DECISION
of 1 April 2003

Case Number: T 0103/00 - 3.3.1
Application Number: 91904429.7
Publication Number: 0521870
IPC: C07D 233/56

Language of the proceedings: EN

Title of invention:
Preparation of 1,3-disubstituted imidazolium salts

Applicant:
THE BOARD OF TRUSTEES OF THE UNIVERSITY OF ALABAMA

Opponent:
-

Headword:
Imidazolium salts/UNIVERSITY OF ALABAMA

Relevant legal provisions:
EPC Art. 56, 64(2), 83, 84

Keyword:
"Clarity (yes) - terms "hydrocarbyl" and "substituted hydrocarbyl" not unclear"
"Sufficiency of disclosure (yes) - deficiency not established"
"Inventive step (yes) - problem solved by whole scope of claimed process - non obvious solution"

Decisions cited:
G 0001/98, T 0238/88, T 0939/92

Catchword:
-
Case Number: T 0103/00 - 3.3.1

DECISION
of the Technical Board of Appeal 3.3.1
of 1 April 2003

Appellant: THE BOARD OF TRUSTEES OF THE UNIVERSITY OF ALABAMA
Tuscaloosa
Alabama 35487-0104 (US)

Representative: Jones, Alan John
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Decision under appeal: Decision of the Examining Division of the European Patent Office posted 24 June 1999 refusing European patent application No. 91 904 429.7 pursuant to Article 97(1) EPC.

Composition of the Board:

Chairman: A. J. Nuss
Members: P. P. Bracke
S. U. Hoffmann
Summary of Facts and Submissions

I. The appeal lies from the Examining Division's decision, dispatched on 24 June 1999, refusing European patent application No. 91 904 429.7, published as WO 91/14678, because the set of claims underlying the decision did not meet the requirements of Articles 56, 83 and 84 EPC.

The contested decision was based on:

- description: pages 2 and 5 as originally filed, page 8 filed with letter of 4 January 1995 and pages 1, 3, 4, 6, 7 and 9 to 11 filed with letter of 18 February 1999; and

- claims 1 to 3 filed with letter of 18 February 1999, claims 4 to 12 filed with letter of 18 October 1993 and claims 13 to 19 filed with letter of 4 January 1995.

The only independent claim read:

"1. A process for the preparation of a 1,3-disubstituted imidazolium salt

\[ \text{[Diagram of imidazolium salt]} \]

comprising contacting an \( \alpha \)-dicarbonyl compound of
formal
an aldehyde of the formula $R^2\text{CHO}$, one or more amines of the formula $R^1\text{NH}_2$, and an acid of the formula $H_n\text{X}$, wherein:

each $R^1$ is independently hydrocarbyl, or substituted hydrocarbyl;

$R^2$, $R^4$ and $R^5$ are each independently hydrogen, hydrocarbyl or substituted hydrocarbyl or together $R^4$ and $R^5$ form a cyclohexane group;

$X$ is an anion; and

$n$ is an integer corresponding to the number of anionic charges on $X$.

II. In particular, the Examining Division was of the opinion that the processes described in the examples were found to be inventive, since the solution to the problem underlying the invention was non-obviously derivable from the prior art.

However, since Claim 1 underlying the invention neither contained a specification of the terms "hydrocarbyl" and "substituted hydrocarbyl" nor a functional limitation, it comprised possibilities which would not solve the problem on which the acknowledgement of an inventive step could be based, contrary to the principle described in T 939/92 (OJ EPO 1996, 309).

Moreover, the Examining Division was of the opinion
that the terms "hydrocarbyl" and "substituted hydrocarbyl" rendered the claims unclear, since they did not define the broadness of the claims and since the term "substituted hydrocarbyl" did not comprise the limiting definition, given in the description, for "hydrocarbyl" that it means any substituent which does not interfere with the reaction or render the product unstable. As, according to Article 64(2) EPC, the protection conferred by a process claim extends to the products directly obtained by such process, an adequate definition of the substituents was necessary.

Furthermore, the Examining Division held that the requirement of sufficiency of disclosure was not fulfilled, since even the incorporation of that limiting definition in the wording of the claims would put an undue burden to the public to find out the scope of protection insofar as the operability of the process is concerned, since not only the reaction conditions have to be varied but also the kind of reactants.

III. In the course of the appeal proceedings the Appellant filed three sets of claims according to a first, a second and a third auxiliary request.

IV. The Appellant essentially submitted that "hydrocarbyl" and "substituted hydrocarbyl" are clear in their terms and that the principle of decision T 939/92 is not applicable to the claimed process, since the claims are limited by their wording to processes which provide 1,3-disubstituted imidazolium salts of the stated formula and thus contain a functional limitation in that any process which cannot be effected is not embraced.
V. The Appellant requested that the decision of the Examining Division be set aside and that the patent be granted on the basis of the claims and description on which the contested decision of the Examining Division was based (main request) or on the basis of any of the sets of claims according to the first-, second- or third auxiliary request.

Reasons for the Decision

1. The appeal is admissible.

2. Main request

2.1 Article 123(2) EPC

2.1.1 Present claim 1 is supported by claim 1 as filed and by the following passages of the application as filed:

- the chemical formula of the 1,3-disubstituted imidazolium salts described on page 3;

- page 3, lines 13 and 14, stating that each $R^1$ may independently be hydrocarbyl or substituted hydrocarbyl;

- page 3, lines 15 and 16, stating that not only $R^4$ and $R^5$, but also $R^2$ may each independently be hydrogen, hydrocarbyl, or substituted hydrocarbyl; and

- page 4, lines 7 to 10, stating that $R^4$ and $R^5$ in $\alpha$-dicarbonyl compounds may together form a cyclohexane ring.
The content of present claims 2 to 19 corresponds with the content of claims 2 to 15 and 17 to 20 as filed respectively.

Consequently, claims 1 to 19 meet the requirement of Article 123(2) EPC.

2.1.2 The Board does not have any objection against the description, which has been brought into conformity with the amended claims. In particular, the passages to the 1,3-disubstituted imidazolium salts as such, which were also described and claimed in the application as filed, have been deleted and in Example 2 an error has been corrected by replacing "isopropylamine" by "propylamine" on page 9, line 27, and by changing "1,3-diisopropyl imidazolium chloride" into "1,3-dipropyl imidazolium chloride" in lines 5 and 6 on page 10. These corrections were obvious ones within the meaning of Rule 88 EPC since Example 2 concerns the reaction of propylamine, paraformaldehyde and glyoxal and it was thus obvious that the second addition referred to in line 27 of page 9 concerned propylamine, as correctly cited in line 25 of page 9, and the final compound cannot be 1,3-diisopropyl imidazolium chloride, but should correctly read 1,3-dipropyl imidazolium chloride.

2.2 Clarity

2.2.1 The Examining Division objected that the claimed process was not clear due to the terms "hydrocarbyl" and "substituted hydrocarbyl" in the definition of the substituents R₁, R₂, R₄ and R₅ and that therefore the scope (broadness) of the claims could not be defined.
However, since hydrocarbyl is a generally accepted term for organic radicals which contain only carbon and hydrogen, the term "hydrocarbyl" cannot be considered to introduce any unclarity in the wording of the claims. Moreover, in the absence of any further specification of "substituted hydrocarbyl", there is no reason for the term "substituted" not to have its ordinary technical meaning, namely substituted by absolutely anything.

Therefore, the terms "hydrocarbyl" and "substituted hydrocarbyl" in the definition of the substituents $R^1$, $R^2$, $R^3$ and $R^5$ do not render the wording of the claims unclear. This is in line with the established jurisprudence of the boards of appeal stating that the clarity of a claim is not diminished by the mere breadth of a term of art contained in it, if the meaning of such term is unambiguous for a person skilled in the art (see eg T 238/88 OJ EPO 1992, 709).

Moreover, claim 1 is restricted by its very wording to processes resulting in 1,3-disubstituted imidazolium salts having the formula as defined in claim 1. By the combination of the terms "hydrocarbyl" and "substituted hydrocarbyl" with only such processes wherein 1,3-disubstituted imidazolium salts are effectively prepared, the scope of claim 1 is indeed broad but defined in an unambiguous way. Even more, since according to the application as filed the term "substituted hydrocarbyl" is used to mean any substituent which does not interfere with the reaction or render the product unstable (see page 3, lines 20 to 22), it is a prerequisite for a process within the meaning of claim 1 that 1,3-disubstituted imidazolium salts are effectively prepared, which makes superfluous...
the incorporation of this additional limiting definition of "substituted hydrocarbyl" into the claim.

2.2.2 Finally, the Examining Division submitted that according to Article 64(2) EPC the protection conferred by a process claim extends to the products directly obtained by such process and that therefore an adequate definition of the substituents is necessary.

This contention reflects a misconception of the purpose of this provision. As stated in G 1/98 (OJ EPO 2000, 111) Article 64(2) EPC concerns the effects of patents and patent applications in the context of the EPC and is to be applied by the courts responsible for deciding on infringement cases. It does not affect the examination of claims in respect of their patentability under the EPC (see point 4 of the reasons) and, therefore, is not to be taken into account by an Examining Division.

2.3 Sufficiency of disclosure - Article 83 EPC

In the disputed decision the Examining Division came to the conclusion that the burden of experimentation to find proper reaction conditions and selection of the kind of acid put to the public was acceptable in view of the information given in the description. However, the Examining Division contended, that Article 83 was contravened, since the expressions "hydrocarbyl" and "substituted hydrocarbyl" put an undue burden on the public to find out the scope of protection of claim 1 insofar as the operability of the process is concerned, since not only the reaction conditions have to be varied but also the kind of reactants.
However, in the judgment of the boards, the disclosure of the process for preparing a 1,3-disubstituted imidazolium salt as claimed is sufficient if the technical features necessary for carrying out that process by a skilled person are identified and characterised in a way such that a person skilled in the art can put that process into practice by using no more than the information contained in the description and/or common general knowledge. The decision under appeal is silent in this respect and raises an objection of undue burden solely on the basis of the terms "hydrocarbyl" and "substituted hydrocarbyl". Without any substantiation of the objection of insufficiency of disclosure by some verifiable facts, as is the case here, the scope of the claim cannot be challenged under Article 83 EPC by merely referring to some broad but unambiguous terms of art (see point 2.2.1).

It is true that according to the established jurisprudence of the Boards of Appeal, the requirement of sufficient disclosure means that the whole subject-matter that is defined in the claims must be capable of being carried out by a skilled person without the burden of an undue amount of experimentation or the application of inventive ingenuity. As a matter of principle, however, the burden of proof for showing a deficiency in this respect is upon the party or the department relying on it. Since, in the present case, the Examining Division did not substantiate its allegation of insufficiency of disclosure, the Board does not have any reason to accept it.

2.4 Novelty
After examination of the cited prior art documents, the Board has reached the conclusion that the claimed process was not described in any of those documents.

As novelty was not disputed by the Examining Division, it is not necessary to give detailed reasons for this finding.

2.5 Inventive step

2.5.1 In accordance with the "problem-solution approach" applied by the Boards of Appeal to assess inventive step on an objective basis, it is in particular necessary to establish the closest state of the art forming the starting point, to determine in the light thereof the technical problem which the invention addresses and solves, and to examine the obviousness of the claimed solution to this problem in view of the state of the art.

2.5.2 The "closest state of the art" is normally a prior art document disclosing subject-matter aiming at the same objective as the claimed invention and having the most relevant technical features in common.

Since claim 1 relates to a process for preparing 1,3-disubstituted imidazolium salts, only documents describing a process for preparing 1,3-disubstituted imidazolium salts can be considered as representing the closest state of the art.

In the International Search Report only three documents were cited, namely:

- document (1), US-A-4 450 277, being related to a
process which permits the direct preparation of 1-substituted in a single reaction stage by reacting an á-dicarbonyl compound, ammonia, an aldehyde and a primary amine;

- EP-A-0 198 345 being related to a process for preparing 1,3-dialkyl-2-imidazolidinones by reacting a N, N’-dialkylethylenediamine with urea (see the paragraph bridging pages 3 and 4); and

- Chemical Abstracts, Volume 109, abstract No. 73434y, concerning a method of further substituting 1,3-disubstituted imidazolium salts.

Furthermore, during the examining procedure the following documents were cited by the Examining Division:

- document (2), Org. Synth. 64 (1986), pages 92 and 93, which describes on page 93 a method for preparing 1,3-dimethylimidazolium iodide by reacting 1-methylimidazole with methyl iodide; and

- document (3), Chem. Ber. 119 (1986), pages 1868 to 1875, which describes in the scheme on page 1869 and in the second paragraph on page 1875 a method for preparing 2-(aminomethyl)-1,3-diisopropylimidazolium chloride hydrochloride by reacting a diazadiene of formula i.C₂H₅-N=CH-CH=N-i.C₂H₅ with hydrogen chloride.

Since documents (2) and (3) are the only cited prior art document describing a process for preparing 1,3-disubstituted imidazolium salts, only documents (2) and
(3) can serve as the closest prior art, the disclosure of each document in isolation being taken as a suitable starting point for evaluating the inventive merit of the invention.

2.5.3 From page 2, lines 19 to 22, of the application as filed it follows that it is the object of the invention to provide a simple one step process for preparing 1,3-disubstituted imidazolium salts from readily available starting materials.

The application in suit claims to solve this problem by the process defined in claim 1 (see point I above).

2.5.4 The first point to be considered in assessing inventive step is then whether it has been convincingly shown that by the process according to claim 1 the problem underlying the patent in suit has effectively been solved.

From Examples 1 to 4 of the application as filed it follows that by the reaction of an amine with paraformaldehyde, hydrogen chloride, glyoxal and an amine 1,3-disubstituted imidazolium salts may be prepared in a simple one step process when the amine is isopropylamine (Example 1), propylamine (Example 2), a mixture of methylamine and propylamine (Example 3) or p-toluidine (Example 4).

Since claim 1 encompasses any process for preparing 1,3-disubstituted imidazolium salts wherein R₁, R₂, R₄ and R₅ are hydrocarbyl or substituted hydrocarbyl and since it has not been made plausible that the claimed process could be conducted for preparing any of those 1,3-disubstituted imidazolium salts, the Examining
Division contested that the desired technical effect is obtained over the complete scope of the claimed process.

However, the Board cannot follow this argumentation, because the processes as defined in claim 1 only relate to such processes where 1,3-disubstituted imidazolium salts are effectively formed. In view of this requirement processes wherein 1,3-disubstituted imidazolium salts may not be formed, due, for example, to substituents on the hydrocarbyl group interfering with the cyclisation reaction, cannot be regarded as being encompassed by the subject-matter defined in claim 1.

In this respect, decision T 939/92, cited by the Appellant, is not relevant, since that decision concerns the principle that a technical effect which justifies the choice of the claimed compounds must be one which can be fairly assumed to be produced by substantially all the chosen compounds, whereas in the present case the technical effect of preparing 1,3-disubstituted imidazolium salts is necessarily achieved by any process falling under claim 1, since claim 1 is restricted to those processes in which 1,3-disubstituted imidazolium salts are effectively obtained.

Therefore, considering the processes described in Examples 1 to 4 of the application as filed, the Board has no reason to challenge that a credible case has been put forward that with the claimed process the problem underlying the invention has effectively been solved.
2.5.5 Therefore, it remains to be decided, whether in the light of the teachings of the cited documents a skilled person seeking to solve the above-mentioned problem would have arrived at the claimed process in an obvious way.

As document (2) only describes a method for preparing 1,3-dimethylimidazolium iodide by reacting 1-methylimidazole with methyl iodide, without mentioning the possibility of preparing imidazolium salts by a cyclisation reaction, the process of claim 1 as the solution to the above stated problem is not obviously derivable therefrom.

Moreover, document (3) only describes a method for preparing 2-(aminomethyl)-1,3-diisopropylimidazolium chloride hydrochloride by reacting a diazadiene of formula i.C₃H₇⁻N=CH-CH=N-i.C₃H₇ with hydrogen chloride. As in the reaction described in that document the diazadiene is used as a starting material and as it is completely silent about a method of preparing that diazadiene, it cannot be considered to give any hint to the one-step process of present claim 1. Thus the process of claim 1 as the solution to the above stated problem is also not obviously derivable from document (3).

2.5.6 The question arises then, whether the process of claim 1 is rendered obvious by the combined teaching of documents (2) and (3) or by the combined teachings of any of those documents with another cited prior art document.

Since neither document (2) nor document (3) suggests a one-step process for preparing 1,3-dimethylimidazolium
salts, the claimed process is not suggested by the combined teaching of documents (2) and (3).

Furthermore, the Board does not have reason to accept that the claimed process would be rendered obvious by the combined teaching of document (2) or (3) with any of the other cited prior art documents. For example, document (1) is concerned with a process for preparing 1-substituted imidazoles, not imidazolium salts, let alone 1,3-disubstituted imidazolium salts. For that reason alone, this document cannot be considered to give any hint to the claimed process.

2.5.7 The process of claim 1 is thus not rendered obvious by the isolated teaching of any of documents (2) and (3) nor by the combined teaching of any of those documents with one or more cited prior art documents.

Claims 2 to 19 derive their patentability from the same inventive concept as claim 1 on which they depend.

3. Auxiliary requests

In the light of the above findings, there is no need to consider the auxiliary requests.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.

2. The case is remitted to the first instance with the order to grant a patent on the basis of
- claims 1 to 3 filed with letter of 18 February 1999, claims 4 to 12 filed with letter of 18 October 1993 and claims 13 to 19 filed with letter of 4 January 1995; and

- description: pages 2 and 5 as originally filed, page 8 filed with letter of 4 January 1995 and pages 1, 3, 4, 6, 7 and 9 to 11 filed with letter of 18 February 1999.

The Registrar:

The Chairman:

E. Görgmaier

A. Nuss