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**Datasheet for the decision
of 22 March 2016**

Case Number: T 2371/11 - 3.3.10

Application Number: 06829396.8

Publication Number: 1963246

IPC: C07C29/42, C07C33/02,
C07C33/048

Language of the proceedings: EN

Title of invention:
PROCESS FOR UBIQUINONE INTERMEDIATES

Applicant:
DSM IP Assets B.V.

Headword:

Relevant legal provisions:
EPC Art. 56, 123(2)

Keyword:
Main request - inventive step (no)
auxiliary request - inventive step (yes)

Decisions cited:

Catchword:



Beschwerdekammern
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Chambres de recours

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Case Number: T 2371/11 - 3.3.10

D E C I S I O N
of Technical Board of Appeal 3.3.10
of 22 March 2016

Appellant: DSM IP Assets B.V.
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Representative: Dux, Roland
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Decision under appeal: **Decision of the Examining Division of the European Patent Office posted on 29 July 2011 refusing European patent application No. 06829396.8 pursuant to Article 97(2) EPC.**

Composition of the Board:

Chairman P. Gryczka
Members: C. Komenda
C. Schmidt

Summary of Facts and Submissions

- I. The Appellant (Applicant) filed an appeal against the Examining Division's decision to refuse the European patent application 06 829 396.8 relating to a "Process for ubiquinone intermediates".
- II. In its decision the Examining Division referred *inter alia* to the following documents:
- (1) Database CAPLUS [Online], Chemical Abstracts Service US; HIIRO, TAKESHI ET AL: "Ketone ethynylation in 1,3-dialkyl-2-imidazolidinones", XP002431393, from STN Database Accession no. 1980:58783; & JP 54 098707 A (KAWAKEN FINE CHEMICALS CO., LTD.) 3 August 1979,
 - (2) US-A-3 709 946,
 - (3) US-A-3 082 260
 - (4) EP-A-0 816 321 and
 - (5) EP-A-1 256 560.
- III. In its reasoning the Examining Division found that the claims as originally filed were unclear as they contained vague parameters such as "low amounts" of alkaline metal hydroxides in claim 1 and a molar ratio of 0.3:1 to "about" 5:1 in claim 4. Document (1), which was regarded as representing the closest state of the art differed from the claimed subject-matter only in that the process disclosed in document (1) did not use ammonia in addition to the alkaline metal hydroxide. Since no improvement over the process of document (1) had been shown, the objective technical problem was merely regarded as to provide an alternative process. All of documents (2) to (5) disclosed a process for the reaction of acetylene with a ketone as in the claimed process, which used a combination of ammonia and

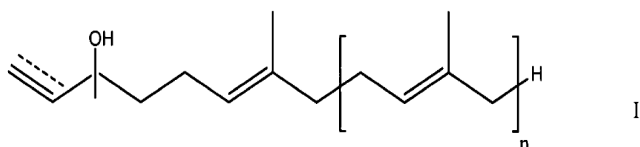
alkaline metal hydroxides as a catalyst to this reaction. Therefore, a skilled person when looking for an alternative process would have modified the process disclosed in document (1) by using ammonia in addition to the alkaline metal hydroxide without having to exercise any inventive skill.

IV. With its statement of the grounds for appeal the Appellant submitted document

(1') Translation into English of the Japanese patent application JP 54 098707 A, the abstract of which was referred to as document (1)

and filed a new set of claims 1 to 7 replacing all previously filed claims. Independent claim 1 of this set of claims read as follows:

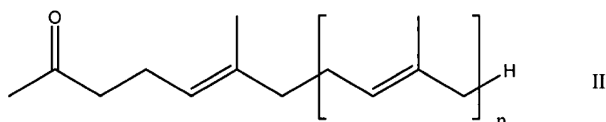
"1. A process for the preparation of iso-polyprenols of the formula



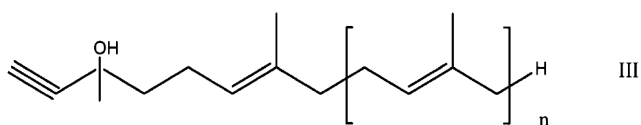
wherein n is an integer of 6-10

and the dotted line means that a line is present or not,

which process is characterized in that a carbonyl compound of formula



is reacted with ethine in the presence of ammonia and of an alkaline metal hydroxide and wherein the reaction is carried out in an organic solvent which is an ether, an aliphatic hydrocarbon or an aromatic hydrocarbon, and if desired, the resulting ethinol of formula



is partially hydrogenated in the presence of a catalyst suitable for the selective hydrogenation of the triple bond; characterized in that the molar ratio of the alkali metal hydroxide to the carbonyl compound is in the range of 0.3:1 to about 5.0:1."

New dependent claims 4 and 5 read as follows:

"4. The process of any of claims 1 - 3, wherein the organic solvent is an ether, particularly methyl tert.-butylether."

"5. The process of any of claims 1 - 3 wherein the organic solvent is a non-polar organic solvent, particularly toluene."

During the Oral Proceedings held on 22 March 2016 before the Board the Appellant submitted an auxiliary request. Claims 1 to 7 of this auxiliary request were based on the wording of claims 1 to 7, respectively, of the the main request, wherein in claim 1 the "aliphatic hydrocarbons" were deleted from the list of solvents and the word "about" was deleted from the passage relating to the ratio of carboxylic compound to alkali metal hydroxide.

The wording of dependent claims 2 to 7 remained unchanged with regard to the main request.

V. The Appellant submitted that with the amendments made, the claims of the present application differed from document (1)/(1') not only in that ammonia is used in addition to the alkaline metal hydroxide, but also in

the use of a different solvent, which had to be selected from ethers, aliphatic hydrocarbons and aromatic hydrocarbons. Example 8 of document (1)/(1') uses solanesyl acetone, corresponding to the starting ketone of formula II of the present application with n being 8. The yield of the corresponding ethynylation product in document (1)/(1') was 76% of the theoretical yield, whereas according to the Examples 1 to 5 of the present application yields of from 90 to 95.5% of the theoretical yields were obtained. None of the other cited documents (2) to (5) contained any indication that could have led a skilled person to adding ammonia and at the same time replacing the mandatory and exotic solvent of document (1)/(1') by rather conventional solvents like ethers, aliphatic hydrocarbons and aromatic hydrocarbons in order to significantly increase the yield of the ethynylation product. Consequently, the subject-matter of the new claims involved an inventive step.

With regard to the subject-matter of the auxiliary request it argued that the Examples demonstrated that with methyl tert.-butylether (MTBE) or toluene as solvents a yield of about 94% was achieved, whereas with hexane as solvent or without any solvent a yield of only 90% to 91% was obtained. Since this significant improvement could not have been expected from the teachings of the prior art documents the subject-matter of the auxiliary request also involved an inventive step.

VI. The Appellant requested that the decision under appeal be set aside, and that a patent be granted upon the basis of the claims 1 to 7 of the main request as submitted with the letter dated 17 October 2011, or auxiliarily, on the basis of claims 1 to 7 of its

auxiliary request submitted during oral proceedings before the Board.

VII. 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*

The wording of claim 1 as amended is based on claim 1 as originally filed. The process has been further specified by incorporating the mandatory use of an organic solvent which is an ether, an aliphatic hydrocarbon or an aromatic hydrocarbon. Basis for this amendment is to be found in the originally filed description on page 4, lines 15 to 18. The feature relating to "low amounts of an alkaline metal hydroxide" which was objected to by the Examining Division under Article 84 EPC (see decision under appeal, paragraph 2.1) has been replaced by the specific molar ratio of carboxylic compound to alkali metal hydroxide as disclosed in originally filed claim 4. The word "about" has been deleted in reply to the objection of the Examining Division in paragraph 2.2 of the decision under appeal.

Basis for the redrafted claims 4 and 5 is to be found in the originally filed description on page 4, lines 17 and 18, respectively. Dependent claims 2, 3, 6 and 7 and are based on originally filed claims 2, 3, 6 and 7.

Therefore, the amendments made to the claims fulfil the requirements of Article 123(2) EPC.

3. *Inventive step (Article 56 EPC)*

3.1 Independent claim 1 of the present application is directed to a process for the ethynylation of a carboxylic compound according to formula II, which process is carried out in presence of an alkaline metal hydroxide and ammonia in an organic solvent. A similar process is disclosed in document (1).

3.2 Document (1) discloses a process for the ethynylation of a carboxylic compound, such as solanesyl acetone, corresponding to the carboxylic compound of formula II of the present application with n being 8 (see document (1'), Example 8). The reaction with acetylene is carried out in presence of potassium hydroxide in 1,3-dimethyl-2-imidazolidinone as organic solvent. The reaction gives a yield of 76% based on the theoretical value.

3.3 According to the Appellant the problem to be solved was to provide a process for the preparation of ethynylated ketones with improved conversion rate and improved selectivity.

3.4 As a solution to this problem the application in suit proposes the use of a different solvent and the use of ammonia in addition to the alkali metal hydroxide as catalyst.

3.5 In order to demonstrate that the technical problem mentioned in paragraph 3.3 supra has been successfully solved the Appellant referred to the Examples of document (1) and of the application in suit. Example 8

of document (1') used solanesyl acetone, corresponding to the starting compound of formula II of the present application with n being 8, and was the same starting material used in the examples 1 to 5 of the application in suit. The yield of the product in the examples of the application varied between 90% and 95.5%, whereas the yield in example 8 of document (1') was only 76%. These results clearly demonstrated that the claimed process brought about an improved conversion and selectivity.

However, the examples in the application in suit differed from Example 8 of document (1') not only in a different solvent and the additional use of ammonia, but contained even more distinguishing features, such as the pressure applied during the reaction and the ratio of hydroxide to ketone. Therefore, the improvement cannot be attributed to the different solvents and the additional presence of ammonia without any doubt. Further, even without any solvent (see application in suit, Example 3) the yield is in the same range as for the process being carried out in hexane.

Therefore, the Board is of the opinion that the technical problem mentioned above is not successfully solved.

- 3.6 Consequently, the technical problem has to be reformulated as being the less ambitious problem of providing merely an alternative process.
- 3.7 The solvents used according to the present application, which are an ether, an aliphatic hydrocarbon or an aromatic hydrocarbon, are well known organic solvents. When looking for an alternative process the skilled

person would have certainly considered to use these conventional solvents as alternative solvents. Therefore, the subject-matter of claim 1 according to the main request does not involve an inventive step.

Auxiliary Request

4. *Amendments (Article 123(2) EPC)*

The wording of claims 1 to 7 of the auxiliary request is based on the wording of claims 1 to 7 of the main request, wherein in claim 1 the "aliphatic hydrocarbons" were deleted from the list of solvents and the word "about" was deleted from the passage relating to the ratio of carboxylic compound to alkali metal hydroxide. The wording of dependent claims 2 to 7 remained unchanged with regard to the main request.

Therefore, the requirements of Article 123(2) EPC are fulfilled.

5. *Inventive step (Article 56 EPC)*

5.1 In comparison to claim 1 of the main request the subject-matter of claim 1 according to the auxiliary request is restricted only with regard to the solvents used. Therefore, document (1) also represents the closest state of the art for the subject-matter of the claims according to the auxiliary request (see paragraphs 3.1. to 3.2 *supra*)

5.2 The technical problem to be solved was defined by the Appellant as to provide a process for the preparation of ethynylated ketones with improved conversion rate and improved selectivity.

- 5.3 As a solution to this problem the application in suit proposes the process according to claim 1 of the auxiliary request, characterized in that it uses either an ether or an aromatic hydrocarbon as a solvent and that it uses ammonia in addition to the alkali metal hydroxide as catalyst.
- 5.4 A comparison of the Examples 2 to 5 of the application in suit shows that with hexane as an aliphatic hydrocarbon solvent a conversion rate of 96.5% and a yield of 90% was obtained. Without any solvent a conversion rate of 98.2% and a yield of 91% could be achieved. However, when using either an ether, such as methyl tert.-butylether, or an aromatic hydrocarbon solvent, such as toluene, conversion rates of 98.4% and 98.8%, respectively, were obtained. The yield achieved with methyl tert.-butylether or with toluene was 94% (see page 6, table). Therefore, it has been convincingly demonstrated that both, the conversion rate and the selectivity of the process, which results in a higher yield, are significantly improved, when an ether or an aromatic hydrocarbon is used as a solvent in the process for preparation of the iso-polyprenols of the formula I.
- 5.5 None of the cited prior art documents gives any indication that the conversion rate and the selectivity are significantly improved when very specific organic solvents, namely an ether or an aromatic hydrocarbon, are used. Consequently, the Board concludes that the subject-matter of claim 1 according to the auxiliary request involves an inventive step (Article 56 EPC).
- 5.6 The subject-matter of dependent claims 2 to 7 represents preferred embodiments of the process of

claim 1 and is, therefore, also regarded as involving an inventive step.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.
2. The case is remitted to the Examining Division with the order to grant a patent on the basis of claims 1 to 7 of the auxiliary request filed at the oral proceedings before the Board.

The Registrar:

The Chairman:



C. Rodríguez Rodríguez

P. Gryczka

Decision electronically authenticated