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**Datasheet for the decision
of 15 February 2017**

Case Number: T 2025/12 - 3.3.01

Application Number: 03731490.3

Publication Number: 1507769

IPC: C07D249/04

Language of the proceedings: EN

Title of invention:

COPPER-CATALYSED LIGATION OF AZIDES AND ACETYLENES

Patent Proprietor:

THE SCRIPPS RESEARCH INSTITUTE

Opponents:

Siemens Aktiengesellschaft
Merck Sharp & Dohme Corp.
De Vries & Metman

Headword:

Copper-catalysed synthesis of 1,2,3-triazoles/SCRIPPS

Relevant legal provisions:

EPC Art. 83, 56
RPBA Art. 12, 13

Keyword:

Sufficiency of disclosure - (yes)

Inventive step - (yes)

Decisions cited:

Catchword:



Beschwerdekammern
Boards of Appeal
Chambres de recours

European Patent Office
D-80298 MUNICH
GERMANY
Tel. +49 (0) 89 2399-0
Fax +49 (0) 89 2399-4465

Case Number: T 2025/12 - 3.3.01

D E C I S I O N
of Technical Board of Appeal 3.3.01
of 15 February 2017

Appellant 1: Siemens Aktiengesellschaft
(Opponent 1) Wittelsbacherplatz 2
80333 München (DE)

Representative: Siemens AG
Postfach 22 16 34
80506 München (DE)

Appellant 2: Merck Sharp & Dohme Corp.
(Opponent 2) One Merck Drive
Whitehouse Station
New Jersey 08889-0100 (US)

Representative: Hussain, Deeba
Merck Sharp & Dohme Limited
Hertford Road
Hoddesdon
Hertfordshire EN11 9BU (GB)

Respondent: THE SCRIPPS RESEARCH INSTITUTE
(Patent Proprietor) 10550 North Torrey Pines Road
La Jolla, CA 92037 (US)

Representative: Schnappauf, Georg
ZSP Patentanwälte PartG mbB
Radlkoferstrasse 2
81373 München (DE)

Party as of right: De Vries & Metman
(Opponent 3) Overschiestraat 180
1062 XK Amsterdam (NL)

Representative: Hesselink, Dinah Elisabeth
De Vries & Metman

Overschiestraat 180
1062 XK Amsterdam (NL)

Decision under appeal: **Interlocutory decision of the Opposition
Division of the European Patent Office posted on
2 July 2012 concerning maintenance of the
European Patent No. 1507769 in amended form.**

Composition of the Board:

Chairman A. Lindner
Members: M. Pregetter
 L. Bühler

Summary of Facts and Submissions

- I. European patent No. 1 507 769 is based on European patent application No. 03 731 490.3, filed as international application WO 2003/101972.
- II. The following documents cited during the opposition and appeal proceedings are referred to below:

(3) Tornøe, C.W., et al., J. Org. Chem. 67, 3057-3064 (2002)

(4) Tornøe, C.W., et al., "Regiospecific Copper(I)-Catalyzed 1,3-Dipolar Cycloadditions on Solid-Phase", Poster Presentation at "Peptides: The Wave of the Future, Proceedings of the Second International and the Seventeenth American Symposium", June 2001, San Diego, CA, USA

(5) Tornøe, C.W., et al., "Peptidotriazoles: Copper(I)-Catalyzed 1,3-Dipolar Cycloadditions on Solid-Phase" in Peptides: The Wave of the Future, Michal Lebl and Richard A. Houghten (Editors), American Peptide Society, 2001, pages 263-264

(6) Hayakawa, K., et al., B. Chem. Soc. Jpn. 46, 2788-2791 (1973)

(10) Rovis, T., et al., "Asymmetric Copper(I) and Copper(II) Catalyzed Reactions" in Progress in Inorganic Chemistry, Volume 50, Kenneth D. Karim (Editor), John Wiley & Sons, Inc., 2001, pages 1 to 150

- (16) Quick, A.J., JACS 1033-1042 (1920)
- (17) US 4,193,938
- (18) Kochi, J.K., JACS 77, 5090-5092 (1955)
- (19) Saegusa, T., et al., Can. J. Chem. 47, 1217-1222 (1969)
- (20) Doyle, M.P., Chem. Rev. 86, 919-939 (1986)
- (21) Daidone, G., et al., J. Chem. Soc. Perkin Trans. 1, 2891-2897 (1998)
- (22) Feringa, B.L., et al., Modern Organocopper Chemistry, Chapter 7, 224-258, February 2002
- (23) Schore, N.E., Chem. Rev. 88, 1081-1119 (1988)
- (24) Lautens, M., et al., Chem. Rev. 96, 49-92 (1996)
- (25) DD 263 979 A1
- (26) Flitman, R., et al., JACS 79, 5198-5203 (1957)
- (27) Li, Z.-P., et al., Chinese J. Chem. 19, 40-44 (2001)
- (28) Lötscher, D., et al., Tetrahedron: Assymetry 11, 4341-4357 (2000)
- (29) DattaGupta, A., et al., Tetrahedron Lett. 37, 2633-2636 (1996)
- (30) Castro, C. E., et al., J. Org. Chem. 31(12), 4071-4078, 1966

III. The present appeals of opponents 1 and 2 lie from the interlocutory decision of the opposition division to maintain the patent in suit in amended form based on the auxiliary request filed with letter of 13 April 2012.

Claim 1 of the auxiliary request reads as follows:

"1. A process of preparing a 1,4-disubstituted 1,2,3-triazole comprising the following step: catalyzing a click chemistry ligation reaction between a first reactant having a terminal alkyne moiety and second reactant having an azide moiety for forming a 1,4-disubstituted 1,2,3-triazole, the click chemistry ligation reaction being catalyzed by an addition of Cu (II) in the presence of a reducing agent for reducing said Cu (II) to Cu (I), in situ, said Cu(I) being present in catalytic amount."

The opposition division considered that the claims of the auxiliary request were sufficiently disclosed and involved an inventive step in view of document (3) as closest prior art.

IV. In its statement of grounds of appeal, appellant 1 (opponent 1) objected to the subject-matter of the auxiliary request on the ground of lack of inventive step.

V. In its statement of grounds of appeal, appellant 2 (opponent 2) objected to the subject-matter of the auxiliary request on the grounds of lack of inventive step and sufficiency of disclosure.

VI. With its reply of 22 March 2013, the respondent (proprietor) provided counter-arguments and requested

that the appeal be dismissed. It also requested that documents (16)-(29) not be admitted.

- VII. With letter of 13 January 2017, appellant 2 reiterated its arguments and filed document (30).
- VIII. Oral proceedings were held before the board on 15 February 2017 in the absence of appellant 1, as announced by letter dated 4 January 2017, and in the absence of the party as of right (opponent 3), as announced by letter dated 12 January 2017.
- IX. The appellants' arguments, insofar as they are relevant to the present decision, may be summarised as follows:

Admission of documents

With respect to the admission of documents (16) to (29), the appellants stated that these documents had been filed with the statements setting out the grounds of appeal in response to the findings of the opposition division. They were relied upon to demonstrate that the concept of using a precatalyst was well known to the skilled person at the time of invention. Document (30), according to appellant 2, had been filed in direct response to the letter of the respondent dated 22 March 2013.

Sufficiency of disclosure

In relation to the issue of sufficiency of disclosure, appellant 2 made reference to the sterically hindered 2-azido-2,2-diphenylacetic acid, which, according to document (3), had been found not to react in a solid phase when a Cu(I) salt was used as a catalyst. Claim 1 under consideration encompassed solid-phase reactions.

Based on document (3), other sterically hindered azides would also not be expected to react in the process of claim 1 when carried out in solid phase. It amounted to an undue burden to identify azides which would not react in solid-phase reactions. The process of claim 1 was thus not workable over essentially its whole scope.

Inventive step

With respect to lack of inventive step, the appellants relied on any of documents (3), (4) and (5) as the closest prior art. Their argumentation was based on the disclosure of document (3).

The distinguishing feature between claim 1 under consideration and document (3) was the manner in which the catalytic amount of Cu(I) was produced, namely by an addition of Cu(II) in the presence of a reducing agent for reducing said Cu(II) to Cu(I) in situ.

The appellants considered any advantageous effect of the replacement of Cu(I) by a precatalyst system comprising Cu(II) and a reducing agent to be a mere bonus effect. Both appellants contested the relevance of document (14). Document (3) achieved very high conversion and purity results, which were much higher than in the experiments of document (14). The experiments of document (14) could therefore not be seen as a reworking of the closest prior art.

Appellant 1 saw the problem to be solved as an improvement of the method of document (3) through the provision of a cheaper and better catalyst. Appellant 2 defined the problem as the provision of an alternative source of Cu(I) in the method of document (3).

Documents (10) and (16) to (29) provided ample evidence that a person skilled in the art would consider a precatalyst comprising Cu(II) and a reducing agent as an obvious alternative to a Cu(I) catalyst. The notion of using a precatalyst was generally known to the skilled person, and obtaining catalytic Cu(I) by reducing Cu(II) in situ was also known. Reference was made to a wide range of passages from documents (10) and (16) to (29). All these passages were identified in detail in the written proceedings. In the oral proceedings special reference was made to document (10), pages 11, 12, 16 and 18, document (18), page 3090, document (20), page 920, document (21), pages 2892 to 2893, and document (28), page 4345. It was also known that Cu(II) compounds were less costly and often purer than Cu(I) salts. Document (3) did not establish a prejudice against the use of a catalytic system comprising Cu(II) and a reducing agent. Glaser coupling was only an issue for reactions in solution. The claimed subject-matter also encompassed solid reactions. Consequently, a person skilled in the art would have replaced the Cu(I) catalyst of document (3) with a precatalyst comprising Cu(II) and a reducing agent and thus would have arrived at the subject-matter of claim 1 of the auxiliary request.

- X. The respondent's arguments, insofar as they are relevant to the present decision, may be summarised as follows:

Admission of documents

Documents (16) to (29) should not be admitted into the proceedings. These documents were no more relevant than the documents already on file. They could have been filed in the first-instance proceedings. They were

neither a reaction to claim amendments nor a reaction to the decision of the opposition division. Document (30) was even more remote, since it did not concern the catalytic use but the purity of stoichiometrically used Cu acetylides. Nor could it be seen as a direct response to the letter dated 22 March 2013, since it had not been filed until January 2017, i.e. almost four years after said letter.

Sufficiency of disclosure

In relation to the issue of sufficiency of disclosure the respondent stressed that it had proven by experiment 1 of document (14) that the compound known to be problematic would react when using the process of claim 1 of the auxiliary request. The burden of proof lay with the appellants to show that certain reactants could not be reacted in the claimed process. The appellants had not provided such evidence.

Inventive step

With respect to lack of inventive step, the respondent relied on any of documents (3), (4) and (5) as the closest prior art, basing its argumentation on the disclosure of document (3).

The distinguishing feature between claim 1 under consideration and document (3) was the manner in which the catalytic amount of Cu(I) was produced, namely by an addition of Cu(II) in the presence of a reducing agent for reducing said Cu(II) to Cu(I) in situ. The experiments of document (14) showed that the technical effect due to this difference was an improved process. Using a Cu(II) salt and a reducing agent resulted in reactions that were more efficient, more versatile,

significantly cleaner, and faster than those using Cu(I) directly.

The respondent formulated the problem to be solved as the provision of an improved process for preparing 1,4-disubstituted 1,2,3-triazoles.

Starting from document (3) the person skilled in the art had no motivation to use a different catalytic system and certainly not a catalytic system using Cu(II) compounds. Document (3) made it clear that Cu(II) as such was not the catalytically reactive species (page 3059, paragraph bridging the columns) and furthermore established a prejudice against the use of Cu(II) in cycloadditions of azides to alkynes. This prejudice stemmed from the warning against certain side reactions. On page 3060, paragraph bridging the columns, the Glaser reaction was taught to complicate the process by creating cross-coupling products. Specifically, the Glaser reaction, an oxidative coupling reaction using Cu(II) salts, led to a coupling of two compounds having terminal alkyne groups. A person skilled in the art would thus avoid the use of Cu(II) salts for reactions where one of the reactants was a terminal alkyne. Furthermore, a skilled person would avoid the use of a reducing agent in the specific reaction of document (3). The second reactant, the azides, was known to react with reducing agents under the formation of amines. Consequently, the closest prior art itself taught away from the use of a catalytic system relying on Cu(II) and a reducing agent.

In view of documents (10) and (16) to (29) the respondent stressed that a catalyst could not be simply transposed between different reactions. Said documents

related to completely different reactions using different reagents and different reaction mechanisms. Several passages were identified by the respondent, e.g. document (10), pages 47 and 131. Documents (10) and (16) to (29) would not be considered by a person skilled in the art for a 1,3-dipolar cycloaddition involving azides and terminal alkynes. The claimed process thus involved an inventive step.

XI. The final requests of the parties were as follows:

Appellant 2 (opponent 2) requested that the decision under appeal be set aside and that European patent No. 1 507 769 be revoked.

The respondent (patent proprietor) requested that the appeals be dismissed. It further requested that documents D16 to D30 not be admitted into the appeal proceedings, or alternatively that the case be remitted to the opposition division if the board were to admit one or more of documents D16 to D30 into the appeal proceedings.

Appellant 1 (opponent 1) had requested in writing that the decision under appeal be set aside and that European patent No. 1 507 769 be revoked.

The party as of right did not take an active part in the appeal proceedings and did not file a request in writing.

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

Reasons for the Decision

1. The appeals are admissible.

Oral proceedings were held and the proceedings were continued in the absence of the duly summoned appellant 1 in accordance with Article 15(3) RPBA and Rule 115(2) EPC.

2. *Admission of documents (16) to (30)*

- 2.1 *Documents (16) to (29)*

Documents (16) to (29) were submitted with the statements of grounds of appeal. They relate to Cu(I)-catalysed reactions and mention the possibility of having in-situ-formed Cu(I) as catalyst.

In the decision under appeal the opposition division considered the form in which the catalytic Cu(I) was provided to be the distinguishing feature. Said documents thus concern an important point of the decision under appeal. It is a legitimate approach for a losing party to strengthen its arguments by providing further documents concerning a decisive point. In view of the fact that documents (16) to (29) were filed with the intent to address one of the key issues of the impugned decision and that they were filed together with the statements of grounds of appeal, i.e. at the earliest stage possible in appeal proceedings, the board sees no reason not to admit them. Documents (16) to (29) are thus admitted into the proceedings (Article 12(1) and (4) RPBA).

The board sees no reason to remit the case to the opposition division as a consequence of the admission of documents (16) to (29) into the proceedings under

these circumstances.

2.2 *Document (30)*

Document (30) was submitted only after oral proceedings had been arranged. According to Article 12(2) RPBA the statement of grounds of appeal must contain a party's complete case. Further, according to Article 13(1) RPBA, any amendment to a party's case after it has filed its grounds of appeal or reply may be admitted and considered at the board's discretion. Document (30) was filed at a very late stage of the proceedings, more than three years after the respondent's reply to the statements of grounds of appeal. It thus cannot be seen as a direct response to the respondent's reply. No further justification for the late filing of document (30) has been provided. Moreover, it has been disputed by the respondent that document (30) concerns the in situ generation of catalytic amounts of Cu(I).

In summary, document (30) was filed at a very late stage of the proceedings without any apparent reason for doing so, and the content of document (30) is in dispute, necessitating a lengthy discussion of its relevance. Thus, the board, exercising its discretion, decides not to admit document (30) into the proceedings (Article 13(1) RPBA).

3. *Sufficiency of disclosure*

Appellant 2 challenged the sufficiency of disclosure over the whole scope of claim 1 in view of the fact that not all reactants in document (3), especially sterically hindered azides, led to a 1,2,3-triazole. Reference was made to document (3), page 3058,

paragraph bridging right and left column. This passage indicates that the very sterically hindered 2-azido-2,2-diphenylacetic acid does not react when using the process of document (3), i.e. a process using a Cu(I) salt as catalyst in a solid-phase reaction. The passage goes on to explain that said compound, according to previous reports, is much more difficult to react than most other azido acids.

The respondent has provided evidence in the form of experiment 1 of document (14) that said compound, i.e. 2-azido-2,2-diphenylacetic acid, reacts to a 1,2,3-triazole when carrying out the reaction in solution and using an in-situ-formed Cu(I) catalyst stemming from the reaction of a Cu(II) salt and a reducing agent.

There is no indication on file as to whether the difference in the outcome of the reaction using 2-azido-2,2-diphenylacetic acid as the azide is due to the change in the form in which the catalytic Cu(I) is provided or due to the change from solid-phase reaction to reaction in solution.

The process of experiment 1 of document (14) is in line with the teaching of the patent in suit, cf. paragraphs [0014], [0015], [0023] and [0024], to which a person skilled in the art would turn for guidance.

Taking into account that there is no actual evidence that the failed reaction of 2-azido-2,2-diphenylacetic acid under the process conditions of the closest prior art would also fail when using an in-situ-formed Cu(I) catalyst and that the respondent has shown that said reaction could be carried out when working under guidance of the patent in suit, the board comes to the conclusion that the process of claim 1 under

consideration is sufficiently disclosed.

The assertion that other sterically hindered azides may also prove to be unreactive is based on speculation and thus cannot be considered as pointing towards a lack of disclosure.

The subject-matter of the auxiliary request is sufficiently disclosed (Article 83 EPC).

4. *Inventive step*

4.1 The present invention relates to a process for preparing a 1,4-disubstituted 1,2,3-triazole using a copper-catalysed regioselective click chemistry ligation of azides and terminal alkynes. Claim 1 of the auxiliary request defines said process. An important aspect of claim 1 is the catalysis of said process by in-situ-formed Cu(I), resulting from the addition of Cu(II) in the presence of a reducing agent.

4.2 The board, in agreement with the appellants, the respondent and the opposition division, considers that any of documents (3), (4) and (5) represents the closest prior art. Document (3) contains the most detailed disclosure, and so the following reasoning is based on document (3).

Document (3) discloses a process for preparing 1,2,3-triazoles by cycloaddition of azides to alkynes. Resin-bound alkynes were reacted with primary, secondary and tertiary azides using copper(I) salts as catalyst for the 1,3-dipolar cycloaddition. Several 1,2,3-triazoles were prepared, as can be seen from table 1.

There is general agreement between the parties that the

distinguishing feature between claim 1 under consideration and document (3) is the manner in which the catalytic amount of Cu(I) is produced, namely by an addition of Cu(II) in the presence of a reducing agent for reducing said Cu(II) to Cu(I) in situ.

- 4.3 The appellants and the respondent have different views concerning the formulation of the problem to be solved. Appellant 1 saw the problem as an improvement of the method of document (3) through the provision of a cheaper and better catalyst. Appellant 2 defined the problem as the provision of an alternative source of Cu(I) in the method of document (3). The respondent formulated the problem to be solved as the provision of an improved process for preparing 1,4-disubstituted 1,2,3-triazoles. To support this view the respondent referred to the data of document (14). Appellant 2 disputed that data.

The board considers that the technical problem is to be formulated having regard to the process of preparing a 1,4-disubstituted 1,2,3-triazole. A catalyst is an integral part of any reaction and cannot be considered separately from the reactants and the desired product. Consequently, the board concludes that the problem to be solved may be defined as follows: provision of an alternative process for preparing 1,4-disubstituted 1,2,3-triazoles. In the light of the evidence disclosed in the patent in suit, the board is satisfied that the problem has been plausibly solved.

- 4.4 The question to be answered is whether it is obvious for the skilled person to employ an in-situ-generated Cu(I) catalyst in the process under consideration. The parties have based their arguments on several

documents.

- 4.5 The appellants have provided document (10) and documents (16) to (29), showing that a Cu(II) source together with a reducing agent can be used as a precatalyst for the eventually active Cu(I) catalyst. In several passages the Cu(I) catalyst is explicitly formed in situ.

The respondent has pointed out that in these documents the system of Cu(II) and reducing agent is not generally considered to be equivalent to Cu(I). Some passages may, for very specific reactions that differ fundamentally from a 1,3 dipolar cycloaddition based on azides and alkynes, advise using an in-situ-generated Cu(I) catalyst. However, other passages teach that worse results are achieved by such a precatalyst system.

The following is a brief summary of the disclosure of the documents invoked during oral proceedings:

Document (10) relates to asymmetric Cu(I)- and Cu(II)-catalysed reactions. On page 6, lines 11 to 15, in situ reduction of cupric salt is disclosed. The reaction discussed is a cyclopropanation, based on carbenoid transfer to an olefin. The respondent has explained that olefins differ considerably from alkynes by not having an acidic terminal hydrogen, leading to different reaction mechanisms. On page 11, lines 4 to 6, in the same context, the reduction of a cupric precatalyst with a hydrazine is disclosed. Page 12, sixth line from the bottom, uses the term "Cu(II) precatalyst". In this passage it is stated that the reaction does not proceed at low temperatures. On page 16, lines 1 to 3, the actual catalyst is identified as

being a certain Cu(I) complex, formed by heating the precatalyst in the presence of a diazo compound or by reduction with phenylhydrazine at ambient temperature. On page 18, last four lines, still in the context of cyclopropanation, the use of in situ reduction of the Cu(II) ligand complex of a certain semicorrin gives excellent selectivities when compared to the use of Cu(Ot-Bu) and the ligand. Page 47, last three lines, relates to the reduced enantioselectivity of a reaction involving intermolecular Si-H bond insertion of diazoesters when using Cu(II) precursors compared to the use of Cu(I) triflate. On page 131, lines 16 to 18, in the context of Lewis acidic properties of chiral Cu(I) complexes, it is disclosed that competent, albeit less selective, catalysts may be generated from Cu(II) precursors and phosphines.

Document (18) concerns the Meerwein reaction, which is the reaction of an aromatic diazonium halide with an aliphatic unsaturated compound, leading to α -halo- β -phenyl alkanes or alkenes. The reaction is carried out in aqueous acetone. On page 3090, right column, second paragraph, it is stated that the cuprous chloride which is formed by the reduction of cupric chloride by acetone possesses an extraordinary catalytic power to decompose the diazonium ions.

Document (20) relates to reactions involving carbenoids. On page 920, left column, third paragraph, it is stated that Cu(I) triflate is difficult to handle, but that Cu(II) triflate, which is reduced by diazo compounds in situ to the Cu(I) catalyst, can be preferentially employed for carbenoid transformations of diazo compounds.

Document (21) deals with consecutive Pschorr-Sandmeyer

reactions. The Pschorr reaction allows biaryl tricyclics to be prepared by intramolecular substitution of one arene by an aryl radical. This radical is generated in situ from an aryl diazonium salt by copper catalysis. The Sandmeyer reaction relates to the substitution of an aromatic amino group, via preparation of its diazonium salt and subsequent displacement with a nucleophile. Many Sandmeyer reactions proceed under copper(I) catalysis. In the paragraph bridging pages 2892 and 2893 it is stated that the reaction was also carried out under homogeneous catalysis with Cu(II) ions and ascorbic acid as reducing agent, which seemed to be the best route to obtain the intermediate compound **2**.

Document (28) again concerns cyclopropanation reactions. In agreement with the disclosure of document (10), the possibility is mentioned that the catalytic Cu(I) is produced in situ, by reduction of Cu(II) by the diazo reagent.

Documents (16), (17), (19), (22) to (27) and (29) have similar disclosures. None of these documents concern reactions between alkynes and azides.

The board concludes from the information obtained from the disclosure of documents (10) and (16) to (29) that it depends on the actual reaction and the reagents involved whether the direct addition of a Cu(I) catalyst or the in situ generation of Cu(I) by reduction of Cu(II) by a reducing agent is preferable. It is also noted that in several of the disclosures the reducing agent is either one of the reactants or the solvent, the addition of a further reactive chemical compound thus not being necessary.

4.6 The respondent has drawn special attention to a passage in document (3), i.e. in the closest prior-art document itself, referring to the Glaser coupling (page 3060, paragraph bridging the columns). Document (3) explains that in solution-phase reactions of Cu(I)-catalysed 1,3-dipolar cycloaddition, cross-coupling products of terminal alkynes may lead to complications due to the Glaser coupling or the Strauss coupling. The Glaser coupling is an acetylenic coupling, i.e. a reaction between two alkynes, that takes place in the presence of Cu(II), normally obtained by oxidising Cu(I) salts with an oxidant, e.g. oxygen. The respondent emphasised that a person skilled in the art would therefore refrain from adding Cu(II) ions to a reaction mixture comprising alkynes.

4.7 Documents (10) and (16) to (29) show thus that on the one hand the use of in-situ-generated Cu(I) stemming from Cu(II) as catalyst is known for several reactions. The closest prior art, on the other hand, describes how for the specific reaction under consideration the presence of Cu(II) can cause problematic side reactions. Taking into consideration that none of documents (10) and (16) to (29) concerns a 1,3-dipolar cycloaddition involving terminal alkynes and azides and bearing in mind that the closest prior art is cautious about side reactions taking place in the presence of Cu(II), the board comes to the conclusion that a person skilled in the art would not have considered replacing the Cu(I) catalyst of document (3) with an in-situ-generated Cu(I) stemming from the addition of Cu(II) and a reducing agent. An inventive step can thus be acknowledged.

In view of the fact that the board acknowledges the presence of an inventive step when considering the

problem to be solved to be a mere alternative process, there is no need to evaluate whether or not document (14) provides a basis for an improvement of the claimed subject-matter over the prior art.

The subject-matter of the claims of the auxiliary request involves an inventive step and thus meets the requirements of Articles 52(1) and 56 EPC.

Order

For these reasons it is decided that:

The appeals are dismissed.

The Registrar:

The Chairman:



M. Schalow

A. Lindner

Decision electronically authenticated