

Claim No:
HP-2018-000036

IN THE HIGH COURT OF JUSTICE
BUSINESS AND PROPERTY COURTS OF ENGLAND AND WALES
INTELLECTUAL PROPERTY LIST (ChD)
PATENTS COURT

B E T W E E N:

(1) AKEBIA THERAPEUTICS INC.
(2) OTSUKA PHARMACEUTICAL COMPANY LIMITED

Claimants in HP-2018-000036

– and –

FIBROGEN, INC.

Defendant in HP-2018-000036

STATEMENT OF GROUNDS

ANNEX A

Unconditional amendments to EP (UK) 1 463 823:

1. Use of a heterocyclic carboxamide compound selected from the group consisting of pyridine carboxamides, quinoline carboxamides, isoquinoline carboxamides, cinnoline carboxamides, and beta-carboline carboxamides that inhibits hypoxia inducible factor (HIF) prolyl hydroxylase enzyme activity in the manufacture of a medicament for increasing endogenous erythropoietin in the prevention, pretreatment, or treatment of anemia associated with kidney disease.
2. A heterocyclic carboxamide compound selected from the group consisting of pyridine carboxamides, quinoline carboxamides, isoquinoline carboxamides, cinnoline carboxamides, and beta-carboline carboxamides that inhibits HIF prolyl hydroxylase enzyme activity for use in increasing endogenous erythropoietin in the prevention, pretreatment, or treatment of anemia associated with kidney disease.
- ~~3. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is associated with a condition selected from the group consisting of diabetes, cancer, ulcers, kidney disease, immunosuppressive disease, infection, and inflammation.~~
- ~~4-3. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is associated with polycystic kidney disease, chronic renal failure, diabetes, cancer, ulcers, or AIDS.~~
- ~~5-4. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is associated with microcytic anemia, hypochromic anemia, or aplastic anemia.~~
- ~~6-5. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is associated with radiation therapy, chemotherapy, kidney dialysis, or surgery.~~

~~7~~6. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is associated with aplastic anemia, autoimmune hemolytic anemia, bone marrow transplantation, Churg-Strauss syndrome, Diamond Blackfan anemia, Fanconi's anemia, Felty syndrome, graft versus host disease, hematopoietic stem cell transplantation, hemolytic uremic syndrome, myelodysplastic syndrome, nocturnal paroxysmal hemoglobinuria, osteomyelofibrosis, pancytopenia, pure red-cell aplasia, purpura Schoenlein-Henoch, sideroblastic anemia, refractory anemia with excess of blasts, rheumatoid arthritis, Shwachman syndrome, sickle cell disease, thalassemia major, thalassemia minor, thrombocytopenic purpura.

~~8~~7. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is associated with blood loss.

~~9~~8. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is associated with chronic kidney disease.

~~40~~9. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is of an HIV-infected patient being treated with zidovudine or other reverse transcriptase inhibitors.

~~44~~10. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is of a cancer patient receiving cyclic cisplatin- or non-cisplatin-containing chemotherapy.

~~42~~11. The use of claim 1, or the compound of claim 2 for the use of claim 2, wherein the anemia is of a patient scheduled to undergo elective, noncardiac, nonvascular surgery.

~~43~~12. The use according to any one of claims 1 and ~~3-11~~42, or the compound according to any one of claims ~~2-11~~42 for the use of that claim, wherein the medicament or compound is for oral administration.

~~14-13~~. The use according to any one of claims 1 and ~~3-1243~~, or the compound according to any one of claims ~~2-1243~~ for the use of that claim, wherein the medicament or compound is for transdermal administration.

~~15-14~~. The use according to any one of claims 1 and ~~3-1344~~, or the compound according to any one of claims ~~2-1344~~ for the use of that claim, wherein the medicament or compound is to be administered with an iron supplement, vitamin B₁₂, and/or folic acid.

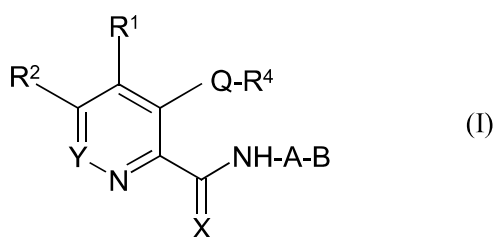
~~16-15~~. The use of claim ~~14-15~~, or the compound of claim ~~14-15~~ for the use of claim ~~14-15~~, wherein the iron supplement is ferrous sulfate.

~~17-16~~. The use according to any one of claims 1 and ~~3-1344~~, or the compound according to any one of claims ~~2-1344~~ for the use of that claim, wherein the medicament or compound is to be administered in conjunction with administration of exogenous erythropoietin.

~~18-17~~. The use of claim ~~16-17~~, or the compound of claim ~~16-17~~ for the use of claim ~~16-17~~, wherein the exogenous erythropoietin is recombinant human erythropoietin.

~~19-18~~. The use according to any one of claims 1 and ~~3-1748~~, or the compound according to any one of claims ~~2-1748~~ for the use of that claim, wherein the medicament or compound is to be administered to a human subject.

~~20-19~~. The use according to any one of claims 1, ~~and 3- or 849~~, or the compound according to any one of claims ~~2, 3 or 849~~ for the use of that claim, wherein the compound is a compound of formula (I):



wherein

A is 1,2-arylidene, 1,3-arylidene, 1,4-arylidene; or (C₁-C₄)-alkylene, optionally substituted by one or two halogen, cyano, nitro, trifluoromethyl, (C₁-C₆)-alkyl, (C₁-C₆)-hydroxyalkyl, (C₁-C₆)-alkoxy, -O-[CH₂]_x-C_fH_(2f+1-g)Hal_g, (C₁-C₆)-fluoroalkoxy, (C₁-C₈)-fluoroalkenyloxy, (C₁-C₈)-fluoroalkynyloxy, -OCF₂Cl, -O-CF₂-CHFCl; (C₁-C₆)-alkylmercapto, (C₁-C₆)-alkylsulfinyl, (C₁-C₆)-alkylsulfonyl, (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl, carbamoyl, N-(C₁-C₄)-alkylcarbamoyl, N,N-di-(C₁-C₄)-alkylcarbamoyl, (C₁-C₆)-alkylcarbonyloxy, (C₃-C₈)-cycloalkyl, phenyl, benzyl, phenoxy, benzyloxy, anilino, N-methylanilino, phenylmercapto, phenylsulfonyl, phenylsulfinyl, sulfamoyl, N-(C₁-C₄)-alkylsulfamoyl, N,N-di-(C₁-C₄)-alkylsulfamoyl; or by a substituted (C₆-C₁₂)-aryloxy, (C₇-C₁₁)-aralkyloxy, (C₆-C₁₂)-aryl, (C₇-C₁₁)-aralkyl radical, which carries in the aryl moiety one to five identical or different substituents selected from halogen, cyano, nitro, trifluoromethyl, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy, -O-[CH₂]_x-C_fH_(2f+1-g)Hal_g, -OCF₂Cl, -O-CF₂-CHFCl, (C₁-C₆)-alkylmercapto, (C₁-C₆)-alkylsulfinyl, (C₁-C₆)-alkylsulfonyl, (C₁-C₆)-alkylcarbonyl, (C₁-C₆)-alkoxycarbonyl, carbamoyl, N-(C₁-C₄)-alkylcarbamoyl, N,N-di-(C₁-C₄)-alkylcarbamoyl, (C₁-C₆)-alkylcarbonyloxy, (C₃-C₈)-cycloalkyl, sulfamoyl, N-(C₁-C₄)-alkylsulfamoyl, N,N-di-(C₁-C₄)-alkylsulfamoyl; or wherein A is -CR⁵R⁶ and R⁵ and R⁶ are each independently selected from hydrogen, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, aryl, or a substituent of the α-carbon atom of an α-amino acid, wherein the amino acid is a natural L-amino acid or its D-isomer.

B is -CO₂H, -NH₂, -NHSO₂CF₃, tetrazolyl, imidazolyl, 3-hydroxyisoxazolyl, -CONHCOR^{'''}, -CONHSOR^{'''}, CONHSO₂R^{'''}, where R^{'''} is aryl, heteroaryl, (C₃-C₇)-cycloalkyl, or (C₁-C₄)-alkyl, optionally monosubstituted by (C₆-C₁₂)-aryl, heteroaryl, OH, SH, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-thioalkyl, (C₁-C₄)-sulfinyl, (C₁-C₄)-sulfonyl, CF₃, Cl, Br, F, I, NO₂, -COOH, (C₂-C₅)-alkoxycarbonyl, NH₂, mono-(C₁-C₄)-alkyl-amino, di-(C₁-C₄)-alkyl-amino, or (C₁-C₄)-perfluoroalkyl; or wherein B is a CO₂-

G carboxyl radical, where G is a radical of an alcohol G-OH in which G is selected from (C₁-C₂₀)-alkyl radical, (C₃-C₈) cycloalkyl radical, (C₂-C₂₀)-alkenyl radical, (C₃-C₈)-cycloalkenyl radical, retinyl radical, (C₂-C₂₀)-alkynyl radical, (C₄-C₂₀)-alkenylyl radical, where the alkenyl, cycloalkenyl, alkynyl, and alkenylyl radicals contain one or more multiple bonds; (C₆-C₁₆)-carbocyclic aryl radical, (C₇-C₁₆)-carbocyclic aralkyl radical, heteroaryl radical, or heteroaralkyl radical, wherein a heteroaryl radical or heteroaryl moiety of a heteroaralkyl radical contains 5 or 6 ring atoms; and wherein radicals defined for G are substituted by one or more hydroxyl, halogen, cyano, trifluoromethyl, nitro, carboxyl, (C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkyl, (C₅-C₈)-cycloalkenyl, (C₆-C₁₂)-aryl, (C₇-C₁₆)-aralkyl, (C₂-C₁₂)-alkenyl, (C₂-C₁₂)-alkynyl, (C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkyl, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxy, (C₆-C₁₂)-aryloxy, (C₇-C₁₆)-aralkyloxy, (C₁-C₈)-hydroxyalkyl, -O-[CH₂]_x-C_fH_(2f+1-g)-F_g, -OCF₂Cl, -OCF₂-CHFCl, (C₁-C₁₂)-alkylcarbonyl, (C₃-C₈)-cycloalkylcarbonyl, (C₆-C₁₂)-arylcabonyl, (C₇-C₁₆)-aralkylcarbonyl, cinnamoyl, (C₂-C₁₂)-alkenylcarbonyl, (C₂-C₁₂)-alkynylcarbonyl, (C₁-C₁₂)-alkoxycarbonyl, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxycarbonyl, (C₆-C₁₂)-aryloxycarbonyl, (C₇-C₁₆)-aralkoxycarbonyl, (C₃-C₈)-cycloalkoxycarbonyl, (C₂-C₁₂)-alkenyloxycarbonyl, (C₂-C₁₂)-alkynyloxycarbonyl, acyloxy, (C₁-C₁₂)-alkoxycarbonyloxy, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxycarbonyloxy, (C₆-C₁₂)-aryloxycarbonyloxy, (C₇-C₁₆)-aralkyloxycarbonyloxy, (C₃-C₈)-cycloalkoxycarbonyloxy, (C₂-C₁₂)-alkenyloxycarbonyloxy, (C₂-C₁₂)-alkynyloxycarbonyloxy, carbamoyl, N-(C₁-C₁₂)-alkylcarbamoyl, N,N-di(C₁-C₁₂)-alkylcarbamoyl, N-(C₃-C₈)-cycloalkyl-carbamoyl, N-(C₆-C₁₆)-arylcabamoyl, N-(C₇-C₁₆)-aralkylcarbamoyl, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₆)-arylcabamoyl, N-(C₁-C₁₀)-alkyl-N-(C₇-C₁₆)-aralkylcarbamoyl, N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₆-C₁₆)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyl, carbamoyloxy, N-(C₁-C₁₂)-alkylcarbamoyloxy, N,N-di-(C₁-C₁₂)-alkylcarbamoyloxy, N-(C₃-C₈)-

cycloalkylcarbamoxyloxy, N-(C₆-C₁₂)-arylcarbamoxyloxy, N-(C₇-C₁₆)-
aralkylcarbamoxyloxy, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₂)-arylcarbamoxyloxy, N(C₁-C₁₀)-alkyl-
N-(C₇-C₁₆)-aralkylcarbamoxyloxy, N-((C₁-C₁₀)-alkyl)-carbamoxyloxy, N-((C₆-C₁₂)-
aryloxy-(C₁-C₁₀)-alkyl)-carbamoxyloxy, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-
carbamoxyloxy, N-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoxyloxy, N-
(C₁-C₁₀)-alkyl-N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoxyloxy, N-(C₁-C₁₀)-alkyl-N-
((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoxyloxy, amino, (C₁-C₁₂)-alkylamino, di-(C₁-
C₁₂)-alkylamino, (C₃-C₈)-cycloalkylamino, (C₂-C₁₂)-alkenylamino, (C₂-C₁₂)-
alkynylamino, N-(C₆-C₁₂)-arylamino, N-(C-C₁₁)-aralkylamino, N-alkyl-aralkylamino, N-
alkyl-arylamino, (C₁-C₁₂)-alkoxyamino, (C₁-C₁₂)-alkoxy-N-(C₁-C₁₀)-alkylamino, (C₁-
C₁₂)-alkylcarbonylamino, (C₃-C₈)-cycloalkylcarbonylamino, (C₆-C₁₂)
arylcarbonylamino, (C₇-C₁₆)-aralkylcarbonylamino, (C₁-C₁₂)-alkylcarbonyl-N-(C₁-C₁₀)-
alkylamino, (C₃-C₈)-cycloalkylcarbonyl-N-(C₁-C₁₀)-alkylamino, (C₆-C₁₂)-arylcarbonyl-
N-(C₁-C₁₀)alkylamino, (C₇-C₁₁)-aralkylcarbonyl-N-(C₁-C₁₀)-alkylamino, (C₁-C₁₂)-
alkylcarbonylamino-(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkylcarbonylamino-(C₁-C₈)alkyl, (C₆-
C₁₂)-arylcarbonylamino-(C₁-C₈)-alkyl, (C₇-C₁₂)-aralkylcarbonylamino(C₁-C₈)-alkyl,
amino-(C₁-C₁₀)-alkyl, N-(C₁-C₁₀) alkylamino-(C₁-C₁₀)-alkyl, N.N-di-(C₁-C₁₀)-
alkylamino-(C₁-C₁₀)-alkyl, (C₃-C₈)cycloalkylamino-(C₁-C₁₀)-alkyl, (C₁-C₁₂)-
alkylmercapto, (C₁-C₁₂)-alkylsulfinyl, (C₁-C₁₂)-alkylsulfonyl, (C₆-C₁₆)-arylmercapto,
(C₆-C₁₆)-arylsulfinyl, (C₆-C₁₂)-arylsulfonyl, (C₇-C₁₆)-aralkylmercapto, (C₇-C₁₆)-
aralkylsulfinyl, (C₇-C₁₆)-aralkylsulfonyl, sulfamoyl, N-(C₁-C₁₀)-alkylsulfamoyl, N.N-
di(C₁-C₁₀)-alkylsulfamoyl, (C₃-C₈)-cycloalkylsulfamoyl, N-(C₆-C₁₂)-alkylsulfamoyl, N-
(C₇-C₁₆)-aralkylsulfamoyl, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₂)-arylsulfamoyl, N-(C₁-C₁₀)-alkyl-
N-(C₇-C₁₆)-aralkylsulfamoyl, (C₁-C₁₀)-alkylsulfonamido, N-((C₁-C₁₀)-alkyl)-(C₁-C₁₀)-
alkylsulfonamido, (C₇-C₁₆)-aralkylsulfonamido, or N-((C₁-C₁₀)-alkyl)-(C₇-C₁₆)-
aralkylsulfonamido; wherein radicals which are aryl or contain an aryl moiety, may be
substituted on the aryl by one to five identical or different hydroxyl, halogen, cyano,
trifluoromethyl, nitro, carboxyl, (C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkyl, (C₆-C₁₂)-aryl, (C₇-

C₁₆)-aralkyl, (C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)alkyl, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)alkoxy, (C₆-C₁₂)-aryloxy, (C₇-C₁₆)-aralkyloxy, (C₁-C₈)-hydroxyalkyl, (C₁-C₁₂)-alkylcarbonyl, (C₃-C₈)-cycloalkyl-carbonyl, (C₆-C₁₂)-arylcabonyl, (C₇-C₁₆) aralkylcarbonyl, (C₁-C₁₂)-alkoxycarbonyl, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxycarbonyl, (C₆-C₁₂)-aryloxycarbonyl, (C₇-C₁₆)-aralkoxycarbonyl, (C₃-C₈)-cycloalkoxycarbonyl, (C₂-C₁₂)-alkenyloxycarbonyl, (C₂-C₁₂)-alkynyloxycarbonyl, (C₁-C₁₂)-alkylcarbonyloxy, (C₃-C₈)-cycloalkylcarbonyloxy, (C₆-C₁₂)-arylcabonyloxy, (C₇-C₁₆)-aralkylcarbonyloxy, cinnamoyloxy, (C₂-C₁₂)-alkenylcarbonyloxy, (C₂-C₁₂)-alkynylcarbonyloxy, (C₁-C₁₂)-alkoxycarbonyloxy, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxycarbonyloxy, (C₆-C₁₂)-aryloxycarbonyloxy, (C₇-C₁₆)-aralkyloxycarbonyloxy, (C₃-C₈)-cycloalkoxycarbonyloxy, (C₂-C₁₂)-alkenyloxycarbonyloxy, (C₂-C₁₂)-alkynyloxycarbonyloxy, carbamoyl, N-(C₁-C₁₂)-alkylcarbamoyl, N.N-di-(C₁-C₁₂)-alkylcarbamoyl, N-(C₃-C₈)-cycloalkylcarbamoyl, N-(C₆-C₁₂)-arylcabamoyl, N-(C₇-C₁₆)-aralkylcarbamoyl, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₂)-arylcabamoyl, N-(C₁-C₁₀)-alkyl-N-(C₇-C₁₆)-aralkylcarbamoyl, N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyl, carbamoyloxy, N-(C₁-C₁₂)-alkylcarbamoyloxy, N.N-di-(C₁-C₁₂)-alkylcarbamoyloxy, N-(C₃-C₈)-cycloalkylcarbamoyloxy, N-(C₆-C₁₂)-arylcabamoyloxy, N-(C₇-C₁₆)-aralkylcarbamoyloxy, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₂)-arylcabamoyloxy, N(C₁-C₁₀)-alkyl-N-(C₇-C₁₆)-aralkylcarbamoyloxy, N-((C₁-C₁₀)-alkyl)-carbamoyloxy, N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, amino, (C₁-C₁₂)-alkylamino, di-(C₁-C₁₂)-alkylamino, (C₃-C₈)-cycloalkylamino, (C₃-C₁₂)-alkenylamino, (C₃-C₁₂)-alkynylamino, N-(C₆-C₁₂)-arylamino, N-(C₇-C₁₁)-aralkylamino, N-alkylaralkylamino, N-

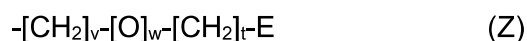
alkyl-arylamino, (C₁-C₁₂)-alkoxyamino, (C₁-C₁₂)-alkoxy-N-(C₁-C₁₀)-alkylamino, (C₁-C₁₂)-alkylcarbonylamino, (C₃-C₈)-cycloalkylcarbonylamino, (C₆-C₁₂)-arylcabonylamino, (C₇-C₁₆)-alkylcarbonylamino, (C₁-C₁₂)-alkylcarbonyl-N-(C₁-C₁₀)-alkylamino, (C₃-C₈)-cycloalkylcarbonyl-N-(C₁-C₁₀)-alkylamino, (C₆-C₁₂)-arylcabonyl-N-(C₁-C₁₀)-alkylamino, (C₇-C₁₁)-aralkylcarbonyl-N-(C₁-C₁₀)-alkylamino, (C₁-C₁₂)-alkylcarbonylamino-(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkylcarbonylamino-(C₁-C₈)-alkyl, (C₆-C₁₂)-arylcabonylamino-(C₁-C₈)-alkyl, (C₇-C₁₆)-aralkylcarbonylamino-(C₁-C₈)-alkyl, amino-(C₁-C₁₀)-alkyl, N-(C₁-C₁₀)-alkylamino-(C₁-C₁₀)alkyl, N.N-di-(C₁-C₁₀)-alkylamino-(C₁-C₁₀)-alkyl, (C₃-C₈)-cycloalkylamino-(C₁-C₁₀)-alkyl, (C₁-C₁₂)-alkylmercapto, (C₁-C₁₂)-alkylsulfinyl, (C₁-C₁₂)-alkylsulfonyl, (C₆-C₁₂)-arylmercapto, (C₆-C₁₂)-arylsulfinyl, (C₆-C₁₂)-arylsulfonyl, (C₇-C₁₆)-aralkylmercapto, (C₇-C₁₆)-aralkylsulfinyl, or (C₇-C₁₆)-aralkylsulfonyl;

X is O or S;

Q is O, S, NR', or a bond;

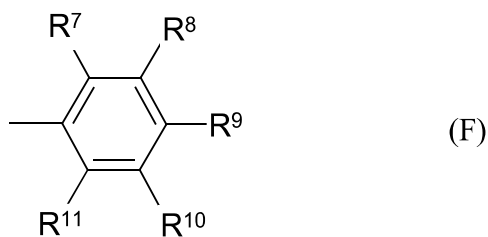
where, if Q is a bond, R⁴ is halogen, nitrile, or trifluoromethyl;

or where, if Q is O, S, or NR', R⁴ is hydrogen, (C₁-C₁₀)-alkyl radical, (C₂-C₁₀)-alkenyl radical, (C₂-C₁₀)-alkynyl radical, wherein alkenyl or alkynyl radical contains one or two C-C multiple bonds; unsubstituted fluoroalkyl radical of the formula -[CH₂]_x-C_fH_(2f+1-g)-F_g, (C₁-C₈)-alkoxy-(C₁-C₆)-alkyl radical, (C₁-C₆)-alkoxy-(C₁-C₄)-alkoxy-(C₁-C₄)-alkyl radical, aryl radical, heteroaryl radical, (C₇-C₁₁)-aralkyl radical, or a radical of the formula Z



where

E is a heteroaryl radical, a (C₃-C₈)-cycloalkyl radical, or a phenyl radical of the formula F



v is 0-6,

w is 0 or 1,

t is 0-3, and

R^7 , R^8 , R^9 , R^{10} , and R^{11} are identical or different and are hydrogen, halogen, cyano, nitro, trifluoromethyl, (C₁-C₆)-alkyl, (C₃-C₈)-cycloalkyl, (C₁-C₆)-alkoxy, -O-[CH₂]_x-C_fH_(2f+1-g)-F_g, -OCF₂-Cl, -O-CF₂-CHFCl, (C₁-C₆)-alkylmercapto, (C₁-C₆)-hydroxyalkyl, (C₁-C₆)-alkoxy-(C₁-C₆)-alkoxy, (C₁-C₆)-alkoxy-(C₁-C₆)-alkyl, (C₁-C₆)-alkylsulfinyl, (C₁-C₆)-alkylsulfonyl, (C₁-C₆)-alkylcarbonyl, (C₁-C₈)-alkoxycarbonyl, carbamoyl, N-(C₁-C₈)-alkylcarbamoyl, N,N-di-(C₁-C₈)-alkylcarbamoyl, or (C₇-C₁₁)-aralkylcarbamoyl, optionally substituted by fluorine, chlorine, bromine, trifluoromethyl, (C₁-C₆)-alkoxy, N-(C₃-C₈)-cycloalkylcarbamoyl, N-(C₃-C₈)-cycloalkyl-(C₁-C₄)-alkylcarbamoyl, (C₁-C₆)-alkylcarbonyloxy, phenyl, benzyl, phenoxy, benzyloxy, NR^yR^z wherein R^y and R^z are independently selected from hydrogen, (C₁-C₁₂)-alkyl, (C₁-C₈)-alkoxy-(C₁-C₈)-alkyl, (C₇-C₁₂)-aralkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy-(C₁-C₈)-alkyl, (C₃-C₁₀)-cycloalkyl, (C₃-C₁₂)-alkenyl, (C₃-C₁₂)-alkynyl, (C₆-C₁₂)-aryl, (C₇-C₁₁)-aralkyl, (C₁-C₁₂)-alkoxy, (C₇-C₁₂)-aralkoxy, (C₁-C₁₂)-alkylcarbonyl, (C₃-C₈)-cycloalkylcarbonyl, (C₆-C₁₂)-arylcabonyl, (C₇-C₁₆)-aralkylcarbonyl; or further wherein R^y and R^z together are -[CH₂]_n, in which a CH₂ group can be replaced by O, S, N-(C₁-C₄)-alkylcarbonylimino, or N-(C₁-C₄)-alkoxycarbonylimino; phenylmercapto, phenylsulfonyl, phenylsulfinyl, sulfamoyl, N-(C₁-C₈)-alkylsulfamoyl, or N, N-di-(C₁-C₈)-alkylsulfamoyl; or alternatively R⁷ and R⁸, R⁸ and R⁹, R⁹ and R¹⁰, or R¹⁰ and R¹¹, together are a chain selected from -[CH₂]_n- or -CH=CH-CH=CH-, where a CH₂ group

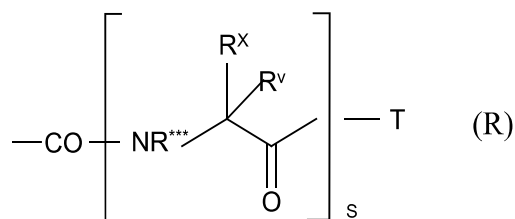
of the chain is optionally replaced by O, S, SO, SO₂, or NR^Y; and n is 3, 4, or 5; and if E is a heteroaryl radical, said radical can carry 1-3 substituents selected from those defined for R⁷-R¹¹, or if E is a cycloalkyl radical, the radical can carry one substituent selected from those defined for R⁷-R¹¹;

or where, if Q is NR', R⁴ is alternatively R'', where R' and R'' are identical or different and are hydrogen, (C₆-C₁₂)-aryl, (C₇-C₁₁)-aralkyl, (C₁-C₈)-alkyl, (C₁-C₈)-alkoxy-(C₁-C₈)-alkyl, (C₇-C₁₂)-aralkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy-(C₁-C₈)-alkyl, (C₁-C₁₀)-alkylcarbonyl, optionally substituted (C₇-C₁₆)-aralkylcarbonyl, or optionally substituted C₆-C₁₂-arylcarbonyl; or R' and R'' together are -[CH₂]_h, in which a CH₂ group can be replaced by O, S, N-acylimino, or N-(C₁-C₁₀)-alkoxycarbonylimino, and h is 3 to 7.

Y is N or CR³;

R¹, R² and R³ are identical or different and are hydrogen, hydroxyl, halogen, cyano, trifluoromethyl, nitro, carboxyl, (C₁-C₂₀)-alkyl, (C₃-C₈)-cycloalkyl, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyloxy-(C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkyloxy-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₆)-alkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, (C₃-C₈)-cycloalkyloxy-(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, (C₃-C₈)-cycloalkoxy-(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, (C₆-C₁₂)-aryl, (C₇-C₁₆)-aralkyl, (C₇-C₁₆)-aralkenyl, (C₇-C₁₆)-aralkynyl, (C₂-C₂₀)-alkenyl, (C₂-C₂₀)-alkynyl, (C₁-C₂₀)-alkoxy, (C₂-C₂₀)-alkenyloxy, (C₂-C₂₀)-alkynyloxy, retinyloxy, (C₁-C₂₀)-alkoxy-(C₁-C₁₂)-alkyl, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy-(C₁-C₈)-alkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy, (C₇-C₁₆)-aralkyloxy, (C₆-C₁₂)-aryloxy-(C₁-C₆)-alkoxy, (C₇-C₁₆)-aralkoxy-(C₁-C₆)-alkoxy, (C₁-C₁₆)-hydroxyalkyl, (C₆-C₁₆)-aryloxy-(C₁-C₈)-alkyl, (C₇-C₁₆)-aralkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy-(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, (C₇-C₁₂)-aralkyloxy-(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, (C₂-C₂₀)-alkenyloxy-(C₁-C₆)-alkyl, (C₂-C₂₀)-alkynyloxy-(C₁-C₆)-alkyl, retinyloxy-(C₁-C₆)-alkyl, -O-[CH₂]_xCfH_(2f+1-g)F_g, -OCF₂Cl, -OCF₂-CHFCl, (C₁-C₂₀)-alkylcarbonyl, (C₃-C₈)-cycloalkylcarbonyl, (C₆-C₁₂)-arylcarbonyl, (C₇-C₁₆)-aralkylcarbonyl, cinnamoyl, (C₂-

C₂₀)-alkenylcarbonyl, (C₂-C₂₀)-alkynylcarbonyl, (C₁-C₂₀)-alkoxycarbonyl, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxycarbonyl, (C₆-C₁₂)-aryloxycarbonyl, (C₇-C₁₆)-aralkoxycarbonyl, (C₃-C₈)-cycloalkoxycarbonyl, (C₂-C₂₀)-alkenyloxycarbonyl, retinyloxycarbonyl, (C₂-C₂₀)-alkynyloxycarbonyl, (C₆-C₁₂)-aryloxy-(C₁-C₆)-alkoxycarbonyl, (C₇-C₁₆)-aralkoxy-(C₁-C₆)-alkoxycarbonyl, (C₃-C₈)-cycloalkyl-(C₁-C₆)-alkoxycarbonyl, (C₃-C₈)-cycloalkoxy-(C₁-C₆)-alkoxycarbonyl, (C₁-C₁₂)-alkylcarbonyloxy, (C₃-C₈)-cycloalkylcarbonyloxy, (C₆-C₁₂)-arylcarbonyloxy, (C₇-C₁₆)-aralkylcarbonyloxy, cinnamoyloxy, (C₂-C₁₂)-alkenylcarbonyloxy, (C₂-C₁₂)-alkynylcarbonyloxy, (C₁-C₁₂)-alkoxycarbonyloxy, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxycarbonyloxy, (C₆-C₁₂)-aryloxycarbonyloxy, (C₇-C₁₆)-aralkyloxycarbonyloxy, (C₃-C₈)-cycloalkoxycarbonyloxy, (C₂-C₁₂)-alkenyloxycarbonyloxy, (C₂-C₁₂)-alkynyloxycarbonyloxy, carbamoyl, N-(C₁-C₁₂)-alkylcarbamoyl, N,N-di-(C₁-C₁₂)-alkylcarbamoyl, N-(C₃-C₈)-cycloalkylcarbamoyl, N,N-dicyclo-(C₃-C₈)-alkylcarbamoyl, N-(C₁-C₁₀)-alkyl-N-(C₃-C₈)-cycloalkylcarbamoyl, N-((C₃-C₈)-cycloalkyl-(C₁-C₆)-alkyl)-carbamoyl, N-(C₁-C₆)-alkyl-N-((C₃-C₈)-cycloalkyl-(C₁-C₆)-alkyl)-carbamoyl, N-(+)-dehydroabietylcarbamoyl, N-(C₁-C₆)-alkyl-N-(+)-dehydroabietylcarbamoyl, N-(C₆-C₁₂)-arylcarbamoyl, N-(C₇-C₁₆)-aralkylcarbamoyl, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₆)-arylcarbamoyl, N-(C₁-C₁₀)-alkyl-N-(C₇-C₁₆)-aralkylcarbamoyl, N-((C₁-C₁₈)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-((C₆-C₁₆)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyl; CON(CH₂)_h, in which a CH₂ group can be replaced by O, S, N-(C₁-C₈)-alkylimino, N-(C₃-C₈)-cycloalkylimino, N-(C₃-C₈)-cycloalkyl-(C₁-C₄)-alkylimino, N-(C₆-C₁₂)-arylimino, N-(C₇-C₁₆)-aralkylimino, N-(C₁-C₄)-alkoxy-(C₁-C₆)-alkylimino, and h is from 3 to 7; a carbamoyl radical of the formula R



in which

R^{x} and R^{v} are each independently selected from hydrogen, (C₁-C₆)-alkyl, (C₃-C₇)-cycloalkyl, aryl, or the substituent of an α -carbon of an α -amino acid, to which the L- and D-amino acids belong,

s is 1-5,

T is OH, or NR^*R^{**} , and R^* , R^{**} and $\text{R}^{\text{***}}$ are identical or different and are selected from hydrogen, (C₆-C₁₂)-aryl, (C₇-C₁₁)-aralkyl, (C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, (+)-dehydroabietyl, (C₁-C₈)-alkoxy-(C₁-C₈)-alkyl, (C₇-C₁₂)-aralkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy-(C₁-C₈)-alkyl, (C₁-C₁₀)-alkanoyl, optionally substituted (C₇-C₁₆)-aralkanoyl, optionally substituted (C₆-C₁₂)-aroyl; or R^* and R^{**} together are $-\text{[CH}_2\text{]}_h$, in which a CH_2 group can be replaced by O, S, SO, SO₂, N-acylamino, N-(C₁-C₁₀)-alkoxycarbonylimino, N-(C₁-C₈)-alkylimino, N-(C₃-C₈)-cycloalkylimino, N-(C₃-C₈)-cycloalkyl-(C₁-C₄)-alkylimino, N-(C₆-C₁₂)-arylimino, N-(C₇-C₁₆)-aralkylimino, N-(C₁-C₄)-alkoxy-(C₁-C₆)-alkylimino, and h is from 3 to 7;

carbamoyloxy, N-(C₁-C₁₂)-alkylcarbamoyloxy, N,N-di-(C₁-C₁₂)-alkylcarbamoyloxy, N-(C₃-C₈)-cycloalkylcarbamoyloxy, N-(C₆-C₁₂)-arylcarbamoyloxy, N-(C₇-C₁₆)-aralkylcarbamoyloxy, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₂)-arylcarbamoyloxy, N-(C₁-C₁₀)-alkyl-N-(C₇-C₁₆)-aralkylcarbamoyloxy, N-((C₁-C₁₀)-alkyl)-carbamoyloxy, N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyloxyamino, (C₁-C₁₂)-alkylamino, di-(C₁-

C₁₂)-alkylamino, (C₃-C₈)-cycloalkylamino, (C₃-C₁₂)-alkenylamino, (C₃-C₁₂)-alkynylamino, N-(C₆-C₁₂)-arylamino, N-(C₇-C₁₁)-aralkylamino, N-alkyl-aralkylamino, N-alkyl-arylamino, (C₁-C₁₂)-alkoxyamino, (C₁-C₁₂)-alkoxy-N-(C₁-C₁₀)-alkylamino, (C₁-C₁₂)-alkanoylamino, (C₃-C₈)-cycloalkanoylamino, (C₆-C₁₂)-aroylamino, (C₇-C₁₆)-aralkanoylamino, (C₁-C₁₂)-alkanoyl-N-(C₁-C₁₀)-alkylamino, (C₃-C₈)-cycloalkanoyl-N-(C₁-C₁₀)-alkylamino, (C₆-C₁₂)-aroyl-N-(C₁-C₁₀)-alkylamino, (C₇-C₁₁)-aralkanoyl-N-(C₁-C₁₀)-alkylamino, (C₁-C₁₂)-alkanoylamino-(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkanoylamino-(C₁-C₈)-alkyl, (C₆-C₁₂)-aroylamino-(C₁-C₈)-alkyl, (C₇-C₁₆)-aralkanoylamino-(C₁-C₈)-alkyl, amino-(C₁-C₁₀)-alkyl, N-(C₁-C₁₀)-alkylamino-(C₁-C₁₀)-alkyl, N,N-di(C₁-C₁₀)-alkylamino-(C₁-C₁₀)-alkyl, (C₃-C₈)-cycloalkylamino(C₁-C₁₀)-alkyl, (C₁-C₂₀)-alkylmercapto, (C₁-C₂₀)-alkylsulfinyl, (C₁-C₂₀)-alkylsulfonyl, (C₆-C₁₂)-arylmercapto, (C₆-C₁₂)-arylsulfinyl, (C₆-C₁₂)-arylsulfonyl, (C₇-C₁₆)-aralkylmercapto, (C₇-C₁₆)-aralkylsulfinyl, (C₇-C₁₆)-aralkylsulfonyl, (C₁-C₁₂)-alkylmercapto-(C₁-C₆)-alkyl, (C₁-C₁₂)-alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₁₂)-alkylsulfonyl-(C₁-C₆)-alkyl, (C₆-C₁₂)-arylmercapto-(C₁-C₆)-alkyl, (C₆-C₁₂)-arylsulfinyl-(C₁-C₆)-alkyl, (C₆-C₁₂)-arylsulfonyl-(C₁-C₆)-alkyl, (C₇-C₁₆)-aralkylmercapto-(C₁-C₆)-alkyl, (C₇-C₁₆)-aralkylsulfinyl-(C₁-C₆)-alkyl, (C₇-C₁₆)-aralkylsulfonyl-(C₁-C₆)-alkyl, sulfamoyl, N-(C₁-C₁₀)-alkylsulfamoyl, N,N-di-(C₁-C₁₀)-alkylsulfamoyl, (C₃-C₈)-cycloalkylsulfamoyl, N-(C₆-C₁₂)-arylsulfamoyl, N-(C₇-C₁₆)-aralkylsulfamoyl, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₂)-arylsulfamoyl, N-(C₁-C₁₀)-alkyl-N-(C₇-C₁₆)-aralkylsulfamoyl, (C₁-C₁₀)-alkylsulfonamido, N-((C₁-C₁₀)-alkyl)-(C₁-C₁₀)-alkylsulfonamido, (C₇-C₁₆)-aralkylsulfonamido, and N-((C₁-C₁₀)-alkyl)-(C₇-C₁₆)-aralkylsulfonamido; where an aryl radical may be substituted by 1 to 5 substituents selected from hydroxyl, halogen, cyano, trifluoromethyl, nitro, carboxyl, (C₂-C₁₆)-alkyl, (C₃-C₈)-cycloalkyl, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyloxy-(C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkyloxy-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₈)-alkyl-(C₁-C₆)-alkoxy, (C₃-C₈)-cycloalkyl(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, (C₃-C₈)-cycloalkyloxy-(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, (C₃-C₈)-cycloalkoxy-(C₁-C₈)-alkoxy-(C₁-C₈)-alkoxy, (C₆-C₁₂)-aryl, (C₇-C₁₆)-aralkyl, (C₂-C₁₆)-

alkenyl, (C₂-C₁₂)-alkynyl, (C₁-C₁₆)-alkoxy, (C₁-C₁₆)-alkenyloxy, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkyl, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy(C₁-C₈)-alkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy, (C₇-C₁₆)-aralkyloxy, (C₆-C₁₂)-aryloxy-(C₁-C₆)-alkoxy, (C₇-C₁₆)-aralkoxy-(C₁-C₆)-alkoxy, (C₁-C₈)-hydroxyalkyl, (C₆-C₁₆)-aryloxy-(C₁-C₈)-alkyl, (C₇-C₁₆)-aralkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy-(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, (C₇-C₁₂)-aralkyloxy-(C₁-C₈)-alkoxy-(C₁-C₆)-alkyl, -O-[CH₂]_xC_fH_(2f+1-9)F_g, -OCF₂Cl, -OCF₂-CHFCl, (C₁-C₁₂)-alkylcarbonyl, (C₃-C₈)-cycloalkylcarbonyl, (C₆-C₁₂)-arylcarbonyl, (C₇-C₁₆)-aralkylcarbonyl, (C₁-C₁₂)-alkoxycarbonyl, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxycarbonyl, (C₆-C₁₂)-aryloxycarbonyl, (C₇-C₁₆)-aralkoxycarbonyl, (C₃-C₈)-cycloalkoxycarbonyl, (C₂-C₁₂)-alkenyloxycarbonyl, (C₂-C₁₂)-alkynyloxycarbonyl, (C₆-C₁₂)-aryloxy-(C₁-C₆)-alkoxycarbonyl, (C₇-C₁₆)-aralkoxy-(C₁-C₆)-alkoxycarbonyl, (C₃-C₈)-cycloalkyl-(C₁-C₆)-alkoxycarbonyl, (C₃-C₈)-cycloalkoxy-(C₁-C₆)-alkoxycarbonyl, (C₁-C₁₂)-alkylcarbonyloxy, (C₃-C₈)-cycloalkylcarbonyloxy, (C₆-C₁₂)-arylcarbonyloxy, (C₇-C₁₆)-aralkylcarbonyloxy, cinnamoyloxy, (C₂-C₁₂)-alkenylcarbonyloxy, (C₂-C₁₂)-alkynylcarbonyloxy, (C₁-C₁₂)-alkoxycarbonyloxy, (C₁-C₁₂)-alkoxy-(C₁-C₁₂)-alkoxycarbonyloxy, (C₆-C₁₂)-aryloxycarbonyloxy, (C₇-C₁₆)-aralkyloxycarbonyloxy, (C₃-C₈)-cycloalkoxycarbonyloxy, (C₂-C₁₂)-alkenyloxycarbonyloxy, (C₂-C₁₂)-alkynyloxycarbonyloxy, carbamoyl, N-(C₁-C₁₂)-alkylcarbamoyl, N,N-di(C₁-C₁₂)-alkylcarbamoyl, N-(C₃-C₈)-cycloalkylcarbamoyl, N,N-dicyclo-(C₃-C₈)-alkylcarbamoyl, N-(C₁-C₁₀)-alkyl-N-(C₃-C₈)-cycloalkylcarbamoyl, N-((C₃-C₈)-cycloalkyl-(C₁-C₆)-alkyl)carbamoyl, N-(C₁-C₆)-alkyl-N-((C₃-C₈)-cycloalkyl-(C₁-C₆)-alkyl)carbamoyl, N-(+)-dehydroabietylcarbamoyl, N-(C₁-C₆)-alkyl-N-(+)-dehydroabietylcarbamoyl, N-(C₆-C₁₂)-arylcarbamoyl, N-(C₇-C₁₆)-aralkylcarbamoyl, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₆)-arylcarbamoyl, N-(C₁-C₁₀)-alkyl-N-(C₇-C₁₆)-aralkylcarbamoyl, N-((C₁-C₁₆)-alkoxy-(C₁-C₁₀)-alkyl)carbamoyl, N-((C₆-C₁₆)-aryloxy-(C₁-C₁₀)-alkyl)carbamoyl, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)carbamoyl, N-(C₁-C₁₀)-alkyl-N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyl, CON(CH₂)_h, in which a

CH₂ group can be replaced by, O, S, N-(C₁-C₈)-alkylimino, N-(C₃-C₈)-cycloalkylimino, N-(C₃-C₈)-cycloalkyl-(C₁-C₄)-alkylimino, N-(C₆-C₁₂)-arylimino, N-(C₇-C₁₆)-aralkylimino, N-(C₁-C₄)-alkoxy-(C₁-C₆)-alkylimino, and h is from 3 to 7; carbamoyloxy, N-(C₁-C₁₂)-alkylcarbamoyloxy, N,N-di-(C₁-C₁₂)-alkylcarbamoyloxy, N-(C₃-C₈)-cycloalkylcarbamoyloxy, N-(C₆-C₁₆)-arylcarbamoyloxy, N-(C₇-C₁₆)-aralkylcarbamoyloxy, N-(C₁-C₁₀)-alkyl-N-(C₆-C₁₂)-arylcarbamoyloxy, N-(C₁-C₁₀)-alkyl-N-(C₇-C₁₆)-aralkylcarbamoyloxy, N-((C₁-C₁₀)-alkyl)carbamoyloxy, N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)carbamoyloxy, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-alkyl)carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₆-C₁₂)-aryloxy-(C₁-C₁₀)-alkyl)carbamoyloxy, N-(C₁-C₁₀)-alkyl-N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)carbamoyloxy, amino, (C₁-C₁₂)-alkylamino, di-(C₁-C₁₂)-alkylamino, (C₃-C₈)-cycloalkylamino, (C₃-C₁₂)-alkenylamino, (C₃-C₁₂)-alkynylamino, N-(C₆-C₁₂)-arylamino, N-(C₇-C₁₁)-aralkylamino, N-alkyl-aralkylamino, N-alkyl-arylamino, (C₁-C₁₂)-alkoxyamino, (C₁-C₁₂)-alkoxy-N-(C₁-C₁₀)-alkylamino, (C₁-C₁₂)-alkanoylamino, (C₃-C₈)-cycloalkanoylamino, (C₆-C₁₂)-aroylamino, (C₇-C₁₆)-aralkanoylamino, (C₁-C₁₂)-alkanoyl-N-(C₁-C₁₀)-alkylamino, (C₃-C₈)-cycloalkanoyl-N-(C₁-C₁₀)-alkylamino, (C₆-C₁₂)-aroyl-N-(C₁-C₁₀)-alkylamino, (C₇-C₁₁)-aralkanoyl-N-(C₁-C₁₀)-alkylamino, (C₁-C₁₂)-alkanoylamino-(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkanoylamino-(C₁-C₈)-alkyl, (C₆-C₁₂)-aroylamino-(C₁-C₈)-alkyl, (C₇-C₁₆)-aralkanoylamino-(C₁-C₈)-alkyl, amino-(C₁-C₁₀)-alkyl, N-(C₁-C₁₀)-alkylamino-(C₁-C₁₀)-alkyl, N,N-di-(C₁-C₁₀)-alkylamino-(C₁-C₁₀)-alkyl, (C₃-C₈)-cycloalkylamino-(C₁-C₁₀)-alkyl, (C₁-C₁₂)-alkylmercapto, (C₁-C₁₂)-alkylsulfinyl, (C₁-C₁₂)-alkylsulfonyl, (C₆-C₁₆)-arylmercapto, (C₆-C₁₆)-arylsulfinyl, (C₆-C₁₆)-arylsulfonyl, (C₇-C₁₆)-aralkylmercapto, (C₇-C₁₆)-aralkylsulfinyl, or (C₇-C₁₆)-aralkylsulfonyl;

or wherein R¹ and R², or R² and R³ form a chain [CH₂]₀, which is saturated or unsaturated by a C=C double bond, in which 1 or 2 CH₂ groups are optionally replaced by O, S, SO, SO₂, or NR', and R' is hydrogen, (C₆-C₁₂)-aryl, (C₁-C₈)-alkyl,

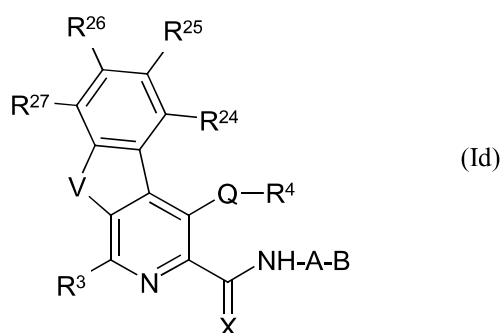
(C₁-C₈)-alkoxy-(C₁-C₈)-alkyl, (C₇-C₁₂)-aralkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy-(C₁-C₈)-alkyl, (C₁-C₁₀)-alkanoyl, optionally substituted (C₇-C₁₆)-aralkanoyl, or optionally substituted (C₆-C₁₂)-aroyl; and o is 3, 4 or 5;

or wherein the radicals R¹ and R², or R² and R³, together with the pyridine or pyridazine carrying them, form a 5,6,7,8-tetrahydroisoquinoline ring, a 5,6,7,8-tetrahydroquinoline ring, or a 5,6,7,8-tetrahydrocinnoline ring;

or wherein R¹ and R², or R² and R³ form a carbocyclic or heterocyclic 5- or 6-membered aromatic ring;

or where R¹ and R², or R² and R³, together with the pyridine or pyridazine carrying them, form an optionally substituted heterocyclic ring system selected from thienopyridines, furanopyridines, pyridopyridines, pyrimidinopyridines, imidazopyridines, thiazolopyridines, oxazolopyridines, quinoline, isoquinoline, and cinnoline;

or wherein the radicals R¹ and R², together with the pyridine carrying them, form a compound of Formula Id:



where V is S, O, or NR^k, and R^k is selected from hydrogen, (C₁-C₆)-alkyl, aryl, or benzyl; where an aryl radical may be optionally substituted by 1 to 5 substituents as defined above; and

R²⁴, R²⁵, R²⁶, and R²⁷ in each case independently of each other have the meaning of R¹, R² and R³;

f is 1 to 8;

g is 0 or 1 to (2f+1);

x is 0 to 3; and

h is 3 to 7;

or a physiologically active salt derived therefrom.

20. The use of claim 19 or the compound of claim 19 for the use of claim 19,

wherein

A is C₁-alkylene;

B is -CO₂H;

Q is O;

R⁴ is hydrogen;

X is O;

Y is CR³;

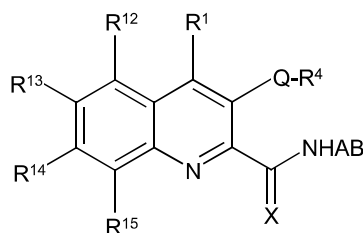
and R¹, R² and R³ are as defined above;

including physiologically active salts derived therefrom.

21. The use according to claim ~~20~~19 or the compound according to claim ~~20~~19

for the use of claim ~~20~~19, wherein R² and R³, together with the pyridine carrying

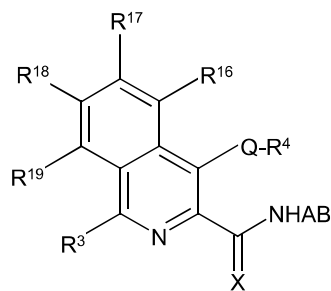
them, form an optionally substituted quinoline of formula (Ia):



(Ia)

and the substituents R¹² to R¹⁵ in each case independently of each other have the meaning of R¹, R² and R³.

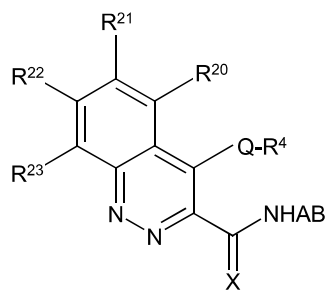
22. The use according to claim ~~20-19~~ or the compound according to claim ~~20-19~~ for the use of claim ~~20-19~~, wherein R¹ and R², together with the pyridine carrying them, form an optionally substituted isoquinoline of formula (Ib):



(Ib)

and the substituents R¹⁶ to R¹⁹ in each case independently of each other have the meaning of R¹, R² and R³.

23. The use according to claim ~~20-19~~ or the compound according to claim ~~20-19~~ for the use of claim ~~20-19~~, wherein R¹ and R², together with the pyridazine carrying them, form an optionally substituted cinnoline of formula (Ic):



(Ic)

and the substituents R²⁰ to R²³ in each case independently of each other have the meaning of R¹, R² and R³.

24. The use according to any of claims 1, 19 and 20, or the compound according to claim 2, 19 or 20 for the use of claim 2, 19, or 20, wherein the compound is a structural mimetic of 2-oxoglutarate.

24-25. The use according to claim ~~20~~19 or the compound according to claim ~~20~~19 for the use of claim ~~20~~19, wherein the compound is:

[(3-methoxy-pyridine-2-carbonyl)-amino]-acetic acid; or

3-methoxypyridine-2-carboxylic acid N-(((hexadecyloxy)-carbonyl)-methyl)-amide hydrochloride, 3-methoxypyridine-2-carboxylic acid N-(((1-octyloxy)-carbonyl)-methyl)-amide, 3-methoxypyridine-2-carboxylic acid N-(((hexyloxy)-carbonyl)-methyl)-amide, 3-methoxypyridine-2-carboxylic acid N-(((butyloxy)-carbonyl)-methyl)-amide, 3-methoxypyridine-2-carboxylic acid N-(((2-nonyloxy)-carbonyl)-methyl)-amide racemate, 3-methoxypyridine-2-carboxylic acid N-(((heptyloxy)-carbonyl)-methyl)-amide, 3-benzyloxypyridine-2-carboxylic acid N-(((octyloxy)-carbonyl)-methyl)-amide, 3-benzyloxypyridine-2-carboxylic acid N-(((butyloxy)-carbonyl)-methyl)-amide, 5-(((3-(1-butyloxy)-propyl)-amino)-carbonyl)-3-methoxypyridine-2-carboxylic acid N-(((benzyloxycarbonyl)-methyl)-amide, 5-(((3-(1-butyloxy)-propyl)-amino)-carbonyl)-3-methoxypyridine-2-carboxylic acid N-(((1-butyloxy)-carbonyl)-methyl)-amide, or 5-(((3-lauryloxy)-propyl)amino)-carbonyl)-3-methoxypyridine-2-carboxylic acid N-(((benzyloxy)-carbonyl)-methyl)-amide; or

3-hydroxypyridine-2-carboxylic acid N-(((hexadecyloxy)-carbonyl)-methyl)-amide hydrochloride, 3-hydroxypyridine-2-carboxylic acid N-(((1-octyloxy)-carbonyl)-methyl)-amide, 3-hydroxypyridine-2-carboxylic acid N-(((hexyloxy)-carbonyl)-methyl)-amide, 3-hydroxypyridine-2-carboxylic acid N-(((butyloxy)-carbonyl)-methyl)-amide, 3-hydroxypyridine-2-carboxylic acid N-(((2-nonyloxy)-carbonyl)-methyl)-amide racemate, 3-hydroxypyridine-2-carboxylic acid N-(((heptyloxy)-carbonyl)-methyl)-amide, 5-(((3-(1-butyloxy)-propyl)-amino)-carbonyl)-3-hydroxypyridine-2-carboxylic acid N-(((benzyloxycarbonyl)-methyl)-amide, 5-(((3-(1-butyloxy)-propyl)-amino)-carbonyl)-3-hydroxypyridine-2-carboxylic acid N-(((1-butyloxy)-carbonyl)-methyl)-amide, or 5-(((3-lauryloxy)-propyl)amino)-carbonyl)-3-hydroxypyridine-2-carboxylic acid N-(((benzyloxy)-carbonyl)-methyl)-amide.

~~25-26~~. The use of claim 21 or the compound according to claim 21 for the use of claim 21, wherein the compound is N-((6-(1-butyloxy)-3-hydroxyquinolin-2-yl)-carbonyl)-glycine, N-((6-chloro-3-hydroxyquinolin-2-yl)-carbonyl)-glycine, N-((3-hydroxy-6-(2-propyloxy)-quinolin-2-yl)-carbonyl)-glycine, N-((7-chloro-3-hydroxyquinoline-2-carbonyl)-amino)-acetic acid, [(3-benzyloxy-7-chloro-quinoline-2-carbonyl)-amino]-acetic acid, [(3-hydroxy-6-isopropoxy-quinoline-2-carbonyl)-amino]-acetic acid, [(3-hydroxy-6-phenoxy-quinoline-2-carbonyl)-amino]-acetic acid, or [(3-hydroxy-6-trifluoromethoxy-quinoline-2-carbonyl)-amino]-acetic acid.

~~26-27~~. The use of claim 22 or the compound according to claim 22 for the use of claim 22, wherein the compound is N-((1-chloro-4-hydroxy-7-(2-propyloxy)isoquinolin-3-yl)-carbonyl)-glycine, N-((7-bromo-4-hydroxy-isoquinoline-3-carbonyl)-amino)-acetic acid, N-((1-chloro-4-hydroxy-6-(2-propyloxy)isoquinolin-3-yl)-carbonyl)-glycine, N-((1-chloro-4-hydroxy-7-methoxyisoquinolin-3-yl)-carbonyl)-glycine, N-((1-chloro-4-hydroxy-6-methoxyisoquinolin-3-yl)-carbonyl)-glycine, [(7-butoxy-1-chloro-4-hydroxy-isoquinoline-3-carbonyl)-amino]-acetic acid, N-((7-benzyloxy-1-chloro-4-hydroxyisoquinolin-3-yl)-carbonyl)-glycine, N-((6-benzyloxy-1-chloro-4-hydroxyisoquinolin-3-yl)-carbonyl)-glycine, [(1-chloro-4-hydroxy-isoquinoline-3-carbonyl)-amino]-acetic acid, N-((8-chloro-4-hydroxyisoquinolin-3-yl)-carbonyl)-glycine, [(4-hydroxy-7-isopropoxy-isoquinoline-3-carbonyl)-amino]-acetic acid, or [(7-butoxy-4-hydroxy-isoquinoline-3-carbonyl)-amino]-acetic acid.