Unconditional amendments to EP (UK) 2 322 155:

- 1. A structural mimetic of 2-oxoglutarate that inhibits hypoxia inducible factor (HIF) prolyl hydroxylase activity for use in treating anemia in a subject having a percent transferrin saturation of less than 20%.
- 2. The mimetic of claim 1 for the use of that claim, wherein the subject has a percent transferrin saturation of less than 16% in adults.
- <u>2-3</u>. The mimetic of claim 1 for the use of that claim, wherein the anemia is anemia of chronic disease associated with a condition selected from the group consisting of an inflammation, and infection, an immunodeficiency disorder, and a neoplastic disorder.
- 34. The mimetic of claim 1 for the use of that claim, wherein the anemia is anemia of chronic disease associated with a condition selected from the group consisting of autoimmune disease, microcytosis, malignancy, rheumatoid arthritis, rheumatic fever, inflammatory bowel disease, ulcerative colitis, systemic lupus erythematosus, vasculitis, and chronic infection.
- 4-<u>5</u>. The mimetic of claim 1 for the use of that claim, wherein the anemia is associated with iron deficiency.
- 5-6. The mimetic of claim 4-5 for the use of that claim, wherein the iron deficiency is functional iron deficiency.
- 6-7. The mimetic of claim 1 for the use of that claim, wherein the anemia is associated with hepatitis C virus infection, interferon-a therapy for hepatitis C virus infection, or ribavirin therapy for hepatitis C virus infection.
- 7-8. The mimetic of any one of claims 1, 4, 5 or 62, for the use of that claim, wherein the mimetic is a compound of Formula I:

$$R^2$$
 $Q-R^4$ V $NH-A-B$ X

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, (C_1-C_6) -alkoxy, $-O-[CH_2]_x-C_fH_{(2f+1-g)}Hal_g$, (C_1-C_6) -fluoroalkoxy, (C_1-C_8) fluoroalkenyloxy, (C₁-C₈)-fluoroalkynyloxy, -OCF₂Cl, -O-CF₂-CHFCl; (C₁-C₆)alkylmercapto, (C₁-C₆)-alkylsulfinyl, (C₁-C₆)-alkylsulfonyl, (C₁-C₆)-alkylcarbonyl, (C₁- C_6)-alkoxycarbonyl, carbamoyl, N-(C_1 - C_4)-alkylcarbamoyl, N,N-di-(C_1 - C_4)alkylcarbamoyl, (C_1-C_6) -alkylcarbonyloxy, (C_3-C_8) -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 (C_6 - C_{12})-aryloxy, (C_7 - C_{11})-aralkyloxy, (C_6 - C_{12})-aryl, (C_7 - C_{11})-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- $[CH_2]_x$ - $C_fH_{(2f+1-q)}Hal_q$, -OCF₂CI, -O-CF₂-CHFCI, (C₁-C₆)-alkylmercapto, (C₁-C₆)alkylsulfinyl, (C_1-C_6) -alkylsulfonyl, (C_1-C_6) -alkylcarbonyl, (C_1-C_6) -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 (C_1-C_4) -alkyl, optionally monosubstituted by (C_6-C_{12}) -aryl, heteroaryl, OH, SH, (C_1-C_4) -alkyl, (C_1-C_4) -alkoxy, (C_1-C_4) -thioalkyl, (C_1-C_4) -sulfinyl, (C_1-C_4) sulfonyl, CF₃, Cl, Br, F, I, NO2, -COOH, (C₂-C₅)-alkoxycarbonyl, NH₂, mono-(C₁-C₄alkyl)-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)-alkenynyl radical, where the alkenyl, cycloalkenyl, alkynyl, and alkenynyl 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, (C_6-C_{12}) -aryl, (C_7-C_{16}) -aralkyl, (C_2-C_{12}) -alkenyl, (C_2-C_{12}) -alkynyl, (C_1-C_{12}) -alkoxy, (C_1-C_1) -al C_{12})-alkoxy- (C_1-C_{12}) -alkyl, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) -alkoxy, (C_6-C_{12}) -aryloxy, (C_7-C_{16}) aralkyloxy, (C_1-C_8) -hydroxyalkyl, $-O-[CH_2]_x-C_tH_{(2f+1-\alpha)}-F_\alpha$, $-OCF_2CI$, $-OCF_2-CHFCI$, C₁₂)-alkylcarbonyl, (C₃-C₈)-cycloalkylcarbonyl, (C₆-C₁₂)-arylcarbonyl, (C₇-C₁₆)aralkylcarbonyl, cinnamoyl, (C₂-C₁₂)-alkenylcarbonyl, (C₂-C₁₂)-alkynylcarbonyl, (C₁- C_{12})-alkoxycarbonyl, (C_1 - C_{12})-alkoxy-(C_1 - C_{12})-alkoxycarbonyl, (C_6 - C_{12})aryloxycarbonyl, (C₇-C₁₆)-aralkoxycarbonyl, (C₃-C₈)-cycloalkoxycarbonyl, (C₂-C₁₂)alkenyloxycarbonyl, (C_2-C_{12}) -alkynyloxycarbonyl, acyloxy, (C_1-C_{12}) alkoxycarbonyloxy, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) -alkoxycarbonyloxy, (C_6-C_{12}) 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, $N-(C_6-C_{16})$ -arylcarbamoyl, $N-(C_7-C_{16})$ -aralkylcarbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-(C_6-C_{16})$ -

arylcarbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-(C_7-C_{16})$ -aralkylcarbamoyl, $N-((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) -arylcarbamoyl, $N-(C_1-C_{10})$ -alkoxy- (C_1-C_1) -arylcarbamoyl, $N-(C_1-C_1)$ -alkoxy- (C_1-C_1) -alkoxy- (C_1-C_1) -arylcarbamoyl, $N-(C_1-C_1)$ -arylcarbamoyl, $N-(C_1-C_1)$ -alkoxy- (C_1-C_1) -arylcarbamoyl, $N-(C_1-C_1)$ -alkoxy- (C_1-C_1) -arylcarbamoyl, $N-(C_1-C_1)$ -arylcarbamoyl, $N-(C_1-C_1)$ -arylcarbamoyl, $N-(C_1-C_1)$ -alkoxy- (C_1-C_1) -arylcarbamoyl, $N-(C_1-C_1)$ -arylcarbamoyl, $N-(C_$ C_{10})-alkyl)-carbamoyl, $N-((C_6-C_{12})$ -aryloxy- (C_1-C_{10}) alkyl)-carbamoyl, $N-((C_7-C_{16})$ aralkyloxy- (C_1-C_{10}) -alkyl)-carbamoyl, N- (C_1-C_{10}) -alkyl-N- $((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) alkyl)-carbamoyl, N-(C_1 - C_{10})-alkyl-N-((C_6 - C_{16})-aryloxy-(C_1 - C_{10})-alkyl)-carbamoyl, N- (C_1-C_{10}) -alkyl-N- $((C_7-C_{16})$ -aralkyloxy- (C_1-C_{10}) -alkyl)-carbamoyl, carbamoyloxy, N- (C_1-C_{10}) -alkyl-N- (C_1-C_1) -C₁₂)-alkylcarbamoyloxy, N.N-di-(C₁-C₁₂)-alkylcarbamoyloxy, N-(C₃-C₈)cycloalkylcarbamoyloxy, N-(C₆-C₁₂)-arylcarbamoyloxy, N-(C₇-C₁₆)aralkylcarbamoyloxy, $N-(C_1-C_{10})$ -alkyl- $N-(C_6-C_{12})$ -arylcarbamoyloxy, $N(C_1-C_{10})$ -alkyl- $N-(C_7-C_{16})$ -aralkylcarbamoyloxy, $N-((C_1-C_{10})$ -alkyl)-carbamoyloxy, $N-((C_6-C_{12})$ aryloxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, N- $((C_7-C_{16})$ -aralkyloxy- (C_1-C_{10}) -alkyl)carbamoyloxy, $N-(C_1-C_{10})$ -alkyl- $N-((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_1)$ -alkyl- (C_1-C_{10}) -alkyl-N- $((C_6-C_{12})$ -aryloxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, N- (C_1-C_{10}) -alkyl-N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)-carbamoyloxy, amino, (C₁-C₁₂)-alkylamino, di-(C₁- C_{12})-alkylamino, (C_3 - C_8)-cycloalkylamino, (C_2 - C_{12})-alkenylamino, (C_2 - C_{12})alkynylamino, N-(C₆-C₁₂)-arylamino, N-(C-C₁₁)-aralkylamino, N-alkyl-aralkylamino, Nalkyl-arylamino, (C_1-C_{12}) -alkoxyamino, (C_1-C_{12}) -alkoxy-N- (C_1-C_{10}) -alkylamino, (C_1-C_{12}) -alkoxy-N- (C_1-C_{10}) -alkylamino, (C_1-C_{12}) -alkoxy-N- (C_1-C_{12}) -alkylamino, (C_1-C_{12}) -alkoxy-N- (C_1-C_{12}) -alkylamino, (C_1-C_{12}) C_{12})-alkylcarbonylamino, (C_3 - C_8)-cycloalkylcarbonylamino, (C_6 - C_{12}) arylcarbonylamino, (C₇-C₁₆)-aralkylcarbonylamino, (C₁-C₁₂)-alkylcarbonyl-N-(C₁-C₁₀)alkylamino, (C₃-C₈)-cycloalkylcarbonyl-N-(C₁-C₁₀)-alkylamino, (C₆-C₁₂)-arylcarbonyl- $N-(C_1-C_{10})$ alkylamino, (C_7-C_{11}) -aralkylcarbonyl- $N-(C_1-C_{10})$ -alkylamino, (C_1-C_{12}) alkylcarbonylamino-(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkylcarbonylamino-(C₁-C₈)alkyl, (C₆- C_{12})-arylcarbonylamino- (C_1-C_8) -alkyl, (C_7-C_{12}) -aralkylcarbonylamino (C_1-C_8) -alkyl, amino- (C_1-C_{10}) -alkyl, N- (C_1-C_{10}) alkylamino- (C_1-C_{10}) -alkyl, N.N-di- (C_1-C_{10}) alkylamino- (C_1-C_{10}) -alkyl, (C_3-C_8) cycloalkylamino- (C_1-C_{10}) -alkyl, (C_1-C_{12}) alkylmercapto, (C_1-C_{12}) -alkylsulfinyl, (C_1-C_{12}) -alkylsulfonyl, (C_6-C_{16}) -arylmercapto, (C_6-C_{16}) -arylsulfinyl, (C_6-C_{12}) -arylsulfonyl, (C_7-C_{16}) -aralkylmercapto, (C_7-C_{16}) aralkylsulfinyl, (C₇-C₁₆)-aralkylsulfonyl, sulfamoyl, N-(C₁-C₁₀)-alkylsulfamoyl, N.Ndi(C₁-C₁₀)-alkylsulfamoyl, (C₃-C₈)-cycloalkylsulfamoyl, N-(C₆-C₁₂)-alkylsulfamoyl, N-

 (C_7-C_{16}) -aralkylsulfamoyl, N- (C_1-C_{10}) -alkyl-N- (C_6-C_{12}) -arylsulfamoyl, N- (C_1-C_{10}) -alkyl- $N-(C_7-C_{16})$ -aralkylsulfamoyl, (C_1-C_{10}) -alkylsulfonamido, $N-((C_1-C_{10})$ -alkyl)- (C_1-C_{10}) alkylsulfonamido, (C_7-C_{16}) -aralkylsulfonamido, or N- $((C_1-C_{10})$ -alkyl- (C_7-C_{16}) 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- C_{16})-aralkyl, (C_1-C_{12}) -alkoxy, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) alkyl, (C_1-C_{12}) -alkoxy- $(C_1$ C_{12})alkoxy, (C_6 - C_{12})-aryloxy, (C_7 - C_{16})-aralkyloxy, (C_1 - C_8)-hydroxyalkyl, (C_1 - C_{12})alkylcarbonyl, (C_3-C_8) -cycloalkyl-carbonyl, (C_6-C_{12}) -arylcarbonyl, (C_7-C_{16}) aralkylcarbonyl, (C_1-C_{12}) -alkoxycarbonyl, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) -alkoxycarbonyl, (C_6-C_{12}) -aryloxycarbonyl, (C_7-C_{16}) -aralkoxycarbonyl, (C_3-C_8) -cycloalkoxycarbonyl, (C_2-C_{12}) -alkenyloxycarbonyl, (C_2-C_{12}) -alkynyloxycarbonyl, (C_1-C_{12}) -alkylcarbonyloxy, (C_3-C_8) -cycloalkylcarbonyloxy, (C_6-C_{12}) -arylcarbonyloxy, (C_7-C_{16}) -aralkylcarbonyloxy, alkoxycarbonyloxy, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) -alkoxycarbonyloxy, (C_6-C_{12}) aryloxycarbonyloxy, (C₇-C₁₆)-aralkyloxycarbonyloxy, (C₃-C₈)-cycloalkoxycarbonyloxy, (C_2-C_{12}) -alkenyloxycarbonyloxy, (C_2-C_{12}) -alkynyloxycarbonyloxy, carbamoyl, N- (C_1-C_{12}) -alkenyloxycarbonyloxy, carbamoyl, N- (C_1-C_{12}) -alkenyloxycarbonyloxy C₁₂)-alkylcarbamoyl, N.N-di-(C₁-C₁₂)-alkylcarbamoyl, N-(C₃-C₈)-cycloalkylcarbamoyl, $N-(C_6-C_{12})$ -arylcarbamoyl, $N-(C_7-C_{16})$ -aralkylcarbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-(C_6-C_{12})$ arylcarbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-(C_7-C_{16})$ -aralkylcarbamoyl, $N-((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) -arylcarbamoyl, $N-(C_1-C_{10})$ -alkoxy- (C_1-C_1) -arylcarbamoyl, $N-(C_1-C_1)$ -alkoxy- (C_1-C_1) -alkoxy- (C_1-C_1) -arylcarbamoyl, $N-(C_1-C_1)$ -arylcarbam C_{10})-alkyl)-carbamoyl, $N-((C_6-C_{12})$ -aryloxy- (C_1-C_{10}) -alkyl)-carbamoyl, $N-((C_7-C_{16})$ aralkyloxy- (C_1-C_{10}) -alkyl)-carbamoyl, N- (C_1-C_{10}) -alkyl-N- $((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) alkyl)-carbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-((C_6-C_{12})$ -aryloxy- (C_1-C_{10}) -alkyl)-carbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_1)$ -alkyl- $N-(C_1-C_1)$ -alkyl- $N-(C_1-C_1)$ -alkyl- $N-(C_1-C_1)$ -aryloxy- $N-(C_1-C_1)$ -alkyl- $N-(C_1-C_1)$ -aryloxy- $N-(C_1-C_1)$ -alkyl- $N-(C_1-C_1)$ -aryloxy- $N-(C_1-C_1)$ -aryloxy- $N-(C_1-C_1)$ -alkyl- $N-(C_1-C_1)$ -aryloxy- $N-(C_1-C_1)$ -aryl (C_1-C_{10}) -alkyl-N- $((C_7-C_{16})$ -aralkyloxy- (C_1-C_{10}) -alkyl)-carbamoyl, carbamoyloxy, N- (C_1-C_{10}) 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- $N-(C_7-C_{16})$ -aralkylcarbamoyloxy, $N-((C_1-C_{10})$ -alkyl)-carbamoyloxy, $N-((C_6-C_{12})$ -

aryloxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, N- $((C_7-C_{16})$ -aralkyloxy- (C_1-C_{10}) -alkyl)carbamoyloxy, $N-(C_1-C_{10})$ -alkyl- $N-((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_1)$ -alkyl- (C_1-C_{10}) -alkyl-N- $((C_6-C_{12})$ -aryloxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, N- (C_1-C_{10}) -alkyl-N-C₁₂)-alkylamino, (C₃-C₈)-cycloalkylamino, (C₃-C₁₂)-alkenylamino, (C₃-C₁₂)alkynylamino, N-(C₆-C₁₂)-arylamino, N-(C₇-C₁₁)-aralkylamino, N-alkylaralkylamino, Nalkyl-arylamino, (C₁-C₁₂)-alkoxyamino, (C₁-C₁₂)-alkoxy-N-(C₁-C₁₀)-alkylamino, (C₁- C_{12})-alkylcarbonylamino, (C_3 - C_8)-cycloalkylcarbonylamino, (C_6 - C_{12})arylcarbonylamino, (C₇-C₁₆)-alkylcarbonylamino, (C₁-C₁₂)-alkylcarbonyl-N-(C₁-C₁₀)alkylamino, (C₃-C₈)-cycloalkylcarbonyl-N-(C₁-C₁₀)-alkylamino, (C₆-C₁₂)-arylcarbonyl- $N-(C_1-C_{10})$ -alkylamino, (C_7-C_{11}) -aralkylcarbonyl- $N-(C_1-C_{10})$ -alkylamino, (C_1-C_{12}) alkylcarbonylamino-(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkylcarbonylamino-(C₁-C₈)-alkyl, (C₆- C_{12})-arylcarbonylamino- (C_1-C_8) -alkyl, (C_7-C_{16}) -aralkylcarbonylamino- (C_1-C_8) -alkyl, amino- (C_1-C_{10}) -alkyl, N- (C_1-C_{10}) -alkylamino- (C_1-C_{10}) alkyl, N.N-di- (C_1-C_{10}) -alkylamino- (C_1-C_{10}) -alkyl, (C_3-C_8) -cycloalkylamino- (C_1-C_{10}) -alkyl, (C_1-C_{12}) -alkylmercapto, (C_1-C_{10}) -alkyl, (C_1-C_{12}) -alkylmercapto, (C_1-C_{10}) -alkyl, (C_1-C_{12}) -alkylmercapto, (C_1-C_{10}) -alkyl, (C_1-C_{12}) -alkylmercapto, (C_1-C_{10}) -alkylmercapto, (C_1-C_{10}) -alkylmercapto, (C_1-C_{10}) -alkylmercapto, (C_1-C_{10}) -alkylmercapto, (C_1-C_{10}) -alkylmercapto) C_{12})-alkylsulfinyl, (C_1 - C_{12})-alkylsulfonyl, (C_6 - C_{12})-arylmercapto, (C_6 - C_{12})-arylsulfinyl, (C_6-C_{12}) -arylsulfonyl, (C_7-C_{16}) -aralkylmercapto, (C_7-C_{16}) -aralkylsulfinyl, or (C_7-C_{16}) 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, (C_1-C_{10}) -alkyl radical, (C_2-C_{10}) -alkenyl radical, (C_2-C_{10}) -alkynyl radical, wherein alkenyl or alkynyl radical contains one or two C-C multiple bonds; unsubstituted fluoroalkyl radical of the formula $-[CH_2]_x-C_fH_{(2f+1-g)}-F_g$, (C_1-C_8) -alkoxy- (C_1-C_6) -alkyl radical, (C_1-C_6) -alkoxy- (C_1-C_4) -alkyl

radical, aryl radical, heteroaryl radical, (C_7-C_{11}) -aralkyl radical, or a radical of the formula Z

$$-[CH_2]_v-[O]_w-[CH_2]_t-E$$
 (Z)

where

E is a heteroaryl radical, a (C_3-C_8) -cycloalkyl radical, or a phenyl radical of the formula F

$$R^7$$
 R^8 R^9 R^{11} R^{10}

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, $(C_1\text{-}C_6)$ -alkyl, $(C_3\text{-}C_8)$ -cycloalkyl, $(C_1\text{-}C_6)$ -alkoxy, $-O\text{-}[CH_2]_x$ - $C_1H_{(2f+1-g)}\text{-}F_g$, $-OCF_2\text{-}Cl$, $-O-CF_2\text{-}CHFCl$, $(C_1\text{-}C_6)$ -alkylmercapto, $(C_1\text{-}C_6)$ -hydroxyalkyl, $(C_1\text{-}C_6)$ -alkoxy- $(C_1\text{-}C_6)$ -alkoxy- $(C_1\text{-}C_6)$ -alkoxy- $(C_1\text{-}C_6)$ -alkylsulfinyl, $(C_1\text{-}C_6)$ -alkylsulfonyl, $(C_1\text{-}C_6)$ -alkylcarbonyl, $(C_1\text{-}C_6)$ -alkylcarbamoyl, carbamoyl, $(C_1\text{-}C_6)$ -alkylcarbamoyl, or $(C_7\text{-}C_{11})$ -aralkylcarbamoyl, optionally substituted by fluorine, chlorine, bromine, trifluoromethyl, $(C_1\text{-}C_6)$ -alkoxy, $(C_1\text{-}C_6)$ -alkylcarbamoyl, $(C_1\text{-}C_6)$ -alkyl, $(C_1\text{-}C_6)$ -alkoxy- $(C_1\text{-}C_6)$ -alkyl, $(C_1\text{-}C_6)$ -alkoxy- $(C_1\text{-}C_6)$ -alkoxy-

C₁₂)aralkoxy, (C₁-C₁₂)-alkylcarbonyl, (C₃-C₈)-cycloalkylcarbonyl, (C₆-C₁₂) arylcarbonyl, (C₇-C₁₆)-aralkylcarbonyl; or further wherein R^y and R^z together are - [CH2]_h, 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, (C_6-C_{12}) -aryl, (C_7-C_{11}) -aralkyl, (C_1-C_8) -alkyl, (C_1-C_8) -alkylcarbonyl, or optionally substituted (C_7-C_{16}) -aralkylcarbonyl, or optionally substituted (C_6-C_{12}) -arylcarbonyl; or R' and R" together are $-[CH_2]_h$, in which a CH_2 group can be replaced by O, S, N-acylimino, or N- (C_1-C_{10}) -alkoxycarbonylimino, and h is 3 to 7.

 R^1 , R^2 and R^3 are identical or different and are hydrogen, hydroxyl, halogen, cyano, trifluoromethyl, nitro, carboxyl, (C_1-C_{20}) -alkyl, (C_3-C_8) -cycloalkyl, (C_3-C_8) -cycloalkyl- (C_1-C_{12}) -alkyl, (C_3-C_8) -cycloalkoxy, (C_3-C_8) -cycloalkyl- (C_1-C_{12}) -alkoxy, (C_3-C_8) -cycloalkyloxy- (C_1-C_{12}) -alkoxy, (C_3-C_8) -cycloalkyloxy- (C_1-C_{12}) -alkoxy, (C_3-C_8) -cycloalkyl- (C_1-C_8) -alkoxy- (C_1-C_6) -alkyl, (C_3-C_8) -cycloalkyl- (C_1-C_8) -alkoxy- (C_1-C_6) -alkyl, (C_3-C_8) -cycloalkyloxy- (C_1-C_8) -alkoxy- (C_1-C_8) -alkoxy, (C_2-C_{20}) -alkenyl, (C_2-C_{20}) -alkynyl, (C_1-C_2) -alkoxy, (C_2-C_2) -alkenyloxy, (C_2-C_2) -alkoxy, (C_1-C_1) -alkoxy

 (C_1-C_{12}) -alkoxy- (C_1-C_8) -alkoxy- (C_1-C_8) -alkyl, (C_6-C_{12}) -aryloxy, (C_7-C_{16}) -aralkyloxy, (C_6-C_{12}) -aryloxy- (C_1-C_6) -alkoxy, (C_7-C_{16}) -aralkoxy- (C_1-C_6) -alkoxy, (C_1-C_{16}) hydroxyalkyl, (C_6-C_{16}) -aryloxy- (C_1-C_8) -alkyl, (C_7-C_{16}) -aralkoxy- (C_1-C_8) -alkyl, (C_6-C_{12}) aryloxy- (C_1-C_8) -alkoxy- (C_1-C_6) -alkyl, (C_7-C_{12}) -aralkyloxy- (C_1-C_8) -alkoxy- (C_1-C_6) -alkyl, (C_2-C_{20}) -alkenyloxy- (C_1-C_6) -alkyl, (C_2-C_{20}) -alkynyloxy- (C_1-C_6) -alkyl, retinyloxy- (C_1-C_6) alkyl, $-O-[CH_2]_xCfH_{(2f+1-q)}F_q$, $-OCF_2Cl$, $-OCF_2-CHFCl$, (C_1-C_{20}) -alkylcarbonyl, (C_3-C_8) cycloalkylcarbonyl, (C₆-C₁₂)-arylcarbonyl, (C₇-C₁₆)-aralkylcarbonyl, cinnamoyl, (C₂- C_{20})-alkenylcarbonyl, (C_2 - C_{20})-alkynylcarbonyl, (C_1 - C_{20})-alkoxycarbonyl, (C_1 - C_{12})alkoxy- (C_1-C_{12}) -alkoxycarbonyl, (C_6-C_{12}) -aryloxycarbonyl, (C_7-C_{16}) -aralkoxycarbonyl, (C₃-C₈)-cycloalkoxycarbonyl, (C₂-C₂₀)-alkenyloxycarbonyl, retinyloxycarbonyl, (C₂-C₂₀)-alkynyloxycarbonyl, (C₆-C₁₂)-aryloxy-(C₁-C₆)-alkoxycarbonyl, (C₇-C₁₆)-aralkoxy- (C_1-C_6) -alkoxycarbonyl, (C_3-C_8) -cycloalkyl- (C_1-C_6) -alkoxycarbonyl, (C_3-C_8) cycloalkoxy- (C_1-C_6) -alkoxycarbonyl, (C_1-C_{12}) -alkylcarbonyloxy, (C_3-C_8) cycloalkylcarbonyloxy, (C₆-C₁₂)-arylcarbonyloxy, (C₇-C₁₆)-aralkylcarbonyloxy, cinnamoyloxy, (C₂-C₁₂)-alkenylcarbonyloxy, (C₂-C₁₂)-alkynylcarbonyloxy, (C₁-C₁₂)alkoxycarbonyloxy, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) -alkoxycarbonyloxy, (C_6-C_{12}) aryloxycarbonyloxy, (C_7-C_{16}) -aralkyloxycarbonyloxy, (C_3-C_8) -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- (C_3-C_8) -alkylcarbamoyl, N- (C_1-C_{10}) -alkyl-N- (C_3-C_8) -cycloalkylcarbamoyl, $N-((C_3-C_8)-cycloalkyl-(C_1-C_6)-alkyl)-carbamoyl, N-(C_1-C_6)-alkyl-N-((C_3-C_8)-cycloalkyl-n-((C_3-C_8)-cycloalkyl-n-((C_3-C_8)-cycloalkyl-n-((C_3-C_8)-cycloalkyl-n-((C_3-C_8)-cycloalkyl-n-((C_3-C_8)-cycloalkyl-n-((C_3-C_8)-cycloalkyl-n-((C_3-C_8)-alkyl-n-((C_3-C_8)-cycloalkyl-n-((C_3-C_8$ (C₁-C₆)-alkyl)-carbamoyl, N-(+)-dehydroabietylcarbamoyl, N-(C₁-C₆)-alkyl-N-(+)dehydroabietylcarbamoyl, N-(C₆-C₁₂)-arylcarbamoyl, N-(C₇-C₁₆)-aralkylcarbamoyl, N- (C_1-C_{10}) -alkyl-N- (C_6-C_{16}) -arylcarbamoyl, N- (C_1-C_{10}) -alkyl-N- (C_7-C_{16}) -aralkylcarbamoyl, $N-((C_1-C_{18})-alkoxy-(C_1-C_{10})-alkyl)-carbamoyl, N-((C_6-C_{16})-aryloxy-(C_1-C_{10})-alkyl)$ carbamoyl, $N-((C_7-C_{16})-aralkyloxy-(C_1-C_{10})-alkyl)-carbamoyl, <math>N-(C_1-C_{10})-alkyl-N-((C_1-C_{10})-alkyl)-carbamoyl, N-(C_1-C_{10})-alkyl-N-((C_1-C_{10})-alkyl)-carbamoyl, N-(C_1-C_{10})-alkyl-N-((C_1-C_{10})-alkyl)-carbamoyl, N-(C_1-C_{10})-alkyl-N-((C_1-C_{10})-alkyl)-carbamoyl, N-(C_1-C_{10})-alkyl-N-((C_1-C_{10})-alkyl)-carbamoyl, N-(C_1-C_1)-alkyl-N-((C_1-C_1)-alkyl)-carbamoyl, N-(C_1-C_1)-alkyl-N-((C_1-C_1)-alkyl)-carbamoyl, N-(C_1-C_1)-alkyl-N-((C_1-C_1)-alkyl)-carbamoyl, N-(C_1-C_1)-alkyl-N-((C_1-C_1)-alkyl)-carbamoyl, N-(C_1-C_1)-alkyl-N-($ C_{10})-alkoxy- (C_1-C_{10}) -alkyl)-carbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-((C_6-C_{12})$ -aryloxy- (C_1-C_{10}) alkyl)-carbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-((C_7-C_{16})$ -aralkyloxy- (C_1-C_{10}) -alkyl)-carbamoyl;

 $CON(CH_2)_h$, in which a CH_2 group can be replaced by O, S, N-(C_1 - C_8)-alkylimino, N-(C_3 - C_8)-cycloalkyl-(C_1 - C_4)-alkylimino, N-(C_6 - C_{12})-arylimino, N-(C_7 - C_{16})-aralkylimino, N-(C_1 - C_4)-alkoxy-(C_1 - C_6)-alkylimino, and h is from 3 to 7; a carbamoyl radical of the formula R

$$-CO + NR^{***} + R^{V} - T \qquad (R)$$

in which

 R^x and R^v are each independently selected from hydrogen, (C_1 - C_6)-alkyl, (C_3 - C_7)-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 R*** are identical or different and are selected from hydrogen, (C_6-C_{12}) -aryl, (C_7-C_{11}) -aralkyl, (C_1-C_8) -alkyl, (C_3-C_8) -cycloalkyl, (+)-dehydroabietyl, (C_1-C_8) -alkoxy- (C_1-C_8) -alkyl, (C_7-C_{12}) -aralkoxy- (C_1-C_8) -alkyl, (C_6-C_{12}) -aryloxy- (C_1-C_8) -alkyl, (C_1-C_{10}) -alkanoyl, optionally substituted (C_7-C_{16}) -aralkanoyl, optionally substituted (C_6-C_{12}) -aroyl; or R* and R** together are -[CH₂]_h, in which a CH₂ group can be replaced by O, S, SO, SO₂, N-acylamino, N- (C_1-C_{10}) -alkoxycarbonylimino, N- (C_1-C_8) -alkylimino, N- (C_3-C_8) -cycloalkylimino, N- (C_3-C_8) -cycloalkyl- (C_1-C_4) -alkylimino, N- (C_6-C_{12}) -arylimino, N- (C_7-C_{16}) -aralkylimino, N- (C_1-C_4) -alkylimino, and h is from 3 to 7;

carbamoyloxy, N-(C_1 - C_{12})-alkylcarbamoyloxy, N,N-di-(C_1 - C_{12})-alkylcarbamoyloxy, N-(C_3 - C_8)-cycloalkylcarbamoyloxy, N-(C_6 - C_{12})-arylcarbamoyloxy, N-(C_7 - C_{16})-aralkylcarbamoyloxy, N-(C_1 - C_{10})-alkyl-N-(C_6 - C_{12})-arylcarbamoyloxy, N-(C_1 - C_{10})-alkyl-N-(C_7 - C_{16})-aralkylcarbamoyloxy, N-((C_1 - C_1)-alkyl)-carbamoyloxy, N-((C_6 - C_1)-

aryloxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, N- $((C_7-C_{16})$ -aralkyloxy- (C_1-C_{10}) -alkyl)carbamoyloxy, $N-(C_1-C_{10})$ -alkyl- $N-((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_{10})$ -alkyl- $N-(C_1-C_1)$ -alkyl- (C_1-C_{10}) -alkyl-N- $((C_6-C_{12})$ -aryloxy- (C_1-C_{10}) -alkyl)-carbamoyloxy, N- (C_1-C_{10}) -alkyl-N- C_{12})-alkylamino, (C_3 - C_8)-cycloalkylamino, (C_3 - C_{12})-alkenylamino, (C_3 - C_{12})alkynylamino, $N-(C_6-C_{12})$ -arylamino, $N-(C_7-C_{11})$ -aralkylamino, N-alkyl-aralkylamino, N-alkyl-arylamino, (C₁-C₁₂)-alkoxyamino, (C₁-C₁₂)-alkoxy-N-(C₁-C₁₀)-alkylamino, (C₁-C₁₀)-alkylamino, (C₁-C₁₀-C₁₀)-alkylamino, (C₁-C₁₀-C₁₀-C₁₀-C₁₀-C₁₀-C₁₀-C₁₀-C₁₂)-alkanoylamino, (C₃-C₈)-cycloalkanoylamino, (C₆-C₁₂)-aroylamino, (C₇-C₁₆)aralkanoylamino, (C₁-C₁₂)-alkanoyl-N-(C₁-C₁₀)-alkylamino, (C₃-C₈)-cycloalkanoyl-N- (C_1-C_{10}) -alkylamino, (C_6-C_{12}) -aroyl-N- (C_1-C_{10}) -alkylamino, (C_7-C_{11}) -aralkanoyl-N- (C_1-C_{10}) -alkylamino, (C_7-C_{11}) -aralkanoyl-N- (C_7-C_{11}) - C_{10})-alkylamino, (C_1 - C_{12})-alkanoylamino-(C_1 - C_8)-alkyl, (C_3 - C_8)-cycloalkanoylamino- (C_1-C_8) -alkyl, (C_6-C_{12}) -aroylamino- (C_1-C_8) -alkyl, (C_7-C_{16}) -aralkanoylamino- (C_1-C_8) alkyl, amino- (C_1-C_{10}) -alkyl, N- (C_1-C_{10}) -alkylamino- (C_1-C_{10}) -alkyl, N,N-di (C_1-C_{10}) alkylamino- (C_1-C_{10}) -alkyl, (C_3-C_8) -cycloalkylamino (C_1-C_{10}) -alkyl, (C_1-C_{20}) alkylmercapto, (C_1-C_{20}) -alkylsulfinyl, (C_1-C_{20}) -alkylsulfonyl, (C_6-C_{12}) -arylmercapto, (C_6-C_{12}) -arylsulfinyl, (C_6-C_{12}) -arylsulfonyl, (C_7-C_{16}) -aralkylmercapto, (C_7-C_{16}) aralkylsulfinyl, (C_7-C_{16}) -aralkylsulfonyl, (C_1-C_{12}) -alkylmercapto- (C_1-C_6) -alkyl, (C_1-C_{12}) alkylsulfinyl-(C₁-C₆)-alkyl, (C₁-C₁₂)-alkylsulfonyl-(C₁-C₆)-alkyl, (C₆-C₁₂)-arylmercapto- (C_1-C_6) -alkyl, (C_6-C_{12}) -arylsulfinyl- (C_1-C_6) -alkyl, (C_6-C_{12}) -arylsulfonyl- (C_1-C_6) -alkyl, (C_7-C_{16}) -aralkylmercapto- (C_1-C_6) -alkyl, (C_7-C_{16}) -aralkylsulfinyl- (C_1-C_6) -alkyl, (C_7-C_{16}) aralkylsulfonyl- (C_1-C_6) -alkyl, sulfamoyl, N- (C_1-C_{10}) -alkylsulfamoyl, N,N-di- (C_1-C_{10}) alkylsulfamoyl, (C₃-C₈)-cycloalkylsulfamoyl, N-(C₆-C₁₂)-arylsulfamoyl, N-(C₇-C₁₆)aralkylsulfamoyl, N- (C_1-C_{10}) -alkyl-N- (C_6-C_{12}) -arylsulfamoyl, N- (C_1-C_{10}) -alkyl-N- (C_7-C_{10}) - C_{16})-aralkylsulfamoyl, (C_1 - C_{10})-alkylsulfonamido, N-((C_1 - C_{10})-alkyl)-(C_1 - C_{10})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, (C_3-C_8) -cycloalkyl, (C_3-C_8) -cycloalkyl- (C_1-C_{12}) -alkyl, (C_3-C_8) -cycloalkoxy, (C_3-C_8) -

cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyloxy-(C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkyloxy- (C_1-C_{12}) -alkoxy, (C_3-C_8) -cycloalkyl- (C_1-C_8) -alkyl- (C_1-C_6) -alkoxy, (C_3-C_8) -cycloalkyl (C_1-C_8) -alkoxy, (C_3-C_8) -cycloalkyl (C_1-C_8) -alkoxy, (C_3-C_8) -cycloalkyl (C_1-C_8) -alkoxy, (C_3-C_8) -alkoxy, (C_3-C_8) -cycloalkyl (C_1-C_8) -alkoxy, (C_3-C_8) -a C_8)-alkoxy- (C_1-C_6) -alkyl, (C_3-C_8) -cycloalkyloxy- (C_1-C_8) -alkoxy- (C_1-C_6) -alkyl, (C_3-C_8) cycloalkoxy- (C_1-C_8) -alkoxy- (C_1-C_8) -alkoxy, (C_6-C_{12}) -aryl, (C_7-C_{16}) -aralkyl, (C_2-C_{16}) alkenyl, (C_2-C_{12}) -alkynyl, (C_1-C_{16}) -alkoxy, (C_1-C_{16}) -alkenyloxy, (C_1-C_{12}) -alkoxy- (C_1-C_{16}) - (C_1-C_{16}) -alkoxy- (C_1-C_{16}) -alkoxy- (C_1-C_{16}) - $(C_1-C_$ C_{12})-alkoy, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) -alkoxy, (C_1-C_{12}) -alkoxy, (C_1-C_{12}) -alkoxy- (C_1-C_1) -alkoxyalkyl, (C_6-C_{12}) -aryloxy, (C_7-C_{16}) -aralkyloxy, (C_6-C_{12}) -aryloxy- (C_1-C_6) -alkoxy, (C_7-C_{16}) aralkoxy- (C_1-C_6) -alkoxy, (C_1-C_8) -hydroxyalkyl, (C_6-C_{16}) -aryloxy- (C_1-C_8) -alkyl, (C_7-C_{16}) $aralkoxy-(C_1-C_8)-alkyl, (C_6-C_{12})-aryloxy-(C_1-C_8)-alkoxy-(C_1-C_6)-alkyl, (C_7-C_{12})-aryloxy-(C_1-C_8)-alkyl, (C_7-C_{12})-aryloxy-(C_1-C_8)-alkyl, (C_7-C_{12})-aryloxy-(C_1-C_8)-alkyl, (C_7-C_{12})-aryloxy-(C_1-C_8)-alkyl, (C_7-C_{12})-aryloxy-(C_1-C_8)-alkyl, (C_7-C_{12})-aryloxy-(C_1-C_8)-alkyl, (C_7-C_1)-aryloxy-(C_1-C_8)-alkyl, (C_7-C_1)-aryloxy-(C_1-C_$ aralkyloxy- (C_1-C_8) -alkoxy- (C_1-C_6) -alkyl, $-O-[CH_2]_xC_fH_{(2f+1-g)}F_g$, $-OCF_2CI$, $-OCF_2-CHFCI$, (C_1-C_{12}) -alkylcarbonyl, (C_3-C_8) -cycloalkylcarbonyl, (C_6-C_{12}) -arylcarbonyl, (C_7-C_{16}) aralkylcarbonyl, (C_1-C_{12}) -alkoxycarbonyl, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) -alkoxycarbonyl, (C_6-C_{12}) -aryloxycarbonyl, (C_7-C_{16}) -aralkoxycarbonyl, (C_3-C_8) -cycloalkoxycarbonyl, (C_2-C_{12}) -alkenyloxycarbonyl, (C_2-C_{12}) -alkynyloxycarbonyl, (C_6-C_{12}) -aryloxy- (C_1-C_6) alkoxycarbonyl, (C_7-C_{16}) -aralkoxy- (C_1-C_6) -alkoxycarbonyl, (C_3-C_8) -cycloalkyl- (C_1-C_6) alkoxycarbonyl, (C_3-C_8) -cycloalkoxy- (C_1-C_6) -alkoxycarbonyl, (C_1-C_{12}) alkylcarbonyloxy, (C₃-C₈)-cycloalkylcarbonyloxy, (C₆-C₁₂)-arylcarbonyloxy, (C₇-C₁₆)aralkylcarbonyloxy, cinnamoyloxy, (C₂-C₁₂)-alkenylcarbonyloxy, (C₂-C₁₂)alkynylcarbonyloxy, (C_1-C_{12}) -alkoxycarbonyloxy, (C_1-C_{12}) -alkoxy- (C_1-C_{12}) alkoxycarbonyloxy, (C₆-C₁₂)-aryloxycarbonyloxy, (C₇-C₁₆)-aralkyloxycarbonyloxy, (C₃- C_8)-cycloalkoxycarbonyloxy, (C_2 - C_{12})-alkenyloxycarbonyloxy, (C_2 - C_{12})alkynyloxycarbonyloxy, carbamoyl, N-(C₁-C₁₂)-alkylcarbamoyl, N,N-di(C₁-C₁₂)alkylcarbamoyl, N-(C₃-C₈)-cycloalkylcarbamoyl, N,N-dicyclo-(C₃-C₈)-alkylcarbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-(C_3-C_8)$ -cycloalkylcarbamoyl, $N-((C_3-C_8)$ -cycloalkyl- (C_1-C_6) alkyl)carbamoyl, $N-(C_1-C_6)$ -alkyl- $N-((C_3-C_8)$ -cycloalkyl- (C_1-C_6) -alkyl)carbamoyl, N-(+)dehydroabietylcarbamoyl, N-(C₁-C₆)-alkyl-N-(+)-dehydroabietylcarbamoyl, N-(C₆-C₁₂)arylcarbamoyl, $N-(C_7-C_{16})$ -aralkylcarbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-(C_6-C_{16})$ arylcarbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-(C_7-C_{16})$ -aralkylcarbamoyl, $N-((C_1-C_{16})$ -alkoxy- (C_1-C_{16}) -aralkylcarbamoyl, $N-((C_1-C_{16})$ -aralkylc

 C_{10})-alkyl)carbamoyl, $N-((C_6-C_{16})$ -aryloxy- (C_1-C_{10}) -alkyl)carbamoyl, $N-((C_7-C_{16})$ aralkyloxy- (C_1-C_{10}) -alkyl)carbamoyl, N- (C_1-C_{10}) -alkyl-N- $((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) alkyl)carbamoyl, $N-(C_1-C_{10})$ -alkyl- $N-((C_6-C_{12})$ -aryloxy- (C_1-C_{10}) -alkyl)carbamoyl, $N-(C_1-C_{10})$ -alkyl)carbamoyl, $N-(C_1-C_1)$ -alkyl)carbamoyl, N-(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, $N-(C_1-C_4)$ -alkoxy- (C_1-C_6) -alkylimino, and h is from 3 to 7; carbamoyloxy, $N-(C_1-C_{12})$ 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- $N-(C_7-C_{16})$ -aralkylcarbamoyloxy, $N-((C_1-C_{10})$ -alkyl)carbamoyloxy, $N-((C_6-C_{12})$ -aryloxy-(C₁-C₁₀)-alkyl)carbamoyloxy, N-((C₇-C₁₆)-aralkyloxy-(C₁-C₁₀)-alkyl)carbamoyloxy, N- (C_1-C_{10}) -alkyl-N- $((C_1-C_{10})$ -alkoxy- (C_1-C_{10}) -alkyl)carbamoyloxy, N- (C_1-C_{10}) -alkyl-N- $((C_6-C_{12})-aryloxy-(C_1-C_{10})-alkyl)$ carbamoyloxy, N- $(C_1-C_{10})-alkyl-N-((C_7-C_{16})-alkyl)$ aralkyloxy-(C₁-C₁₀)-alkyl)carbamoyloxy, amino, (C₁-C₁₂)-alkylamino, di-(C₁-C₁₂)alkylamino, (C₃-C₈)-cycloalkylamino, (C₃-C₁₂)-alkenylamino, (C₃-C₁₂)-alkynylamino, $N-(C_6-C_{12})$ -arylamino, $N-(C_7-C_{11})$ -aralkylamino, N-alkyl-aralkylamino, N-alkyl-aralkyla arylamino, (C_1-C_{12}) -alkoxyamino, (C_1-C_{12}) -alkoxy-N- (C_1-C_{10}) -alkylamino, (C_1-C_{12}) alkanoylamino, (C_3-C_8) -cycloalkanoylamino, (C_6-C_{12}) -aroylamino, (C_7-C_{16}) aralkanoylamino, (C_1-C_{12}) -alkanoyl-N- (C_1-C_{10}) -alkylamino, (C_3-C_8) -cycloalkanoyl-N- (C_1-C_{10}) -alkylamino, (C_6-C_{12}) -aroyl-N- (C_1-C_{10}) -alkylamino, (C_7-C_{11}) -aralkanoyl-N- (C_1-C_{10}) -alkylamino) C₁₀)-alkylamino, (C₁-C₁₂)-alkanoylamino-(C₁-C₈)-alkyl, (C₃-C₈)-cycloalkanoylamino- (C_1-C_8) -alkyl, (C_6-C_{12}) -aroylamino- (C_1-C_8) -alkyl, (C_7-C_{16}) -aralkanoylamino- (C_1-C_8) alkyl, amino- (C_1-C_{10}) -alkyl, N- (C_1-C_{10}) -alkylamino- (C_1-C_{10}) -alkyl, N,N-di- (C_1-C_{10}) alkylamino- (C_1-C_{10}) -alkyl, (C_3-C_8) -cycloalkylamino- (C_1-C_{10}) -alkyl, (C_1-C_{12}) alkylmercapto, (C₁-C₁₂)-alkylsulfinyl, (C₁-C₁₂)-alkylsulfonyl, (C₆-C₁₆)-arylmercapto, (C_6-C_{16}) -arylsulfinyl, (C_6-C_{16}) -arylsulfonyl, (C_7-C_{16}) -aralkylmercapto, (C_7-C_{16}) aralkylsulfinyl, or (C₇-C₁₆)-aralkylsulfonyl;

or wherein R^1 and R^2 , or R^2 and R^3 form a chain $[CH_2]_o$, 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, (C_6-C_{12}) -aryl, (C_1-C_8) -alkyl, (C_1-C_8) -alkyl, optionally substituted (C_1-C_8) -aralkanoyl, or optionally substituted (C_1-C_1) -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 wherein R¹ and R², or R² and R³ form a carbocyclic or heterocyclic 5- or 6membered 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:

$$R^{26}$$
 R^{25} R^{27} R^{24} $Q-R^4$ $NH-A-B$

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 R^1 , R^2 and R^3 ; 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. The mimetic of claim 8 for the use of that claim, wherein A is C₁-alkylene; B is -CO₂H; Q is O; R⁴ is hydrogen; X is 0; Y is CR3; and R¹, R² and R³ are as defined above; including physiologically active salts derived therefrom.

8-10. The mimetic of claim 7-8 for the use of that claim, wherein the mimetic is a compound of Formula (la), (lb), or (lc):

and the substituents R^{12} to R^{23} in each case independently of each other have the meaning of R^1 , R^2 and R^3 .

- <u>9 11</u>. The mimetic of any one of claims 1–6–7 for the use of that claim, wherein the anemia is anemia of chronic disease, and the mimetic is for use in: increasing the amount of iron available to make new red blood cells; increasing reticulocytes; increasing mean corpuscular cell volume; increasing mean corpuscular hemoglobin; increasing hematocrit; increasing hemoglobin; or increasing red blood cell count.
- 12. The mimetic of any of claims 1, 2, 8, and 9, for the use of that claim, wherein the mimetic is for use in increasing mean corpuscular cell volume.
- 40_13. The mimetic of any one of claims 1, 4-5, 5-6 or 6-7 for the use of that claim, wherein the mimetic is selected from the group consisting of [(1-Chloro-4-hydroxy-isoquinoline-3-carbonyl)-amino]-acetic acid, [(4-Hydroxy-7-phenoxy-isoquinoline-3-carbonyl)-amino]-acetic acid, [(4-Hydroxy-7-phenylsulfanyl-isoquinoline-3-carbonyl)-amino]-acetic acid, and 3-{[4-(3,3-Dibenzyl-ureido)-benzenesulfonyl]-[2-(4-methoxy-phenyl)-ethyl]-amino}-N-hydroxy-propionamide.
- 11_14. The mimetic of any preceding claim for the use of that claim, wherein the mimetic is for oral administration.