmol) was added slowly to the reaction solution at -78 °C. After 5 min, anhydrous DMF (25.5 mL, 331 mmol) was added slowly then the solution was warmed to 25 °C. After 30 min the reaction mixture was quenched with water. The aqueous layer was extracted with CH_2Cl_2 and the combined organic layers were washed with water, brine, dried over $MgSO_4$ and filtered. The solvent was removed under reduced pressure to give the title compound as a yellow solid. MS: m/z 246 (M+1).

B. 4-Amino-2,6-dimethylbenzaldehyde

A mixture of the above 2,2,2-trifluoro-N-(4-formyl-3,5-dimethylphenyl)-acetamide in MeOH (30 mL) and 1N NaOH (30 mL) was stirred at RT overnight. To the suspension was added 100 mL of water and the solid was filtered, washed with water, and dried. The aqueous layer was extracted with EtOAc and the organic layer was washed with water, brine, dried with $MgSO_4$, and filtered. The solvent was removed under reduced pressure and the residue was purified by flash chromatography (heptane/EtOAc = 4:1) to give the title compound. MS: m/z 150 (M+1).

C. 3-(4-Formyl-3,5-dimethylphenyl)-acrylic acid methyl ester

To a suspension of 4-amino-2,6-dimethylbenzaldehyde (1.0 g., 6.71 mmol) in enough 42% HBF_4 to be stirred at 0 °C was added a solution of $NaNO_2$ (463 mg, 6.71 mmol) in water (5 mL) slowly. After 30 min at 0 °C, MeOH (20 mL) was added followed by $Pd(OAc)_2$ (229 mg) and methyl acrylate (1155 mg, 13.42 mmol). The reaction mixture was heated at 80 °C for 30 min then the suspension was filtered through Celite and washed with CH_2Cl_2. The filtrate was extracted with CH_2Cl_2 and the organic layer was washed with water, brine, dried with $MgSO_4$, and filtered. The solvent was removed under reduced pressure and the residue was purified by flash chromatography (heptane/EtOAc = 10:1 to 5:1) to give the title compound. MS: m/z 219 (M+1).

D. 3-(4-Formyl-3,5-dimethylphenyl)-propionic acid methyl ester

A mixture of 3-(4-formyl-3,5-dimethylphenyl)-acrylic acid methyl ester (900 mg, 4.1 mmol) and 10% Pd/C (90 mg) in CH_2Cl_2 (20 mL) was hydrogenated at one atm overnight. The catalyst was filtered through Celite and washed with CH_2Cl_2. The solvent was removed under reduced pressure and the residue was purified by flash chromatography (heptane/EtOAc = 5:1) to give the title compound. MS: m/z 221 (M+1).

E. 4-Amino-3-nitrobenzoic acid hydrazide

To a suspension of 4-amino-3-nitrobenzoic acid (1.64g, 9 mmol) in THF (25 mL) was added diisopropylcarbodiimide (1.13 g, 9 mmol). The mixture was stirred at RT for 15 min and to the resulting yellow solution was added hydrazine (600 mg, 18 mmol). The resulting orange suspension was stirred at RT for 2 h. The solid was filtered and washed twice with THF to give the title compound: MS: m/z 195.1 (M–1).

F. [5-(4-Amino-3-nitrophenyl)-[1,3,4]oxadiazol-2-yl]-(3-chlorophenyl)-amine

To a solution of 4-amino-3-nitrobenzoic acid hydrazide (320 mg, 1.63 mmol) in DMF (8 mL) was added 3-chloroisothiocyanate (277 mg, 1.63 mmol). The mixture was stirred at RT for 2 h then EDCI (627 mg, 3.26 mmol) was added and the mixture was stirred at 65 ^{o}C for 5 h. The mixture was allowed to cool then was poured into water. The precipitate was filtered, washed with water and dried under reduced pressure to give the title compound as an orange solid. MS: m/z 330.1 (M–1).

G. 4-[5-(3-Chlorophenylamino)-[1,3,4]oxadiazol-2-yl]-benzene-1,2-diamine

A suspension of [5-(4-amino-3-nitrophenyl)-[1,3,4]oxadiazol-2-yl]-(3-chlorophenyl)-amine (245 mg, 0.74 mmol) and PtO_2 (50 mg) in MeOH (30 mL) was hydrogenated at one atm for 4 h. The catalyst was filtered over Celite and the filtrate was evaporated under reduced pressure to give the title compound. This was used directly in the next reaction.

H. 3-(4-{6-[5-(3-Chlorophenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid methyl ester

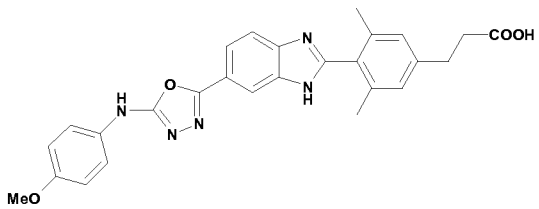
To a solution of 4-[5-(3-chlorophenylamino)-[1,3,4]oxadiazol-2-yl]-benzene-1,2-diamine (210 mg, 0.7 mmol) and 3-(4-formyl-3,5-dimethylphenyl)-propionic acid methyl ester (169 mg, 0.77 mmol) (from step D) in DMSO (2.5 mL) was added $Yb(OTf)_3$ (86 mg, 0.14 mmol) and the mixture was stirred at RT for 72 h. The mixture was poured into water and the resulting precipitate was filtered and washed with water. The solid was purified by flash chromatography using 10% MeOH/CH_2Cl_2 as eluent to give the title compound as a tan solid. MS: m/z 502.0 (M+1). H^1-NMR (DMSO-d6): 12.91 (m, 0.6H), 10.93 (s, 0.3 H), 10.48 (dd, 0.3H), 8.33 (s, 0.4H), 8.13 (s, 0.6H), 7.89 – 7.73 (M, 2.3H), 7.68 (d, 0.3 H), 7.59 (d, 0.4H), 7.52 (m, 1H), 7.46 – 7.35 (m, 1H), 7.08 (s, 3H), 3.61 (s, 3H), 2.87 (t, 2H), 2.69 (t, 2H), 2.10 (s, 3H), 2.09 (s, 3H).

I. 3-(4-{6-[5-(3-Chlorophenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid

To a solution of 3-(4-{6-[5-(3-chlorophenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid methyl ester (190 mg, 0.38 mmol) in MeOH (10 mL) was added 1.0 N NaOH (1.14 mL, 1.14 mmol) and the mixture was stirred at RT for 24 h. The solvent was removed under reduced pressure and water was added. The resulting solution was washed with EtOAc and 1.0 N HCl (1.14 mL) was added to the aqueous phase. The mixture was extracted with EtOAc and the organic phase was dried over sodium sulfate. The solvent was removed under reduced pressure and the resulting gum was triturated with MeCN to give the title compound as a beige solid. MS: m/z 488.1 (M+1). H^1-NMR (DMSO-d6): 12.91 (s, broad, 0.6H), 12.12 (s, broad, 0.7H), 10.93 (s, 0.6 H), 8.17 – 7.95 (m, 1H), 7.90 – 7.65 (m, 3H), 7.53 (d, J = 9.47 Hz, 1H), 7.41 (t, 1H), 7.12 – 7.05 (m, 3H), 2.84 (t, 2H), 2.59 (t, 2H), 2.10 (s, 6H).

Example 1-60

3-(4-{6-[5-(4-Methoxyphenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid



A. 4-[5-(4-Methoxyphenyl)-[1,3,4]oxadiazol-2-yl]-2-nitrophenylamine

The title compound was prepared analogous to Example 1-59, steps E, F and G using 4-methoxyphenylisothiocyanate in step F.

B. 3-(4-{6-[5-(4-Methoxy-phenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propionic acid methyl ester

To a mixture of 4-[5-(4-methoxyphenyl)-[1,3,4]oxadiazol-2-yl]-2-nitrophenylamine (320 mg, 0.98 mmol) and 3-(4-formyl-3,5-dimethylphenyl)-propionic acid methyl ester (215 mg, 0.98 mmol) (from Example 1-60, step D) in EtOH (10 mL) was added a solution of sodium dithionite (511 mg, 2.94 mmol) in water (4 mL) and the mixture was

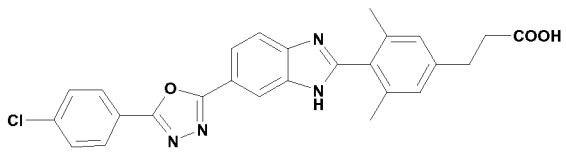
stirred at 70 °C for 5 h. After cooling the mixture to RT, ammonium hydroxide was added. The mixture was extracted with EtOAc (2x) and the combined organic layers were dried over magnesium sulfate. The solvent was removed under reduced pressure and the residue was purified by chromatography using a gradient of 80 to 100% EtOAc/heptane as eluent to give the title compound. MS: m/z 498.1 (M+1).

C. 3-(4-{6-[5-(4-Methoxyphenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid

The title compound was prepared analogous to Example 1-59, step I. MS: m/z 482.1 (M+1). H^1-NMR (DMSO-d6): δ 13.00 (s, broad, 1H), 10.47 (s, 1H), 8.04 (s, 1H), 7.78 (m, 2H), 7.56 (d, J = 8.97 Hz, 2H), 7.07 (s, 2H), 6.97 (d, J = 8.97 Hz, 2H), 3.74 (s, 3H), 2.82 (t, 2H), 2.50 (t, 2H), 2.09 (s, 6H).

Example 1-61

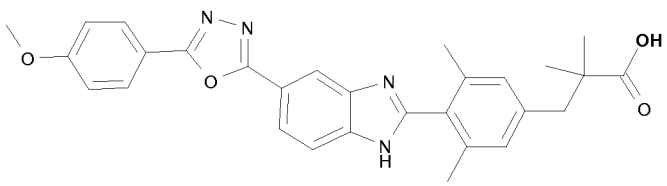
3-(4-{6-[5-(4-Chlorophenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid



The title compound was prepared analogous to Example 1-58 using 4-chlorobenzoic acid hydrazide in step A and 3-(4-formyl-3,5-dimethylphenyl)-propionic acid methyl ester (Example 1-60, step D) in step D. MS: m/z 473.7 (M+1). H^1-NMR (MeOD):δ 8.43 (s, 1H), 8.17 (d, J = 8.72 Hz, 2H), 8.13 (d, J = 8.46 Hz, 1H), 7.82 (d, J = 8.46 Hz, 1H), 7.65 (d, J = 8.84 Hz, 2H), 7.10 (s, 2H), 2.93 (t, 2H), 2.64 (t, 2H), 2.16 (s, 6H).

Example 1-62

3-(4-{5-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid



A. 3-(4-formyl-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid methyl ester

To a stirred solution of 3-(4-formyl-3,5-dimethylphenyl)-propionic acid methyl ester (prepared as described in Example 1-60, 2.2 g, 10 mmol) and ethane-1,2-diol (1.86 g, 30 mmol) in toluene (50 mL) was added p-TsOH•H_2O (38 mg, 0.2 mmol) and the solution was refluxed using a Dean-Stark apparatus overnight. The solvent was removed under reduced pressure and the residue was purified by flash chromatography using heptane/EtOAc (5:1) as eluent to give 3-(4-[1,3]dioxolan-2-yl-3,5-dimethylphenyl)-propionic acid methyl ester as a colorless oil.

To a solution of 3-(4-[1,3]dioxolan-2-yl-3,5-dimethylphenyl)-propionic acid methyl ester (2.0 g, 7.55 mmol) in THF (30 mL) cooled to -78 °C under N_2 protection, was added slowly LDA (16.8 mL of a 1.8 M solution in THF, 30.2 mmol). After 30 min, MeI (4.29 g, 30.2 mmol) was added slowly to the solution. The solution was stirred at -78 °C for 30 min then the reaction mixture was quenched with water. The aqueous layer was extracted with EtOAc and the organic layer was washed with water, brine, dried with $MgSO_4$ and filtered. The solvent was removed under reduced pressure and the residue was purified by flash chromatography using heptane/EtOAc (5:1) as eluent to give 3-(4-[1,3]dioxolan-2-yl-3,5-dimethylphenyl)-2,2-dimethylpropionic acid methyl ester as a colorless oil.

To a stirred solution of 3-(4-[1,3]dioxolan-2-yl-3,5-dimethylphenyl)-2,2-dimethylpropionic acid methyl ester (1.7 g, 5.8 mmol) in acetone (20 mL) and water (0.3 mL) was added Amberlyst-15 (233 mg). The suspension was stirred at ambient temperature overnight and the suspension was filtered and washed with acetone. The solvent was removed under reduced pressure and the residue was purified by flash chromatography using heptane/EtOAc (5:1) to give 3-(4-formyl-3,5-dimethylphenyl)-2,2-dimethylpropionic acid methyl ester as a pale-yellow oil.

B. 3-(4-{5-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid methyl ester

Oxone (420 mg, 0.683 mmol) was added to a mixture of 3-(4-formyl-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid methyl ester (250 mg, 1.00 mmol) and 4-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-benzene-1,2-diamine (prepared as described in Example 1-59, 300 mg, 1.06 mmol) in DMF (8 mL) and water (0.8 mL), and the mixture

was stirred at room temperature for 18 h. The mixture was partitioned between EtOAc and water. The EtOAc extract was washed with brine, dried over Na2SO4, concentrated and chromatographed to give the title compound. m/z 511.3 (MH+).

C. 3-(4-{5-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid

A mixture of 3-(4-{5-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid methyl ester (340 mg, 0.667 mmol) and aqueous 1M NaOH (5 mL, 5 mmol) in MeOH (5 mL) was stirred at room temperature for 18 h and then heated at 50 oC for 1 h. After the mixture was cooled to room temperature, the mixture was slowly acidified to pH 2~3 by addition of 3M HCl. The product precipitated and was separated from the solution. The solid was dissolved in a small quantity of DMSO and purified by HPLC (basic) to give the title compound as a solid. 1H NMR (CD3OD, 400 MHz) δ 8.55-8.45 (m, 1 H), 8.23 (d, J = 8 Hz, 2 H), 8.22 (d, J = 8 Hz, 1 H), 7.95-7.85 (m, 1 H), 7.26 (d, J = 8 Hz, 2 H), 7.15 (s, 2 H), 4.02 (s, 3 H), 2.99 (s, 2 H), 2.26 (s, 6 H), 1.30 (s, 6 H). m/z 497.2 (MH+).

The following compounds represent compounds of formula A-Q-B-C-D and are explicitly disclosed in International Patent Application Np. PCT/EP08/062900.
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-tert-Butyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-Cyclohexyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(5-m-tolyl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(3-fluoro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
6-(5-tert-Butyl-[1,2,4]oxadiazol-3-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-Cyclopropyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-Benzyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(4-methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
6-[5-(4-Bromo-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(5-p-tolyl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(4-fluoro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
6-(5-Butyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-Cyclopentyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;

2-(2,6-Dichloro-phenyl)-6-[5-(5-methyl-pyridin-3-yl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
2-(2,6-Dimethyl-phenyl)-6-[5-(4-methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(2-methoxy-pyridin-3-yl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(2-methoxy-pyridin-3-yl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(6-trifluoromethyl-pyridin-3-yl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
4-{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-benzonitrile;
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dimethyl-4-morpholin-4-yl-phenyl)-1H-benzoimidazole;
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(3,5-dichloro-pyridin-4-yl)-1H-benzoimidazole;
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dimethyl-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(4,5-diphenyl-oxazol-2-yl)-1H-benzoimidazole;
6-[5-(4-chlorophenyl)-4-methyloxazol-2-yl]-2-(2,6-dichlorophenyl)-1H-benzimidazole;
6-[5-(4-chlorophenyl)-oxazol-2-yl]-2-(2,6-dichlorophenyl)-1H-benzoimidazole;
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dichloro-4-morpholin-4-yl-phenyl)-1H-benzoimidazole;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-pyridin-4-yl-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-pyridin-3-yl-amine;
Adamantan-1-yl-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
Bicyclo[2.2.1]hept-2-yl-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
2-(2,6-Dichloro-phenyl)-6-(5-morpholin-4-yl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(5-piperidin-1-yl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(5-pyrrolidin-1-yl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-m-tolyl-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-phenyl-amine;

{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(3-methoxy-phenyl)-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(6-methyl-pyridin-3-yl)-amine;
(6-Chloro-pyridin-3-yl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(6-methoxy-pyridin-3-yl)-amine;
(3-Chloro-phenyl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(2-methyl-pyridin-4-yl)-amine;
(2-Chloro-pyridin-4-yl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(6-trifluoromethyl-pyridin-3-yl)-amine;
3,5-Dimethyl-4-{6-[5-(4-trifluoromethyl-phenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-phenol;
(2-tert-Butyl-pyridin-4-yl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(5-fluoro-pyridin-2-yl)-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(4-methyl-pyridin-3-yl)-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(2-methoxy-pyridin-3-yl)-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(5-trifluoromethyl-pyridin-2-yl)-amine;
3-{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-ylamino}-benzonitrile;
3,5-Dimethyl-4-{6-[5-(3-trifluoromethyl-phenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-phenol;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(6-morpholin-4-yl-pyridin-3-yl)-amine;

(5-Chloro-pyridin-2-yl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-quinolin-2-yl-amine;
(4-{6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenoxy)-acetic acid;
(3,5-Dichloro-4-{6-[5-(4-chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-phenoxy)-acetic acid;
3-(4-{6-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propionic acid;
3-(4-{6-[5-(3-Chlorophenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid;
3-(4-{6-[5-(4-methoxyphenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid;
3-(4-{6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propionic acid;
3-(4-{5-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid;
[3-(4-{6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propyl]-phosphonic acid;
(3-{3,5-Dimethyl-4-[6-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazol-2-yl]-phenyl}-propyl)-phosphonic acid;
[3-(4-{6-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propyl]-phosphonic acid;
3-{4-[6-(5-methoxy-[1,3,4]oxadiazol-2-yl)-1H-indol-2-yl]-3,5-dimethylphenyl}-propionic acid; and
3-(3,5-Dichloro-4-{6-[5-(4-chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-phenyl)-propionic acid;
or any pharmaceutically acceptable salt or prodrug thereof.

In starting compounds and intermediates which are converted to the compounds of the present invention in a manner described herein, functional groups present, such as amino, thiol, carboxyl and hydroxyl groups, are optionally protected by conventional

protecting groups that are common in preparative organic chemistry. Protected amino, thiol, carboxyl and hydroxyl groups are those that can be converted under mild conditions into free amino thiol, carboxyl and hydroxyl groups without the molecular framework being destroyed or other undesired side reactions taking place.

The purpose of introducing protecting groups is to protect the functional groups from undesired reactions with reaction components under the conditions used for carrying out a desired chemical transformation. The need and choice of protecting groups for a particular reaction is known to those skilled in the art and depends on the nature of the functional group to be protected (hydroxyl group, amino group, etc.), the structure and stability of the molecule of which the substituent is a part and the reaction conditions.

Well-known protecting groups that meet these conditions and their introduction and removal are described, e.g., in McOmie, "Protective Groups in Organic Chemistry", Plenum Press, London, NY (1973).

The above-mentioned reactions are carried out according to standard methods, in the presence or absence of diluent, preferably, such as are inert to the reagents and are solvents thereof, of catalysts, condensing or said other agents, respectively and/or inert atmospheres, at low temperatures, RT or elevated temperatures, preferably at or near the boiling point of the solvents used, and at atmospheric or super-atmospheric pressure. The preferred solvents, catalysts and reaction conditions are set forth in the illustrative Examples.

The invention further includes any variant of the present processes, in which an intermediate product obtainable at any stage thereof is used as starting material and the remaining steps are carried out, or in which the starting materials are formed *in situ* under the reaction conditions, or in which the reaction components are used in the form of their salts or optically pure antipodes.

Compounds of the invention and intermediates can also be converted into each other according to methods generally known *per se*.

Depending on the choice of starting materials and methods, the new compounds may be in the form of one of the possible isomers or mixtures thereof, for example, as substantially pure geometric (*cis* or *trans*) isomers, diastereomers, optical isomers

(antipodes), racemates or mixtures thereof. The aforesaid possible isomers or mixtures thereof are within the purview of this invention.

Any resulting mixtures of isomers can be separated on the basis of the physicochemical differences of the constituents, into the pure geometric or optical isomers, diastereomers, racemates, for example, by chromatography and/or fractional crystallization.

Finally, compounds of the invention are either obtained in the free form, or in a salt form thereof, preferably, in a pharmaceutically acceptable salt form thereof, or as a prodrug derivative thereof.

Compounds of the instant invention which contain acidic groups may be converted into salts with pharmaceutically acceptable bases. Such salts include alkali metal salts, like sodium, lithium and potassium salts; alkaline earth metal salts, like calcium and magnesium salts; ammonium salts with organic bases, e.g., trimethylamine salts, diethylamine salts, tris(hydroxymethyl)methylamine salts, dicyclohexylamine salts and N-methyl-D-glucamine salts; salts with amino acids like arginine, lysine and the like. Salts may be formed using conventional methods, advantageously in the presence of an ethereal or alcoholic solvent, such as a lower alkanol. From the solutions of the latter, the salts may be precipitated with ethers, e.g., diethyl ether. Resulting salts may be converted into the free compounds by treatment with acids. These or other salts can also be used for purification of the compounds obtained.

Compounds of the invention, in general, may be converted into acid addition salts, especially pharmaceutically acceptable salts. These are formed, e.g., with inorganic acids, such as mineral acids, e.g., sulfuric acid, phosphoric or hydrohalic acid, or with organic carboxylic acids, such as (C_1-C_4)-alkanecarboxylic acids which, e.g., are unsubstituted or substituted by halogen, e.g., acetic acid, such as saturated or unsaturated dicarboxylic acids, e.g., oxalic, succinic, maleic or fumaric acid, such as hydroxycarboxylic acids, e.g., glycolic, lactic, malic, tartaric or citric acid, such as amino acids, e.g., aspartic or glutamic acid, or with organic sulfonic acids, such as (C_1-C_4)-alkylsulfonic acids, e.g., methanesulfonic acid; or arylsulfonic acids which are unsubstituted or substituted (for example by halogen). Preferred are salts formed with hydrochloric acid, maleic acid and methanesulfonic acid.

Prodrug derivatives of any compound of the invention are derivatives of said compounds which following administration release the parent compound *in vivo* via some chemical or physiological process, e.g., a prodrug on being brought to the physiological pH or through enzyme action is converted to the parent compound. Exemplary prodrug derivatives are, e.g., esters of free carboxylic acids and *S*-acyl and *O*-acyl derivatives of thiols, alcohols or phenols, wherein acyl has a meaning as defined herein. Preferred are pharmaceutically acceptable ester derivatives convertible by solvolysis under physiological conditions to the parent carboxylic acid, e.g., lower alkyl esters, cycloalkyl esters, lower alkenyl esters, benzyl esters, mono- or di-substituted lower alkyl esters, such as the -(amino, mono- or di-lower alkylamino, carboxy, lower alkoxycarbonyl)-lower alkyl esters, the -(lower alkanoyloxy, lower alkoxycarbonyl or di-lower alkylaminocarbonyl)-lower alkyl esters, such as the pivaloyloxymethyl ester and the like conventionally used in the art.

In view of the close relationship between the free compounds, the prodrug derivatives and the compounds in the form of their salts, whenever a compound is referred to in this context, a prodrug derivative and a corresponding salt is also intended, provided such is possible or appropriate under the circumstances.

The compounds, including their salts, can also be obtained in the form of their hydrates, or include other solvents used for their crystallization.

As described herein above, the compounds contemplated of the present invention may be employed for the treatment of ulcerative colitis or atherosclerosis mediated by DGAT1 activity.

In yet another aspect, the present invention provides methods of using a compound or composition of the invention to treat or prevent ulcerative colitis or atherosclerosis by inhibition of DGAT1.

The present invention further provides pharmaceutical compositions comprising a therapeutically effective amount of a pharmacologically active DGAT1 inhibitor compound of the instant invention, alone or in combination with one or more pharmaceutically acceptable carriers.

The pharmaceutical compositions according to the invention are those suitable for enteral, such as oral or rectal; transdermal and parenteral administration to mammals, including man, for the treatment of ulcerative colitis or atherosclerosis mediated by DGAT1 activity.

Thus, the pharmacologically active compounds of the invention may be employed in the manufacture of pharmaceutical compositions comprising an effective amount thereof in conjunction or admixture with excipients or carriers suitable for either enteral or parenteral application. Preferred are tablets and gelatin capsules comprising the active ingredient together with:

a) diluents, e.g., lactose, dextrose, sucrose, mannitol, sorbitol, cellulose and/or glycine;

b) lubricants, e.g., silica, talcum, stearic acid, its magnesium or calcium salt and/or polyethyleneglycol; for tablets also

c) binders, e.g., magnesium aluminum silicate, starch paste, gelatin, tragacanth, methylcellulose, sodium carboxymethylcellulose and or polyvinylpyrrolidone; if desired

d) disintegrants, e.g., starches, agar, alginic acid or its sodium salt, or effervescent mixtures; and/or

e) absorbants, colorants, flavors and sweeteners.

Injectable compositions are aqueous isotonic solutions or suspensions, and suppositories are advantageously prepared from fatty emulsions or suspensions.

Said compositions may be sterilized and/or contain adjuvants, such as preserving, stabilizing, wetting or emulsifying agents, solution promoters, salts for regulating the osmotic pressure and/or buffers. In addition, they may also contain other therapeutically valuable substances. Said compositions are prepared according to conventional mixing, granulating or coating methods, respectively, and contain about 0.1-75%, preferably about 1-50%, of the active ingredient.

Suitable formulations for transdermal application include a therapeutically effective amount of a compound of the invention with carrier. Advantageous carriers include absorbable pharmacologically acceptable solvents to assist passage through the skin of the host. Characteristically, transdermal devices are in the form of a bandage comprising a backing member, a reservoir containing the compound optionally with carriers, optionally a rate controlling barrier to deliver the compound of the skin of the

host at a controlled and predetermined rate over a prolonged period of time, and means to secure the device to the skin.

Accordingly, the present invention provides pharmaceutical compositions as described above for the treatment of ulcerative colitis or atherosclerosis mediated by DGAT1 activity.

Accordingly, the present invention provides pharmaceutical compositions comprising a therapeutically effective amount of a compound of the invention in combination with a therapeutically effective amount of another therapeutic agent, preferably selected from anti-diabetics, hypolipidemic agents, anti-obesity agents or anti-hypertensive agents, most preferably from antidiabetics or hypolipidemic agents as described above.

The present invention further relates to use of pharmaceutical compositions or combinations as described above for the preparation of a medicament for the treatment of ulcerative colitis or atherosclerosis mediated by DGAT1 activity.

Thus, the present invention also relates to a pharmaceutical composition for use in ulcerative colitis or atherosclerosis mediated by DGAT1 activity comprising a DGAT1 inhibitor compound, or a pharmaceutically acceptable salt thereof, in association with a pharmaceutically acceptable diluent or carrier therefore.

The present invention further provides a method for the prevention and/or treatment of ulcerative colitis or atherosclerosis mediated by DGAT1 activity, which comprises administering a therapeutically effective amount of a DGAT inhibitor compound.

A unit dosage for a mammal of about 50-70 kg may contain between about 1 mg and 1000 mg, advantageously between about 5-500 mg of the active ingredient. The therapeutically effective dosage of active compound is dependent on the species of warm-blooded animal (mammal), the body weight, age and individual condition, on the form of administration, and on the compound involved.

Likewise, the present invention provides a method as defined above comprising co-administration, e.g., concomitantly or in sequence, of a therapeutically effective amount of a compound as defined in the claims and described above, or a pharmaceutically acceptable salt thereof, and a second drug substance, said second drug substance

being an anti-diabetic, a hypolipidemic agent, an anti-obesity agent or an anti-hypertensive agent, e.g., as indicated above.

As used throughout the specification and in the claims, the term "treatment" embraces all the different forms or modes of treatment as known to those of the pertinent art and in particular includes preventive, curative, delay of progression and palliative treatment.

The above-cited properties are demonstrable *in vitro* and *in vivo* tests using advantageously mammals, e.g., mice, rats, dogs, monkeys or isolated organs, tissues and preparations thereof. Said compounds can be applied *in vitro* in the form of solutions, e.g., preferably aqueous solutions, and *in vivo* either enterally, parenterally, advantageously intravenously, e.g., as a suspension or in aqueous solution. The dosage *in vitro* may range between about 10^{-2} molar and 10^{-9} molar concentrations. A therapeutically effective amount *in vivo* may range depending on the route of administration, between about 0.1 mg/kg and 1000 mg/kg, preferably between about 1 mg/kg and 100 mg/kg.

The activity of the DGAT1 inhibitor compound according to the invention may be assessed by the following methods or methods well-described in the art:

The enzyme preparation used in this assay is a membrane preparation from Sf9 cells overexpressing human $(His)_6$DGAT1. During all steps samples were chilled to 4ºC. Sf9 cells expressing human $(His)_6$DGAT1 were thawed at RT and re-suspended at a 10:1 ratio (mL buffer/g of cells) in 50 mM HEPES, 1x Complete Protease Inhibitor, pH 7.5. The re-suspended pellet was homogenized for 1 min using a Brinkman PT 10/35 homogenizer with a 20 mm generator. Cells were lysed using Avestin Emulsiflex (chilled to 4ºC) at 10000-15000 psi. Lysate was centrifuged at 100,000 x g for 1 h at 4ºC. Supernatant was removed and pellets were re-suspended in 50 mM HEPES, 1x Complete Protease Inhibitor, pH 7.5 at 1/6 the volume of supernatant. Re-suspended pellets were pooled and homogenized with 10 strokes of a Glas-Col motor driven teflon pestle on setting 70. The protein concentration of the membrane preparation was quantified using BCA protein assay with 1% SDS. The membrane preparation was aliquoted, frozen on dry ice, and stored at -80ºC.

For 50 mL, 25 mL of 0.2 M HEPES stock buffer, 0.5 mL of 1 M $MgCl_2$ (5 mM final concentration), and 24.5 mL of milli-Q H_20 are added to the 55 mL Wheaton Potter-

Elvehjem homogenizer. Enzyme preparation (0.1 mL) is added to buffer and the mixture is homogenized with 5 strokes on ice using the Glas-Col variable speed homogenizer system on setting 70.

For 50 mL, 0.5 mL 10 mM diolein is added to 9.5 mL of EtOH in a 50 mL Falcon screw cap conical centrifuge tube. Five mL of 10 mM sodium acetate pH 4.5 is added followed by 0.5 mL of 10 mM oleoyl-CoA. Finally, the remaining 4.5 mL of 10 mM sodium acetate pH 4.5 is added followed by 30 mL of milli-Q H_20. The solution should be gently agitated by hand to induce mixing. The final concentrations of EtOH and sodium acetate are 20% and 2 mM, respectively.

Dry compounds are dissolved in the appropriate volume of DMSO to a final concentration of 10 mM. A 10-point, 3-fold dose response is used to evaluate compound potency. All dilutions are performed in DMSO in a Greiner 384-well microplate.

1. 2 μL of compound in DMSO is added to the appropriate wells. 2 μL of DMSO is added to 100% activity and 100% inhibition controls.

2. 25 μL of enzyme mix is added to all wells and plate(s) are incubated for 10 min at RT.

3. 10 μL of 20% acetic acid quench is added to 100% inhibition control wells. Plate(s) are vortexed using Troemner multi-tube vortexer (setting 7 for 10 sec).

4. 25 μL of substrate mix is added to all wells. Plate(s) are vortexed using Troemner multi-tube vortexer (setting 7 for 10 sec). Plate(s) are incubated for 30 min at RT.

5. 10 μL of 20% acetic acid quench is added to all wells. Plate(s) are vortexed using Troemner multi-tube vortexer (setting 7 for 10 sec).

6. 50 μL of 1-butanol w/ glyceryl tripalmitoleate internal standard is added to all wells.

7. Plate(s) are sealed with super pierce strong plate sealer using the thermo-sealer.

8. Plate(s) are vortexed using Troemner multi-tube vortexer (setting 10 for 5 min).

9. Plate(s) are centrifuged at 162 x g (1000 rpm for GH-3.8 rotor) for 5 min using Beckman GS-6R tabletop centrifuge.

Samples were analyzed by LC/MS/MS using a Waters 1525μ LC and Quattro Micro API MS. Where indicated, tripalmitolein was used as an internal standard to control for instrument variation.

Data is converted to % inhibition prior to curve fitting using the following equation:

$$\% \text{ Inhibition} = \frac{(\text{response compound} - \text{response 100\% inhibition control})}{(\text{response 100\% activity control} - \text{response 100\% inhibition control})} \times 100$$

Using the method described above, the DGAT1 inhibitors were shown to possess inhibitory activity with IC50 values ranging from 0.001 uM to 100 uM.

From the foregoing it will be appreciated that, although specific embodiments of the invention have been described herein for purposes of illustration, various modifications may be made without deviating from the spirit and scope of the invention. Accordingly, the invention is not limited except as by the appended claims.

Claims

We Claim:

1. A method for the prevention, delay of progression or treatment of a disease exacerbated by inadequate phosphatidylcholine production, comprising:

administering to a warm-blooded animal in need thereof a therapeutically effective amount of a DGAT1 inhibitor.

2. The method according to claim 1, wherein the warm-blooded animal is a human.

3. Use of a DGAT1 inhibitor, for the preparation of a pharmaceutical composition for the treatment of a disorder or disease exacerbated by inadequate phosphatidylcholine production in a subject mediated by the inhibition of DGAT1.

4. The method of claim 1, wherein the DGAT inhibitor is a compound of formula

A-L1-B-C-D-L2-E

wherein,

- A is a substituted or unsubstituted alkyl, cycloalkyl, aryl, or heterocyclyl group,
- L1 is selected from the group consisting of:
  - * an amine group –NH-
  - * a substituted amine group of the formula $–N(CH_3)-$, $-CH_2-NH-$ or $-CH_2-CH_2-NH-$,
  - * an amide group –C(O)-NH- ,
  - * a sulphonamide group $–S(O)_2-NH-$, or
  - * a urea group –NHC(O)-NH-,
- B is a substituted or unsubstituted, monocyclic, 5- or 6-membered divalent heteroaryl group,
- C-D is selected from the following cyclic structures:

* C-D together is a substituted or unsubstituted divalent biphenyl group,
* C is a substituted or unsubstituted divalent phenyl group and D is a single bond,
* C is a substituted or unsubstituted divalent phenyl group, and D is a substituted or unsubstituted divalent non-aromatic monocyclic ring which is selected from a saturated or unsaturated divalent cycloalkyl group or a saturated or unsaturated divalent heterocycloalkyl group,
* C-D together is a spiro residue, wherein
  - the first cyclic component is a benzo-fused cyclic component wherein the ring which is fused to the phenyl part is a 5- or 6-membered ring, optionally comprising one or more heteroatoms, the first cyclic component being attached to the moiety B via its phenyl part, and
  - the second cyclic component is a cycloalkyl or cycloalkylidenyl residue which is attached to L2,

- L2 is selected from the group consisting of:
  * a single bond,
  * a divalent residue having the following structure:

$$-[R^1]_a-[R^2]_b-[C(O)]_c-[N(R^3)]_d-[R^4]_e-[R^5]_f-$$

wherein

a is 0 or 1,

b is 0 or 1,

c is 0 or 1,

d is 0 or 1,

e is 0 or 1,

f is 0 or 1,

with the proviso that (a+b+c+d+e+f) > 0, and c=1 if d=1,

R^1, R^2, R^4 and R^5, which can be the same or different, are a substituted or unsubstituted divalent alkyl, cycloalkyl, alkenyl, alkynyl, alkylene, aryl or heterocyclyl residue,

R^3 is H or hydrocarbyl,

or R^3 and R^4 form together with the nitrogen atom to which they are attached a 5- or 6-membered heterocycloalkyl group,

with the proviso that R^1 and R^2 are not both alkyl if c=1 and d=e=f=0 and the carbonyl carbon atom is attached to the moiety E,

* an alkylidenyl group which is linked to the moiety D via a double bond, and

- E is selected from the group consisting of:

* a sulphonic acid group and derivatives thereof,

* a carboxyl group and derivatives thereof, wherein the carboxyl carbon atom is attached to L2,

* a phosphonic acid group and derivatives thereof,

* an alpha-keto hydroxyalkyl group,

* a hydroxyalkyl group wherein the carbon atom bonded to the hydroxyl group is further substituted with one or two trifluoro-methyl groups,

* a substituted or unsubstituted five-membered heterocyclyl residue having in the ring at least two heteroatoms and at least one carbon atom, wherein

• the at least one carbon atom of the ring is bonded to two heteroatoms;

• at least one of the heteroatoms to which the carbon atom of the ring is bonded is a member of the ring;

• and at least one of the heteroatoms to which the carbon atom of the ring is bonded or at least one of the heteroatoms of the ring is bearing a hydrogen atom;

with the provisos that

- L2 is not a single bond or a divalent alkyl group if the moiety D is a single bond,
- L2 is not a single bond if the moiety D is an unsubstituted divalent phenyl group and E is a carboxylic acid or a derivative thereof,
- E is not a carboxamide group if L2 comprises an amide group,
- E is not a –COOH group if D is a single bond and L2 is a $–N(CH_3)-C(O)-$ group wherein the carbonyl carbon atom is attached to the moiety E,
- L2 is not a divalent N-methyl piperidinyl group if the moiety E is a pyridinyl-1,2,4-triazolyl group,
- L2 is not $–C(O)-[R^4]_e-[R^5]_f–$ when C is a substituted or unsubstituted divalent phenyl group and D is a single bond,

or a pharmaceutically acceptable salt thereof.

5. The method of claim 1, wherein the DGAT inhibitor is a compound of formula

A-L1-B-C-D

wherein

- A is selected from a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalky, substituted or unsubstituted aryl, and a substituted or unsubstituted heterocyclyle, wherein A is linked to L1 via a carbon member of the ring when A is a ring,
- L1 is selected from the group consisting of:
  * an amine group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–N(R_3)–$,
  * a thiocarbamoyl group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–N(R_3)–C(S)–$,
  * an amide group of the formula $–C(O)-N(R_3)–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–$,

* an amidine group of the formula $–C(NH)–N(R_3)–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–$,

* an amide group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m–C(O)-N(R_3)–$,

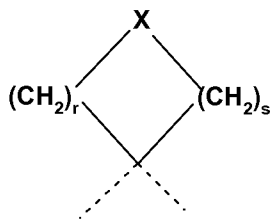
* a sulphonamide group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m –S(O)_2-N(R_3)-$,

* a carbamate group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m –(O)–C(O)-N(R_3)–$, or

* a urea group of the formula $–(CH_2)_n–(CR_4R_{4'})_p–(CH_2)_m – N(R_3)–C(O)-N(R_{3A})–$,

wherein;

- R_3 and R_{3A} are, independently from each other, hydrogen or lower alkyl,
- m, n and p are, independently from each other, zero or an integer from 1 to 2,
- m + m + p is between 0 and 6, and is preferably 0, 1, 2 or 3
- R_4 and $R_{4'}$ are, independently from each other, hydrogen, halogen, hydroxyl, lower alkoxy, lower alkoxycarbonyl, carboxy or lower alkyl, or R_4 and $R_{4'}$ are joined together to form a spiro residue of the formula



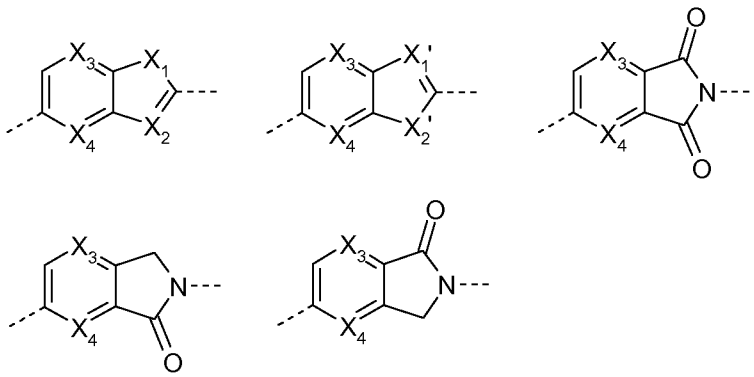
wherein;

- X is $NR_{3'}$, O, S or $CR_{3''}R_{4''}$
- r and s are, independently from each other, zero or an integer from 1 to 3,
- $R_{3'}$ is hydrogen or lower alkyl,

- $R_{3"}$ is hydrogen, halogen, hydroxyl, alkoxy, or lower alkyl,

- $R_{4"}$ is hydrogen or lower alkyl;

- B is a substituted or unsubstituted divalent heteroaryl group selected from one of the groups below:

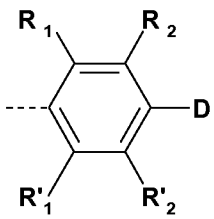


wherein;

X_1 and X_2' are independently selected from O, NH, NR_9 or S, wherein R_9 is selected from lower alkyl, lower alkylamino, lower alkoxyalkyl, lower hydroxyalkyl,

X_1', X_2, X_3 and X_4 are independently selected from N, or CH,

- C is



wherein

- R_1 is selected from hydrogen, cyano, lower alkylsulfonylamino, alkanoylamino, halogen, lower alkyl, trifluoromethyl, lower alkoxy, lower alkylamino, lower dialkylamino, and NO_2,

- R'_1, R_2 and R'_2 are independently selected from hydrogen, halogen, trifluoromethyl, aryloxy, lower alkyl, lower alkoxy, lower alkylamino, lower dialkylamino, and NO_2,

or

- C may also be a substituted or unsubstituted bicyclic aryl or heteroaryl group,

- D is selected from hydrogen, halogen, hydroxyl, cyano, alkanoylamino, carboxy, carbamoyl, $–O–L_2–E$, $–S– L_2–E'$, $–C(O)-O– L_2–E$, $–L_2–E''$, and $–NR_6–L_2–E'$,
- L_2 is $–(CH_2)_{n'}–(CR_5R_{5'})_{p'}–(CH_2)_{m'}–$
- E is;

  alkyl, acyl, alkoxycarbonyl, phosphonic acid, phosphonate, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonyl, $-SO_2$-OH, sulfamoyl, sulfonylcarbamoyl, sulfonyloxy, sulfonamido, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl, and when n' + m' + p' is equal to zero, E is not sulfonyloxy or sulfonamido,

- E' is;

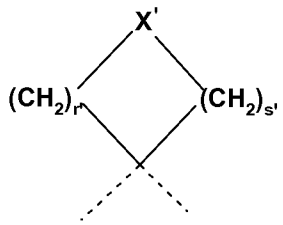
  alkyl, acyl, alkoxycarbonyl, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonylcarbamoyl, sulfonyl, $-SO_2$-OH, sulfamoyl, sulfonamido, phosphonic acid, phosphonate, sulfonyloxy, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl, and when n' + m' + p' is equal to zero, E' is not sulfamoyl, sulfonamido, phosphonic acid, phosphonate, or sulfonyloxy,

  - E'' is;

    alkyl, acyl, alkoxycarbonyl, phosphonic acid, phosphonate, cycloalkoxycarbonyl, aryloxycarbonyl,

heterocyclyloxycarbony, carboxy, carbamoyl, sulfonyl, sulfamoyl, sulfonyloxy, sulfonamido, -SO_2-OH, sulfonylcarbamoyl, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl,

- m', n' and p' are, independently from each other, an integer from 0 to 4,
- m' + n' + p' is between 0 and 12, and is preferably 0, 1, 2, 3 or 4,
- R_5 and $R_{5'}$ are, independently from each other, hydrogen, halogen, hydroxyl, lower alkoxy, or lower alkyl, or R_5 and $R_{5'}$ are joined together to form a spiro residue of the formula



wherein;

- X' is NR_x, O, S or $CR_{x'}R_{x''}$
- r' and s' are, independently from each other, zero or an integer from 1 to 3,
- R_x is hydrogen or lower alkyl,
- $R_{x'}$ is hydrogen, halogen, hydroxyl, alkoxy, or lower alkyl,
- $R_{x''}$ is hydrogen or lower alkyl;

or a prodrug or a pharmaceutically acceptable salt thereof.

6. The method of claim 1, wherein the DGAT inhibitor is a compound of formula

A-Q-B-C-D

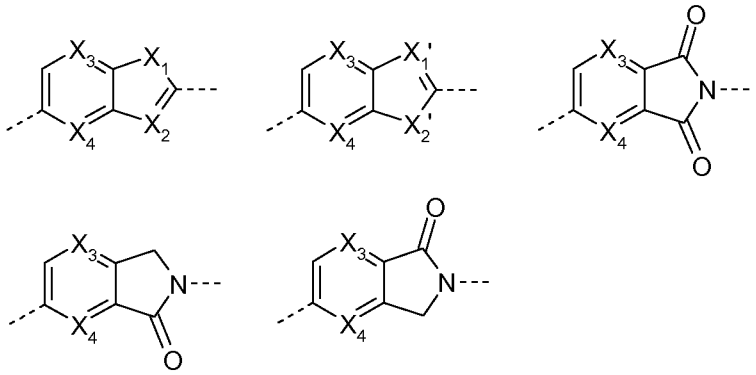
wherein

A is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted cycloalkyl, optionally substituted amino, substituted or

unsubstituted aryl, substituted or unsubstituted heteroaryl or a substituted or unsubstituted heterocyclyl;

Q is a divalent or trivalent cycloalkyl, aryl, heterocycle or heteroaryl;

B is a substituted or unsubstituted divalent heteroaryl group selected from one of the groups below:

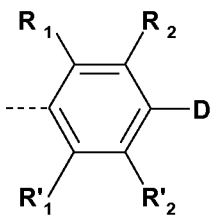


wherein;

X_1 and X_2' are independently selected from O, NH, NR_9 or S, wherein R_9 is selected from lower alkyl, lower alkylamino, lower alkoxyalkyl, lower hydroxyalkyl,

X_1', X_2, X_3 and X_4 are independently selected from N, or CH,

C is



wherein

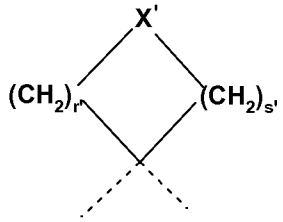
- R_1 is selected from hydrogen, cyano, lower alkylsulfonylamino, alkanoylamino, halogen, lower alkyl, trifluoromethyl, lower alkoxy, lower alkylamino, lower dialkylamino, and NO_2,

- R'_1, R_2 and R'_2 are independently selected from hydrogen, halogen, trifluoromethyl, aryloxy, lower alkyl, lower alkoxy, lower alkylamino, lower dialkylamino, and NO_2,

or

- C may also be a substituted or unsubstituted bicyclic aryl or heteroaryl group,
- D is selected from hydrogen, halogen, hydroxyl, cyano, alkanoylamino, carboxy, carbamoyl, $–O–L_2–E$, $–S–L_2–E'$, $–C(O)-O–L_2–E$, $–L_2–E''$, and $–NR_6–L_2–E'$,
- L_2 is $–(CH_2)_{n'}–(CR_5R_{5'})_{p'}–(CH_2)_{m'}–$
- E is alkyl, acyl, alkoxycarbonyl, phosphonic acid, phosphonate, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonyl, $-SO_2-OH$, sulfamoyl, sulfonylcarbamoyl, sulfonyloxy, sulfonamido, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl, and when n' + m' + p' is equal to zero, E is not sulfonyloxy or sulfonamido,
- E' is alkyl, acyl, alkoxycarbonyl, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonylcarbamoyl, sulfonyl, $-SO_2-OH$, sulfamoyl, sulfonamido, phosphonic acid, phosphonate, sulfonyloxy, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl, and when n' + m' + p' is equal to zero, E' is not sulfamoyl, sulfonamido, phosphonic acid, phosphonate, or sulfonyloxy,
- E'' is alkyl, acyl, alkoxycarbonyl, phosphonic acid, phosphonate, cycloalkoxycarbonyl, aryloxycarbonyl, heterocyclyloxycarbony, carboxy, carbamoyl, sulfonyl, sulfamoyl, sulfonyloxy, sulfonamido, $-SO_2-OH$, sulfonylcarbamoyl, -C(O)-O-R-PRO, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl, or substituted or unsubstituted heteroaryl,
- m', n' and p' are, independently from each other, an integer from 0 to 4,
- m' + n' + p' is between 0 and 12, preferably 0, 1, 2, 3 or 4,

- R_5 and $R_{5'}$ are, independently from each other, hydrogen, halogen, hydroxyl, lower alkoxy, or lower alkyl, or R_5 and $R_{5'}$ are joined together to form a spiro residue of the formula



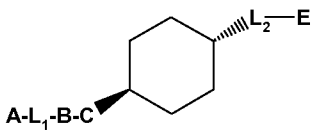
wherein

- X' is NR_x, O, S or $CR_{x'}R_{x''}$
- r' and s' are, independently from each other, zero or an integer from 1 to 3,
- R_x is hydrogen or lower alkyl,
- $R_{x'}$ is hydrogen, halogen, hydroxyl, alkoxy, or lower alkyl,
- $R_{x''}$ is hydrogen or lower alkyl; or

a stereoisomer, enantiomer or tautomer thereof, a pharmaceutically acceptable salt thereof, or a prodrug thereof.

7. The method according to claim 1, wherein the disease exacerbated by inadequate phosphatidylcholine production is ulcerative colitis or atherosclerosis.

8. The method of claim 4, wherein the compound is represented by figure "B":



"B",

or a pharmaceutically acceptable salt thereof wherein:

- A is a substituted or unsubstituted alkyl, cycloalkyl, aryl, or heterocyclyl group,

- L1 is selected from the group consisting of:
  * an amine group –NH-
  * a substituted amine group of the formula –N(CH_3)-, -CH_2-NH- or -CH_2-CH_2-NH-,
  * an amide group –C(O)-NH- ,
  * a sulphonamide group –S(O)$_2$-NH-, or
  * a urea group –NHC(O)-NH-,
- B is a substituted or unsubstituted, monocyclic, 5- or 6-membered divalent heteroaryl group,
- C is a substituted or unsubstituted divalent phenyl group,
- L2 is selected from the group consisting of:
  * a single bond,
  * a divalent residue having the following structure:

    $-[R^1]_a-[R^2]_b-[C(O)]_c-[N(R^3)]_d-[R^4]_e-[R^5]_f-$

    wherein

    a is 0 or 1,

    b is 0 or 1,

    c is 0 or 1,

    d is 0 or 1,

    e is 0 or 1,

    f is 0 or 1,

    with the proviso that (a+b+c+d+e+f) > 0, and c=1 if d=1,

    R^1, R^2, R^4 and R^5, which can be the same or different, are a substituted or unsubstituted divalent alkyl, cycloalkyl, alkenyl, alkynyl, alkylene, aryl or heterocyclyl residue,

    R^3 is H or hydrocarbyl,

or R^3 and R^4 form together with the nitrogen atom to which they are attached a 5- or 6-membered heterocycloalkyl group,

with the proviso that R^1 and R^2 are not both alkyl if c=1 and d=e=f=0 and the carbonyl carbon atom is attached to the moiety E,

* an alkylidenyl group which is linked to the moiety D via a double bond, and

- E is selected from the group consisting of:
  * a sulphonic acid group and derivatives thereof,
  * a carboxyl group and derivatives thereof, wherein the carboxyl carbon atom is attached to L2,
  * a phosphonic acid group and derivatives thereof,
  * an alpha-keto hydroxyalkyl group,
  * a hydroxyalkyl group wherein the carbon atom bonded to the hydroxyl group is further substituted with one or two trifluoro-methyl groups,
  * a substituted or unsubstituted five-membered heterocyclyl residue having in the ring at least two heteroatoms and at least one carbon atom, wherein
    • the at least one carbon atom of the ring is bonded to two heteroatoms;
    • at least one of the heteroatoms to which the carbon atom of the ring is bonded is a member of the ring;
    • and at least one of the heteroatoms to which the carbon atom of the ring is bonded or at least one of the heteroatoms of the ring is bearing a hydrogen atom;

with the provisos that

- E is not a carboxamide group if L2 comprises an amide group,

- L2 is not a divalent N-methyl piperidinyl group if the moiety E is a pyridinyl-1,2,4-triazolyl group.

9. The method accoridng to claim 8, wherein the compound is selected from

(4-{4-[2-(3-Fluorophenylamino)-pyrimidin-5-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(2-Phenylaminopyrimidin-5-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[2-(3-Methoxyphenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Fluorophenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(2-Chlorophenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Cyanophenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Trifluoromethylphenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(3-Fluorophenylamino)-thiazol-4-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4'-[2-(3-Chloro-phenylamino)-oxazol-5-yl]-biphenyl-4-yl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Chloro-phenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-methylphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Trifluoromethylphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Methoxyphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Fluorophenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Methoxyphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Methoxyphenylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(5-Phenylaminopyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(5-Cyanopyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Trifluoromethylpyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(4-Trifluoromethylphenylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Methylpyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Trifluoromethylpyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid methyl ester,
(4-{4-[5-(5-Chloropyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Methoxypyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Fluoropyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[5-(6-Acetylaminopyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(3-Methoxy-5-phenylamino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(3-Methoxy-5-(3-fluorophenyl)amino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(3-Methoxy-5-(4-trifluoromethyl-phenyl)amino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(3-Methoxy-5-(3-chlorophenyl)amino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(3-Fluoro-phenylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3-Chloro-phenylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(1-Methyl-1H-pyrazol-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Fluoro-6-methoxy-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Isoxazol-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Fluoro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(6-m-Tolylamino-pyridazin-3-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[6-(3-Trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Cyano-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Fluoro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(4-Chloro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(6-p-Tolylamino-pyridazin-3-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[6-(4-Trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Chloro-4-methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Chloro-2-methyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(6-Phenylamino-pyridazin-3-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[6-(3-Chloro-2-methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(2-Methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(4-Methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(4-Trifluoromethoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[6-(4-Fluoro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(6-Amino-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(Methyl-m-tolyl-amino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{6-[(3-Chloro-phenyl)-methyl-amino]-pyridazin-3-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{6-[(3-Methoxy-phenyl)-methyl-amino]-pyridazin-3-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[6-(2-Methyl-6-trifluoromethyl-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(3-Chloro-2-methoxy-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(1S,2R)-2-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-benzoylamino}-cyclohexanecarboxylic acid,
4-{4-[6-(3-Trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexanecarboxylic acid,
2-(4-{4-[6-(3-Chloro-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetamide,
(6-{4-[4-(2H-Tetrazol-5-ylmethyl)-cyclohexyl]-phenyl}-pyridazin-3-yl)-(6-trifluoromethyl-pyridin-3-yl)-amine,
3-(4-{4-[6-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexylmethyl)-4H-[1,2,4]oxadiazol-5-one,
(4-{4-[4-Methyl-6-(6-trifluoromethyl-pyridin-3-ylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[4-Methyl-6-(4-trifluoromethyl-phenylamino)-pyridazin-3-yl]-phenyl}-cyclohexyl)-acetic acid
(4-{4-[5-(6-Trifluoromethyl-pyridin-3-ylamino)-pyrazin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2,2-Dimethyl-propionylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[6-(6-Methoxy-pyridin-3-ylamino)-5-methyl-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-Fluoro-6-(6-methoxy-pyridin-3-ylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
Oxo-(4-{4-[6-(6-trifluoromethyl-pyridin-3-ylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(5-Acetylamino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,

(4-{4-[5-(3-Trifluoromethyl-benzoylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(Pyridine-2-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[3-(4-Trifluoromethoxy-phenyl)-ureido]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[3-(2-Trifluoromethyl-phenyl)-ureido]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(3-o-Tolyl-ureido)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(1-Methyl-1H-indole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(1H-Indole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(Pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(6-Methyl-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Bromo-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Chloro-6-methoxy-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Isobutyl-isoxazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(3-tert-Butyl-1-methyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-tert-Butyl-1H-pyrazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Isopropyl-isoxazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
{4-[4-(5-Isobutoxycarbonylamino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
[4-(4-{5-[((S)-5-Oxo-pyrrolidine-2-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(4-Fluoro-3-trifluoromethyl-benzoylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(4-Trifluoromethyl-benzoylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(6-Trifluoromethyl-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,

(4-{4-[5-(3-Fluoro-5-trifluoromethyl-benzoylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(Tetrahydro-pyran-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Bromo-2-methoxy-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(1,5-Dimethyl-1H-pyrazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Methoxy-1H-indole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(2,5-Dimethyl-1H-pyrrole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(1-Methyl-5-trifluoromethyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
{4-[4-(5-{[4-(Morpholine-4-sulfonyl)-1H-pyrrole-2-carbonyl]-amino}-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(2-Fluoro-2-methyl-propionylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(1-Methyl-3-trifluoromethyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid methyl ester,
(4-{4-[5-(2-Methyl-2-pyrazol-1-yl-propionylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(5-Isopropyl-isoxazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(1-Methyl-3-trifluoromethyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Cyclopropyl-isoxazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(5-Cyclopropyl-isoxazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid methyl ester,
[4-(4-{5-[(5-Cyclopropyl-isoxazole-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
[4-(4-{5-[(6-Methoxy-pyridine-3-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(2,2-Dimethyl-butyrylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[5-(2-Methoxy-2-methyl-propionylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[(1,5-Dimethyl-1H-pyrazole-4-carbonyl)-amino]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
(4-{4-[5-(Tetrahydro-pyran-4-yloxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
{4-[4-(5-Cyclopropylmethoxycarbonylamino-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(Tetrahydro-furan-2-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Tetrahydro-pyran-2-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3-Methyl-oxetan-3-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(Tetrahydro-pyran-4-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Methyl-pyridin-3-ylmethoxycarbonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
[4-(4-{5-[3-(4-Chloro-3-trifluoromethyl-phenyl)-ureido]-pyridin-2-yl}-phenyl)-cyclohexyl]-acetic acid,
{4-[4-(5-Isopropylcarbamoyl-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(6-Carbamoyl-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
{4-[4-(6-Isopropylcarbamoyl-pyridin-2-yl)-phenyl]-cyclohexyl}-acetic acid,
(4-{4-[5-(6-Trifluoromethyl-pyridin-3-ylcarbamoyl)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(4-Trifluoromethyl-benzenesulfonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3-Trifluoromethyl-benzenesulfonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(1,2-Dimethyl-1H-imidazole-4-sulfonylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Fluoro-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Isopropoxy-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Bromo-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Methoxy-pyrimidin-5-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[5-(6-Methylsulfanyl-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-([1,2,4]Triazin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Dimethylamino-pyrimidin-5-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Methylsulfanyl-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3,5-Difluoro-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Trifluoromethyl-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid methyl ester,
(4-{4-[5-(5-Chloro-6-methoxy-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Fluoro-4-methyl-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(3-Chloro-5-methyl-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Difluoromethyl-6-methoxy-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Methanesulfonyl-pyridin-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[3-Fluoro-5-(6-trifluoromethyl-pyridin-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(1H-Benzoimidazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Trifluoromethyl-[1,3,4]oxadiazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Methyl-benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(2-Methyl-5-trifluoromethyl-2H-pyrazol-3-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(6-Chloro-benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid methyl ester,
(4-{4-[5-(6-Chloro-benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-Chloro-6-methoxy-benzooxazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[5-(5-tert-Butyl-[1,3,4]oxadiazol-2-ylamino)-pyridin-2-yl]-phenyl}-cyclohexyl)-acetic acid,

(4-{4-[2-(6-Trifluoromethyl-pyridin-3-ylamino)-pyrimidin-5-yl]-phenyl}-cyclohexyl)-acetic acid,
(4-{4-[2-(5-Chloro-pyridin-2-ylamino)-pyrimidin-5-yl]-phenyl}-cyclohexyl)-acetic acid
(4-{4-[6-(2-Methyl-6-trifluoromethyl-pyridin-3-ylamino)-pyridin-3-yl]-phenyl}-cyclohexyl)-acetic acid, or a pharmaceutically acceptable salt thereof.

10. The method according to claim 6, wherein the compound is selected from

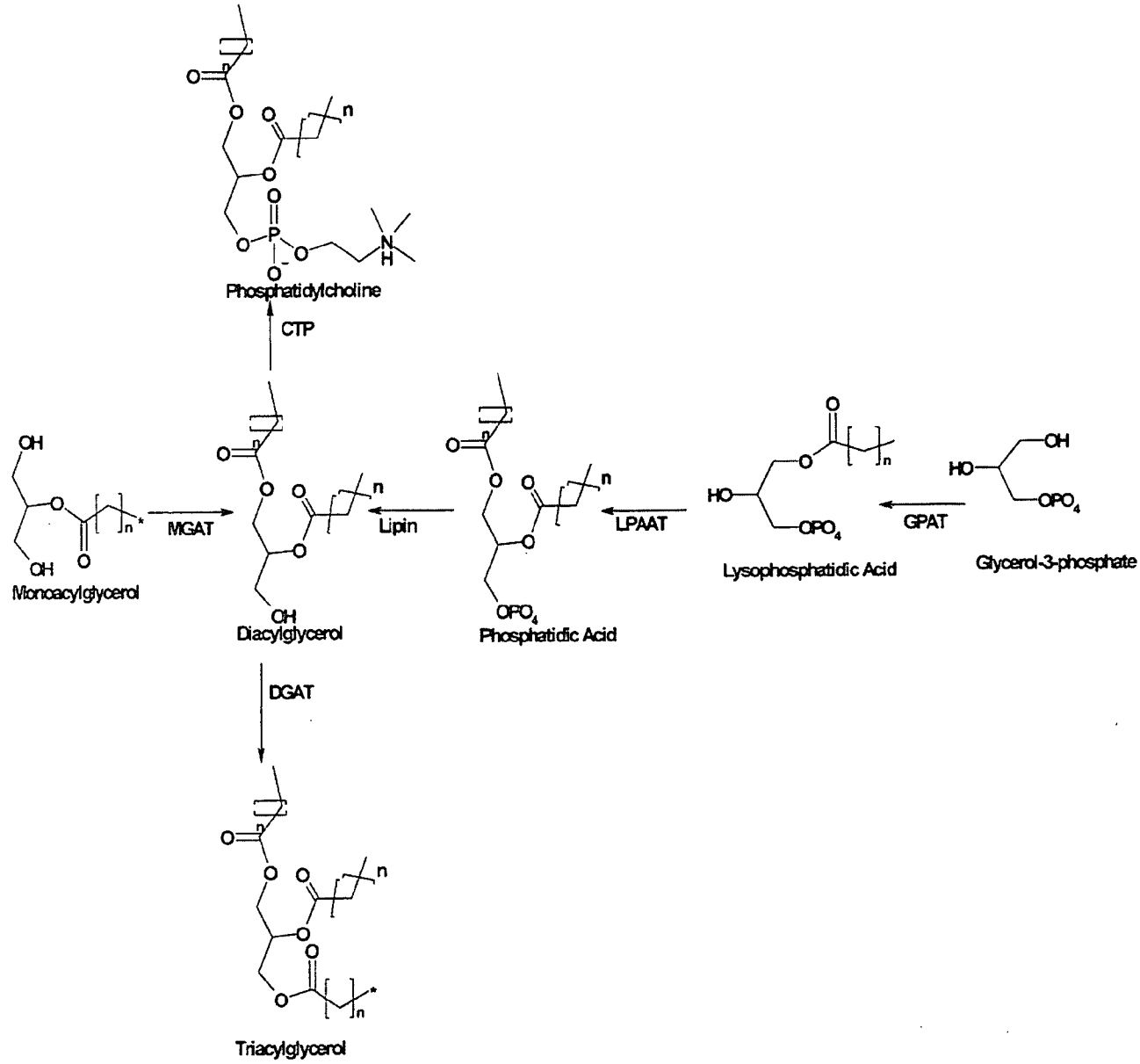
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-tert-Butyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-Cyclohexyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(5-m-tolyl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(3-fluoro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
6-(5-tert-Butyl-[1,2,4]oxadiazol-3-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-Cyclopropyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-Benzyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(4-methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
6-[5-(4-Bromo-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(5-p-tolyl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(4-fluoro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
6-(5-Butyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
6-(5-Cyclopentyl-[1,3,4]oxadiazol-2-yl)-2-(2,6-dichloro-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(5-methyl-pyridin-3-yl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
2-(2,6-Dimethyl-phenyl)-6-[5-(4-methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(2-methoxy-pyridin-3-yl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(2-methoxy-pyridin-3-yl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-[5-(6-trifluoromethyl-pyridin-3-yl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazole;
4-{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-benzonitrile;

6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dimethyl-4-morpholin-4-yl-phenyl)-1H-benzoimidazole;
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(3,5-dichloro-pyridin-4-yl)-1H-benzoimidazole;
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dimethyl-phenyl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(4,5-diphenyl-oxazol-2-yl)-1H-benzoimidazole;
6-[5-(4-chlorophenyl)-4-methyloxazol-2-yl]-2-(2,6-dichlorophenyl)-1H-benzimidazole;
6-[5-(4-chlorophenyl)-oxazol-2-yl]-2-(2,6-dichlorophenyl)-1H-benzoimidazole;
6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-2-(2,6-dichloro-4-morpholin-4-yl-phenyl)-1H-benzoimidazole;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-pyridin-4-yl-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-pyridin-3-yl-amine;
Adamantan-1-yl-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
Bicyclo[2.2.1]hept-2-yl-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
2-(2,6-Dichloro-phenyl)-6-(5-morpholin-4-yl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(5-piperidin-1-yl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
2-(2,6-Dichloro-phenyl)-6-(5-pyrrolidin-1-yl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazole;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-m-tolyl-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-phenyl-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(3-methoxy-phenyl)-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(6-methyl-pyridin-3-yl)-amine;
(6-Chloro-pyridin-3-yl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(6-methoxy-pyridin-3-yl)-amine;
(3-Chloro-phenyl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;

{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(2-methyl-pyridin-4-yl)-amine;
(2-Chloro-pyridin-4-yl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(6-trifluoromethyl-pyridin-3-yl)-amine;
3,5-Dimethyl-4-{6-[5-(4-trifluoromethyl-phenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-phenol;
(2-tert-Butyl-pyridin-4-yl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(5-fluoro-pyridin-2-yl)-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(4-methyl-pyridin-3-yl)-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(2-methoxy-pyridin-3-yl)-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(5-trifluoromethyl-pyridin-2-yl)-amine;
3-{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-ylamino}-benzonitrile;
3,5-Dimethyl-4-{6-[5-(3-trifluoromethyl-phenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-phenol;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-(6-morpholin-4-yl-pyridin-3-yl)-amine;
(5-Chloro-pyridin-2-yl)-{5-[2-(2,6-dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-amine;
{5-[2-(2,6-Dichloro-phenyl)-3H-benzoimidazol-5-yl]-[1,3,4]oxadiazol-2-yl}-quinolin-2-yl-amine;
(4-{6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenoxy)-acetic acid;
(3,5-Dichloro-4-{6-[5-(4-chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-phenoxy)-acetic acid;
3-(4-{6-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propionic acid;

3-(4-{6-[5-(3-Chlorophenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid;
3-(4-{6-[5-(4-methoxyphenylamino)-[1,3,4]oxadiazol-2-yl]-1H-benzimidazol-2-yl}-3,5-dimethylphenyl)-propionic acid;
3-(4-{6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propionic acid;
3-(4-{5-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid;
[3-(4-{6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propyl]-phosphonic acid;
(3-{3,5-Dimethyl-4-[6-(5-phenyl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazol-2-yl]-phenyl}-propyl)-phosphonic acid;
[3-(4-{6-[5-(4-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-propyl]-phosphonic acid;
3-{4-[6-(5-methoxy-[1,3,4]oxadiazol-2-yl)-1H-indol-2-yl]-3,5-dimethylphenyl}-propionic acid;
3-(3,5-Dichloro-4-{6-[5-(4-chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-phenyl)-propionic acid;
3-{3,5-Dimethyl-4-[6-(5-o-tolyl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazol-2-yl]-phenyl}-2,2-dimethyl-propionic acid;
3-(4-{6-[5-(4-Chloro-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-indol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid;
3-{4-[6-(5-Cyclohexyl-[1,3,4]oxadiazol-2-yl)-1H-benzoimidazol-2-yl]-3,5-dimethyl-phenyl}-2,2-dimethyl-propionic acid;
3-(4-{6-[5-(2-Methoxy-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid;
3-(4-{6-[5-(4-Methoxy-2-methyl-phenyl)-[1,3,4]oxadiazol-2-yl]-1H-benzoimidazol-2-yl}-3,5-dimethyl-phenyl)-2,2-dimethyl-propionic acid;
or any pharmaceutically acceptable salt or prodrug thereof.

Figure 1.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CTP
Monoacylglycerol
MGAT
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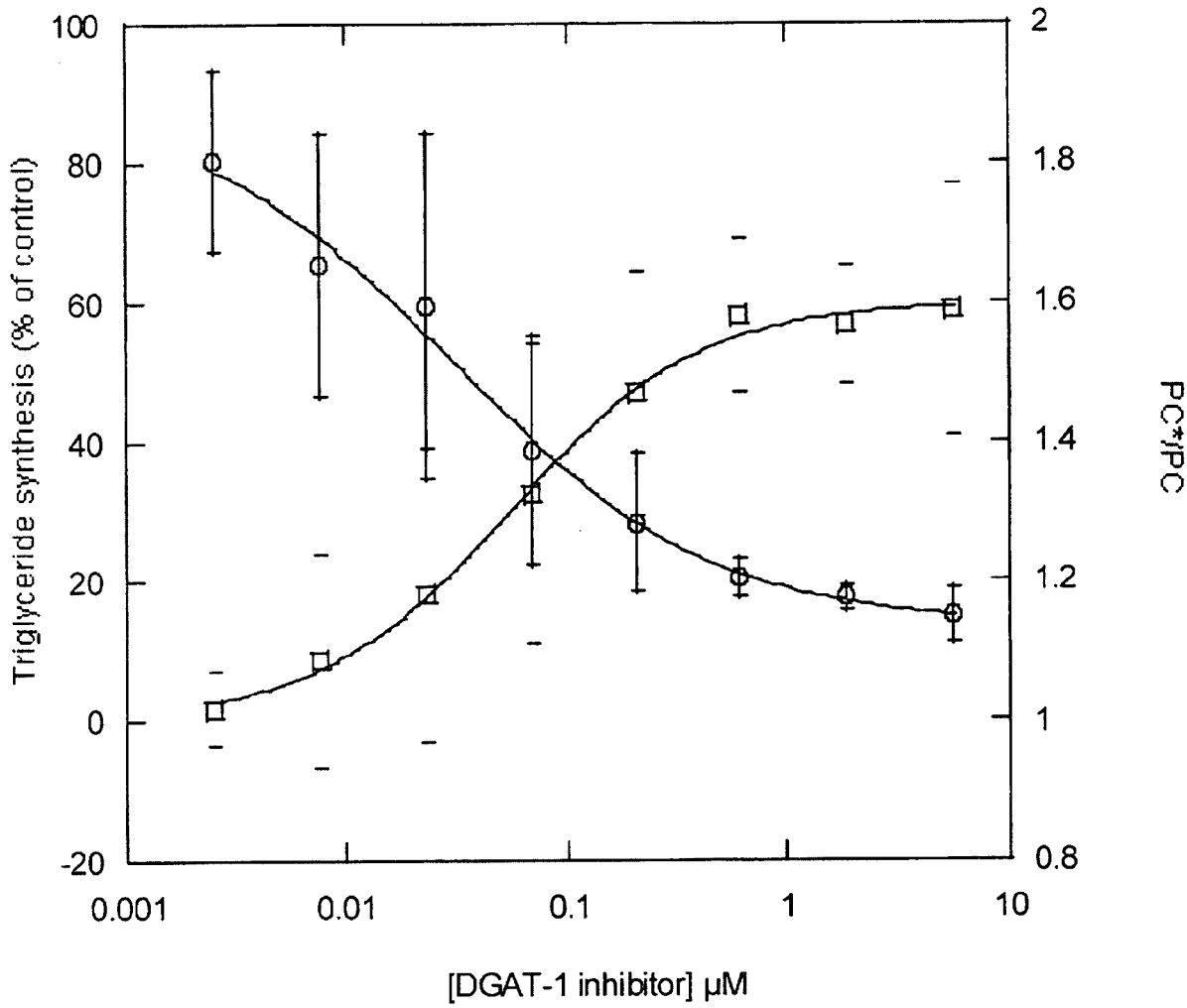
Lipin
Phosphatidic Acid
LPAAT
Lysophosphatidic Acid
GPAT
Glycerol-3-phosphate
DGAT
Triacylglycerol

Figure 2: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[DGAT-1 inhibitor] µM
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80
60
40
20
0
-20
2
1.8
1.6
1.4
1.2
1
0.8
0.001
0.01
0.1
1
10

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2009/052701

A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K31/4184 A61K31/4245 A61K31/44 A61P9/10

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

B. FIELDS SEARCHED

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

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

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, BIOSIS, EMBASE, WPI Data, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	XU FRED Y ET AL: "Etomoxir mediates differential metabolic channeling of fatty acid and glycerol precursors into cardiolipin in H9c2 cells." JOURNAL OF LIPID RESEARCH, vol. 44, no. 2, February 2003 (2003-02), pages 415-423, XP002527678 ISSN: 0022-2275 abstract	1-10

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[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

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

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

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
13 May 2009	10/06/2009

Name and mailing address of the ISA/	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Fax: (+31-70) 340-3016	Steendijk, Martin

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2009/052701

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

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