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(54) Titre : NOUVEAUX CONJUGUES LIANT-PRINCIPE ACTIF (ADC) ET LEUR UTILISATION

(54) Title: NOVEL BINDER-DRUG CONJUGATES (ADCS) AND THEIR USE

(57) **Abrégé/Abstract:**

The present application relates to novel, anti-C4,4a binder-drug conjugates (ADCs) of N,N-dialkyl auristatins, to effective metabolites of said ADCs, to methods for producing said ADCs, to the use of said ADCs for treating and/or preventing diseases, and to the use of said ADCs for producing pharmaceuticals for treating and/or preventing diseases, in particular hyperproliferative and/or angiogenic diseases, such as cancers. Such treatments can be carried out as monotherapy or in combination with other pharmaceuticals or additional therapeutic measures.

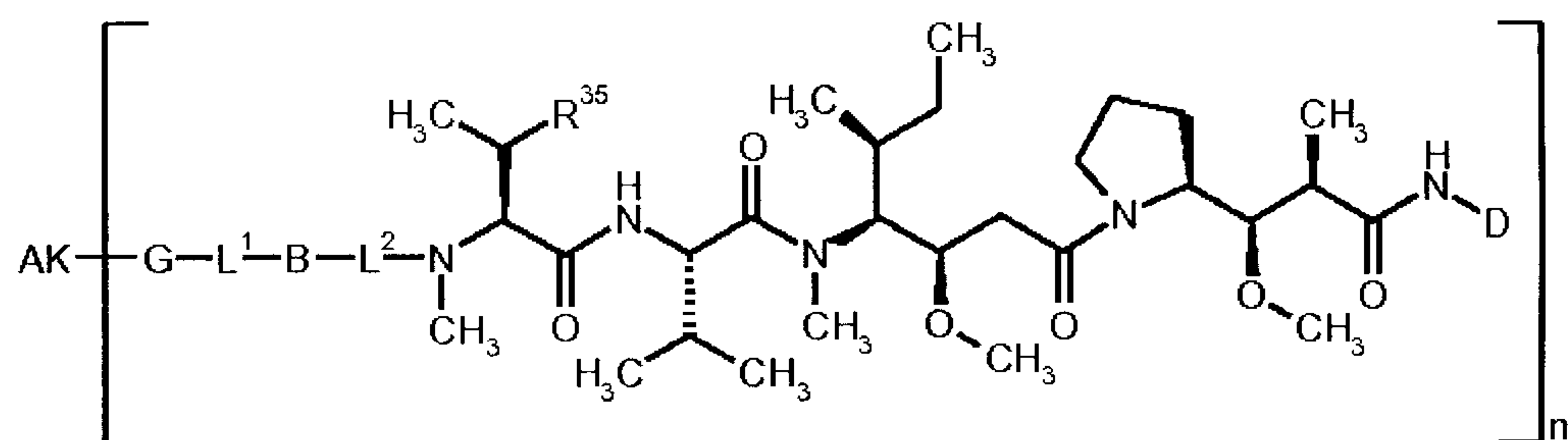
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Abstract

The present application relates to novel, anti-C4,4a binder-drug conjugates (ADCs) of N,N-dialkyl auristatins, to effective metabolites of said ADCs, to methods for producing said ADCs, to the use of said ADCs for treating and/or preventing diseases, and to the use of said ADCs for producing pharmaceuticals for treating and/or preventing diseases, in particular hyperproliferative and/or angiogenic diseases, such as cancers. Such treatments can be carried out as monotherapy or in combination with other pharmaceuticals or additional therapeutic measures.

Claims

1. Binder-drug conjugates of the general formula (Ia)



(Ia),

5 in which

n is a number from 1 to 50,

AK is a binder,

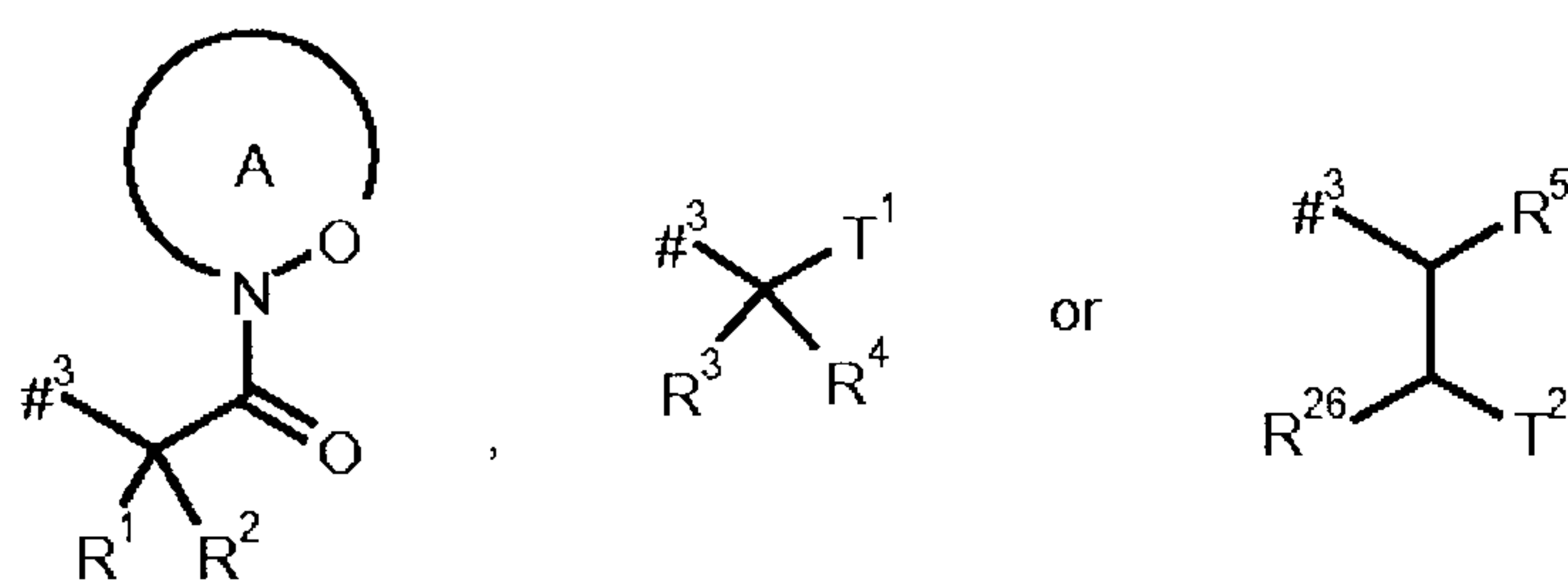
the group $\S$ -G-L¹-B-L²- $\S\S$ is a linker,

where

10 $\S$ marks the linkage site with the group AK and

$\S\S$ marks the linkage site with the nitrogen atom,

D is a group of the formula



where

15 #³ marks the linkage site with the nitrogen atom,

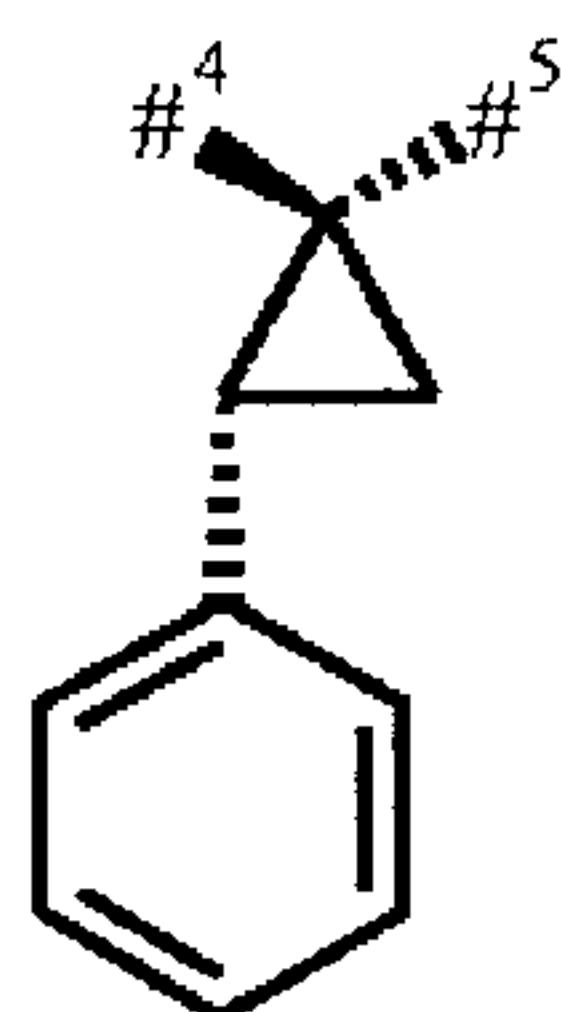
R¹ is hydrogen or methyl,

R^2 is isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, phenyl, benzyl, 1-hydroxyethyl, 4-hydroxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-hydroxy-3-aminobenzyl, 1-phenylethyl, diphenylmethyl, 1*H*-imidazol-4-ylmethyl or 1*H*-indol-3-ylmethyl,

5

or

R^1 and R^2 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



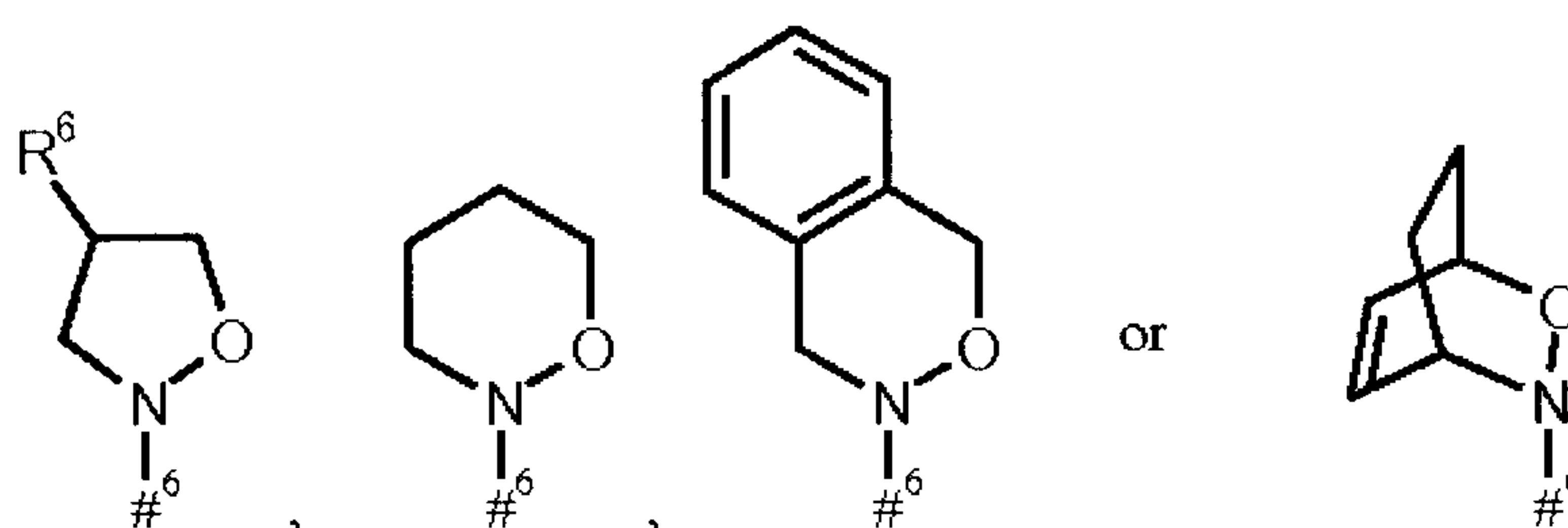
in which

10

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



15

in which

#⁶ marks the linkage site with the carbonyl group,

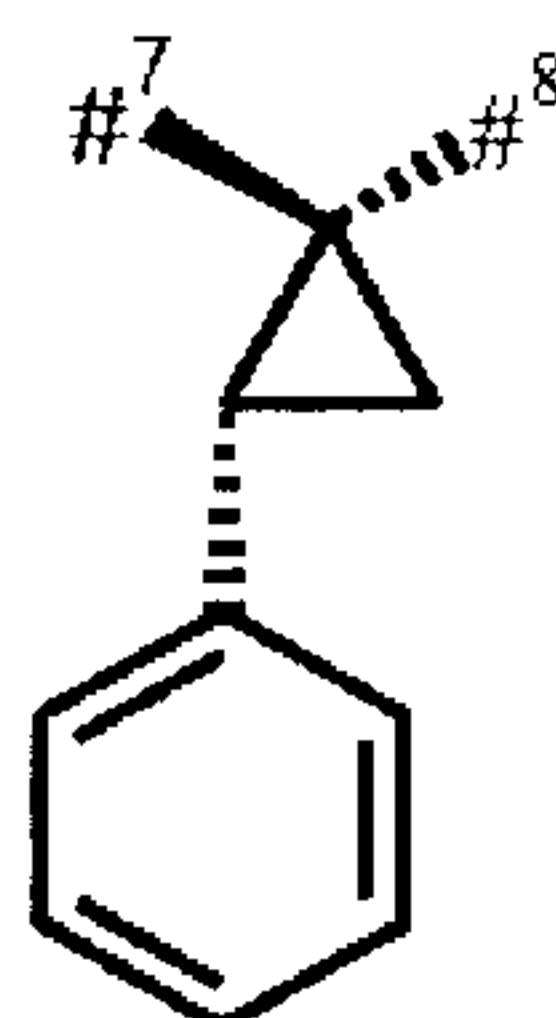
R^6 is hydrogen, hydroxy or benzyloxy,

R^3 is hydrogen or methyl,

R^4 is isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, phenyl, benzyl, 1-hydroxyethyl, 4-hydroxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-hydroxy-3-aminobenzyl, 1-phenylethyl, diphenylmethyl, 1*H*-imidazol-4-ylmethyl or 1*H*-indol-3-ylmethyl,

5 or

R^3 and R^4 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

10 $\#^7$ marks the linkage site with the adjacent nitrogen atom,

$\#^8$ marks the linkage site with the group T^1 ,

T^1 is a group of the formula $-C(=O)-OR^7$, $-C(=O)-NR^8R^9$, $-C(=O)-NH-NH-R^{10}$ or $-CH_2-O-R^{11}$,

in which

15 R^7 is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R^8 is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

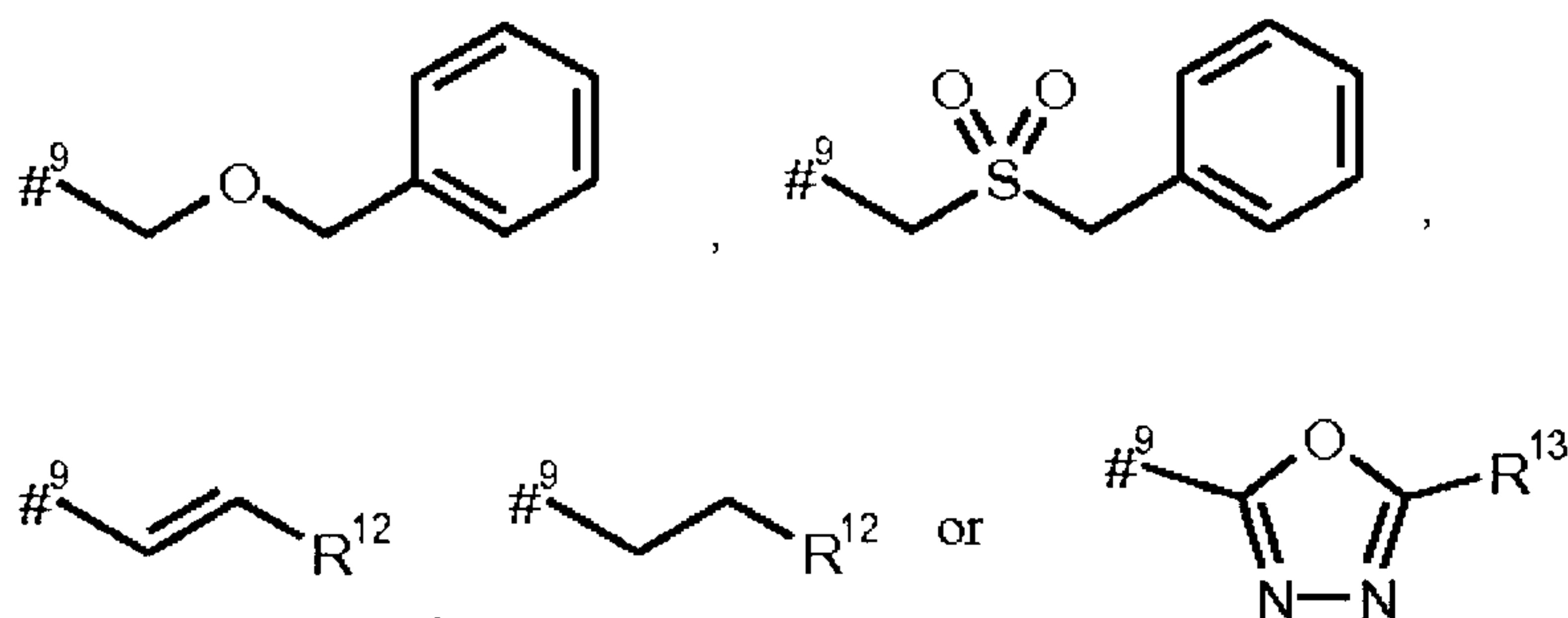
or

20 R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

R^{10} is benzoyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



in which

$\#^9$ marks the linkage site with $\text{-CHC(R}^{26}\text{)-T}^2$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $\text{-S(O)}_2\text{OH}$,

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{26} is hydrogen or hydroxy,

T^2 is phenyl, benzyl, 1*H*-indol-3-yl or 1*H*-indol-3-ylmethyl,

R^{35} is methyl or hydroxy,

and also their salts, solvates and solvates of the salts.

2. Binder-drug conjugates of the general formula (Ia) according to Claim 1, in which

n is a number from 1 to 50,

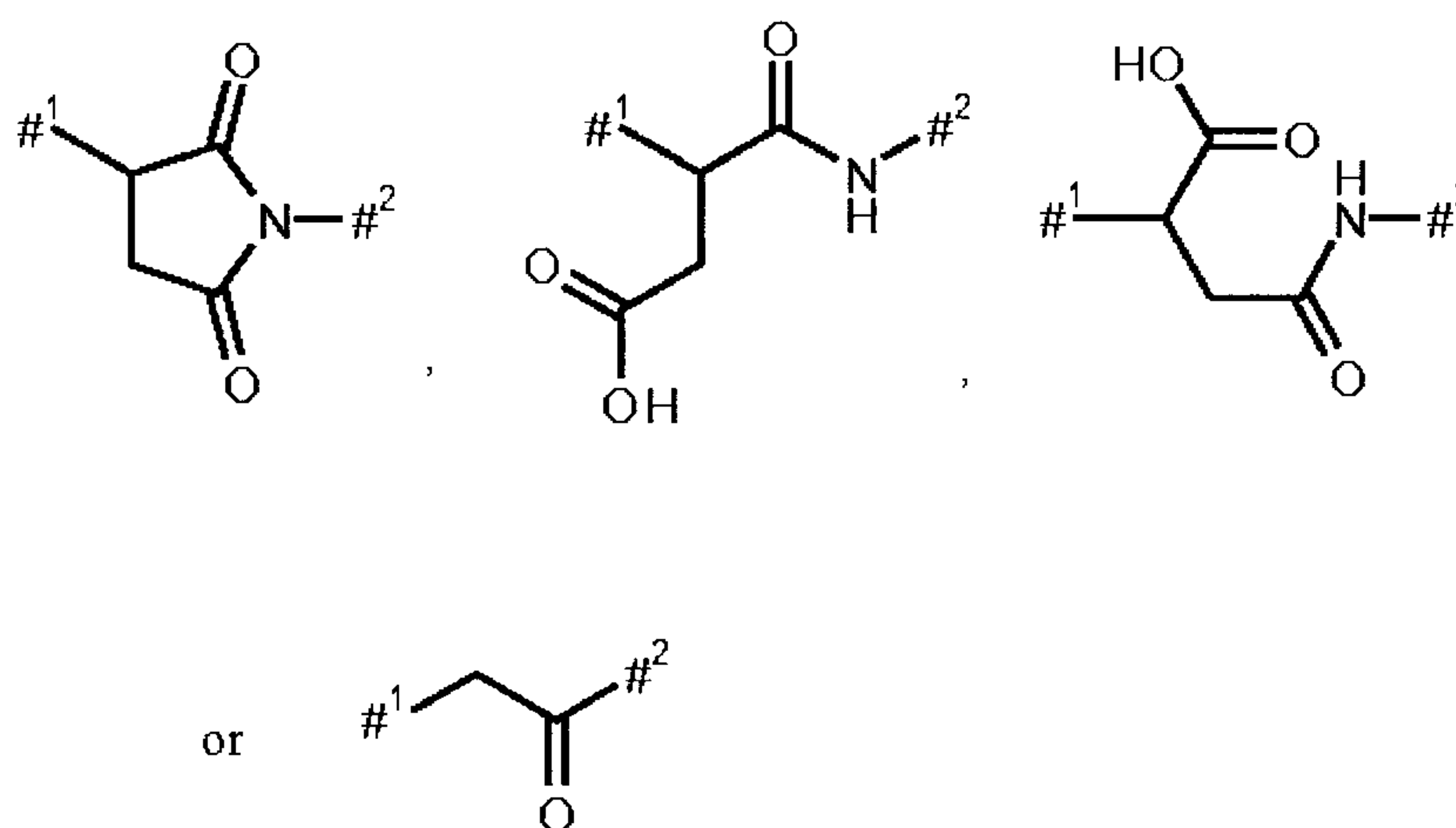
AK is AK_1 or AK_2

where

AK_1 is a binder which is bonded via a sulphur atom of the binder to the group G ,

AK_2 is a binder which is bonded via a nitrogen atom of the binder to the group G ,

G when $AK = AK_1$, is a group of the formula



where

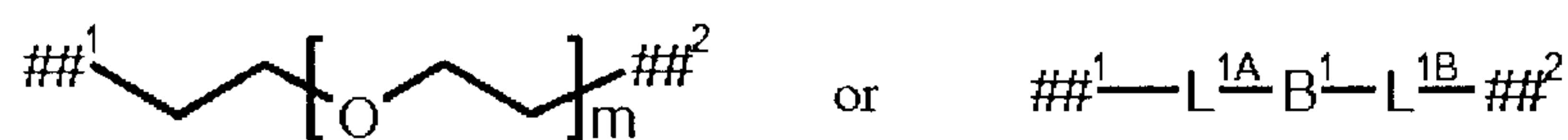
$\#^1$ marks the linkage site with the sulphur atom of the binder,

5 $\#^2$ marks the linkage site with the group L^1 ,

or

when $AK = AK_2$, is carbonyl,

L^1 is a bond, linear (C_1-C_{10}) -alkanediyl, a group of the formula



10 where

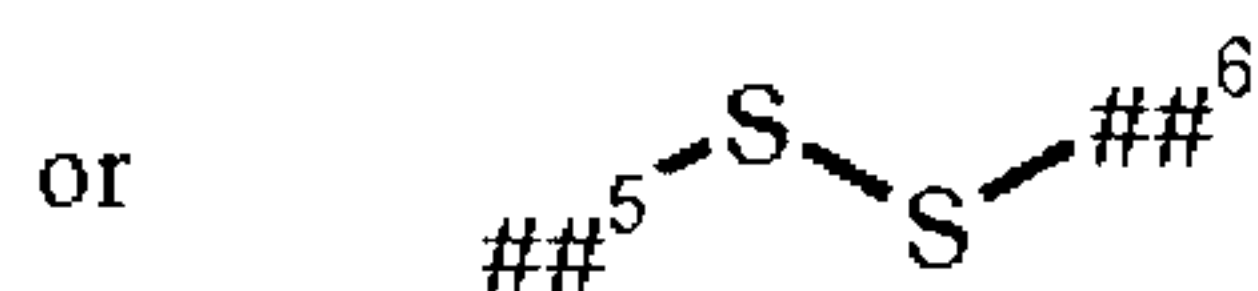
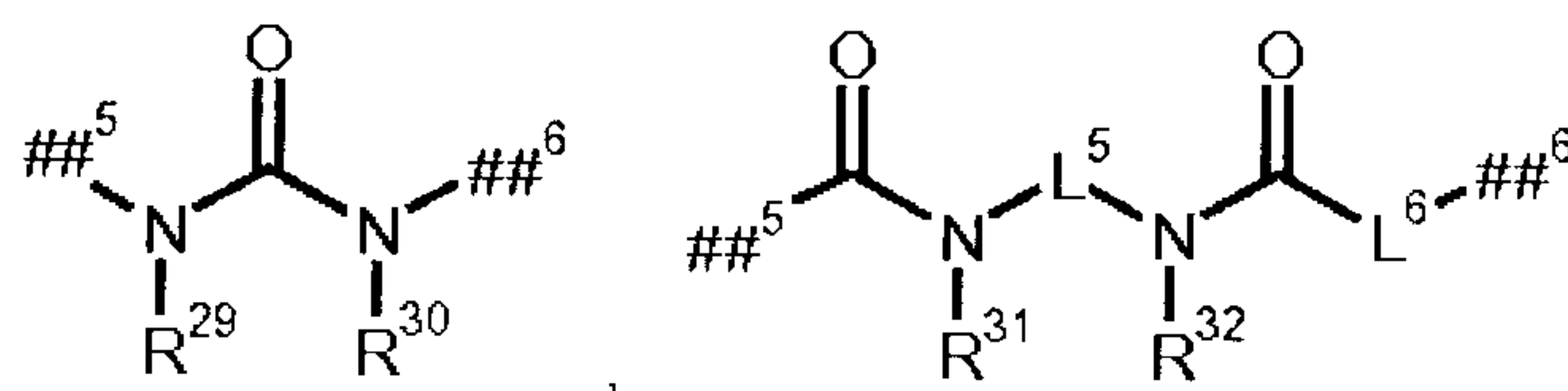
m is a number from 2 to 6,

$\# \# ^1$ marks the linkage site with the group G,

$\# \# ^2$ marks the linkage site with the group B,

L^{1A} is linear (C_2-C_{10}) -alkanediyl,

15 B^1 is a group of the formula



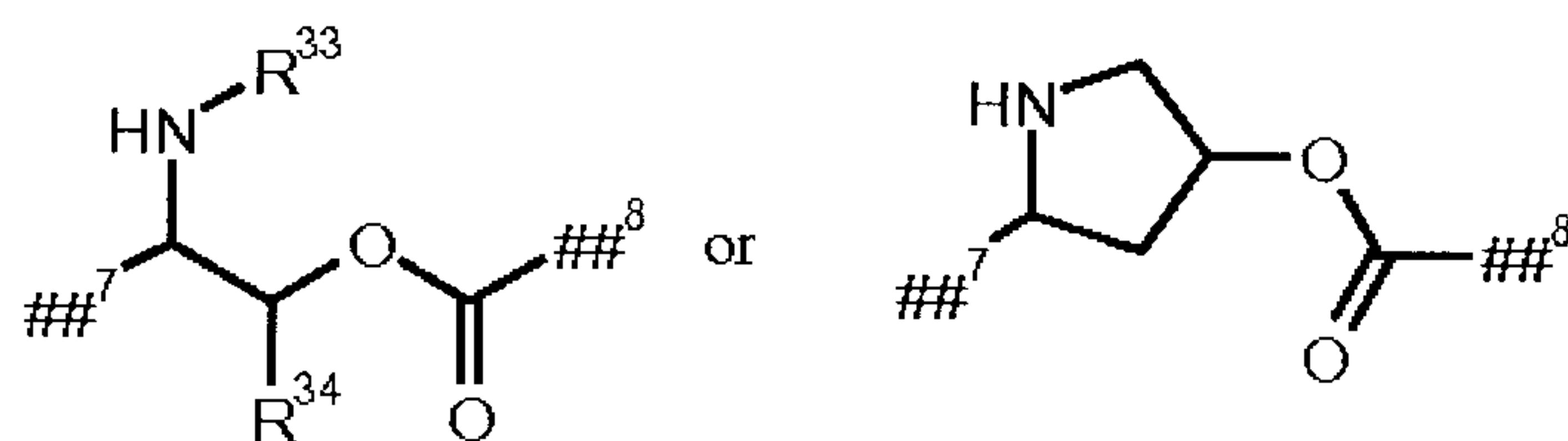
in which

##⁵ marks the linkage site with the group L^{IA},

##⁶ marks the linkage site with the group L^{IB},

5 L⁵ is a bond or (C₂-C₄)-alkanediyl,

L⁶ is a bond or a group of the formula



in which

##⁷ marks the linkage site with the carbonyl group,

10 ##⁸ marks the linkage site with L^{IB},

R³³ is hydrogen, (C₁-C₄)-alkylcarbonyl, tert-butyloxycarbonyl or benzyloxycarbonyl,

R³⁴ is hydrogen or methyl,

R²⁹ is hydrogen or (C₁-C₄)-alkyl,

15 R³⁰ is hydrogen or (C₁-C₄)-alkyl,

or

R²⁹ and R³⁰ together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

R^{31} is hydrogen or (C₁-C₄)-alkyl,

R^{32} is hydrogen or (C₁-C₄)-alkyl,

or

R^{31} and R^{32} together with the atoms to which they are bonded form a 5-
5 or 6-membered heterocycle,

L^{1B} is linear (C₂-C₁₀)-alkanediyl,

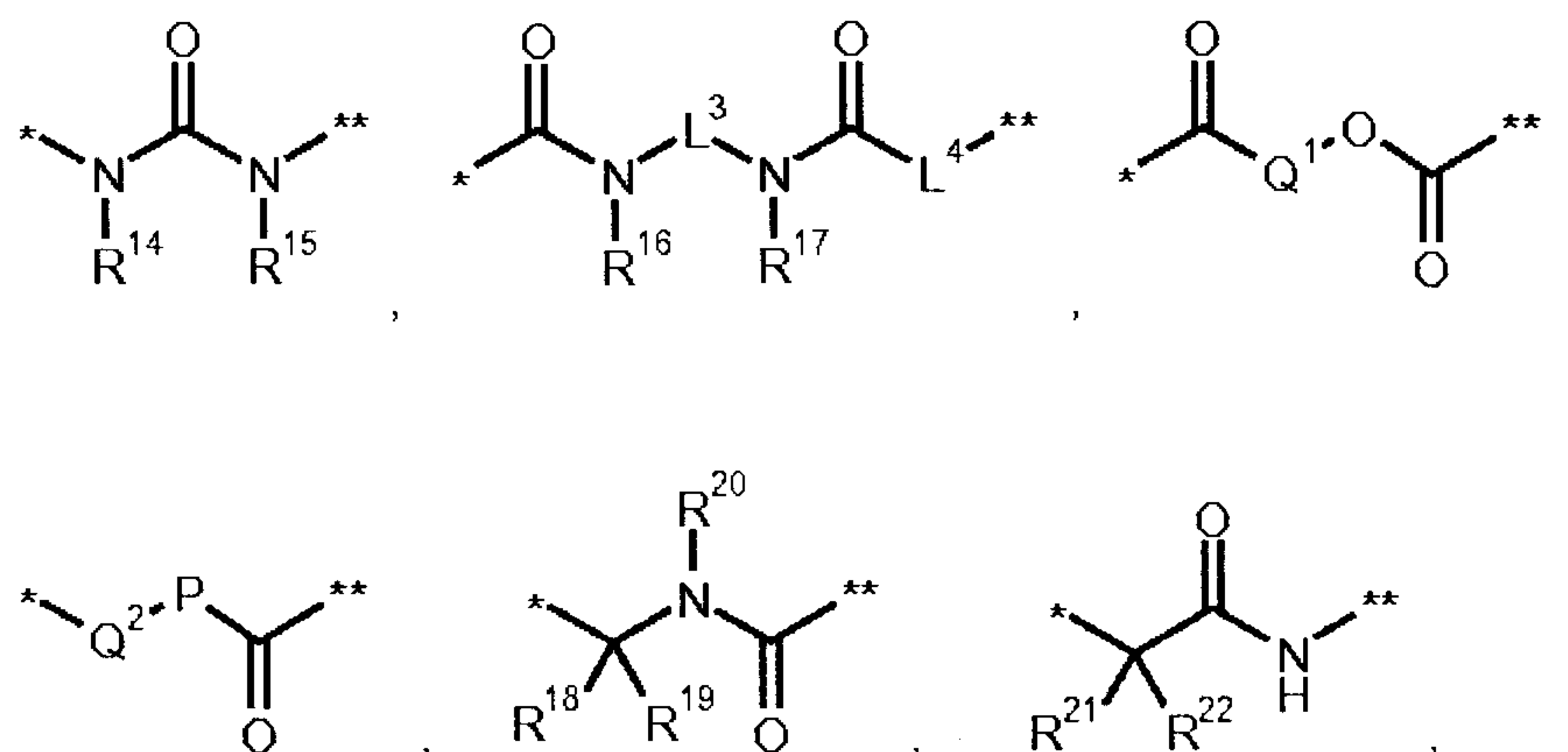
and

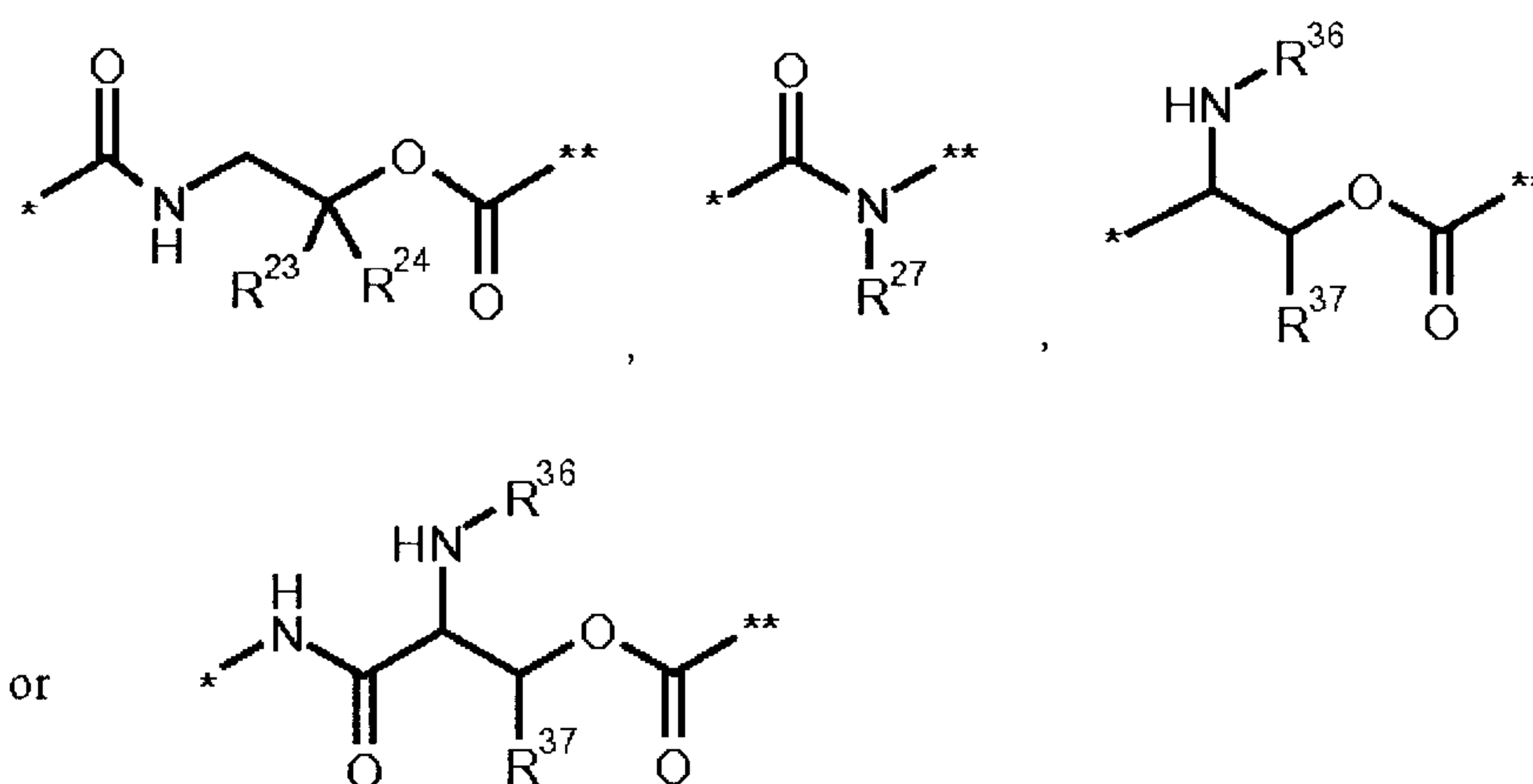
where (C₁-C₁₀)-alkanediyl may be substituted by 1 to 4 substituents selected
10 independently of one another from the group consisting of methyl, hydroxy and
benzyl,

and

where two carbon atoms of the alkanediyl chain in 1,2, 1,3 or 1,4 relation to one
another, with inclusion of any carbon atoms situated between them, may be
bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

15 B is a bond or a group of the formula





where

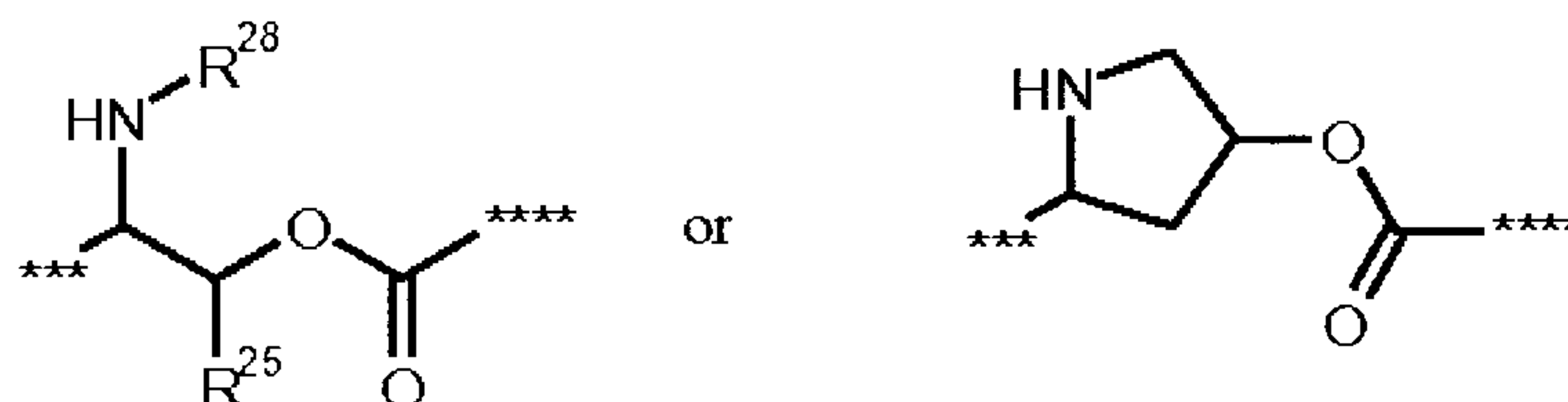
* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

5 P is O or NH,

L^3 is a bond or $(\text{C}_2\text{-C}_4)$ -alkanediyl,

L^4 is a bond or a group of the formula



in which

10 *** marks the linkage site with the carbonyl group,

**** marks the linkage site with L^2 ,

R^{25} is hydrogen or methyl,

R^{28} is hydrogen, $(\text{C}_1\text{-C}_4)$ -alkylcarbonyl, tert-butyloxycarbonyl or benzyloxycarbonyl,

15 Q^1 is a 4- to 7-membered heterocycle,

Q^2 is a 3- to 7-membered carbocycle or a 4- to 7-membered heterocycle,

R^{14} is hydrogen or (C₁-C₄)-alkyl,

R^{15} is hydrogen or (C₁-C₄)-alkyl,

or

R^{14} and R^{15} together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

R^{16} is hydrogen or (C₁-C₄)-alkyl,

R^{17} is hydrogen or (C₁-C₄)-alkyl,

or

R^{16} and R^{17} together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

R^{18} is hydrogen or (C₁-C₄)-alkyl,

R^{19} is hydrogen or the side group of a natural α -amino acid or of its homologues or isomers,

R^{20} is hydrogen or (C₁-C₄)-alkyl,

or

R^{19} and R^{20} together with the atoms to which they are bonded form a pyrrolidiny ring,

R^{21} is hydrogen or (C₁-C₄)-alkyl,

R^{22} is hydrogen or (C₁-C₄)-alkyl,

or

R^{21} and R^{22} together with the atoms to which they are bonded form a 3- to 7-membered carbocycle,

R^{23} is (C₁-C₄)-alkyl,

R^{24} is hydrogen or (C₁-C₄)-alkyl,

R^{27} is hydrogen or (C₁-C₄)-alkyl,

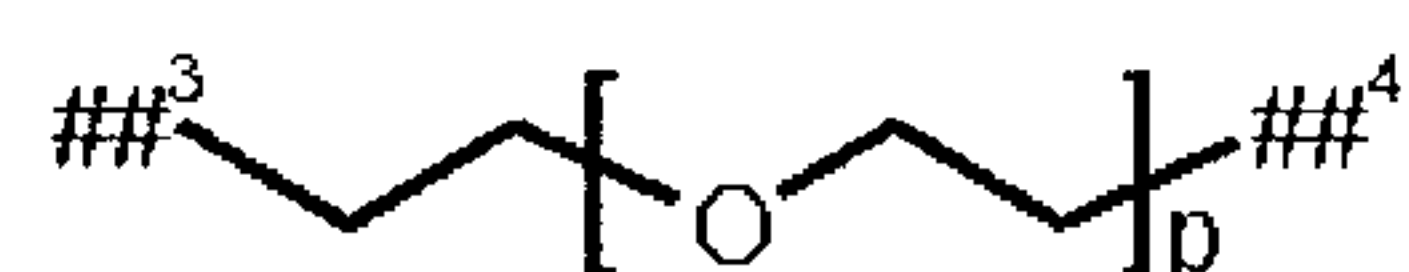
R^{36} is hydrogen, (C₁-C₄)-alkylcarbonyl, tert-butyloxycarbonyl or benzyl-oxycarbonyl,

R^{37} is hydrogen or methyl,

or

5 R^{36} and R^{37} together with the atoms to which they are bonded form a pyrrolidine ring,

L^2 is linear (C₂-C₁₀)-alkanediyl or is a group of the formula



where

10 p is a number from 2 to 6,

$##^3$ marks the linkage site with the group B,

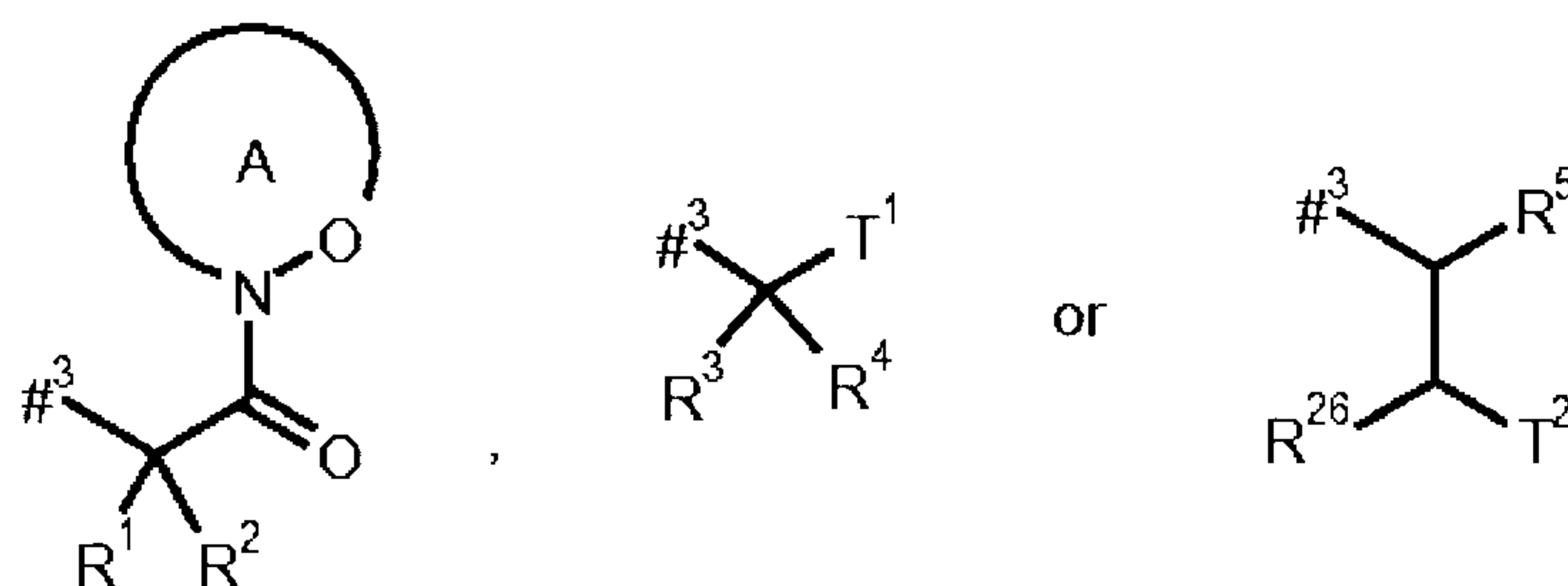
$##^4$ marks the linkage site with the nitrogen atom,

where (C₂-C₁₀)-alkanediyl may be substituted by 1 to 4 substituents selected independently of one another from the group consisting of methyl, hydroxy and
15 benzyl,

and

where two carbon atoms of the alkanediyl chain in 1,2-, 1,3- or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

20 D is a group of the formula



in which

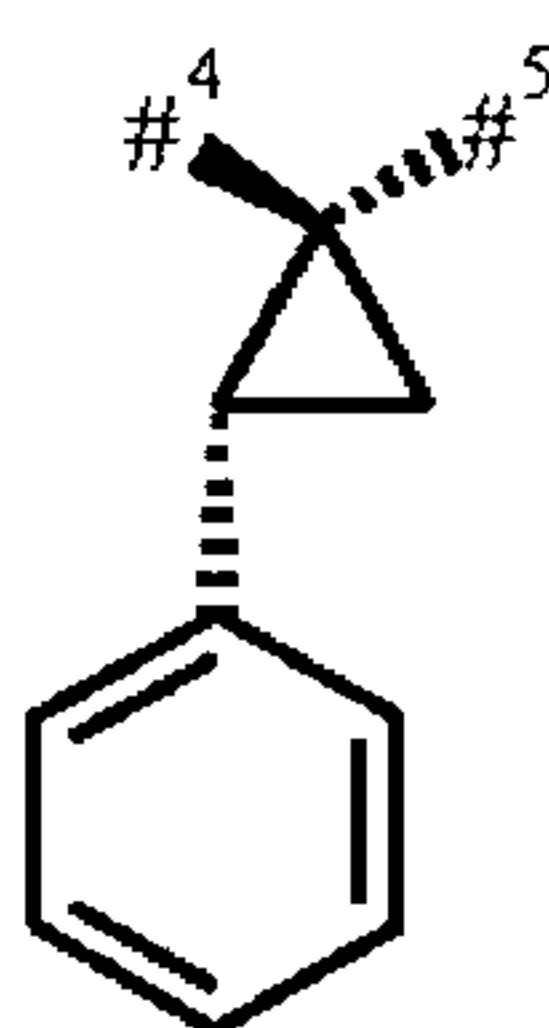
#³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen or methyl,

R² is isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, phenyl, benzyl, 1-hydroxyethyl, 4-hydroxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-hydroxy-3-aminobenzyl, 1-phenylethyl, diphenylmethyl, 1*H*-imidazol-4-ylmethyl or 1*H*-indol-3-ylmethyl,

or

R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

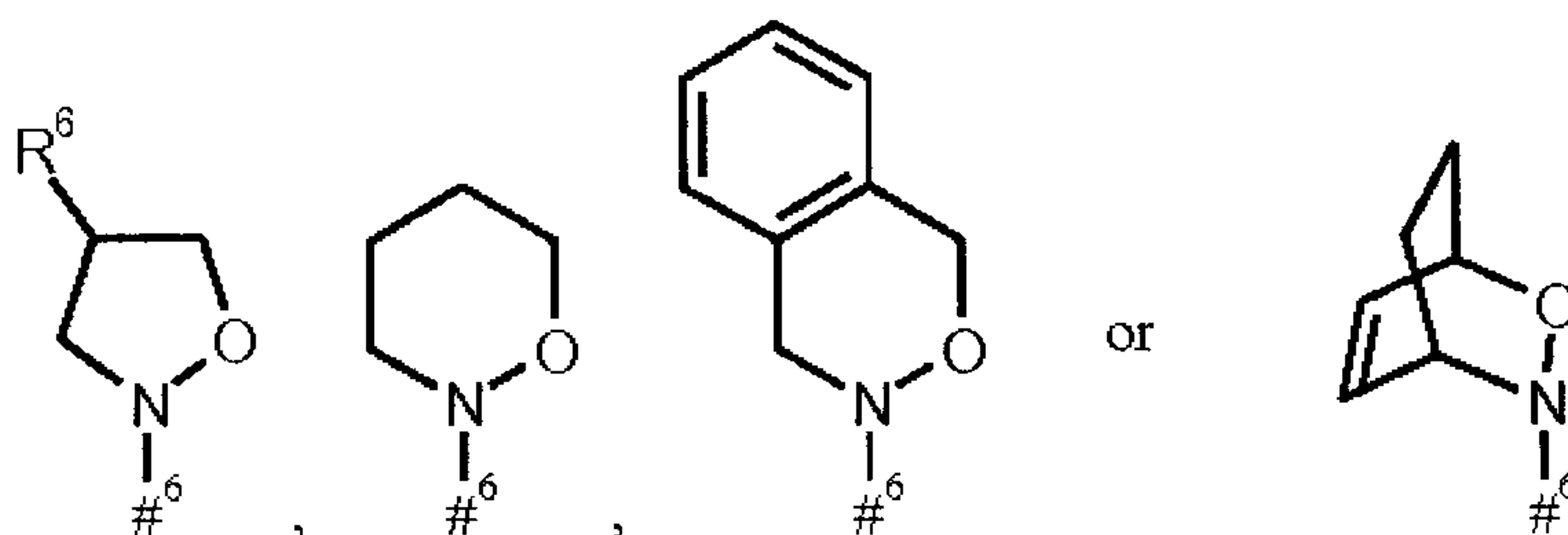


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

#⁶ marks the linkage site with the carbonyl group,

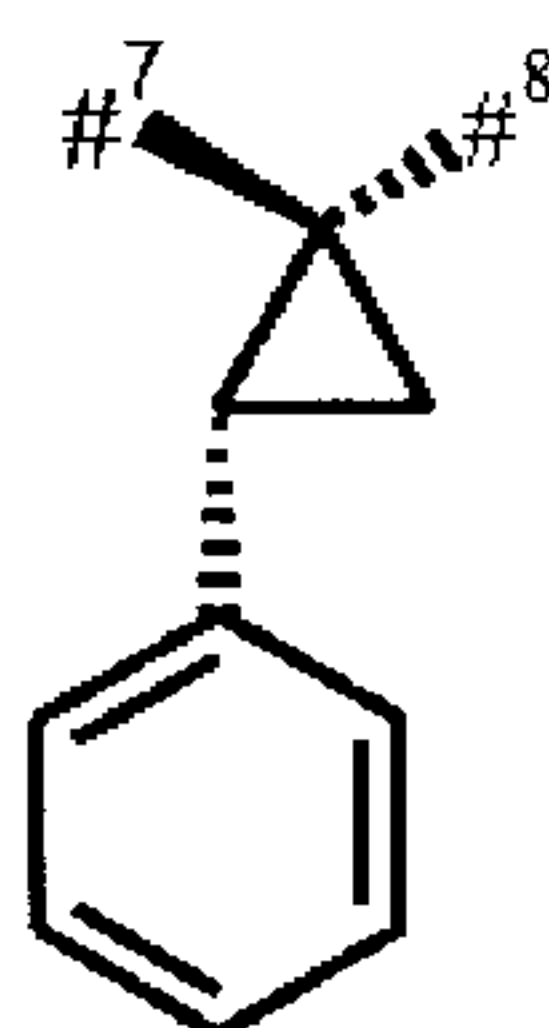
R⁶ is hydrogen, hydroxy or benzyloxy,

R^3 is hydrogen or methyl,

R^4 is isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, phenyl, benzyl, 1-hydroxyethyl, 4-hydroxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-hydroxy-3-aminobenzyl, 1-phenylethyl, diphenylmethyl, 1*H*-imidazol-4-ylmethyl or 1*H*-indol-3-ylmethyl,

or

R^3 and R^4 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T^1 ,

T^1 is a group of the formula $-C(=O)-OR^7$, $-C(=O)-NR^8R^9$, $-C(=O)-NH-NH-R^{10}$ or $-CH_2-O-R^{11}$,

in which

R^7 is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R^8 is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

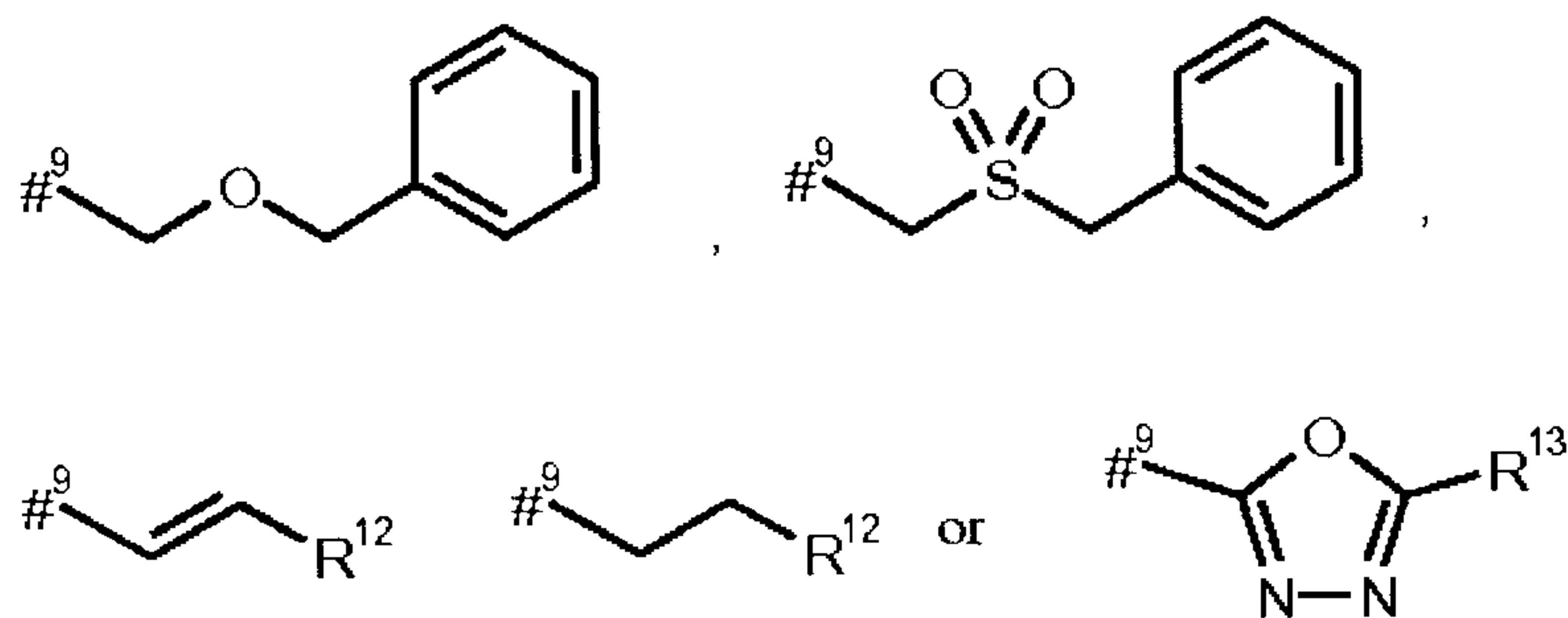
or

R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

R^{10} is benzoyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



5

in which

$\#^9$ marks the linkage site with $-\text{CHC}(R^{26})\text{-T}^2$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $-\text{S}(\text{O})_2\text{OH}$,

10

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{26} is hydrogen or hydroxy,

T^2 is phenyl, benzyl, 1*H*-indol-3-yl or 1*H*-indol-3-ylmethyl,

R^{35} is methyl or hydroxy,

and also their salts, solvates and solvates of the salts.

15 3. Binder-drug conjugates of the general formula (Ia) according to Claim 1 or 2, in which

n is a number from 1 to 20,

AK is AK_1 or AK_2

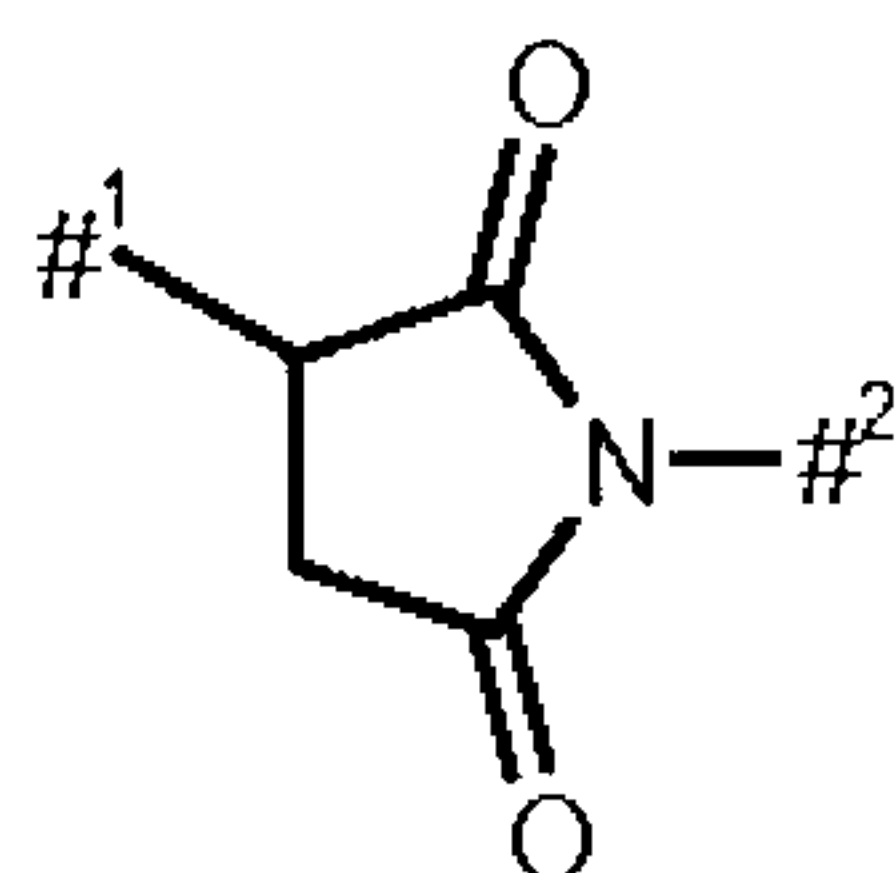
where

20

AK_1 is an antibody or an antigen-binding antibody fragment which binds to C4.4a and is bonded via the sulphur atom of a cysteine residue of the binder to the group G,

AK₂ is an antibody or an antigen-binding antibody fragment which binds to C4.4a and is bonded via the NH side group of a lysine residue of the binder to the group G,

G when AK = AK₁, is a group of the formula



5

in which

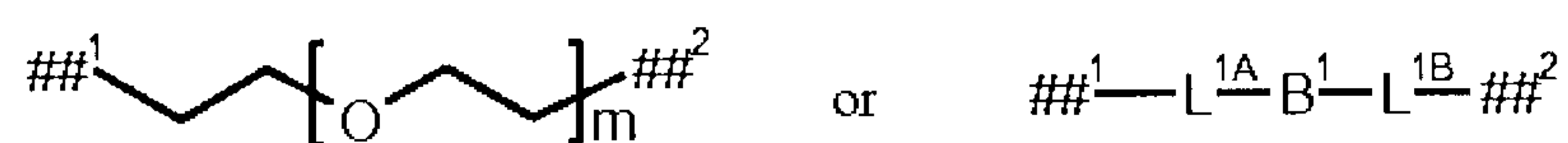
#¹ marks the linkage site with the cysteine residue of the binder,

#² marks the linkage site with the group L¹,

or

10 when AK = AK₂, is carbonyl,

L¹ is a bond, linear (C₂-C₆)-alkanediyl, a group of the formula



where

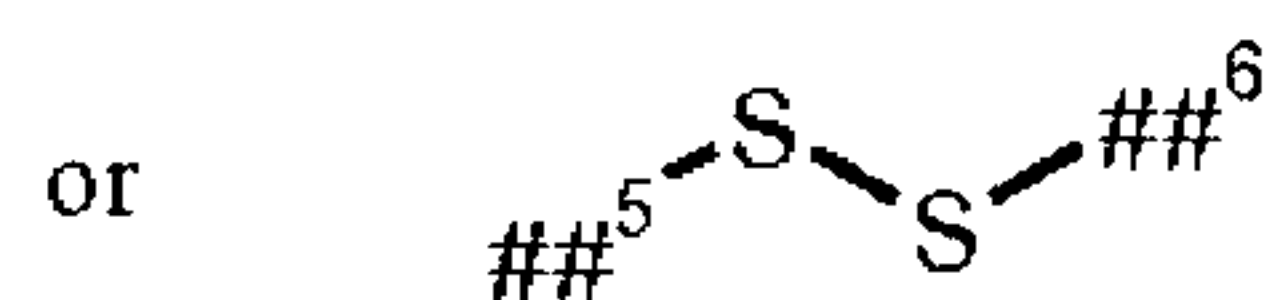
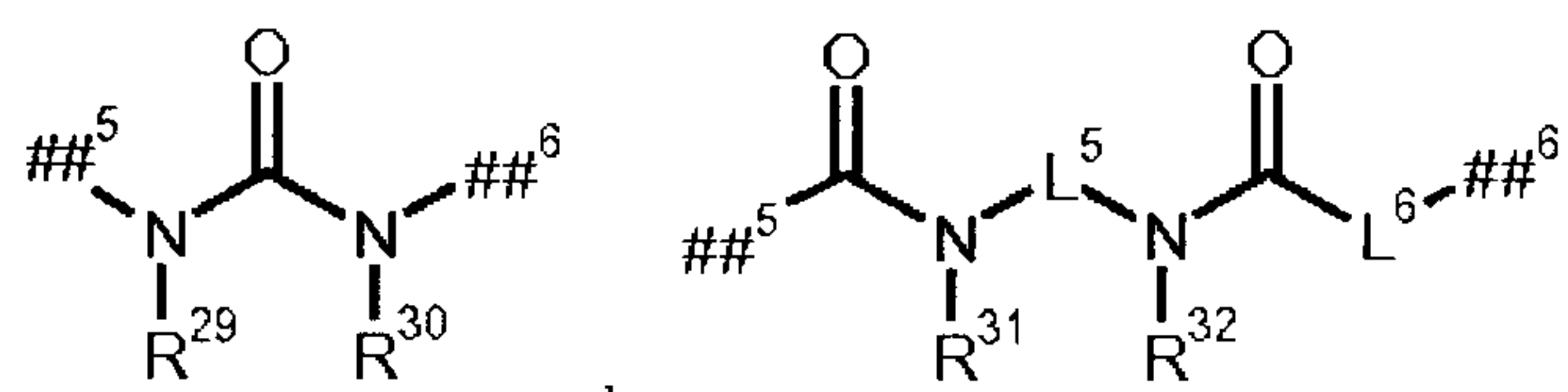
m is a number from 2 to 6,

15 ##¹ marks the linkage site with the group G,

##² marks the linkage site with the group B,

L^{1A} is linear (C₂-C₆)-alkanediyl,

B¹ is a group of the formula



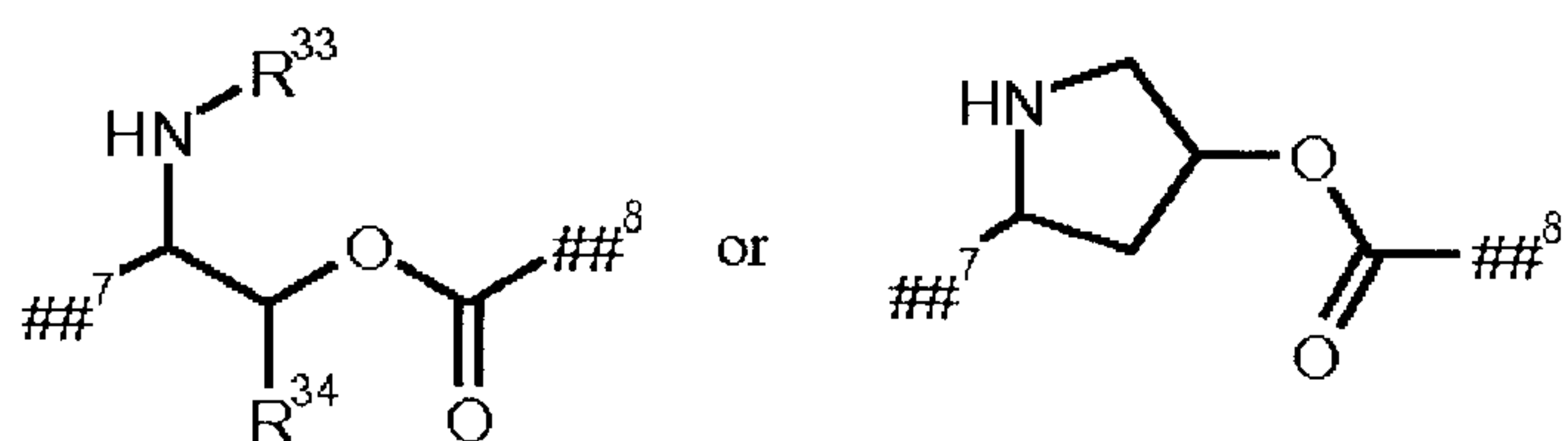
in which

##⁵ marks the linkage site with the group L^{1A},

##⁶ marks the linkage site with the group L^{1B},

5 L⁵ is a bond,

L⁶ is a bond or a group of the formula



in which

##⁷ marks the linkage site with the carbonyl group,

10 ##⁸ marks the linkage site with L^{1B},

R³³ is hydrogen, methylcarbonyl or tert-butyloxycarbonyl,

R³⁴ is hydrogen or methyl,

R²⁹ is hydrogen,

R³⁰ is hydrogen,

15 R³¹ is hydrogen or methyl,

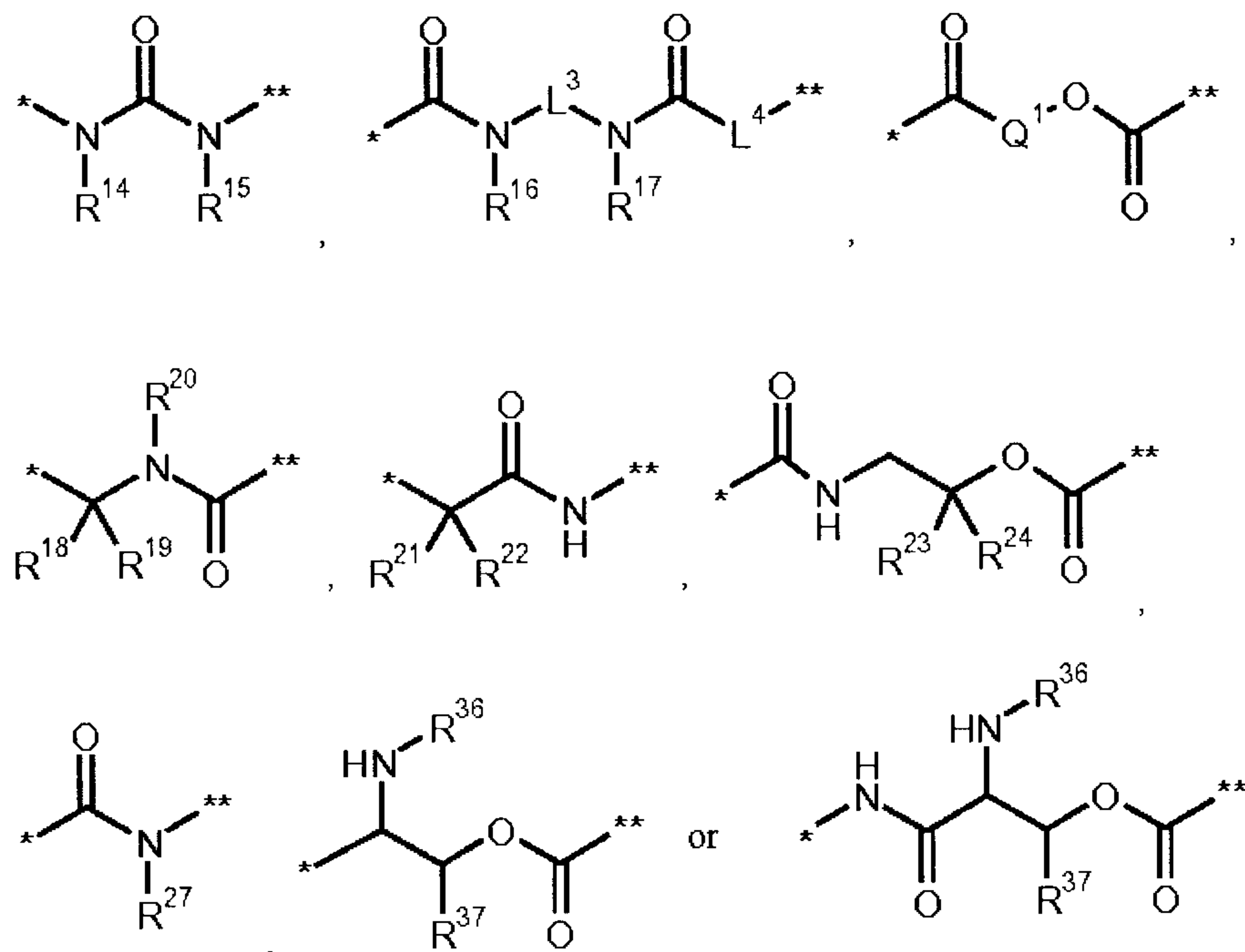
R³² is hydrogen or methyl,

L^{1B} is linear (C₂-C₆)-alkanediyl,

and

where (C₂-C₆)-alkanediyl may be substituted by 1 or 2 methyl substituents,

B is a bond or a group of the formula



5

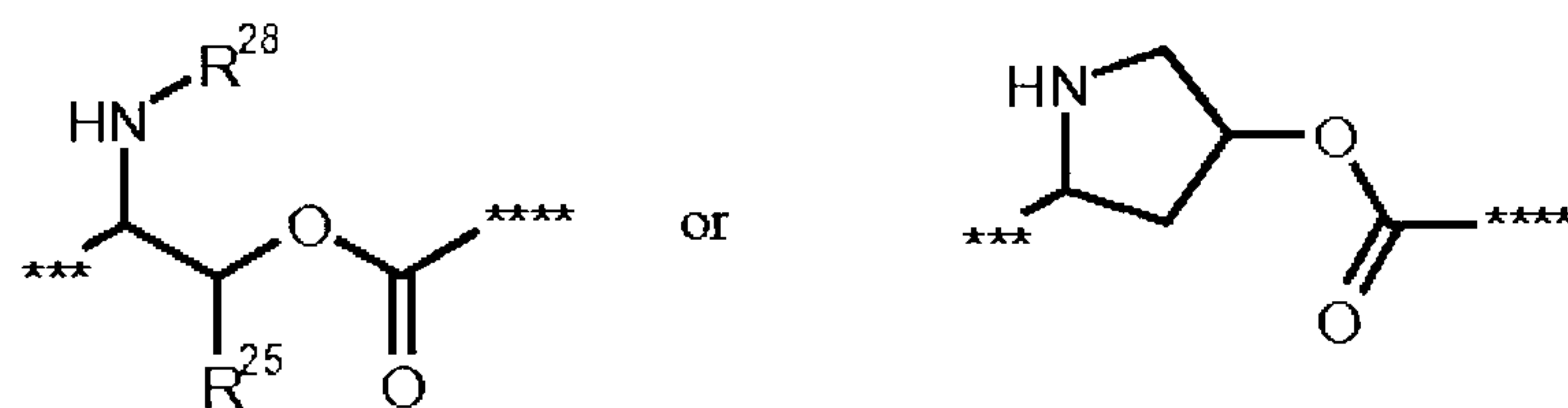
where

* marks the linkage site with L¹,

** marks the linkage site with L²,

L³ is a bond or ethane-1,2-diyl,

L⁴ is a bond or a group of the formula



10

in which

*** marks the linkage site with the carbonyl group,

**** marks the linkage site with L²,

R^{25} is hydrogen or methyl,

R^{28} is hydrogen, methylcarbonyl or tert-butyloxycarbonyl,

Q^1 is a 4- to 7-membered heterocycle,

R^{14} is hydrogen,

5 R^{15} is hydrogen,

R^{16} is hydrogen or methyl,

R^{17} is hydrogen or methyl,

or

10 R^{16} and R^{17} together with the atoms to which they are bonded form a piperazinyl ring,

R^{18} is hydrogen,

R^{19} is hydrogen, methyl, propan-2-yl, 2-methylpropan-1-yl or 1-methylpropan-1-yl,

R^{20} is hydrogen or methyl,

15 or

R^{19} and R^{20} together with the atoms to which they are bonded form a pyrrolidinyl ring,

R^{21} is hydrogen or methyl,

R^{22} is hydrogen or methyl,

20 or

R^{21} and R^{22} together with the atoms to which they are bonded form a cyclopropyl ring,

R^{23} is methyl,

R^{24} is hydrogen or methyl,

25 R^{27} is hydrogen,

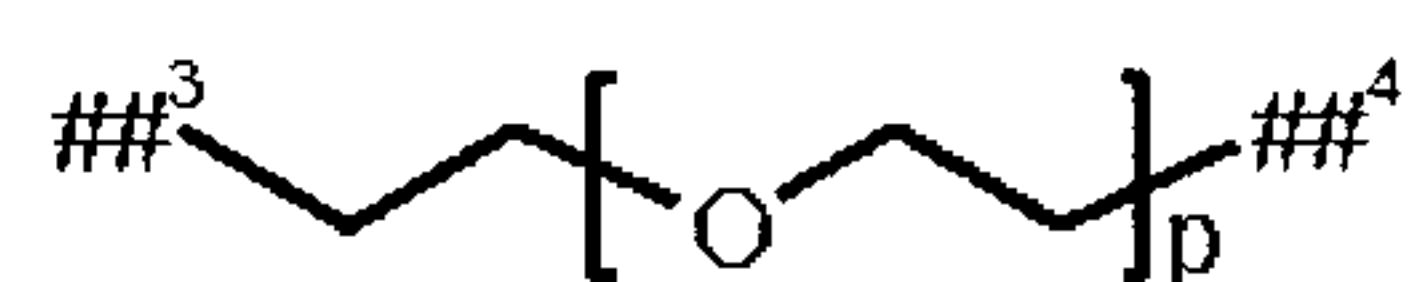
R^{36} is hydrogen, methylcarbonyl or tert-butyloxycarbonyl,

R^{37} is hydrogen or methyl,

or

R^{36} and R^{37} together with the atoms to which they are bonded form a pyrrolidine ring,

L^2 is linear (C_2 - C_6)-alkanediyl or is a group of the formula



where

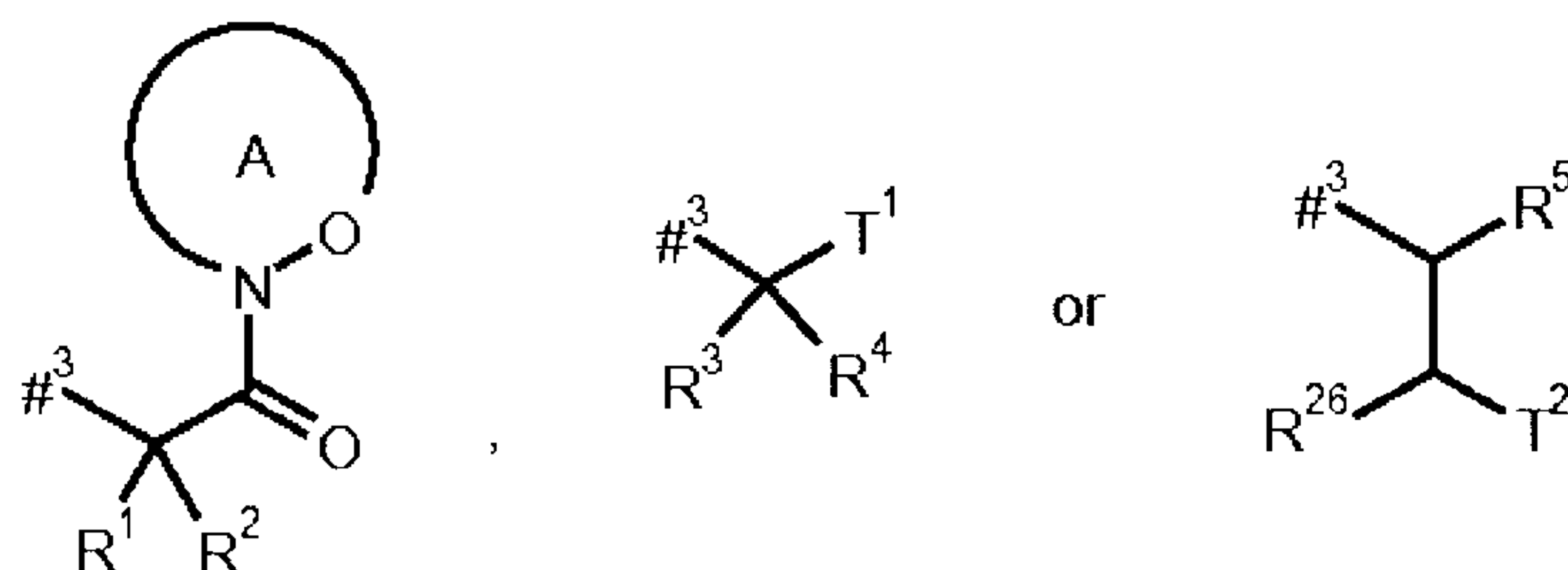
p is a number from 2 to 6,

$##^3$ marks the linkage site with the group B,

$##^4$ marks the linkage site with the nitrogen atom,

where (C_2 - C_{10})-alkanediyl may be substituted by 1 or 2 methyl substituents,

D is a group of the formula



where

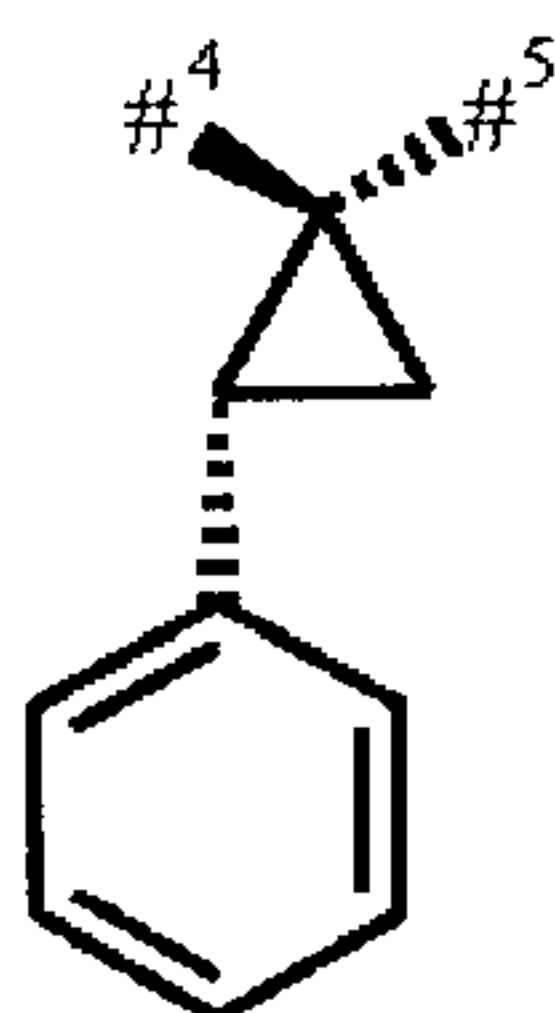
$##^3$ marks the linkage site with the nitrogen atom,

R^1 is hydrogen,

R^2 is 1-hydroxyethyl, benzyl, 4-hydroxybenzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R^1 and R^2 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

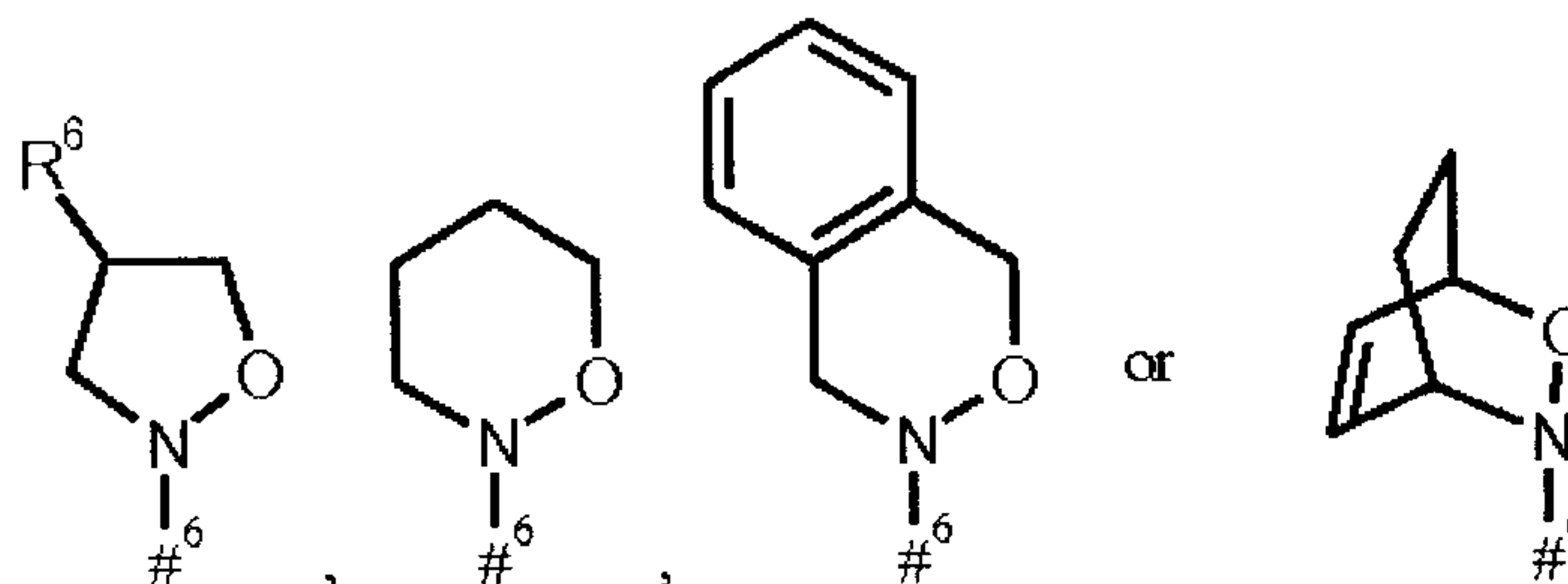


in which

5 $\#^4$ marks the linkage site with the adjacent nitrogen atom,

$\#^5$ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



10 in which

$\#^6$ marks the linkage site with the carbonyl group,

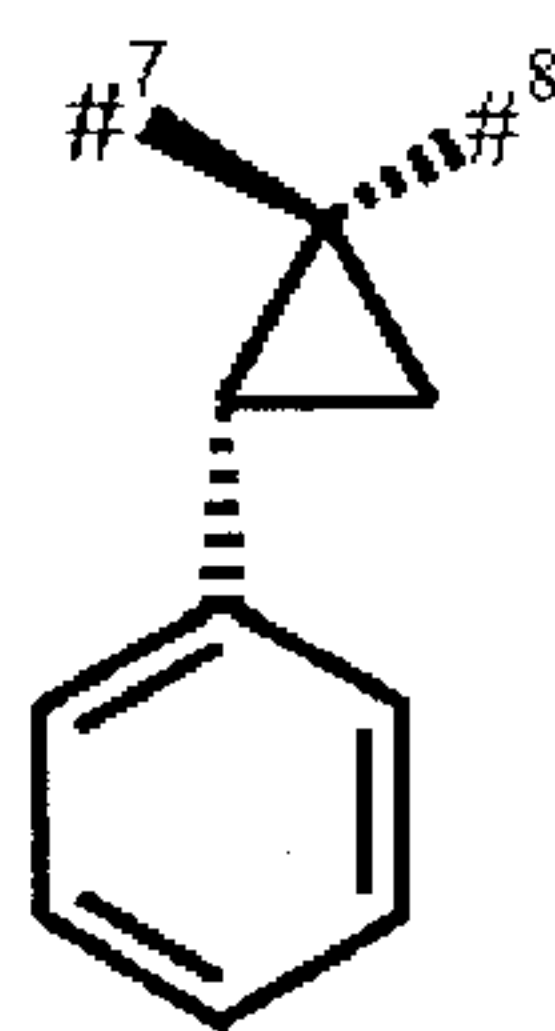
R^6 is hydrogen, hydroxy or benzyloxy,

R^3 is hydrogen,

15 R^4 is 1-hydroxyethyl, benzyl, 4-hydroxybenzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R^3 and R^4 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

5 T¹ is a group of the formula -C(=O)-OR⁷, -C(=O)-NR⁸R⁹, -C(=O)-NH-NH-R¹⁰ or -CH₂-O-R¹¹,

in which

R⁷ is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

10 R⁸ is hydrogen or methyl,

R⁹ is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

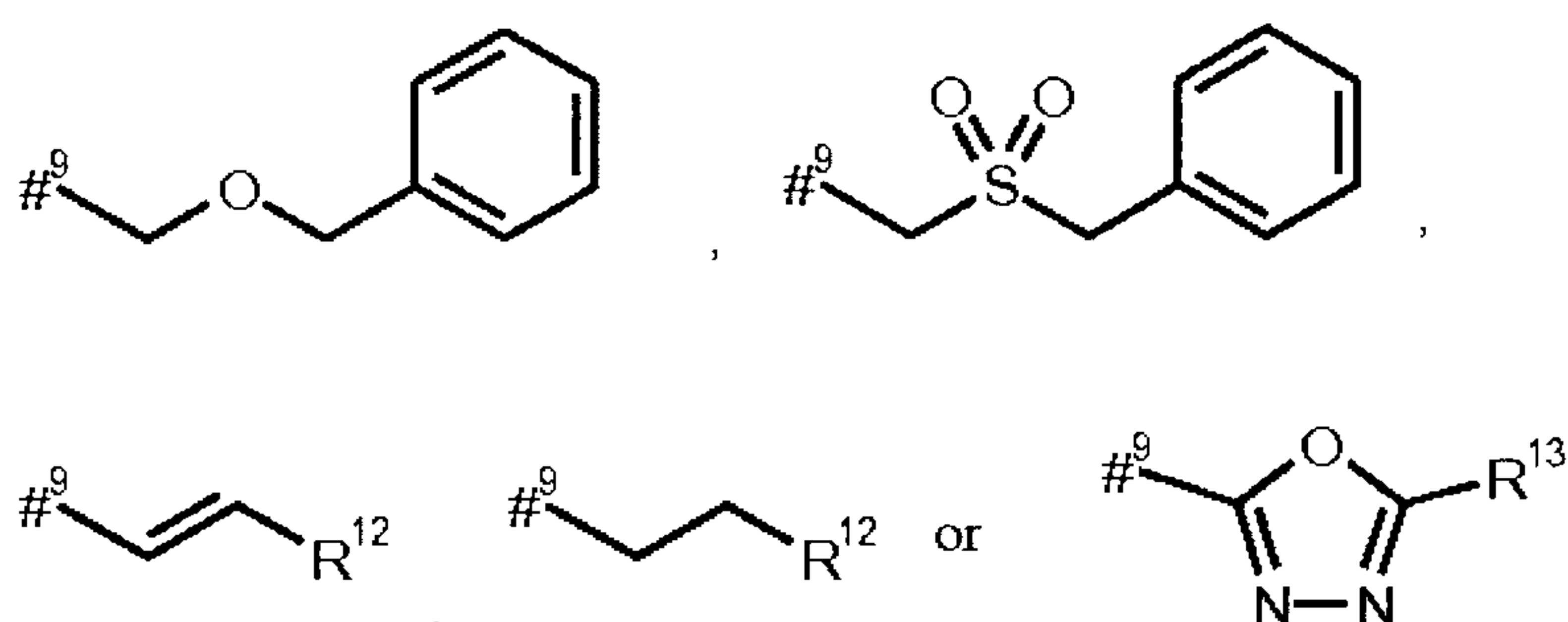
or

R⁸ and R⁹ together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

15 R¹⁰ is benzoyl,

R¹¹ is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R⁵ is hydrogen, methyl or a group of the formula



in which

#⁹ marks the linkage site with $-\text{CHC}(\text{R}^{26})-\text{T}^2$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $-\text{S}(\text{O})_2\text{OH}$,

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{26} is hydrogen or hydroxy,

T^2 is phenyl, benzyl, 1*H*-indol-3-yl or 1*H*-indol-3-ylmethyl,

R^{35} is methyl or hydroxy,

and also their salts, solvates and solvates of the salts.

4. Binder-drug conjugates of the general formula (Ia) according to any of Claims 1 to 3, in which

n is a number from 1 to 10,

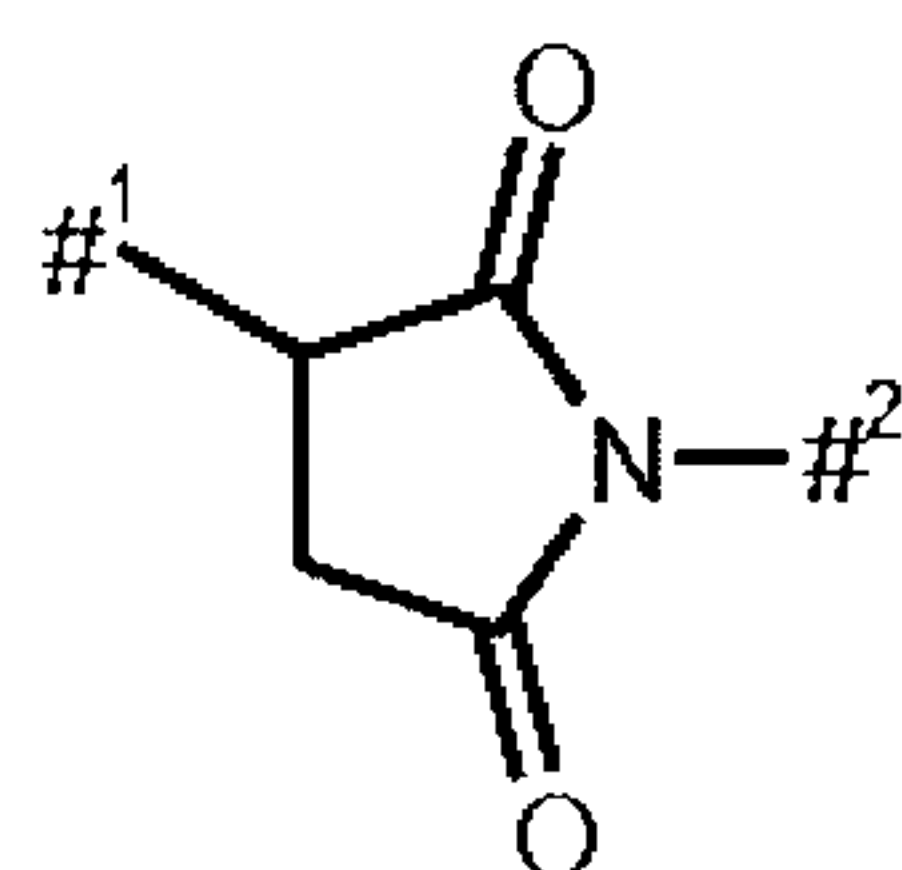
AK is AK_1 or AK_2

where

AK_1 is an antibody which comprises the six CDR sequences of the antibody B01-3, B01-10, M31-B01 or D02-6, the variable light and variable heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6 or the light and heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6, and is bonded via the sulphur atom of a cysteine residue of the binder to the group G,

AK₂ is an antibody which comprises the six CDR sequences of the antibody B01-3, B01-10, M31-B01 or D02-6, the variable light and variable heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6 or the light and heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6, and is bonded via the NH side group of a lysine residue of the binder to the group G,

G when AK = AK₁, is a group of the formula



in which

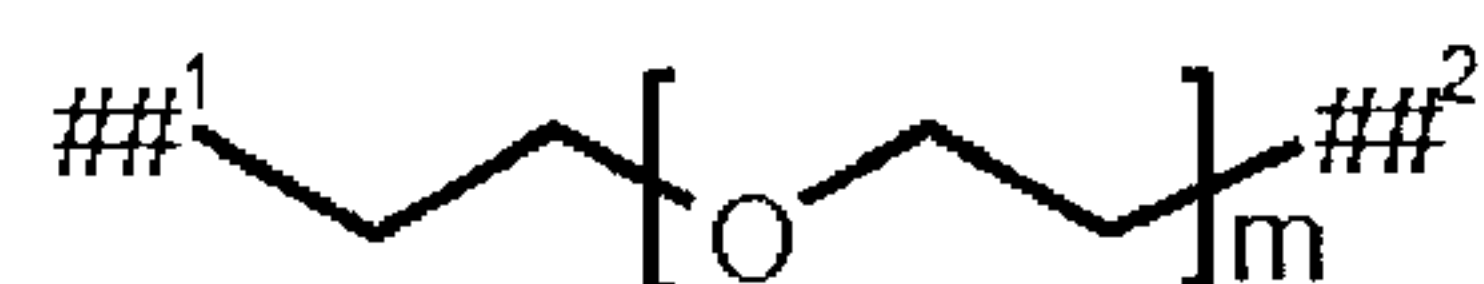
#¹ marks the linkage site with the cysteine residue of the binder,

#² marks the linkage site with the group L¹,

or

when AK = AK₂, is carbonyl,

L¹ is a bond, linear (C₂-C₆)-alkanediyl, a group of the formula



where

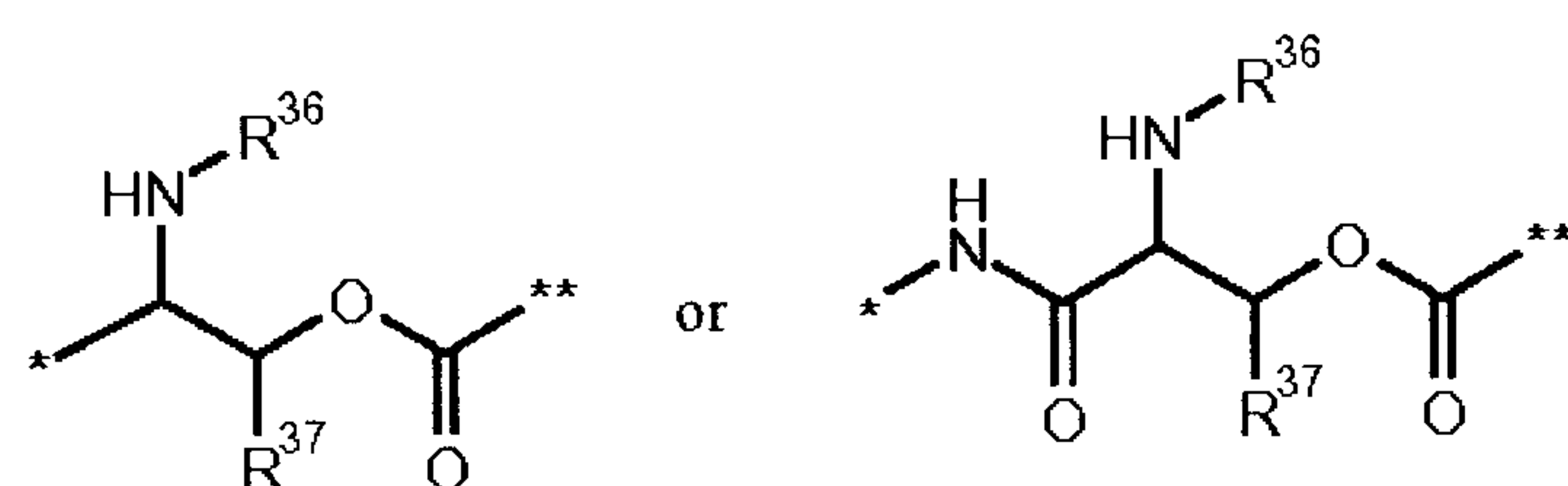
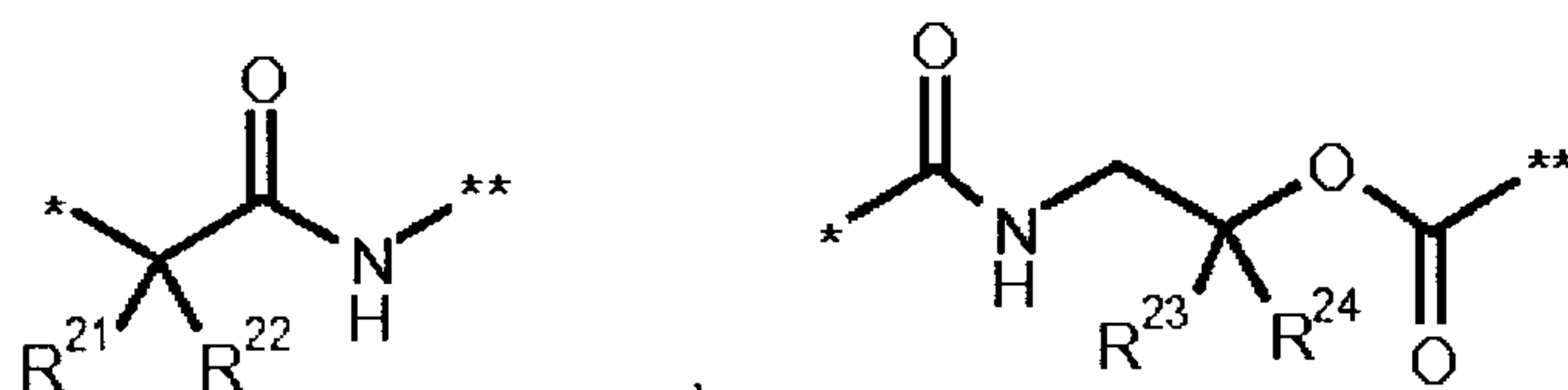
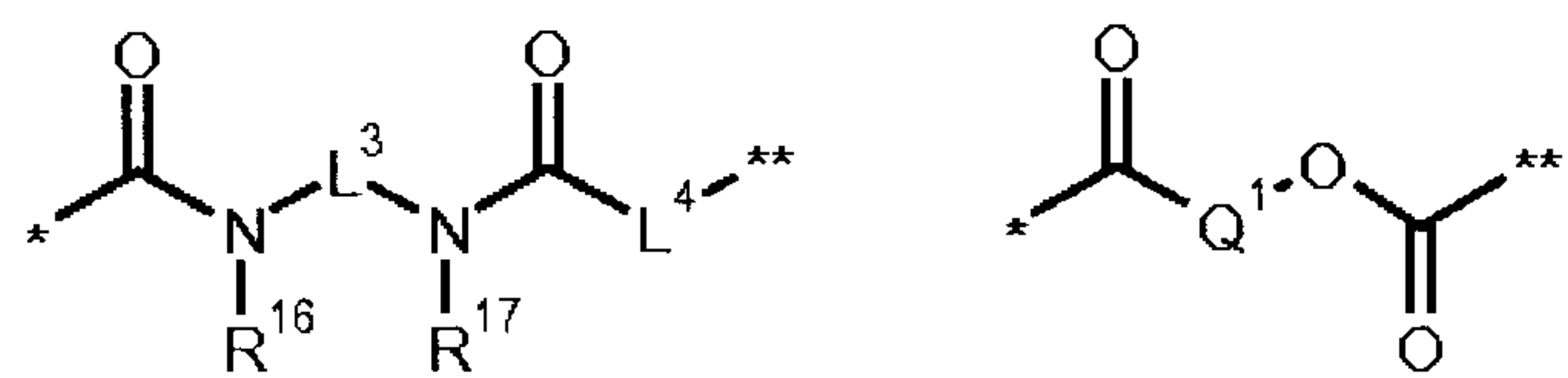
m is a number 2 or 3,

##¹ marks the linkage site with the group G,

##² marks the linkage site with the group B,

where (C₂-C₆)-alkanediyl may be substituted by 1 or 2 methyl substituents,

B is a bond or a group of the formula



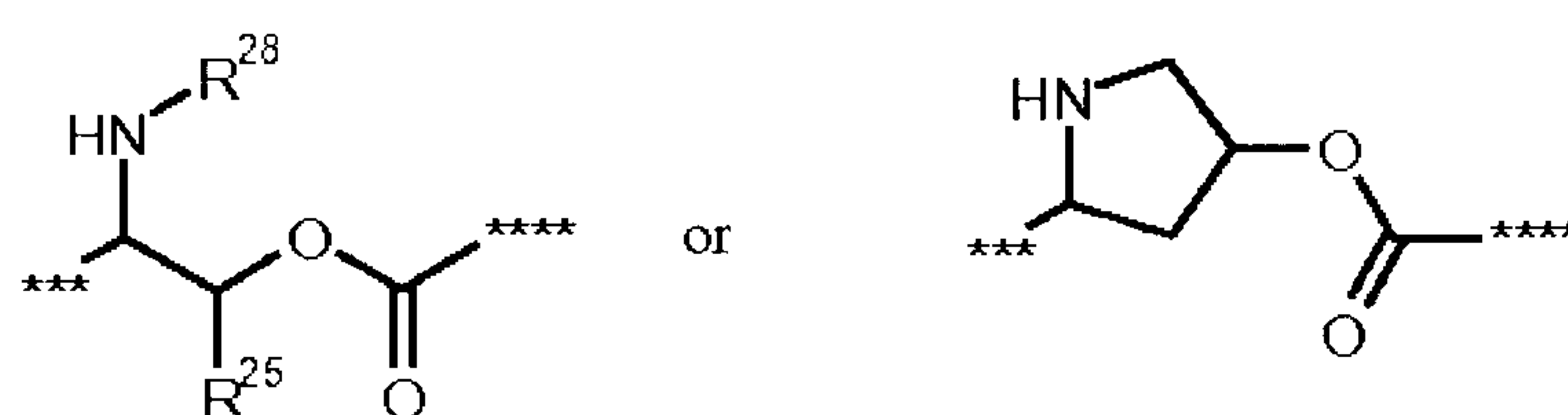
where

* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

5 L^3 is a bond or ethane-1,2-diyl,

L^4 is a bond or a group of the formula



in which

*** marks the linkage site with the carbonyl group,

10 **** marks the linkage site with L^2 ,

R^{25} is methyl,

R^{28} is hydrogen, methylcarbonyl or tert-butyloxycarbonyl,

Q^1 is piperidine-1,4-diyl,

R^{16} is hydrogen or methyl,

R^{17} is hydrogen or methyl,

or

5 R^{16} and R^{17} together with the atoms to which they are bonded form a piperazinyl ring,

R^{21} is hydrogen or methyl,

R^{22} is hydrogen or methyl,

or

10 R^{21} and R^{22} together with the atoms to which they are bonded form a cyclopropyl ring,

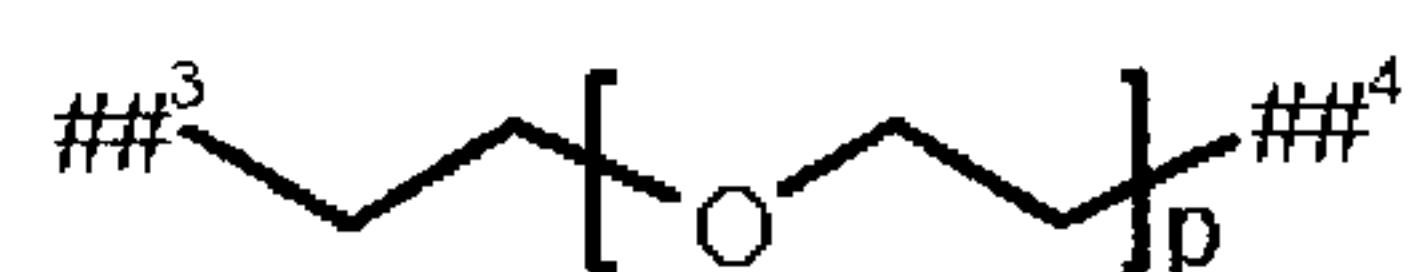
R^{23} is methyl,

R^{24} is hydrogen,

R^{36} is hydrogen, methylcarbonyl or tert-butyloxycarbonyl,

R^{37} is hydrogen or methyl,

15 L^2 is linear (C_2-C_6)-alkanediyl or is a group of the formula



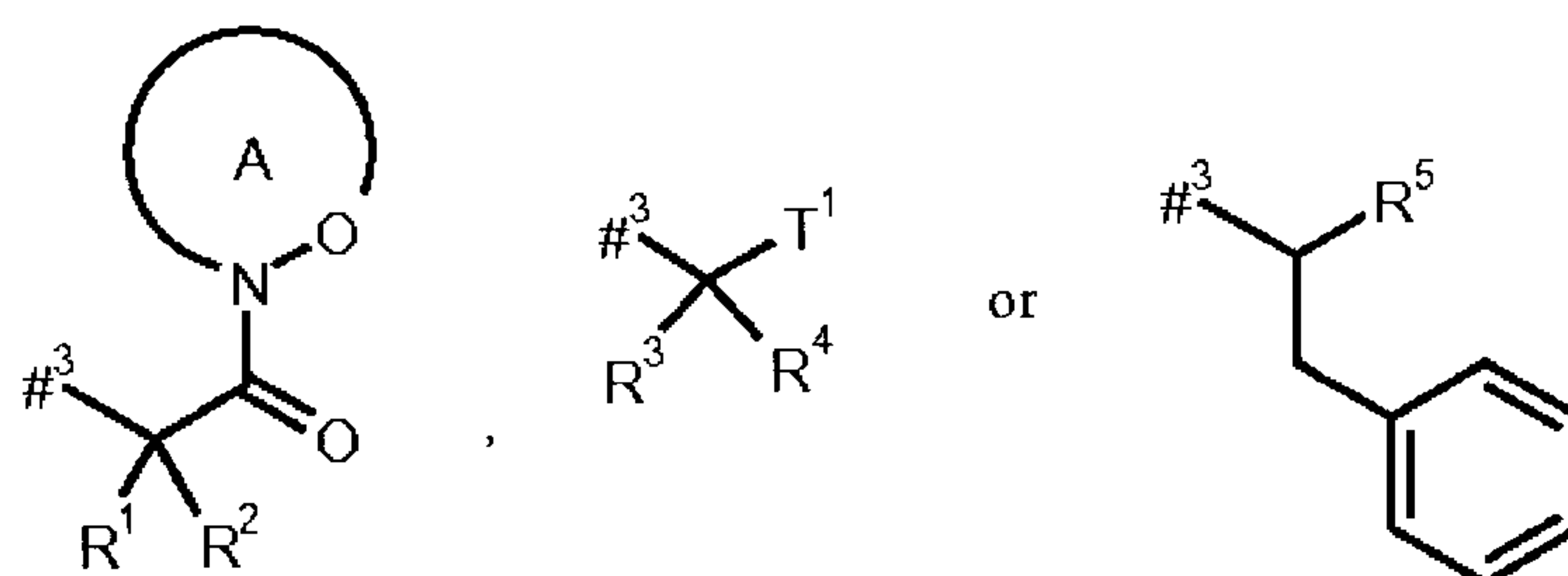
where

p is a number from 2 to 6,

##^3 marks the linkage site with the group B,

20 ##^4 marks the linkage site with the nitrogen atom,

D is a group of the formula



where

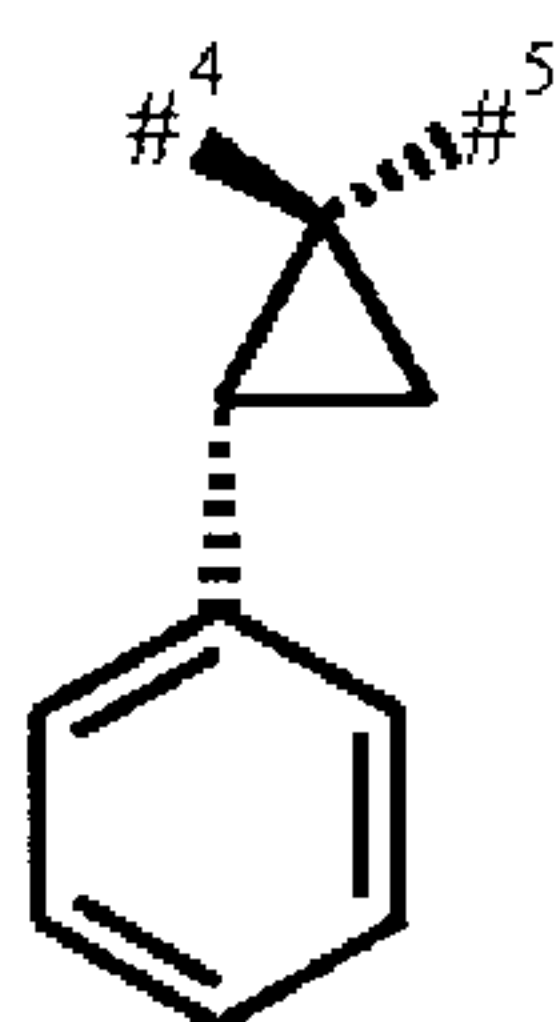
#³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen,

5 R² is 1-hydroxyethyl, benzyl, 4-hydroxybenzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



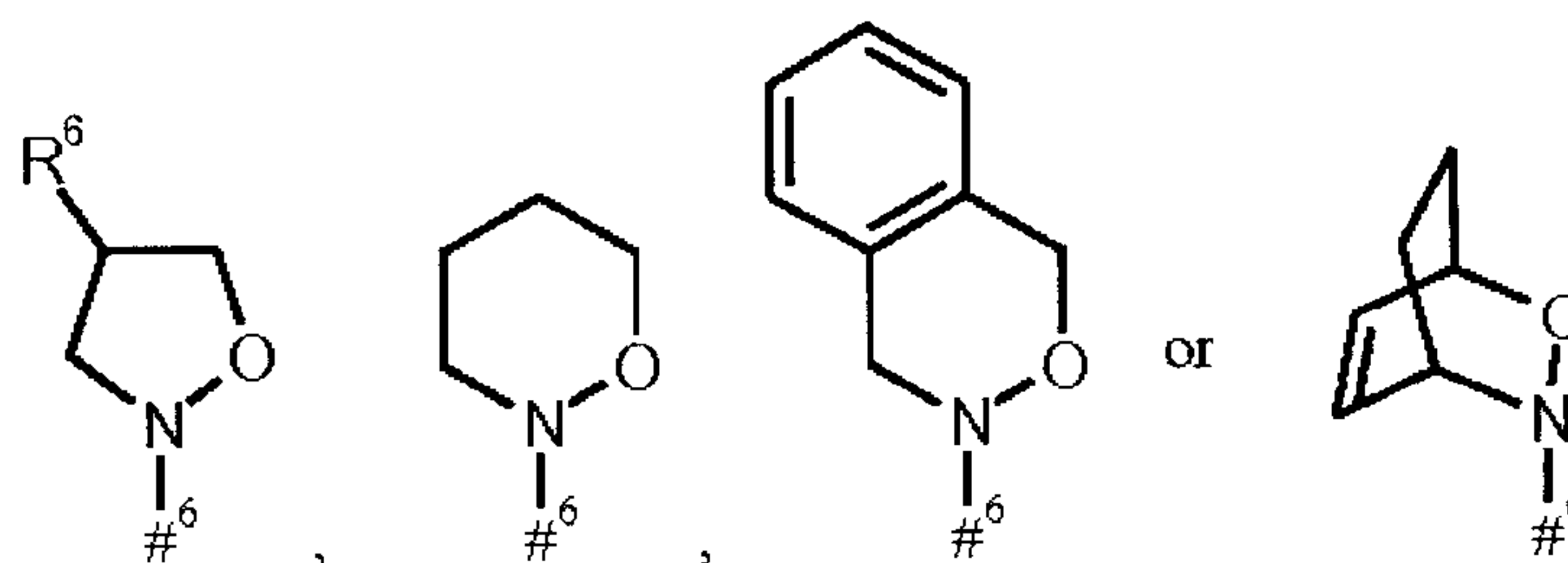
10

in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

15 the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

#⁶ marks the linkage site with the carbonyl group,

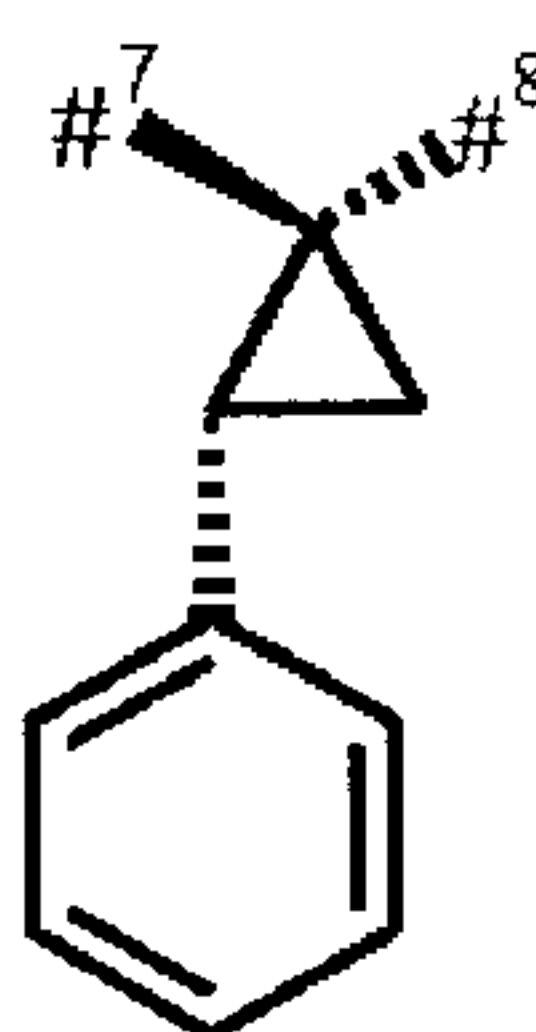
R⁶ is hydrogen, hydroxy or benzyloxy,

5 R³ is hydrogen,

R⁴ is benzyl, 4-hydroxybenzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



10

in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T^I,

T^I is a group of the formula -C(=O)-OR⁷, -C(=O)-NR⁸R⁹, or -CH₂-O-R¹¹,

15

in which

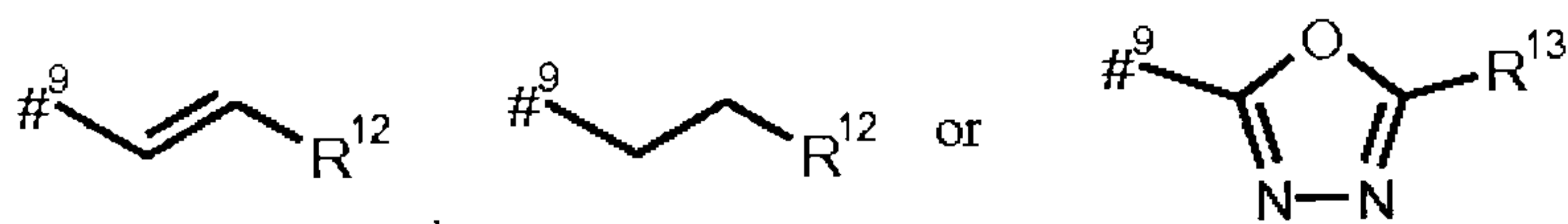
R⁷ is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R⁸ is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



in which

$\#^9$ marks the linkage site with $-CHCH_2$ phenyl,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $-S(O)_2OH$,

10 R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{35} is methyl or hydroxy,

and also their salts, solvates and solvates of the salts.

5. Binder-drug conjugates of the general formula (Ia) according to any of Claims 1 to 4, in which

n is a number from 1 to 10,

AK is AK_2

where

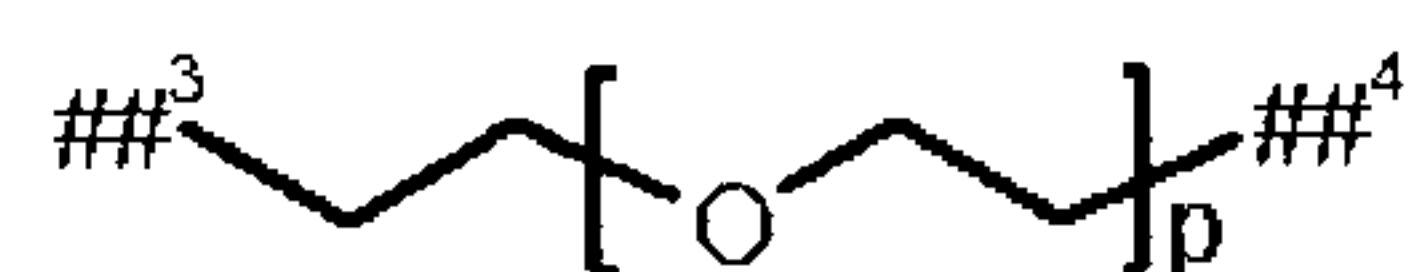
20 AK_2 is an antibody which comprises the six CDR sequences of the antibody B01-3, B01-10, M31-B01 or D02-6, the variable light and variable heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6 or the light and heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6, and is bonded via the NH side group of a lysine residue of the binder to the group G,

25 G is carbonyl,

L^1 is a bond,

B is a bond,

L^2 is linear (C₃-C₆)-alkanediyl or is a group of the formula



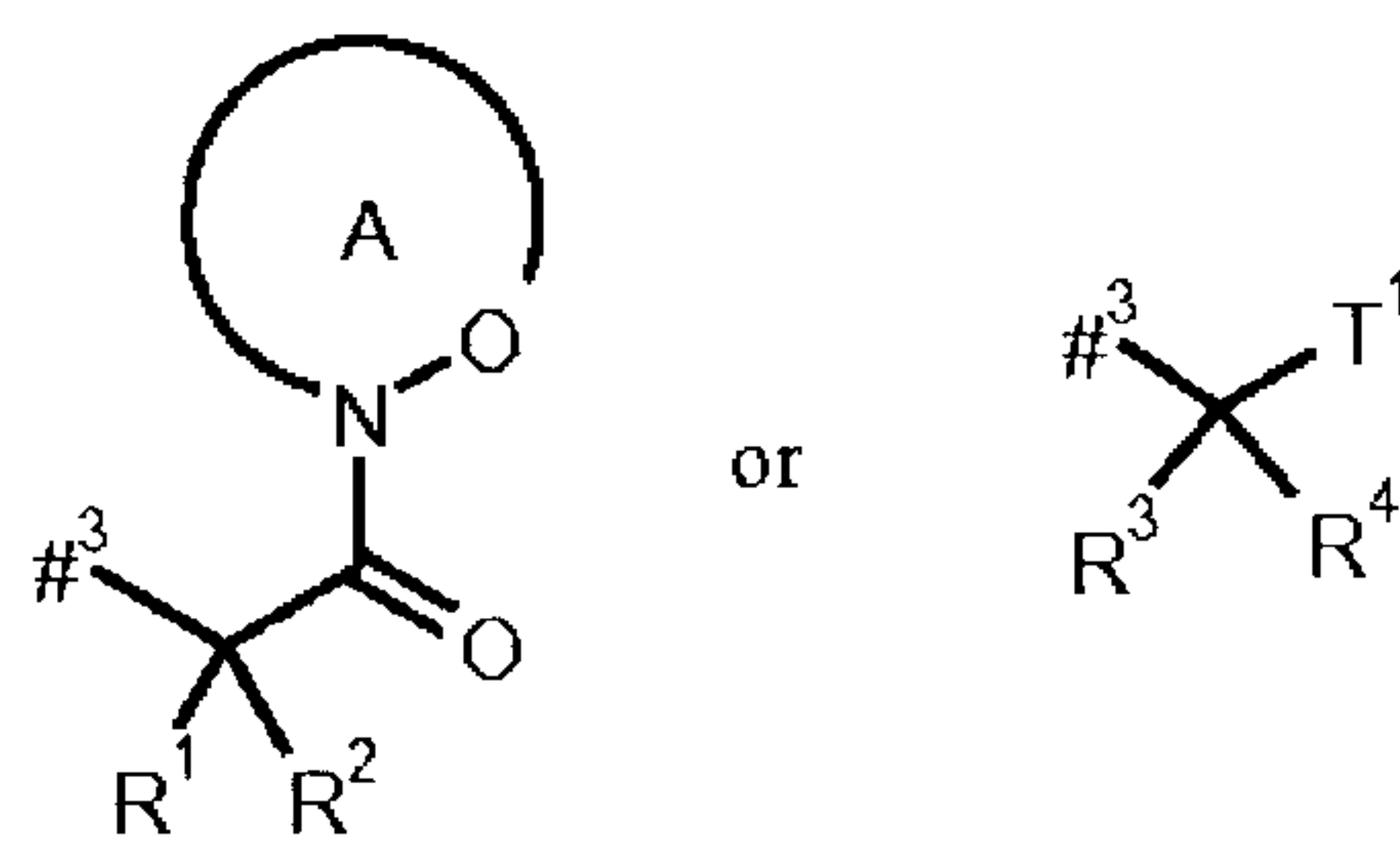
where

5 p is a number 2 or 3,

$##^3$ marks the linkage site with the group B,

$##^4$ marks the linkage site with the nitrogen atom,

D is a group of the formula



10 where

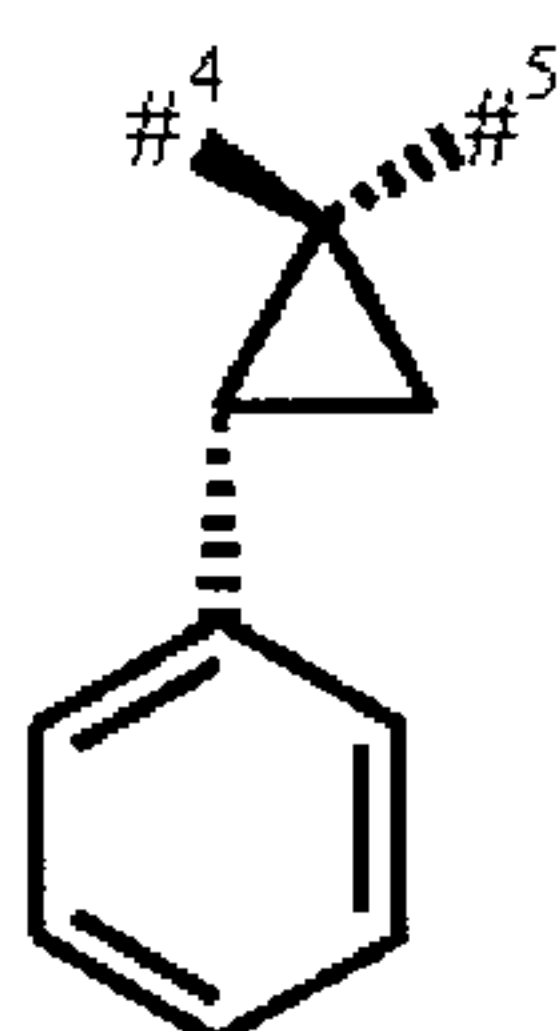
$#^3$ marks the linkage site with the nitrogen atom,

R^1 is hydrogen,

R^2 is 4-hydroxybenzyl or 1*H*-indol-3-ylmethyl,

or

15 R^1 and R^2 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

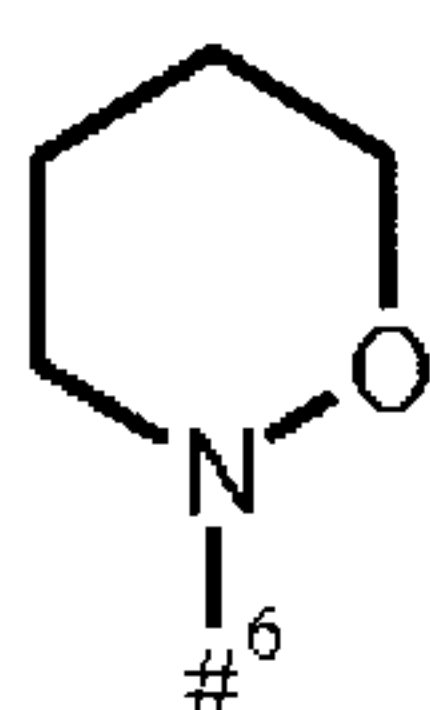


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic,
optionally substituted heterocycle of the formula



in which

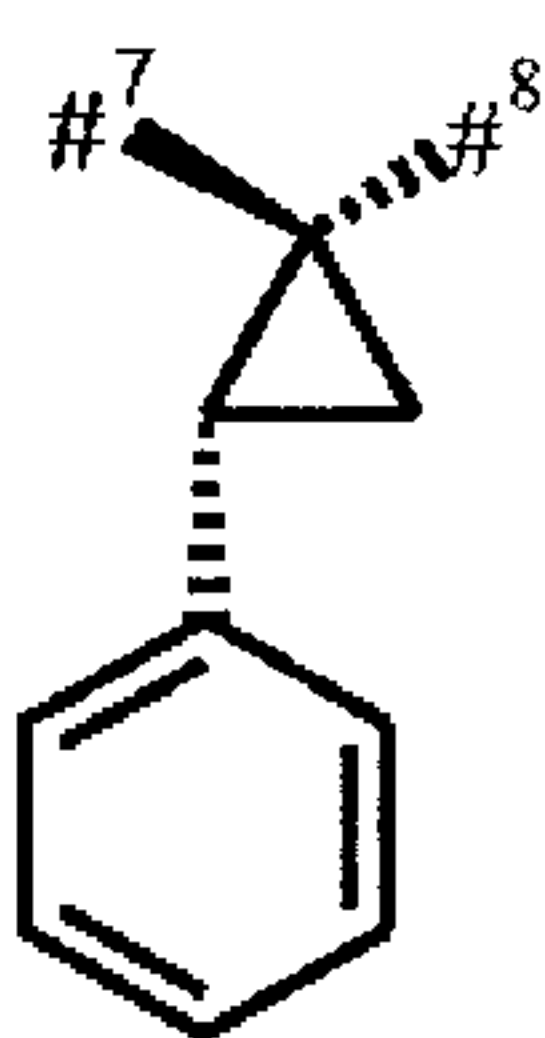
#⁶ marks the linkage site with the carbonyl group,

R³ is hydrogen,

R⁴ is 4-hydroxybenzyl or 1*H*-indol-3-ylmethyl,

or

R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

T¹ is a group of the formula -C(=O)-OR⁷ or -C(=O)-NR⁸R⁹

in which

R^7 is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R^8 is hydrogen,

R^9 is hydrogen or benzyl,

5 R^{35} is methyl,

and also their salts, solvates and solvates of the salts.

6. Binder-drug conjugates of the general formula (Ia) according to any of Claims 1 to 4, in which

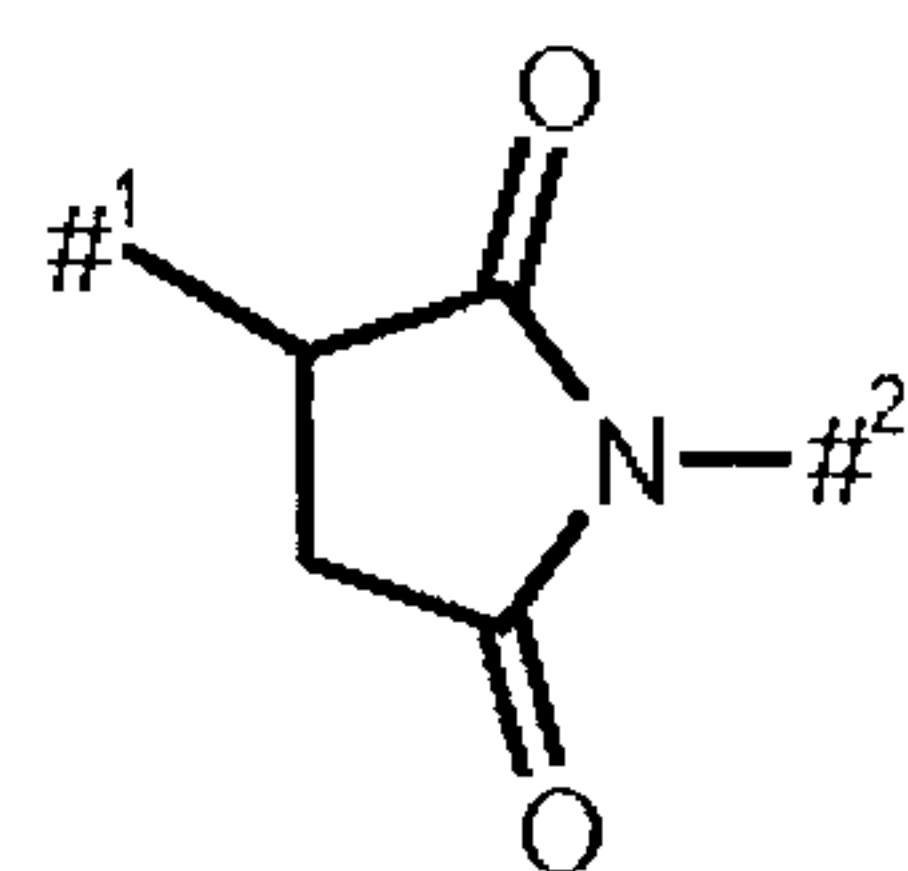
n is a number from 1 to 10,

10 AK is AK_1 ,

where

15 AK_1 is an antibody which comprises the six CDR sequences of the antibody B01-3, B01-10, M31-B01 or D02-6, the variable light and variable heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6 or the light and heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6, and is bonded via the sulphur atom of a cysteine residue of the binder to the group G,

G is a group of the formula



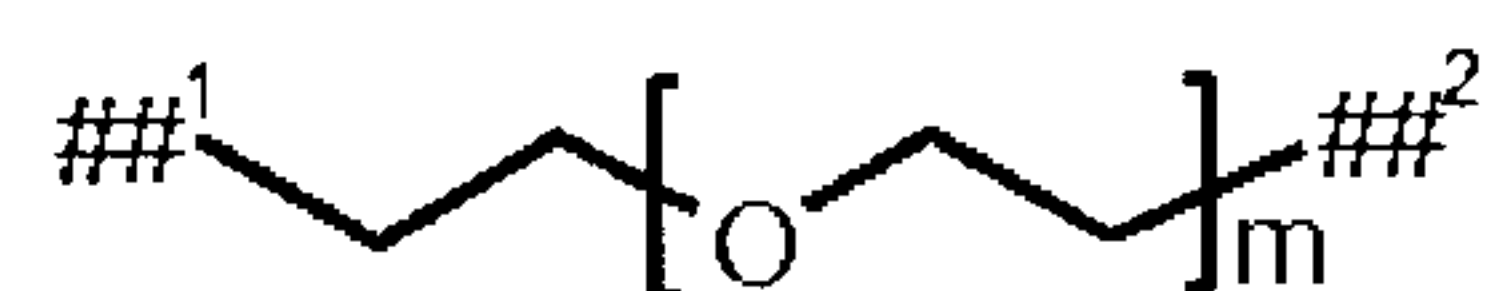
20

where

$\#^1$ marks the linkage site with the cysteine residue of the binder,

$\#^2$ marks the linkage site with the group L^1 ,

L^1 is a bond, linear (C_3 - C_5)-alkanediyl or a group of the formula



where

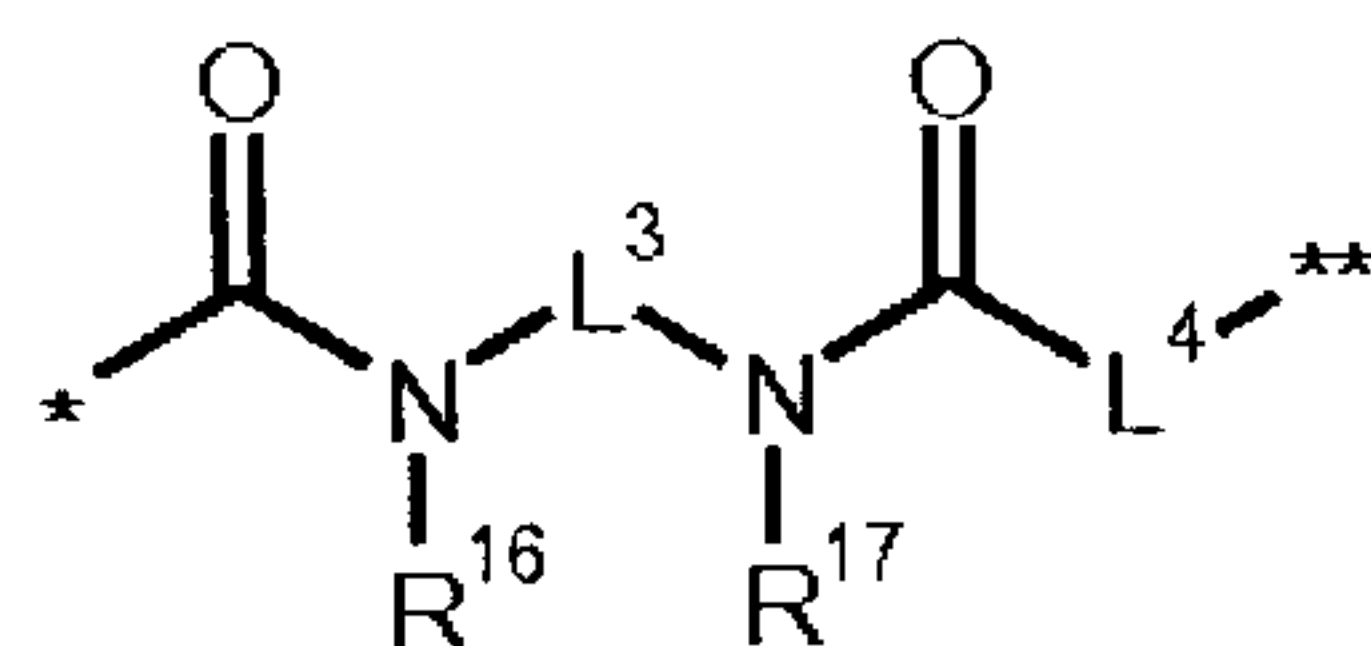
m is a number 2 or 3,

##¹ marks the linkage site with the group G,

5 ##² marks the linkage site with the group B,

where (C₃-C₅)-alkanediyl may be substituted by 1 or 2 methyl substituents,

B is a bond or a group of the formula



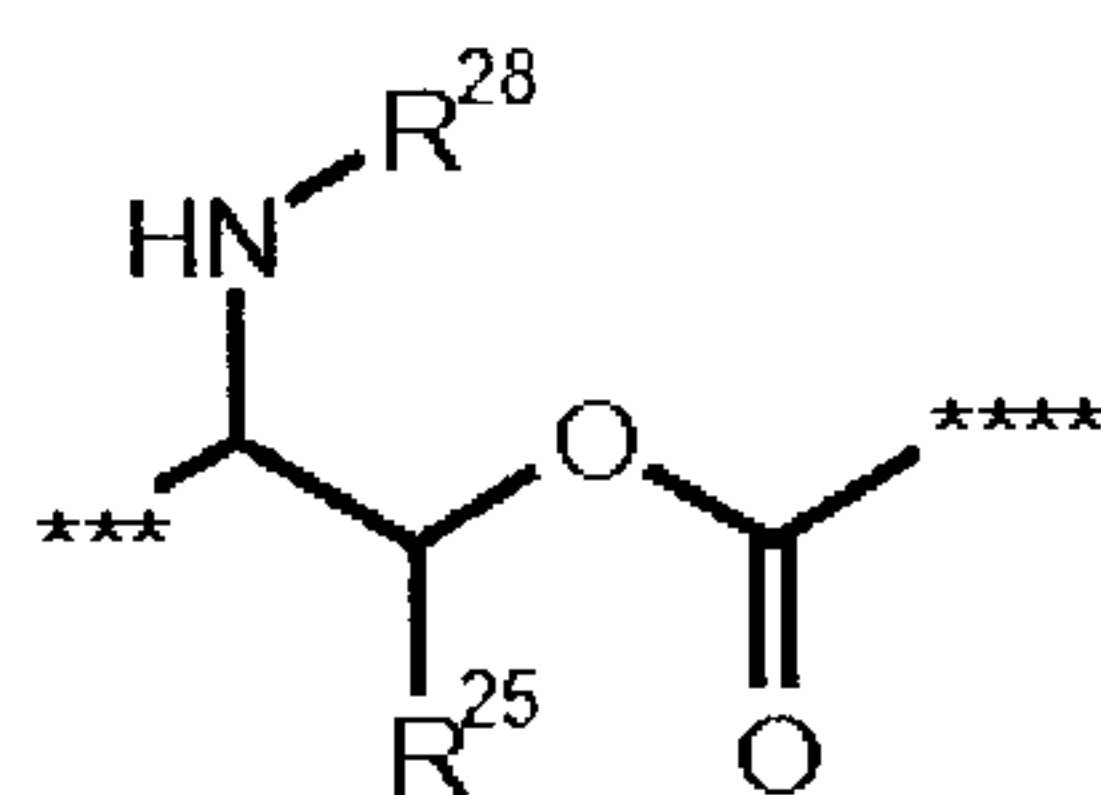
where

10 * marks the linkage site with L¹,

****** marks the linkage site with L^2 ,

L^3 is a bond or ethane-1,2-diyl,

L^4 is a bond or a group of the formula



15 in which

*** marks the linkage site with the carbonyl group,

**** marks the linkage site with L^2 ,

R²⁵ is methyl,

R^{28} is hydrogen, methylcarbonyl or tert-butyloxycarbonyl,

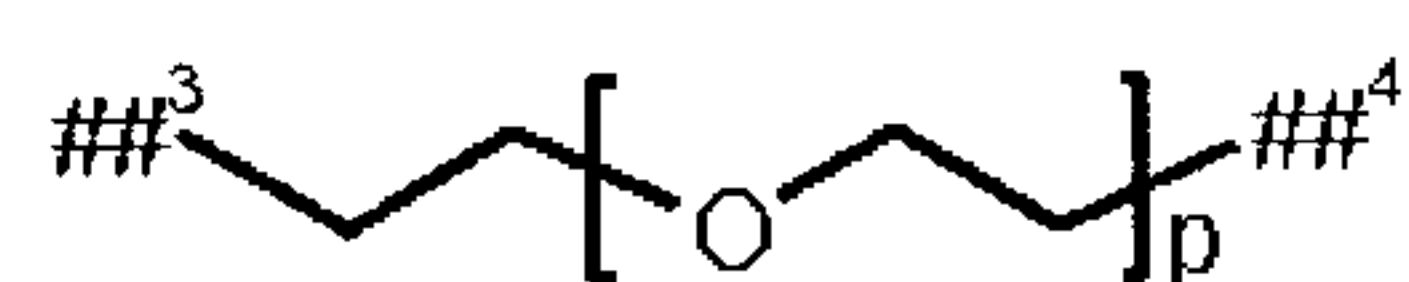
R^{16} is hydrogen or methyl,

R^{17} is hydrogen or methyl,

or

R^{16} and R^{17} together with the atoms to which they are bonded form a piperazinyl ring,

L^2 is linear (C_3-C_5) -alkanediyl or is a group of the formula



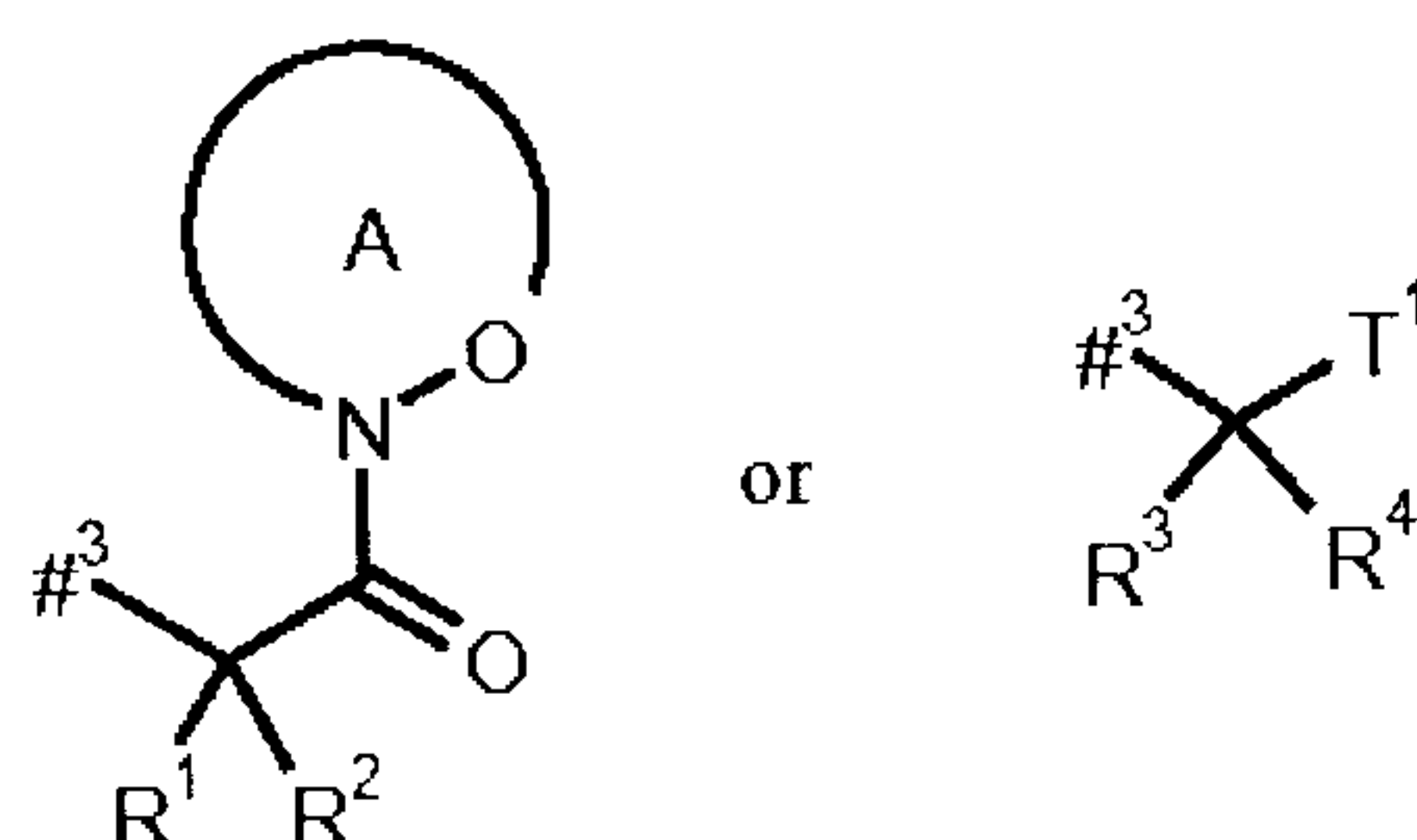
where

p is a number 2 or 3,

$##^3$ marks the linkage site with the group B,

$##^4$ marks the linkage site with the nitrogen atom,

D is a group of the formula



where

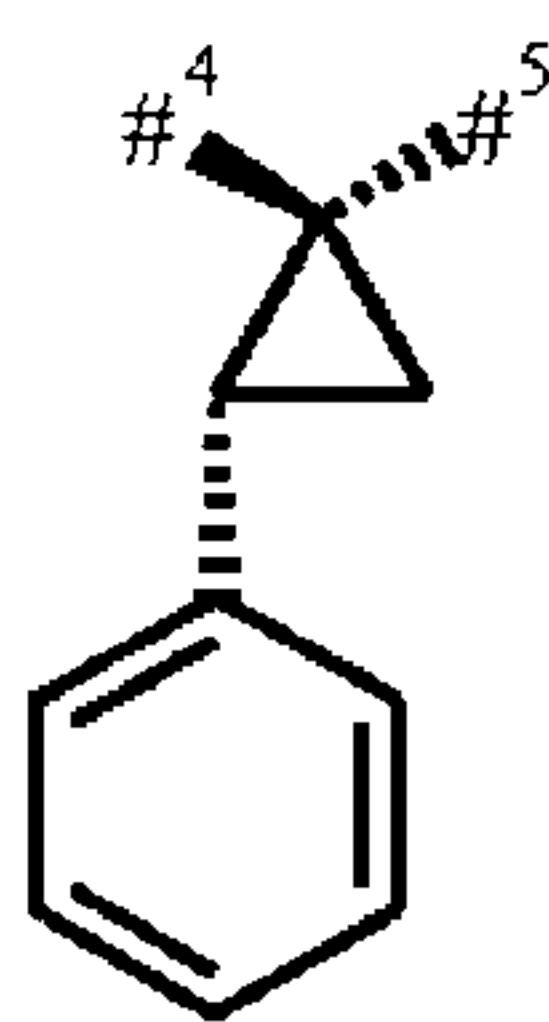
$\#^3$ marks the linkage site with the nitrogen atom,

R^1 is hydrogen,

R^2 is 4-hydroxybenzyl or 1*H*-indol-3-ylmethyl,

or

R^1 and R^2 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

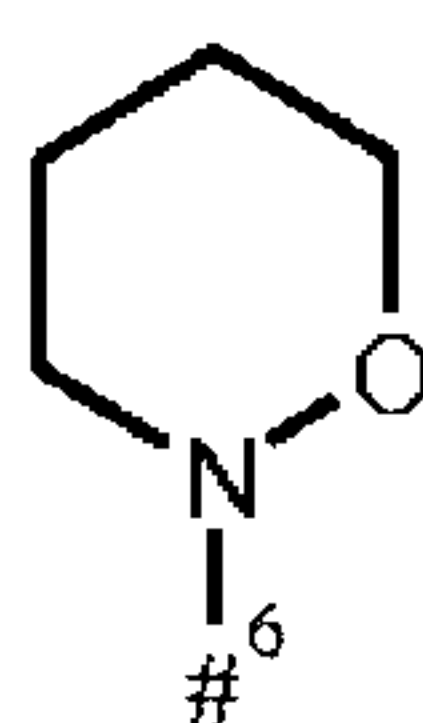


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

- 5 the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



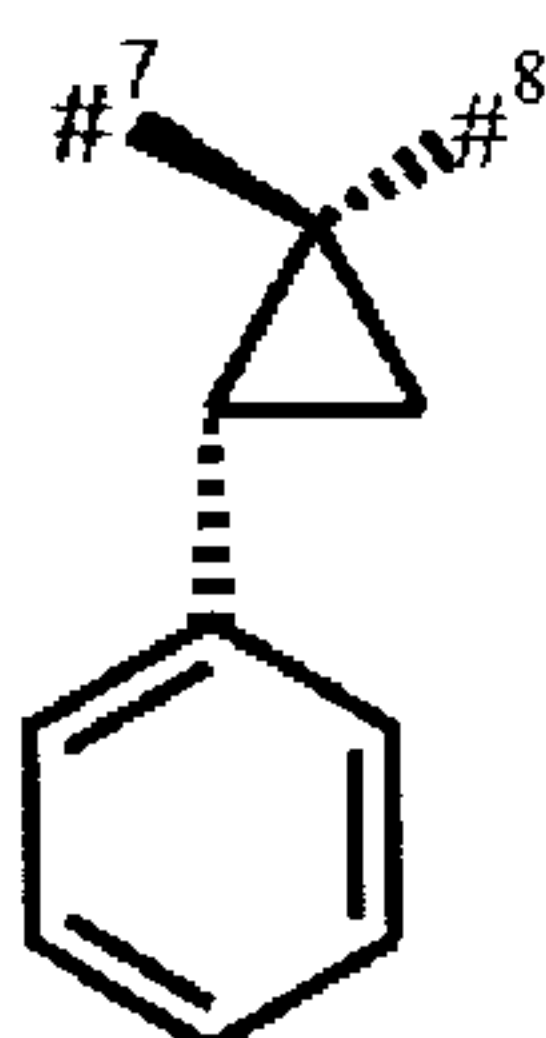
in which

#⁶ marks the linkage site with the carbonyl group,

- 10 R³ is hydrogen,
- R⁴ is 4-hydroxybenzyl or 1*H*-indol-3-ylmethyl,

or

- R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



15

in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

T¹ is a group of the formula -C(=O)-OR⁷ or -C(=O)-NR⁸R⁹,

in which

5

R⁷ is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

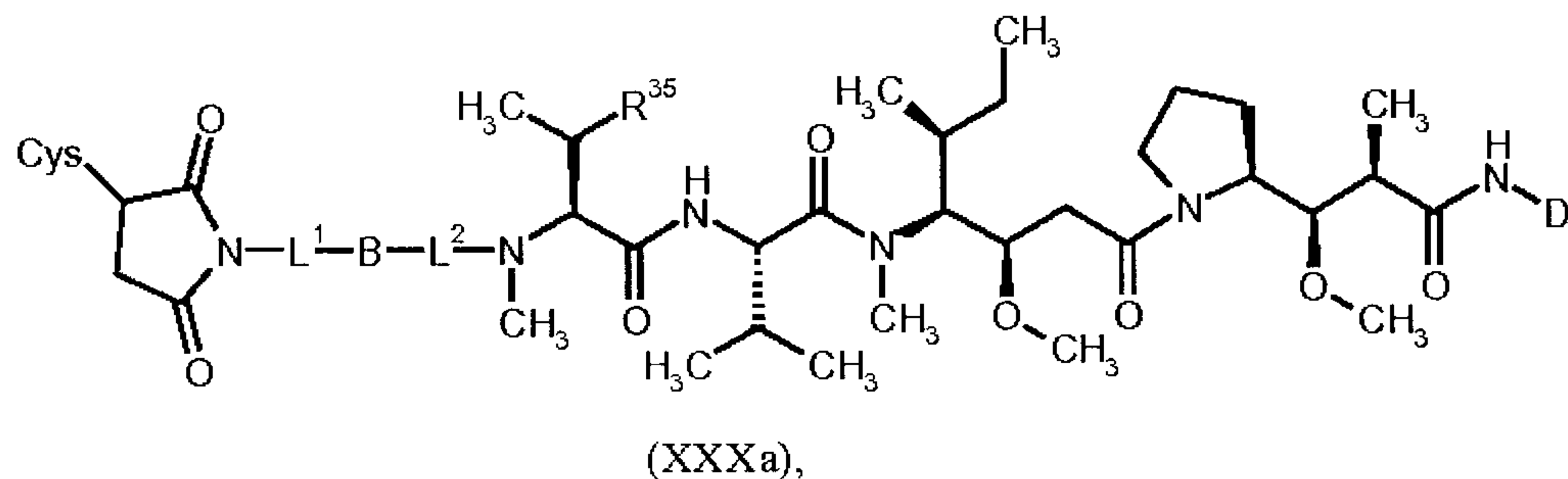
R⁸ is hydrogen,

R⁹ is hydrogen or benzyl,

10 R³⁵ is methyl,

and also their salts, solvates and solvates of the salts.

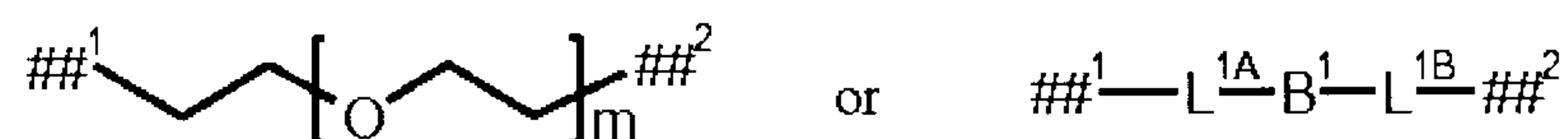
7. Compounds of the formula (XXXa)



15 in which

Cys is a cysteine residue which is bonded via the sulphur atom of the side chain to a carbon atom of the succinimide,

L¹ is a bond, linear (C₁-C₁₀)-alkanediyl, a group of the formula



20 where

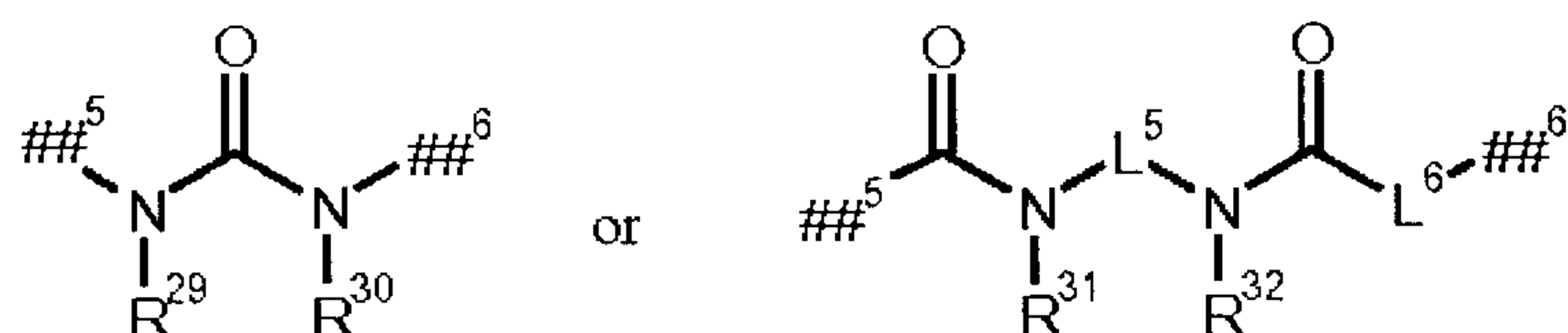
m is a number from 2 to 6,

##¹ marks the linkage site with the group G,

##² marks the linkage site with the group B,

L^{1A} is linear (C₂-C₁₀)-alkanediyl,

5 B¹ is a group of the formula



in which

##⁵ marks the linkage site with the group L^{1A},

##⁶ marks the linkage site with the group L^{1B},

10 L⁵ is a bond or (C₂-C₄)-alkanediyl,

L⁶ is a bond,

R²⁹ is hydrogen or (C₁-C₄)-alkyl,

R³⁰ is hydrogen or (C₁-C₄)-alkyl,

or

15 R²⁹ and R³⁰ together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

R³¹ is hydrogen or (C₁-C₄)-alkyl,

R³² is hydrogen or (C₁-C₄)-alkyl,

or

20 R³¹ and R³² together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

L^{1B} is linear (C₂-C₁₀)-alkanediyl,

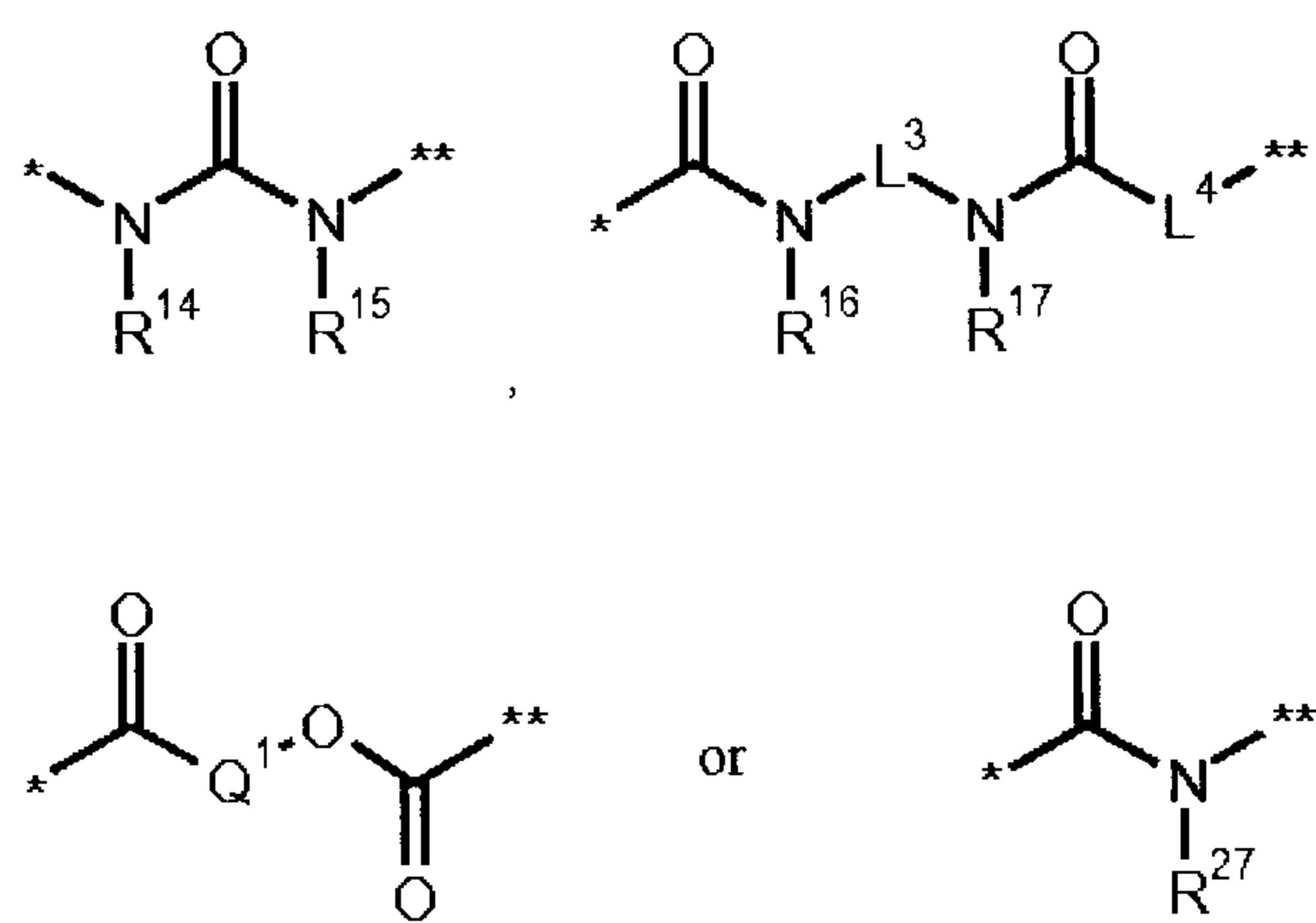
and

where (C₁-C₁₀)-alkanediyl may be substituted by 1 to 4 substituents selected independently of one another from the group consisting of methyl, hydroxy and benzyl,

and

5 where two carbon atoms of the alkanediyl chain in 1,2, 1,3 or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

B is a bond or a group of the formula



10 where

* marks the linkage site with L¹,

** marks the linkage site with L²,

P is O or NH,

L³ is a bond or (C₂-C₄)-alkanediyl,

15 L⁴ is a bond,

Q¹ is a 4- to 7-membered heterocycle,

Q² is a 3- to 7-membered carbocycle or a 4- to 7-membered heterocycle,

R¹⁴ is hydrogen or (C₁-C₄)-alkyl,

R¹⁵ is hydrogen or (C₁-C₄)-alkyl,

or

R^{14} and R^{15} together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

R^{16} is hydrogen or (C₁-C₄)-alkyl,

5 R^{17} is hydrogen or (C₁-C₄)-alkyl,

or

R^{16} and R^{17} together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

R^{18} is hydrogen or (C₁-C₄)-alkyl,

10 R^{19} is hydrogen or the side group of a natural α -amino acid or of its homologues or isomers,

R^{20} is hydrogen or (C₁-C₄)-alkyl,

or

15 R^{19} and R^{20} together with the atoms to which they are bonded form a pyrrolidinyl ring,

R^{21} is hydrogen or (C₁-C₄)-alkyl,

R^{22} is hydrogen or (C₁-C₄)-alkyl,

or

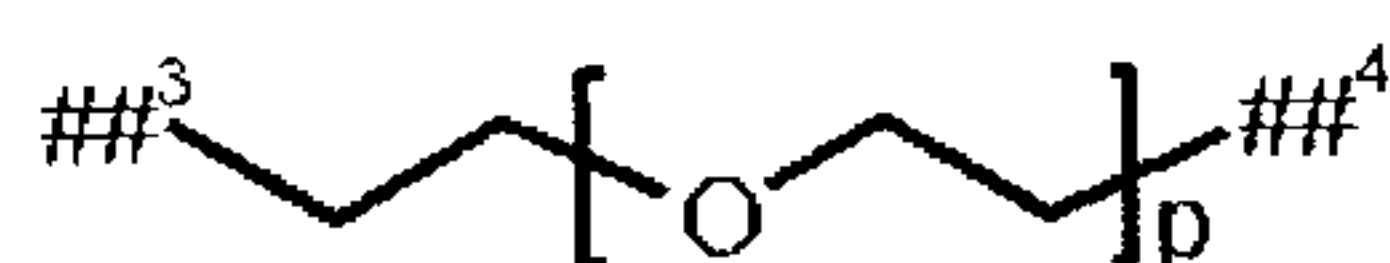
20 R^{21} and R^{22} together with the atoms to which they are bonded form a 3- to 7-membered carbocycle,

R^{23} is (C₁-C₄)-alkyl,

R^{24} is hydrogen or (C₁-C₄)-alkyl,

R^{27} is hydrogen or (C₁-C₄)-alkyl,

L^2 is linear (C₂-C₁₀)-alkanediyl or is a group of the formula



where

p is a number from 2 to 6,

##³ marks the linkage site with the group B,

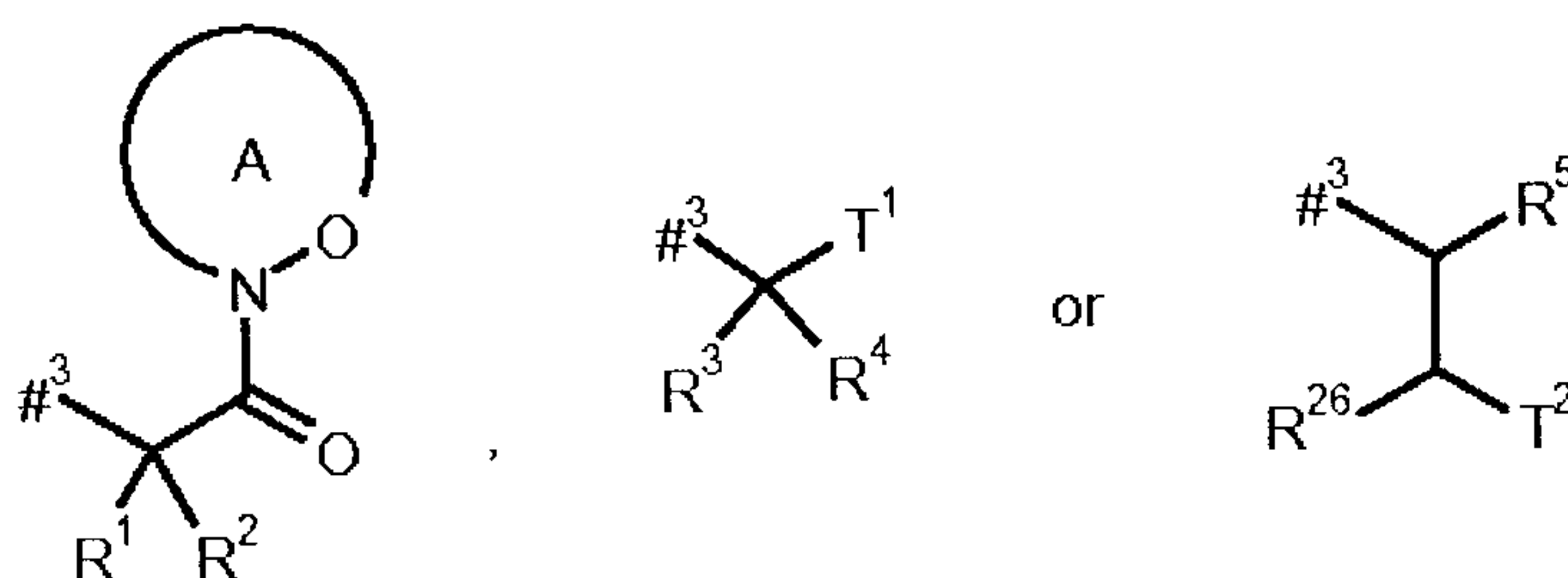
5 ##⁴ marks the linkage site with the nitrogen atom,

where (C₂-C₁₀)-alkanediyl may be substituted by 1 to 4 substituents selected independently of one another from the group consisting of methyl, hydroxy and benzyl,

and

10 where two carbon atoms of the alkanediyl chain in 1,2-, 1,3- or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

D is a group of the formula



15 where

#³ marks the linkage site with the nitrogen atom,

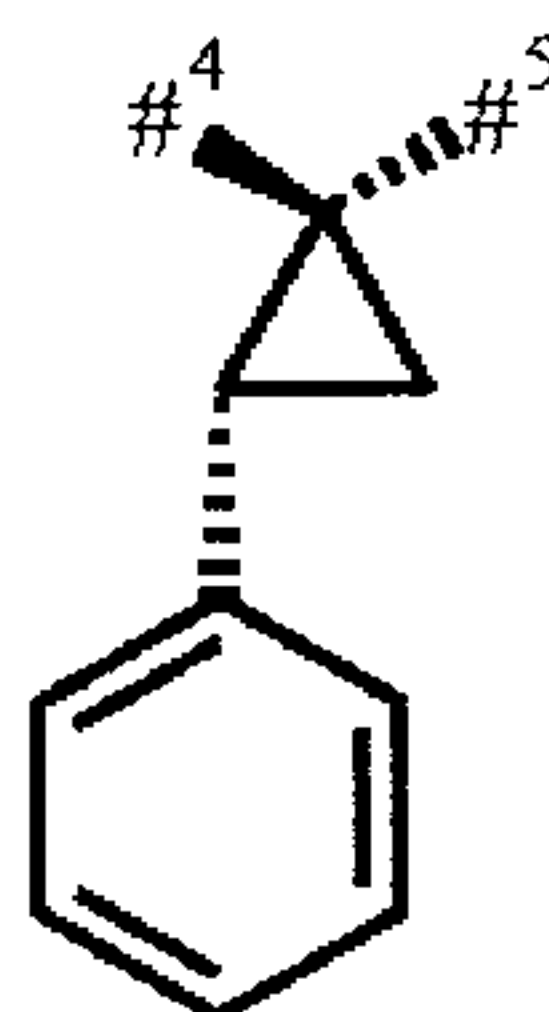
R¹ is hydrogen or methyl,

R² is isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, phenyl, benzyl, 1-hydroxyethyl, 4-hydroxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-hydroxy-3-aminobenzyl, 1-phenylethyl, diphenylmethyl, 1*H*-imidazol-4-ylmethyl or 1*H*-indol-3-ylmethyl,

20

or

R^1 and R^2 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



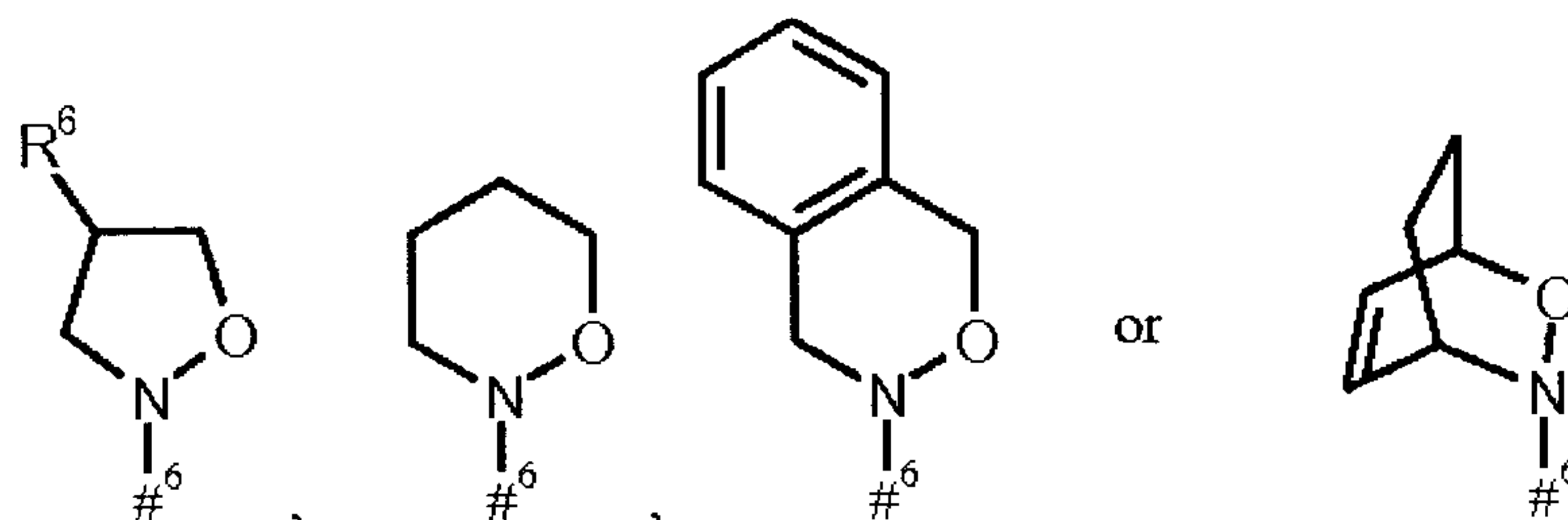
5

in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



10

in which

#⁶ marks the linkage site with the carbonyl group,

R^6 is hydrogen, hydroxy or benzyloxy,

15

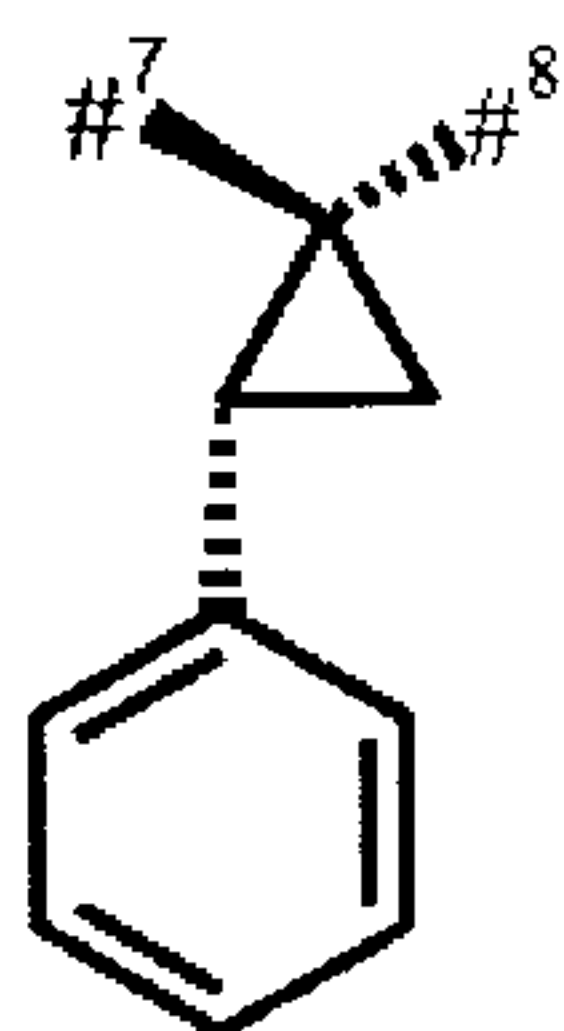
R^3 is hydrogen or methyl,

R^4 is isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, phenyl, benzyl, 1-hydroxyethyl, 4-hydroxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-hydroxy-3-aminobenzyl, 1-phenylethyl, diphenylmethyl, 1*H*-imidazol-4-ylmethyl or 1*H*-indol-3-ylmethyl,

20

or

R^3 and R^4 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

5 $\#^7$ marks the linkage site with the adjacent nitrogen atom,

$\#^8$ marks the linkage site with the group T^1 ,

T^1 is a group of the formula $-C(=O)-OR^7$, $-C(=O)-NR^8R^9$, $-C(=O)-NH-NH-R^{10}$ or $-CH_2-O-R^{11}$,

in which

10 R^7 is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R^8 is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

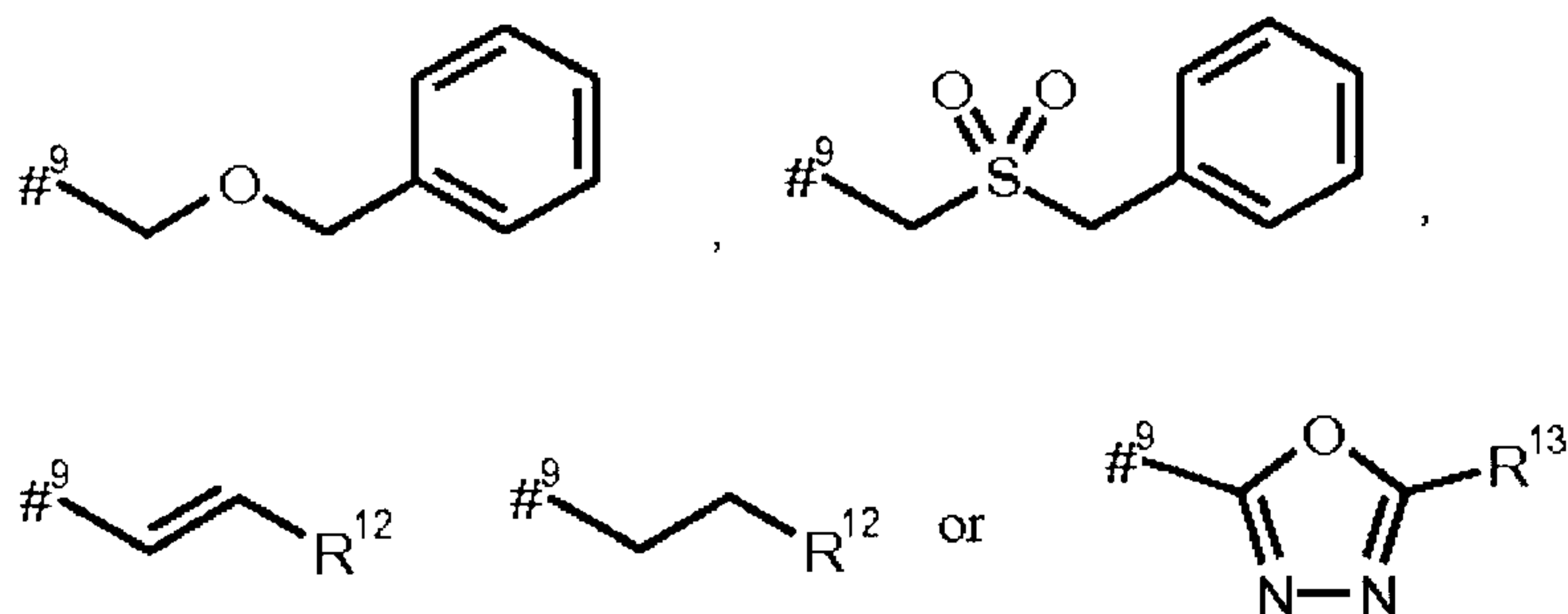
or

15 R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

R^{10} is benzoyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

20 R^5 is hydrogen, methyl or a group of the formula



in which

#⁹ marks the linkage site with $-\text{CHC}(\text{R}^{26})-\text{T}^2$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $-\text{S}(\text{O})_2\text{OH}$,

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{26} is hydrogen or hydroxy,

T^2 is phenyl, benzyl, 1*H*-indol-3-yl or 1*H*-indol-3-ylmethyl,

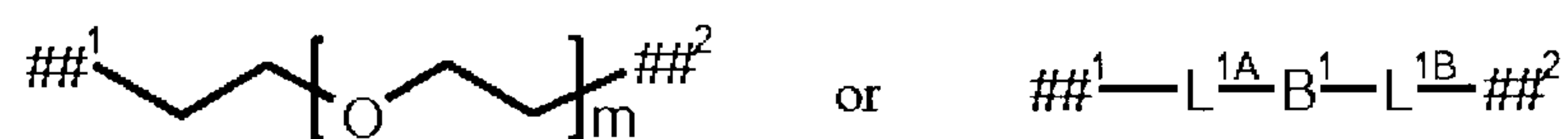
R^{35} is methyl or hydroxy,

and also their salts, solvates and solvates of the salts.

8. Compounds of the formula (XXXa) according to Claim 7, in which

Cys is a cysteine residue which is bonded via the sulphur atom of the side chain via a carbon atom of the succinimide,

L^1 is a bond, linear ($\text{C}_2\text{-C}_6$)-alkanediyl, a group of the formula



where

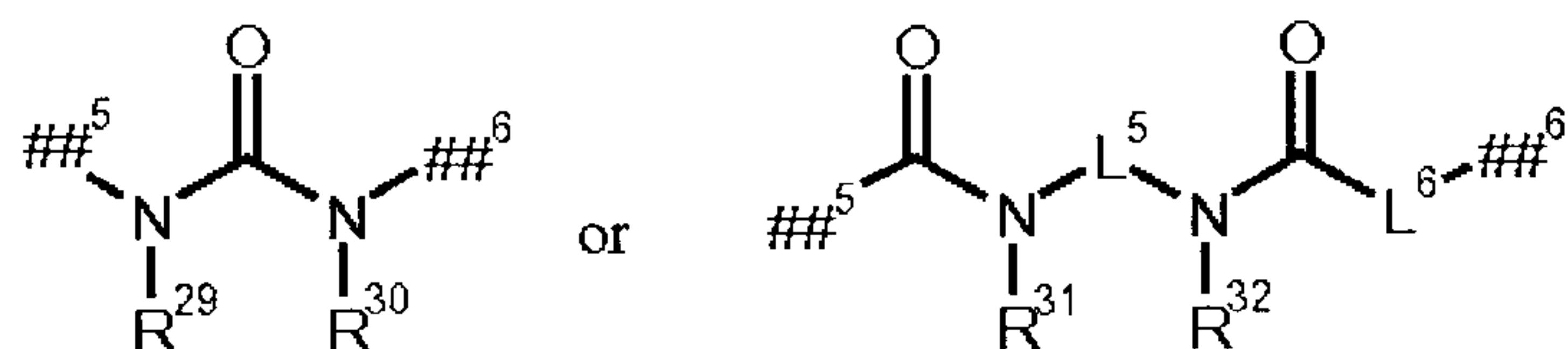
m is a number 2 or 3,

##¹ marks the linkage site with the group G,

##² marks the linkage site with the group B,

L^{1A} is linear (C₂-C₆)-alkanediyl,

B^I is a group of the formula



in which

5 $##^5$ marks the linkage site with the group L^{1A} ,

$##^6$ marks the linkage site with the group L^{1B} ,

L^5 is a bond,

L^6 is a bond,

R^{29} is hydrogen,

10 R^{30} is hydrogen,

R^{31} is hydrogen or methyl,

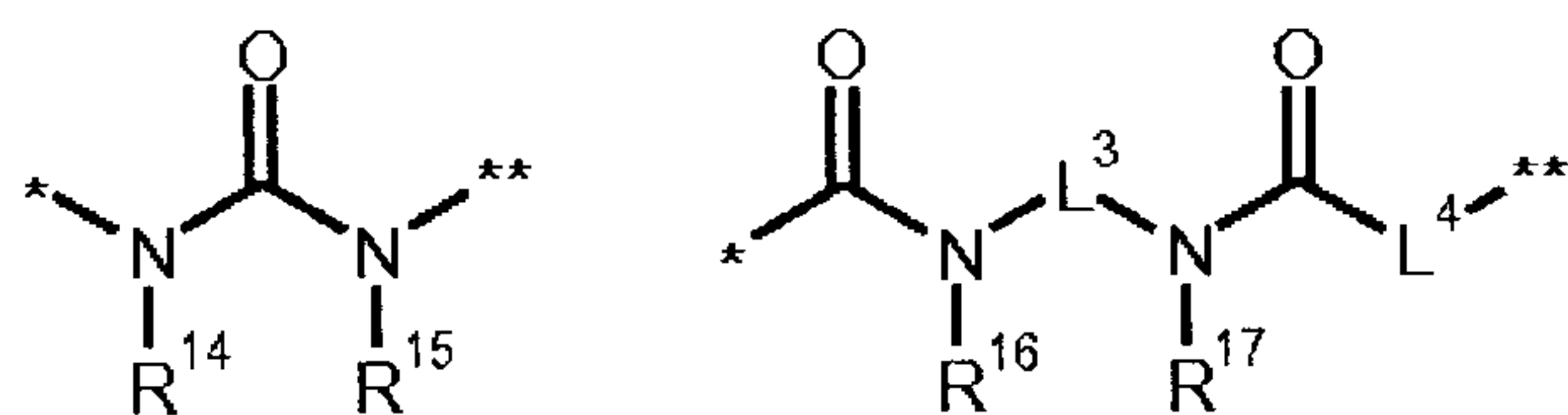
R^{32} is hydrogen or methyl,

L^{1B} is linear (C₂-C₆)-alkanediyl,

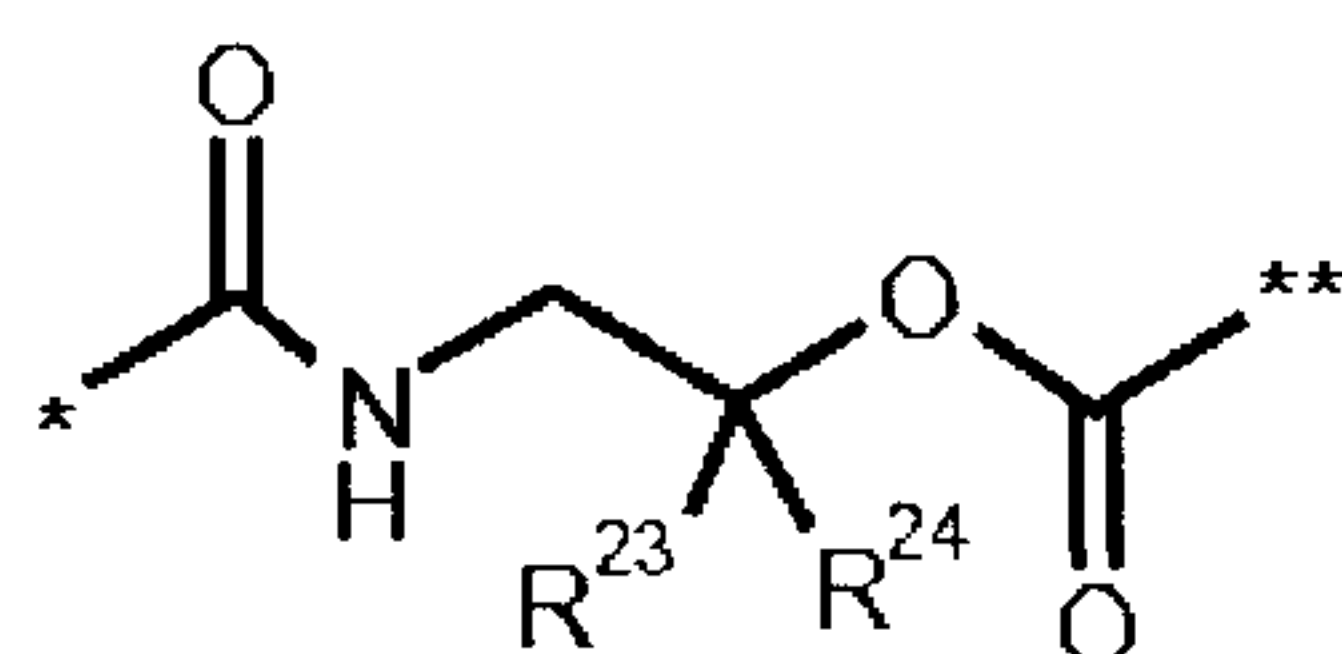
and

15 where (C₂-C₆)-alkanediyl may be substituted by 1 or 2 methyl substituents,

B is a bond or a group of the formula



or



where

* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

L^3 is a bond or ethane-1,2-diyl,

5 L^4 is a bond,

R^{14} is hydrogen,

R^{15} is hydrogen,

R^{16} is hydrogen or methyl,

R^{17} is hydrogen or methyl,

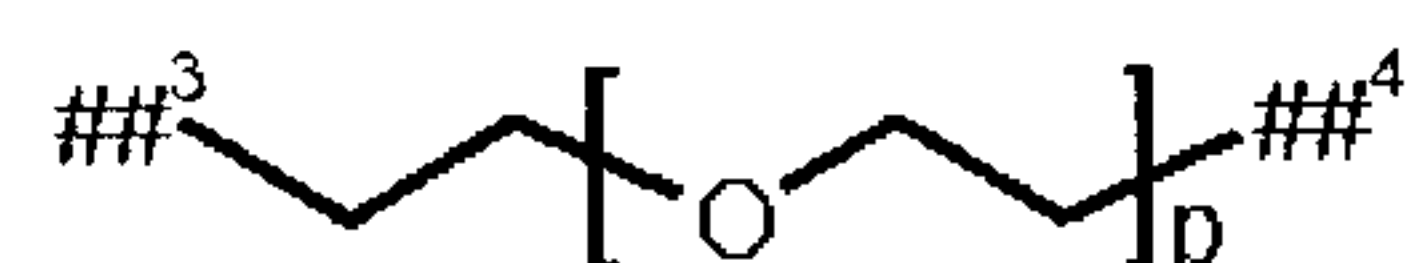
10 or

R^{16} and R^{17} together with the atoms to which they are bonded form piperazinyl ring,

R^{23} is methyl,

R^{24} is hydrogen or methyl,

15 L^2 is linear (C_2-C_6) -alkanediyl or is a group of the formula



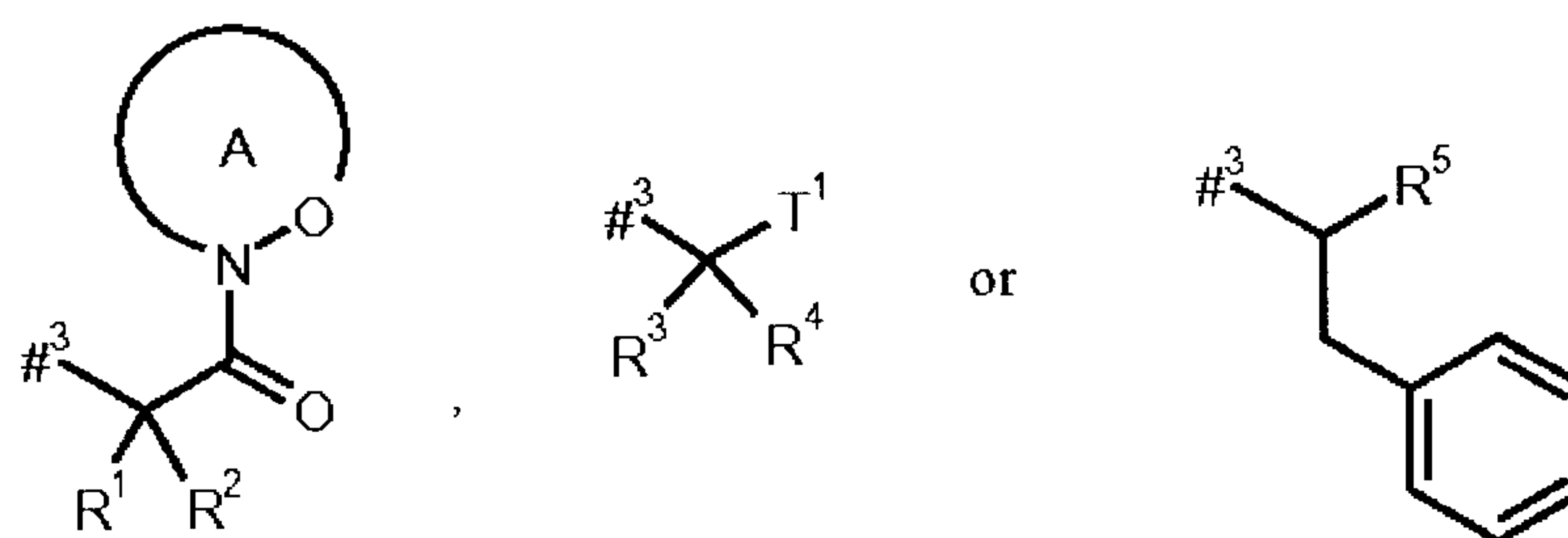
where

p is a number 2 or 3,

##^3 marks the linkage site with the group B,

20 ##^4 marks the linkage site with the nitrogen atom,

D is a group of the formula



where

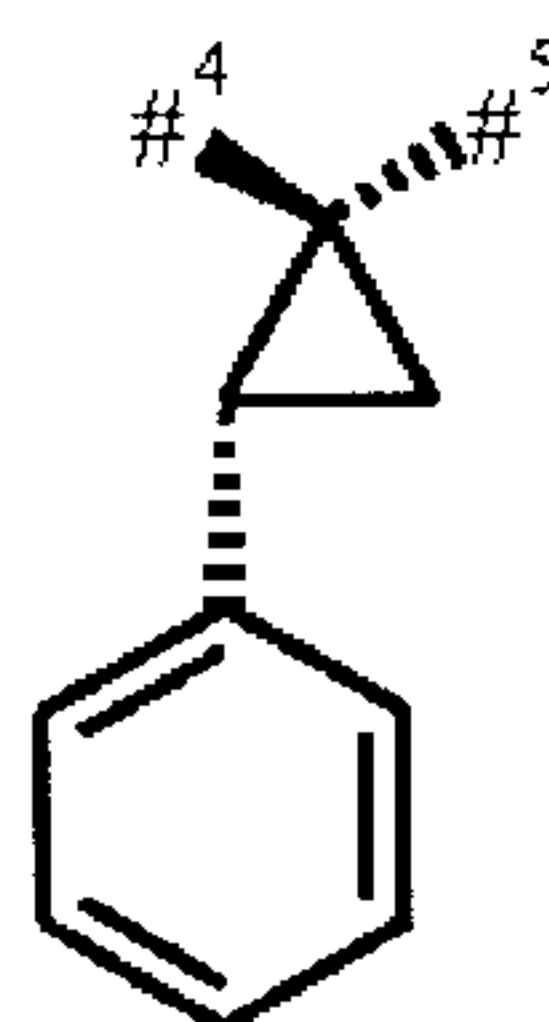
#³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen,

5 R² is 1-hydroxyethyl, benzyl, 4-hydroxybenzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



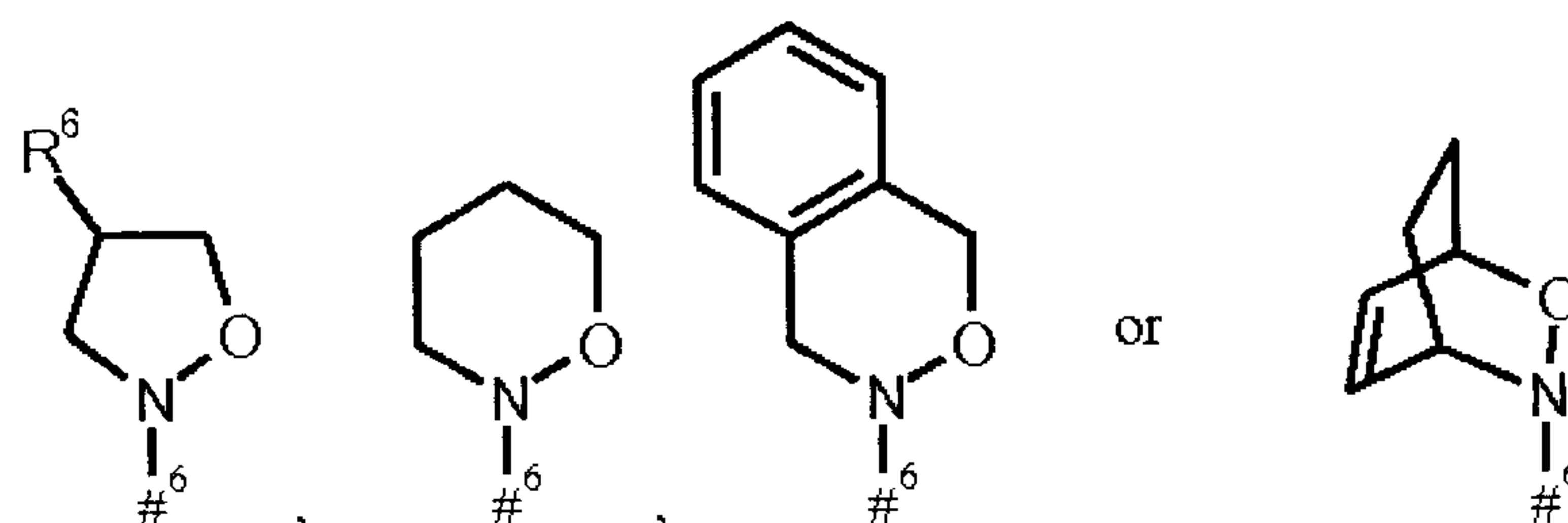
10

in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

15 the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

#⁶ marks the linkage site with the carbonyl group,

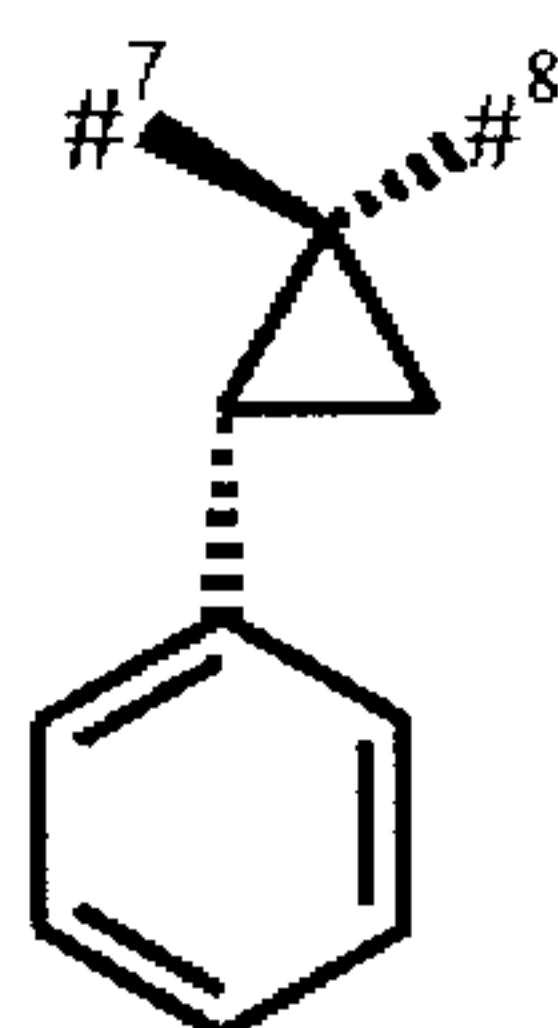
5 R^6 is hydrogen, hydroxy or benzyloxy,

R^3 is hydrogen,

R^4 is 1-hydroxyethyl, benzyl, 4-hydroxybenzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

10 R^3 and R^4 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

15 #⁸ marks the linkage site with the group T^1 ,

T^1 is a group of the formula $-C(=O)-OR^7$, $-C(=O)-NR^8R^9$, $-C(=O)-NH-NH-R^{10}$ or $-CH_2-O-R^{11}$,

in which

20 R^7 is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R^8 is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

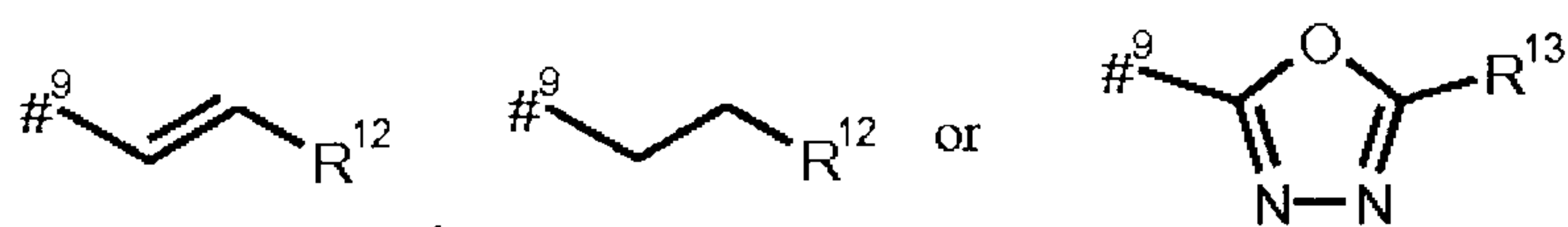
or

R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

R^{10} is benzoyl,

R^{11} is benzyl which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



in which

$\#^9$ marks the linkage site to $\text{---CHCH}_2\text{phenyl}$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $\text{---S(O)}_2\text{OH}$,

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{35} is methyl or hydroxy,

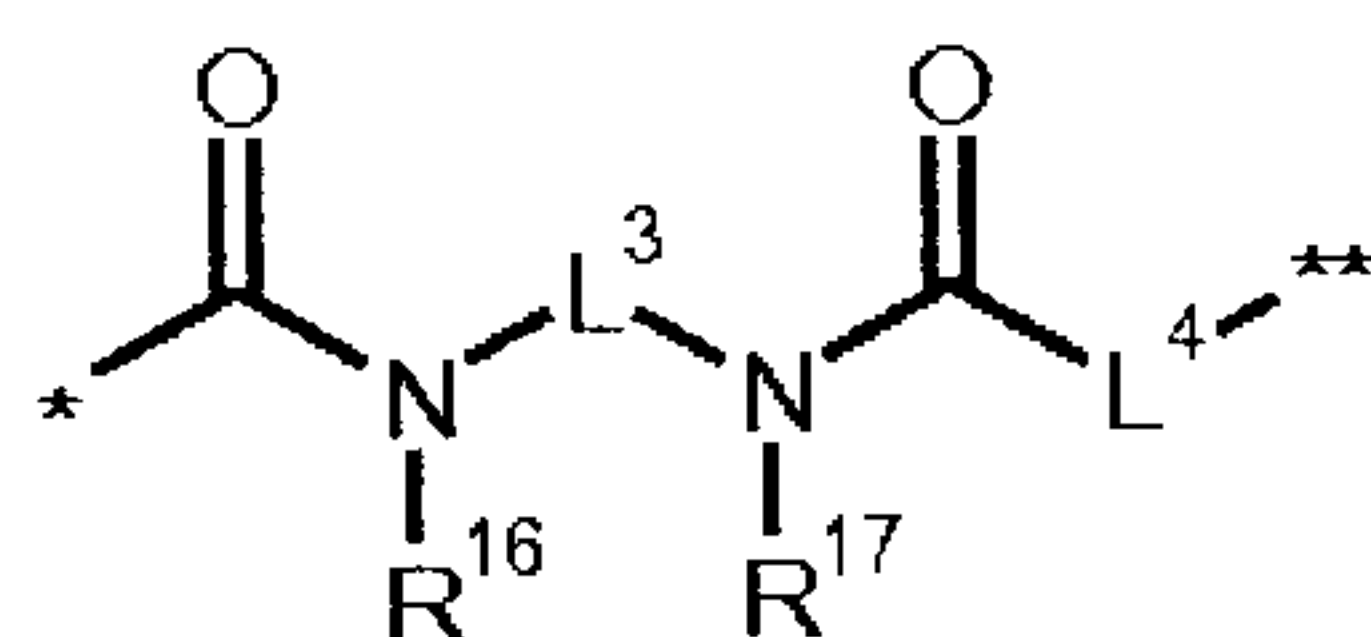
and also their salts, solvates and solvates of the salts.

9. Compounds of the formula (XXXa) according to either of Claims 7 or 8, in which

Cys is a cysteine residue which is bonded via the sulphur atom of the side chain via a carbon atom of the succinimide,

L^1 is a bond or linear $(C_2\text{---}C_6)$ -alkanediyl,

B is a bond or a group of the formula



where

* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

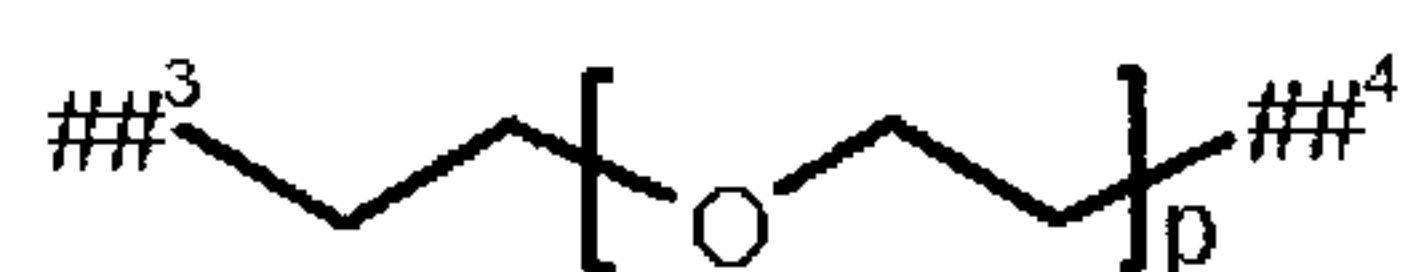
5 L^3 is a bond,

L^4 is a bond,

R^{16} is hydrogen or methyl,

R^{17} is hydrogen or methyl,

L^2 is linear (C_2-C_6) -alkanediyl or is a group of the formula



10

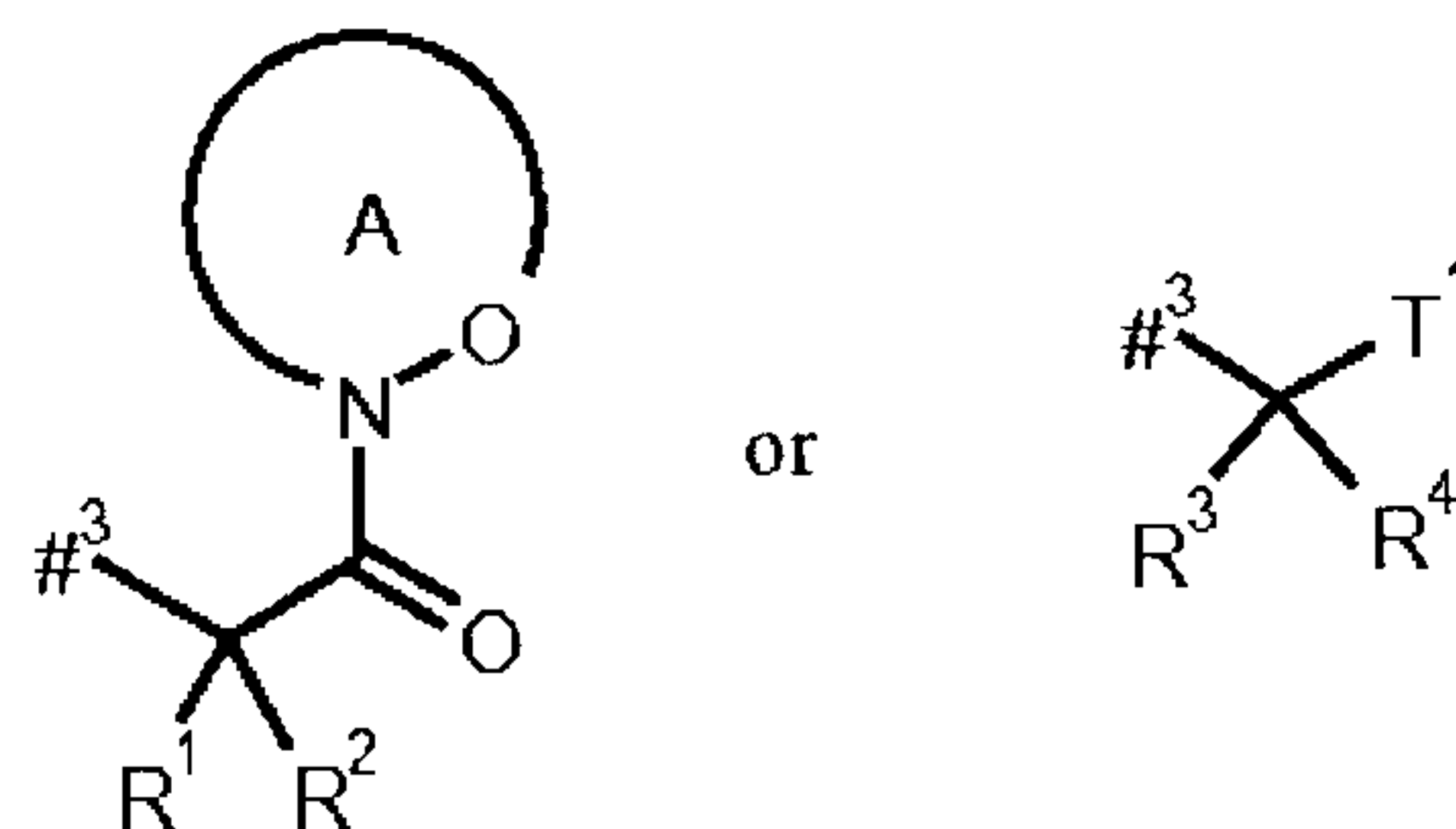
where

p is a number 2 or 3,

$##^3$ marks the linkage site with the group B,

$##^4$ marks the linkage site with the nitrogen atom,

15 D is a group of the formula



where

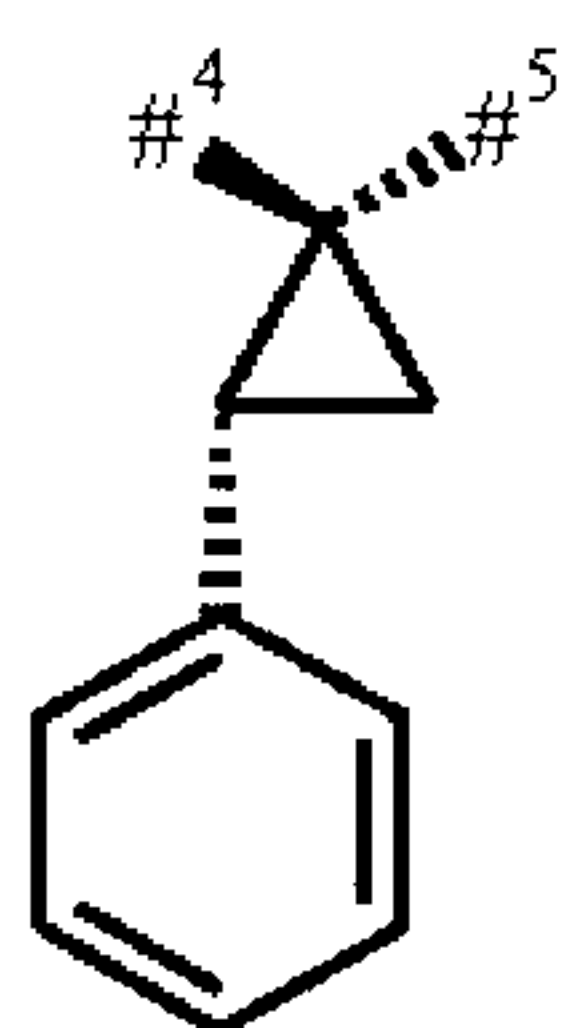
$\#^3$ marks the linkage site with the nitrogen atom,

R^1 is hydrogen,

R^2 is benzyl or 1*H*-indol-3-ylmethyl,

or

R^1 and R^2 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

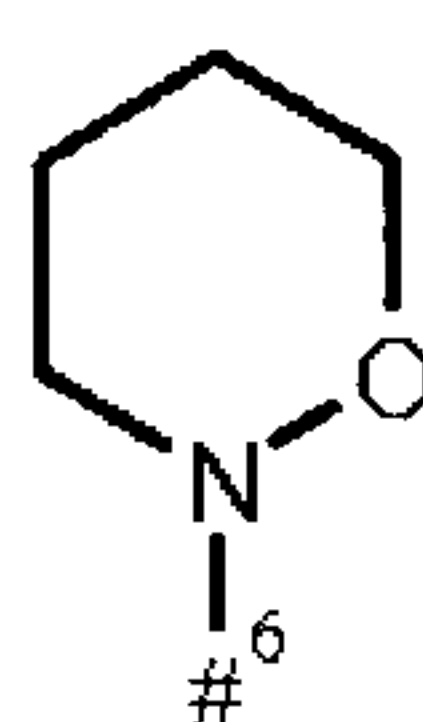


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

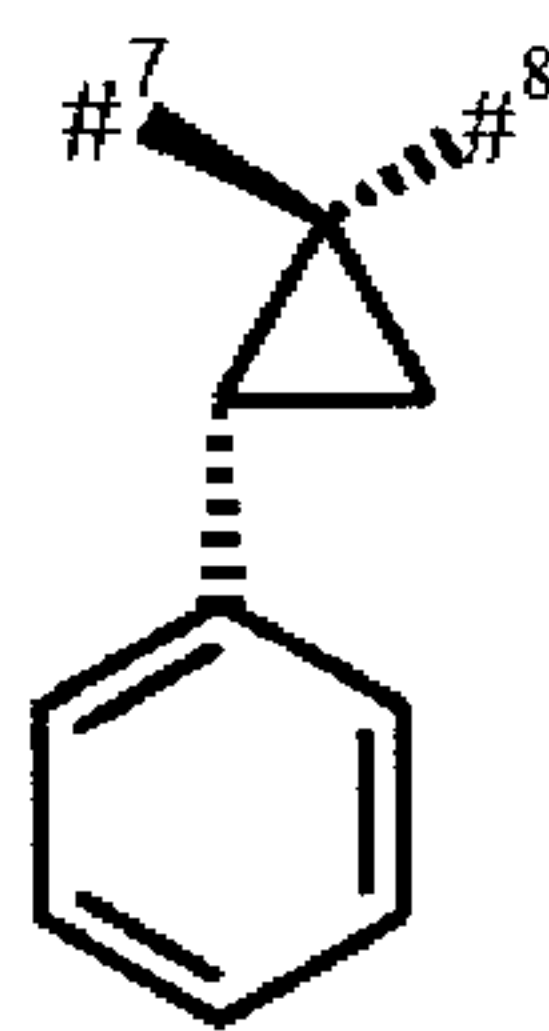
#⁶ marks the linkage site with the carbonyl group,

R^3 is hydrogen,

R^4 is benzyl or 1*H*-indol-3-ylmethyl,

or

R^3 and R^4 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

5 T¹ is a group of the formula -C(=O)-OR⁷ or -C(=O)-NR⁸R⁹,

in which

R⁷ is hydrogen,

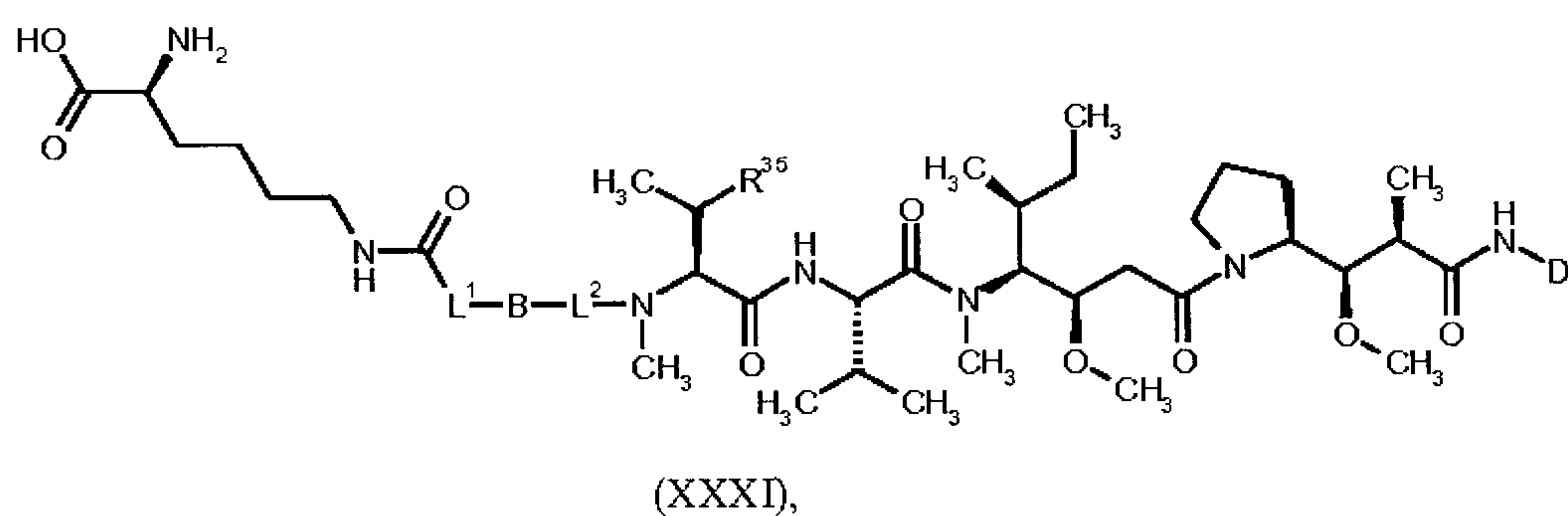
R⁸ is hydrogen,

R⁹ is hydrogen,

10 R³⁵ is methyl,

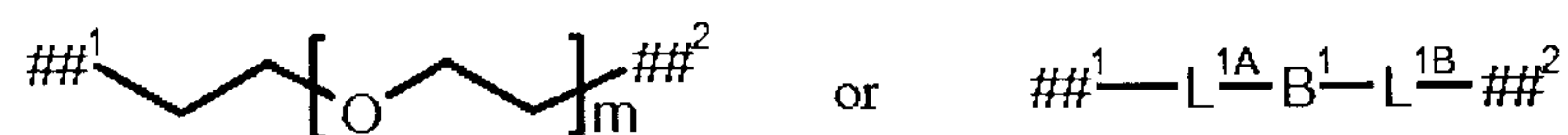
and also their salts, solvates and solvates of the salts.

10. Compounds of the formula (XXXI)



15 in which

L¹ is a bond, linear (C₁-C₁₀)-alkanediyl, a group of the formula



where

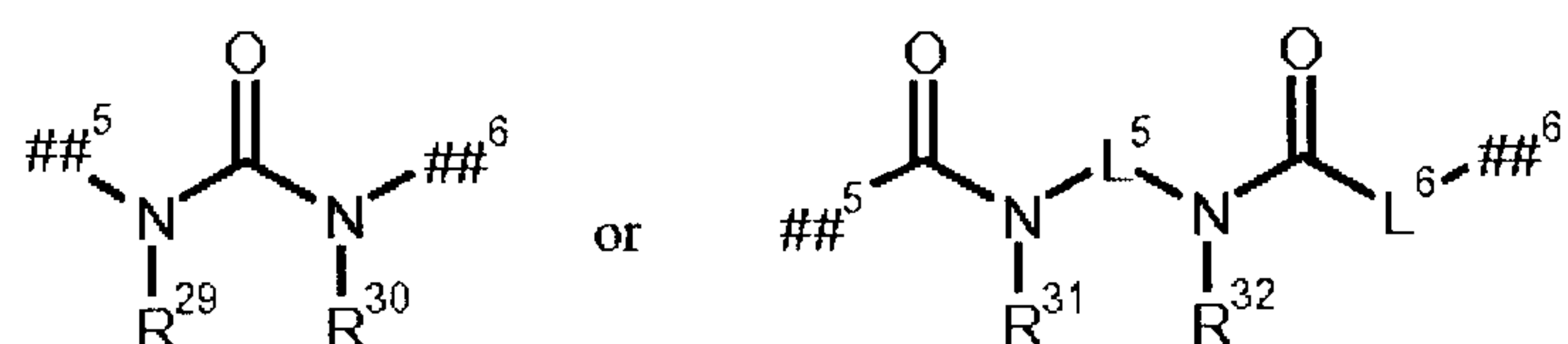
m is a number from 2 to 6,

##^1 marks the linkage site with the group G,

5 ##^2 marks the linkage site with the group B,

L^{1A} is linear (C₂-C₁₀)-alkanediyl,

B^1 is a group of the formula



in which

10 ##^5 marks the linkage site with the group L^{1A} ,

##^6 marks the linkage site with the group L^{1B} ,

L^5 is a bond or (C₂-C₄)-alkanediyl,

L^6 is a bond,

R^{29} is hydrogen or (C₁-C₄)-alkyl,

15 R^{30} is hydrogen or (C₁-C₄)-alkyl,

or

R^{29} and R^{30} together with the atoms to which they are bonded form a 5-
or 6-membered heterocycle,

R^{31} is hydrogen or (C₁-C₄)-alkyl,

20 R^{32} is hydrogen or (C₁-C₄)-alkyl,

or

R^{31} and R^{32} together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

L^{1B} is linear (C₂-C₁₀)-alkanediyl,

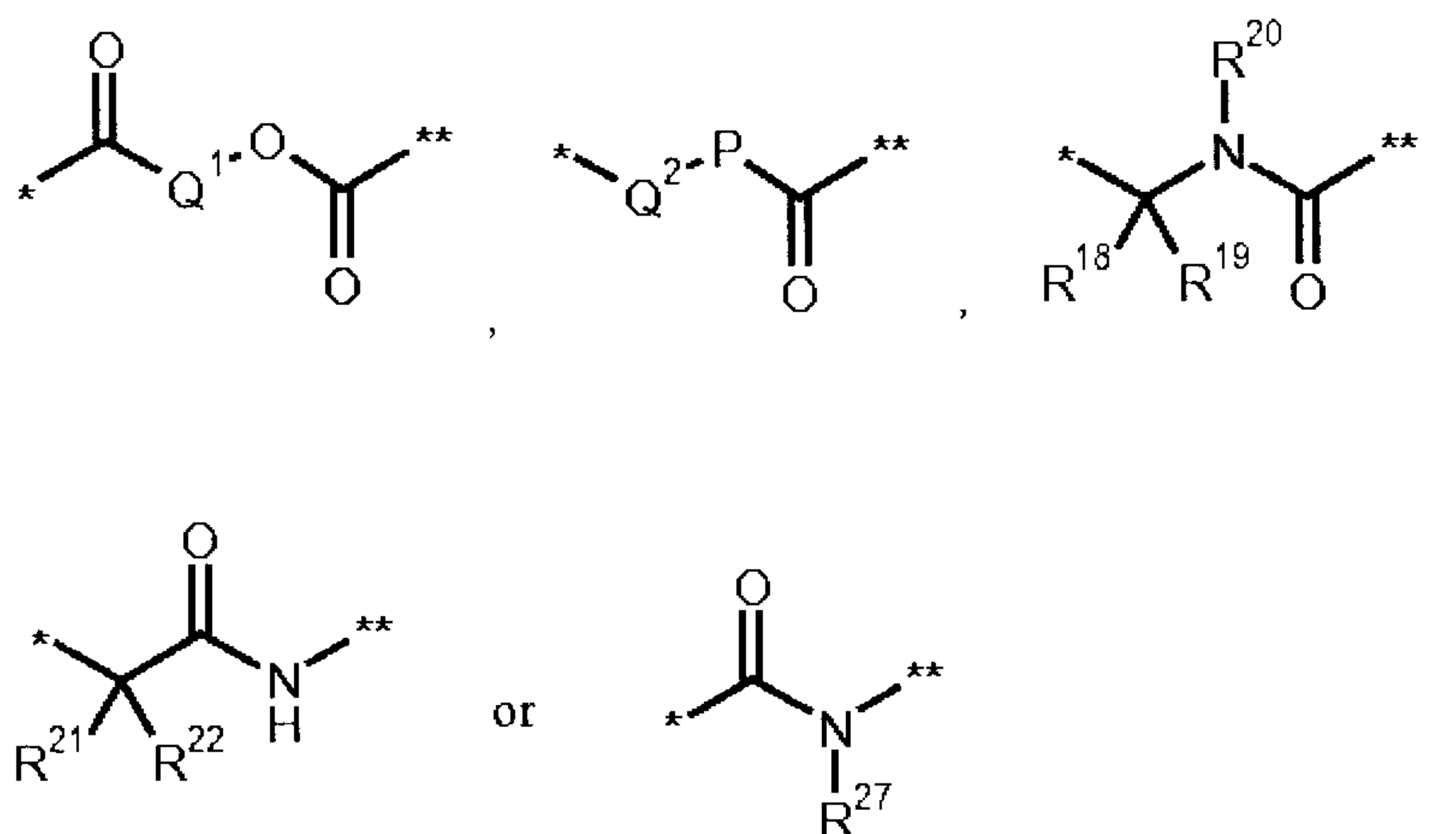
and

5 where (C₁-C₁₀)-alkanediyl may be substituted by 1 to 4 substituents selected independently of one another from the group consisting of methyl, hydroxy and benzyl,

and

10 where two carbon atoms of the alkanediyl chain in 1,2, 1,3 or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

B is a bond or a group of the formula



15 where

* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

P is O or NH,

Q^1 is a 4- to 7-membered heterocycle,

20 Q^2 is a 3- to 7-membered carbocycle or a 4- to 7-membered heterocycle,

R^{18} is hydrogen or (C₁-C₄)-alkyl,

R^{19} is hydrogen or the side group of a natural α -amino acid or of its homologues or isomers,

R^{20} is hydrogen or (C₁-C₄)-alkyl,

5 or

R^{19} and R^{20} together with the atoms to which they are bonded form a pyrrolidiny ring,

R^{21} is hydrogen or (C₁-C₄)-alkyl,

R^{22} is hydrogen or (C₁-C₄)-alkyl,

10 or

R^{21} and R^{22} together with the atoms to which they are bonded form a 3- to 7-membered carbocycle,

R^{27} is hydrogen or (C₁-C₄)-alkyl,

L^2 is linear (C₂-C₁₀)-alkanediyl or is a group of the formula



where

p is a number from 2 to 6,

$##^3$ marks the linkage site with the group B,

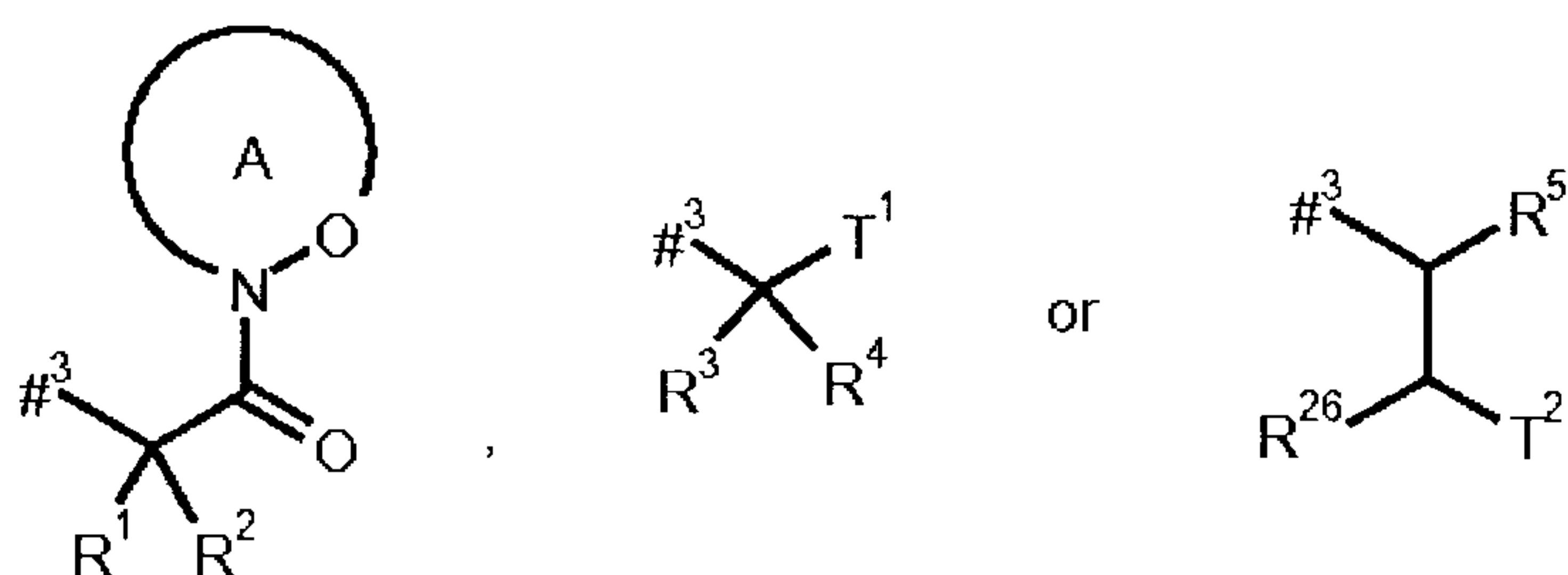
$##^4$ marks the linkage site with the nitrogen atom,

20 where (C₂-C₁₀)-alkanediyl may be substituted by 1 to 4 substituents selected independently of one another from the group consisting of methyl, hydroxy and benzyl,

and

where two carbon atoms of the alkanediyl chain in 1,2, 1,3 or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

D is a group of the formula



in which

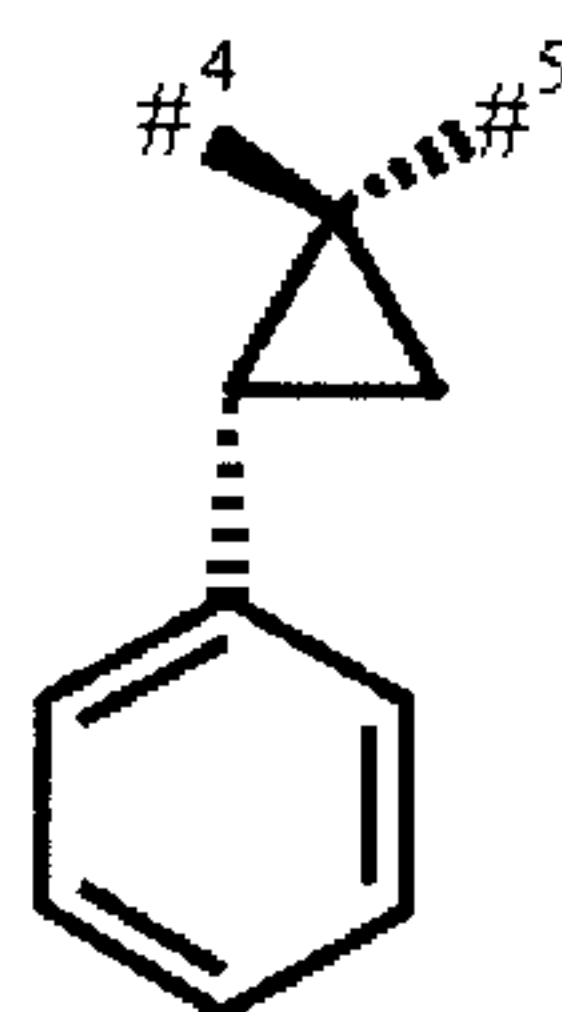
#³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen or methyl,

R² is isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, phenyl, benzyl, 1-hydroxyethyl, 4-hydroxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-hydroxy-3-aminobenzyl, 1-phenylethyl, diphenylmethyl, 1*H*-imidazol-4-ylmethyl or 1*H*-indol-3-ylmethyl,

or

R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

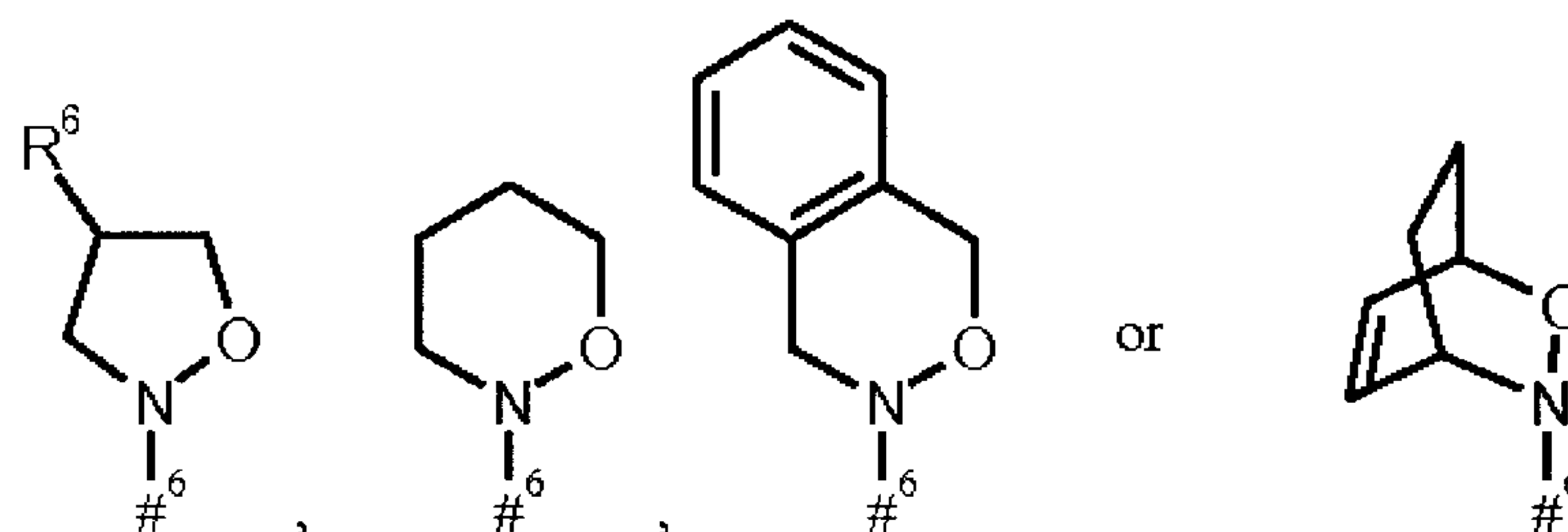


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

#⁶ marks the linkage site with the carbonyl group,

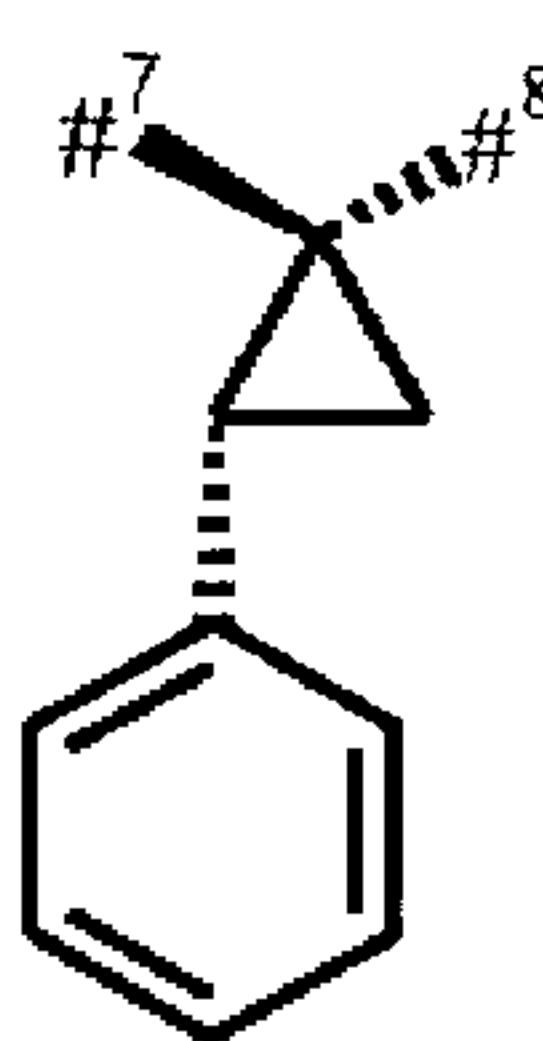
R⁶ is hydrogen, hydroxy or benzyloxy,

R³ is hydrogen or methyl,

R⁴ is isopropyl, isobutyl, *sec*-butyl, *tert*-butyl, phenyl, benzyl, 1-hydroxyethyl, 4-hydroxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-hydroxy-3-aminobenzyl, 1-phenylethyl, diphenylmethyl, 1*H*-imidazol-4-ylmethyl or 1*H*-indol-3-ylmethyl,

or

R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

T¹ is a group of the formula -C(=O)-OR⁷, -C(=O)-NR⁸R⁹, -C(=O)-NH-NH-R¹⁰ or -CH₂-O-R¹¹,

in which

R^7 is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R^8 is hydrogen or methyl,

5 R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

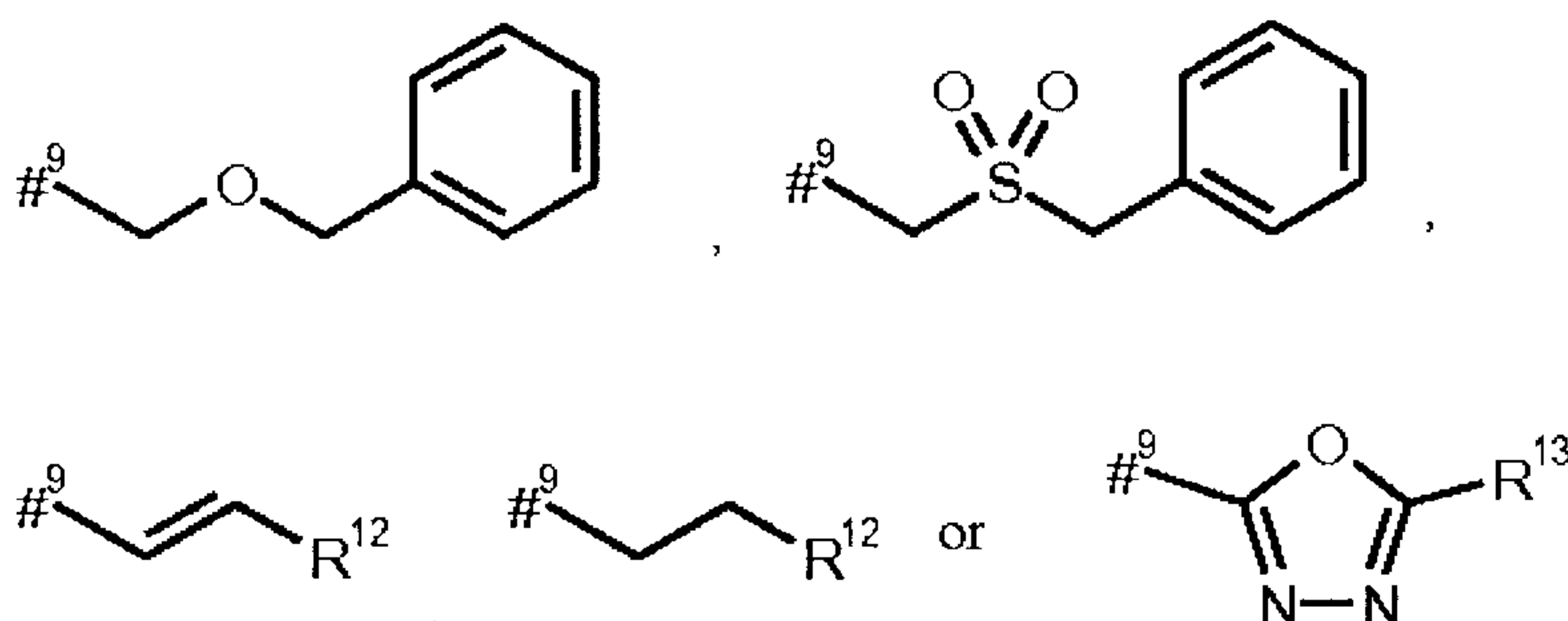
or

R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

R^{10} is benzoyl,

10 R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



$\#^9$ marks the linkage site with $-\text{CHC(R}^{26})\text{-T}^2$,

15 R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $-\text{S(O)}_2\text{OH}$,

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{26} is hydrogen or hydroxy,

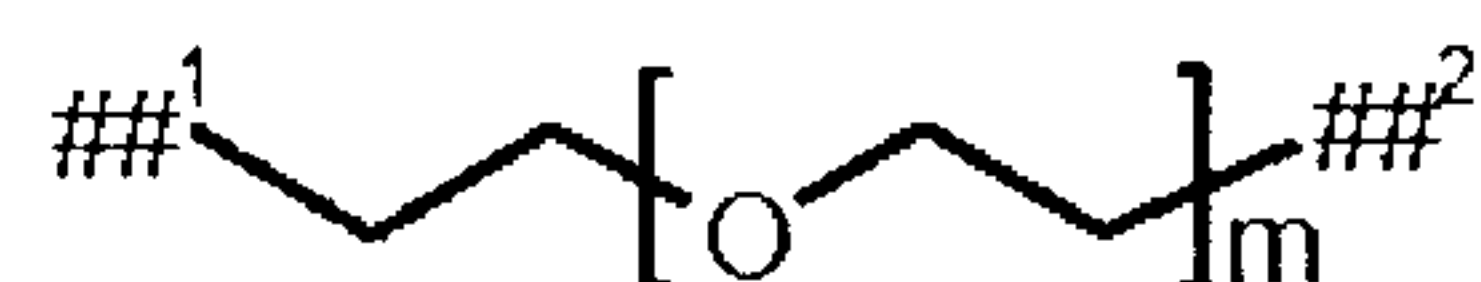
20 T^2 is phenyl, benzyl, 1*H*-indol-3-yl or 1*H*-indol-3-ylmethyl,

R^{35} is methyl or hydroxy,

and also their salts, solvates and solvates of the salts.

11. Compounds of the formula (XXXI) according to Claim 10, in which

L^1 is a bond, linear (C₂-C₆)-alkanediyl or a group of the formula



where

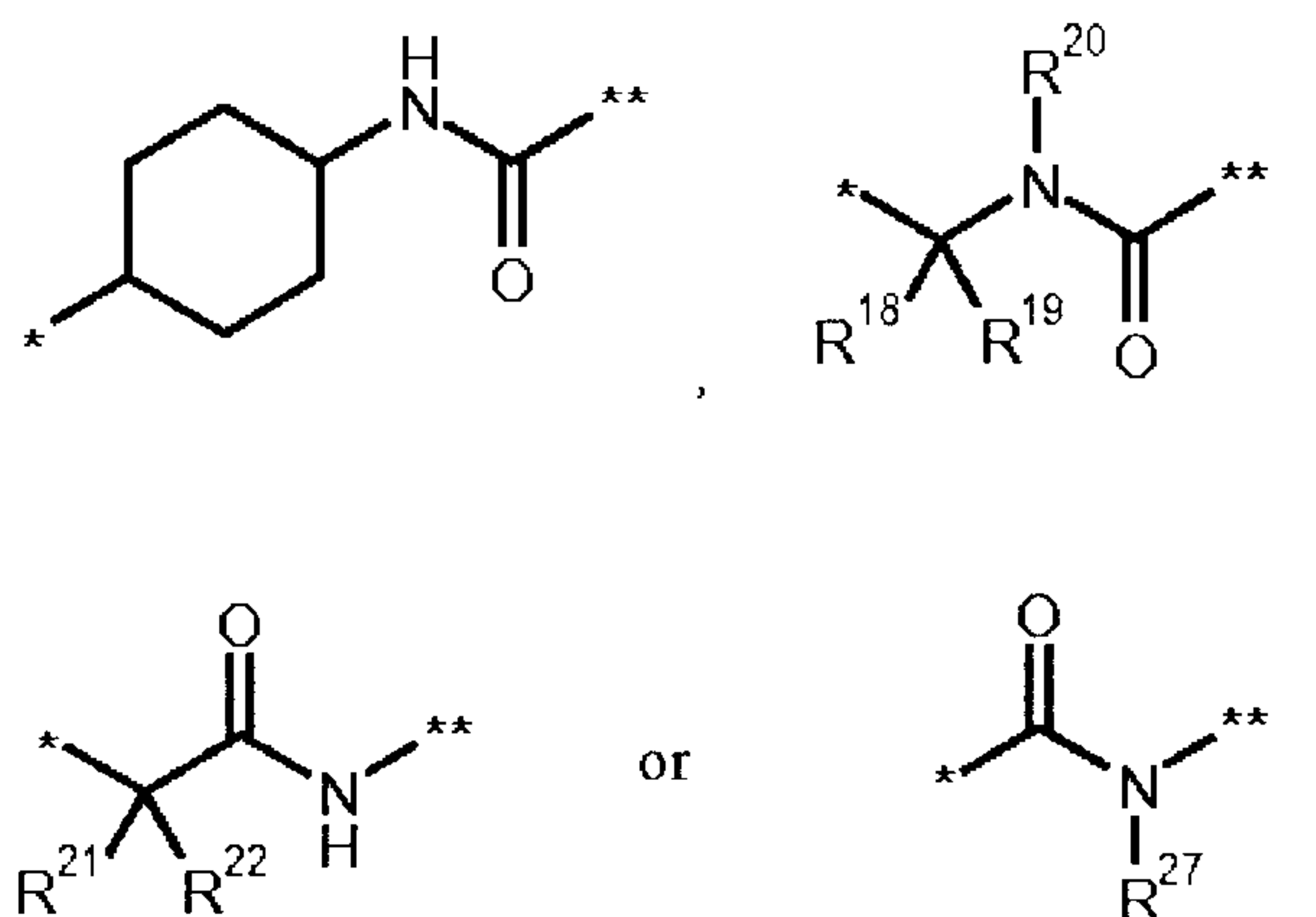
5 m is a number 2 or 3,

##^1 marks the linkage site with the group G,

##^2 marks the linkage site with the group B,

where (C₂-C₆)-alkanediyl may be substituted by 1 or 2 methyl substituents,

B is a bond or a group of the formula



10

where

* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

R^{18} is hydrogen,

15 R^{19} is methyl, propan-2-yl, 2-methylpropan-1-yl or 1-methylpropan-1-yl,

R^{20} is hydrogen or (C₁-C₄)-alkyl,

or

R^{19} and R^{20} together with the atoms to which they are bonded form a pyrrolidiny ring,

R^{21} is hydrogen or methyl,

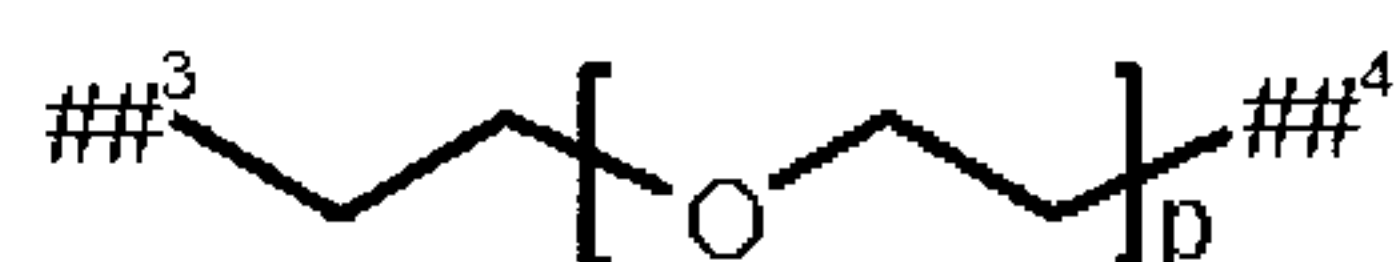
R^{22} is hydrogen or methyl,

or

R^{21} and R^{22} together with the atoms to which they are bonded form a cyclopropyl ring,

R^{27} is hydrogen or methyl,

L^2 is linear (C_2-C_6) -alkanediyl or is a group of the formula



where

p is a number 2 or 3,

##^3 marks the linkage site with the group B,

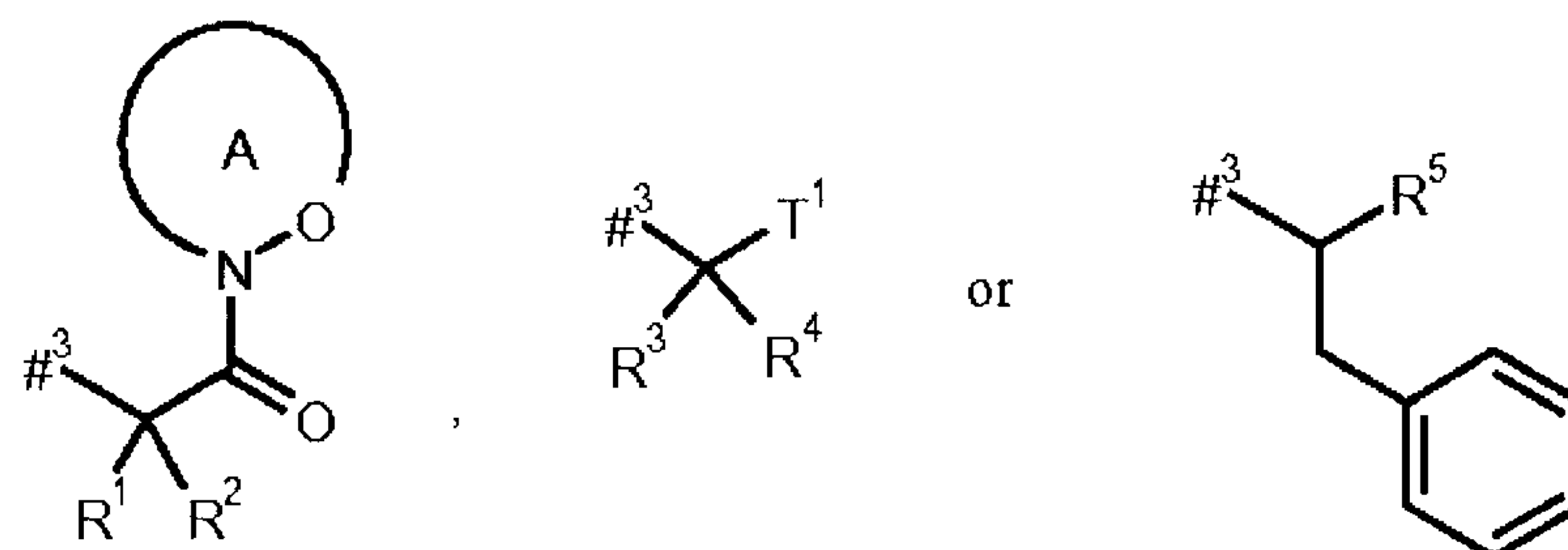
##^4 marks the linkage site with the nitrogen atom,

where (C_2-C_{10}) -alkanediyl may be substituted by 1 or 2 methyl substituents,

and

where two carbon atoms of the alkanediyl chain in 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a phenyl ring,

D is a group of the formula



in which

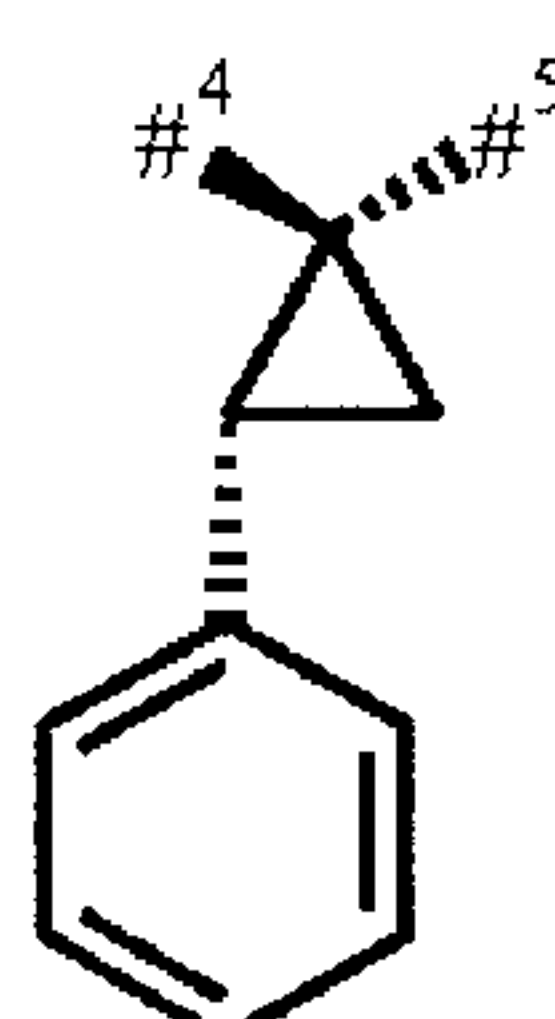
#³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen,

R² is 1-hydroxyethyl, benzyl, 4-hydroxybenzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

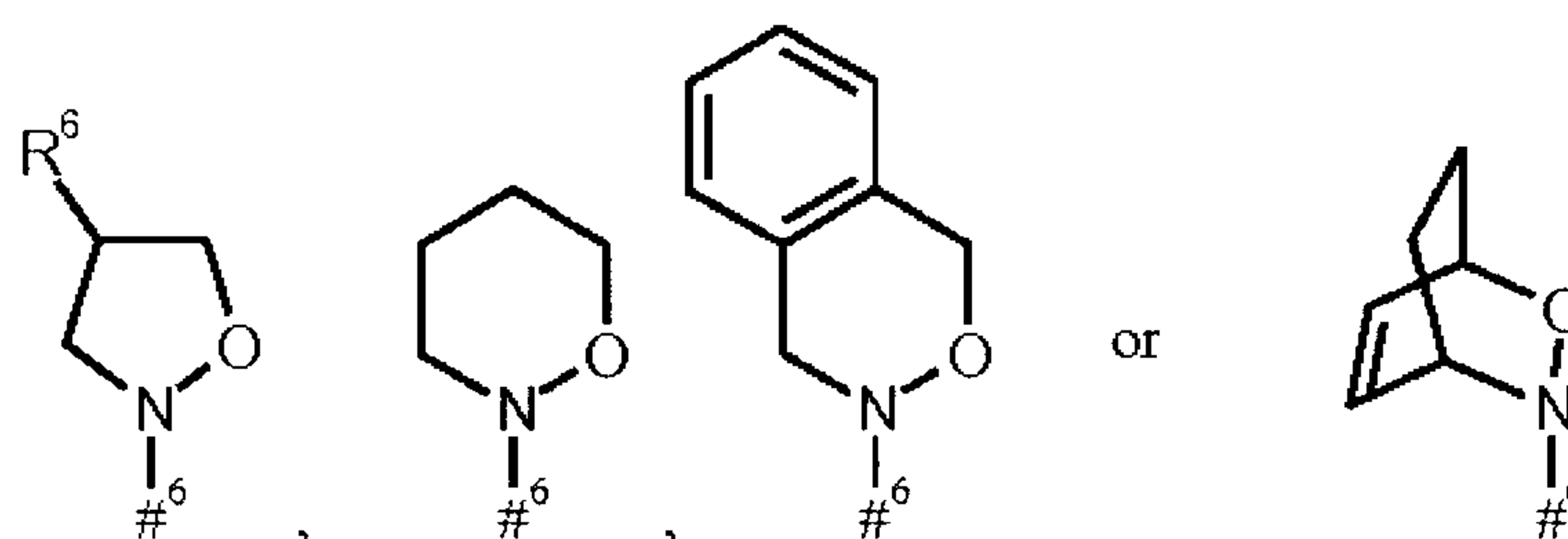


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

#⁶ marks the linkage site with the carbonyl group,

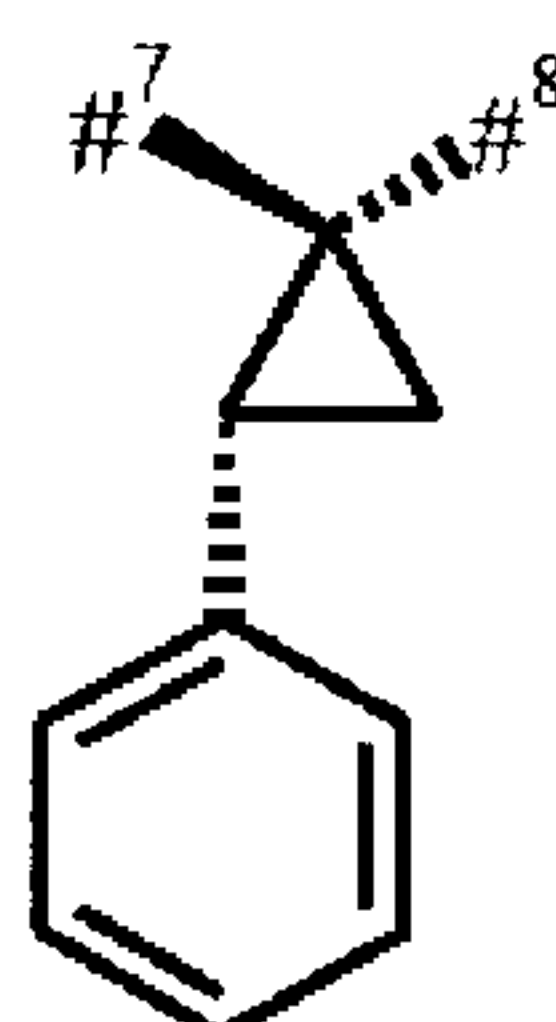
R⁶ is hydrogen, hydroxy or benzyloxy,

R³ is hydrogen,

R^4 is 1-hydroxyethyl, benzyl, 4-hydroxybenzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R^3 and R^4 together with the carbon atoms to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T^1 ,

T^1 is a group of the formula $-C(=O)-OR^7$, $-C(=O)-NR^8R^9$, $-C(=O)-NH-NH-R^{10}$ or $-CH_2-O-R^{11}$,

in which

R^7 is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R^8 is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

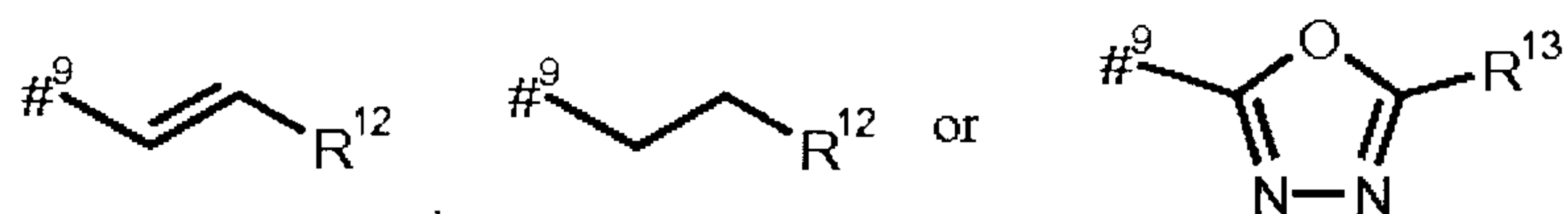
or

R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

R^{10} is benzoyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



in which

$\#^9$ marks the linkage site with $\text{---CHCH}_2\text{phenyl}$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $\text{---S(O)}_2\text{OH}$,

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{35} is methyl or hydroxy,

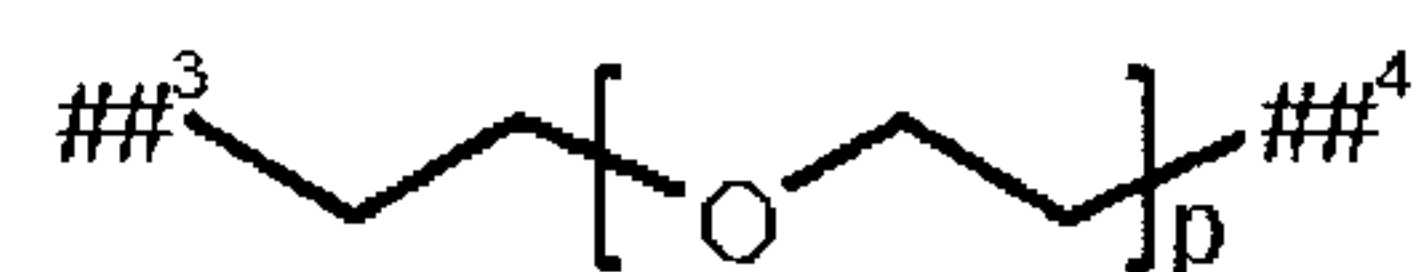
and also their salts, solvates and solvates of the salts.

12. Compounds of the formula (XXXI) according to either of Claims 10 or 11, in which

L^1 is a bond,

B is a bond,

L^2 is linear $(\text{C}_2\text{---C}_6)$ -alkanediyl or is a group of the formula



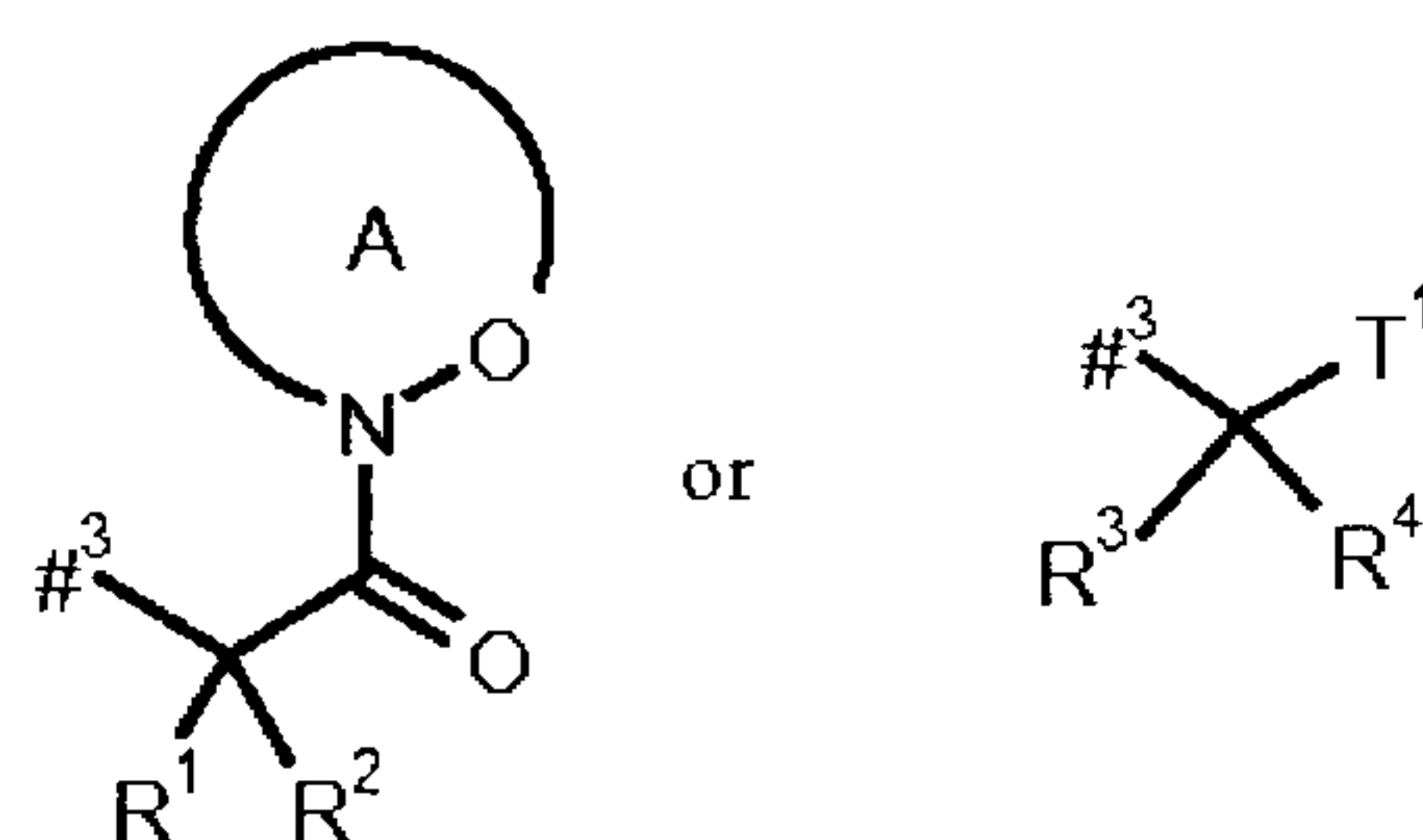
where

p is a number 2 or 3,

$\#\#^3$ marks the linkage site with the group B,

$\#\#^4$ marks the linkage site with the nitrogen atom,

D is a group of the formula



where

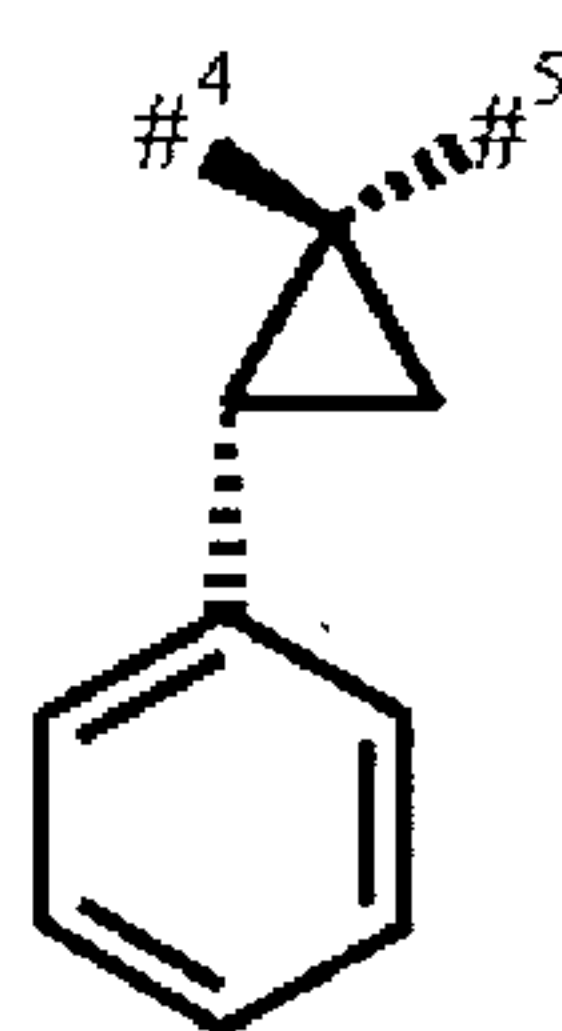
#³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen,

5 R² is benzyl or 1*H*-indol-3-ylmethyl,

or

R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



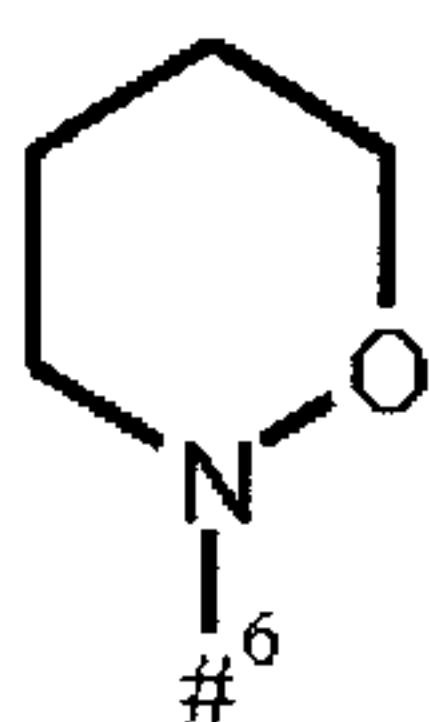
10

in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



15

in which

#⁶ marks the linkage site with the carbonyl group,

R⁶ is hydrogen, hydroxy or benzyloxy,

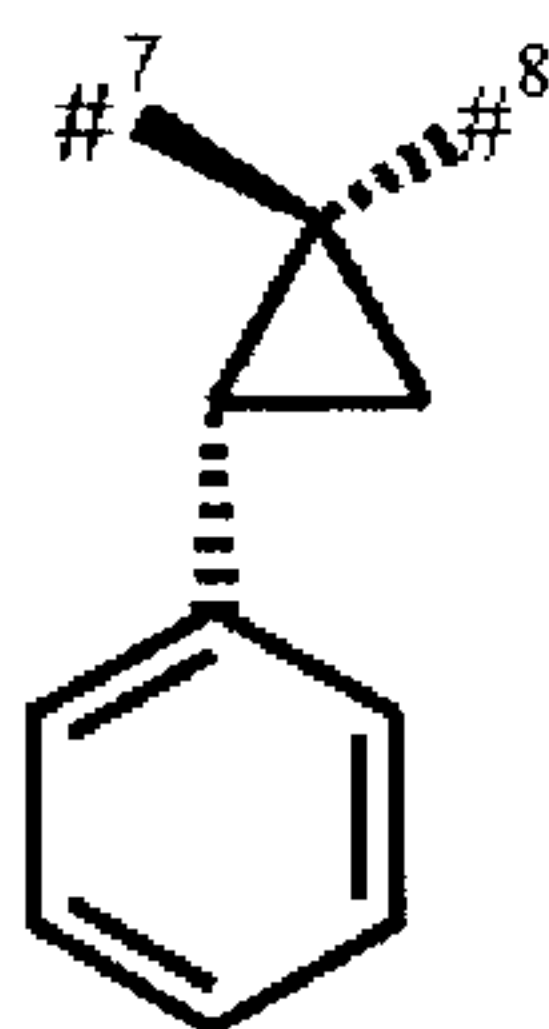
R³ is hydrogen,

R⁴ is benzyl or 1*H*-indol-3-ylmethyl,

5

or

R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

10

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

T¹ is a group of the formula -C(=O)-OR⁷ or -C(=O)-NR⁸R⁹,

in which

R⁷ is hydrogen,

15

R⁸ is hydrogen,

R⁹ is hydrogen,

R³⁵ is methyl,

and also their salts, solvates and solvates of the salts.

13. Compounds of the formulae (XXXa) and (XXXI) selected from the following group:

20

N-[6-(3-{[(2*R*)-2-amino-2-carboxyethyl]sulphanyl}-2,5-dioxopyrrolidin-1-yl)hexyl]-*N*-methyl-L-valyl-*N*-[(3*R*,4*S*,5*S*)-1-{(2*S*)-2-[(1*R*,2*R*)-3-{[(1*S*)-1-carboxy-2-(1*H*-indol-3-

yl)ethyl]amino}-1-methoxy-2-methyl-3-oxopropyl]pyrrolidin-1-yl}-3-methoxy-5-methyl-1-oxoheptan-4-yl]-*N*-methyl-L-valinamide,

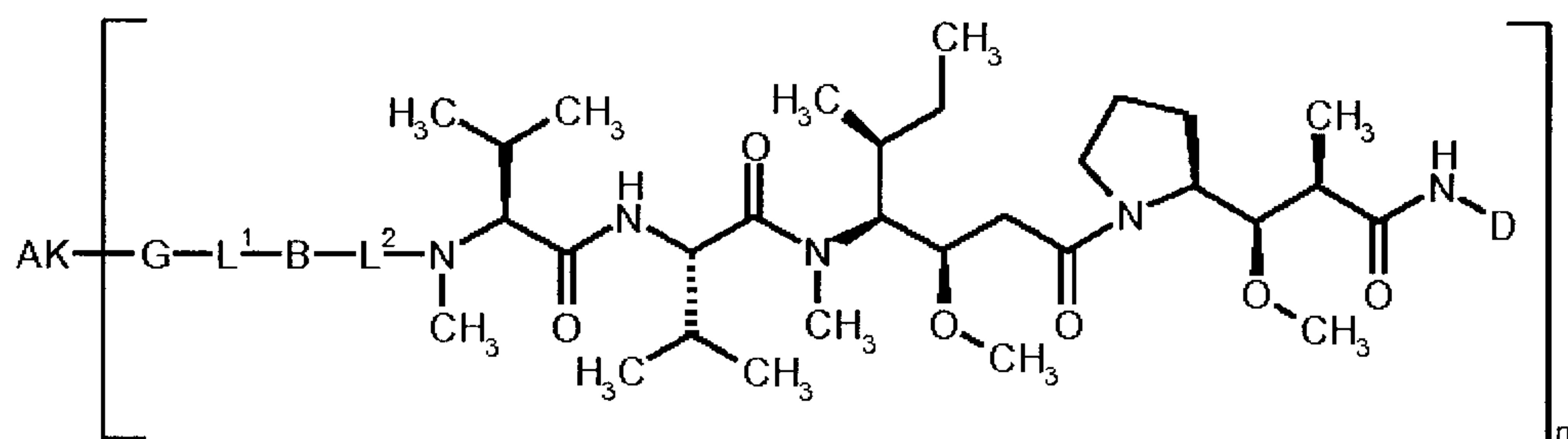
N-[6-(3-{{(2*R*)-2-amino-2-carboxyethyl}sulphanyl}-2,5-dioxopyrrolidin-1-yl)hexyl]-*N*-methyl-L-valyl-*N*-[(3*R*,4*S*,5*S*)-1-{{(2*S*)-2-[(1*R*,2*R*)-3-{{(2*S*)-3-(1*H*-indol-3-yl)-1-(1,2-oxazinan-2-yl)-1-oxopropan-2-yl]amino}-1-methoxy-2-methyl-3-oxopropyl]pyrrolidin-1-yl}-3-methoxy-5-methyl-1-oxoheptan-4-yl]-*N*-methyl-L-valinamide,

N-(6-{{[(5S)-5-amino-5-carboxypentyl]amino}-6-oxohexyl}-N-methyl-L-valyl-N-
[(3R,4S,5S)-1-{{(2S)-2-[(1R,2R)-3-{{[(2S)-3-(1H-indol-3-yl)-1-(1,2-oxazinan-2-yl)-1-
oxopropan-2-yl]amino}-1-methoxy-2-methyl-3-oxopropyl]pyrrolidin-1-yl}}-3-methoxy-5-
methyl-1-oxoheptan-4-yl]-N-methyl-L-valinamide trifluoroacetate,

N-(6-[[[(5S)-5-amino-5-carboxypentyl]amino]-6-oxohexyl]-N-methyl-L-valyl-N-
[(3R,4S,5S)-1-[(2S)-2-[(1R,2R)-3-[[[(1S)-1-carboxy-2-(1H-indol-3-yl)ethyl]amino]-1-
methoxy-2-methyl-3-oxopropyl]pyrrolidin-1-yl]-3-methoxy-5-methyl-1-oxoheptan-4-yl]-
N-methyl-L-valinamide,

and also their salts, solvates and solvates of the salts.

14. Binder-drug conjugates of the general formula (I)



(I),

in which

n is a number from 1 to 50.

AK is a binder,

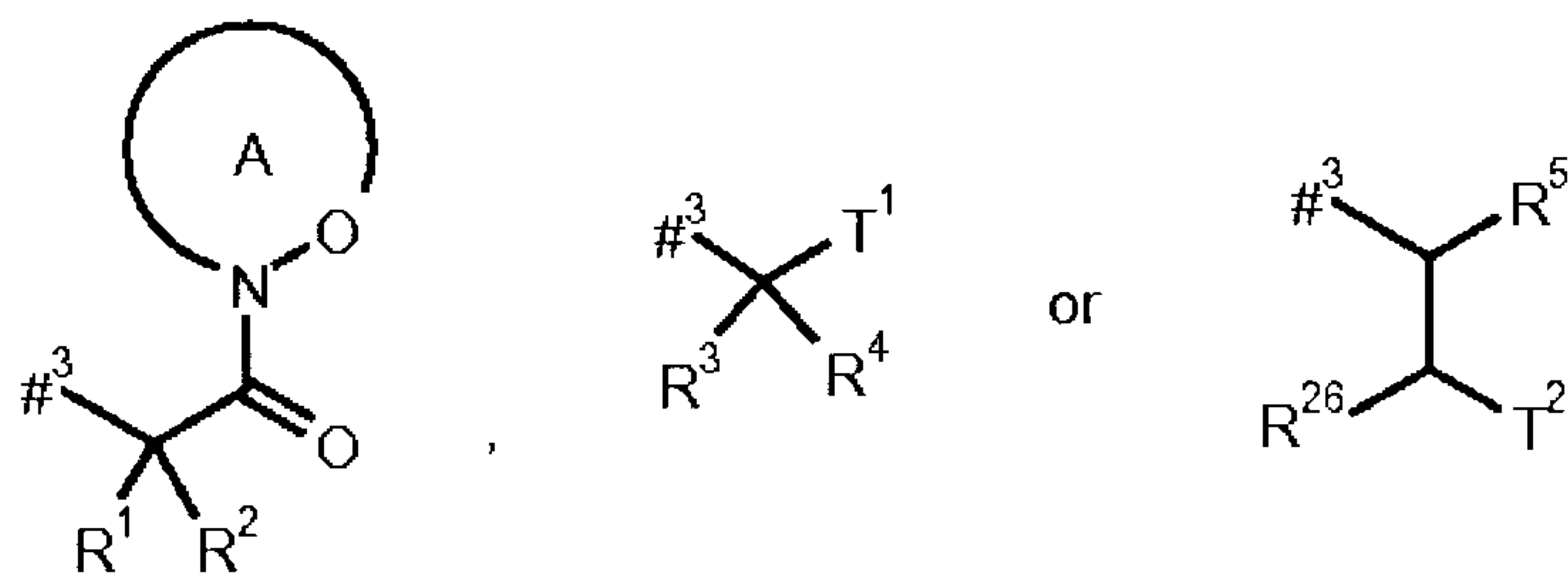
the group $\S\text{-G-L}^1\text{-B-L}^2\text{-}\S$ is a linker,

where

§ marks the linkage site with the group AK and

§§ marks the linkage site with the nitrogen atom,

D is a group of the formula



where

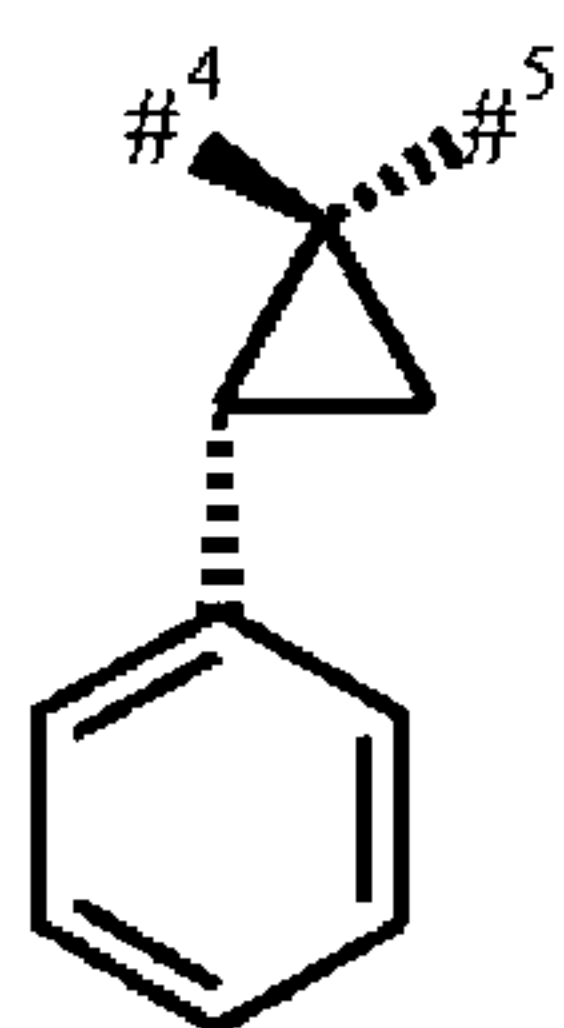
5 #³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen,

R² is 1-hydroxyethyl, benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

10 R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

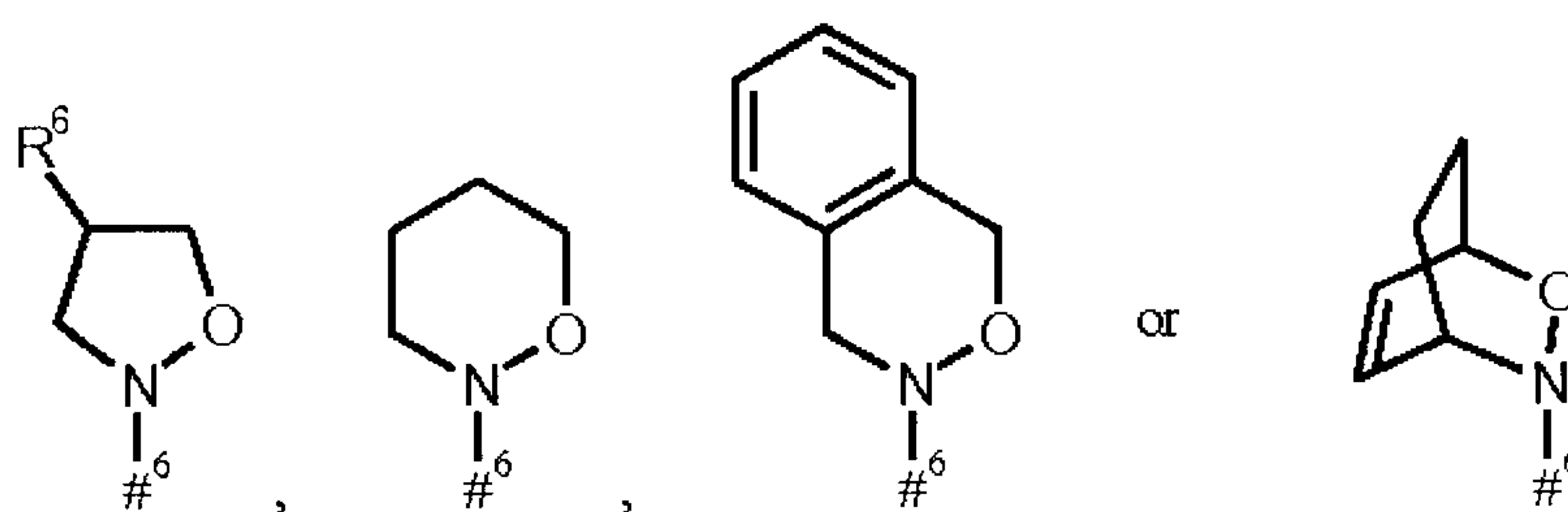


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

15 the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

#⁶ marks the linkage site with the carbonyl group,

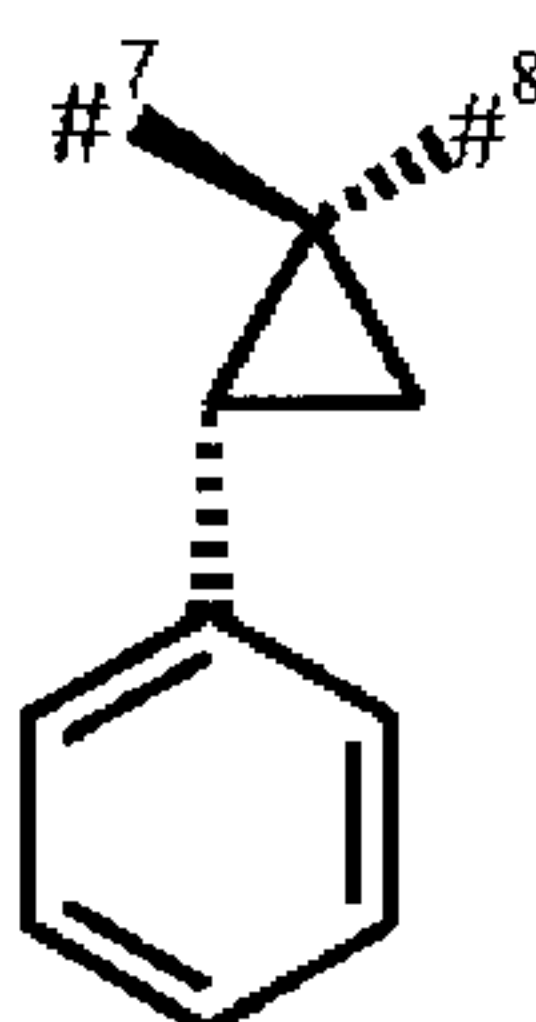
R⁶ is hydrogen, hydroxy or benzyloxy,

5 R³ is hydrogen,

R⁴ 1-hydroxyethyl, benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



10

in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

15 T¹ is a group of the formula -C(=O)-OR⁷, -C(=O)-NR⁸R⁹, -C(=O)-NH-NH-R¹⁰
or -CH₂-O-R¹¹,

in which

R⁷ is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R⁸ is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

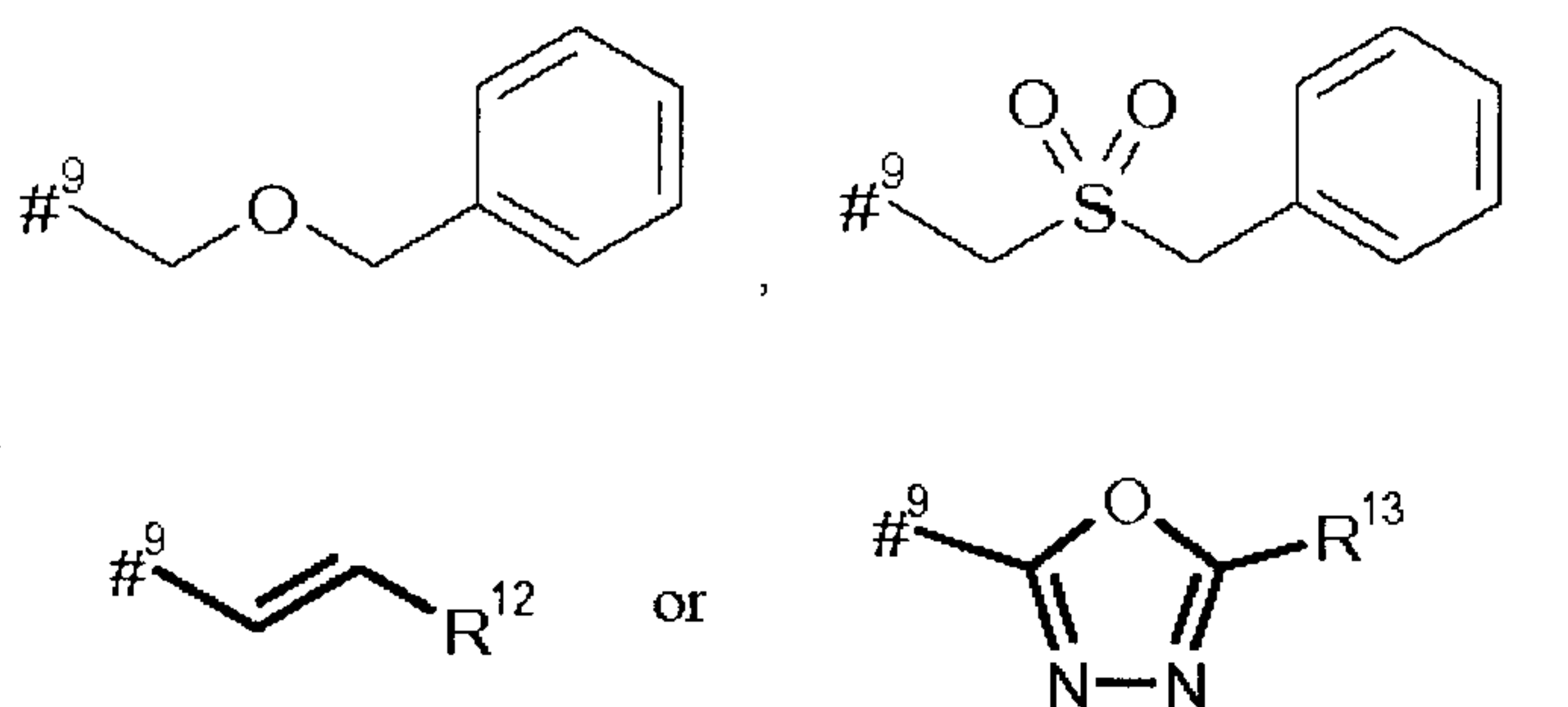
or

R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

5 R^{10} is benzoyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



10 in which

$\#^9$ marks the linkage site with $\text{-CHC(R}^{26}\text{)-T}^2$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $\text{-S(O)}_2\text{OH}$,

15 R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{26} is hydrogen or hydroxy,

T^2 is phenyl, benzyl, 1*H*-indol-3-yl or 1*H*-indol-3-ylmethyl,

and also their salts, solvates and solvates of the salts.

15. Binder-drug conjugates according to Claim 14 with the general formula (I),

20 in which

n is a number from 1 to 50,

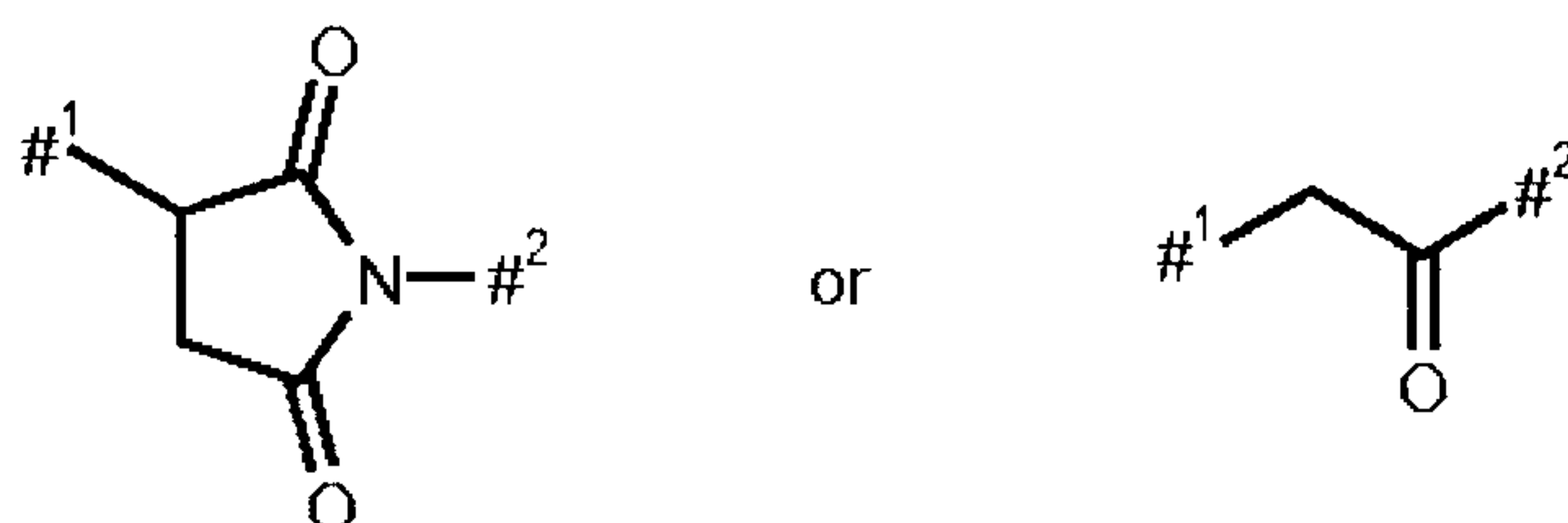
AK is AK₁ or AK₂

where

AK₁ is a binder which is bonded via a sulphur atom of the binder to the group G,

AK₂ is a binder which is bonded via a nitrogen atom of the binder to the group G,

5 G when AK = AK₁, is a group of the formula



where

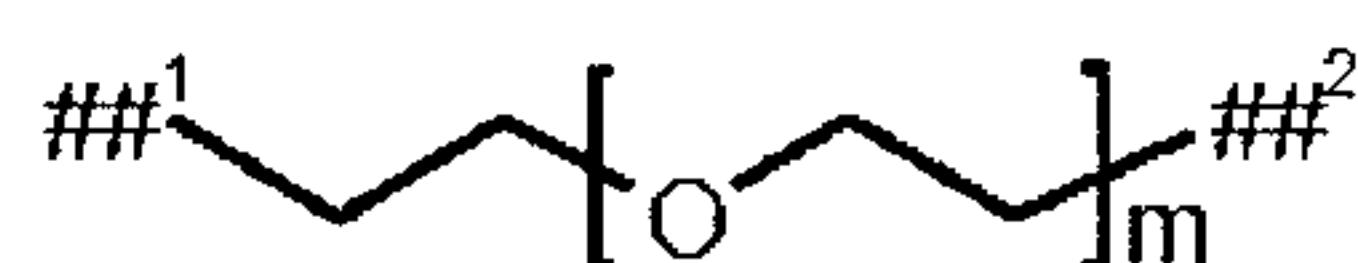
#¹ marks the linkage site with the sulphur atom of the binder,

#² marks the linkage site with the group L¹,

10 or

when AK = AK₂, is carbonyl,

L¹ is a bond, linear (C₁-C₁₀)-alkanediyl or is a group of the formula



where

15 m is a number from 2 to 6,

##¹ marks the linkage site with the group G,

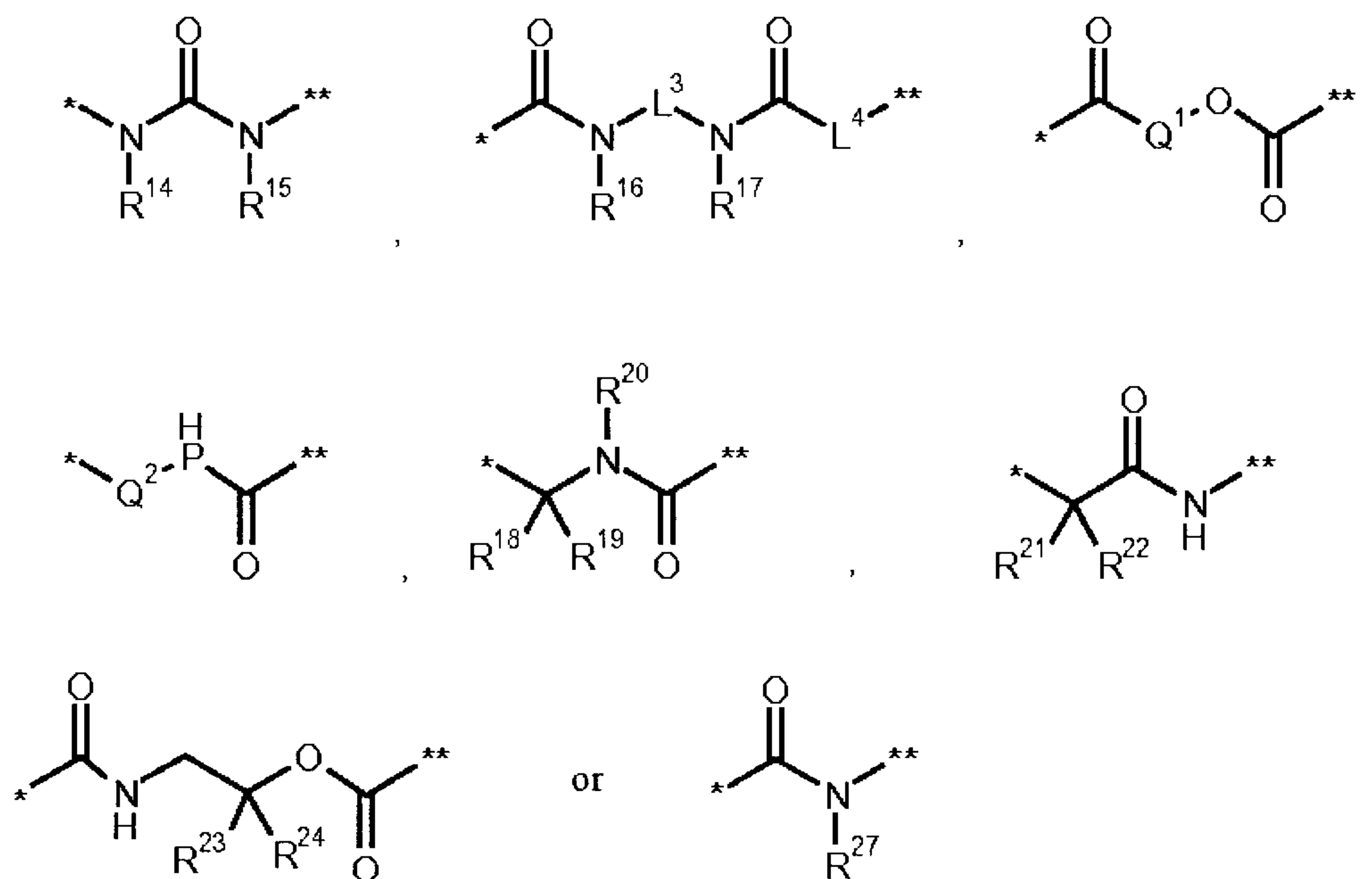
##² marks the linkage site with the group B,

where (C₁-C₁₀)-alkanediyl may be substituted by 1 to 4 methyl substituents,

and

where two carbon atoms of the alkanediyl chain in 1,2, 1,3 or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

B is a bond or a group of the formula



5

where

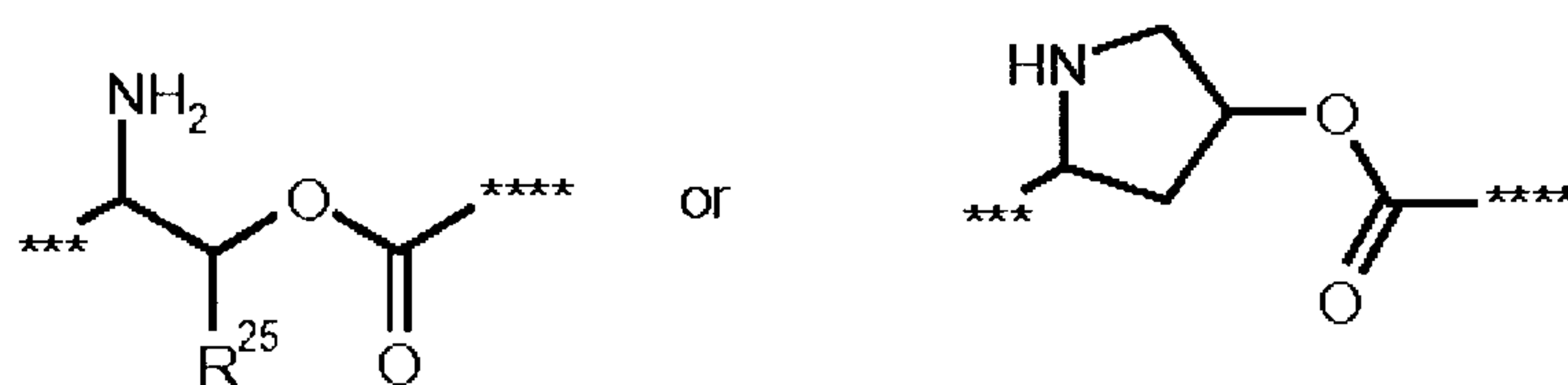
* marks the linkage site with L¹,

** marks the linkage site with L²,

P is O or NH,

10 L³ is a bond or (C₂-C₄)-alkanediyl,

L⁴ is a bond or a group of the formula



in which

*** marks the linkage site with the carbonyl group,

**** marks the linkage site with L²,

R²⁵ is hydrogen or methyl,

Q¹ is a 4- to 7-membered heterocycle,

Q² is a 3- to 7-membered carbocycle or a 4- to 7-membered heterocycle,

5 R¹⁴ is hydrogen or (C₁-C₄)-alkyl,

R¹⁵ is hydrogen or (C₁-C₄)-alkyl,

or

R¹⁴ and R¹⁵ together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

10 R¹⁶ is hydrogen or (C₁-C₄)-alkyl,

R¹⁷ is hydrogen or (C₁-C₄)-alkyl,

or

R¹⁶ and R¹⁷ together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

15 R¹⁸ is hydrogen or (C₁-C₄)-alkyl,

R¹⁹ is hydrogen or the side group of a natural α -amino acid or of its homologues or isomers,

R²⁰ is hydrogen or (C₁-C₄)-alkyl,

or

20 R¹⁹ and R²⁰ together with the atoms to which they are bonded form a pyrrolidinyl ring,

R²¹ is hydrogen or (C₁-C₄)-alkyl,

R²² is hydrogen or (C₁-C₄)-alkyl,

or

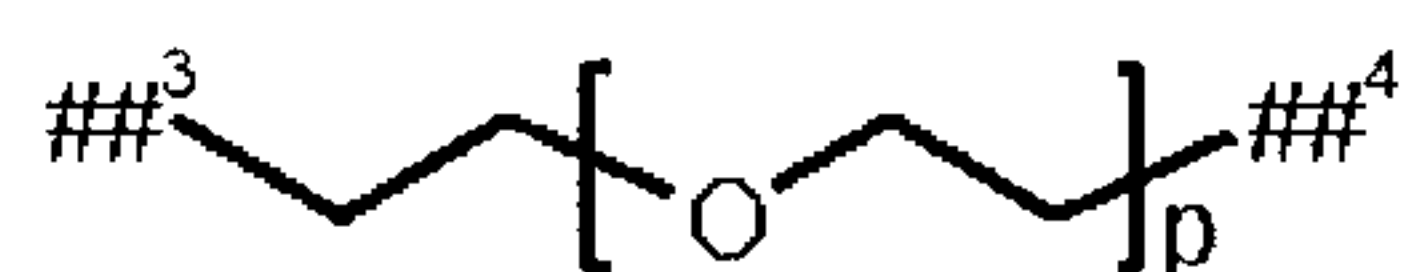
R^{21} and R^{22} together with the atoms to which they are bonded form a 3- to 7-membered carbocycle,

R^{23} is (C₁-C₄)-alkyl,

R^{24} is hydrogen or (C₁-C₄)-alkyl,

5 R^{27} is hydrogen or (C₁-C₄)-alkyl,

L^2 is linear (C₂-C₁₀)-alkanediyl or is a group of the formula



where

p is a number from 2 to 6,

10 $##^3$ marks the linkage site with the group B,

$##^4$ marks the linkage site with the nitrogen atom,

where (C₂-C₁₀)-alkanediyl may be substituted by 1 to 4 methyl substituents,

and

15 where two carbon atoms of the alkanediyl chain in 1,2, 1,3 or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

D has the definitions indicated in Claim 14,

and also their salts, solvates and solvates of the salts.

16. Binder-drug conjugates according to Claim 14 or 15 of the general formula (I),

20 in which

n is a number from 1 to 50,

AK is AK₁ or AK₂

where

AK₁ is an antibody or an antigen-binding antibody fragment and are bonded via a sulphur atom to the group G,

AK₂ is an antibody or an antigen-binding antibody fragment and are bonded via a nitrogen atom to the group G,

5 G, L¹, B, L² and D have the definitions indicated in the Claims 14 or 15,

and also their salts, solvates and solvates of the salts.

17. Binder-drug conjugates according to any of Claims 14 to 16 of the general formula (I), in which

n is a number from 1 to 20,

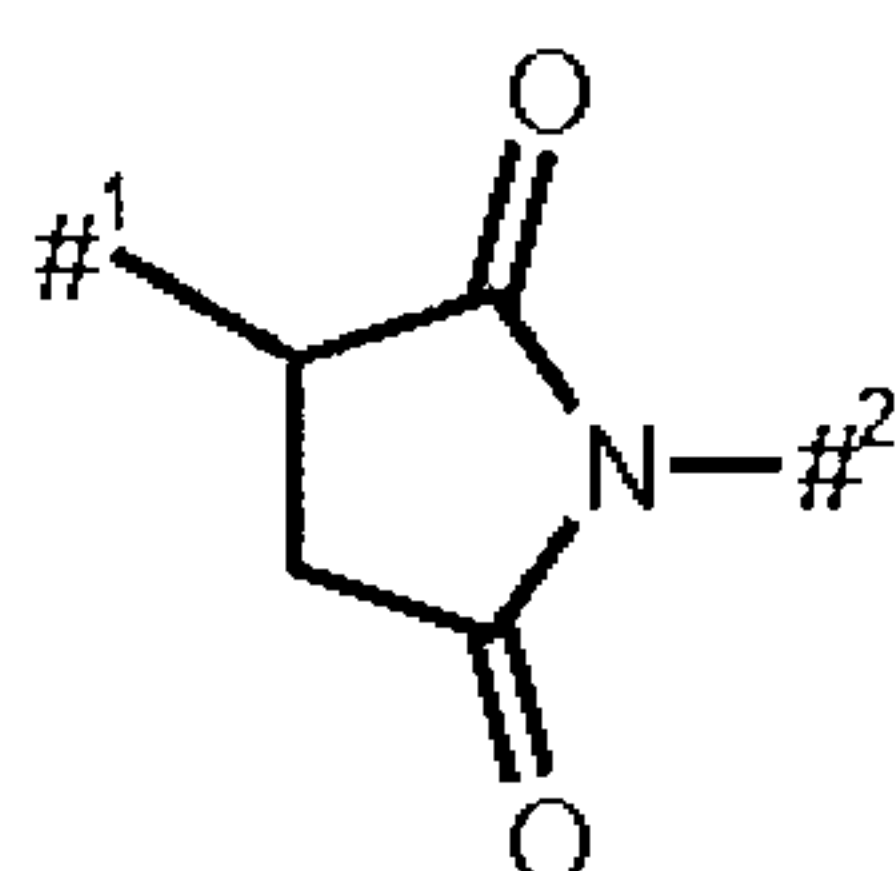
10 AK is AK₁ or AK₂

where

AK₁ is an antibody or an antigen-binding antibody fragment which binds to C4.4a and is bonded via the sulphur atom of a cysteine residue of the binder to the group G,

15 AK₂ is an antibody or an antigen-binding antibody fragment which binds to C4.4a and is bonded via the NH side group of a lysine residue of the binder to the group G,

G when AK = AK₁, is a group of the formula



20 in which

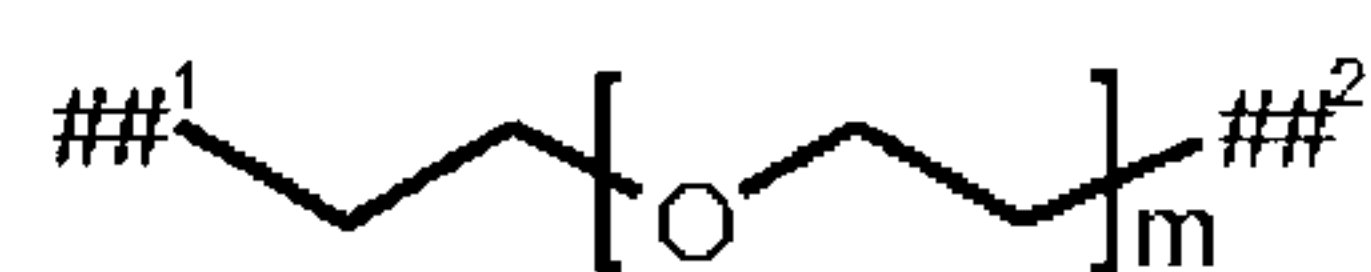
#¹ marks the linkage site with the cysteine residue of the binder,

#² marks the linkage site with the group L¹,

or

when AK = AK₂, is carbonyl,

L^1 is a bond, linear (C₂-C₆)-alkanediyl or is a group of the formula



where

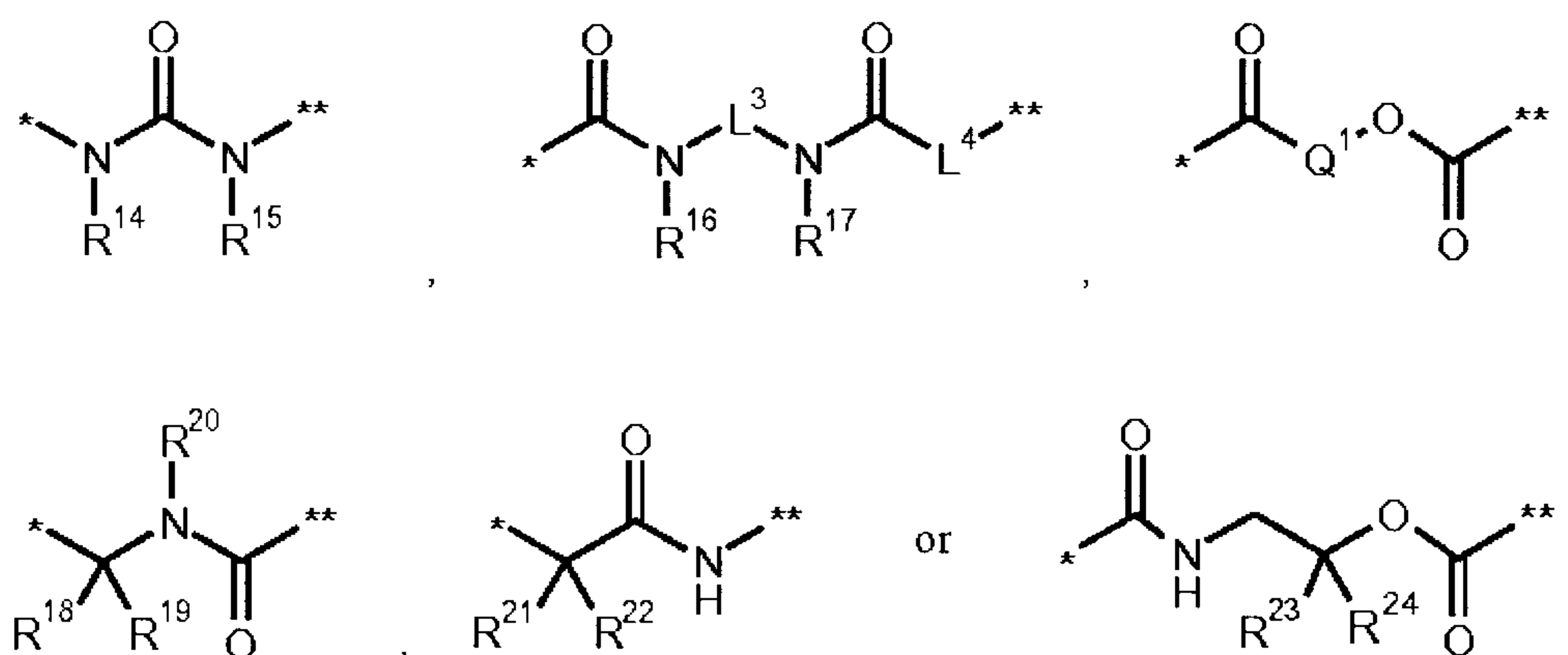
m is a number from 2 to 6,

5 ##^1 marks the linkage site with the group G,

##^2 marks the linkage site with the group B,

where (C₂-C₆)-alkanediyl may be substituted by 1 or 2 methyl substituents,

B is a bond or a group of the formula



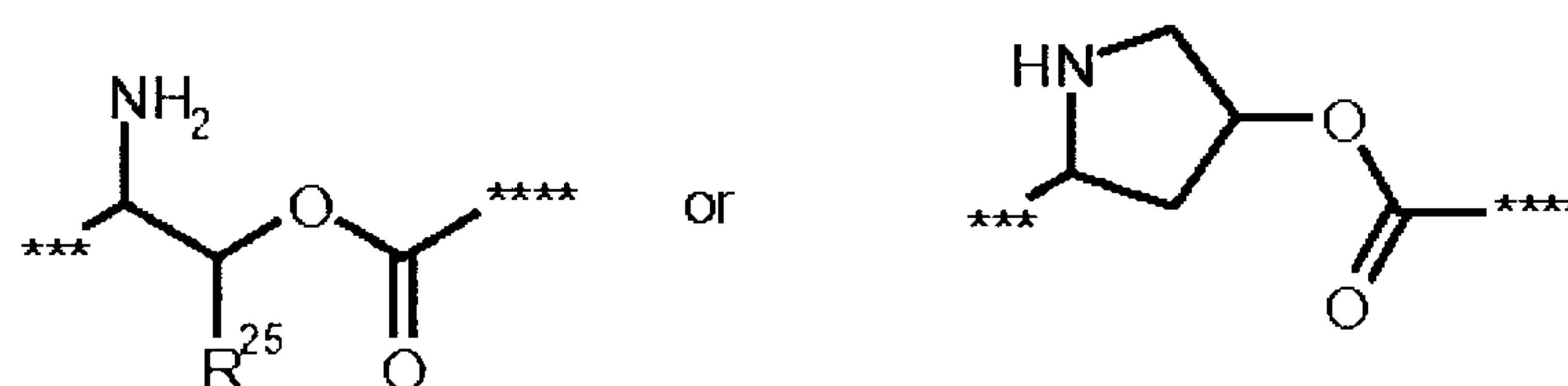
10 where

* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

L^3 is a bond or ethane-1,2-diyl,

L^4 is a bond or a group of the formula



15

in which

*** marks the linkage site with the carbonyl group,

**** marks the linkage site with L²,

R²⁵ is methyl,

Q¹ is a 4- to 6-membered carbocycle or piperidine-1,4-diyl,

5 R¹⁴ is hydrogen,

R¹⁵ is hydrogen,

R¹⁶ is hydrogen or methyl,

R¹⁷ is hydrogen or methyl,

or

10 R¹⁶ and R¹⁷ together with the atoms to which they are bonded form a piperazinyl ring,

R¹⁸ is hydrogen,

R¹⁹ is hydrogen, methyl, propan-2-yl, 2-methylpropan-1-yl or 1-methylpropan-1-yl,

15 R²⁰ is hydrogen or methyl,

or

R¹⁹ and R²⁰ together with the atoms to which they are bonded form a pyrrolidinyl ring,

R²¹ is hydrogen or methyl,

20 R²² is hydrogen or methyl,

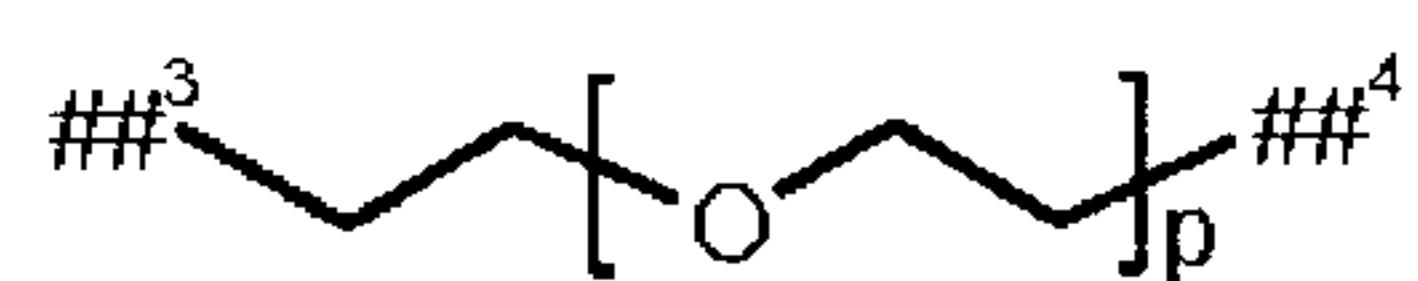
or

R²¹ and R²² together with the atoms to which they are bonded form a cyclopropyl ring,

R²³ is methyl,

25 R²⁴ is hydrogen or methyl,

L^2 is linear (C₂-C₆)-alkanediyl or is a group of the formula



where

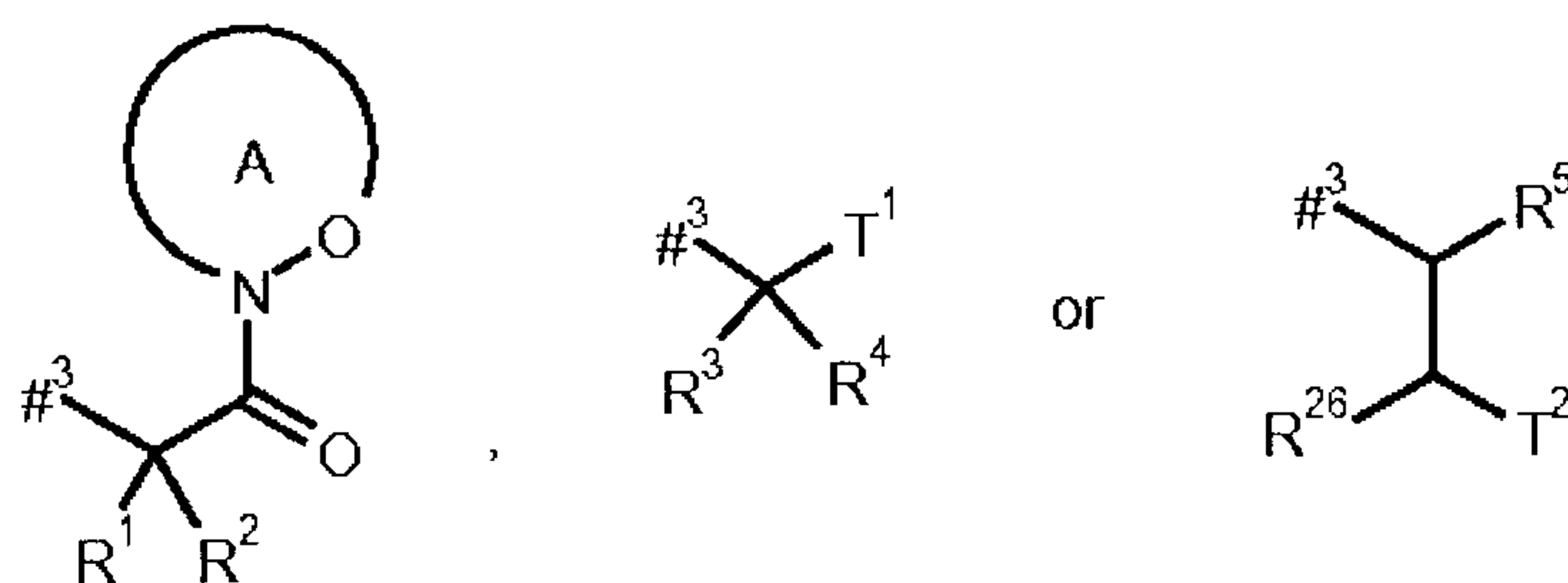
p is a number from 2 to 6,

5 $\#^3$ marks the linkage site with the group B,

$\#^4$ marks the linkage site with the nitrogen atom,

where (C₂-C₆)-alkanediyl may be substituted by 1 or 2 methyl substituents,

D is a group of the formula



10 where

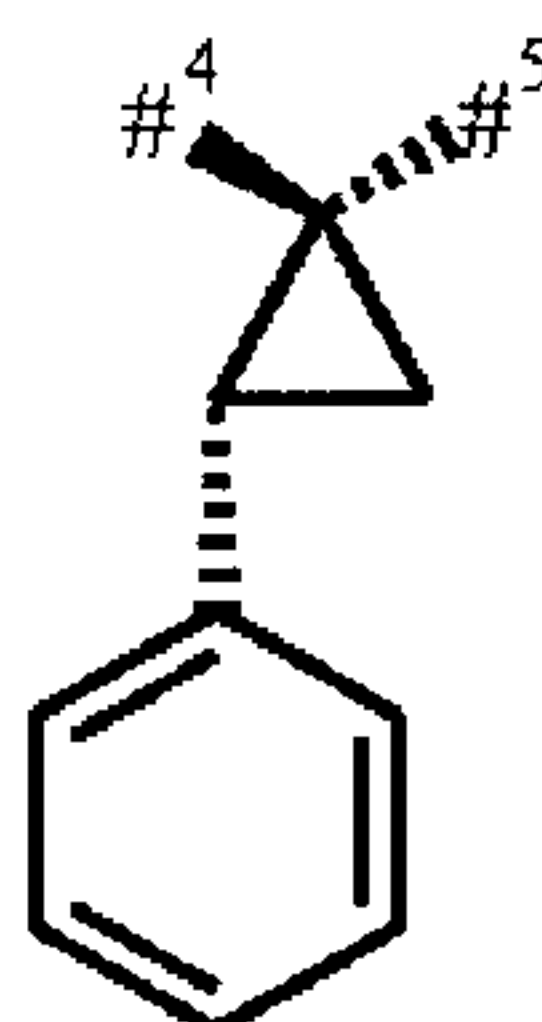
$\#^3$ marks the linkage site with the nitrogen atom,

R^1 is hydrogen,

R^2 is 1-hydroxyethyl, benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

15 R^1 and R^2 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



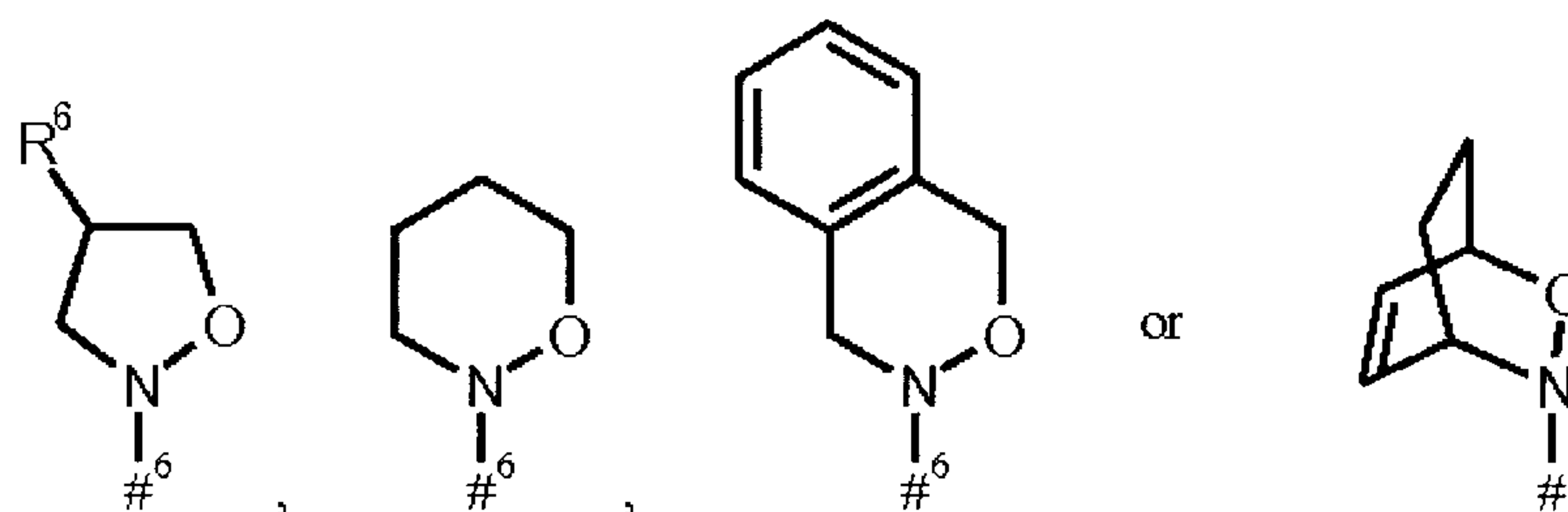
in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula

5



in which

#⁶ marks the linkage site with the carbonyl group,

R⁶ is hydrogen, hydroxy or benzyloxy,

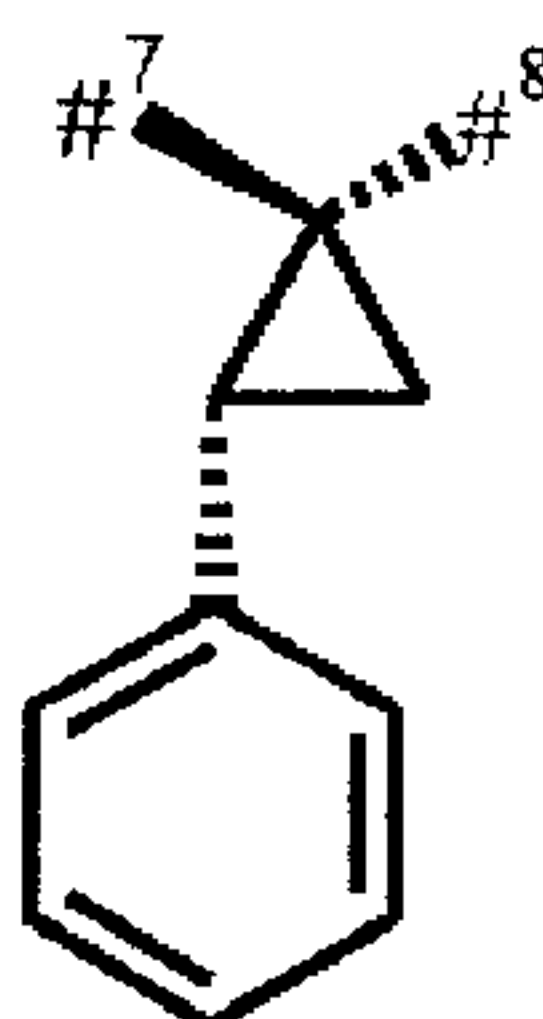
10

R³ is hydrogen,

R⁴ is 1-hydroxyethyl, benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



15

in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

T^1 is a group of the formula $-C(=O)-OR^7$, $-C(=O)-NR^8R^9$, $-C(=O)-NH-NH-R^{10}$ or $-CH_2-O-R^{11}$,

in which

R^7 is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R^8 is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

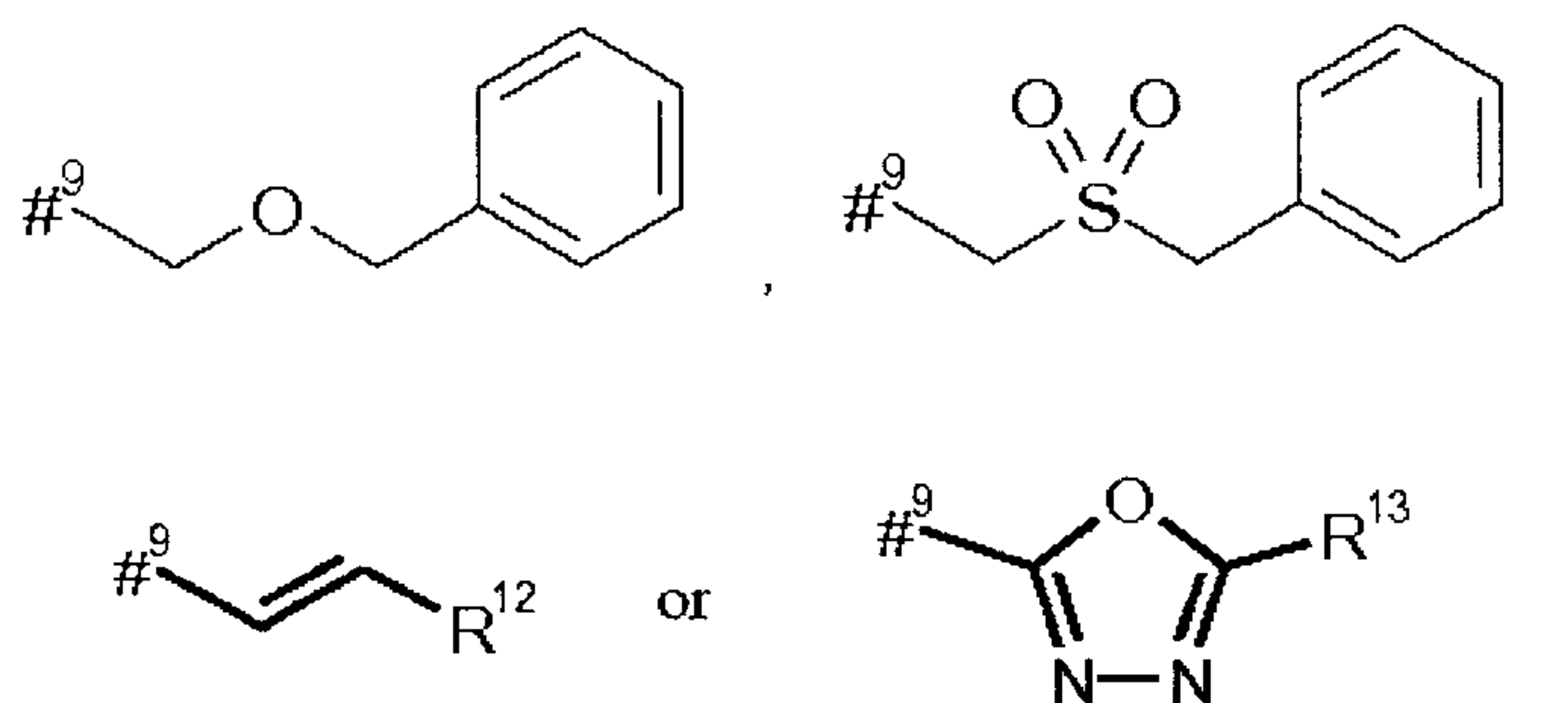
or

R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

R^{10} is benzoyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



in which

$\#^9$ marks the linkage site with $-CHC(R^{26})-T^2$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $-S(O)_2OH$,

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{26} is hydrogen or hydroxy,

T^2 is phenyl, benzyl, 1*H*-indol-3-yl or 1*H*-indol-3-ylmethyl,

and also their salts, solvates and solvates of the salts.

18. Binder-drug conjugates according to any of Claims 14 to 17 of the general formula (I) in which

5 n is a number from 1 to 10,

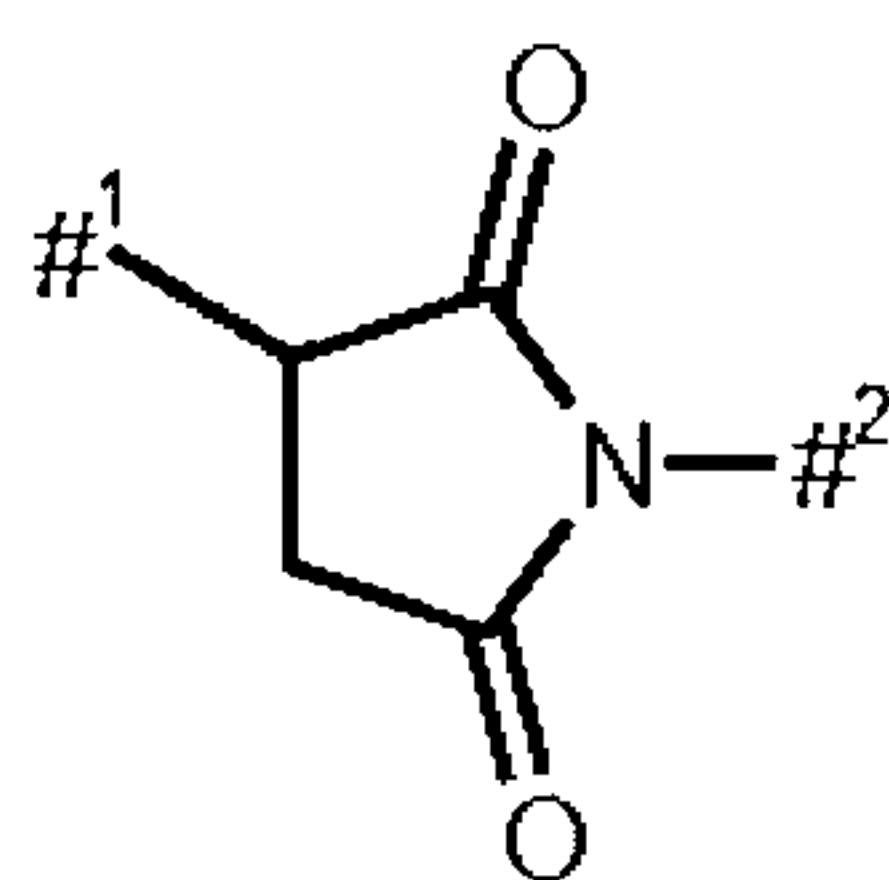
AK is AK_1 or AK_2

where

10 AK_1 is an antibody which comprises the six CDR sequences of the antibody B01-3, B01-10, M31-B01 or D02-6, the variable light and variable heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6 or the light and heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6, and is bonded via the sulphur atom of a cysteine residue of the binder to the group G,

15 AK_2 is an antibody which comprises the six CDR sequences of the antibody B01-3, B01-10, M31-B01 or D02-6, the variable light and variable heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6 or the light and heavy chain of the antibody B01-3, B01-10, M31-B01 or D02-6, and is bonded via the NH side group of a lysine residue of the binder to the group G,

20 G when $AK = AK_1$, is a group of the formula



in which

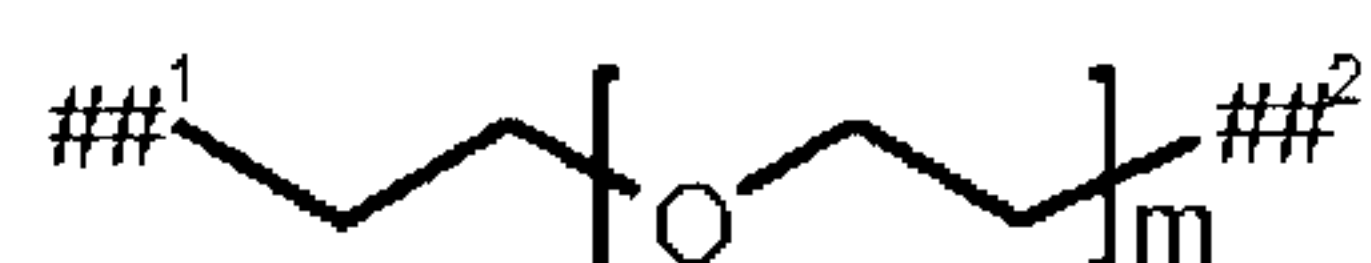
$\#^1$ marks the linkage site with the cysteine residue of the binder,

$\#^2$ marks the linkage site with the group L^1 ,

25 or

when $AK = AK_2$, is carbonyl,

L^1 is a bond, linear (C₂-C₆)-alkanediyl or is a group of the formula



where

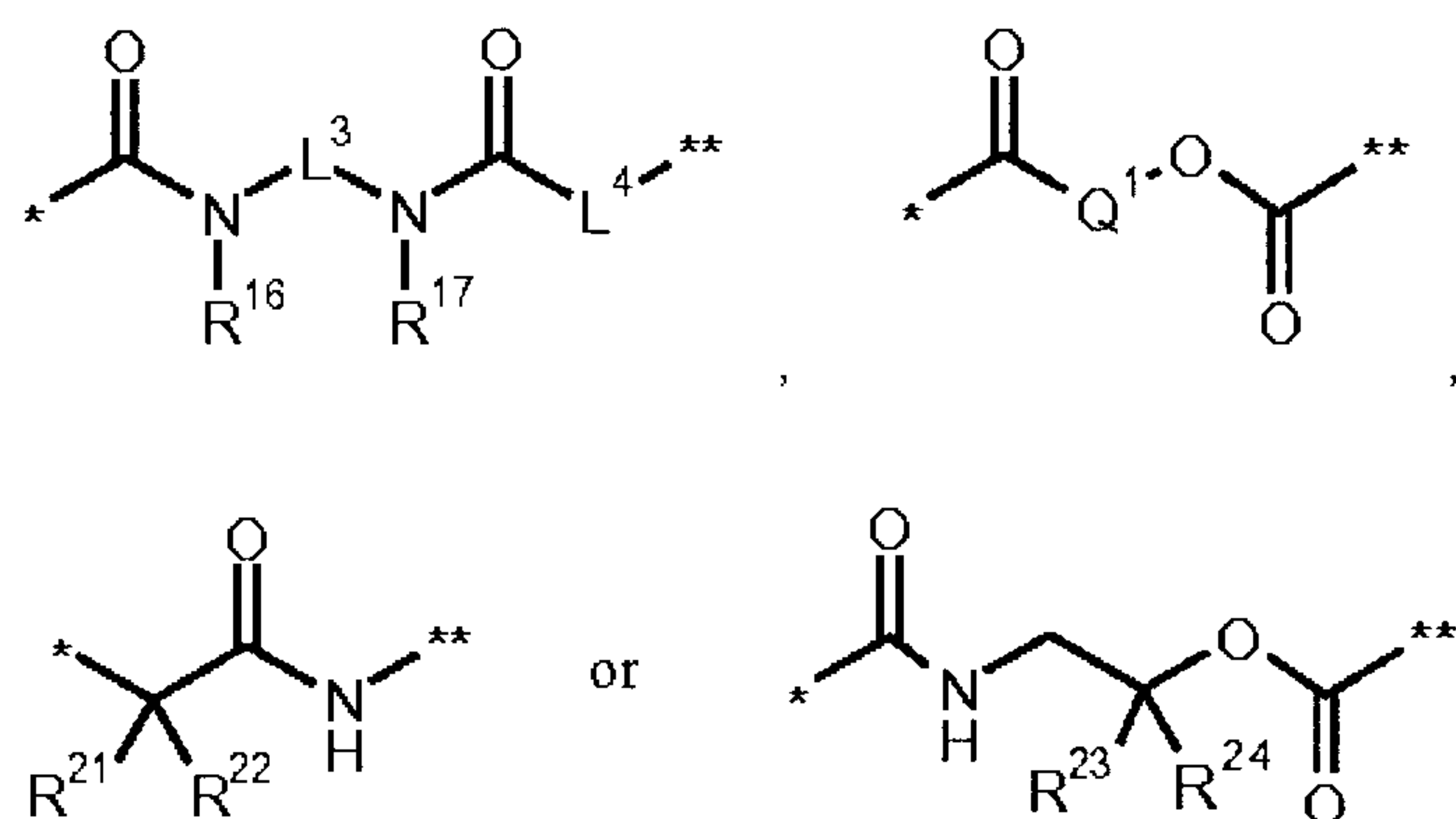
5 m is a number 2 or 3,

##^1 marks the linkage site with the group G,

##^2 marks the linkage site with the group B,

where (C₂-C₆)-alkanediyl may be substituted by 1 or 2 methyl substituents,

B is a bond or a group of the formula



10

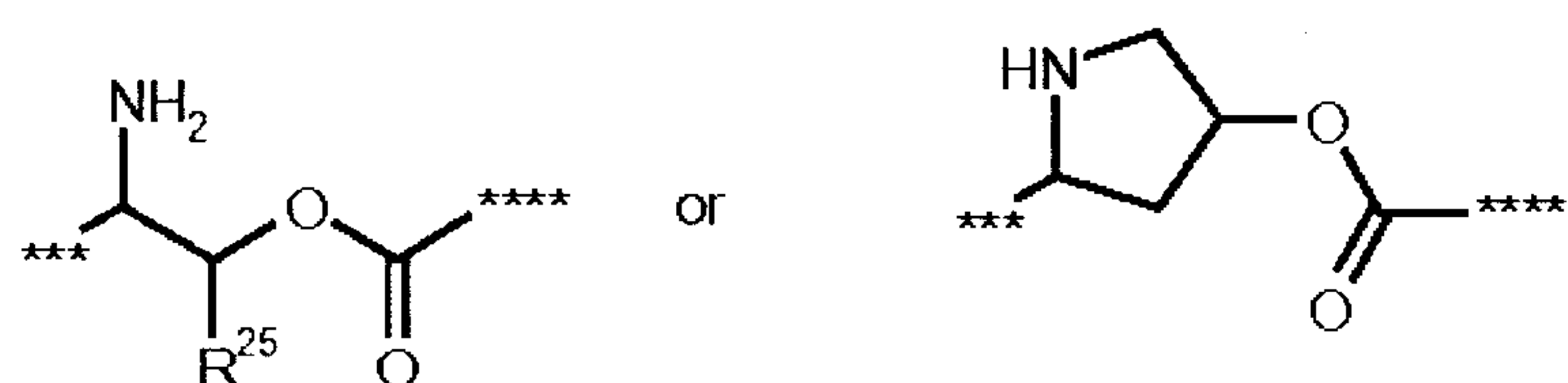
where

* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

L^3 is a bond or ethane-1,2-diyl,

15 L^4 is a bond or a group of the formula



in which

*** marks the linkage site with the carbonyl group,

**** marks the linkage site with L^2 ,

R^{25} is methyl,

5 Q^1 is piperidine-1,4-diyl,

R^{16} is hydrogen or methyl,

R^{17} is hydrogen or methyl,

or

10 R^{16} and R^{17} together with the atoms to which they are bonded form a piperazinyl ring,

R^{21} is hydrogen or methyl,

R^{22} is hydrogen or methyl,

or

15 R^{21} and R^{22} together with the atoms to which they are bonded form a cyclopropyl ring,

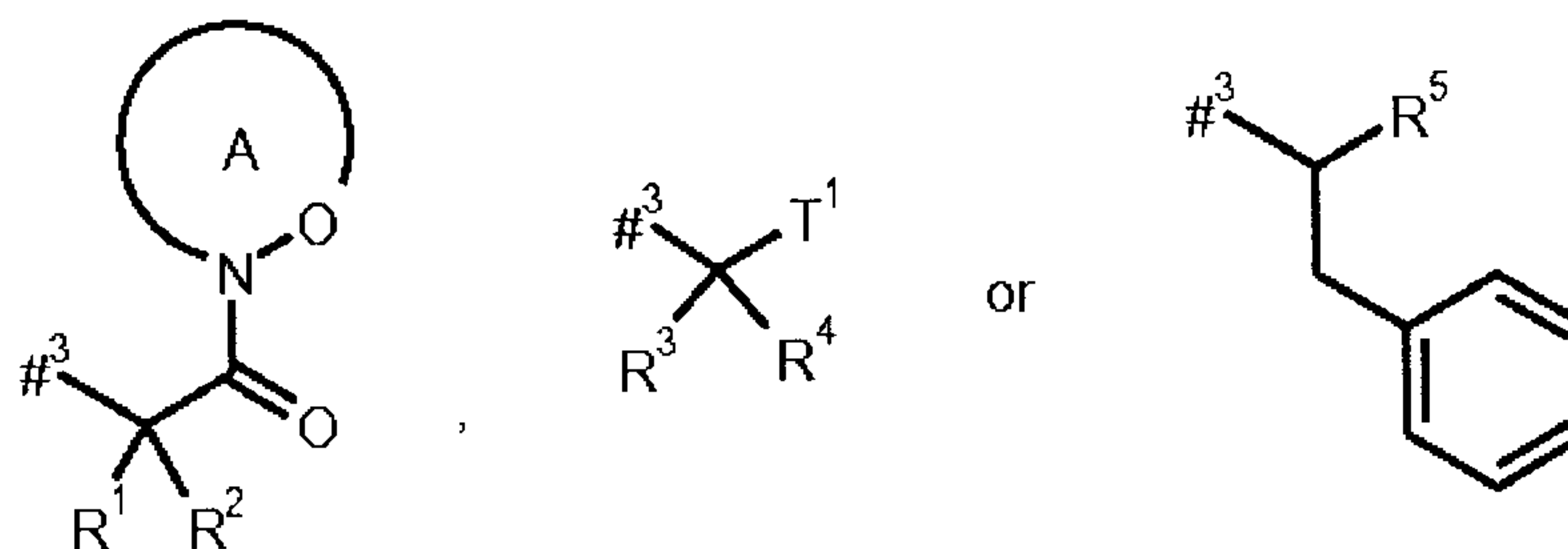
R^{23} is methyl,

R^{24} is hydrogen,

L^2 is linear (C_2-C_6) -alkanediyl,

D is a group of the formula

20



where

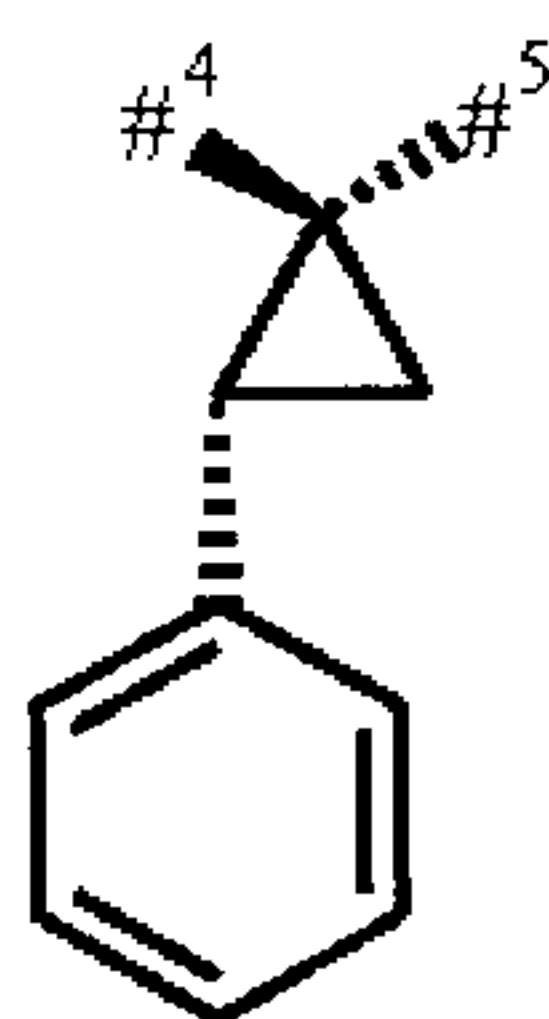
#³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen,

R² is 1-hydroxyethyl, benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

5 R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

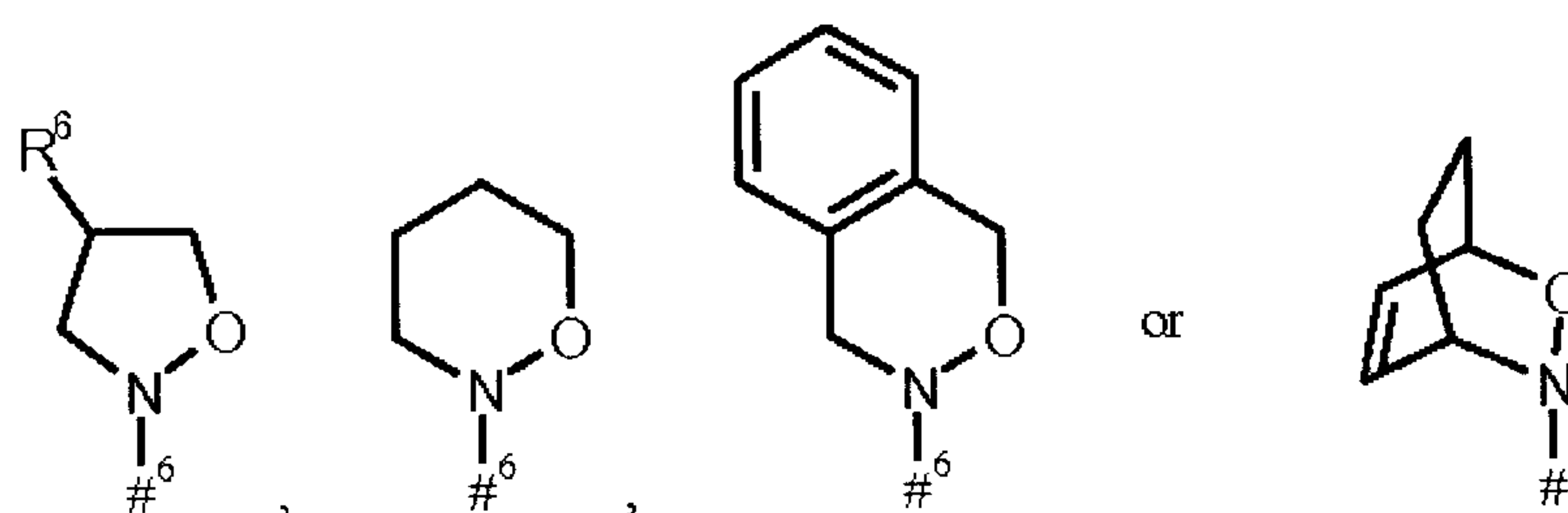


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

10 #⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

15 #⁶ marks the linkage site with the carbonyl group,

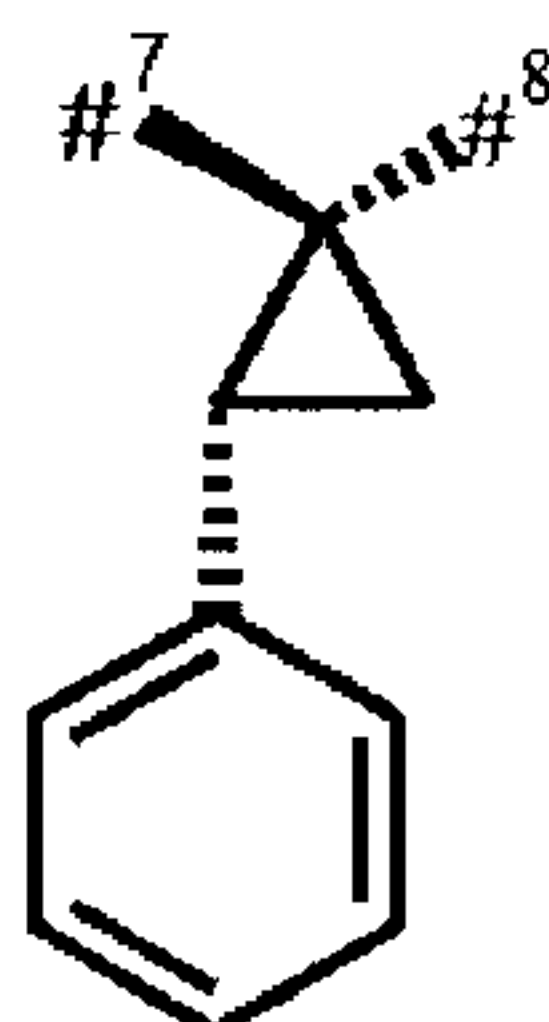
R⁶ is hydrogen, hydroxy or benzyloxy,

R³ is hydrogen,

R⁴ is benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R^3 and R^4 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



5

in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T^1 ,

T^1 is a group of the formula $-C(=O)-OR^7$, $-C(=O)-NR^8R^9$ or $-CH_2-O-R^{11}$,

in which

10

R^7 is hydrogen, methyl, ethyl, *n*-propyl, benzyl or adamantylmethyl,

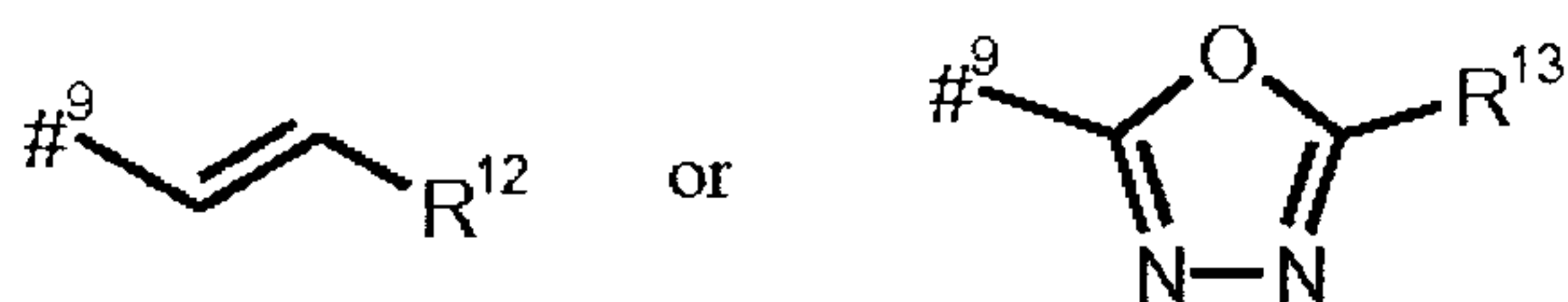
R^8 is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

15

R^5 is hydrogen or a group of the formula



in which

#⁹ marks the linkage site with $-CHC(R^{26})phenyl$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $-S(O)_2OH$,

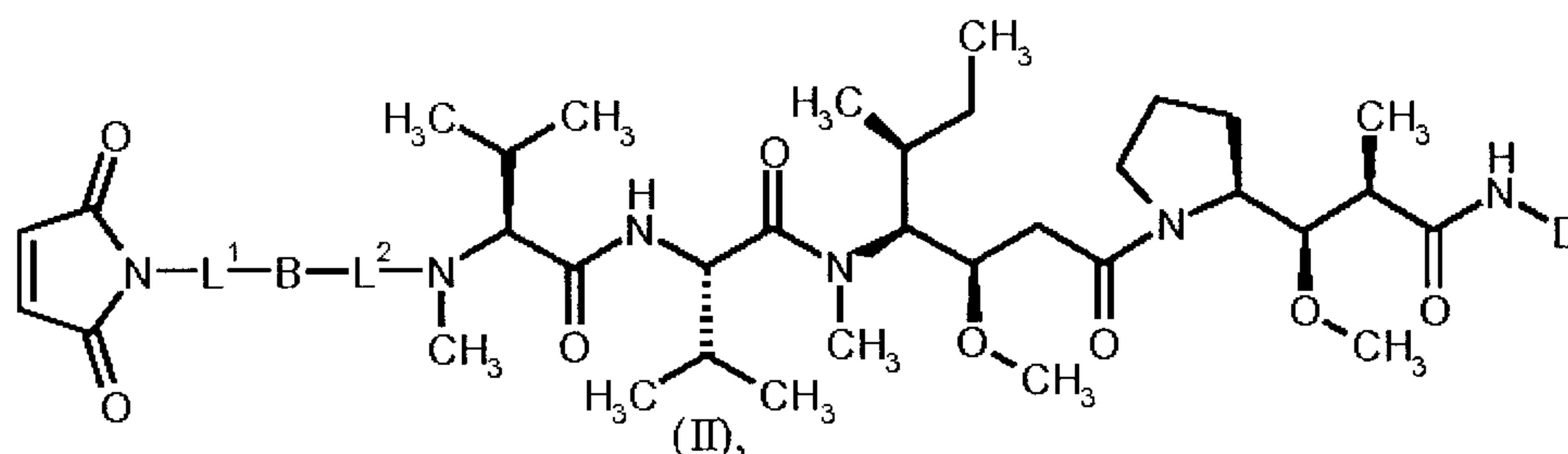
20

R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

and also their salts, solvates and solvates of the salts.

19. Process for preparing the compounds of the invention according to any of Claims 14 to 18,
5 of the general formula (I), characterized in that a solution of the binder in a buffer

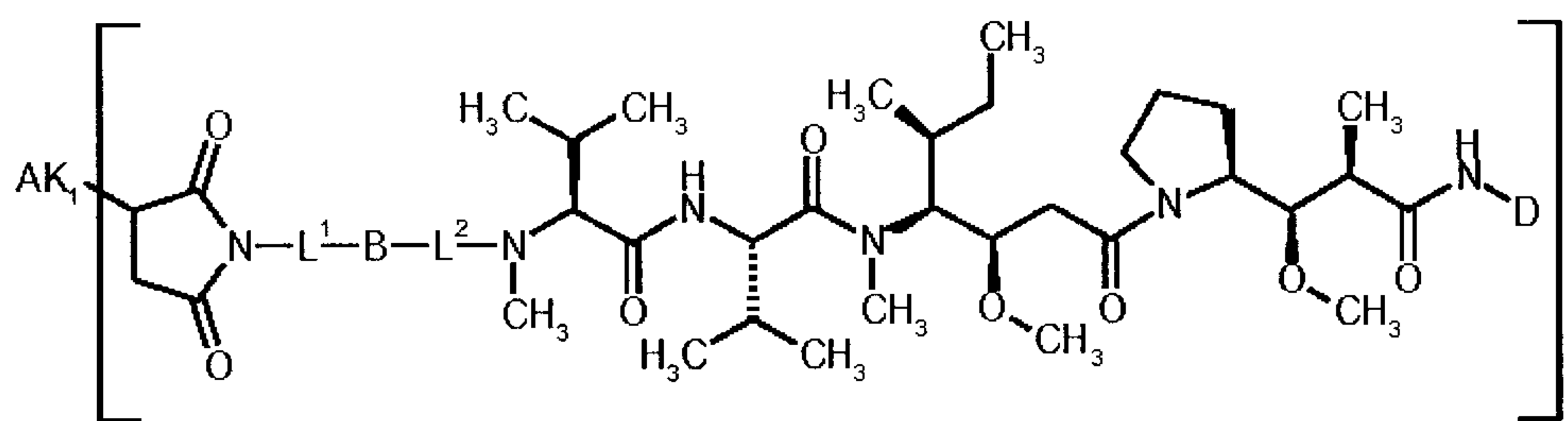
[A] is admixed with a suitable reducing agent, such as, for example, dithiothreitol or tris(2-carboxyethyl)phosphine hydrochloride, and is subsequently reacted with a compound of the formula (II)



10

in which D, L^1 , B and L^2 each have the definitions indicated in Claims 1 to 5,

to give a compound of the formula (I-A)



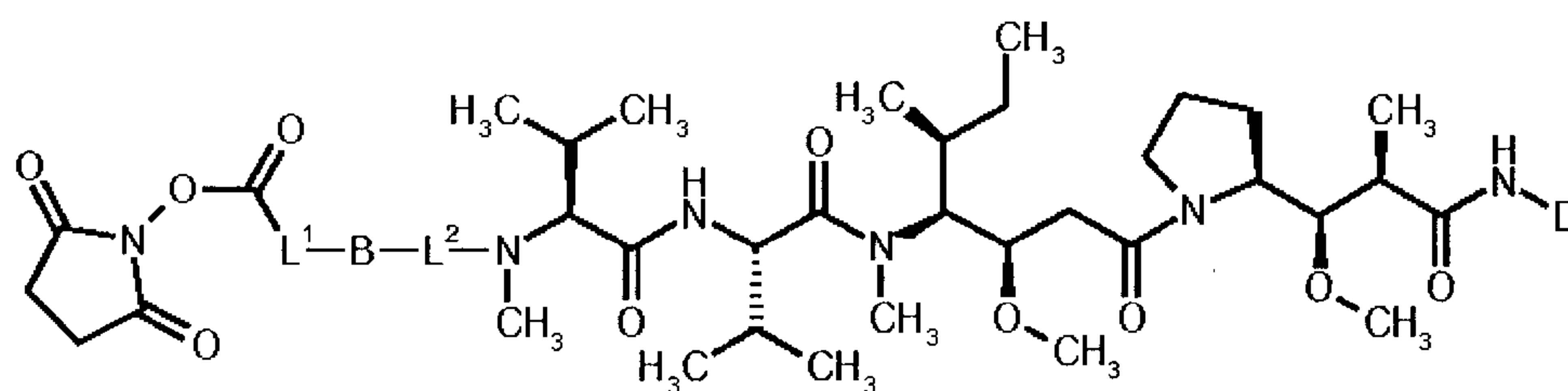
15

(I-A),

in which n, AK_1 , D, L^1 , B and L^2 each have the definitions indicated in Claims 1 to 5,

or

[B] is reacted with a compound of the formula (III)

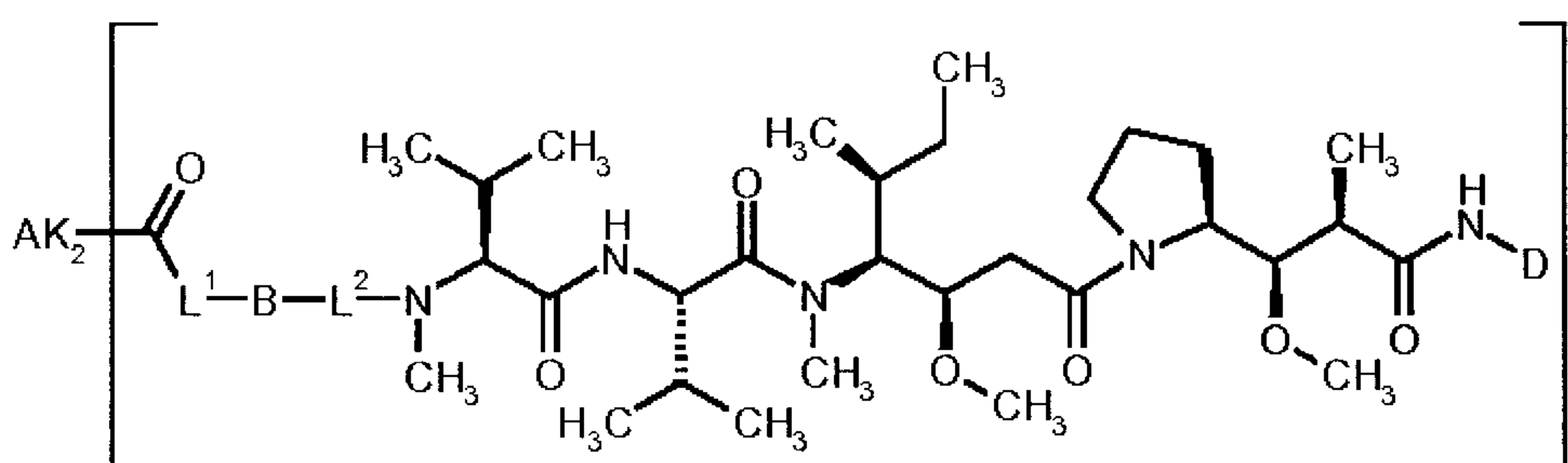


(III),

in which D, L¹, B and L² each have the definitions indicated in Claims 1 to 5,

5

to give a compound of the formula (I-B)



(I-B),

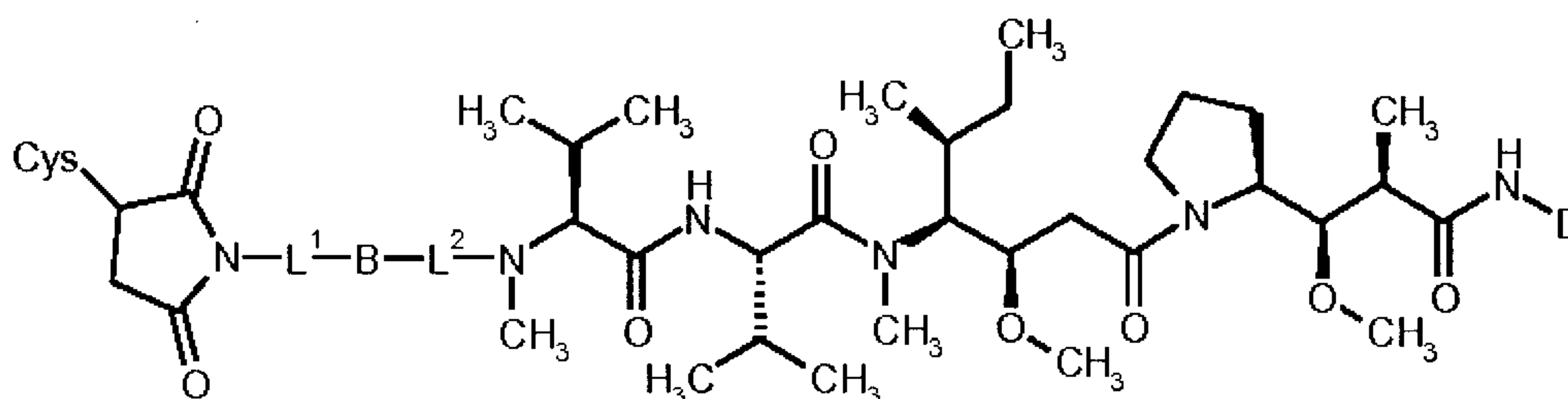
in which n, AK₂, D, L¹, B and L² each have the definitions indicated in Claims 1 to 5.

10

20. Compounds prepared by the process according to Claim 19 or 50, where AK₁ and AK₂ is an antibody which comprises the six CDR sequences of the antibody B01-3, B01-10 or D02-6, the variable light and variable heavy chain of the antibody B01-3, B01-10 or D02-6 or the light and heavy chain of the antibody B01-3, B01-10 or D02-6, and also their salts, solvates and solvates of the salts.

15

21. Compounds of the formula (XXX)

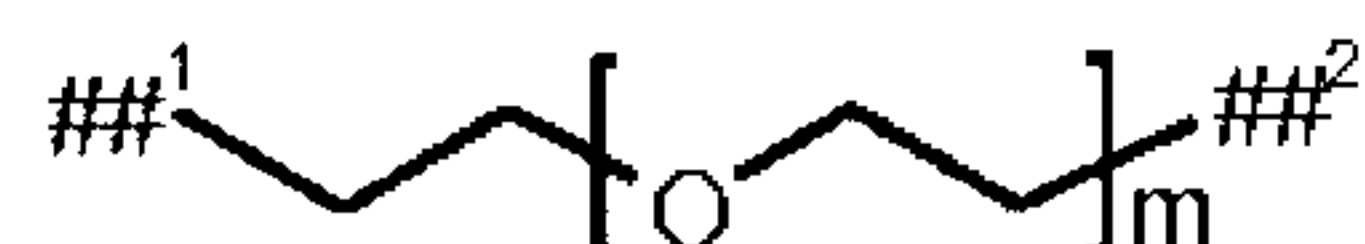


(XXX),

in which

Cys is a cysteine residue which is bonded via the sulphur atom of the side chain to a carbon atom of the succinimide,

L¹ is a bond, linear (C₁-C₁₀)-alkanediyl or is a group of the formula



where

m is a number from 2 to 6,

##¹ marks the linkage site with the group G,

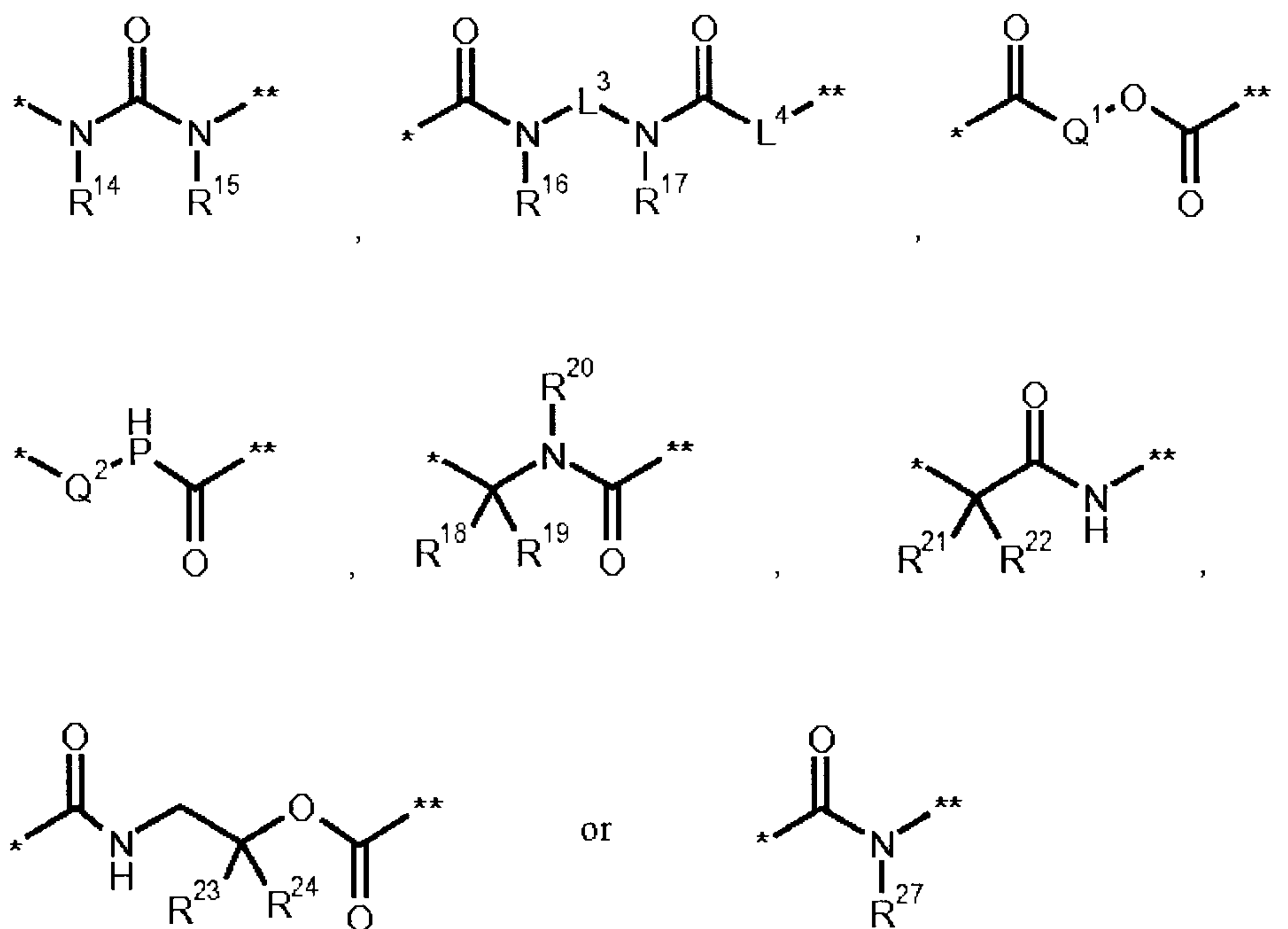
##² marks the linkage site with the group B,

where (C₁-C₁₀)-alkandiyl may be substituted by 1 to 4 methyl substituents,

and

where two carbon atoms of the alkanediyl chain in 1,2, 1,3 or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

B is a bond or a group of the formula



where

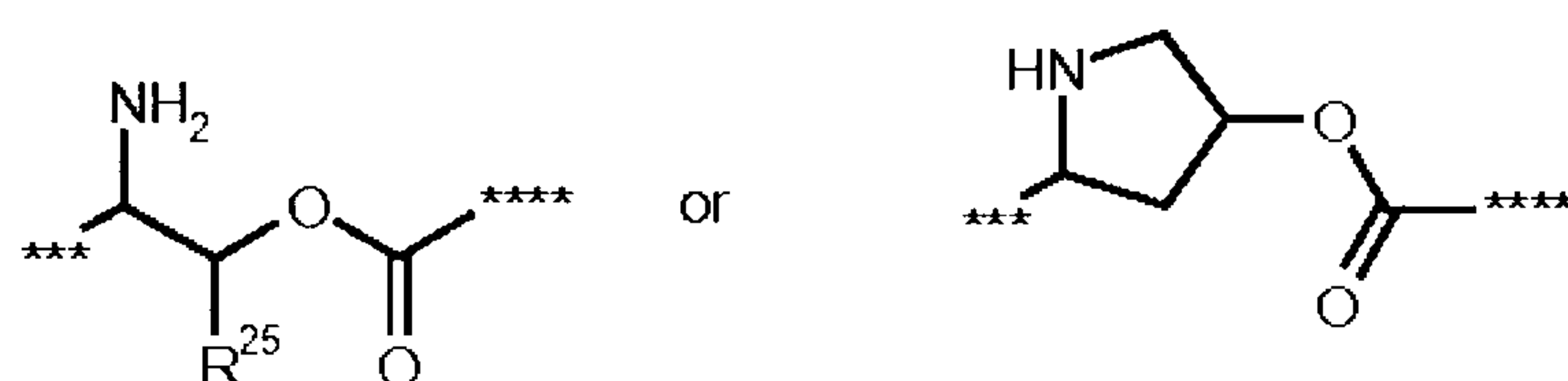
* marks the linkage site with L^1 ,

** marks the linkage site with L^2 ,

P is O or NH,

5 L^3 is a bond or (C_2-C_4) -alkanediyl,

L^4 is a bond or a group of the formula



in which

*** marks the linkage site with the carbonyl group,

10 **** marks the linkage site with L^2 ,

R^{25} is hydrogen or methyl,

Q^1 is a 3- to 7-membered carbocycle or a 4- to 7-membered aza heterocycle,

Q^2 is a 3- to 7-membered carbocycle or a 4- to 7-membered aza heterocycle,

R^{14} is hydrogen or (C_1-C_4) -alkyl,

15 R^{15} is hydrogen or (C_1-C_4) -alkyl,

or

R^{14} and R^{15} together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

R^{16} is hydrogen or (C_1-C_4) -alkyl,

20 R^{17} is hydrogen or (C_1-C_4) -alkyl,

or

R¹⁶ and R¹⁷ together with the atoms to which they are bonded form a 5- or 6-membered heterocycle,

R¹⁸ is hydrogen or (C₁-C₄)-alkyl,

R¹⁹ is hydrogen or the side group of a natural α -amino acid or of its homologues or isomers,

R²⁰ is hydrogen or (C₁-C₄)-alkyl,

or

R¹⁹ and R²⁰ together with the atoms to which they are bonded form a pyrrolidinyl ring,

R²¹ is hydrogen or (C₁-C₄)-alkyl,

R²² is hydrogen or (C₁-C₄)-alkyl,

or

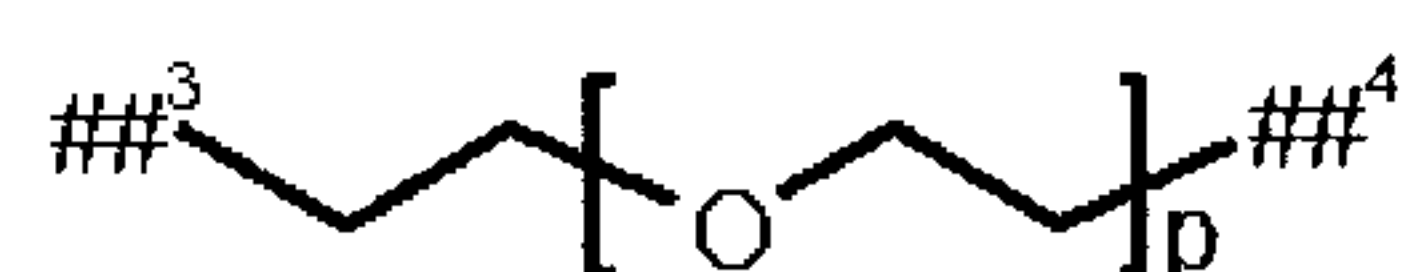
R²¹ and R²² together with the atoms to which they are bonded form a 3- to 7-membered carbocycle,

R²³ is (C₁-C₄)-alkyl,

R²⁴ is hydrogen or (C₁-C₄)-alkyl,

R²⁷ is hydrogen or (C₁-C₄)-alkyl,

L² is linear (C₂-C₁₀)-alkanediyl or is a group of the formula



where

p is a number from 2 to 6,

##³ marks the linkage site with the group B,

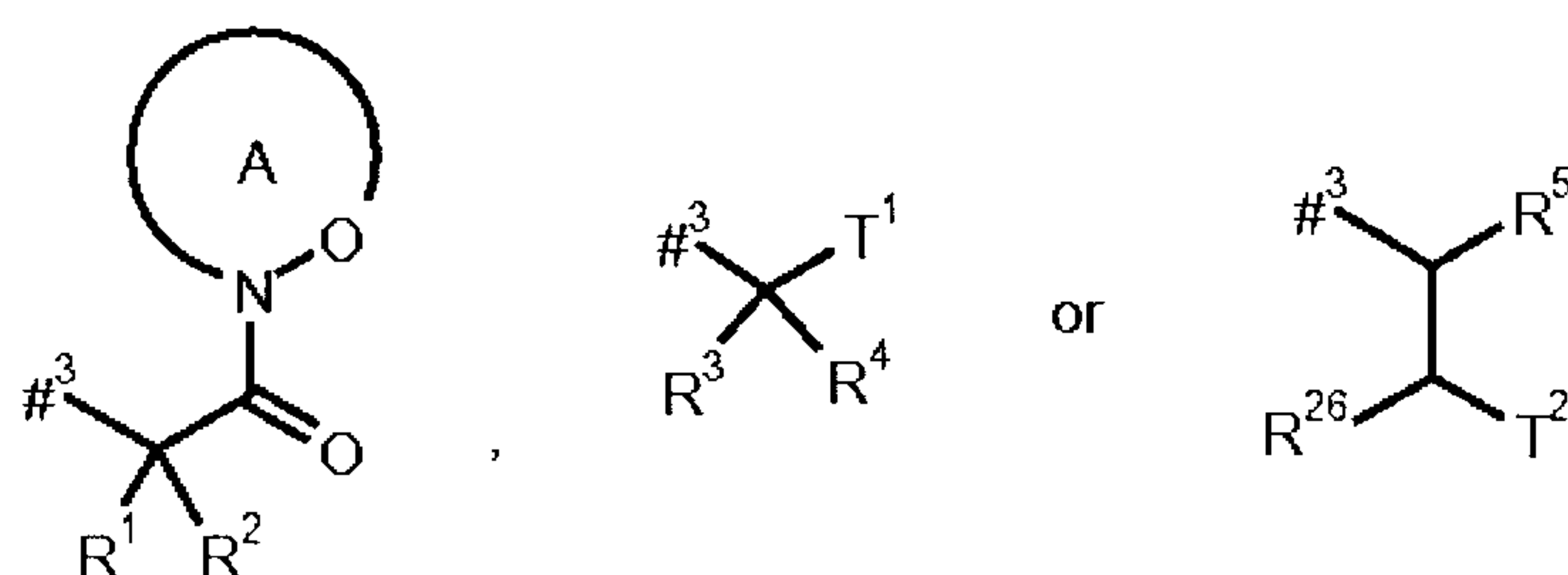
##⁴ marks the linkage site with the nitrogen atom,

where (C₂-C₁₀)-alkanediyl may be substituted by 1 to 4 methyl substituents,

and

where two carbon atoms of the alkanediyl chain in 1,2, 1,3 or 1,4 relation to one another, with inclusion of any carbon atoms situated between them, may be bridged to form a (C₃-C₆)-cycloalkyl ring or a phenyl ring,

5 D is a group of the formula



in which

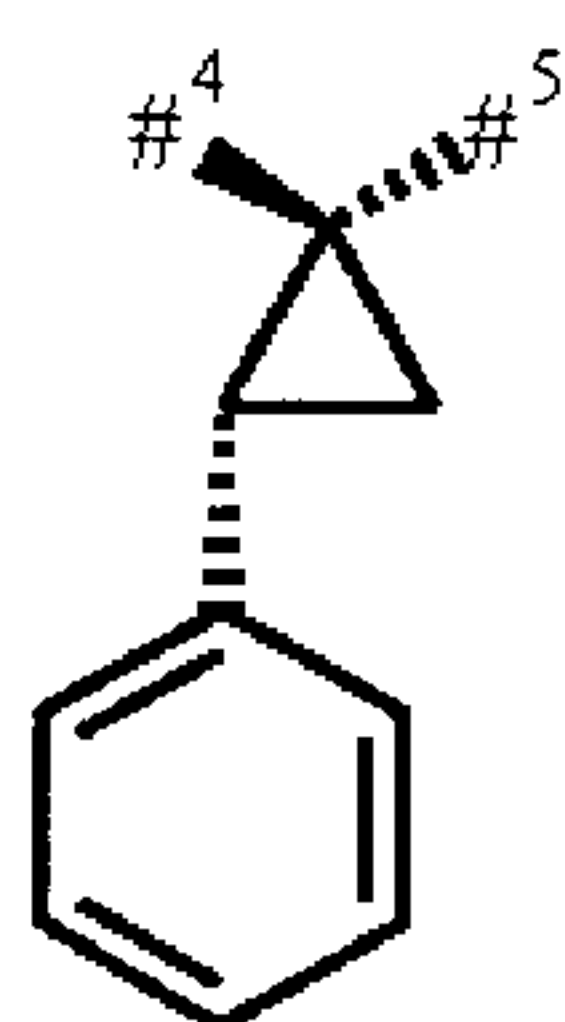
#³ marks the linkage site with the nitrogen atom,

R¹ is hydrogen,

10 R² is 1-hydroxyethyl, benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R¹ and R² together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

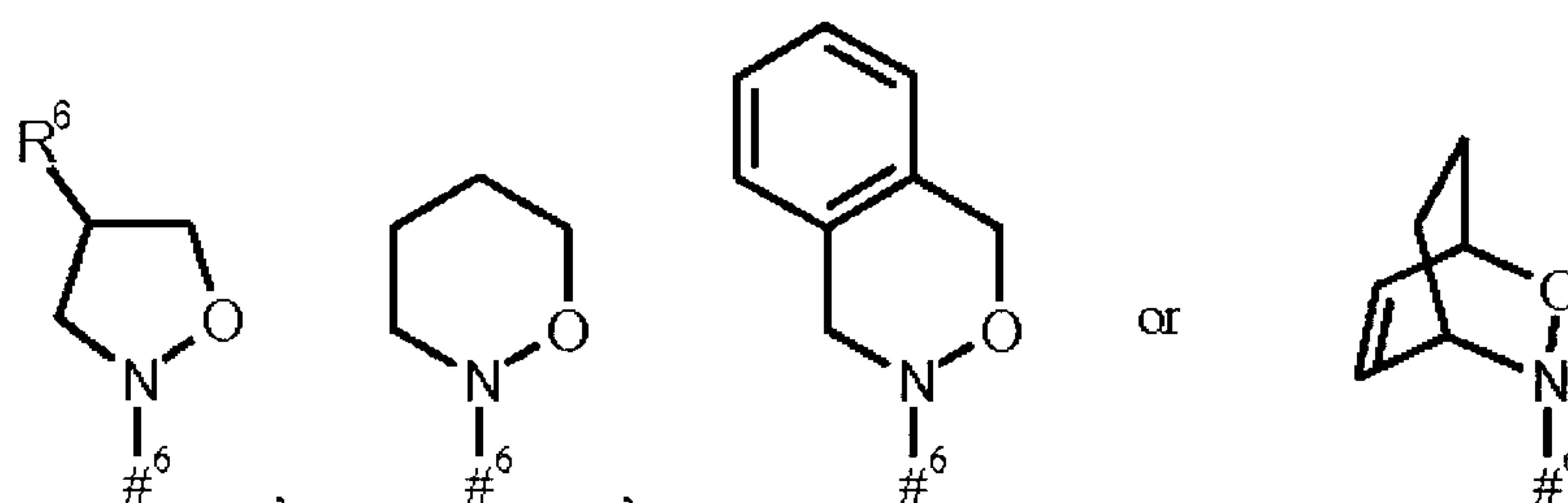


15 in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

#⁶ marks the linkage site with the carbonyl group,

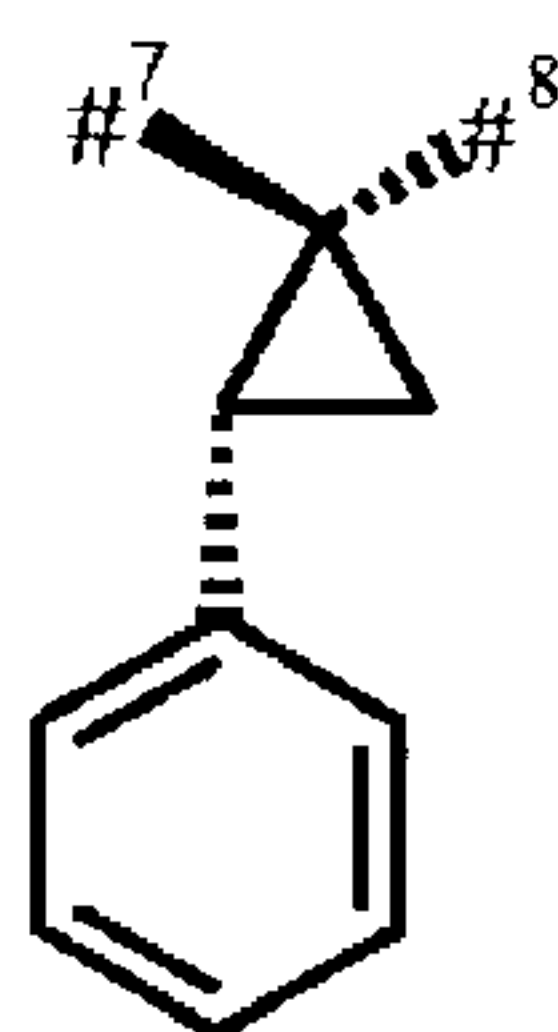
R⁶ is hydrogen, hydroxy or benzyloxy,

5 R³ is hydrogen,

R⁴ is 1-hydroxyethyl, benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



10

in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

15 T¹ is a group of the formula -C(=O)-OR⁷, -C(=O)-NR⁸R⁹, -C(=O)-NH-NH-R¹⁰ or -CH₂-O-R¹¹,

in which

R⁷ is hydrogen, methyl, ethyl, *n*-propyl, *tert*-butyl, benzyl or adamantylmethyl,

R⁸ is hydrogen or methyl,

R^9 is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

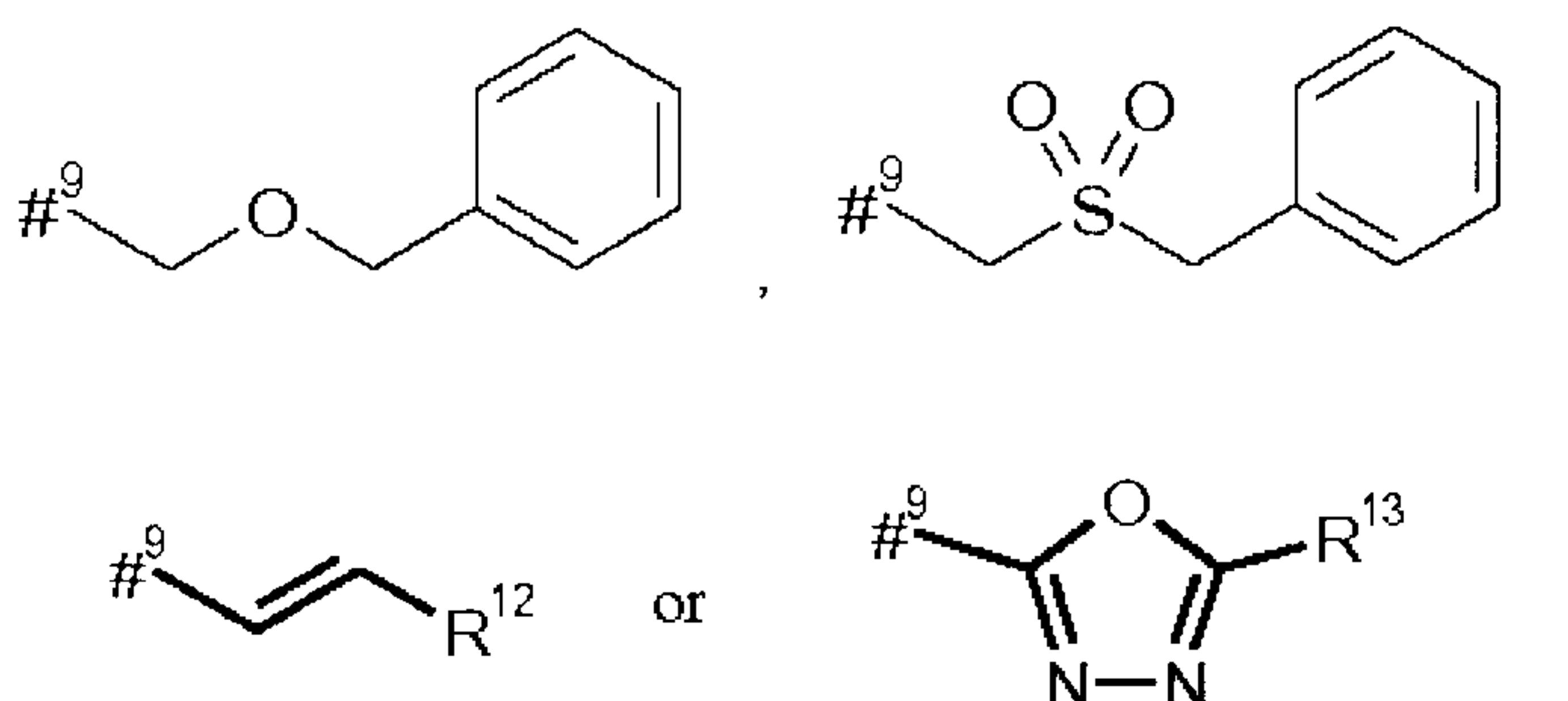
or

R^8 and R^9 together with the nitrogen atom to which they are bonded form a 4- to 7-membered heterocycle,

5 R^{10} is benzoyl,

R^{11} is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R^5 is hydrogen, methyl or a group of the formula



10 in which

$\#^9$ marks the linkage site with $\text{-CHC(R}^{26}\text{)-T}^2$,

R^{12} is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula $\text{-S(O)}_2\text{OH}$,

15 R^{13} is phenyl which may be substituted by methoxycarbonyl or carboxyl,

R^{26} is hydrogen or hydroxy,

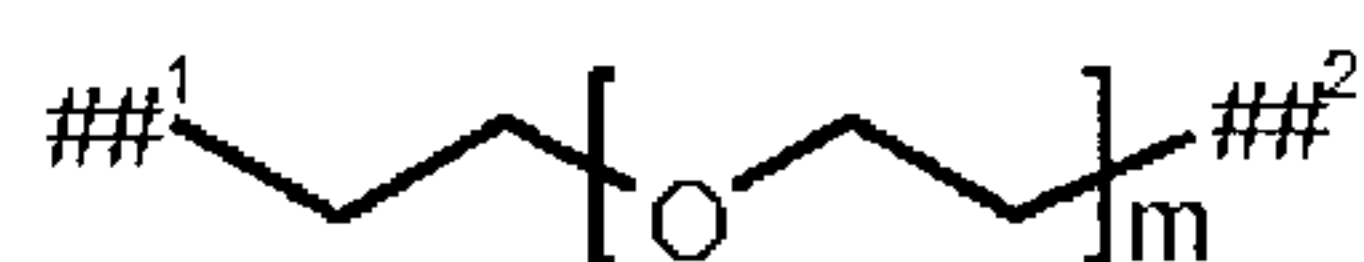
T^2 is phenyl, benzyl, 1*H*-indol-3-yl or 1*H*-indol-3-ylmethyl,

and also their salts, solvates and solvates of the salts.

22. Compounds of the formula (XXX) according to Claim 21, in which

20 Cys is a cysteine residue which is bonded via the sulphur atom of the side chain to a carbon atom of the succinimide,

L^1 is a bond, linear ($C_2\text{-}C_6$)-alkanediyl or is a group of the formula



where

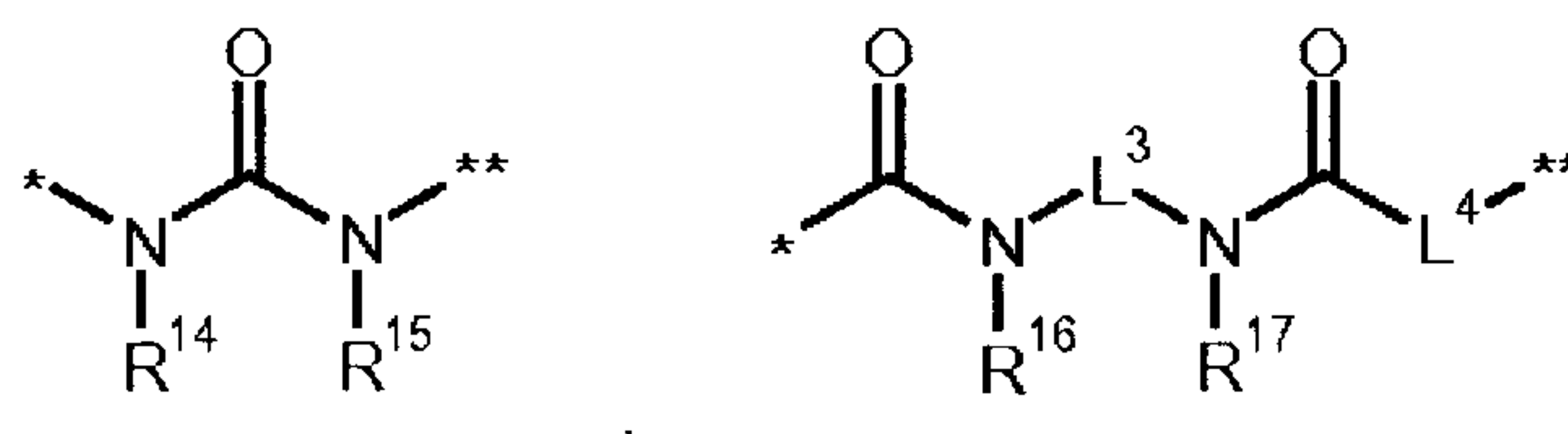
m is a number from 2 to 6,

##^1 marks the linkage site with the group G,

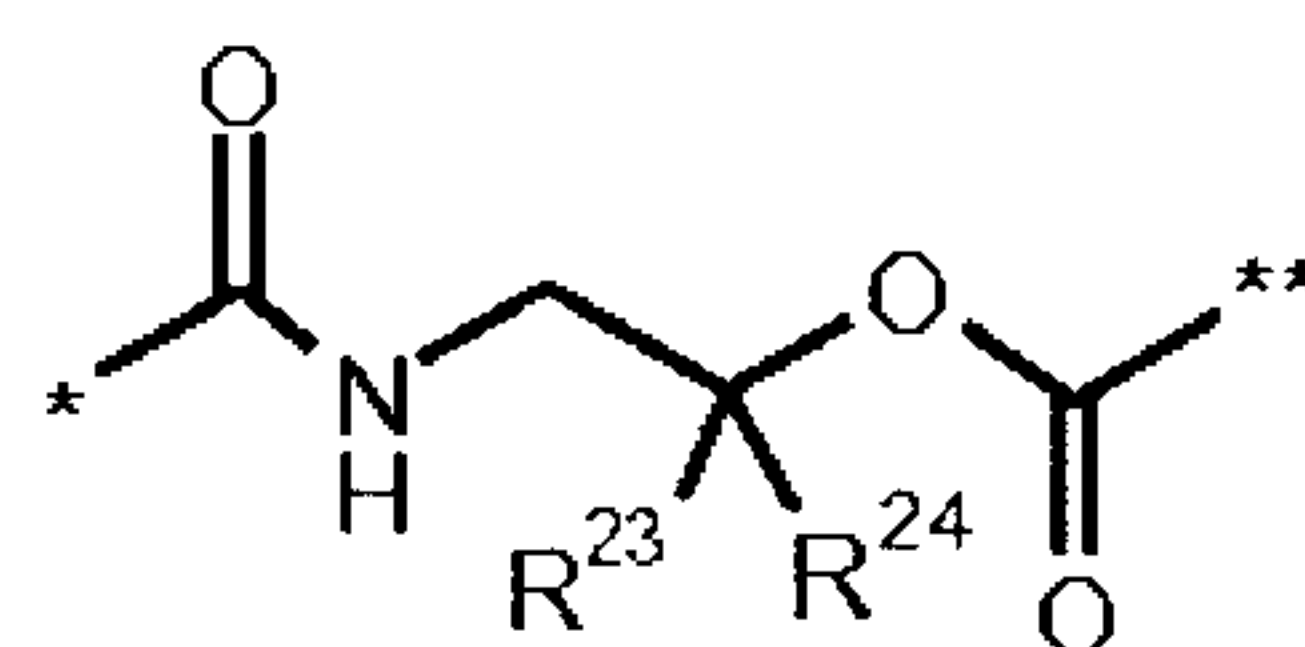
5 ##^2 marks the linkage site with the group B,

where (C₂-C₆)-alkandiyl may be substituted by 1 or 2 methyl substituents,

B is a bond or a group of the formula



or



where

10 * marks the linkage site with L¹,

** marks the linkage site with L²,

L³ is a bond or ethane-1,2-diyl,

L⁴ is a bond,

R¹⁴ is hydrogen,

15 R¹⁵ is hydrogen,

R¹⁶ is hydrogen or methyl,

R¹⁷ is hydrogen or methyl,

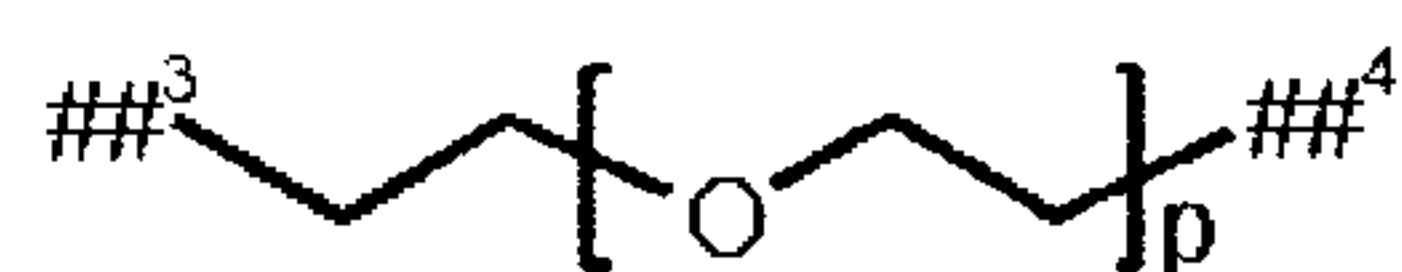
or

R^{16} and R^{17} together with the atoms to which they are bonded form a piperazinyl ring,

R^{23} is methyl,

R^{24} is hydrogen or methyl,

5 L^2 is linear (C₂-C₆)-alkanediyl or is a group of the formula



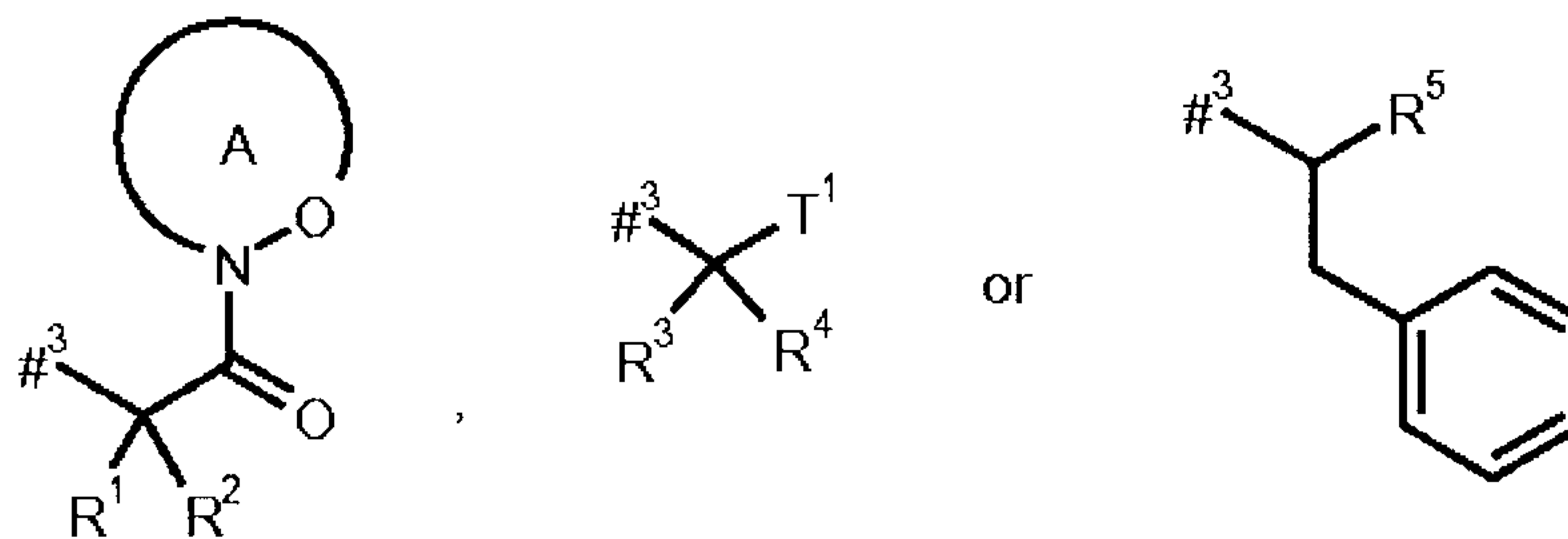
where

p is a number 2 or 3,

$##^3$ marks the linkage site with the group B,

10 $##^4$ marks the linkage site with the nitrogen atom,

D is a group of the formula



in which

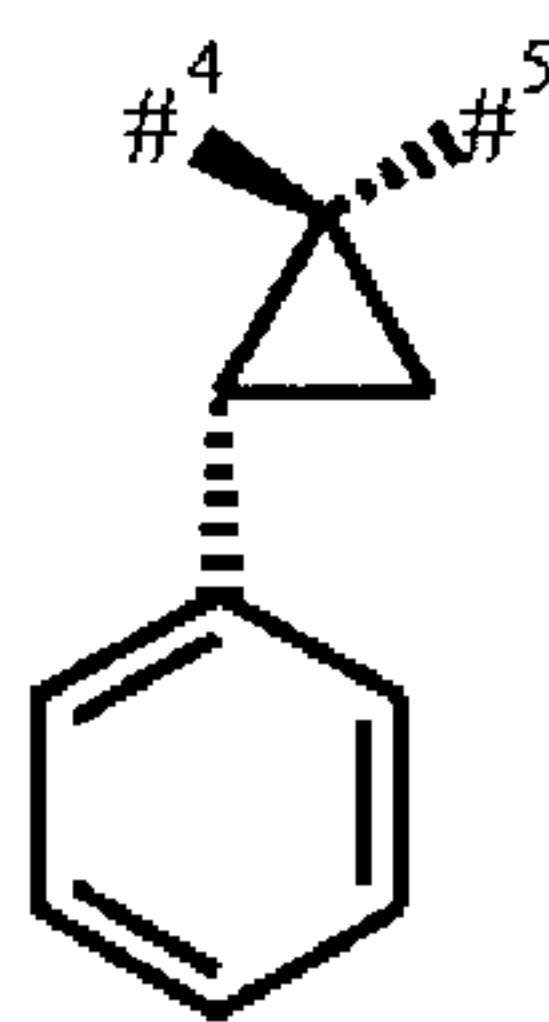
$##^3$ marks the linkage site with the nitrogen atom,

15 R^1 is hydrogen,

R^2 is 1-hydroxyethyl, benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

R^1 and R^2 together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula

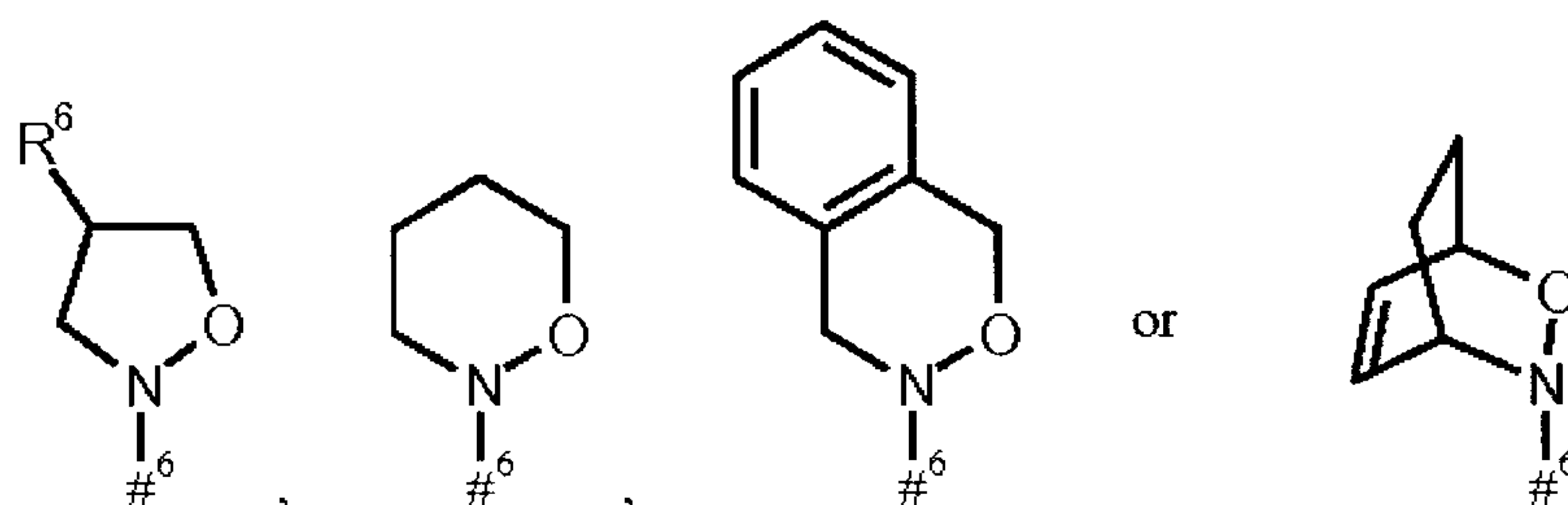


in which

#⁴ marks the linkage site with the adjacent nitrogen atom,

#⁵ marks the linkage site with the carbonyl group,

5 the ring A with the N-O moiety present therein is a mono- or bicyclic, optionally substituted heterocycle of the formula



in which

10 #⁶ marks the linkage site with the carbonyl group,

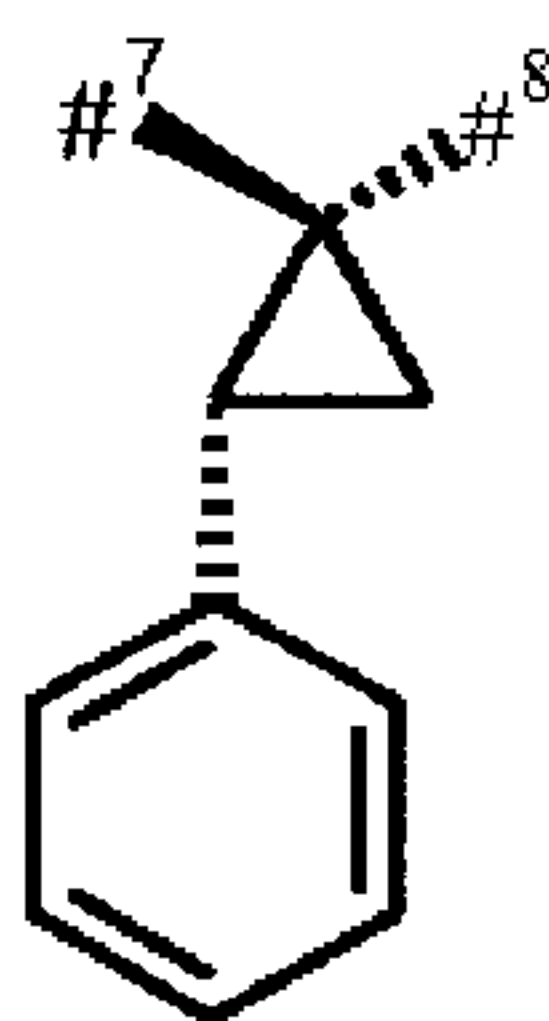
R⁶ is hydrogen, hydroxy or benzyloxy,

R³ is hydrogen,

R⁴ is benzyl, 1-phenylethyl or 1*H*-indol-3-ylmethyl,

or

15 R³ and R⁴ together with the carbon atom to which they are bonded form a (1*S*,2*R*)-2-phenylcyclopropane-1,1-diyl group of the formula



in which

#⁷ marks the linkage site with the adjacent nitrogen atom,

#⁸ marks the linkage site with the group T¹,

5 T¹ is a group of the formula -C(=O)-OR⁷, -C(=O)-NR⁸R⁹, -C(=O)-NH-NH-R¹⁰ or -CH₂-O-R¹¹,

in which

R⁷ is hydrogen, methyl, ethyl, *n*-propyl, benzyl or adamantylmethyl,

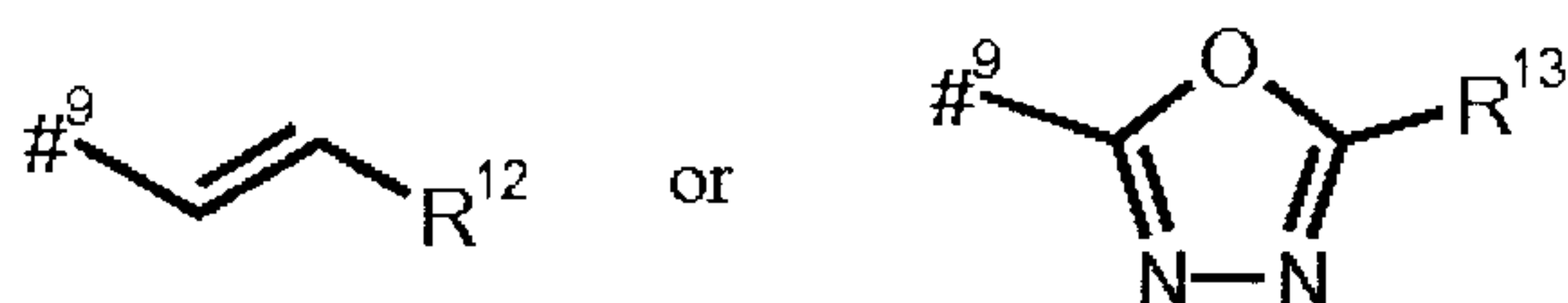
R⁸ is hydrogen or methyl,

10 R⁹ is hydrogen, methyl, ethyl, *n*-propyl or benzyl,

R¹⁰ is benzoyl,

R¹¹ is benzyl, which may be substituted in the phenyl group by methoxycarbonyl or carboxyl,

R⁵ is hydrogen or a group of the formula



15

in which

#⁹ marks the linkage site with -CHC(R²⁶)phenyl,

R¹² is phenyl which may be substituted by methoxycarbonyl, carboxyl or a group of the formula -S(O)₂OH,

20 R¹³ is phenyl which may be substituted by methoxycarbonyl or carboxyl,

and also their salts, solvates and solvates of the salts.

23. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 where the binder binds to a cancer target molecule.
24. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23, where the binder binds to an extracellular target molecule.
25. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 24, where the binder binds to an extracellular cancer target molecule.
26. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 25, where the target molecule, extracellular target molecule, cancer target molecule or extracellular cancer target molecule is a protein.
27. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 26, where the binder after binding to the extracellular target molecule is internalized by the cell expressing the target molecule.
28. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 27, where the binder is a binder protein.
29. Binder-drug conjugate according to any of Claims 1 – 6, 14, 15, 20 or 23 – 28, where the binder is an antibody or an antigen-binding antibody fragment thereof or an antibody mimetic.
30. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 29, where the antibody is a monoclonal antibody.
31. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 30, where the antibody is a human, humanized or chimeric antibody.
32. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 31, where the antibody is an intact or a modified intact antibody.
33. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 32, where the antibody is an antibody of the IgG class.
34. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 16, 20 or 23 – 33, where the binder binds to an extracellular cancer target molecule which is selected from the group consisting of EGF receptor (NP_005219.2), mesothelin (Q13421-3), C4.4a (NP_055215.2) and carboanhydrase IX (CA IX; NP_001207.2).

35. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 16, 20 or 23 – 34, where the binder binds specifically to an extracellular cancer target molecule which is selected from the group consisting of EGF receptor (NP_005219.2), mesothelin (Q13421-3), C4.4a (NP_055215.2) and carboanhydrase IX (CA IX; NP_001207.2).
- 5 36. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 16, 20 or 23 – 35, where the antibody binds to C4.4a.
37. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 36, where the antibody binds to S1 domains (amino acid position 1-85 of SEQ ID NO: 1) of C4.4a.
38. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 37, where the
10 antibody binds specifically to C4.4a.
39. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18 or 23 – 38, where the binder is an anti-C4.4a antibody or antigen-binding antibody fragment which competes with antibody M31-B01 and/or with the antibody M20-D02-S-A for binding to C4.4a.
40. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 16, 20 or 23 – 39, selected
15 from the group consisting of antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 75-77 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 78-80 (B01-10), antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 5, 9 and 13 and which comprises the CDR
20 sequences of the variable light chain represented by the sequences SEQ ID NO: 17, 21 and 25 (M31-B01), antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 6, 10 and 14 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 18, 22 and 26 (M20-D02-S-A), antibody which comprises the CDR sequences of the variable heavy
25 chain represented by the sequences SEQ ID NO: 7, 11 and 15 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 19, 23 and 27 (M60-G03), antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 8, 12 and 16 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 20, 24 and 28 (36-H02), antibody which comprises the CDR sequences of the variable heavy
30 chain represented by the sequences SEQ ID NO: 45-47 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 48-50 (B01-3), antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 55-57 and which comprises the CDR sequences

- of the variable light chain represented by the sequences SEQ ID NO: 58-60 (B01-5), antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 65-67 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 68-70 (B01-7),
- 5 antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 85-87 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 88-90 (B01-12), antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 95-97 and which comprises the CDR sequences of the variable
- 10 light chain represented by the sequences SEQ ID NO: 98-100 (D02-4), antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 105-107 and which comprises CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 108-110 (D02-6), antibody which comprises the CDR sequences of the variable heavy chain represented by
- 15 the sequences SEQ ID NO: 115-117 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 118-120 (D02-7), antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 125-127 and which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 128-130 (D02-11), and
- 20 antibody which comprises the CDR sequences of the variable heavy chain represented by the sequences SEQ ID NO: 135-137 which comprises the CDR sequences of the variable light chain represented by the sequences SEQ ID NO: 138-140 (D02-13).
41. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18, 20 or 23 – 40, where the C4.4a antibody or antigen-binding antibody fragment is selected from the group consisting
- 25 of antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 81 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 82 (B01-7),
- antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 33 and which comprise the amino acid sequence
- 30 of the variable light chain represented by the sequence SEQ ID NO: 29 (M31-B01), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 34 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 30 (M20-D02 S-A), antibodies which comprise the amino acid sequence of the variable heavy chain
- 35 represented by the sequence SEQ ID NO: 35 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 31 (M60-G03),

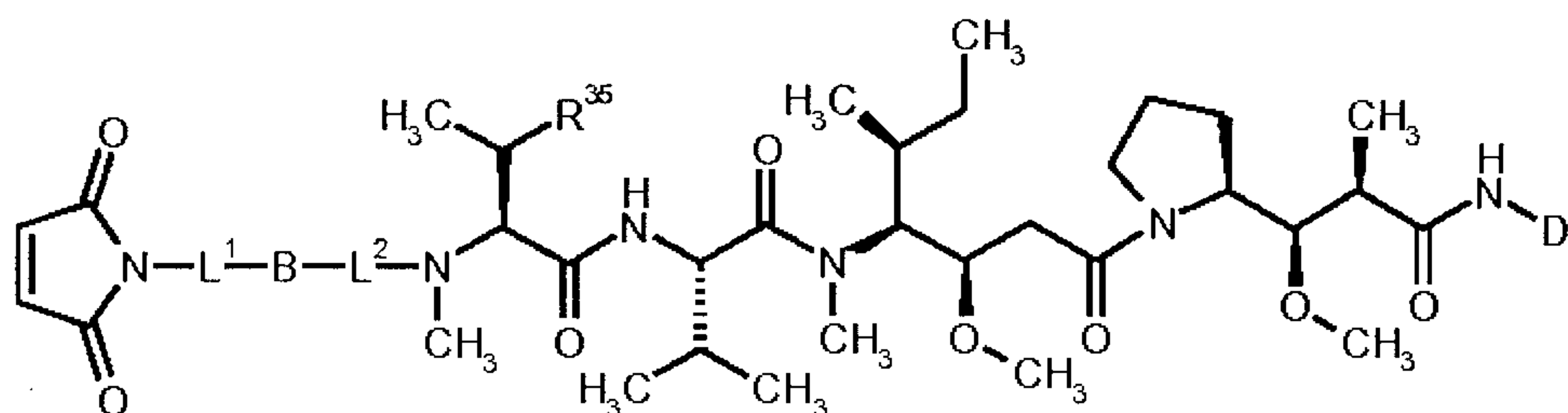
- antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 36 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 32 (M36-H02), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 51 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 52 (B01-3), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 61 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 62 (B01-5), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 71 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 72 (B01-7), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 91 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 92 (B01-12), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 101 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 102 (D02-4), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 111 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 112 (D02-6), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 121 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 122 (D02-7), antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 131 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 132 (D02-11), and antibodies which comprise the amino acid sequence of the variable heavy chain represented by the sequence SEQ ID NO: 141 and which comprise the amino acid sequence of the variable light chain represented by the sequence SEQ ID NO: 142 (D02-13).
42. Binder-drug conjugate according to any of Claims 1 – 6, 14 – 18, 20 or 23 – 41, where the C4.4a antibody or antigen-binding antibody fragment is selected from the group consisting of

- antibody which comprises the amino acid sequence of the light chain represented by SEQ ID NO: 346 and which comprises the amino acid sequence of the heavy chain represented by SEQ ID NO: 347 (M31-B01),
- antibody which comprises the amino acid sequence of the light chain represented by SEQ ID NO: 352 and which comprises the amino acid sequence of the heavy chain represented by SEQ ID NO: 353 (B01-3),
- antibody which comprises the amino acid sequence of the light chain represented by SEQ ID NO: 364 and which comprises the amino acid sequence of the heavy chain represented by SEQ ID NO: 365 (B01-10), and
- antibody which comprises the amino acid sequence of the light chain represented by SEQ ID NO: 382 and which comprises the amino acid sequence of the heavy chain represented by SEQ ID NO: 383 (D02-6).
43. Binder-drug conjugate or a compound according to any of Claims 1 – 18 or 20 – 42 for the treatment and/or prophylaxis of illnesses.
44. Binder-drug conjugate or a compound according to any of Claims 1 – 18 or 20 – 42 for use in a method for the treatment and/or prophylaxis of hyperproliferative and/or angiogenic diseases.
45. Binder-drug conjugate or a compound according to any of Claims 1 – 18 or 20 – 42 for producing a medicament for the treatment and/or prophylaxis of hyperproliferative and/or angiogenic diseases.
46. Medicament comprising a binder-drug conjugate or a compound according to any of Claims 1 – 18 or 20 – 42, in combination with an inert, non-toxic, pharmaceutically suitable excipient.
47. Medicament comprising a binder-drug conjugate or a compound according to any of Claims 1 – 18 or 20 – 42, in combination with one or more anti-hyperproliferative, cytostatic or cytotoxic substances.
48. Medicament according to Claim 46 – 47 for the treatment and/or prophylaxis of hyperproliferative and/or angiogenic diseases.
49. Method for the treatment and/or prophylaxis of hyperproliferative and/or angiogenic diseases in humans and animals, using an effective amount of at least one binder-drug

conjugate or a compound according to any of Claims 1 – 18 or 20 – 42, or of a medicament as defined in any of Claims 46 to 48.

50. Process for preparing the compounds of the invention according to any of Claims 1 to 6, of the general formula (Ia), characterized in that a solution of the binder in a buffer

5 [A] is admixed with a suitable reducing agent, such as, for example, dithiothreitol or tris(2-carboxyethyl)phosphine hydrochloride, and is subsequently reacted with a compound of the formula (IIa)

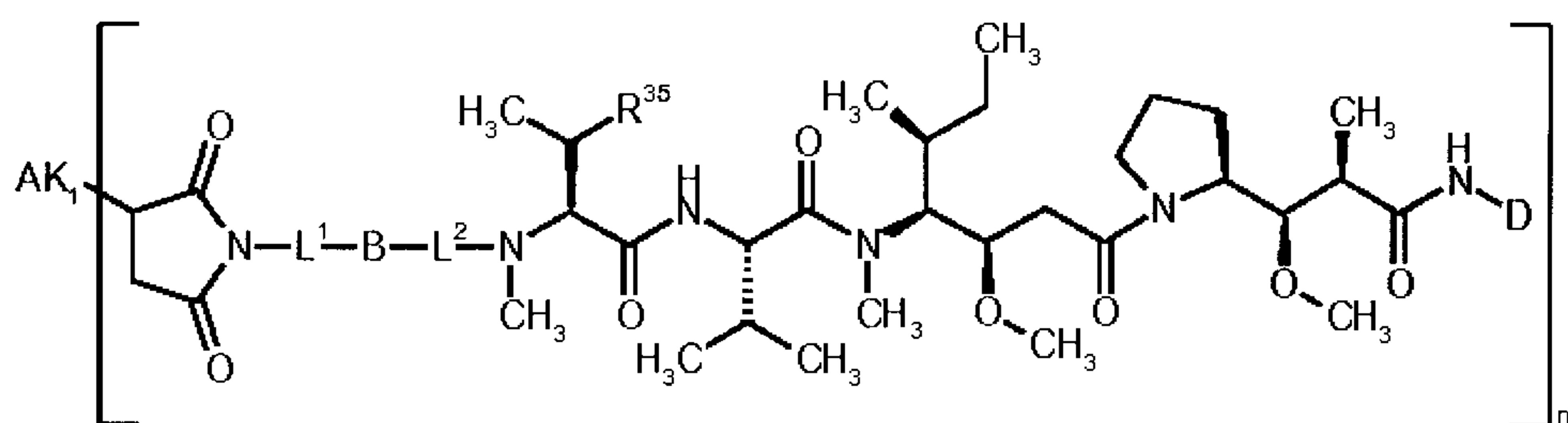


(IIa),

10

in which D, L¹, B, L² and R³⁵ each have the definitions indicated in Claims 1 to 6,

to give a compound of the formula (Ia-A)



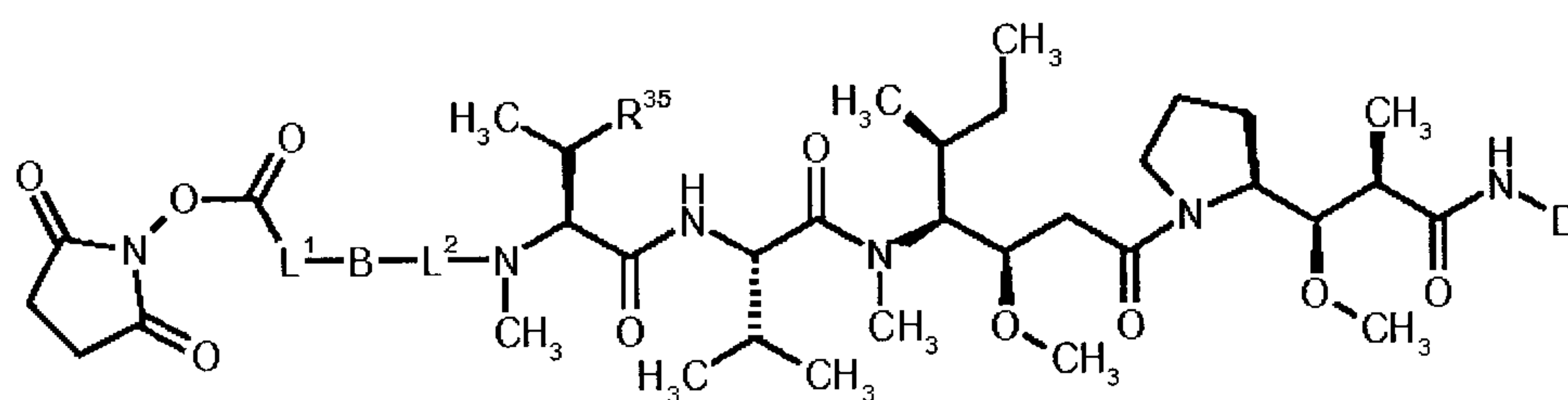
(Ia-A),

15

in which n , AK_1 , D , L^1 , B , L^2 and R^{35} each have the definitions indicated in Claims 1 to 6.

or

[B] is reacted with a compound of the formula (IIIa)

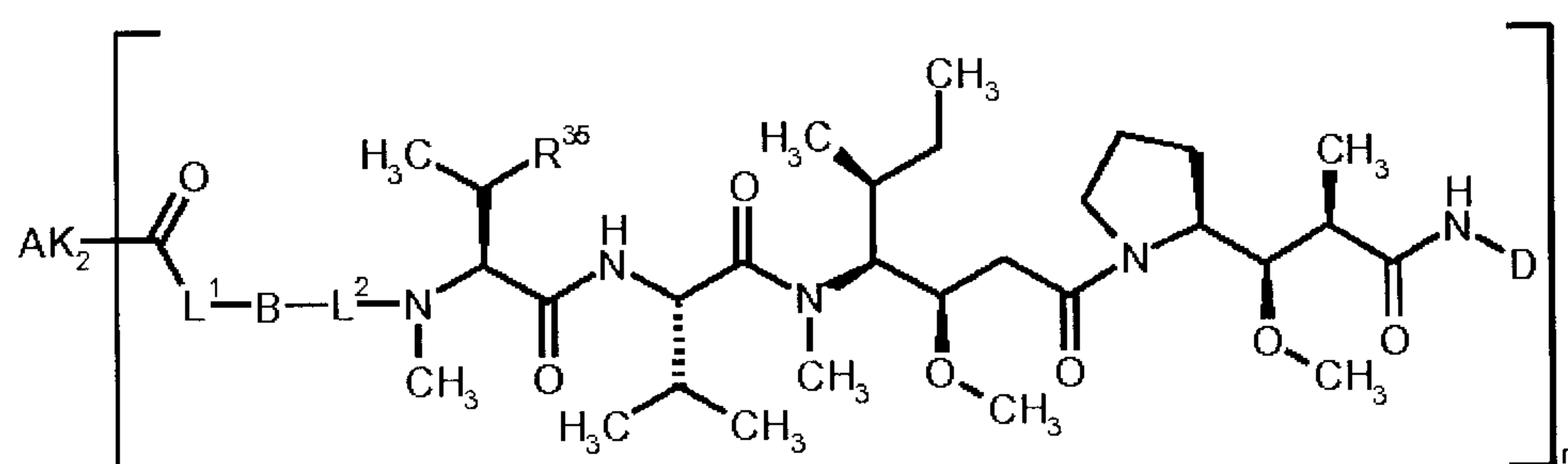


(IIIa),

in which D, L¹, B, L² and R³⁵ each have the definitions indicated in Claims 1 to 6,

5

to give a compound of the formula (Ia-B)

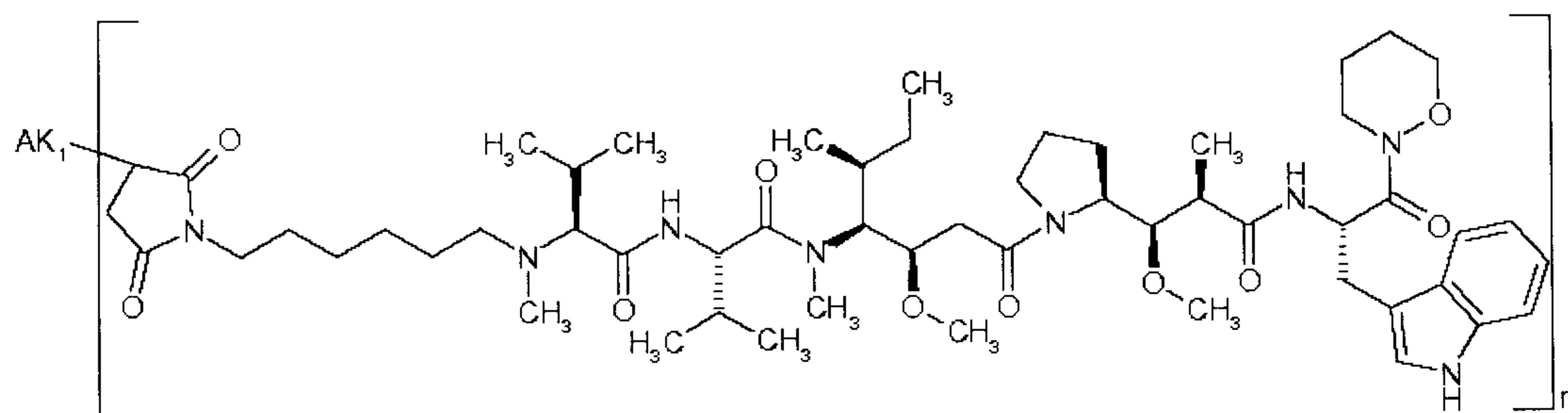


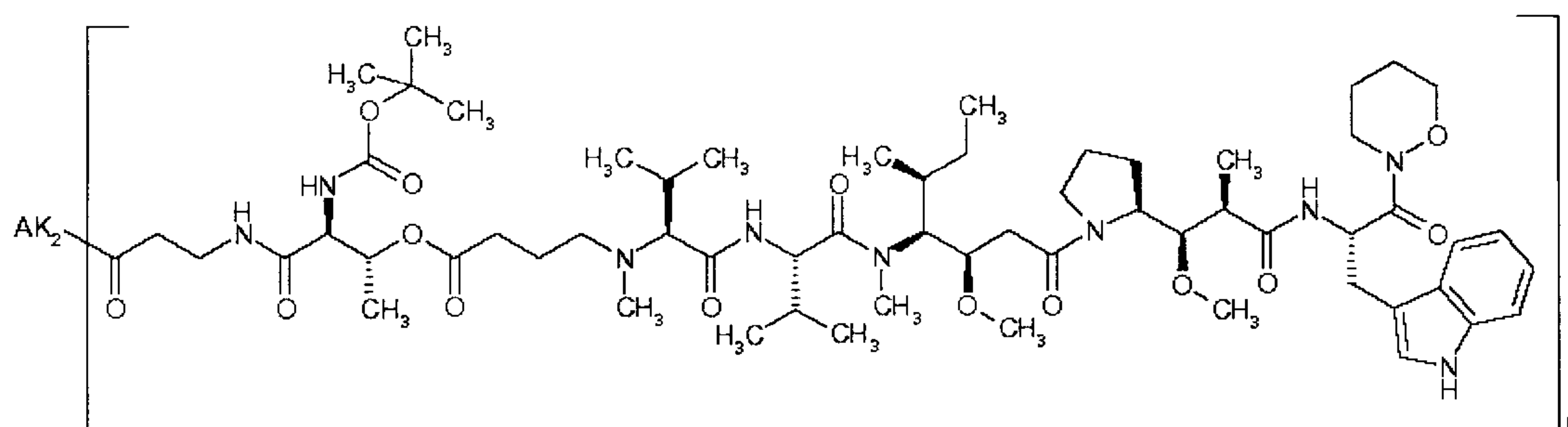
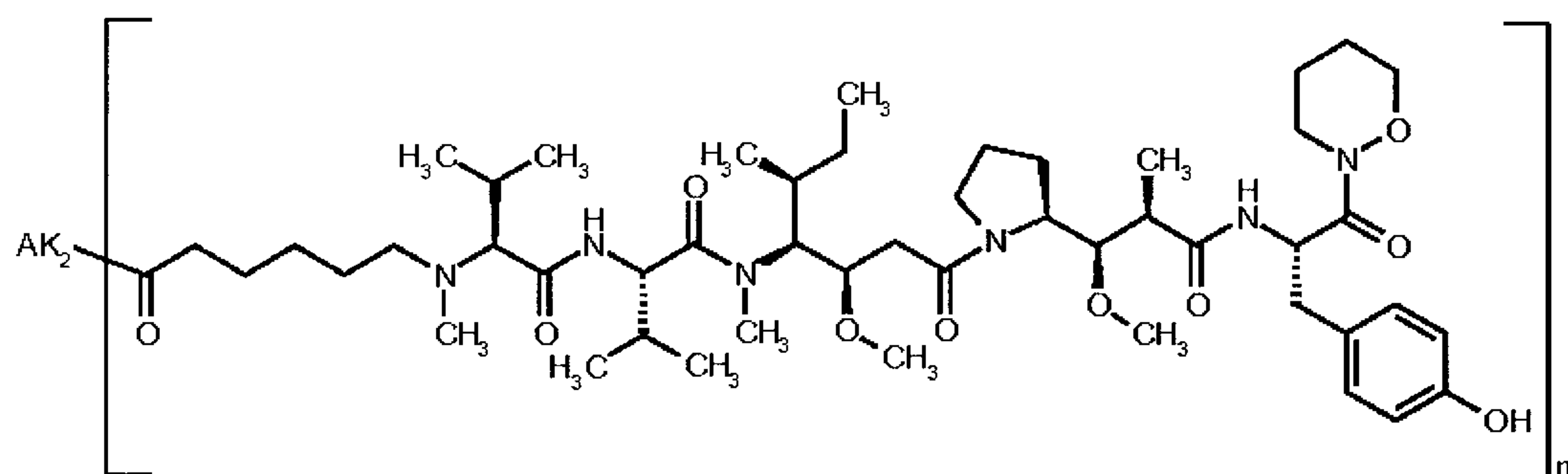
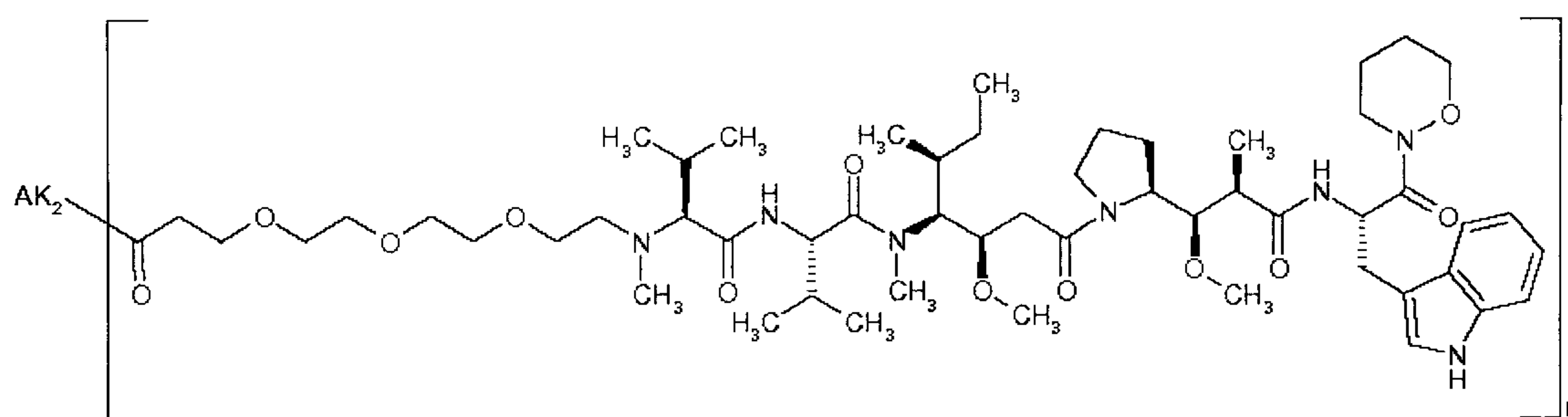
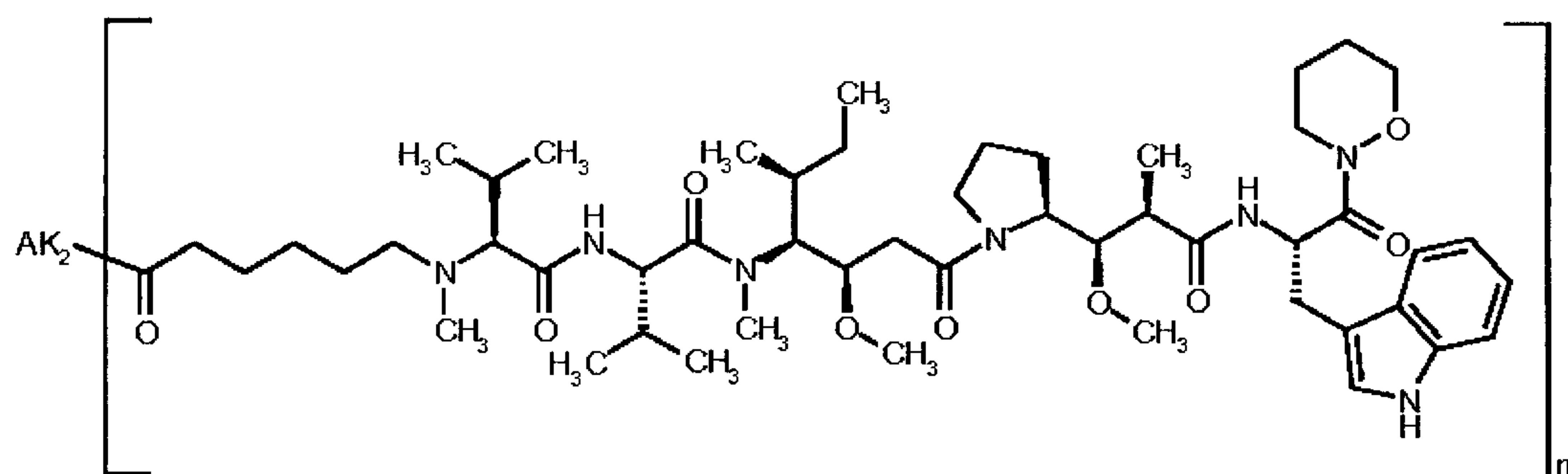
(Ia-B),

in which n, AK₂, D, L¹, B, L² and R³⁵ each have the definitions indicated in Claims 1 to 6.

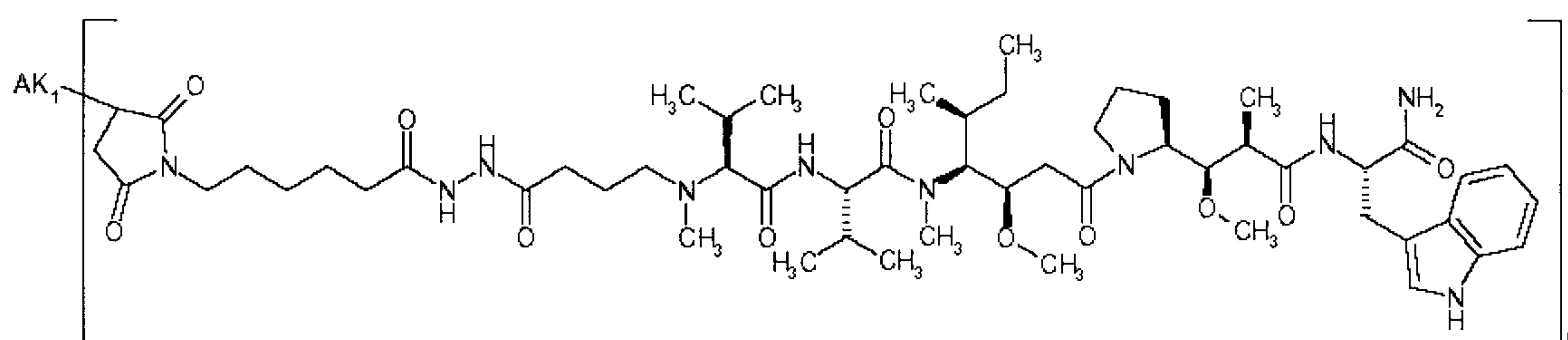
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51. Binder-drug conjugates selected from the following compounds:





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where in each case

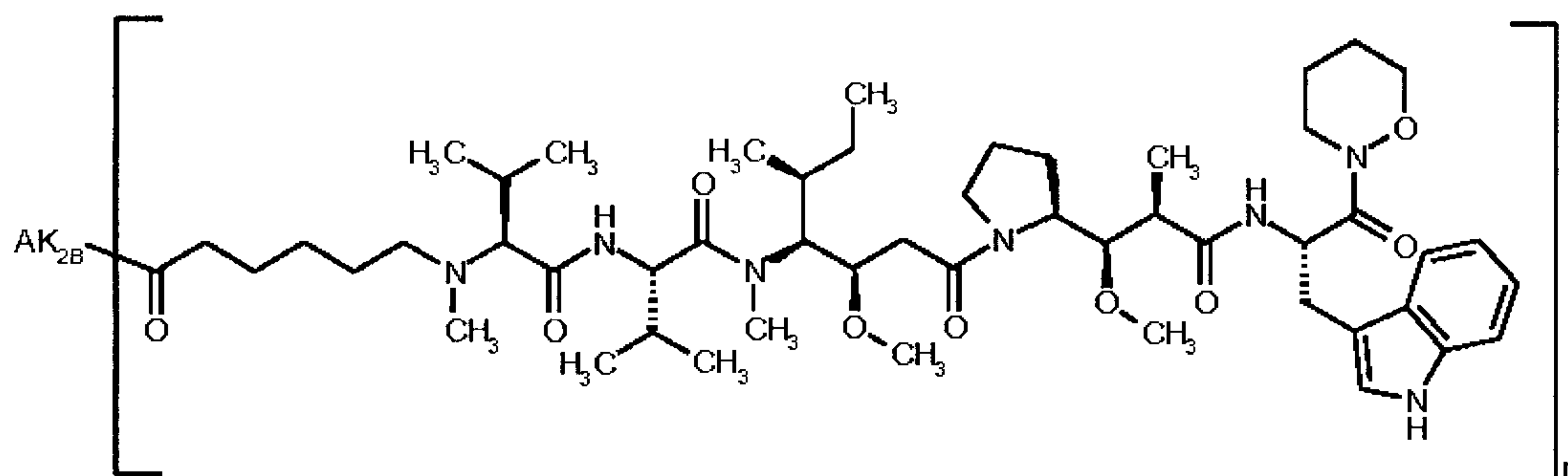
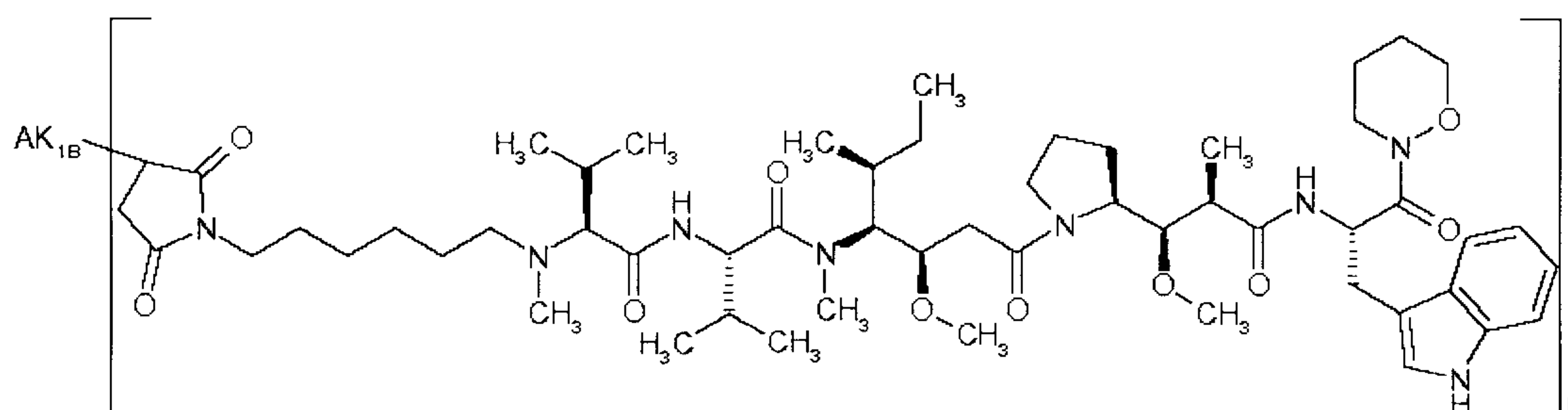
n is a number from 2 to 8, preferably 2 to 5,

and

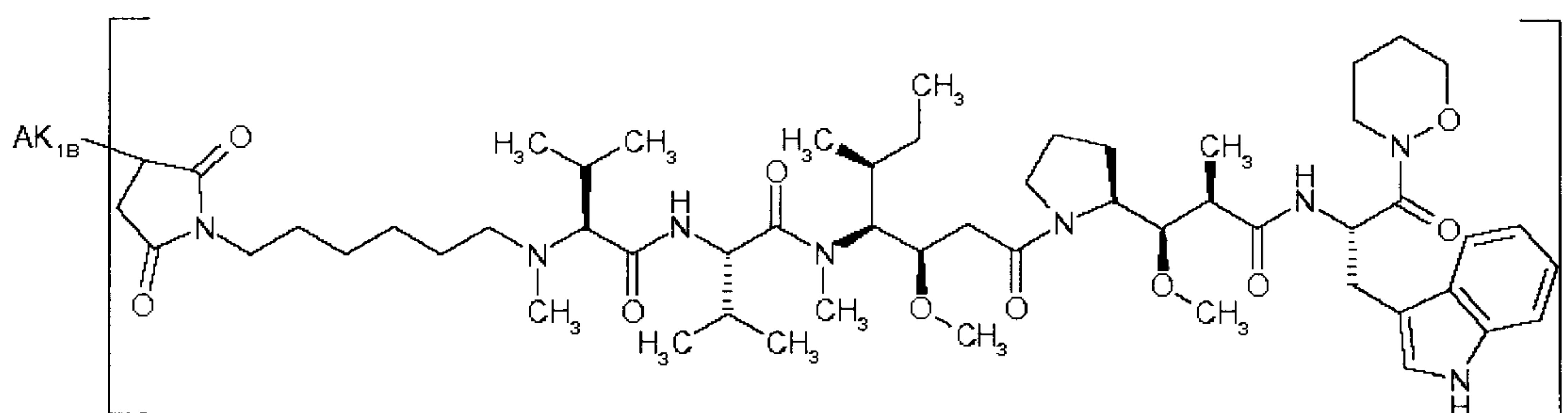
AK₁ is a human or humanized antibody or an antigen-binding antibody fragment which binds to C4.4a and is bonded via a cysteine group to the toxophore linker unit, and

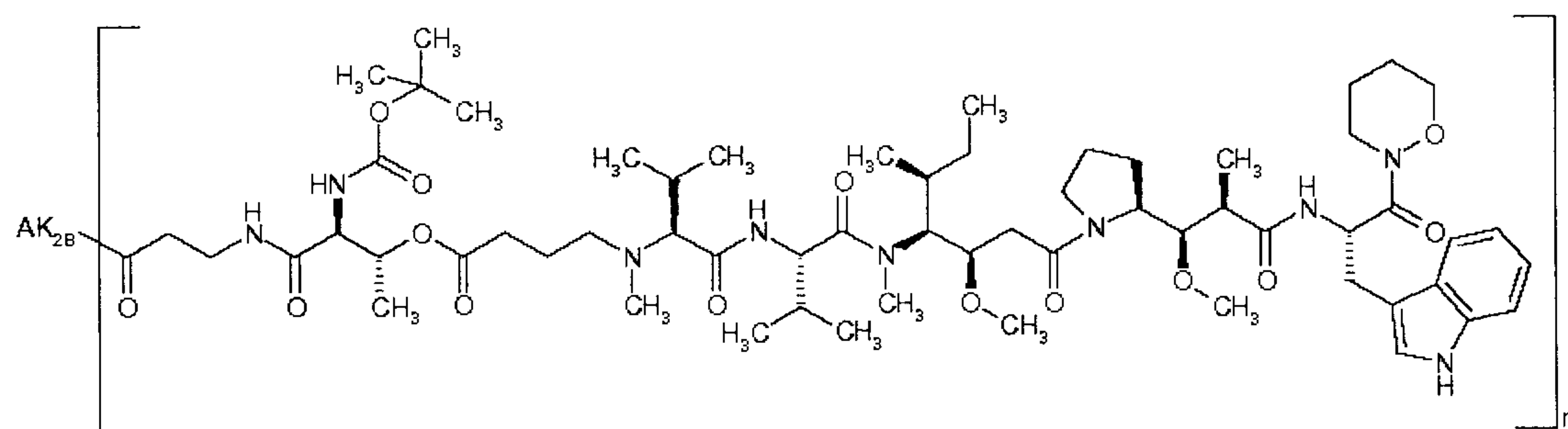
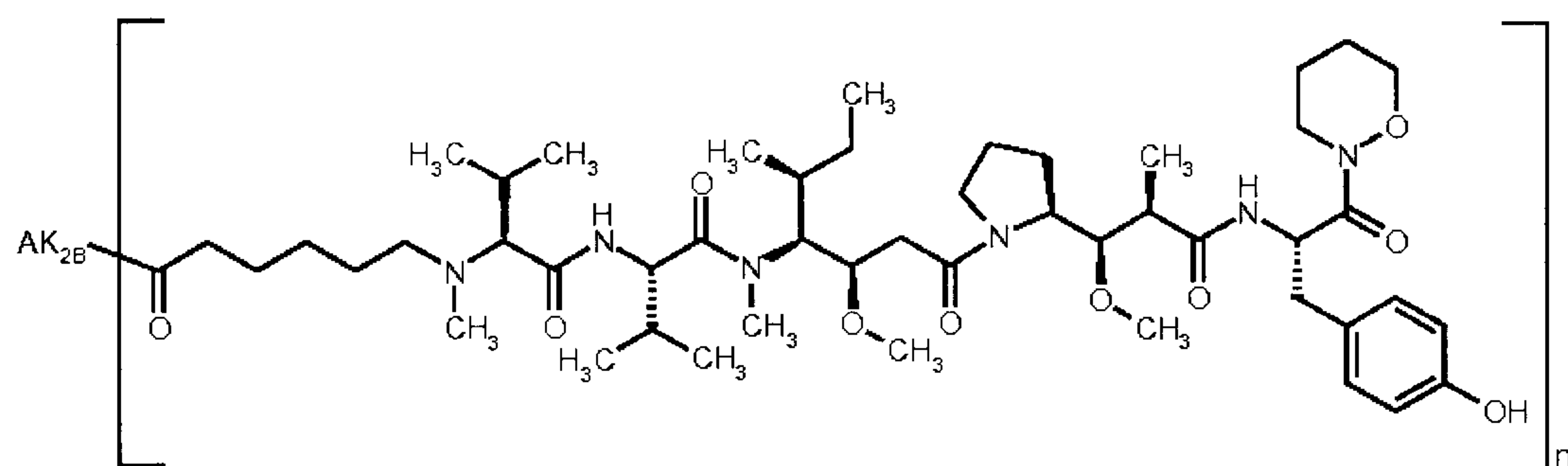
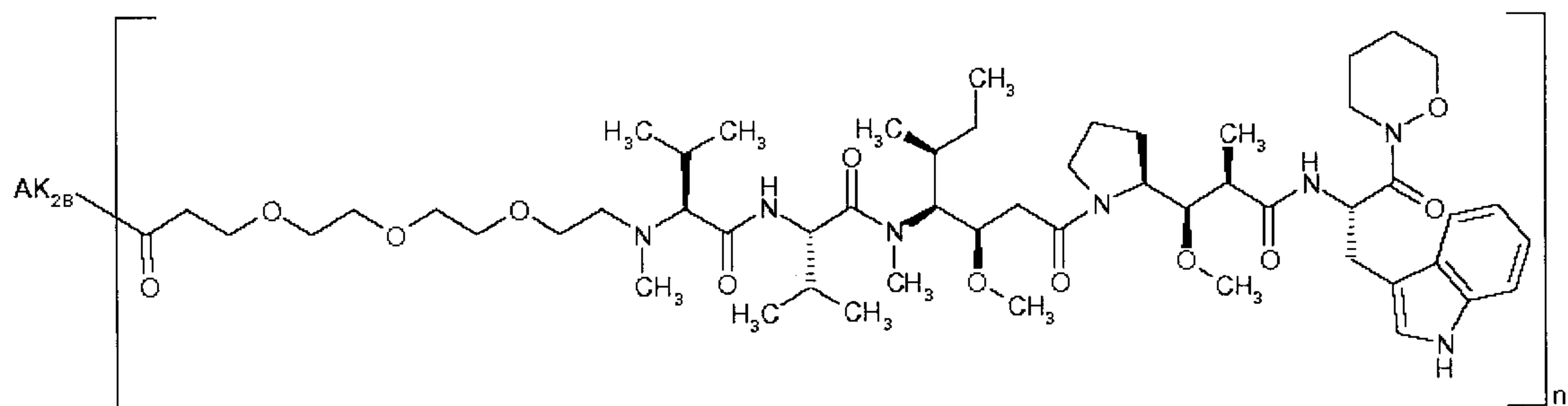
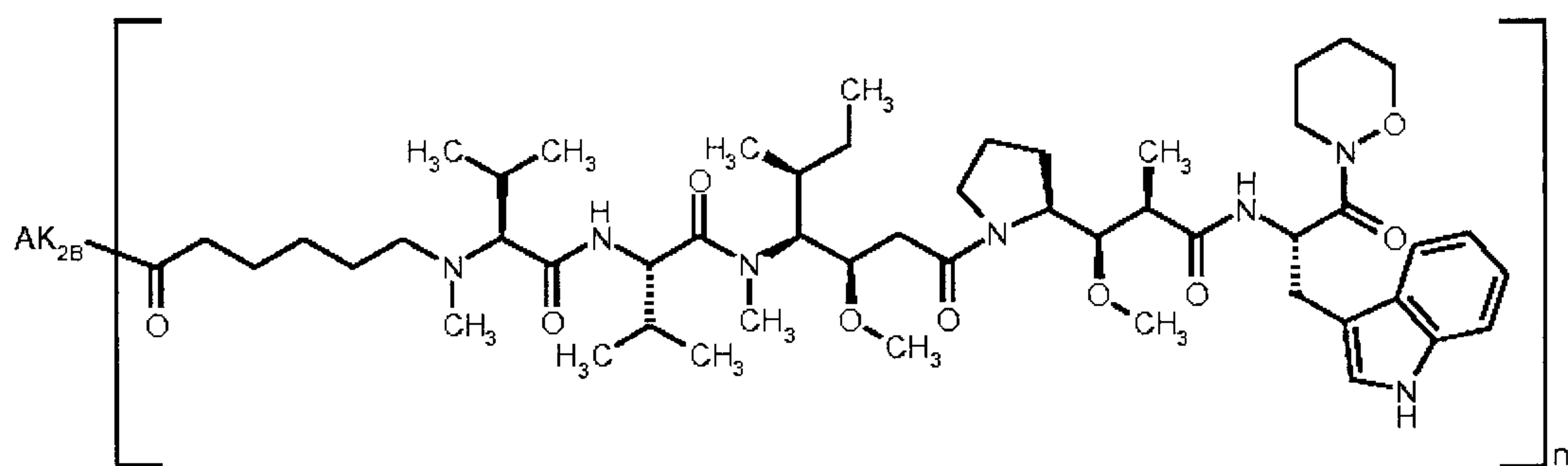
- 5 AK₂ is a human or humanized antibody or an antigen-binding antibody fragment which binds to C4.4a and is bonded via a lysine group to the toxophore linker unit.

52. Binder-drug conjugates selected from the following compounds:

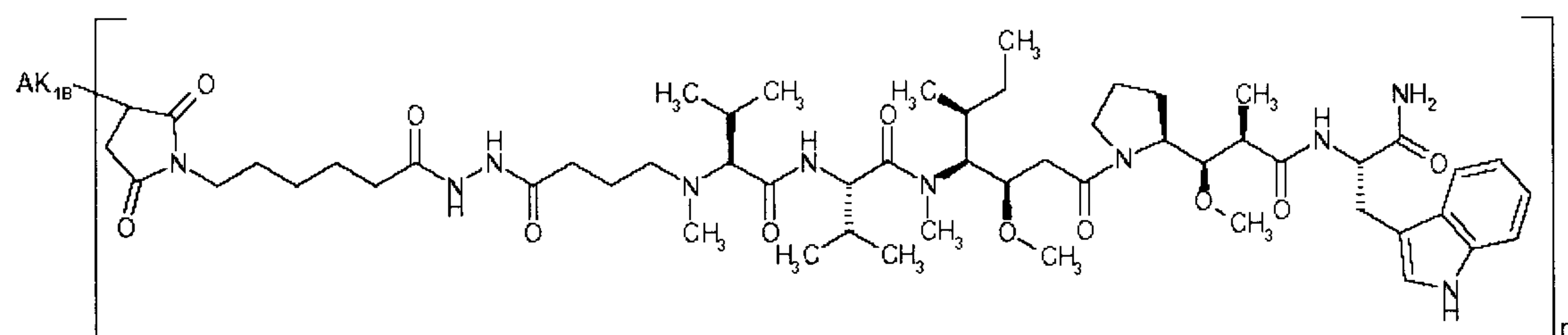


10





5



where in each case

n is a number from 2 to 8, preferably 2 to 5,

and

AK_{1B} and AK_{2B} are B01-3.