Claim No: HP-2018-000038

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

BETWEEN:

(1) GLAXOSMITHKLINE UK LIMITED (2) GLAXOSMITHKLINE INTELLECTUAL PROPERTY (NO.2) LIMITED

Claimants in HP-2018-000038

- and -

FIBROGEN, INC.

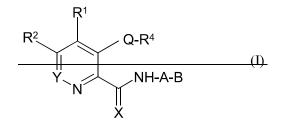
Defendant in HP-2018-000038

AMENDED STATEMENT OF GROUNDS

ANNEX A

Unconditional amendments to EP (UK) 2 289 531:

1. A compound for use in the treatment or prevention of anemia <u>associated with</u> <u>kidney disease</u>, wherein the compound is <u>[(1-chloro-4-hydroxy-isoquinoline-3-</u> <u>carbonyl)-amino]-acetic acid.</u> <u>a compound of formula (I):</u>



wherein

A is (C₁-C₄)-alkylene;

B is -CO₂H, -NH₂, -NHSO₂CF₃, tetrazolyl, imidazolyl, 3-hydroxyisoxazolyl, -CONHCOR", -CONHSOR", CONHSO2R", where R" is aryl, heteroaryl, (C3-C7)cycloalkyl, or (C_4-C_4) -alkyl, optionally monosubstituted by (C_6-C_{12}) -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-(C₄-C₄alkyl)-amino, di-(C₄-C₄-alkyl)-amino, or (C₄-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, (C6-C12)-aryl, (C7-C16)-aralkyl, (C2-C12)-alkenyl, (C2-C12)-alkynyl, (C1-C12)-alkoxy, (C1-G12)-alkoxy-(C1-C12)-alkyl, (C1-C12)-alkoxy-(C1-C12)-alkoxy, (C6-C12)-aryloxy, (C7-C16)-

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ť	aralkyloxy, (C1-C8)-hydroxyalkyl, -O-[CH2]x-CfH(2f+1-9)-F9, -OCF2CI, -OCF2-CHFCI, (C1-
	C ₁₂)-alkylcarbonyl, (C ₃ -C ₈)-cycloalkylcarbonyl, (C ₆ -C ₁₂)-arylcarbonyl, (C ₇ -C ₁₆)-
÷	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)-
ť	aryloxycarbonyl, (C ₇ -C ₁₆)-aralkoxycarbonyl, (C ₃ -C ₈)-cycloalkoxycarbonyl, (C ₂ -C ₁₂)-
ť	alkenyloxycarbonyl, (C ₂ -C ₁₂)-alkynyloxycarbonyl, acyloxy, (C ₁ -C ₁₂)-
ť	alkoxycarbonyloxy, (C ₁ -C ₁₂)-alkoxy-(C ₁ -C ₁₂)-alkoxycarbonyloxy, (C ₆ -C ₁₂)-
ť	aryloxycarbonyloxy, (C ₇ -C ₁₆) aralkyloxycarbonyloxy, (C ₃ -C ₈)-cycloalkoxycarbonyloxy,
((C_2-C_{42}) -alkenyloxycarbonyloxy, (C_2-C_{42})-alkynyloxycarbonyloxy, carbamoyl, N-(C_4-
4	C₄₂)-alkylcarbamoyl, N.N-di(C₄-C₄₂)-alkylcarbamoyl, N-(C₃-Cଃ)-cycloalkyl-carbamoyl,
ł	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-(C1-C10)-alkyl-N-(C7-C16)-aralkylcarbamoyl, N-((C1-C10)-alkoxy-(C1-
4	G 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-(C1-C10)-alkyl-N-((C6-C16)-aryloxy-(C1-C10)-alkyl)-carbamoyl, N-
((C ₁ -C ₁₀)-alkyl-N-((C ₇ -C ₁₆)-aralkyloxy-(C ₁ -C ₁₀)-alkyl)-carbamoyl, carbamoyloxy, N-(C ₁ -
4	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-(C1-C10)-alkyl-N-(C6-C12)-arylcarbamoyloxy, N(C1-C10)-alkyl-
ł	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-(C₁-C₁₀)-alkyl-N-((C₁-C₁₀)-alkoxy-(C₁-C₁₀)-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)-aralkyloxy-(C ₁ -C ₁₀)-alkyl)-carbamoyloxy, amino, (C ₁ -C ₁₂)-alkylamino, di-(C ₁ -
	G ₁₂)-alkylamino, (C ₃ -C ₈)-cycloalkylamino, (C ₂ -C ₁₂)-alkenylamino, (C ₂ -C ₁₂)-
ť	alkynylamino, N-(C ₆ -C ₁₂)-arylamino, N-(C-C ₁₁)-aralkylamino, N-alkyl-aralkylamino, N-
ť	alkyl-arylamino, (C1-C12)-alkoxyamino, (C1-C12)-alkoxy-N-(C1-C10)-alkylamino, (C1-
4	C ₁₂)-alkylcarbonylamino, (C ₃ -C ₈)-cycloalkylcarbonylamino, (C ₆ -C ₁₂)

arylcarbonylamino, (C7-C16)-aralkylcarbonylamino, (C1-C12)-alkylcarbonyl-N-(C1-C10)-
alkylamino, (C ₃ -C ₈)-cycloalkylcarbonyl-N-(C ₁ -C ₁₀)-alkylamino, (C ₆ -C ₁₂)-arylcarbonyl-
N-(C ₁ -C ₁₀)alkylamino, (C ₇ -C ₁₄)-aralkylcarbonyl-N-(C ₁ -C ₁₀)-alkylamino, (C ₁ -C ₁₂)-
$alkylcarbonylamino-(C_1-C_8)-alkyl, (C_3-C_8)-cycloalkylcarbonylamino-(C_1-C_8)alkyl, (C_6-$
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 ₄ -C ₄₀)-alkyl, (C ₃ -C ₈)cycloalkylamino-(C ₄ -C ₄₀)-alkyl, (C ₄ -C ₄₂)-
alkylmercapto, (C ₁ -C ₁₂)-alkylsulfinyl, (C ₁ -C ₁₂)-alkylsulfonyl, (C ₆ -C ₁₆)-arylmercapto,
(C₆-C₁₆)-arylsulfinyl, (C₆-C₁₂)-arylsulfonyl, (C₇-C₁₆)-aralkylmercapto, (C₇-C₁₆)-
aralkylsulfinyl, (C ₇ -C ₁₆)-aralkylsulfonyl, sulfamoyl, N-(C ₁ -C ₁₀)-alkylsulfamoyl, N.N-
di(C ₁ -C ₁₀)-alkylsulfamoyl, (C ₃ -C ₈)-cycloalkylsulfamoyl, N-(C ₆ -C ₁₂)-alkylsulfamoyl, N-
(C7-C16)-aralkylsulfamoyl, N-(C1-C10)-alkyl-N-(C6-C12)-arylsulfamoyl, N-(C1-C10)-alkyl-
N-(C ₇ -C ₄₆)-aralkylsulfamoyl, (C ₄ -C ₄₀)-alkylsulfonamido, N-((C ₄ -C ₄₀)-alkyl)-(C ₄ -C ₄₀)-
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-
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, (C1-C12)-alkoxycarbonyl, (C1-C12)-alkoxy-(C1-C12)-alkoxycarbonyl,
(C_6-C_{12}) -aryloxycarbonyl, (C_7-C_{16}) -aralkoxycarbonyl, (C_3-C_8) -cycloalkoxycarbonyl,
(C2-C12)-alkenyloxycarbonyl, (C2-C12)-alkynyloxycarbonyl, (C1-C12)-alkylcarbonyloxy,
(C_3-C_8) -cycloalkylcarbonyloxy, (C_6-C_{12}) -arylcarbonyloxy, (C_7-C_{16}) -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-(C6-C12)-arylcarbamoyl, N-(C7-C16)-aralkylcarbamoyl, N-(C1-C10)-alkyl-N-(C6-C12)arylcarbamoyl, 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- (C_1-C_{10}) -alkyl-N- $((C_7-C_{16})$ -aralkyloxy- (C_1-C_{10}) -alkyl)-carbamoyl, carbamoyloxy, N- (C_1-C_{10}) -alkyl)-carbamoyl, carbamoyl, carbamoyloxy, N- (C_1-C_{10}) -alkyl)-carbamoyl, carbamoyl, ca 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)-carbamoyloxy, amino, (C1-C12) alkylamino, di-(C1-C₁₂)-alkylamino, (C₃-C₈)-cycloalkylamino, (C₃-C₁₂)-alkenylamino, (C₃-C₁₂)alkynylamino, N-(C₆-C₁₂)-arylamino, N-(C₇-C₁₄)-aralkylamino, N-alkylaralkylamino, Nalkyl-arylamino, (C1-C12)-alkoxyamino, (C1-C12)-alkoxy-N-(C1-C10)-alkylamino, (C1-C12)-alkylcarbonylamino, (C3-C8)-cycloalkylcarbonylamino, (C6-C12)arylcarbonylamino, (C7-C16)-alkylcarbonylamino, (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-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)-

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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)-alkyl radical, aryl radical, heteroaryl radical, (C₂-C₁₁)-aralkyl radical, or a radical of the

formula Z

 $-[CH_2]_{v}-[O]_{w}-[CH_2]_{t}-E$ (Z)

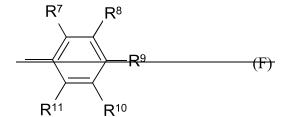
where

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

formula F

v is 0-6,

w is 0 or 1.



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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 - C_6)-alkyl, (C_3 - C_8)-cycloalkyl, (C_4 - C_6)-alkoxy, -O-[CH₂]_x- $C_1H_{(2f+1-g)}$ - F_g , -OCF₂-CI, -O-CF₂-CHFCI, (C_4 - C_6)-alkylmercapto, (C_4 - C_6)-hydroxyalkyl, (C_4 - C_6)-alkoxy-(C_4 - C_6)-alkoxy, (C_4 - C_6)-alkoxy-(C_4 - C_6)-alkyl, (C_4 - C_6)-alkylsulfinyl, (C_4 - C_6)-alkylsulfonyl, (C_4 - C_6)-alkylcarbonyl, (C_4 - C_8)-alkoxycarbonyl, carbamoyl, N-(C_4 - C_8)-alkylcarbamoyl, N,N-di-(C_4 - C_8)-alkylcarbamoyl, or (C_7 - C_{14})-aralkylcarbamoyl,

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optionally substituted by fluorine, chlorine, bromine, trifluoromethyl, (C₄-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-C10 C12)-alkenyl, (C3-C12)-alkynyl, (C6-C12)-aryl, (C7-C11)-aralkyl, (C1-C12)-alkoxy, (C7-C12)-alkoxy, (C7-C12)-G₁₂)aralkoxy, (G₁-G₁₂)-alkylcarbonyl, (G₃-G₈)-cycloalkylcarbonyl, (G₆-G₁₂) arylcarbonyl, (C₇-C₁₆)-aralkylcarbonyl; or further wherein R⁺ 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 NR⁴; 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-R¹⁴, 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]₊, in which a CH₂ group can be replaced by O, S, N-acylimino, or N-(C₁-C₁₀)-alkoxycarbonylimino, and h is 3 to 7;

25 $Y \text{ is } N \text{ or } CR^3$;

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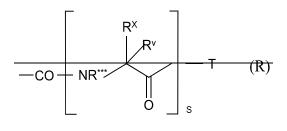
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 \mathbb{R}^{4} , \mathbb{R}^{2} and \mathbb{R}^{3} are identical or different and are hydrogen, hydroxyl, halogen, cyano, trifluoromethyl, nitro, carboxyl, (C₁-C₂₀)-alkyl, (C₃-C₈)-cycloalkyl, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyl-(C₁-C₁₂)-alkoxy, (C₃-C₁₂)-alkyl-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkyl-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkyl-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkyl-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkyl-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkyl-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkyl-(C₁-C₁₂)-alkoxy, (C₁-C₁₂)-alkyl-(C₁-C₁₂)-(C₁-C₁₂)-alkyl-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁-C₁₂)-(C₁₂

cycloalkyloxy-(C₁-C₁₂)-alkyl, (C₃-C₈)-cycloalkyloxy-(C₁-C₁₂)-alkoxy, (C₃-C₈)-cycloalkyl-
(C_1-C_8) -alkyl- (C_1-C_6) -alkoxy, (C_3-C_8) -cycloalkyl- (C_1-C_8) -alkoxy- (C_1-C_6) -alkyl, (C_3-C_8) -
$cycloalkyloxy-(C_4-C_8)-alkoxy-(C_4-C_6)-alkyl, (C_3-C_8)-cycloalkoxy-(C_4-C_8)-alkoxy-(C_4-C_8)-alkoxy-(C_4-C_8)-alkoxy-(C_4-C_8)-alkoxy-(C_4-C_8)-alkoxy-(C_4-C_8)-alkoxy-(C_4-C_8)-alkoxy-(C_4-C_8)-alkoxy-(C_4-C_8)-alkyl, (C_3-C_8)-alkoxy-(C_4-C_8)-alkyl, (C_4-C_8)-alkyl, (C$
C₈)-alkoxy, (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, (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_4-C_6) -alkyl, (C_2-C_{20}) -alkynyloxy- (C_4-C_6) -alkyl, retinyloxy- (C_4-C_6) -
alkyl, -O-[CH2]*CfH(2f+1-9)F9, -OCF2CI, -OCF2-CHFCI, (C1-C20)-alkylcarbonyl, (C3-C8)-
cycloalkylcarbonyl, (C ₆ -C ₁₂)-arylcarbonyl, (C ₇ -C ₁₆)-aralkylcarbonyl, cinnamoyl, (C ₂ -
C ₂₀)-alkenylcarbonyl, (C ₂ -C ₂₀)-alkynylcarbonyl, (C ₁ -C ₂₀)-alkoxycarbonyl, (C ₁ -C ₁₂)-
alkoxy-(C1-C12)-alkoxycarbonyl, (C6-C12)-aryloxycarbonyl, (C7-C16)-aralkoxycarbonyl,
(C ₃ -C ₈)-cycloalkoxycarbonyl, (C ₂ -C ₂₀)-alkenyloxycarbonyl, retinyloxycarbonyl, (C ₂ -
C_{20} -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, (C_3 - C_8)-
cycloalkylcarbonyloxy, (C₆-C₁₂)-arylcarbonyloxy, (C₇-C₁₆)-aralkylcarbonyloxy,
cinnamoyloxy, (C ₂ -C ₁₂)-alkenylcarbonyloxy, (C ₂ -C ₁₂)-alkynylcarbonyloxy, (C ₁ -C ₁₂)-
alkoxycarbonyloxy, (C1-C12)-alkoxy-(C1-C12)-alkoxycarbonyloxy, (C6-C12)-
aryloxycarbonyloxy, (C ₇ -C ₄₆)-aralkyloxycarbonyloxy, (C ₃ -C ₈)-cycloalkoxycarbonyloxy,
(C_2-C_{12}) -alkenyloxycarbonyloxy, (C_2-C_{12}) -alkynyloxycarbonyloxy, carbamoyl, N- (C_1-C_2) -alkenyloxy
G ₁₂)-alkylcarbamoyl, N,N-di-(C ₁ -C ₁₂)-alkylcarbamoyl, N-(C ₃ -C ₈)-cycloalkylcarbamoyl,
N,N-dicyclo-(C ₃ -C ₈)-alkylcarbamoyl, N-(C ₁ -C ₁₀)-alkyl-N-(C ₃ -C ₈)-cycloalkylcarbamoyl,
N-((C₃-Cଃ)-cycloalkyl-(C₄-C₀)-alkyl)-carbamoyl, N-(C₄-C₀)-alkyl-N-((C₃-Cଃ)-cycloalkyl-
(C_1-C_6) -alkyl)-carbamoyl, N-(+)-dehydroabietylcarbamoyl, N-(C_1-C_6)-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^{*} and R^{*} are each independently selected from hydrogen, (C_1-C_6) -alkyl, (C_3-C_7) cycloalkyl, or aryl,

s is 1-5,

T is OH, or NR*R**, and R*, R** and R*** 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 -[CH₂]_h, in which a CH₂-group can be replaced by O, S, SO, SO₂₇, 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-

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(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_{46})-aralkylcarbamoyloxy, N-((C_4 - C_{40})-alkyl)-carbamoyloxy, N-((C_6 - C_{42})-
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-
(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)-carbamoyloxyamino, (C₁-C₁₂)-alkylamino, di-(C₁-
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-(C7-C11)-aralkylamino, N-alkyl-aralkylamino,
N-alkyl-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_1) -aralkanoyl-N- (C_1-C_2) -aralkanoyl-N- (C_2-C_2) -ara
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-(C1-C10)-alkyl, N-(C1-C10)-alkylamino-(C1-C10)-alkyl, N,N-di(C1-C10)-
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,
(C₆-C₁₂)-arylsulfinyl, (C₆-C₁₂)-arylsulfonyl, (C₇-C₁₆)-aralkylmercapto, (C₇-C₁₆)-
aralkylsulfinyl, (C7-C16)-aralkylsulfonyl, (C1-C12)-alkylmercapto-(C1-C6)-alkyl, (C1-C12)-
$alkylsulfinyl-(C_{4}-C_{6})-alkyl, (C_{4}-C_{42})-alkylsulfonyl-(C_{4}-C_{6})-alkyl, (C_{6}-C_{42})-arylmercapto-$
(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_4-C_6) -alkyl, (C_7-C_{16}) -aralkylsulfinyl- (C_4-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, (C ₃ -C ₈)-cycloalkylsulfamoyl, N-(C ₆ -C ₁₂)-arylsulfamoyl, N-(C ₇ -C ₁₆)-
aralkylsulfamoyl, N-(C4-C40)-alkyl-N-(C6-C42)-arylsulfamoyl, N-(C4-C40)-alkyl-N-(C7-
C_{16} -aralkylsulfamoyl, (C ₁ -C ₁₀)-alkylsulfonamido, N-((C ₁ -C ₁₀)-alkyl)-(C ₁ -C ₁₀)-
alkylsulfonamido, (C ₇ -C ₁₆)-aralkylsulfonamido, and N-((C ₁ -C ₁₀)-alkyl-(C ₇ -C ₁₆)-

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_4-C_{42}) -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) -cycloalkyl $(C_1-C$ 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-(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- C_{12} -alkoyl, (C_4 - C_{12})-alkoxy-(C_4 - C_{12})-alkoxy, (C_4 - C_{12})-alkoxy(C_4 - C_8)-alkoxy-(C_4 - C_8)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- (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, -O- $[CH_2]_*C_fH_{(2f+1-g)}F_g$, -OCF₂Cl, -OCF₂-CHFCl, (C₁-C₁₂)-alkylcarbonyl, (C₃-C₈)-cycloalkylcarbonyl, (C₆-C₁₂)-arylcarbonyl, (C₇-C₁₆)aralkylcarbonyl, (C1-C12)-alkoxycarbonyl, (C1-C12)-alkoxy-(C1-C12)-alkoxycarbonyl, (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_4-C_6) 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-(+)-

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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-(C2-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)carbamoyl, N-(C1-C10)-alkyl-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- (C_1-C_{10}) -alkyl)carbamoyloxy, N- $((C_2-C_{16})$ -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- $((C_6-C_{12})-aryloxy-(C_1-C_{10})-alkyl)carbamoyloxy, N-(C_1-C_{10})-alkyl-N-((C_7-C_{16})-alkyl-N-((C_7-C_{16})-alkyl)-alkyl-N-((C_7-C_{16})-alkyl-N-((C_7-C_{16})-alkyl)-alkyl-N-((C_7-C_{16})-alkyl-N-((C_7-C_{16})-alkyl)-alkyl-N-((C_7-C_{16})-alkyl-N-((C_7-C_{16})-alkyl)-alkyl-N-((C_7-C_{16})-alkyl-N-((C_7-C_{16})-alkyl)-alkyl-N-((C_7-C_{16})-alkyl-N-((C_7-C_{16})-alkyl-N-((C_7-C_{16})-alkyl)-alkyl-N-((C_7-C_{16})-alkyl-N-((C_7-C_{1$ 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-alkylarylamino, (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- (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-(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)-

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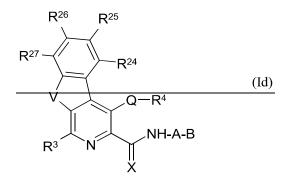
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alkylmercapto, (C₁-C₁₂)-alkylsulfinyl, (C₁-C₁₂)-alkylsulfonyl, (C₆-C₁₆)-arylmercapto,
(C₆-C₁₆)-arylsulfinyl, (C₆-C₁₆)-arylsulfonyl, (C₇-C₁₆)-aralkylmercapto, (C₇-C₁₆)-aralkylsulfinyl, or (C₇-C₁₆)-aralkylsulfonyl;
or wherein R⁴-and R², or R²-and R³-form a chain [CH₂]₉, 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)-alkyl, (C₁-C₈)-alkyl, (C₁-C₈)-alkyl, (C₁-C₈)-alkyl, (C₂-C₁₂)-aralkoxy-(C₁-C₈)-alkyl, (C₆-C₁₂)-aryloxy-(C₁-C₈)-alkyl, (C₄-C₆)-alkyl, optionally substituted (C₇-C₁₆)-aralkanoyl, or optionally
substituted (C6-C12)-aroyl; and o is 3, 4 or 5;
or wherein the radicals R⁴-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 R⁴-and R², or R² and R³ form a carbocyclic or heterocyclic 5- or 6membered aromatic ring;

- 15 or where R¹ and R², or R² and R³, together with the pyridine or pyridazine carrying them, form an optionally substituted heterocyclic ring systems selected from thienopyridines, furanopyridines, pyridopyridines, pyrimidinopyridines, imidazopyridines, thiazolopyridines, oxazolopyridines, quinoline, isoquinoline, and cinnoline;
- 20 or wherein the radicals R⁴ and R², together with the pyridine carrying them, form a compound of Formula Id:



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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; q is 0 or 1 to (2f+1); x is 0 to 3; and h is 3 to 7: including physiologically active salts thereof. The compound of claim 1 for the use of that claim, wherein the anemia is 2. associated with abnormal hemoglobin or abnormal erythrocytes. The compound of claim 1 for the use of that claim, wherein the anemia is associated with a condition selected from the group consisting of diabetes, cancer, ulcers, immunosuppressive disease, infection, and inflammation. 4. The compound of claim 1 for the use of that claim, wherein the anemia is associated with diabetes, cancer, ulcers, or AIDS. 5. The compound of claim 2 for the use of that claim, wherein the anemia is selected from the group consisting of microcytic anemia, hypochromic anemia, and aplastic anemia. 6. The compound of claim 1 for the use of that claim, wherein the anemia is associated with radiation therapy, chemotherapy, or surgery. 7. The compound of claim 1 for the use of that claim, wherein the anemia is associated with blood loss. The compound of claim 1 for the use of that claim, wherein the anemia is 8. associated with defects in iron transport, processing, or utilization. The compound of any preceding claim for the use of that claim, wherein the 9. compound is for oral administration. 10. The compound according to any preceding claim for the use of that claim.

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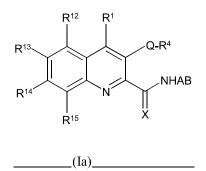
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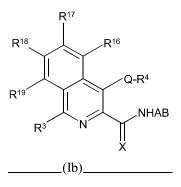
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wherein R² and R³, together with the pyridine carrying them, form an optionally substituted quinoline of formula (Ia):



and the substituents R^{12} to R^{15} in each case independently of each other have the meaning of R^1 , R^2 and R^3 .

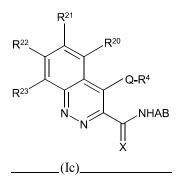
11. The compound according to any one of claims 1-9 for the use of that claim, wherein R⁴ and R², together with the pyridine carrying them, form an optionally substituted isoquinoline of formula (lb):



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

12. The compound according to any one of claims 1-9 for the use of that claim, wherein R¹ and R², together with the pyridazine carrying them, form an optionally substituted cinnoline of formula (Ic):



and the substituents R^{20} to R^{23} in each case independently of each other have the meaning of R^4 , R^2 and R^3 .