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**Datasheet for the decision
of 11 October 2017**

Case Number: T 1150/15 - 3.3.01

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Publication Number: 1422218

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Title of invention:
ANTIVIRAL AGENT

Patent Proprietor:
SHIONOGI & CO., LTD.

Opponent:
Merck & Co., Inc.

Headword:
Integrase inhibitors/SHIONOGI

Relevant legal provisions:

EPC Art. 123(2)

Keyword:

Amendments - extension beyond the content of the application
as filed (yes)

Decisions cited:

G 0002/10, T 0615/95, T 0823/96, T 0068/99, T 1357/06,
T 0812/08, T 1420/11, T 1708/11, T 1853/13

Catchword:



Beschwerdekammern

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Case Number: T 1150/15 - 3.3.01

D E C I S I O N
of Technical Board of Appeal 3.3.01
of 11 October 2017

Appellant: Merck & Co., Inc.
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Decision under appeal: **Interlocutory decision of the Opposition
Division of the European Patent Office posted on
31 March 2015 concerning maintenance of the
European Patent No. 1422218 in amended form.**

Composition of the Board:

Chairman A. Lindner
Members: G. Seufert
L. Bühler

Summary of Facts and Submissions

- I. The opponent (appellant) lodged an appeal against the interlocutory decision of the opposition division on the amended form in which European patent No. 1 422 218 could be maintained.
- II. In the present decision the following documents are cited:
- (26) Declaration of Prof. N. Neamati, dated 14 August 2015, filed by the appellant with letter dated 17 August 2015
 - (27) CV of Prof. Neamati
 - (28) A. C. Cheng *et al.*, *Nature Biotechnology*, Vol. 25, No. 1, 2007, pages 71 to 75
 - (29) HIV-1 Integrase: Mechanism and Inhibitor Design, Ed. N. Neamati, first edition, 2011, John Wiley & Sons, Inc., Chapter 14, pages 197 to 229
 - (30) D. J. Hazuda *et al.*, *Science*, Vol. 287, 2000, pages 646 to 650
 - (31) HIV-1 Integrase: Mechanism and Inhibitor Design, Ed. N. Neamati, first edition, 2011, John Wiley & Sons, Inc., Chapter 19, pages 275 to 286
 - (51) to (60) Evidence from court proceedings in the Netherlands, The United Kingdom and Germany and judgments from the UK High Court and the Düsseldorf Regional Court filed by the appellant with letter dated 9 January 2017
 - (63a) to (63e) Overview of Experimental data filed by the appellant with letter dated 19 July 2017
 - (64a) to (64c) Overview of Experimental data filed by the appellant with letter dated 19 July 2017
 - (65) Decision of the Regional Court Düsseldorf, Civil Chamber 4c, (4c O 48/15) dated 14 March 2017,

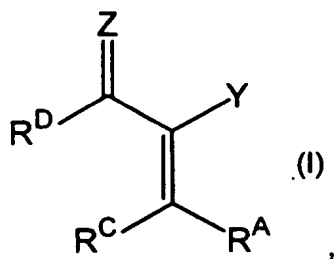
filed by the appellant with letter dated 19 July 2017

(66) Preliminary notice of trial decision of the Japanese Patent Office dated 3 February 2017

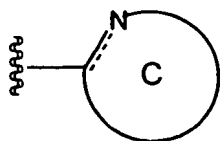
- III. Notice of opposition was filed by the appellant, requesting revocation of the patent in suit in its entirety on the grounds of lack of novelty, lack of inventive step, insufficiency of disclosure and added subject-matter (Article 100(a), (b) and (c) EPC).
- IV. The decision under appeal is based on a set of claims filed during oral proceedings before the opposition division. It was considered to comply with the requirements of the EPC. With regard to the objection of added subject-matter, the opposition division considered that formula (I) according to claim 1 was the result of amendments directed to generally preferred embodiments. The definition of R^B equal to amino was the result of an allowable selection from a single list.
- V. In the statement of grounds of appeal, the appellant maintained its objections of added subject-matter, insufficiency of disclosure, lack of novelty and lack of inventive step. It also filed documents (26) to (31).
- VI. In the reply to the statement of grounds of appeal, the patent proprietor (respondent) defended the patent in suit on the basis of the set of claims underlying the decision under appeal, except for the correction of a clerical error in claim 8, as its main request and filed sets of claims as auxiliary requests I to IX.

Claim 1 of the main request reads as follows:

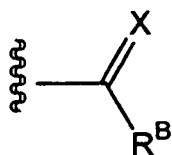
1. Use of a compound of formula (I):



wherein, R^C and R^D taken together with the neighboring carbon atoms form a 5- to 6-membered ring which may contain (a) heteroatom(s) of N and/or O and may be condensed with a benzene ring, Y is hydroxy; Z is O; R^A is a group shown by



(wherein, C ring is a 5- to 6-membered N-containing aromatic heterocycle which may contain 1 to 4 of O, S and/or N atom(s), wherein at least one atom neighboring to the atom at the bonding-position is non-substituted N atom; the broken line shows the presence or absence of a bond), or by



(wherein, X is O; R^B is amino);

at least one of the ring formed by R^C and R^D , C ring and R^B is substituted with a group of $-Z^1-Z^2-Z^3-R^1$, wherein Z^1 and Z^3 are each independently a bond,

alkylene or alkenylene; Z^2 is a bond, alkylene, alkenylene, $-\text{CH}(\text{OH})-$, $-\text{S}-$, $-\text{SO}-$, $-\text{SO}_2-$, $-\text{SO}_2\text{NR}^2-$, $-\text{NR}^2\text{SO}_2-$, $-\text{O}-$, $-\text{NR}^2-$, $-\text{NR}^2\text{CO}-$, $-\text{CONR}^2-$, $-\text{C}(=\text{O})-\text{O}-$, $-\text{O}-\text{C}(=\text{O})$ or $-\text{CO}-$; R^2 is hydrogen, alkyl, alkenyl, aryl or heteroaryl; R^1 is cycloalkyl, aryl, or heteroaryl, with R^1 being optionally substituted by one or two substituents selected from C1-C6 alkyl, C1-C6 haloalkyl, halogen or C1-C6 alkoxy; the ring formed by R^C and R^D is optionally substituted with a non-interfering substituent selected from hydrogen, halogen, C1-C6 alkyl, C3-C6 cycloalkyl, phenyl or naphthyl, C1-C6 alkoxy, C1-C6 alkoxy(C1-C6)alkyl, amino, C1-C6 hydroxyalkyl, C2-C8 alkenyl, or hydroxyl, and the C ring or R^B is optionally substituted with a non-interfering substituent selected from hydrogen, C1-C6 alkyl, amino, halogen and hydroxyl, at any position other than that where the group of $-\text{Z}^1-\text{Z}^2-\text{Z}^3-\text{R}^1$ (wherein, Z^1 , Z^2 , Z^3 and R^1 are the same as defined above) locates; or a pharmaceutically acceptable salt or solvate thereof, for the preparation of a pharmaceutical composition for use as an integrase inhibitor for preventing or treating a viral disease.

Independent claim 8 is directed to a compound of formula (I) defined as in claim 1 or a pharmaceutically acceptable salt or solvate thereof for use as an integrase inhibitor for preventing or treating a viral disease.

Auxiliary request I differs from the main request in the addition of a proviso to claims 1 and 8 that excludes the compounds "N-(4-fluorobenzyl)-5-hydroxy-1-methyl-2-(4-methylphenyl)-6-oxo-1,6-dihydropyrimidine-4-carboxamide" and "N-(4-fluorobenzyl)-5-

hydroxy-1-methyl-6-oxo-1,6-dihydropyrimidine-4-carboxamide".

Auxiliary request II differs from auxiliary request I in that a further compound, that is "2-cyclohexyl-4-hydroxy-1-(4-methylphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxamide", is excluded.

Auxiliary request III differs from the main request in the deletion of the group "C1-C6 alkoxy" from the list of substituents that can be present on R¹.

Auxiliary requests IV and V differ from auxiliary request III in that the provisos of auxiliary requests I and II have been added to claims 1 and 8.

Auxiliary request VI differs from the main request in that ring C in claims 1 and 8 is limited to specific heterocycles.

Auxiliary request VII differs from auxiliary request VI in that the group "C1-C6 alkoxy" has been deleted from the list of substituents that can be present on R¹.

Auxiliary request VIII and IX differ from the main request in that claims 1 and 8 have been limited to the prevention and treatment of a retroviral disease and the treatment of AIDS, respectively.

- VII. With letters of 23 May 2016, 9 January 2017 and 19 July 2017, the appellant provided further arguments to its previously raised objections. It also filed documents (51) to (60) and (63) to (66).

VIII. With letters of 7 November 2016, 16 February 2017 and 11 August 2017, the respondent made further submissions and filed additional evidence in its defence.

IX. The arguments of the appellant, as far as they concern the decisive issues of the present decision, can be summarised as follows:

Amendments (Article 123(2) EPC)

Formula (I) as defined in claims 1 and 8 of the main request was not directly and unambiguously derivable from the application as originally filed.

The opposition division erred in concluding that Article 123(2) EPC was complied with, merely because the amendments for the substituents R^C , R^D , X, Y, Z, R^A , R^B and R^1 and the substituents on R^1 , the ring formed by R^C/R^D , C and R^B were based on generally preferred embodiments. The mere preference of certain embodiments was not sufficient. Rather, it was necessary to provide a basis for the specific combination of the substituent groups presently claimed.

The opposition division was also incorrect in its finding that it was common practice to allow a selection from one list in combinations with preferred embodiments. Moreover, claim 1 of the main request was not a mere selection from one list in combination with preferred embodiments, but an unacceptable singling out of a particular subset of compounds.

Singling out mainly arose because of the selection of R^B , X, Y and Z, each of which had been narrowed down to a single option. There was no basis for the combination

of X and Z being oxygen, Y being hydroxy and R^B being (substituted) amino. The latter was singled out at random from the original list of over fifty options. It was also mentioned in shorter lists, but it did not form part of the preferred list on page 75, lines 15 to 16 or the most preferred list on page 77, lines 10 to 12. It was also not mentioned in the specific groups of compounds (see formulae III and VII to XIII) disclosed on page 77, lines 13 to 29.

There was also no basis for the presently claimed compounds in the claims as originally filed. Claim 38 as originally filed disclosed specific groups of compounds where X and Z were oxygen and Y was hydroxy. However, in none of these groups R^B was an amino group. There were also a number of other claims (see for example claims 42 or 49) directed to compounds with X and Z equal to oxygen and Y equal to hydroxy. None of them included the definition of R^B being equal to an amino group.

In addition, further selections from different parts of the specification were made with respect to the definition of the ring formed by the substituents R^C/R^D and the substituent R¹.

The combined amendments created new technical information, especially with regard to R^B being amino. Even if the specific values for X, Y and Z were an allowable selection, there was at least one further selection required, for which there was no basis in the application as originally filed. Moreover, the selection of R^B equal to amino excluded all examples of the patent in suit, except one, in which R^A was C(=X)R^B. This clearly indicated a change in the technical information.

Compared to the application as originally filed, X, Y and Z were singled out and all other substituents were changed. This resulted in a claim that would be considered novel, if the application as originally filed was prior art.

The same arguments applied to auxiliary requests I to IX.

- X. The arguments of the respondent, as far as they concern the decisive issues of the present decision, can be summarised as follows:

Amendments (Article 123(2) EPC)

Claim 1 of the main request had its basis in claim 1 of the application as originally filed and further incorporated the definition of the ring formed by R^C/R^D provided in claim 3 as originally filed. The limitation of X, Y and Z was supported by the disclosure on page 75, lines 7 to 9. The definition of ring C found a clear basis on page 57, line 25 to page 58, line 11. The definition R^B equal to amino was supported on page 76, lines 5, 8 to 9 and page 77, lines 7 to 8. From the definition of $Z^1/Z^2/Z^3$ the optional substituents had been deleted. Basis for the definition R^1 was provided by claim 1 as originally filed or page 55, line 30 to page 56, line 1. The definition of the optional substituents on R^1 , ring C, the ring formed by R^C/R^D , or R^B were disclosed on page 87, lines 9 to 10, page 79, lines 26 to 30, page 81, lines 3 to 6, page 80, lines 4 to 13, page 80, lines 28/29, page 82, lines 15 to 16, page 80, line 30, page 80, lines 15 to 16.

Except for the limitation of R^B, the amended definitions of the substituents in Formula (I) were either retained as generic definitions and/or narrowed down to preferred meanings identified as such in the application as originally filed. The amendments that had been carried out compared to the claims as originally filed merely led to a limitation of the scope and did not change the technical teaching. It was established jurisprudence of the boards of appeal that the shrinking of a generic group of compounds was not objectionable under Article 123(2) EPC, provided that it did not generate a new invention (see T 615/95). The claimed compounds were still generic, but narrower in size.

The presently claimed definitions of substituents X, Y and Z were disclosed on page 75 as the only preferred option for each of these substituents. The combination of X and Z equal to oxygen and Y equal to hydroxy was therefore clearly and unambiguously derivable. No singling out had occurred in this respect, in particular as the combination of preferred features was obviously the best way to achieve the invention (see T 68/99 and T 1420/11). On the same page 75, the substituent R^B was defined as substituent selected from substitution group A. The definition of A was disclosed on page 76, lines and included amino. Only one selection from one list was made, which according to established jurisprudence did not contravene Article 123(2) EPC. The definition for ring C was disclosed on page 58, lines 10 to 11.

The factual situation in the decision cited by the appellant (see T 1708/11, T 812/08 or T 1853/13) was significantly different from the present case. In the present case, there was no doubt for the person skilled

in the art that all of the amended features were linked via formula (I) and were related to each other to define a single invention. The disclosure of definitions of substituents in a Markush formula as being preferred was to be taken into account when assessing the technical teaching which the skilled person could directly and unambiguously derive from the application as originally filed. For the skilled reader, it was clear that the choice of preferred options for two or more of the substituents led in turn to a preferred combination of substituents.

There was no unallowable singling out. The option of X and Z being equal to oxygen and Y being equal to hydroxy was disclosed on page 75 and in all examples. Compounds wherein R^B was amino were specifically mentioned in the application as originally filed (see page 77, lines 7 to 10). Even if it was not further highlighted within the respective list, the skilled person was aware of its existence and its selection could not come as a surprise to the skilled reader. In line with the "list-theory" established in the case law of the boards of appeal, the limitation of substituent R^B to one meaning from one list of options complied with Article 123(2) EPC.

Compounds with an amide group were disclosed, because the definition X equal to oxygen was already singled out. The skilled person knew that this value was disclosed for each and every R^B group including amino. There was no new technical information. There was no selection from multiple lists. In support T 1357/06 was cited.

Moreover, the admissibility of an amendment had to be assessed in the light of the skilled person's

understanding of the technical teaching made available by the application. No literal disclosure of the precise combination of features was required. The application as originally filed disclosed compounds with the basic skeleton represented by formula (I). The tridentate ligand structure composed of Z, Y, the nitrogen atom of ring C and the C=X group of C(=X)-R^B and the ring formed by R^C/R^D provided the 2-metal chelator motive placed in a plane, which was required in order to provide the desired activity (see pages 50/51, items 4 and 10). This core structure was not changed by the amendments. It would be apparent to the skilled reader that the other parts of the compound such as ring C or the substituent R^B could be freely chosen. The selection of R^B equal to amino was an option that was easily available (see example C-71) and did not affect the pharmaceutical activity. This selection did therefore not create another invention as alleged by the appellant.

The arguments were the same for auxiliary requests I to IX.

XI. The appellant requested that the decision under appeal be set aside and that the European patent No. 1422218 be revoked. The appellant also requested that Prof. Y. S. Tsantrizos and Prof. S. Cohen not be allowed to make oral submissions. It further requested that Prof. Z. Debyser be allowed to make oral submissions.

XII. The respondent requested that the decision under appeal be set aside and that the patent be maintained according to the main request or, alternatively, according to one of auxiliary request I to IX, all filed with the reply to the statement of grounds of appeal. The respondent also requested that

documents (26) to (31), documents (51) to (60) including their annexes, and documents (63) to (66) not be admitted into the appeal proceedings. In addition, it requested that Prof. Z. Debyser not be allowed to make oral submissions. The respondent further requested that Prof. Y. S. Tsantrizos and Prof. S. Cohen be allowed to make oral submissions.

XIII. At the end of the oral proceedings, the decision of the board was announced.

Reasons for the Decision

1. The appeal is admissible.

Main request

2. Amendments (Article 123(2) EPC)

2.1 Claim 1 of the main request is directed to the use of compounds, which are defined by means of a Markush formula, for the preparation of a pharmaceutical composition for use as an integrase inhibitor for preventing or treating a viral disease. The Markush formula defines groups of compounds with R^A being either a ring C or a group $C(=X)R^B$. The ring C is a 5- to 6-membered N-containing aromatic heterocycle, which may contain 1 to 4 oxygen, sulfur and/or nitrogen atoms. The group $C(=X)R^B$ is an amide group with X equal to oxygen and R^B equal to amino. The substituent Z is oxygen, Y is hydroxy and R^C and R^D taken together with the neighbouring carbon atoms form a 5- to 6-membered ring which may contain one or more nitrogen and/or oxygen atoms and may be condensed with a benzene ring.

2.2 It was not disputed that the definition of each of the individual substituents X, Y, Z, ring C, R^B and R^C/R^D in claim 1 of the main request has a basis in the application as originally filed (see point IX above). What has to be examined in assessing whether or not the subject-matter of claim 1 extends beyond the content of the application as originally filed, is whether their combination presents the skilled person with technical information which is not directly and unambiguously derivable from the application as originally filed.

2.3 The application as originally filed relates to a pharmaceutical composition containing as active ingredient a compound of general formula (I), in which the substituents R^C and R^D taken together with the neighbouring carbon atoms form a ring, Y is equal to hydroxy, mercapto and amino and Z is equal to O, S, NH. With R^A being either ring C or -C(=X)-R^B two groups of compounds are defined. Ring C is an N-containing aromatic heterocycle, X is equal to O, S or NH and R^B is equal to a substituent A which is selected from a list of more than fifty moieties, including optionally substituted amino (see claim 1). The compounds are integrase inhibitors and useful in the treatment of viral diseases, in particular in the treatment of retroviral disease such as AIDS (see claims 36 and 37 and page 2, lines 15 to page 4, lines 23).

The presently claimed compounds groups differ from those of claim 1 as originally filed in that the substituents X, Y, Z and R^B have been limited to a single value and ring C and the ring formed by R^C/R^D have been limited to a 5- to 6-membered (monocyclic) ring moiety (ring C) or a 5- to 6-membered ring moiety, which may be condensed with a benzene ring (ring formed by R^C/R^D).

The application as originally filed also discloses pharmaceutical compositions with particular sub-groups of compounds, for example compounds in which the ring formed by R^C and R^D is a 5- to 6-membered ring, optionally containing an oxygen and/or a nitrogen atom and optionally condensed with a benzene ring (see claim 3). In this group and other sub-groups (see claims 4 to 34) the substituents X, Y, Z, the ring C and R^B are not further defined; reference is made to the definition according to claim 1.

The application as originally filed further relates to particular sub-groups of compounds in which Z and X are oxygen and Y is hydroxy. In these sub-groups R^B is not amino, but (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl or a heterocycle. The ring formed by R^C and R^D is a specific 5- to 6-membered ring or a specific 6-membered ring which is condensed with a benzene ring (claims 38 to 95) or a 5- or 6-membered heterocycle (claim 98), the ring C is defined as in claim 1 or is a specific 5- or 6-membered ring.

- 2.4 As is apparent from the above, the groups of compounds according to claim 1 of the main request with their combined definition of substituents X, Y, Z, ring C, R^B and R^C/R^D are not disclosed in any of the claims as originally filed.
- 2.5 The subject-matter of the claims as originally filed can also be found in the description as originally filed (see pages 4 to 50). This disclosure is followed by detailed explanations of the invention, including numerous definitions with different levels of preference for each of the substituents present in formula (I).

2.6 Page 75 of the description as originally filed discloses for each substituent X, Y, Z, C, R^B individually a list of definitions identical to those present in claim 1 and certain preferred definitions:

"X is O, S, NH and preferred is O,
Y is hydroxy, mercapto or amino and preferred is hydroxy,
Z is O, S or NH and preferred is O,
C ring is N-containing aromatic heterocycle ... and preferred is optionally substituted pyridine-2-yl, optionally substituted pyrimidine-4-yl or optionally substituted 1,3,4-oxadiazole-2-yl
R^B is a substituent selected from substitution group A and preferred is optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl and optionally substituted heterocycle,"

2.7 This passage does not clearly and unambiguously disclose the combination of X and Z equal to oxygen, Y equal to hydroxy and R^B equal to amino, irrespective of the reference to substitution group A, which includes this option as one of more than fifty other options (see page 76, lines 7 to 23) for R^B. Indeed, in the board's view, page 75 does not even disclose the combination of the preferred definitions for X, Y and Z. If, as argued by the respondent, the skilled person would consider combining preferred definitions as the best way to achieve the invention, the disclosure on page 75 would clearly and unambiguously lead him to a group of compounds with R^A being C(=O)R^B in which R^B is a ring moiety, for which he would also find ample support in the explicitly defined sub-groups of the application as originally filed (see point 2.3 above,

third paragraph). The respondent's approach to combine the preferred options for each of the substituents Y, X and Z disclosed on page 75, to disregard the preferred option for R^B disclosed in the same context and to combine it with a definition which has been singled out of the most general list of options for substituent A is, in the board's view, arbitrary and does not emerge clearly and unambiguously from the disclosure on page 75.

- 2.8 Furthermore, the board notes that the definition of ring C on page 75 is different from the definition in claim 1 of the main request. It is either much broader (i.e. identical to the definition in claim 1) or, in its preferred version, much narrower (i.e. limited to three specific rings) than presently claimed. Moreover, page 75 is silent as regards the definition of the ring formed by R^C and R^D.

The definition of the latter has a basis in claim 3 of the application as originally filed. The same disclosure can be found in the description as originally filed (see page 6, lines 22 to 24). However, in this context, neither X, Y, Z, ring C or R^B is further defined.

Similarly, the presently claimed definition of ring C can be found on page 58, lines 10 to 11, where it is mentioned as a preferred option together with a number of other preferred options (see page 57, line 25 to page 59, line 6). In this context, no reference is made to the definition of the ring formed by R^C and R^D or the definition of the substituents X or Y.

- 2.9 It follows from the above considerations that neither the claims nor the description as originally filed

provides a clear and unambiguous basis for the groups of compounds as claimed in claim 1 of the main request.

- 2.10 Contrary to the respondent's view, the amendments made are not merely the result of a selection from a single list and the shrinking of lists of definitions for the other substituents. Rather, they are the result of a combination of definitions for the substituents X, Y, Z, ring C, R^B and R^C/R^D, which have been arbitrarily selected from the options disclosed in different parts of the application as originally filed for each individual substituent. In the board's view, the fact that some definitions are identified as preferred options for individual substituents, does not mean that their combination is, by definition, also clearly and unambiguously disclosed, unless the application provides a basis therefor. For the reasons given above (see points 2.3. to 2.8), this is not the case here.

The present situation is further aggravated by the fact that the application as originally filed contains numerous options with different levels of preference for each substituent. For example, for the substitution group A, pages 76 to 77 of the application as originally filed discloses four lists of definitions with different levels of preference (none, preferred, more preferred and most preferred). The most preferred list does not include "amino" (see page 77, lines 10 to 13). A similar situation exists for ring C, for which lists of options of preferred definitions are disclosed on pages 58 to 59 of the application as originally filed, the more preferred being different from the presently claimed definition and different from the options disclosed on page 75. In these circumstances, in the absence of any pointer to the presently claimed particular combination of substituent definitions, the

sub-groups according to claim 1 do not, for the skilled person, emerge clearly and unambiguously from the application as originally filed.

This finding is not modified by taking example C-71 into account, as the skilled person derives from this example nothing more than the bare disclosure of the structural elements in their particular combination.

- 2.11 Decision T 615/95 relied on by the respondent, does not support its case as the facts underlying said decision differ considerably from the present case. In T 615/95, the invention related to a Markush formula where three independent lists of some length specifying distinct meanings for three substituents were originally disclosed and wherein one of the originally disclosed meanings was deleted from each of these lists. It was concluded that such deletions did not result in singling out a particular combination of specific meanings, i.e. any hitherto not specifically mentioned individual compound or group of compounds, but maintained the remaining subject-matter as a generic group of compounds, which differs from the original group only by its smaller size (see point 6. of the Reasons).

In contrast, in the present case the defined groups of compounds are the result of a combination of definitions for the substituents X, Y, Z, ring C, R^B and R^C/R^D, which have been selected from options disclosed in different parts of the application as originally filed for each individual substituent. This amounts to an inadmissible singling out of sub-groups which are encompassed, but not disclosed as such in the application as originally filed.

2.12 The board also does not accept the respondent's argument that a group of compounds with R^A being an amide group was clearly and unambiguously derivable, because the skilled person would be aware of the existence of such an option and would recognise from the application as originally filed that the substituents R^B and ring C could be freely chosen within the options provided by the application as originally filed (see pages 50 and 51, items 4 and 10), while leaving untouched the core structure (i.e. 2-metal chelator motif placed in a ring structure formed by R^C/R^D and the presence of at least one substituent - $Z^1-Z^2-Z^3-R^1$) which was essential for the desired activity.

For compliance with Article 123(2) EPC, the decisive criterion is not what the person skilled in the art may be "aware of" or what may be "obvious" (recognisable) to him in view of the application's disclosure, but what is clearly and unambiguously derivable for the skilled person, either explicitly or implicitly. In this context, the term "implicit" means the clear and unambiguous consequence of what is explicitly disclosed.

In the present case, the question therefore is not whether R^B (or ring C for that matter) could be freely chosen, but whether the groups of compounds according to claim 1 of the main request with their specific combination of substituent definitions were clearly and unambiguously derivable from the application as originally filed. For the reasons set out above, this question is answered in the negative.

For the sake of completeness the board notes that the formula on pages 50 to 51, to which the respondent

referred in this context, essentially corresponds to the formula of claim 1 as originally filed. It does not constitute a more direct or less ambiguous disclosure of the subject-matter of claim 1 of the main request than claim 1 as originally filed.

- 2.13 The respondent also relied on decision T 1357/06 in support of its argument that the group of compounds with R^A equal to $C(=O)N$ had a basis in the application as originally filed. In said decision it was decided that a pharmaceutical composition comprising the compound pioglitazone and a second anti-diabetic compound was supported by claims 1, 2 and 10 as originally filed. Starting from this disclosure, a single selection had been made to arrive at the subject-matter of the amended claim.

In the present case, such a situation does not exist. Firstly, a group of compounds from which only one selection is needed to arrive at compounds with an amide group is not present in the claims as originally filed. Neither is such a group present in the description of the application as originally filed. To arrive at compounds with an amide group a twofold selection is required, that is X is selected from the list O, S and NH and R^B from the list of substitution group A. Moreover, further selections have to be made for Y, Z, R^C/R^D .

- 2.14 In view of the above consideration, the board concludes that the groups of compounds according to claim 1 of the main request are the result of an undisclosed combination of definitions for the substituents X, Y, Z, R^B , ring C and the ring formed by R^C/R^D , which provides the skilled person with technical information which is not directly and unambiguously derivable from

the application as originally filed. Consequently, the subject-matter of claim 1 of the main request, and for the same token claim 8, extends beyond the application as originally filed, contrary to Article 123(2) EPC.

Auxiliary requests I to IX

3. Amendments (Article 123(2) EPC)

3.1 Claim 1 of auxiliary requests I and II differ from the main request in the addition of "provisos" excluding specific individual compounds. Claim 1 of auxiliary request III differs from the main request in that a specific definition for the substituent on R¹ has been deleted. Auxiliary requests IV and V combine the amendment of auxiliary request III with the provisos from auxiliary requests I and II, respectively. None of these amendments affects any part of the reasoning provided in point 2 above, with the consequence that each of these requests must also be refused for non-compliance with Article 123(2) EPC.

The same applies to auxiliary requests VIII and IX, which differ from the main request in that in claims 1 and 8 the disease to be prevented or treated has been further specified.

3.2 In claim 1 of auxiliary requests VI ring C is further limited to specific 5- to 6-membered rings. The amendment as such has a basis in the application as originally filed (see page 58, lines 11 to 15). However, with regard to the issue whether its combination with the other substituent definitions is directly and unambiguously derivable, the same considerations and conclusion as presented in point 2

apply. Consequently, this request also contravenes Article 123(2) EPC.

The same applies to auxiliary request VII, which differs from auxiliary request VI in that the same specific definition for the substituent on R¹ as in claims 1 and 8 of auxiliary request III has been deleted.

4. Procedural matters

4.1 The assessment of compliance with Article 123(2) EPC did not require the hearing of the technical experts. Moreover, none of the parties requested permission for their experts to speak in this context. It was therefore not necessary to decide on the requests to allow them to make oral submissions.

4.2 Having decided that the main request and auxiliary request I to IX do not comply with Article 123(2) EPC, it was not necessary to decide on the admission of documents (26) to (31), (51) to (60), (63a) to (63e), (64a) to (64c), (65) and (66), which were not relevant in this context.

Order

For these reasons it is decided that:

1. The decision is set aside.
2. The patent is revoked.

The Registrar:

The Chairman:



M. Schalow

A. Lindner

Decision electronically authenticated