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# Datasheet for the decision of 1 April 2014

Case Number: T 2525/11 - 3.3.01

00921600.3 Application Number:

Publication Number: 1180107

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Language of the proceedings: EN

# Title of invention:

NOVEL RUTHENIUM METAL ALKYLIDENE COMPLEXES COORDINATED WITH TRIAZOLYLIDENE LIGANDS THAT EXHIBIT HIGH OLEFIN METATHESIS ACTIVITY

# Patent Proprietor:

CALIFORNIA INSTITUTE OF TECHNOLOGY

#### Opponent:

Evonik Degussa GmbH

#### Headword:

Ruthenium metal alkylidene complexes/EVONIK

# Relevant legal provisions:

EPC Art. 56, 83, 84, 88(3) RPBA Art. 13

#### Keyword:

# Decisions cited:

T 0249/88, T 1053/93, T 0200/05, T 0416/87

# Catchword:



# Beschwerdekammern **Boards of Appeal** Chambres de recours

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Case Number: T 2525/11 - 3.3.01

DECISION of Technical Board of Appeal 3.3.01 of 1 April 2014

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Godemeyer Blum Lenze - werkpatent

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Interlocutory decision of the Opposition Decision under appeal:

> Division of the European Patent Office posted on 8 November 2011 concerning maintenance of the European Patent No. 1180107 in amended form.

#### Composition of the Board:

(Opponent)

Chairman: A. Lindner G. Seufert Members:

L. Bühler

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# Summary of Facts and Submissions

- The opponent (appellant 1) and the patent proprietor (appellant 2) lodged an appeal against the interlocutory decision of the opposition division on the amended form in which the European patent No. 1 180 107 could be maintained.
- II. The present decision refers to the following documents
  - (1) WO 99/51344
  - (2) WO 00/15339
  - (3) J. Huang *et al.*, J. Am. Chem. Soc., vol. 121, 1999, pages 2674 to 2678
  - (4) M. Scholl et al., Tetrahedron Letters, vol. 40, 1999, pages 2247 to 2250
  - (6) D. Enders, K. Breuer, J. prakt. Chem., vol. 339, 1997, pages 397 to 399
  - (8) W. A. Herrmann, C. Köcher, Angew. Chem. Int. Ed. Engl., vol. 36, 1997, 2162 to 2187
  - "Neue Wege zu N-heterocyclischen Carbenen und deren Metallkomplexen", Thesis of C. P. Köcher, Technische Universität Munich 1998, pages 22, 23, 98-101, 150-153
  - (14) WO 99/60004
  - (15) T. Weskamp *et al.*, J. Organomet. Chem., vol. 582, 1999, pages 362 to 365
  - (16) "Neue Katalysatoren für die Olefin-Metathese, Thesis of W. C. Schattenmann, Technische Universität Munich 1998, pages 157 to 161
  - (17) "Comparative Examples RCM and ROMP of Ru-complexes", pages 1 to 4, submitted by appellant 1 with the statement of grounds of appeal
  - (18) "Evaluation of Comparative Examples of RCM and ROMP of Ru-Complexes by Opponent", 4 pages,

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submitted as Annex B by appellant 2 with letter of 6 August 2012.

- III. Notice of opposition was filed by appellant 1 requesting revocation of the patent in suit in its entirety on the grounds of lack of novelty and inventive step and added subject-matter (Article 100(a) and (c) EPC).
- IV. The decision of the opposition division was based on the main request filed with letter of 21 July 2011 and the auxiliary request filed during the oral proceedings before the division.

The opposition division held that the subject-matter of claim 1 of the main request directed to ruthenium complexes was not entitled to its priority. It was found to be novel, but not inventive in view of document (1). The subject-matter of claim 1 of the auxiliary request directed to a particular method for the preparation of ruthenium complexes, which was also found not to be entitled to the priority, was considered to be inventive over the combination of documents (1) and (6). Claims 13 to 16 of the auxiliary request directed to a single ruthenium complex and its use were found to be entitled to the priority and inventive over the combination of documents (3) and (6).

Independent claims 1, 13 and 14 of the auxiliary request considered to meet the requirements of the EPC read as follows:

"1. Method for synthesizing a compound of the Formula

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comprising:

contacting a compound of formula

with a compound of the formula

$$X$$
 $Ru$ 
 $R$ 

wherein:

M is Ruthenium;

 ${\tt X}$  and  ${\tt X}^1$  are either the same or different and are any anionic ligand;

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R and R<sup>1</sup> are either the same or different and are each independently selected from hydrogen or a substituent selected from the group consisting of  $C_1$ - $C_{20}$  alkyl,  $C_2$ - $C_{20}$  alkenyl,  $C_2$ - $C_{20}$  alkynyl, aryl,  $C_1$ - $C_{20}$  carboxylate,  $C_1$ - $C_{20}$  alkoxy,  $C_2$ - $C_{20}$  alkenyloxy,  $C_2$ - $C_{20}$  alkynyloxy, aryloxy,  $C_2$ - $C_{20}$  alkoxycarbonyl,  $C_1$ - $C_{20}$  alkylthio,  $C_1$ - $C_{20}$  alkylsulfonyl and  $C_1$ - $C_{20}$  alkylsulfinyl, wherein each of the substituents is substituted or unsubstituted;

L and  $L^1$  are either the same or difference and are any neutral electron donor;

 $R^6$  and  $R^7$  are each independently hydrogen or a moiety selected from the group consisting of  $C_1$ - $C_{20}$  alkyl,  $C_2$ - $C_{20}$  alkenyl,  $C_2$ - $C_{20}$  alkynyl, aryl,  $C_1$ - $C_{20}$  carboxylate,  $C_1$ - $C_{20}$  alkoxy,  $C_2$ - $C_{20}$  alkynyloxy, aryloxy,  $C_2$ - $C_{20}$  alkoxycarbonyl,  $C_1$ - $C_{20}$  alkylsulfonyl and  $C_1$ - $C_{20}$  alkylsulfinyl, wherein  $R^6$  and  $R^7$  are each independently substituted or unsubstituted; and

W is selected from the group consisting of  $C_1-C_3$  primary alkyl oxides and wherein W is substituted or unsubstituted."

# "13. A compound of the formula

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"14. Use of a compound according to claim 13 in olefin metathesis reactions."

- V. With the statement of grounds of appeal, appellant 1 maintained its objection of lack of inventive step and filed documents (13), (14) and (17) in support of its arguments.
- VI. With the statement of grounds of appeal, appellant 2 filed a main request and auxiliary request 1 based on the main request of the decision under appeal, with further limitations resulting from the introduction of the features of dependent claims 14 and 5. The request which the opposition division considered to meet the requirements of the EPC was maintained as auxiliary request 2.

Claim 1 of the main request read as follows:

"1. A compound of the formula

wherein:

X and  $X^1$  are either the same or different and are any anionic ligand;

R and  $R^1$  are either the same or different and are each independently hydrogen or a substituent selected from the group consisting of  $C_1-C_{20}$  alkyl,  $C_2-C_{20}$  alkenyl,

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 $C_2$ - $C_{20}$  alkynyl, aryl,  $C_1$ - $C_{20}$  carboxylate,  $C_1$ - $C_{20}$  alkoxy,  $C_2$ - $C_{20}$  alkenyloxy,  $C_2$ - $C_{20}$  alkynyloxy, aryloxy,  $C_2$ - $C_{20}$  alkoxycarbonyl,  $C_1$ - $C_{20}$  alkylthio,  $C_1$ - $C_{20}$  alkylsulfinyl and  $C_1$ - $C_{20}$  alkylsulfinyl, wherein each of the substituents is substituted or unsubstituted;

L is a neutral electron donor selected from the group consisting of phosphine, sulfonated phosphine, phosphite, phosphinite; phosphonite, arsine, stibine, ether, amine, amide, imine, sulfoxide, carboxyl, nitrosyl, pyridine, and thioether; and

 ${\bf R}^6$  and  ${\bf R}^7$  are the same and each is of the formula

wherein:

 $R^8$ ,  $R^9$ , and  $R^{10}$  are each independently hydrogen,  $C_1$ - $C_{10}$  alkyl,  $C_1$ - $C_{10}$  alkoxy, aryl or a functional group selected from hydroxyl, thiol, thioether, ketone, aldehyde, ester, ether, amine, imine, amide, nitro, carboxylic acid, disulfide, carbonate, isocyanate, carbodiimide, carboalkoxy, carbamate, and halogen."

In claim 1 of auxiliary request 1 the substituents  ${\bf R}$  and  ${\bf R}^1$  were further restricted as follows:

"R is hydrogen and  $R^1$  is selected from the group consisting of  $C_1$ - $C_{20}$  alkyl,  $C_2$ - $C_{20}$  alkenyl, aryl, unsubstituted phenyl, substituted phenyl, unsubstituted vinyl, and substituted vinyl; and wherein the substituted phenyl and substituted vinyl are each independently substituted with one or more groups

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selected from the group consisting of  $C_1$ - $C_5$  alkyl,  $C_1$ - $C_5$  alkoxy, phenyl, hydroxyl, thiol, ketone, aldehyde, ester, ether, amine, imine, amide, nitro, carboxylic acid, disulfide, carbonate, isocyanate, carbodiimide, carboalkoxy, and halogen."

- VII. In reply to the statement of grounds of appeal of appellant 1, appellant 2 submitted documents (15), (16) and (18).
- VIII. At the oral proceedings before the board, appellant 2 filed an amended auxiliary request 2 and auxiliary requests 3 and 4.

Amended auxiliary request 2 is identical to the previous auxiliary request 2 considered by the opposition division to meet the requirements of the EPC (see point VI above), with the exception that redundant claim 2 has been deleted and the remaining claims have been renumbered.

Auxiliary request 3 differs from auxiliary request 2 in that the method claims 1 to 11 have been replaced by a single method claim, which reads as follows:

"1.Method for synthesising a compound of formula

comprising contacting a compound (of) the formula:

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with a compound of the formula

The compound and use claims were maintained.

Auxiliary request 4 is identical to auxiliary request 2 with the exception that compound and use claims 12 to 15 have been deleted.

IX. The arguments of appellant 1 with respect to the decisive issues can be summarised as follows:

# - Priority

Claim 13 of auxiliary request 2 was not entitled to its priority because the priority document did not cover olefin metathesis reactions in general. The validity of the priority for claims 12, 14 and 15 of auxiliary request 2 was not disputed.

# - Sufficiency of disclosure/clarity

The method according to claim 1 of auxiliary request 2 lacked an essential step. As a consequence, the claimed method did not result in the formation of the desired ruthenium carbene complexes.

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# - Inventive step

The subject-matter of claim 1 of the main request was obvious from document (1) alone, which already taught the use of triazoline-derived carbenes as ligands in ruthenium complexes. The content of document (1) was not speculative, as alleged by appellant 2. Triazoline-derived carbenes were undoubtedly available. The skilled person also had no reason to doubt that they would react as taught in document (1) and form complexes which could be used as catalysts in olefin metathesis. The same arguments applied with respect to claim 1 of auxiliary request 1.

In claim 1 of auxiliary request 2 the heating step was missing. Without this step the ruthenium carbene complexes were not formed and no technical problem was solved. Furthermore, the claimed subject-matter was obvious from the combination of documents (2) and (6). The closest prior art was document (2), which described the preparation of mixed N-heterocyclic carbene phosphine ruthenium complexes. The problem to be solved was the provision of an alternative process. The proposed solution was obvious in view of the disclosure of document (6), which taught the in situ preparation of a triazoline-derived carbene. The skilled person would have expected that, in the presence of a ruthenium educt complex, ligand exchange reaction would occur with the in situ formed triazoline-derived carbene. In view of the high thermal stability of the ruthenium carbene complexes reported in document (2), there were no reasons to doubt that the claimed ruthenium carbene complexes were stable under the reaction conditions. Further support in this respect was to be found in documents (13) and (14).

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Concerning the subject-matter of claim 12, the closest prior art was document (4). The problem to be solved was the provision of an alternative ruthenium carbene complex. The proposed solution was obvious in view of document (8), according to which imidazoline-derived carbenes and triazoline-derived carbenes were considered as obvious alternatives.

- Admissibility of auxiliary requests 3 and 4

These very late-filed requests should not be admitted. Auxiliary request 3 did not overcome the objections raised. Auxiliary request 4 was filed after the debate with respect to inventive step had been closed and after the board's conclusion concerning the subject-matter of claims 12 to 15 had been announced. Appellant 2 could not just wait for the board's conclusion before filing its requests.

- X. The arguments of appellant 2 with respect to the decisive issues can be summarised as follows:
  - Priority

It was acknowledged that the subject-matter of claim 1 of the main request and auxiliary requests 1 and 2 was not entitled to the priority. The priority was valid for claim 13 of auxiliary request 2. The use of the complex according to claim 12 as catalysts in olefin metathesis reactions in general was clearly derivable from the priority document.

- Sufficiency of disclosure/clarity

Appellant 2's objection against claim 1 of auxiliary request 2 amounted to a new ground for opposition under

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Article 100(b) EPC. Permission for the introduction of this new ground was not given.

# - Inventive step

The subject-matter of claim 1 of the main request was inventive over the disclosure of document (1). The problem to be solved was the provision of further complexes with different properties, which were equivalent to or better than the prior-art complexes. Higher activity was not the only criterion for the quality of a catalyst, since in many cases a slower, more easily controllable reactivity was equally desirable. Document (1) was limited to imidazolinederived carbene complexes. Potential alternatives were mentioned but no further information as to their preparation and properties was available. Carbenes of formulae III and V mentioned in document (1) as equivalent to the imidazoline-derived carbene of formula (II) were not even available. Concerning the potential alternatives, document (1) was nothing more than an invitation to carry out a research program requiring a person of extraordinary skills to fill in the gaps. The same arguments applied with respect to the subjectmatter of claim 1 of auxiliary request 1.

The method according to claim 1 of auxiliary request 2 was inventive. It was directed to a one pot preparation of triazoline-derived carbene ruthenium complexes, where the carbene was formed in situ in the presence of a ruthenium educt complex and reacted with the latter via ligand exchange reaction. The heating step would have been recognised by the skilled person as an essential feature of the invention and read into the claim.

Documents (1) and (2), which could both serve as closest prior art, described complex formation with preformed

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carbenes and provided no hint as to a possible in situ formation and reaction. Furthermore, according to these documents special conditions, such as low temperature and certain sterically demanding substituents, were necessary for the formation of the mixed carbene complexes, which was also confirmed by documents (3) and (15). In addition, document (2) did not describe the formation of carbenes from an alkoxy precursor as alleged by appellant 1. Nothing else was taught in document (13). Document (6) showed the formation of a carbene from a methoxy precursor via  $\alpha$ -elimination. It contained, however, no information as to whether this formation would be compatible with a ligand exchange reaction taking place simultaneously. The stability data of document (2) were concerned with a special carbene ligand and could not be extrapolated to all Nheterocyclic carbene ligands.

The subject-matter of claim 12 of the auxiliary request 2 was inventive in view of either document (3) or document (4). The technical problem solved was the provision of an alternative ruthenium complex which showed improvements under special circumstances. This was apparent from a comparison of the results in table 1 of the patent in suit and table 1 of document (4) and document (18). Document (4) indicated that a clean product could only be obtained with 2,6-disubstituted aryl residues on the imidazoline nitrogen atom, which was confirmed by document (16). Imidazoline- and triazoline-derived carbenes would therefore not have been considered as mere alternatives by a person of average skills.

- Admissibility of auxiliary requests 3 and 4

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Auxiliary request 3 had been submitted to overcome a possible objection with regard to the breadth of the method claim. Auxiliary request 4 had been submitted late because the board's conclusion that the subject-matter of claim 12 was not patentable had come as a complete surprise.

- XI. Appellant 1 requested that the decision under appeal be set aside and that the patent be revoked. Furthermore, appellant 1 requested that auxiliary requests 3 and 4 not be admitted into the proceedings.
- XII. Appellant 2 requested that the decision under appeal be set aside and that the patent be maintained on the basis of the main request, or, alternatively, of the first auxiliary request, both filed with the statement of grounds of appeal, or, alternatively, on the basis of one of auxiliary requests 2, 3 and 4 submitted during oral proceedings of 1 April 2014. Furthermore, appellant 2 requested that auxiliary requests 3 and 4 be admitted into the proceedings.
- 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. Priority
- 2.1 In the decision under appeal, the opposition division held that claim 1 of the main request was not entitled

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to the priority of US provisional application No. 60/127,469 because its subject-matter, directed to ruthenium complexes of a broadly defined general formula, was not directly and unambiguously derivable from the priority document.

The board sees no reason to deviate from the opposition division's finding, which was not contested by appellant 2. Hence, it is not necessary to go into further detail in this respect.

- In the appeal proceedings, appellant 2 filed an amended main request, in which the definition of the substituents R<sup>6</sup> and R<sup>7</sup> in the general formula of claim 1 had been further restricted. This amendment does not give rise to a different assessment with respect to entitlement to priority. The subject-matter of claim 1, although more limited in scope, is still not directly and unambiguously derivable from the priority document, for the same reasons as set out in the decision under appeal (see point 2.4 of the Reasons). This was not contested by appellant 2 who, in the oral proceedings before the board, acknowledged that claim 1 of the main request was not entitled to the claimed priority.
- 3. Inventive step
- 3.1 Claim 1 of the main request is directed to ruthenium carbene complexes of the formula

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They are useful as catalysts in olefin metathesis reactions.

3.2 Similar complexes are already known in the state of the art. Document (1), which was published before the filing date of the patent in suit and represents part of the state of the art pursuant to Article 54(2) EPC for the subject-matter of claim 1 of the main request, discloses ruthenium complexes of the general formula

$$X^{2}$$
 $X^{1}$ 
 $X^{1}$ 
 $X^{2}$ 
 $X^{2$ 

(see page 3, line 12 to page 4, line 21, claim 1). The ligand  ${\tt L}^1$  is defined as an N-heterocyclic carbene selected from one of the general formulae II to V

The carbene of formula IV corresponds to the carbene ligand of the present invention. The substituents  $R^1$  and  $R^2$  of formulae II to V are defined as hydrogen or hydrocarbon residue, with the hydrocarbon residue being defined as cyclic or acyclic, branched or unbranched alkyl, alkenyl, alkinynl or aryl residues having a number of carbon atoms of 1 to 50 or 1 to 30, respectively, which can be substituted by functional groups. This includes the definition for the substituent  $R^6$  or  $R^7$  of claim 1 of the main request. The ligand  $L^2$  is a neutral electron donating residue, including the

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carbenes II to V, phosphines, arsines, stibines, etc., and broadly overlaps with the definition of L of the present main request. The definition of the residues  $X^1$ ,  $X^2$ ,  $R^1$  and  $R^2$  in formula I of document (1) also overlaps with the definition of X,  $X^1$ , R and  $R_1$  of present claim 1. The examples of document (1) are limited to ruthenium complexes with either  $L^1$  or  $L^1$  and  $L^2$  equal to a carbene of formula (II). Document (1) also discloses the use of the ruthenium complexes as catalysts in olefin metathesis reactions (page 1, line 6 to 11, page 5, lines 1 to 2).

Hence, the board, in accordance with the opposition division and both parties, considers document (1) as the closest state of the art and takes it as the starting point for the assessment of inventive step.

- 3.3 In the light of document (1), the problem to be solved as formulated by appellant 2 during the oral proceedings was the provision of further ruthenium complexes with different properties and reactivity, which were equivalent to or an improvement over those of document (1). As evidence that this problem had been successfully solved by the ruthenium complexes of claim 1, appellant 2 relied on table 1 of the patent in suit.
- 3.4 However, in said table no comparison is made with the ruthenium complexes of document (1). Instead, table 1 compares a complex of the invention with two prior-art catalysts, none of them comprising an N-heterocyclic carbene ligand as taught in document (1). Hence, the results in table 1 of the patent in suit cannot demonstrate any effect over the closest state of the art.

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The board also notes that appellant 2 provided experimental evidence comparing a ruthenium complex with a carbene ligand of formula II and a carbene ligand of formula IV (document (18)). However, since the substituents  $R^1$ ,  $R^2$  and  $R^3$  in both carbene ligands are different, this comparison is not meaningful and cannot demonstrate any technical effect of the claimed complexes vis-à-vis those of document (1), even if the board were to follow appellant 2's opinion that the enabling disclosure of document (1) was limited to carbene complexes of formula II. Indeed, document (18) was provided by appellant 2 in support of inventive step of the subject-matter of claim 12 of the auxiliary request 2 over document (4) (see point 8.13 below).

3.5 In the absence of conclusive evidence of a technical effect, the problem to be solved can only be seen in the provision of further ruthenium carbene complexes useful as catalysts for olefin metathesis.

In view of the examples provided in the patent in suit and in the absence of any evidence to the contrary, the board considers this problem to be plausibly solved.

- 3.6 It therefore remains to be decided whether the proposed solution is obvious in view of the prior art.
- 3.6.1 Since ruthenium complexes with triazoline-derived carbene ligands and their usefulness as catalysts in olefin metathesis reactions are already taught by the general disclosure of document (1), the skilled person was already presented with a clear and direct pointer in document (1) itself as to how to solve the technical problem of providing further ruthenium catalysts. The selection of the presently claimed triazoline-derived

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carbene ligand with its particular substituents has not been shown to result in a particular technical effect and is therefore neither critical nor purposive. It merely represents an arbitrary selection of no technical significance, made within the ambit of document (1). Such a selection does not require any inventive ingenuity. The claimed subject-matter is therefore obvious in view of document (1) alone.

- 3.6.2 Appellant 2 essentially argued that document (1) was only enabling with respect to ruthenium complexes having carbene ligands of formula II. Concerning carbene ligands III to V, it was speculative and at best an invitation to carry out a research program, since it provided no useful information as to how the respective complexes could be obtained or what properties (stability, catalytic properties) they would have. According to appellant 2, carbenes according to formulae III or V were not even known in the art.
- 3.6.3 The board does not agree. The disclosure of document (1) is not limited to the explicit examples. It clearly teaches that carbenes of formulae II to V are equally suitable as ligands in ruthenium complexes. It also discloses a general method for the preparation of such complexes, namely by ligand exchange reaction of the respective carbene with known ruthenium phosphine complexes (see page 6, line 25 to page 7, line 4). This method is illustrated in a number of examples. Even though document (1) does not contain explicit examples with a carbene of formula IV, there is no apparent reason - and none has been provided by appellant 2 - as to why ruthenium complexes with carbenes of formula IV could not be obtained in the same way as those with carbenes of formula (II) by following the method disclosed in document (1). The board also notes that, as

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is uncontested by appellant 2, carbenes of formula IV are known in the art (see document (8), page 2168, left column, point 1.2.3 entitled Triazole derived carbenes).

Concerning the alleged uncertainty with respect to the properties of the envisaged complexes, the board notes that in order to render them obvious it is not necessary for the skilled person to be able to predict those properties with certainty. It is sufficient to establish that the skilled person would have followed the teaching of the prior art with a reasonable expectation of success (see T 249/88, point 8 of the Reasons, T 1053/93, point 5.14 of the Reasons, T 200/05, point 3.6.6 of the Reasons). In the present case, document (1) provides a clear teaching for the use of carbenes of formula IV as ligand in ruthenium complexes. It also clearly indicates that these complexes would be suitable as catalysts in olefin metathesis. The board therefore sees no reason why a skilled person would not have contemplated following the teaching of document (1) in order to provide further ruthenium complexes.

- 3.6.4 The board also does not accept appellant 2's argument that it would have required a person of more than average skills to fill the gaps in the teaching of document (1) and to put its invention into practice. No gaps in the disclosure of document (1) are apparent. As set out in points 3.6.1 to 3.6.3 above, the skilled person faced with the problem of providing further ruthenium catalysts would merely have to follow the teaching of document (1) in order to solve it. No special knowledge going beyond the ordinary skills of a person skilled in the art are required.
- 3.6.5 Appellant 2's arguments with respect to improvements achieved by exchanging one of the two  $P(\text{cyclohexyl})_3$  of

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the known Grubbs catalyst with the claimed carbene cannot be accepted, since said catalyst (i.e.  $(PCy_3)_2(Cl)_2Ru=CHPh$ ) does not represent a catalyst according to document (1) (see point 3.4 above).

3.7 For the aforementioned reasons, the board concludes that the subject-matter of claim 1 of the main request does not involve an inventive step pursuant to Article 56 EPC. Consequently, the main request is not allowable.

## Auxiliary request 1

- 4. Inventive step
- 4.1 Claim 1 of auxiliary request 1 differs from claim 1 of the main request in that residues R and  $R^1$  have been further restricted (see point VI above).
- 4.2 In view of the considerable overlap between the definition of the substituents  $R^1$  and  $R^2$  in document (1) and R and  $R_1$  according to claim 1 of auxiliary request 1, and in the absence of any surprising or unexpected effects within the overlapping area, the board cannot see how this arbitrary restriction can contribute to an inventive step. Hence, the assessment of inventive step as set out in point 3 above for the main request is not affected by the restriction of R and  $R_1$  and the conclusion of the board drawn therein still applies.
- 4.3 It follows from the above that auxiliary request 1 must also be refused for lack of inventive step (Article 56 EPC).

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#### 5. Amendments

At the oral proceedings, previous auxiliary request 2, which according to the decision under appeal complied with the requirements of Article 123(2) EPC (see point VI above), was amended by deleting redundant claim 2. This amendment does not give rise to an objection of added subject-matter. Nor does the board see any reason to deviate from the opposition division's finding. There is, therefore, no need to go into further detail in this respect.

Appellant 1 did not raise any objections under Article 123(2) EPC.

# 6. Priority

- 6.1 In the decision under appeal, the opposition division held that the subject-matter of claim 1 of the auxiliary request, which corresponds to claim 1 of the present auxiliary request 2, was not entitled to its priority. This was not disputed by appellant 2. The board also sees no reason to deviate from the opposition division's finding.
- 6.2 The subject-matter of claims 13 to 16 of the auxiliary request underlying the decision under appeal, which corresponds to claims 12 to 15 of present auxiliary request 2, was considered to be entitled to its priority. This finding by the opposition division was challenged by appellant 1 with respect to claim 13 directed to the use of the compound of claim 12 in olefin metathesis reactions.

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- According to appellant 1, the priority document merely covered the activity of the compound of claim 12 as a catalyst in specific metathesis reactions, namely ring closing metathesis or ring opening metathesis polymerisation, but not in olefin metathesis reactions in general. From the introductory part of said document it was apparent that a further type of olefin metathesis reactions existed, namely acyclic diene metathesis. Concerning the usefulness of the compound of claim 12 as a catalyst in this type of metathesis reaction, the priority document was completely silent.
- 6.4 The board does not agree. The usefulness of the compound according to claim 12 as a catalyst for olefin metathesis reactions is clearly and unambiguously derivable from the priority document. On page 4 of the priority document N-heterocyclic carbenes are proposed as an active olefin metathesis catalyst (see page 4, second paragraph and figure 3). A similar teaching can be found on page 6, first two lines of the last paragraph. The compound in figure 3 includes the compound of claim 12, which is also the only explicitly prepared example in the priority document. On page 8, penultimate paragraph of the priority document reference is made to "olefin metathesis of complex 14" (complex 14 corresponds to the compound of claim 12 of auxiliary request 2) and on page 10, last paragraph, lines 1 to 5, it is concluded that ruthenium alkylidene complexes of the type  $(PCy_3)(L)Cl_2Ru(CHPh)$ , wherein L is triazolinylidene, are suitable metathesis catalysts. Accordingly, the use of a compound according to claim 12 as a catalyst in olefin metathesis reactions is subjectmatter which the skilled person would clearly derive from the priority document, irrespective of the fact that in the explicit examples olefin metathesis

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reactions has only been illustrated for two specific types of olefin metathesis reactions.

For the aforementioned reasons the board concludes that the subject-matter of claims 12 to 15 of auxiliary request 2 is entitled to the priority of US provisional application No. 60/127,469.

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- 7. Sufficiency of disclosure and clarity
- 7.1 During oral proceedings before the board, appellant 1 raised an objection against claim 1, arguing that an essential step, namely the heating step, was missing and that the claimed method was therefore not reproducible.
- 7.2 Insofar as this objection is to be understood as an objection of insufficiency of disclosure, it amounts to the introduction of a fresh ground for opposition, since method claim 1 is identical to method claim 17 as granted and no grounds of opposition under Article 100(b) EPC had been raised during the opposition proceedings. According to decision G 10/91, fresh grounds for opposition raised by an opponent may not be introduced at the appeal stage unless the patent proprietor agrees. Since appellant 2 did not agree to the introduction of the fresh ground for opposition under Article 100(b) EPC, the board had no power to consider this ground.
- 7.3 Insofar as appellant 1's objection is to be understood as an objection under Article 84 EPC, it amounts to an objection of lack of clarity against the method claim as granted. Since lack of clarity is not a ground for opposition and only becomes an issue if it arises out of an amendment made during opposition or appeal proceedings, which is not case here, this objection by appellant 1 must fail.
- 8. Inventive step
- 8.1 Claim 1 is directed to the preparation of ruthenium carbene complexes via ligand exchange reaction where the carbene is formed *in situ* from an alkoxy triazoline

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precursor and reacts with the simultaneously present ruthenium educt complex.

8.2 In the decision under appeal, the opposition division considered document (1) to be the closest state of the art and held that the claimed subject-matter was not obvious from a combination of documents (1) and (6). In the appeal proceedings, appellant 1 relied on document (2) as the closest state of the art, since it allegedly provided more detailed information with respect to the preparation and the stability of ruthenium carbene complexes. Since appellant 2 did not dispute that choice and considered both documents (1) and (2) to be a suitable starting point, the board follows appellant 1's approach and takes document (2) as the starting point for the assessment of inventive step.

Said document discloses, in general, the preparation of ruthenium or osmium carbene complexes via ligand exchange reaction of one of the two phosphine ligands of a diphosphine-ligated ruthenium or osmium complex with a nucleophilic carbene (page 17, lines 18 to 30). The exchange reaction is carried out in a suitable solvent at a temperature between  $0^{\circ}$ C and  $50^{\circ}$ C (page 17, line 31 to page 8, line 6). The only N-heterocyclic carbenes explicitly mentioned are imidazoline-derived carbenes (document (2), page 8, line 26 to 27, claim 13). Example 2 describes the preparation of an imidazoline-derived carbene with sterically demanding mesityl-ligands on each of the nitrogen atoms (IMes) from imidazolium chloride with potassium tertiary butanolate. In example 4 the isolated, preformed carbene is reacted at  $60^{\circ}$ C with a diphosphine-ruthenium complex.

8.3 In the light of document (2), the problem to be solved can be seen as the provision of an alternative method

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for the preparation of mixed N-heterocyclic carbene ruthenium complexes.

The proposed solution was a one pot synthesis of triazolinylidene ruthenium complexes characterised by the *in situ* preparation of the triazoline-derived carbene starting from an alkoxy triazoline precursor.

- 8.4 According to appellant 1, the aforementioned problem was not solved, since the reaction required a heating step, which was not present in claim 1. Without this step the envisaged ruthenium carbene complex could not be obtained.
- 8.5 The board does not agree. Firstly, appellant 1's argument, although raised in the context of inventive step, is mainly an objection against the clarity of claim 1, i.e the fact that an essential feature of the claimed method is missing. In the board's judgement, it is apparent to any skilled reader that the claimed reaction, like any chemical reaction, will not take place at just any given temperature. Moreover, it is clearly apparent from the description that the formation of the carbene requires  $\alpha$ -elimination from an alkoxy triazoline precursor which in turn requires elevated temperatures (page 12, scheme 10 and paragraph [0043]). It is the board's view that in these circumstances claim 1 must be construed as including the heating step as an essential feature, even though when read in isolation the wording of claim 1 does not require an elevated temperature (see also T 416/87, point 5 of the Reasons).

In view of the results in the patent in suit, the board is satisfied that problem as defined in point 8.3 is plausibly solved.

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- 8.6 It then remains to be decided whether the proposed solution is obvious in view of the prior art.
- 8.6.1 According to appellant 1, the proposed solution was obvious in view of document (6), which taught the in situ formation of a triazoline-derived carbene from a methoxy triazoline precursor under elevated temperature in the presence of the respective substrate (page 397, left column, last three lines). The same document also indicated that in some cases this procedure was superior to the direct use of the carbene (page 397, right column, first two lines). Moreover, according to appellant 1, document (6) also taught the use of the triazoline-derived carbene as ligand in organometallic complexes. The appellant therefore concluded that in view of the teaching of document (6) the skilled person would expect that heating the methoxy triazoline precursor in the presence of a ruthenium phosphine complex would lead to a ligand exchange reaction, with the in situ formed carbene resulting in the formation of the claimed ruthenium carbene complexes. The skilled person would also expect that the complexes thus obtained would be stable enough at the reaction temperature of 80°C, in view of the high thermal stability of similar complexes disclosed in document (2). Particular reference was made to example 7 of document (2). According to appellant 1, similar stability was to be expected in view of the structural similarity of the triazoline-derived carbene of document (6) and the imidazoline-derived carbene of document (2). Both had a carbene carbon atom attached to two nitrogen atoms. The latter were each substituted with a phenyl group. The steric effects of both carbenes in their coordination to the central ruthenium atom were therefore identical. According to appellant 1, a further reason why the skilled person would have considered combining

document (2) with document (6) was the fact that the use of an alkoxy compound as carbene precursor was already known from document (2) as illustrated in example 2 of said document. In this example, the reaction of the imidazolium chloride with potassium tertiary butanolate led to the intermediate formation of a tertiary butoxy carbene precursor corresponding to the presently claimed alkoxy precursor.

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8.6.2 The board is not convinced by appellant 1's argument. Firstly, the board would like to point out that it was known in the art at the time of filing of the patent in suit that the selective preparation of mixed N-heterocyclic carbene ruthenium complexes usually required special conditions, such as specifically substituted carbenes (i.e. IMes or imidazolinylidenes with other 2,6-disubstituted aryl residues) or low temperatures (i.e.  $-78^{\circ}$ C), in order to prevent the formation of dicarbene complexes (see document (1), examples 1g to 1i; document (15), page 364, right column, point 3; document (3), page 2676, paragraph bridging the left and right column; document (4), page 2247, penultimate line to the middle of page 2248). Already at room temperature selectivity is poor and mixtures with significant amounts of dicarbenes complexes are obtained (document (15), page 364, second paragraph under point 3). The skilled person seeking to prepare mixed N-heterocyclic carbene ruthenium complexes would therefore not be motivated to use a method which requires rather high temperatures as disclosed in document (6). Moreover, the statement in document (6) suggesting in situ preparation in the presence of the respective substrate is rather general and speculative in nature. No examples are given. Whether such a procedure is possible depends to a large extent on the stability of the reactants as well as the envisaged

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product under the respective reaction conditions. In this respect, document (6) provides no information. The board also does not agree with appellant 1 that the alleged thermal stability of the claimed complex is apparent from document (2), in particular from the stability data provided in example 7. Said example describes the excellent thermal stability of a single complex, namely

(IMes) (PCy $_3$ ) Cl $_2$ Ru (=CHPh) and cannot simply be extrapolated to other imidazoline-derived complexes with structurally less complex substituents on the nitrogen, let alone to a different type of carbene ligand.

Furthermore, the board does not accept appellant 1's assertion that example 2 of document (2) already discloses the reaction of a tertiary butoxy imidazoline precursor in the formation of a carbene. Example 2 describes the deprotonation of an imidazolium salt with potassium tertiary butanolate. The alleged intermediate formation of a tertiary butoxy imidazoline is not apparent from document (2) and no evidence has been provided by appellant 1 demonstrating such a formation. Moreover, it is known in the art (document (8), page 2168, first paragraph under chapter 1.2.3) that triazolium salts, in contrast to imidazolium salts, are not deprotonated but form methoxy triazoles upon treatment with sodium methanolate. In other words, imidazolium and triazolium salts behave differently in the presence of an alkanolate, which speaks against appellant 1's assertion.

8.6.3 Appellant 1 also referred to document (13) in further support for its arguments of lack of inventive step, in particular to pages 22 and 23, equation 9 and page 152. According to appellant 1, this document disclosed the formation of a rhodium complex with a presently claimed

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N-heterocyclic carbene ligand which was obtained from a methoxy triazoline precursor as presently claimed. More particularly, it was argued that, in the presence of the rhodium educt complex, the carbene was formed from the triazolium salt and sodium ethanolate and directly reacted with the rhodium educt complex to form the rhodium triazolinylidene complex. The skilled person could expect the same reaction with other transition metals such as ruthenium.

- 8.6.4 However, on pages 22 and 23 and in equation 9, document (13) provides exactly the same information as document (6), namely the formation of a triazolinederived carbene from a methoxy triazoline precursor. The latter is formed from a triazolium salt with sodium methanolate. On page 152 of document (13), the reaction of a bis-(µ-chloro)rhodium complex (i.e. a rather different type of complex) with a triazolium salt in the presence of sodium ethanolate is described, yielding a rhodium carbene complex after stirring the mixture for 24 hours at room temperature. However, the corresponding equation 25 shows that the rhodium starter complex reacts with the ethanolate to form the corresponding bis-(u-ethoxy) rhodium complex. There is no indication in said document that the formation of the carbene takes place via an ethoxy triazoline precursor. Document (13) is therefore not more relevant than document (6) and, for the same reasons as set out in point 8.6.2 above, cannot render the claimed subject-matter obvious.
- 8.6.5 Document (14) was cited in support of the thermal stability of the presently claimed reaction products under the required reaction conditions. According to appellant 1, it disclosed the preparation of transition metal complexes with presently claimed carbene ligands at elevated temperatures, namely at 25°C to 150°C, in

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particular at  $50^{\circ}\text{C}$  for 2 days (example 15). Moreover, these complexes were used as catalysts at high temperatures, which was further proof of their high thermal stability.

- 8.6.6 However, the complexes described in document (14) are complexes with **cationic** N-heterocyclic carbenes. Their stability does not allow any conclusion to be drawn regarding the stability of complexes with neutral carbene ligands.
- 8.7 In view of the above, the board is convinced that the skilled person seeking to find an alternative method for the preparation of mixed N-heterocyclic carbene ruthenium complexes would not have been motivated to use the *in situ* method suggested in document (6). The subject-matter of claim 1 of auxiliary request 2 is therefore considered to involve an inventive step within the meaning of Article 56 EPC.
- 8.8 For the sake of completeness, it is to be noted that the board would have come to the same conclusion starting from document (1) as the closest prior art, for the same reasons as set out in point 8.6 above.
- 8.9 Claim 12 is directed to a compound of the formula

Since the priority is valid for this claim, none of documents (1), (2) or (15) is relevant for the assessment of inventive step.

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- 8.10 At the oral proceedings before the board, appellant 1 considered document (4) as the closest state of the art. This was not contested by appellant 2, who considered either document (3) or (4) to be the closest state of the art. The board notes that both documents disclose the same ruthenium carbene complex, namely (IMes) (PCy3)  $(Cl_2)$  Ru=CHPh. However, since document (3) is more concerned with the structural characterisation and thermochemistry of the complex, while the focus of document (4) lies more on the application of the complex in olefin metathesis reactions, in particular ring closing metathesis reactions which are comparable to those in the patent in suit, the board follows appellant 1's approach and takes document (4) as the starting point for the assessment of inventive step.
- 8.11 In the light of document (4), appellant 2 at the oral proceedings before the board defined the problem to be solved as the provision of an alternative ruthenium complex showing improvements under specific circumstances. As evidence that this problem is solved, appellant 2 relied on table 1 of the patent in suit. A comparison with the results in table 1 of document (4) showed that improvements were achieved in at least four out of six reactions.
- 8.12 However, advantages that may or may not be achieved depending on circumstances cannot be considered in the formulation of the technical problem. Furthermore, a general improvement cannot be deduced from a comparison of table 1 of document (4) and table 1 of the patent in suit. A comparison of entries 1 and 2 in both tables shows no improvement. In entries 3 and 5 of table 1 of the patent in suit the reaction is completed in 30 minutes compared to 60 or 90 minutes in document (4).

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However, this advantage is offset by a considerably lower yield (85% and 82% compared to 100% and 95%). Only the comparison between entries 4 and 6 of both tables shows an improvement in the results with the claimed ruthenium complex over the ruthenium complex according to the prior art. Hence, the conclusion to be drawn from the comparison with document (4) is that the claimed complex is at best more or less equivalent to the complex of the prior art.

- Nor are the experimental data (document (18)) submitted 8.13 by appellant 2 conclusive with respect to a general improvement. According to point 4 of document (18), both catalysts show the same reactivity in ring closing metathesis with diethyl diallylmalonate. In ring opening metathesis polymerisation (point 2 of document (18)) both catalysts produce polydicyclopentadiene with a faint odour of dicyclopentadiene starting material. The reaction apparently started more quickly for the claimed compound. However, the board concurs with appellant 1 that this does not allow the conclusion that the polymerisation is more rapid. In the first experiment (i.e. ring closing metathesis reaction of diethyl diallylmalonate) the claimed catalysts shows no improvement after one hour. Only after the addition of a second portion of the catalyst can an improvement in yield be observed. In summary, the results of document (18) confirm the conclusion in point 8.12 above that the claimed ruthenium complex is at best equivalent to the catalyst of the prior art.
- 8.14 In view of the above, the board, in agreement with appellant 1 and the opposition division, considers the problem to be solved as the provision of an alternative N-heterocyclic carbene ruthenium complex useful as a catalyst in olefin metathesis.

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8.15 As a solution to this problem the patent in suit proposes the ruthenium carbene complex according to claim 12.

In view of the examples in the patent in suit and those in document (18), the board is satisfied that the aforementioned problem is solved.

- 8.16 It then remains to be decided whether the proposed solution was obvious for the skilled person in view of the prior art.
- 8.16.1 The skilled person seeking to provide alternative N-heterocyclic carbene ruthenium complexes would undoubtedly consider prior art, which is concerned with N-heterocyclic carbenes in general. He would therefore turn his attention to document (8), which is a review article summarising the state of the art with respect to synthesis, bonding theory, metal co-ordination chemistry and catalysis (see abstract). The cover page of this article already suggests to the skilled reader that imidazoline-derived carbene, to which the ligand of document (4) belongs, and triphenyl substituted triazoline-derived carbene, as presently claimed, may be considered as equally suitable in the formation of complexes with a certain number of elements from the periodic table, including ruthenium. Document (8) also discloses that the triazole-derived carbene is easily accessible. Indeed, it was the first carbene to be commercially available (see page 2168, left column, point 1.2.3). Furthermore, document (8) contains a clear indication that ruthenium carbene complexes are suitable catalysts in olefin metathesis reactions (page 2183, point 7.7). Thus, the person skilled in the art following the teaching in document (8) would consider

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exchanging the imidazoline-derived carbene ligand of document (4) with the alternative triazoline-derived carbene ligand, thereby arriving at the compound according to claim 12 of the second auxiliary request without applying inventive skills.

- 8.16.2 According to appellant 2 it would have required a very skilled scientist to realise that to use the per se known triazoline-derived carbene may be worth trying. Furthermore, appellant 2 argued that document (4) would deter the skilled person from making such an attempt, in view of the statement that a clean product was only obtained with particular substituted imidazoline-derived carbenes (page 2247, last paragraph, penultimate line to page 2248, first line).
- 8.16.3 In view of the teaching of document (8), which presents triazoline- and imidazoline-derived carbenes as alternatives, the board does not agree with appellant 2's assertion that it requires an unusually skilled person to arrive at the subject-matter of claim 12. The board also disagrees with appellant 2 that document (4) represented a deterrent for the skilled person. The statement on which appellant 2 relied merely indicates that the reaction with the triaryl-substituted triazolinyliden might not lead to the selective formation of the desired carbene complex, but might also yield a considerable amount of dicarbene complex. This is, however, not equivalent to the teaching that under the conditions provided in document (4) (i.e. formation of the carbene at  $-40^{\circ}$ C and reaction of the preformed carbene at room temperature with a ruthenium phosphine complex) the monosubstituted triazoline-derived carbene complex cannot be obtained.

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8.17 In view of the above, the board concludes that the subject-matter of claim 12 does not involve an inventive step (Article 56 EPC). Since the board can only decide on a request as a whole, auxiliary request 2 must be refused.

# Auxiliary request 3

- 9. Admission
- 9.1 This request was filed at a very late stage in the proceedings, just before the board informed the parties of its conclusion with respect to the subject-matter of claim 1 of the main request and auxiliary requests 1 and 2. Its admission is not a matter as of right, but lies within the discretion of the board (Article 114 EPC and Article 13(1) and (3) of the Rules of Procedure of the Boards of Appeal (RPBA)). For the exercise of that discretion, the boards of appeal have developed several criteria. One of those criteria is whether or not the amended claims address the issues raised without giving rise to new ones.
- 9.2 In the present case, claim 2 of auxiliary request 3 is identical to claim 12 of auxiliary request 2, the subject-matter of which was found to lack inventive step. This request is therefore clearly not allowable. As a consequence, the board decided not to admit it into the proceedings.

## Auxiliary request 4

- 10. Admission
- 10.1 Auxiliary request 4 was also filed at a very late stage in the oral proceedings, namely after the board had

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informed the parties of its conclusion with respect to the subject-matter of claims 12 to 15 of auxiliary request 2. However, since it differed from the previously discussed auxiliary request 2 merely in that claims 12 to 15 had been deleted, no fresh case is created requiring reconsideration of the objections and evidence brought forward so far. Unlike auxiliary request 3, it addresses the issues raised without raising new ones.

- 10.2 Appellant 1 objected to its admission and pointed out that it had been filed after the debate was closed and shortly before the decision. Moreover, it was argued that appellant 2 had been able to file this request because the board had informed the parties of its conclusion with respect to the subject-matter of claim 12. Without this information, no such request would not have been made.
- Concerning the closing of the debate, the board notes 10.3 that the parties were informed that the debate was closed on the issues previously discussed and would be resumed for discussion of auxiliary request 3, depending on the board's conclusion on inventive step of the subject-matter of claims 12 to 15. Since the board, after resumption of the oral proceedings, was not in a position to give a final decision, the debate was reopened and the oral proceedings were continued. This gave appellant 2 an opportunity to file a further request. The board, in view of the very advanced stage of the proceedings and the fact that no new objections were raised in the oral proceedings, could have refused the admission of auxiliary request 4. However, as explained in point 9.1 above, since the amendments in auxiliary request 4 are simple and straightforward, do not require reconsideration of issues previously

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discussed and cannot come as a surprise to appellant 1, the board, in exercising its discretion pursuant to Article 114 EPC and Article 13 RPBA, admitted auxiliary request 4 into the proceedings.

# 11. Inventive step

Auxiliary request 4 is identical to auxiliary request 2, with the exception that compound and use claims 12 to 15 have been deleted. Thus the same observations and conclusion as set out in points 8.1 to 8.8 above apply. Accordingly, the board concludes that the subject-matter of claim 1 of auxiliary request 4, and by the same token that of dependent claims 2 to 11, involves an inventive step within the meaning of Article 56 EPC.

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# Order

# For these reasons it is decided that:

- 1. The decision under appeal is set aside.
- 2. The case is remitted to the department of first instance with the order to maintain the patent with the following claims and a description to be adapted thereto:

Claims: No. 1 to 11 received as auxiliary request 4 during oral proceedings of 1 April 2014.

The Registrar:

The Chairman:



M. Schalow A. Lindner

Decision electronically authenticated