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DECISION of 30 July 1999

0536515

Case	Number:	Т	0574/96	-	3.3.1

Application Number: 92114281.6

Publication Number:

IPC: C07C 237/26

Language of the proceedings: EN

Title of invention:

Novel 7-substituted-9-substituted amino-6-demethyl-6-deoxytetracyclines

Applicant:

AMERICAN CYANAMID COMPANY

Opponent:

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Headword: Deoxytetracyclines/AMERICAN CYANAMID

Relevant legal provisions:

EPC Art. 84, 111(1)

Keyword:
"Clarity (yes) - complex claims not unclear"

Decisions cited:

-

Catchword:

Clarity under Article 84 EPC is not at stake in a case of mere complexity of a claim, provided the subject-matter for which protection is sought and the scope thereof are clear and unambiguous for a person skilled in the art, either per se or in the light of the description, since complexity as such is not equivalent to lack of clarity.



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Beschwerdekammern

Boards of Appeal

Chambres de recours

Case Number: T 0574/96 - 3.3.1

DECISION of the Technical Board of Appeal 3.3.1 of 30 July 1999

Appellant:	AMERICAN CYANAMID COMANY	
	One Cyanamid Plaza	
	Wayne, NJ 07470-8426 (US)	

Representative:

Wächtershäuser, Günter, Prof. Dr. Patentanwalt Tal 29 D-80331 München (DE)

Decision under appeal:	Decision of the Examining Division of the
	European Patent Office posted 30 January 1996
	refusing European patent application
	No. 92 114 281.6 pursuant to Article 97(1) EPC.

Composition of the Board:

Chairman:	Α.	J. Nuss
Members:	R.	Freimuth
	s.	C. Perryman

Summary of Facts and Submissions

- I. The appeal lodged on 28 March 1996 lies from the decision of the Examining Division posted on 30 January 1996 refusing European patent application No. 92 114 281.6 (European publication No. 0 536 515).
- II. The decision of the Examining Division was based on claims 1 to 20 of the application as filed and annexed thereto. Claims 1 and 11 read as follows:
 - "1. A compound of the formula:





wherein:

X is selected from amino, NR^1R^2 , or halogen; the halogen is selected from bromine, chlorine, fluorine or iodine; and when X = NR^1R^2 and R^1 = hydrogen,

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R<sup>2</sup> = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-
methylpropyl, 2-methylpropyl or 1,1-dimethylethyl;
and when R^1 = methyl or ethyl,
R^2 = methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-
methylpropyl or 2-methylpropyl;
and when R^1 = n-propyl,
R^2 = n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl or
2-methylpropyl;
and when R^1 = 1-methylethyl,
R^2 = n-butyl, 1-methylpropyl or 2-methylpropyl;
and when R^1 = n-butyl,
R^2 = n-butyl, 1-methylpropyl or 2-methylpropyl;
and when R^1 = 1-methylpropyl,
R^2 = 2-methylpropyl;
R is selected from R^4(CH_2)_nCO- or R^{4'}(CH_2)_nSO_2-i
and when R = R^4 (CH_2)_n CO- and n=0,
R<sup>4</sup> is selected from hydrogen; amino; monosubstituted
amino selected from straight or branched (C_1-
C<sub>6</sub>)alkylamino, cyclopropylamino, cyclobutylamino,
benzylamino or phenylamino; disubstituted amino
selected from dimethylamino, diethylamino,
ethyl(1-methylethyl)amino, monomethylbenzylamino,
piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl,
1-(1,2,3-\text{triazolyl}) or 4-(1,2,4-\text{triazolyl}); straight or
branched (C_1-C_4) alkyl group selected from methyl, ethyl,
n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl,
2-methylpropyl or 1,1-dimethylethyl; (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl
group selected from cyclopropyl, cyclobutyl,
cyclopentyl or cyclohexyl; substituted (C_3-C_6)cycloalkyl
group (substitution selected from (C_1-C_3) alkyl, cyano,
amino or (C_1-C_3)acyl; (C_6-C_{10})aryl group selected from
phenyl, á-naphthyl or â-naphthyl; substituted
(C_6-C_{10}) aryl group (substitution selected from halo,
(C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano,
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.../...

 (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; á-amino- (C_1-C_4) alkyl group selected from aminomethyl, á-aminoethyl, á-aminopropyl or á-aminobutyl; $carboxy(C_2-C_4)$ -alkylamino group selected from aminoacetic acid, á-aminobutyric acid or áaminopropionic acid and their optical isomers; (C_7-C_9) aralkylamino group; (C_1-C_4) alkoxycarbonylamino substituted (C_1-C_4) alkyl group, substitution selected from phenyl or p-hydroxyphenyl; \dot{a} -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, á-hydroxyethyl or á-hydroxy-1-methylethyl or á-hydroxypropyl; \dot{a} -mercapto(C₁-C₃)alkyl group selected from mercaptomethyl, á-mercaptoethyl, á-mercapto-1methylethyl or á-mercaptopropyl; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or $Z^1 = N$, O, S or Se , or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted $(C_6-C_{10})aroyl$, $(C_1-C_4)alkylbenzoyl$, or

(heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



 $Z \text{ or } Z^1 = N, O, S \text{ or } Se$,

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1 - C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxylcarbonyl, straight or branched butoxycarbonyl or allyloxycarbonyl; vinyl or substituted vinyl group [substitution selected from $(C_1 - C_2)$ C_3)alkyl group, halogen, (C_6-C_{10}) aryl group selected from phenyl, á-naphthyl, â-naphthyl, substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1 - C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy), halo (C_1-C_3) alkyl group, a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

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Z = N, O, S or Se

 (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₄)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group (substitution selected from (C_1-C_4) alkyl, cyano, carboxy, or (C_6-C_{10}) aryl selected from phenyl, á-naphthyl or ânaphthyl); $R^{a}R^{b}amino(C_{1}-C_{4})alkoxy$ group, wherein $R^{a}R^{b}$ is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^{a}R^{b}$ is $(CH_{2})_{n}$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or $(C_1-C_3)alkyl]$, 0 or S; or $R^{a}R^{b}aminoxy$ group, wherein $R^{a}R^{b}$ is a straight or branched (C1-C4)alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl or $R^{a}R^{b}$ is $(CH_{2})_{n}$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)alkyl$ [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when $R = R^4 (CH_2)_n CO-$ and n=1-4, R⁴ is selected from hydrogen; amino; straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl

. . . / . . .

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group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or $(C_1-C_3)acyl$; $(C_6-C_{10})aryl$ group selected from phenyl, á-naphthyl or â-naphthyl; substituted(C_6-C_{10})aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)aralkyl group; acyloxy or haloacyloxy group selected from acetyl, propionyl, chloroacetyl, trichloroacetyl, (C₃-C₆)cycloalkylcar- bonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se ,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or $Z^1 = N$, O, S or Se , or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_{10}) aralkyloxy group; (C_1-C_3) alkylthio group selected from methylthio, ethylthio, propylthio or allylthio; C_6 -arylthio group selected from phenylthio or substituted phenylthio (substitution selected from halo, (C_1-C_4) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); C_6 -arylsulfonyl group selected from (substitution selected from halo, (C_1-C_4) alkoxy, trihalo (C_1-C_3) alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_8) aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se ,

or a five membered aromatic ring with two N, O,S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



 $Z \text{ or } Z^1 = N, O, S \text{ or } Se$,

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



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(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom; hydroxy group; mercapto group; mono- or di-straight or branched chain (C_1-C_6) alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; (C_2-C_5) azacycloalkyl group; carboxy (C_2-C_4) alkylamino group selected from aminoacetic acid, á-aminopropionic acid, á-aminobutyric acid and their optical isomers; \dot{a} -hydroxy(C₁-C₃)alkyl group selected from hydroxymethyl, á-hydroxyethyl or á-hydroxy-1-methylethyl or á-hydroxypropyl; halo(C_1-C_3) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C₃-C₆)cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se ,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or $Z^1 = N$, O, S or Se , or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C₁-C₄)alkyl; C₆-aryl; substituted C₆-aryl (substitution selected from halo, (C₁-C₄)alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C₁-C₃)alkylamino or carboxy); (C₇-C₉)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

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or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C1-C4)alkoxycarbonylamino group selected from tert-butoxycarbonylamino, allyloxycarbonylamino, methoxycarbonylamino, ethoxycarbonylamino or propoxycarbonylamino; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; $R^{a}R^{b}amino(C_{1}-C_{4})alkoxy$ group, wherein $R^a R^b$ is a straight or branched $(C_1 \mbox{-} C_4) alkyl$ selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)alkyl$ [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or $\mathbb{R}^{a}\mathbb{R}^{b}$ aminoxy group, wherein $R^{a}R^{b}$ is a straight or branched $(C_{1}-C_{4})alkyl$ selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or R^aR^b is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ - wherein W is selected from $-N(C_1-C_3)alkyl$ [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], 0 or S; and when $R = R^{4'}(CH_2)_n SO_2$ - and n = 0, R⁴' is selected from amino; monosubstituted amino selected from straight or branched (C₁-C₆)alkylamino, cyclopropylamino, cyclobutylamino, benzylamino or phenylamino; disubstituted amino selected from dimethylamino, diethylamino, ethyl(1-methylethyl)amino, monomethylbenzylamino, piperidinyl, morpholinyl, 1-imidazolyl, 1-pyrrolyl, 1-(1,2,3-triazolyl) or 4-(1,2,4-triazolyl); straight or branched (C_1-C_4) alkyl

group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C₃-C₆)cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C₃-C₆)cycloalkyl group (substitution selected from (C_1-C_3) alkyl, cyano, amino or $(C_1-C_3)acyl)$; $(C_6-C_{10})aryl$ group selected from phenyl, á-naphthyl or â-naphthyl; substituted (C_6-C_{10}) aryl group (substitution selected from halo, (C_1-C_4) alkoxy, trihalo(C₁-C₃)alkyl, nitro, amino, cyano, (C_1-C_4) alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C₇-C₉)aralkyl group; halo(C₁-C₃)alkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se , or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:

$$\begin{array}{c} \begin{array}{c} z^{1} \\ z \end{array} & \circ r \end{array} \end{array} \begin{array}{c} \begin{array}{c} z^{1} \\ z \end{array} \end{array}$$

Z or
$$Z^1 = N$$
, O, S or Se ,
or a five membered saturated ring with one or two N, O,

S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; $R^{a}R^{b}amino(C_{1}-C_{4})alkoxy$ group, wherein $R^{a}R^{b}$ is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^{a}R^{b}$ is $(CH_{2})_{n}$, n=2-6, or $-(CH_2)_2W-(CH_2)_2-$ wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or $\mathbb{R}^{a}\mathbb{R}^{b}$ aminoxy group, wherein $\mathbb{R}^{a}\mathbb{R}^{b}$ is a straight or branched $(C_{1}-C_{4})$ alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^{a}R^{b}$ is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_2$ wherein W is selected from -N(C₁-C₃)alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], O or S; and when $R = R^{4'}(CH_2)_n SO_2$ and n = 1-4,

R⁴' is selected from hydrogen; straight or branched (C_1-C_4) alkyl group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl or 1,1-dimethylethyl; (C_1-C_4) carboxyalkyl group; (C_3-C_6) cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl; substituted (C_3-C_6) cycloalkyl group (substitution selected from (C₁-C₃)alkyl, cyano, amino or (C₁-C₃)acyl); (C_6-C_{10}) aryl group selected from phenyl, á-naphthyl or \hat{a} -naphthyl; substituted (C_6-C_{10})aryl group (substitution selected from halo, $(C_1-C_4)alkoxy$, trihalo $(C_1-C_3)alkyl$, nitro, amino, cyano, (C₁-C₄)alkoxycarbonyl, (C_1-C_3) alkylamino or carboxy); (C_7-C_9) aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl; (C_1-C_4) alkoxy group; C_6 -aryloxy group selected from phenoxy or substituted phenoxy (substitution selected from halo, (C₁-C₃)alkyl, nitro, cyano, thiol, amino, carboxy, di(C₁-C₃)alkylamino); (C_7-C_{10}) aralkyloxy group; $R^a R^b amino(C_1-C_4)$ alkoxy group, wherein $\mathbb{R}^{a}\mathbb{R}^{b}$ is a straight or branched $(\mathbb{C}_{1}-\mathbb{C}_{4})$ alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $R^{a}R^{b}$ is $(CH_2)_n$, n=2-6, or $-(CH_2)_2W(CH_2)_n$ - wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB[B is selected from hydrogen or (C_1-C_3) alkyl], O or S; or $R^a R^b aminoxy$ group, wherein $R^a R^b$ is a straight or branched (C_1-C_4) alkyl selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, or 2-methylpropyl or $\mathbb{R}^{a}\mathbb{R}^{b}$ is $(CH_{2})_{n}$, n=2-6, or $-(CH_{2})_{2}\mathbb{W}(CH_{2})_{2}$ wherein W is selected from $-N(C_1-C_3)$ alkyl [straight or branched], -NH, -NOB [B is selected from hydrogen or (C_1-C_3) alkyl], 0 or S; (C_1-C_3) alkylthio group selected from methylthio, ethylthio or n-propylthio; C₆-arylthio group selected from phenylthio or substituted

phenylthio (substitution selected from halo, (C_1-C_3) alkyl, nitro, cyano, thiol, amino, carboxy, di (C_1-C_3) alkylamino); (C_7-C_8) aralkylthio group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se , or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



 $Z \text{ or } Z^1$ = N, O, S or Se ,

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O,S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; hydroxy group, mercapto group; mono- or di- straight or branched (C_1-C_6) alkylamino group selected from methyl, ethyl, n-propyl, 1-methylethyl, n-butyl, 1-methylpropyl, 2-methylpropyl, 1,1-dimethylethyl, 2-methylbutyl, 1,1-dimethylpropyl, 2,2-dimethylpropyl, 3-methylbutyl, n-hexyl, 1-methylpentyl, 1,1-dimethylbutyl, 2,2-dimethylbutyl, 2-methylpentyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl or 1-methyl-1-ethylpropyl amino; halo (C_1-C_3) alkyl group; acyl or haloacyl group selected from acetyl, propionyl, chloroacetyl, trifluoroacetyl, (C_3-C_6) cycloalkylcarbonyl, (C_6-C_{10}) aroyl selected from benzoyl or naphthoyl, halo substituted (C_6-C_{10}) aroyl, (C_1-C_4) alkylbenzoyl, or (heterocycle)carbonyl, the heterocycle selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



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Z = N, O, S or Se,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



 $Z \text{ or } Z^1 = N, O, S \text{ or } Se$,

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom; (C_1-C_4) alkoxycarbonyl group selected from methoxycarbonyl, ethoxycarbonyl, straight or branched propoxycarbonyl, allyloxycarbonyl or straight or branched butoxycarbonyl; R^5 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, á-naphthyl or â-naphthyl; (C_7-C_9) aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:



Z = N, O, S or Se,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



Z or $Z^1 = N$, O, S or Se ,

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom; or $-(CH_2)_n COOR^7$ where n=0-4 and R^7 is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl group selected from phenyl, á-naphthyl or â-naphthyl; R⁶ is selected from hydrogen; straight or branched (C_1-C_3) alkyl group selected from methyl, ethyl, n-propyl or 1-methylethyl; (C_6-C_{10}) aryl group selected from phenyl, á-naphthyl or â-naphthyl; (C_7-C_9) aralkyl group; a heterocycle group selected from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom optionally having a benzo or pyrido ring fused thereto:

o r

Z = N, O, S or Se,

or a five membered aromatic ring with two N, O, S or Se heteroatoms optionally having a benzo or pyrido ring fused thereto:



 $Z \mbox{ or } Z^1$ = N, O, S or Se ,

or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom:



(A is selected from hydrogen; straight or branched (C_1-C_4)alkyl; C_6 -aryl; substituted C_6 -aryl (substitution selected from halo, (C_1-C_4)alkoxy, trihalo(C_1-C_3)alkyl, nitro, amino, cyano, (C_1-C_4)alkoxycarbonyl, (C_1-C_3)alkylamino or carboxy); (C_7-C_9)aralkyl group selected from benzyl, 1-phenylethyl, 2-phenylethyl or

phenylpropyl)

or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom; or $-(CH_2)_n COOR^7$ where n=0-4 and $R^{7'}$ is selected from hydrogen; straight or branched (C_1-C_3) alkyl selected from methyl, ethyl, n-propyl or 1-methylethyl; or (C_6-C_{10}) aryl selected from phenyl, á-naphthyl or â-naphthyl; with the proviso that R^5 and R^6 cannot both be hydrogen; or R^5 and R^6 taken together are $-(CH_2)_2W(CH_2)_2$, wherein W is selected from $(CH_2)_n$ and n=0-1, -NH, $-N(C_1-C_3)alkyl$ [straight or branched], $-N(C_1-C_4)$ alkoxy, oxygen, sulfur or substituted congeners selected from (L or D)proline, ethyl(L or D)prolinate, morpholine, pyrrolidine or piperidine; and the pharmacologically acceptable organic and inorganic salts or metal complexes.

The compound according to Claim 1, [4S-(4á,12aá)]-11. 4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide monohydrochloride; [4S-(4á,12aá)]-9-(Acetylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,

5a, 6, 11, 12a-octahydro-3, 10, 12, 12a-tetrahydroxy-1, 11dioxo-9-[(trifluoroacetyl)amino]-2-naphthacenecarboxamide sulfate; [4S-(4á,12aá)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamidesulfate (1:2); [4S-(4á,12aá)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4, 4a, 5, 5a, 6, 11, 12a-octahydro-3, 10, 12, 12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide sulfate (1:2); [4S-(4á,12aá)]-7-(Diethylamino)-4-(dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12 12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4á,12aá)]-9-(Acetylamino)-7-(diethylamino)-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydrox y-1,11 -dioxo-2naphthacenecarboxamide; [4S-(4á,12aá)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2naphthacenecarboxamide; [4S-(4á,12aá)]-4-(Dimethylamino)-9-(formylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2naphthacenecarboxamide sulfate; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(methoxyacetyl)amino]-1,11dioxo-2-naphthacenecarboxamide; [4S-(4á,12aá)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(1oxo-2-propenyl)amino]-2-naphthacenecarboxamide; [4S-(4á,12aá)]-9-[[(Acetyloxy)acetyl]amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide sulfate; [4S-(4á,12aá)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis (dimethylamino)-1,4,4a,5, 5a,6,11,12a-octahydro-3,10, 12,12a-tetrahydroxy-9-[(4-methoxybenzoyl)amino]-1,11dioxo-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha))]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(2methylbenzoyl)amino]-1,11-dioxo-2naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[(2-fluorobenzoyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(pentafluorobenzoyl)amino]-1,11-dioxo-2naphthacenecarboxamide hydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl) benzoyl]amino]-2-naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[(2furanylcarbonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy1,11-dioxo-9-[(2thienylcarbonyl)amino]-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-9-[(4-nitrobenzoyl)amino]-1,11-dioxo-2naphthacenecarboxamide; [4S-(4á,12aá)]-9-[(4-Aminobenzoyl)amino]-4,7-bis-dimethylamino)-

1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11- dioxo-2naphthacenecarboxamidesulfate; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [7S-(7á,10aá)]-[2-[[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a, 7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2naphthacenyl]amino]-2-oxoethyl]carbamic acid 1,1dimethylethyl ester; [4S-(4á,12aá)]-9-[(Aminoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide mono(trifluoroacetate); [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(phenylsulfonyl)amino]-2-naphthacenecarboxamide; [4S-(4á,12aá)]-9-[[(4-Chlorophenyl)sulfonyl]amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(3-nitrophenyl)sulfonyl]amino-1,11-dioxo-2-naphthacenecaboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-9-[[(4nitrophenyl)sulfonyl]amino]-1,11-dioxo-2naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[(2-thienylsulfon [4S-(4á,12aá)]-9[[(2-(Acetylamino)-4-methyl-5thiazolylsulfonyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro- 3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide; [4S-

(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-(formylamino)-1,4,4a,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1pyrrolidinylmethyl)-2-naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(phenylmethoxy)acetyl]amino]-2naphthacenecarboxamide; [7S-(7á,10aá)]-[[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]oxoacetic acid ethyl ester; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[(hydroxyacetyl)amino]-1, 11-dioxo-2-naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-[[(methylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboximide hydrochloride; [4S-(4á,12aá)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2naphthacenecarboxamide sulfate; [7S(7á,10aá)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester; [7S-(7á,10aá)]-[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,

8,10a,11-tetrahydroxy-10,12-dioxo-2naphthacenyl]carbamic acid (2-diethylamino)ethyl ester; [7S-(7á,10aá)][9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2naphthacenyl]carbamic acid ethenyl ester; [7S-(7á,10aá)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid 2-propenyl ester; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-9-[(methoxyacetyl)amino]-1,11-dioxo-2naphthacenecarboxamide hydrochloride; [4S-(4á,12aá)]-9-[(4-Bromo-1-oxobutyl)amino]-4,7-bis(dimethylamino)-1,4, 4a, 5, 5a, 6, 11, 12a-octahydro-3, 10, 12, 12a-tetrahydroxy-1, 11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4á, 12aá)]-9-[[(Acetyloxy)acetyl]amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide; [4S-(4á,12aá)]-9-(Benzoylamino)-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide sulfate; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-9-[[3-(trifluoromethyl)benzoyl]amino]-2naphthacenecarboxamide hydrochloride; [4S-(4á,12aá)]-9-[(4-Aminobenzoyl)amino]-4,7-bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11dioxo-2-naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-[[(4-dimethylamino)benzoyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [7S-(7á,10aá)]-[2-[[9-(Aminocarbonyl)-4, 7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1, 8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]amino]-2-oxoethyl]carbamic acid 1,1-dimethylethyl ester hydrochloride; [4S-(4á,12aá]-9-[(Aminoacetyl)amino]-4,7-bis-dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[(ethylsulfonyl)amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-9-[(methanesulfonyl)amino]-1,11-dioxo-2naphthacenecarboxamide sulfate; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[(phenylmethoxy)acetyl]amino]-2-naphthacenecarboxamide hydrochloride; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-9-[(hydroxyacetyl)amino]-1,11-dioxo-2naphthacenecarboxamide sulfate; [4S-(4á,12aá)]-4-(Dimethylamino)-9-(acetylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-7-iodo-1,11-dioxo-2naphthacenecarboxamide; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy -1,11-dioxo-2-naphthacenecarboxamide; [7S-(7á,10aá)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-

tetrahydroxy-10,12-dioxo-2-naphthacenyl]carbamic acid methyl ester sulfate; [7S-(7á,10aá)]-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10, 10a, 12-octahydro-1, 8, 10a, 11-tetrahydroxy-10, 12-dioxo-2naphthacenyl]carbamic acid (2-diethylamino)ethyl ester hydrochloride; [7S-(7á,10aá)]-[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8, 10a, 11-tetrahydroxy-10, 12-dioxo-2-naphthacenyl]carbamic acid ethenyl ester sulfate; [7S-(7á,10aá)]-[9-(Aminocarbonyl) - 4, 7-bis(dimethylamino) - 5, 5a, 6, 6a, 7, 10,10a, 12-octahydro-1, 8, 10a, 11-tetrahydroxy-10, 12-dioxo-2naphthacenyl]carbamic acid 2-propenyl ester hydrochloride; [4S-(4á,12aá]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide sulfate; [4S(4á,12aá]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide hydrochloride; [4S-(4á,12aá]-4,7-Bis(dimethylamino)-9-[[(diethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4á,12aá)]-4,7-Bis(dimethylamino)-9-(chloroacetylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-9-[(Chloroacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-

[(Bromoacetyl)amino]-4,7-bis(dimethylamino)-1,4,4a,5, 5a, 6, 11, 12a-octahydro-3, 10, 12, 12a-tetrahydroxy-1, 11dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide (free base); [4S-(4alpha,12aalpha)]-9-[(Bromoacetyl)amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11, 12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide monohydrobromide; [4S-(4alpha, 12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide hydrobromide; [4S-(4alpha,12aalpha)]-9-[(2-Bromo-1-oxopropyl)amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide hydrobromide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-9-[[(methylamino)acetyl]amino]-1,11-dioxo-2naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-4morpholineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(ethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-9-[[(Cyclopropylamino)acetyl]amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(butylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-9-[[(Diethylamino)acetyl]amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12, 12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1pyrrolidineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a, 5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-[[[(2-methylpropyl)amino]acetyl]amino]-1,11-dioxo-2naphthacenecarboxamide dihydrochloride; [7S-(7alpha, 10aalpha)]-N-[9-(Aminocarbonyl)-4,7-bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1piperidineacetamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]-1Himidazole-1-acetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-9-[[(propylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(hexylamino)acetyl]amino]-

1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[2-(dimethylamino)-1oxopropyl]amino]-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2napthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-9-[[2-(methylamino)-1-oxopropyl]amino]-1,11-dioxo-2-naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-naphthacenyl]alpha-methyl-1-pyrrolidineacetamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[4-(dimethylamino)-1-oxobutyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2napthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-9-[[(Butylmethylamino)acetyl]amino]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide dihydrochloride; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12atetrahydroxy-1,11-dioxo-9-[[(pentylamino)acetyl]amino]-2-naphthacenecarboxamide dihydrochloride; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-9-[[[(phenylmethyl)amino]acetyl]amino]-2naphthacenecarboxamide dihydrochloride; [7S-(7alpha,10aalpha)]-N-[2-[[9-(Aminocarbonyl)-4,7bis(dimethylamino)-5,5a,6,6a,7,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2naphthacenyl]amino]-2-oxoethyl]glycine; [4S-(4alpha, 12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1pyrrolidinylmethyl)-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(4morpholinylmethyl)-2-naphthacenecarboxamide; [4S-(4alpha,12aalpha)]-4,7-Bis(dimethylamino)-9-[[(dimethylamino)acetyl]amino]-1,4,4a,5,5a,6,11,12aoctahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-N-(1piperidinylmethyl)-2-naphthacenecarboxamide; [7S-(7alpha,10aalpha)]-N-[9-(Aminocarbonyl-4,7bis(dimethylamino)-5,5a,6,6a,7,10,10a,12-octahydro-1,8,10a,11-tetrahydroxy-10,12-dioxo-2-napthacenyl]-1azetidineacetamide; [4S-(4alpha, 12aalpha)]-9-[[(Cyclobutylamino)acetyl]amino]-4,7bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2naphthacenecarboxamide hydrochloride."

III. The Examining Division held that claims 1 and 11 did not meet the requirements of Article 84 EPC. More particularly, the Examining Division considered claim 1 to be unclear since it was not possible to establish with absolute certainty within a reasonable time whether any single compound fell within the scope of that claim due to Markush formulae formulated in a complex manner. Problems arose from the enormous length of the claim, the large number of variables often defined in terms of further variables and the manifold provisos. To combine these features caused the mental processes, which determined whether a compound was

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covered by the claim, to break down in confusion rendering it impossible for the claim to define the matter for which protection is sought. The complexity of a claim could not be increased indefinitely and still meet the requirements of Article 84 EPC. In such a case it must be possible to declare the claim *prima facie* unclear. With regard to claim 11 the long list of complex chemical names in that claim presented an undue burden on the person trying to establish whether a compound fell within the scope of that claim.

- IV. The Appellant (Applicant) submitted that claims 1 and 11 did not lack clarity as stipulated by Article 84 EPC. More particularly, neither the complexity of the claims nor the time needed by a reader to establish whether or not a single compound fell within the scope of the claims were issues to be considered pursuant to Article 84 EPC. Claim 1 showed a clear and concise hierarchical construction which prevented any confusion of the skilled reader. The two polycyclic formulae of claim 1 had only four substituents (R, X, R⁵, R⁶). The substituent X had a very brief definition over 13 lines. The substituent R was defined hierarchically on the basis of the provisos:
 - (A) $R = R^4 (CH_2)_n CO- and n=0$, or
 - (B) $R = R^4 (CH_2)_n CO-$ and n=1-4, or
 - (C) $R = R^{4'}(CH_2)_n SO_2 and n = 0, or$
 - (D) $R = R^{4'}(CH_2)_n SO_2 and n = 1-4$.

Those provisos were further defined on one to two pages each. Finally the substituents R⁵ and R⁶ were then defined on 3/4 of a page. Claim 11 had been written in accordance with standard chemical nomenclature which could not cause any lack of clarity.

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V. The Appellant requested that the decision under appeal be set aside and that the case be remitted to the Examining Division for further prosecution. Auxiliarily he requested that oral proceedings be appointed in case the request was not held allowable.

Reasons for the Decision

- 1. The appeal is admissible.
- 2. The only issue arising from this appeal is whether or not claims 1 and 11 satisfy the requirement of clarity within the meaning of Article 84 EPC, which is stated in the decision under appeal as being the sole ground for refusal of the application.
- 3. Article 84 EPC
- 3.1 In the contested decision claim 1 comprising Markush-formulae has been objected to under the heading of clarity as being formulated in a so complex manner that it was impossible to establish within a reasonable time whether any single compound fell within the scope of that claim.

The Board observes that the European Patent Convention does not prescribe the absence of complexity from a claim as a legal requirement for a patent to be granted thereupon. The Board would also consider any such requirement as unreasonable, because if the nature of an invention to be patented is such that it cannot be claimed in a nutshell, this must be accepted.

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For that reason, the Examining Division has based the objection to complexity on lack of clarity pursuant to Article 84 EPC. However, in the present case Article 84 EPC offers no basis for objecting that the claim is too complex. In the Board's judgement, complexity as such is not equivalent to lack of clarity. Clarity under Article 84 EPC is not at stake in a case of mere complexity of a claim provided the subject-matter for which protection is sought and the scope thereof are clear and unambiguous for a person skilled in the art, either per se or in the light of the description. Further, the Board cannot see from the decision under appeal what is meant by "within a reasonable time", apart from possibly that it takes longer than average to examine the subject-matter of the claim.

The Board noted furthermore that despite the rather unusual length of claim 1 the Examining Division did not challenge its conciseness, another requirement to be met under Article 84 EPC. Indeed Article 84 EPC cannot be construed in such a way that only inventions which are straightforward would have access to patent protection, whereas complex inventions would be locked out from that protection. It would be improper to refuse to examine that which applicants regard as their invention. This does not mean, however, that lack of conciseness could not arise in particular circumstances, since each case has to be decided on its own merits.

3.2 In the present case claim 1 is directed to

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compounds having either of two related polycyclic Markush-formulae indicated at the beginning of that claim on page 253, lines 5 to 15. Those formulae bear exclusively the four variable substituents X, R, R^5 and R^6 which are nested each one within another in a hierarchical order (see point II above). The key to the hierarchical order emerges from the ingenious use of semicolons, commas, round brackets and square brackets throughout that claim.

- 3.2.1 The substituent X is defined on page 253, lines 16 to 34 in a clear-cut hierarchical manner and has not been objected to in the decision under appeal. Thus, it is unnecessary for the Board to go into more detail on this matter.
- 3.2.2 The substituent R is defined on page 253, line 35 as representing either $R^4(CH_2)_nCO$ - or $R^{4'}(CH_2)_nSO_2$ -, the respective substituents R^4 and $R^{4'}$ and the index n being then specified each with respect to the following provisos:
 - (A) $R = R^4 (CH_2)_n CO-$ and n=0 (page 253, line 36),

(B) $R = R^4 (CH_2)_n CO-$ and n=1-4 (page 258, line 31),

- (C) $R = R^{4'}(CH_2)_n SO_2$ and n = 0 (page 264, line 13), or
- (D) $R = R^{4'}(CH_2)_n SO_2$ and n = 1-4 (page 266, line 18).
- 3.2.2.1 If proviso (A) applies, the substituent R⁴ is selected from the following list of first order starting with "hydrogen" on page 253, line 37 and

ending with the "R^aR^baminoxy group" on page 258, line 24 and indicating the alternative groups:

hydrogen; amino; monosubstituted amino; disubstituted amino; straight or branched (C_1-C_4) alkyl group; (C_3-C_6) cycloalkyl group; substituted (C_3-C_6) cycloalkyl group; (C_6-C_{10}) aryl group; substituted (C_6-C_{10}) aryl group; (C₇-C₉)aralkyl group ; á-amino-(C₁-C₄)alkyl group; carboxy(C₂-C₄)-alkylamino group; (C₇-C₉)aralkylamino group; (C₁-C₄)alkoxycarbonylamino substituted (C₁-C₄)alkyl group; á-hydroxy-(C₁-C₃)alkyl group; á-mercapto(C₁-C₃)alkyl group; halo(C₁-C₃)alkyl group; a heterocycle group; acyl or haloacyl group; (C_1-C_4) alkoxycarbonyl group; vinyl or substituted vinyl group; (C_1-C_4) alkoxy group; C₆-aryloxy group; (C₇-C₁₀)aralkyloxy group; vinyloxy or substituted vinyloxy group; $R^{a}R^{b}amino(C_{1}-C_{4})alkoxy$ group; or $R^{a}R^{b}aminoxy$ group.

3.2.2.1.1 Numerous groups of that list of first order are more narrowly defined by making a further selection from particular lists of second order consisting each of specific alternative groups.

> For example, the "heterocyle group" comprised in the list of first order is to be selected from the list of second order starting on page 254, line 32, and ending on page 256, line 5, and consisting of five alternative groups, i.e. a five membered aromatic or saturated ring with one N, O, S or Se heteroatom as defined in two particular formulae, or a five membered aromatic ring with two N, O, S

or Se heteroatoms as defined in two particular formulae, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom as defined in three particular formulae, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom.

The "acyl or haloacyl group" comprised in the list of first order is to be selected from the list of second order starting with the "acetyl" group on page 256, line 6, and ending with the "(heterocycle)carbonyl" group on page 256, line 10.

The substitution of the "substituted vinyl" group comprised in the list of first order is to be selected from the list of second order starting with the " (C_1-C_3) alkyl" group on page 257, line 24, and ending with the "heterocycle group" on page 257, line 30.

The substituents R^a and R^b contained in both " $R^aR^bamino(C_1-C_4)alkoxy$ " and " $R^aR^baminoxy$ " groups of the list of first order, are defined in lists of second order as representing either a "straight or branched $(C_1-C_4)alkyl$ " group (page 258, lines 18 and 25), or a " $(CH_2)_n$ " group (page 258, lines 20 and 27), or a " $-(CH_2)_2W(CH_2)_2$ -" group (page 258, lines 21 and 28).

3.2.2.1.2 Some groups of those lists of second order are more narrowly defined by making a further selection from

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.../...

particular lists of third order consisting each of specific alternative groups.

For example, the heterocycle of the "(heterocycle)carbonyl" group comprised in the list of second order on page 256, line 10, is to be selected from the list of third order starting on page 256, line 10, and ending on page 257, line 20, and consisting of five alternative groups, i.e. a five membered aromatic or saturated ring with one N, O, S or Se heteroatom as defined in two particular formulae, or a five membered aromatic ring with two N, O, S or Se heteroatoms as defined in two particular formulae, or a five membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended O heteroatom as defined in three particular formulae, or a six membered aromatic ring with one to three N, O, S or Se heteroatoms, or a six membered saturated ring with one or two N, O, S or Se heteroatoms and an adjacent appended 0 heteroatom.

The substitution of the "substituted (C_6-C_{10}) -aryl group" comprised in the list of second order on page 257, lines 26 and 27, is to be selected from the list of third order starting with "halo" on page 257, line 27, and ending with the "carboxy" group on page 257, line 29.

The "heterocycle group" comprised in the list of second order on page 257, line 30 is to be selected, in third order, from a five membered aromatic or saturated ring with one N, O, S or Se heteroatom as defined in two particular formulae. The group W of the $"-(CH_2)_2W(CH_2)_2-"$ group comprised in the list of second order on page 258, lines 21 and 28 is to be selected from the lists of third order on page 258, lines 21 and 22 and page 258, lines 28 and 29 respectively, consisting of five alternative groups, i.e. $-N(C_1-C_3)alkyl$, -NH, -NOB, O or S.

3.2.2.1.3 Very few groups of those lists of third order are even more narrowly defined by making a further selection from particular lists of fourth order consisting each of specific alternative groups.

> For example, the group B of the "NOB" group comprised in the list of third order on page 258, lines 22 and 28 is to be selected from the list of fourth order on page 258, lines 23 and 29, i.e. hydrogen or (C_1-C_3) alkyl.

3.2.2.2 If proviso (B) applies, the substituent R⁴ is selected from the following list of first order starting with "hydrogen" on page 258, line 31 and ending with the "R^aR^baminoxy group" on page 264, line 4 and indicating the alternative groups:

> hydrogen; amino; straight or branched (C_1-C_4) alkyl group; (C_3-C_6) cycloalkyl group; substituted (C_3-C_6) cycloalkyl group; (C_6-C_{10}) aryl group; substituted (C_6-C_{10}) aryl group; (C_7-C_9) aralkyl group; acyloxy or haloacyloxy group; (C_1-C_4) alkoxy group; C_6 -aryloxy group; (C_7-C_{10}) aralkyloxy group; (C_1-C_3) alkylthio group; C_6 -arylthio group; C_6 -arylsulfonyl group; (C_7-C_8) aralkylthio group; a heterocycle group;

hydroxy group; mercapto group; mono- or distraight or branched chain (C_1-C_6) alkylamino group; (C_2-C_5) azacycloalkyl group; carboxy (C_2-C_4) alkylamino group; á-hydroxy (C_1-C_3) alkyl group; halo (C_1-C_3) alkyl group; acyl or haloacyl group; (C_1-C_4) alkoxycarbonylamino group; (C_1-C_4) alkoxycarbonyl group; R^aR^bamino (C_1-C_4) alkoxy group; or R^aR^baminoxy group.

Those groups are then to be selected from further lists of second, third and fourth order in accordance with the hierarchical key set out in points 3.2.2.1.1 to 3.2.2.1.3 above.

3.2.2.3 If proviso (C) applies, the substituent R⁴' is selected from the following list of first order starting with "amino" on page 264, line 13 and ending with the "R^aR^baminoxy group" on page 266, line 10 and indicating the alternative groups:

> amino; monosubstituted amino; disubstituted amino; straight or branched (C_1-C_4) alkyl group; (C_3-C_6) cycloalkyl group; substituted (C_3-C_6) cycloalkyl group; (C_6-C_{10}) aryl group; substituted (C_6-C_{10}) aryl group; (C_7-C_9) aralkyl group; halo (C_1-C_3) alkyl group; a heterocycle group; R^aR^b amino (C_1-C_4) alkoxy group; or R^aR^b aminoxy group.

Those groups are then to be selected from further lists of second, third and fourth order in accordance with the hierarchical key set out in points 3.2.2.1.1 to 3.2.2.1.3 above. 3.2.2.4 If proviso (D) applies, the substituent R^4 ' is selected from the following list of first order starting with "hydrogen" on page 266, line 18 and ending with the " (C_1-C_4) alkoxycarbony group" on page 270, line 13 and indicating the alternative groups:

> hydrogen; straight or branched (C_1-C_4) alkyl group; (C_1-C_4) carboxyalkyl group; (C_3-C_6) cycloalkyl group; substituted (C_3-C_6) cycloalkyl group; (C_6-C_{10}) aryl group; substituted (C_6-C_{10}) aryl group; (C_7-C_9) aralkyl group; (C_1-C_4) alkoxy group; C_6 -aryloxy group; (C_7-C_{10}) aralkyloxy group; C_6 -aryloxy group; group; R^aR^b aminoxy group; (C_1-C_3) alkylthio group; C_6 -arylthio group; (C_7-C_8) aralkylthio group; a heterocycle group; hydroxy group; mercapto group; mono- or di- straight or branched (C_1-C_6) alkylamino group; halo (C_1-C_3) alkyl group; acyl or haloacyl group; or (C_1-C_4) alkoxycarbonyl group.

Those groups are then to be selected from further lists of second, third and fourth order in accordance with the hierarchical key set out in points 3.2.2.1.1 to 3.2.2.1.3 above.

- 3.2.3 The substituents R⁵ and R⁶ are defined on page 270, line 17 to page 273, line 16 following the same hierarchical order as set out in point 3.2.2.1 above in detail.
- 3.3 Therefore, claim 1 defines for a person skilled in the art clearly and unambiguously the subject-matter for

which protection is sought and the scope thereof due to the hierarchical construction followed throughout that claim.

3.4 In the contested decision dependent claim 11 has been objected to under the heading of clarity since it comprised a long list of complex chemical names.

> However, the chemical names objected to are drafted in accordance with the standards for chemical nomenclature conventional in the art. Therefore, they reveal unambiguously the corresponding chemical compounds to the person skilled in the art without any remaining unclarity.

- 3.5 For these reasons, in the Board's judgement, neither claim 1 nor claim 11 can be challenged with respect to clarity solely for their complexity and the Board concludes that those claims meet to that extent the requirements of Article 84 EPC.
- 4. In these circumstances, the examination not having been concluded and in view of the Appellant having requested remittal, the Board exercises its power under Article 111 (1) EPC to remit the case to the Examining Division for further prosecution.
- 5. When resuming examination proceedings, the Examining Division may consider whether or not example 132 is within the scope of claim 1 and whether or not the term "acyloxy or haloacyloxy group" on page 259, line 6 is correct, both objections having been noted in the decision under appeal, but not forming part of the reasons of the decision. Moreover, the Examining

Division is directed to consider the matter whether or not the definition given *inter alia* on page 255, lines 20 to 22, which comprises a reference to S and Se heteroatoms, is consistent with the three heterocyclic formulae that follow in the claim.

6. Since the Appellant's main request succeeds there is no need for the Board to consider its auxiliary request for oral proceedings.

Order

For these reasons it is decided that:

- 1. The decision under appeal is set aside.
- 2. The case is remitted to the first instance for further prosecution.

The Registrar:

The Chairman:

E. Görgmaier

A. Nuss