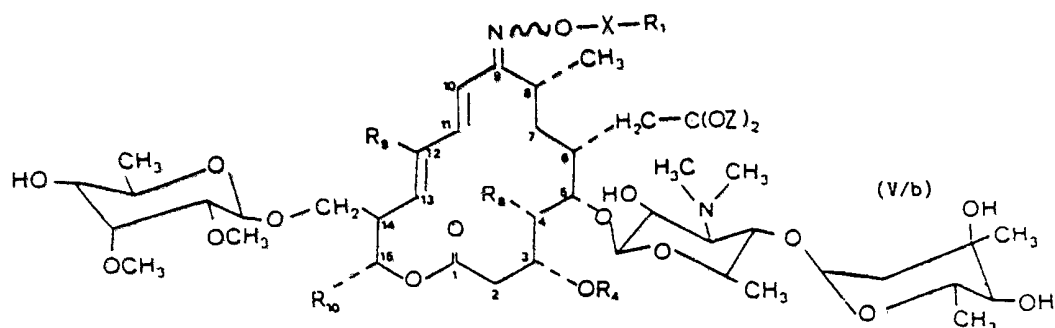
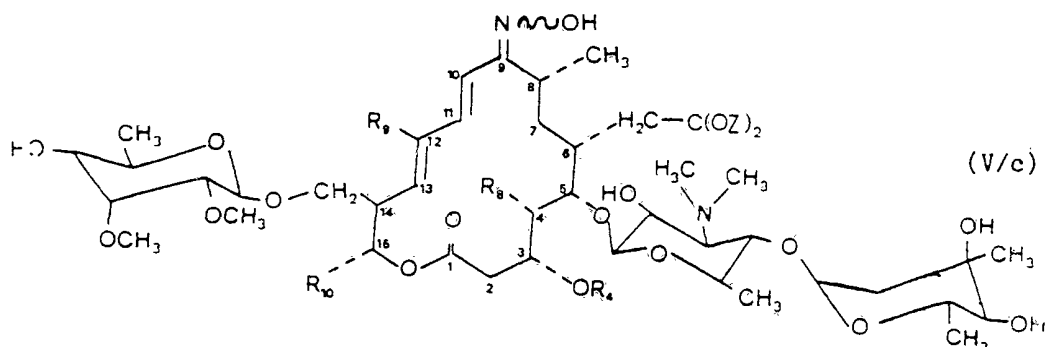




in which the sign ~, X, R₁, R₄, R₈, R₉ and R₁₀ have the same meaning as in the formula (I) and Z the same definition as above,

- or with a strong acid salt of hydroxylamine to obtain a derivative of formula (V/c):



which is a special case of derivatives of formula (V/b) in which the sign ~, R₄, R₈, R₉, R₁₀ and Z have the same meaning as in the formula (V/b), X - R₁ in this case denoting a hydrogen atom,

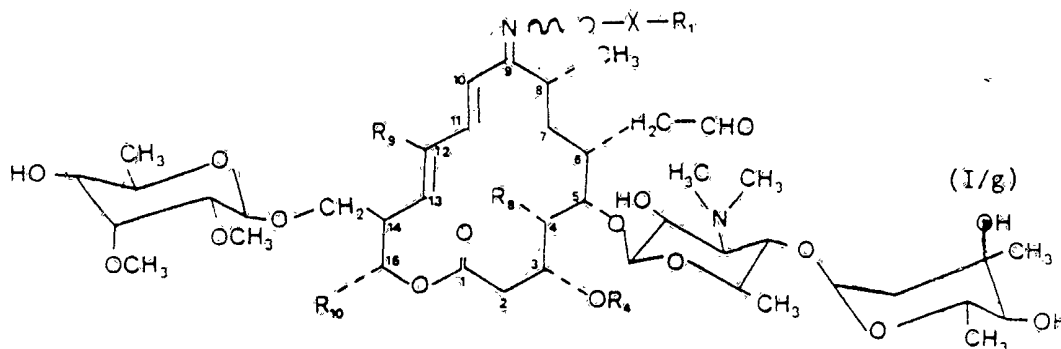
which is treated, where appropriate, in the presence of a base such as, for example, triethylamine or pyridine, or an alkali metal salt such as sodium carbonate or potassium carbonate or calcium carbonate or sodium hydrogen carbonate or potassium hydrogen carbonate, or an alkali metal hydride such as sodium hydride, in a solvent preferably chosen from pyridine, acetone, dimethylformamide, dioxane, acetonitrile, tetrahydrofuran and diethyl or diisopropyl ether, with a derivative of formula (VI):

$R_1 - X - T$

(VI)

in which T denotes a halogen atom and R_1 and X have the same meaning as in the formula (I), with the exception of the case in which R_1 and X together form a hydrogen atom, to obtain, after optional purification by chromatography on a silica column, a product of formula (V) in which the sign $\sim$, X, R_1 , R_4 , R_8 , R_9 and R_{10} have the same meaning as in the formula (I) and Z the same meaning as in the formula (III/b)

which, irrespective of the process according to which it has been obtained, is subjected to a customary process for deprotection of the aldehyde group carried by the carbon outside the ring at the β -position with respect to carbon⁶, such as, for example, the action of an acidic solution, preferably difluoroacetic acid dissolved in a mixture of solvents such as an acetonitrile/water (1:1 v/v) mixture at room temperature, to obtain a compound of formula (I/g), which is a special case of the compounds of formula (I):



in which the sign $\sim$, X, R_1 , R_4 , R_8 , R_9 and R_{10} have the same definition as in the formula (I), A in this case denoting an oxygen atom, which compound, since R_2 does not denote a hydrogen atom, may, during the process of synthesis, depending on the working conditions selected as well as on the meanings of the groups X and R_1 , have been partially hydrolyzed to

a demycarosylated derivative (I/g) for which $R_2 = H$, the two derivatives thereby obtained (that is to say, that for which $R_2 = H$ and that for which R_2 corresponds to a mycarosyl residue) then being readily separated by a conventional separation technique such as chromatography on a silica column,

which derivative of formula (I/g)

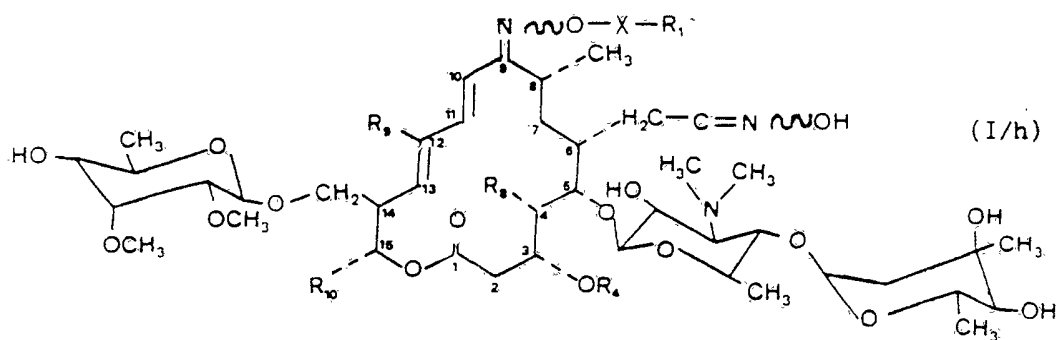
can, where appropriate, be treated in the presence of a base such as, for example, pyridine or triethylamine, or an alkali metal salt such as sodium acetate, potassium hydrogen carbonate or sodium hydrogen carbonate, sodium carbonate or potassium carbonate or calcium carbonate,

- either with a derivative of formula (VII):



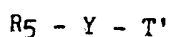
in which Y and R_5 have the same definition as in the formula (I), with the exception of the case in which $Y - R_5$ denotes a hydrogen atom, or with a strong acid salt of such a product, to obtain, after optional purification by chromatography on a silica column, a derivative of formula (I),

- or with a strong acid salt of hydroxylamine to obtain a product of formula (I/h)



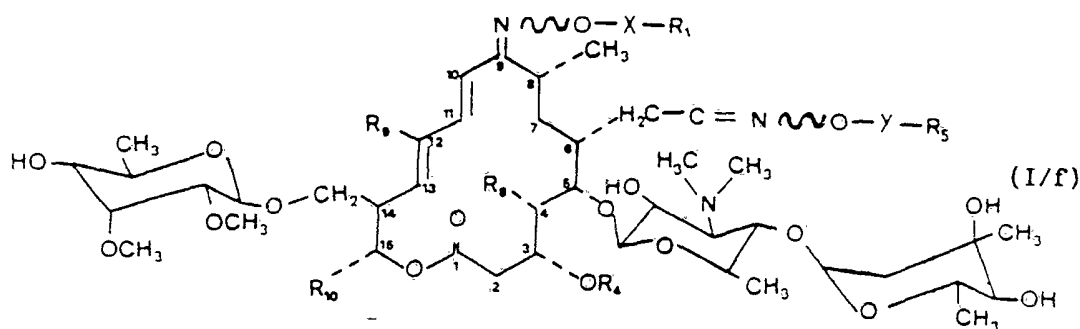
which is a special case of derivatives of formula (I) in which the sign $\sim$, X, R_1 , R_4 , R_8 , R_9 and R_{10} have the same meaning as in the formula (I), A in this case denoting a group $N \sim O - Y - R_5$ in which $Y - R_5$ denotes a hydrogen atom,

which is treated, where appropriate, in the presence of a base such as, for example, triethylamine or pyridine, or an alkali metal salt such as sodium carbonate or potassium carbonate or sodium hydrogen carbonate or potassium hydrogen carbonate, or alternatively an alkali metal hydride such as sodium hydride, in a solvent preferably chosen from acetone, dimethylformamide, dioxane, acetonitrile, tetrahydrofuran and diethyl or diisopropyl ether, with a product of formula (VIII):



(VIII)

in which T' denotes a halogen atom and R_5 and Y have the same meaning as in the formula (I), with the exception of the case in which $R_5 - Y$ together denote a hydrogen atom, to obtain a compound of formula (I/f)



which is a special case of derivatives of formula (I) in which the sign $\sim$, X , R_1 , R_4 , R_5 , R_8 , R_9 and R_{10} have the same meaning as in formula (I),

- which is optionally purified by chromatography on a silica column using a suitable solvent mixture such as, for example, a methylene chloride/methanol mixture, and which can, if so desired:

- either be salified with a pharmaceutically acceptable acid,

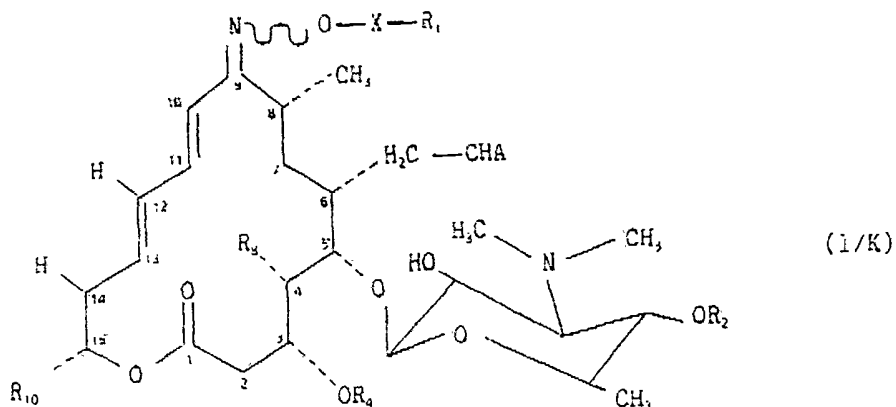
- or be separated into its isomers and then, if necessary, salified with a pharmaceutically acceptable acid.

28. The preparation process as claimed in claim 1, for compounds of formula (I) in which B and R_9 simultaneously denote a hydrogen atom, referred to as derivatives

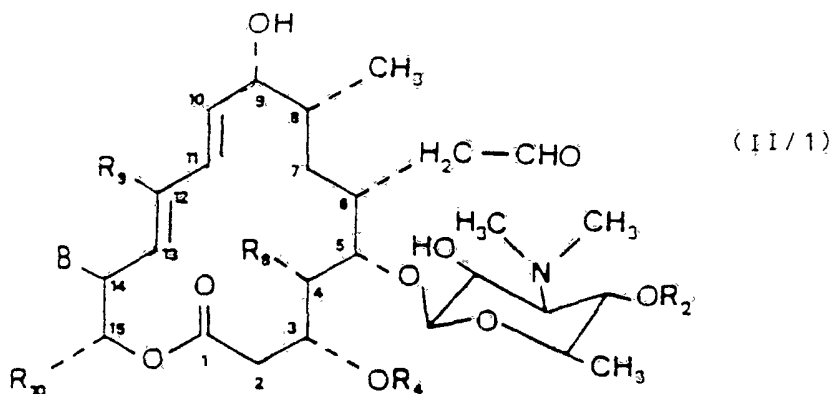
I hereby certify that the foregoing pages are a true and exact copy of pages 7, 51, 52, 62, 65 of the specification originally lodged.

J. A. Barner

(I/k),



which process employs as starting material a derivative of formula (II/1):

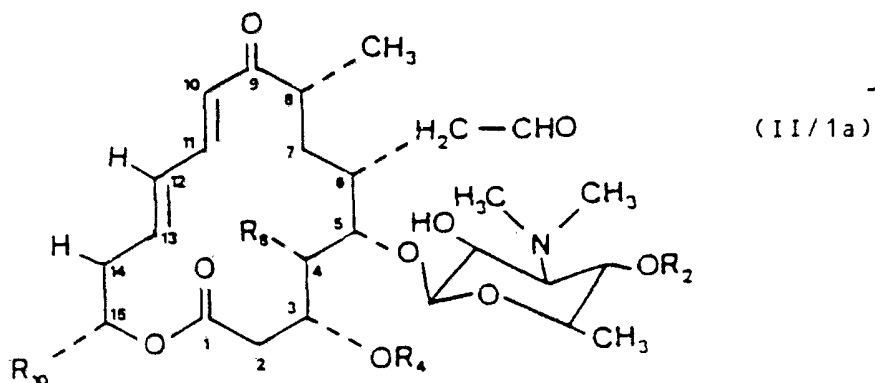


in which R₂, R₄, R₈ and R₁₀ have the same definition as in the formula (I), B and R₉ each simultaneously denoting a hydrogen atom,

which is subjected to an oxidizing agent such as, for example, the sulfur trioxide pyridine complex in the presence of a basic agent such as an organic amine, in an organic medium, preferably chosen from dimethyl sulfoxide, dimethylformamide and pyridine, to obtain a derivative of formula (II/1a):



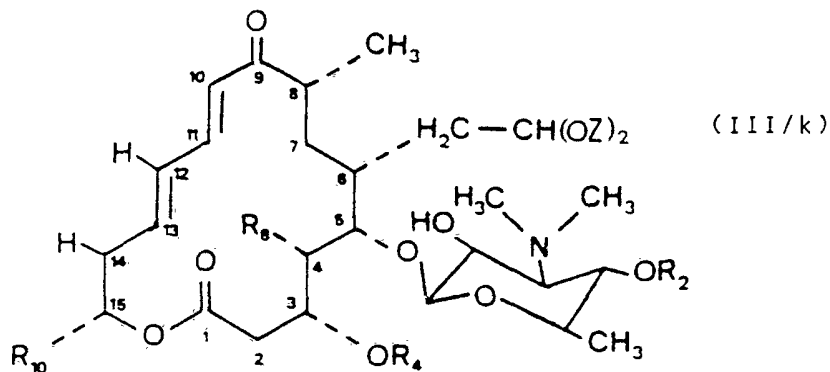
- 66a -



in which R₂, R₄ and R₁₀ have the same meaning as in the formula (II/1), which is then condensed with an alcohol of formula Z - OH, in which Z denotes a lower alkyl group, in the presence of an acid catalytic agent such as para-toluenesulfonic acid, or preferably difluoroacetic acid when the compound of formula (I/k) which it is desired to obtain has a radical R₂ other than H,



the reaction medium then being subjected to the action of a basic agent, especially an amine such as triethylamine, to obtain, after extraction with an organic solvent preferably chosen from diethyl ether, chloroform and methylene chloride, and purification by chromatography on a silica column, a derivative of formula (III/k):



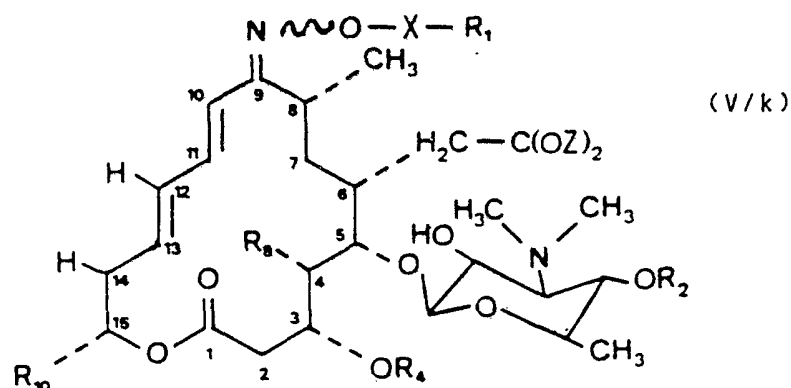
in which R₂, R₄, R₈ and R₁₀ have the same meaning as in the formula of the derivative (II/1a) and Z the same definition as above,

which is condensed in the presence of a base such as, for example, pyridine, triethylamine or an alkali metal salt such as sodium acetate or sodium hydrogen carbonate or potassium hydrogen carbonate or sodium carbonate or potassium carbonate or calcium carbonate,

- either with a derivative of formula (IV):

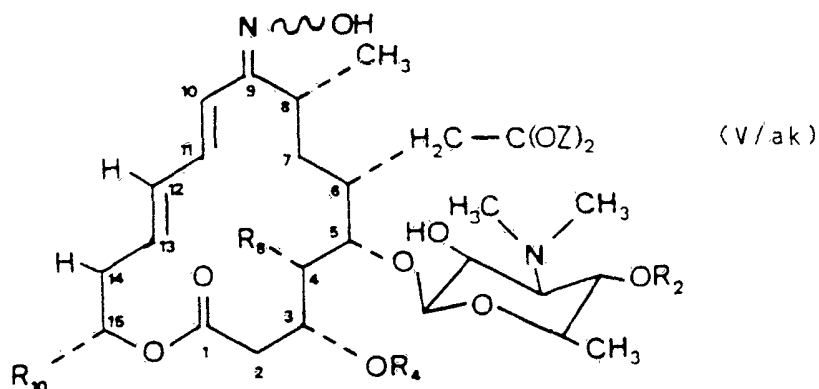


in which X and R₁ have the same meaning as in the formula (I) with the exception of the case in which X - R₁ denotes a hydrogen atom, or preferably with a strong acid salt (hydrochloride, hydrobromide, etc.) of such a product to obtain, after optional purification by chromatography on a silica column, a derivative of formula (V/k):



in which the sign $\sim$, X , R_1 , R_2 , R_4 , R_8 and R_{10} have the same meaning as in the formula (I) and Z the same definition as above,

- or with a strong acid salt of hydroxylamine to obtain a derivative of formula (V/ak):

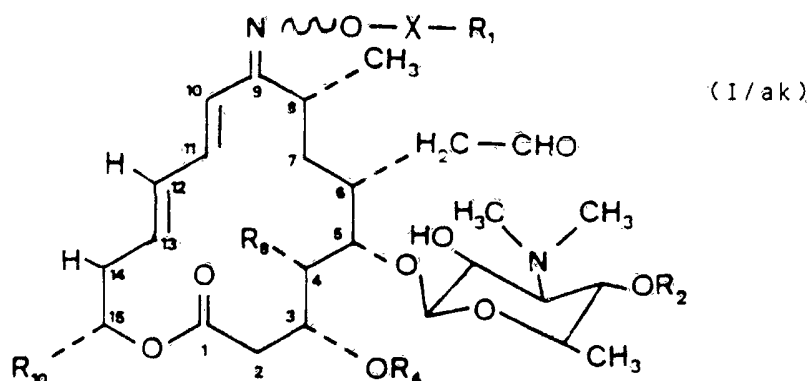


which is a special case of derivatives of formula (V/k), in which the sign $\sim$, R_2 , R_4 , R_8 , R_{10} and Z have the same meaning as in the formula (V/k), $X - R_1$ in this case denoting a hydrogen atom,

which is treated, where appropriate, in the presence of a base such as, for example, triethylamine or pyridine, or an alkali metal salt such as sodium carbonate or potassium carbonate or calcium carbonate or sodium hydrogen carbonate or potassium hydrogen carbonate, or an alkali metal hydride such as sodium hydride, in a solvent preferably chosen from pyridine, acetone, dimethylformamide, dioxane, acetonitrile, tetrahydrofuran and diethyl or diisopropyl ether, with a derivative of formula (VI):

which, irrespective of the process according to which it has been obtained, is subjected to a customary process for deprotection of the aldehyde group carried by the carbon outside the ring at the β -position with respect to carbon⁶, such as, for example, the action of an aqueous solution of hydrochloric acid of normality between ~~0.01 N~~ ^{0.05 N and 0.5 N} and 0.5 N, preferably between 0.02 N and 0.2 N, preferably between 0.05 N and 0.5 N, and preferably between ~~0.75 N and 0.25 N~~, and at room temperature, or preferably difluoroacetic acid dissolved in a mixture of solvents such as an acetonitrile/water (1:1, v/v) mixture when the compound of formula (I) which it is desired to obtain possesses a radical R₂ other than a hydrogen atom,

to obtain a compound of formula (I/ak):



which is a special case of the compounds of formula (I) in which the sign $\sim$, X, R₁, R₂, R₄, R₈ and R₁₀ have the same definition as in the formula (I), A in this case



denoting an oxygen atom,
 which compound, in the case where, in the starting material used, R_2 does not denote a hydrogen atom, may, during the process of synthesis, depending on the working conditions selected as well as the meanings of the groups X , R_1 , R_8 and R_{10} , have been partially hydrolyzed to a demycarosylated derivative (I/ak) for which $R_2 = H$, the two derivatives thereby obtained (that is to say, that for which $R_2 = H$ and that for which R_2 corresponds to a mycarosyl residue) then being readily separated by a conventional separation technique such as chromatography on a silica column,

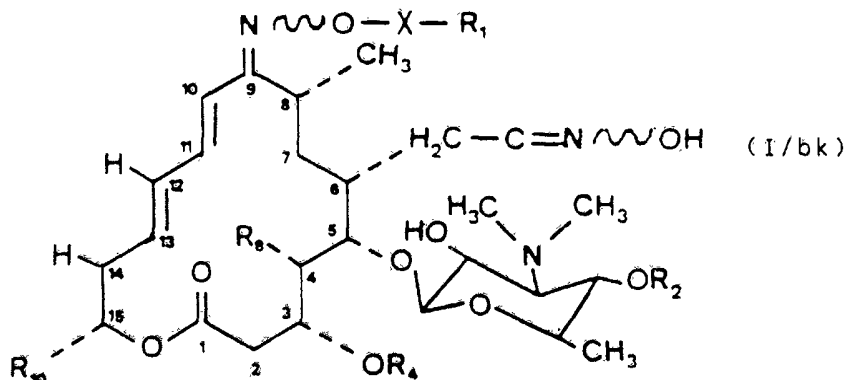
it then being possible for this derivative of formula (I/ak), where appropriate, to be treated in the presence of a base such as, for example, pyridine or triethylamine, or an alkali metal salt such as sodium acetate, potassium hydrogen carbonate or sodium hydrogen carbonate, sodium carbonate or potassium carbonate or calcium carbonate,

- either with a derivative of formula (VII):



in which Y and R_5 have the same definition as in the formula (I), with the exception of the case in which $Y - R_5$ denotes a hydrogen atom, or with a strong acid salt of such a product, to obtain, after optional purification by chromatography on a silica column, a derivative of formula (I/k),

- or with a strong acid salt of hydroxylamine to obtain a product of formula (I/bk)



which is a special case of derivatives of formula (I/k) in which the sign $\sim$, X, R₁, R₂, R₄, R₈ and R₁₀ have the same meaning as in the formula (I), A in this case denoting a group N $\sim$ O - Y - R₅ in which Y - R₅ denotes a hydrogen atom,

which is treated where appropriate, in the presence of a base such as, for example, triethylamine or pyridine, or an alkali metal salt such as sodium carbonate or potassium carbonate or sodium hydrogen carbonate or potassium hydrogen carbonate, or alternatively an alkali metal hydride such as sodium hydride, in a solvent preferably chosen from acetone, dimethylformamide, dioxane, acetonitrile, tetrahydrofuran and diethyl or diisopropyl ether, with a product of formula (VIII):



in which T' denotes a halogen atom and R₅ and Y have the same meaning as in the formula (I), with the exception of the case in which R₅ - Y together denote a hydrogen atom, to obtain a compound of the formula (I),

- which is optionally purified by chromatography on a silica column using a suitable solvent mixture such as, for example, a methylene chloride/methanol mixture, and which can, if so desired:

- either be salified with a pharmaceutically acceptable acid,

- or be separated into its isomers and then, if necessary, salified with a pharmaceutically acceptable acid.

29. The compounds of general structure (V) as claimed in claim 25, which are useful for the preparation of the compounds as claimed in claim 1.

30. A pharmaceutical composition containing, as active principle, at least one compound as claimed in one of claims 1 to 24, in combination with one or more pharmaceutically acceptable, nontoxic, inert vehicles or excipients.

31. The pharmaceutical composition as claimed in claim 30, containing at least one active principle as

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claimed in one of claims 1 to 24, which is usable in the treatment of diseases warranting a broad spectrum anti-biotic therapy.

DATED this 4th day of May 1988.

ADIR ET CIE

EDWD. WATERS & SONS
PATENT ATTORNEYS
50 QUEEN STREET
MELBOURNE. VIC. 3000.