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D E C I S I O N
of 21 July 2005

Case Number: T 1140/02 - 3.3.1

Application Number: 96925982.9

Publication Number: 0785199

IPC: C07D 487/08

Language of the proceedings: EN

Title of invention:

Process for producing N,N'-difluorodiazoniabicycloalkane salts

Applicant/Appellant:

Daikin Industries, Limited

Opponent:

-

Headword:

N,N'-difluorodiazoniabicycloalkane salts/DAIKIN

Relevant legal provisions:

EPC Art. 56, 82, 111(1), 123(2)

Keyword:

"Inventive step (yes) - non-obvious alternative"
"Unity (yes) - novel and inventive feature unifying the
claimed invention"

Decisions cited:

-

Catchword:

-



Case Number: T 1140/02 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 21 July 2005

Appellant: Daikin Industries, Limited

Representative: Hansen, Bernd, Dr. Dipl.-Chem.

Decision under appeal: Decision of the Examining Division of the European Patent Office posted 6 May 2002 refusing European application No. 96925982.9 pursuant to Article 97(1) EPC.

Composition of the Board:

Chairman: R. Freimuth
Members: J. M. Jonk
R. T. Menapace

Summary of Facts and Submissions

- I. This appeal lies from the decision of the Examining Division refusing the European patent application 96 925 982.9, publication number 0 785 199, which relates to processes for producing N,N'-difluorodiazoniabicycloalkane salts.
- II. The Examining Division refused the patent application on the grounds that the subject-matter of claim 1 of the main request filed on 29 October 2001 and that of claim 1 of the first auxiliary request filed on 27 November 2001 lacked unity of invention as required in Article 82 EPC, and that the subject-matter of claim 1 of the second auxiliary request, which was also submitted on 27 November 2001, lacked inventive step in view of
- (1) EP-A-0 657 457, and
 - (2) US-A-5 367 071.

Concerning the question of unity of invention it held that the three alternative embodiments of the process according to claim 1 of the main request and the first auxiliary request were independent from each other, since the starting compounds in said embodiments could be differently prepared and because no common feature making a contribution over the prior art could be identified.

With respect to the question of inventive step it considered that the process of claim 1 of the second auxiliary request, in which it was indicated that the

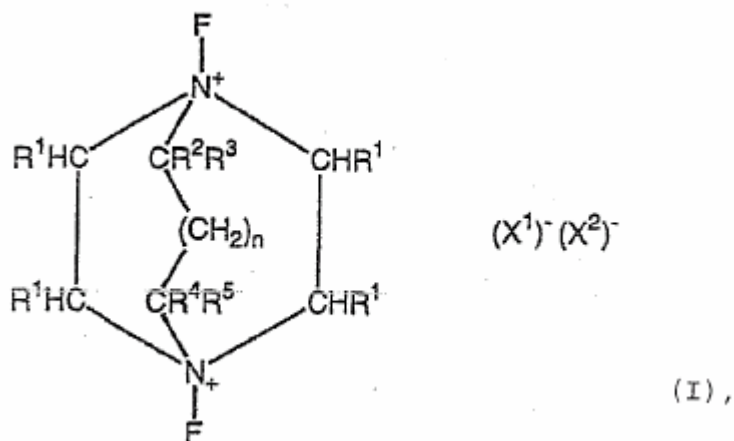
starting compounds in the alternative embodiments of the claimed process had been obtained in the same way, represented a selection from the prior art as disclosed in documents (1) and (2). In the absence of any improvement over this prior art the required inventive step was not acknowledged.

III. Oral proceedings before the Board were held on 21 July 2005.

IV. The Appellant defended the patentability of the subject-matter of the present application on the basis of a sole request submitted during the oral proceedings before the Board.

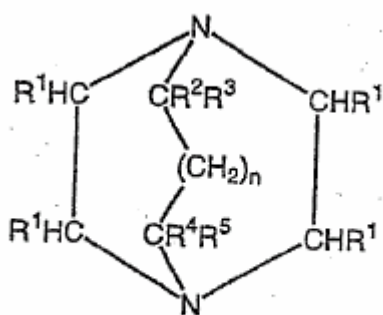
Claim 1 of this request, which essentially corresponded to Claim 1 of the main request refused by the Examining division, read as follows:

"Process for preparing a N,N'-difluorodiazonia-bicycloalkane salt of the formula (I):



wherein R^1 , R^2 , R^3 , R^4 and R^5 each independently represent C_1 - C_6 alkyl, aryl, (C_1 - C_6 alkyl)aryl or (C_1 - C_6)alkyl, $(X^1)^-$ and $(X^2)^-$ each independently represent a conjugated base of a Brønsted acid, and n is 0, 1 or 2, comprising the step of reacting fluorine with

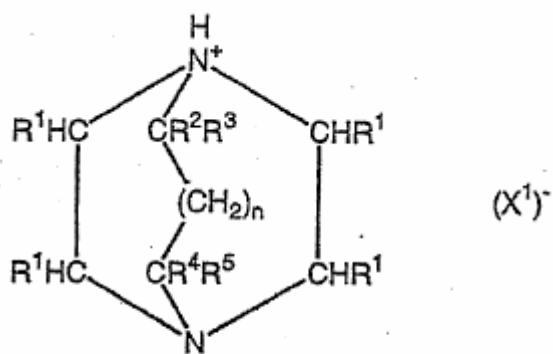
(a) a diazabicycloalkane of the formula (II):



(II),

wherein R^1 , R^2 , R^3 , R^4 , R^5 and n are as defined above, in the presence of a Brønsted acid in an amount, based on one mole of compound (II), of 1.5-2.5 mol if the acid is a monobasic acid or 0.75-2.5 mol if the acid is a dibasic acid, or

(b) with a mono-Brønsted acid salt (III) of the diazabicycloalkane (II) in the presence of a Brønsted acid in an amount, based on one mol of compound (III), of 0.5-1.5 mol

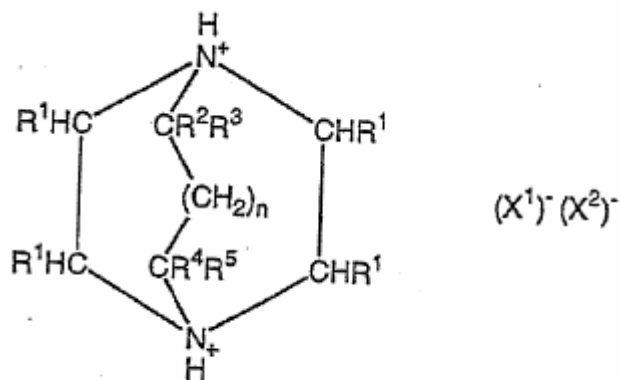


(X¹⁻)⁻

(III),

with the proviso that the reaction may be performed in the absence of a Brønsted acid if (X¹⁻)⁻ in compound (III) is a conjugated base (HA⁻) of a dibasic acid (H₂A) and (X¹⁻)⁻(X²⁻)⁻ in the product compound (I) together represent (A²⁻), or

- (c) with an acid salt (IV) of the diazabicycloalkane (II), optionally in the presence of a base



(X¹⁻)⁻(X²⁻)⁻

(IV)''.

- V. The Appellant defended the unity of invention arguing that the three alternative embodiments of the process of claim 1 only differed from each other in the amount of Brønsted acid already present on the diazabicycloalkane moiety of the starting compounds and

that there was a dynamic equilibrium between the respective reacting compounds in the reaction system prior to the addition of fluorine. Thus, the compound of formula (IV) was a reacting compound in any of the three embodiments.

Furthermore, he argued that the claimed process involved an inventive step, since it was unexpectedly superior over the prior art process of document (2) representing the closest prior art. In support, he referred to experimental data submitted on 6 September 2002.

- VI. The Appellant requested that the decision under appeal be set aside, and that a patent be granted on the basis of claims 1 to 9 as submitted during the oral proceedings before the Board.
- VII. At the conclusion of the oral proceedings the Board's decision was pronounced.

Reasons for the Decision

1. The appeal is admissible.
2. *Amendments (Article 123(2) EPC)*

Present claim 1 is supported by claims 1, 2 and 3 of the application as filed in combination with the description of the application as filed, page 7, line 26 to page 8, line 17, with respect to the reaction conditions indicated under (a), and page 12,

lines 6 to 19, with respect to the reaction conditions indicated under (b).

Present claim 2 is based on the description of the application as filed, for instance, on page 6, lines 9 and 10, page 19, lines 1 and 2 and page 25, lines 26 to 28.

Present claim 3 is supported by claim 10 of the application as filed.

Present claim 4 finds its basis in the description of the application as filed, on page 14, line 25 to page 15, line 13, and also in claims 6 to 10 as filed.

Present claims 5 to 9 are supported by claims 11 to 15 as filed, respectively, whereby the amendment of claim 8 as filed by replacing "C₁-C₅ halohydrocarbons" by "C₁-C₈ halohydrocarbons" is based on page 9, line 25 of the description as filed and the amendment of claim 9 as filed by adding "heptafluorobutanoic acid" as a further suitable solvent finds its basis on page 10, lines 9 and 10, page 12, lines 21 to 23 and page 14, lines 11 to 13 of the description as filed.

- 2.1 Therefore, the Board concludes that the subject-matter of the present claims does not extend beyond the content of the application as filed, and consequently meets the requirement of Article 123(2) EPC.

3. *Novelty*

3.1 The Board has no objections concerning the novelty of the claimed subject-matter. Since the Examining Division neither raised objections in this respect, the Board sees no need to consider this matter in more detail.

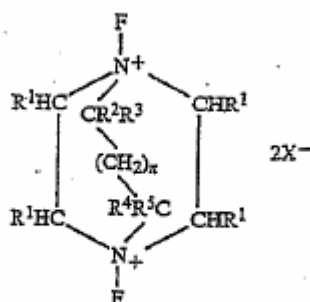
4. *Inventive step*

For deciding whether or not a claimed invention meets this criterion, the Boards of Appeal consistently apply the problem and solution approach, which essentially involves identifying the closest prior art, determining in the light thereof the technical problem which the claimed invention addresses and successfully solves, and examining whether or not the claimed solution to this problem is obvious for the skilled person in view of the state of the art.

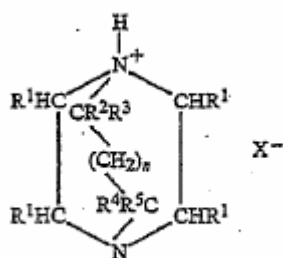
If the technical results of the claimed invention provide some improvement over the closest prior art, the problem can be seen as providing such improvement, provided this improvement necessarily results from the claimed features for all that is claimed. If, however, there is no improvement, but the means of implementation are merely different, the technical problem can be defined as the provision of an alternative to the closest prior art.

4.1 The Board considers, in agreement with the Examining Division and the Appellant, that the closest prior art with respect to the subject-matter of claim 1 of the application in suit is the disclosure of document (2).

4.2 Document (2) discloses a process for preparing N,N'-difluorodiazoniabicycloalkane salts of formula (A)

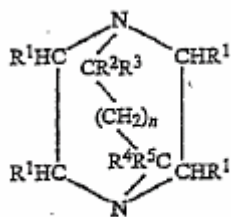


wherein R¹ to R⁵ and n have the same meaning as indicated in present claim 1 of the application in suit and X⁻ represents a counter ion or 2X⁻ represents a single divalent counter ion, by fluorinating the corresponding 1-hydro-4-aza-1-azoniabicycloalkane salts of formula (B)



in the presence of an alkali metal salt M⁺X⁻, wherein X⁻ is as defined above and M⁺ is an alkali metal cation (see claim 1).

Furthermore, that document discloses that the starting compounds of formula (B) can be prepared by treating a corresponding compound of formula (C)



with a corresponding acid H^+X^- (see column 4, lines 23 to 39). Usually the preparation is carried out in situ by stoichiometrically titrating the corresponding compound of formula (C) with the corresponding acid in the solvent to be used for the subsequent fluorination step (see column 4, lines 39 to 43, and Example 1).

Therefore, the fluorination process disclosed in this document corresponds to the process according to claim 1 of the application in suit as indicated under (b), except that the prior art fluorination step is carried out in the presence of an alkali metal salt, whereas according to the claimed invention the fluorination is performed in the presence of a Brønsted acid, either free or bound as indicated in present claim 1.

- 4.3 The Appellant submitted with respect to this closest prior art by referring to a test report filed together with his grounds of appeal on 6 September 2002 that the process of the application in suit provided an improved yield of the desired compounds, so that the problem underlying the application in suit in the light of this prior art could be seen in providing such an improved process.

However, the Appellant, when repeating the process of Example 1 of document (2) in his test-report submitted on 6 September 2002, obtained an unrealistic low yield which was nowhere reported in that document. Under these circumstances, in assessing inventive step, a less ambitious technical problem which the claimed invention addresses is to be defined, namely, the provision of an alternative process for preparing N,N'-difluoro-diazoniabicycloalkane salts of formula (I). Should this less ambitious problem be solved in an unobvious way, there would be no need to consider further any improvement alleged by the Appellant.

4.4 As the solution to this problem the present application proposes a method for preparing the desired N,N'-difluorodiazoniabicycloalkane salts comprising the three embodiments (a), (b) and (c) as defined in present claim 1, all of them being characterised in that the fluorination is performed in a reaction mixture which necessarily contains a N,N'-dihydro-1,4-diazoniabicycloalkane salt of formula (IV) as an actually reacting compound in a substantial amount due to the fact that according to the embodiments (a) and (b) this reacting compound is formed in situ and according to embodiment (c) this compound is used as starting compound (see the reaction schemes A and B on pages 28 and 29 of the application as filed).

4.5 Having regard to the examples of the application in suit the Board is satisfied that the technical problem as defined in point 4.3 above has been successfully solved.

- 4.6 Finally, it remains to be decided whether or not the proposed solution to the problem underlying the application in suit is obvious in view of the cited prior art.
- 4.7 Document (2) discloses, as indicated above under point 4.2, a process which is comparable with the process of embodiment (b) of present claim 1 with respect to the starting compounds and the desired products. However, the fluorination reaction of document (2) is carried out in the presence of an alkali metal salt, whereby the in situ forming of a N,N'-dihydro-1,4-diazonia-bicycloalkane salt as an actually reacting compound, which represents the essential feature of the process of the application in suit, is not possible. Therefore, the disclosure of document (2) does not encompass the process of claim 1 of the application in suit as was held by the Examining Division; nor can it render obvious the proposed solution to the technical problem underlying the application in suit.
- 4.8 Document (1) discloses a process for preparing N,N'-difluorodiazoniabicycloalkane salts, which differs from the process of present claim 1 in that the fluorination is carried out by using a Lewis acid instead of a Brønsted acid, i.e. by using in situ formed 1,4-diazabicycloalkane Lewis acid mono- and/or di-adducts as reacting compounds (see in particular the reaction sequences indicated on page 7) instead of N,N'-dihydro-1,4-diazoniabicycloalkane salts as claimed.

Having regard to the fact that the general definition of "Lewis acid" encompasses a Brønsted acid, the claimed invention was held in the decision under appeal

to represent a selection invention over the disclosure of document (1). However, document (1) teaches that only particular Lewis-acids are suitable for performing the process, namely "readily fluorinatable Lewis acids", i.e. Lewis acids (Y) which readily combine with F^- to form YF^- including adducts of the free Lewis acids, such as those derived from amines or from ethers (see page 3, line 57 to page 4, line 2). Since Brønsted acids due to their chemical structure are not easily fluorinatable within the meaning indicated in document (1), as the Appellant submitted at the oral proceedings before the Board, the teaching of this document actually excludes the use of Brønsted acids, and consequently does not provide any suggestion to the skilled person how to solve the technical problem underlying the application in suit just by using Brønsted acids.

- 4.9 Therefore, documents (1) and (2), alone or in combination, do not provide a pointer to the skilled person to arrive at the claimed solution.

In conclusion, the subject-matter of present claim 1, and, by the same token, that of the dependent claims 2 to 9, involves an inventive step within the meaning of Articles 52(1) and 56 EPC.

5. *Unity*

- 5.1 The decision under appeal challenged the unity of invention as a result of its finding that the three alternative embodiments (a), (b) and (c) according to present claim 1 were independent from each other, since the starting compounds in said processes could be differently prepared, and that no common feature making

a contribution over the prior art could be identified between the alternatives.

5.2 However, the claimed subject-matter involves an inventive step for the reasons given in point 4 above, in particular due to the fact that the fluorination in all three embodiments (a) to (c) is performed in a reaction mixture which contains a N,N'-dihydro-1,4-diazonia-bicycloalkane salt of formula (IV) as a reacting compound. This essential feature, which is also novel over the cited prior art, unifies the three claimed embodiments.

5.3 Thus, the provisions of Article 82 EPC are met.

6. *Remittal*

Having so decided, the Board has not, however, taken a decision on the whole matter, since substantial amendments to the description are required in order to bring it into conformity with the present claims of the application in suit. When doing so, the meaning "(C₁-C₆)alkyl" for R¹ to R⁵ in present claim 1 representing an obvious error may need correction to the expression "aryl(C₁-C₆)alkyl", which corrective action is left to the Appellant and the Examining Division. Under these circumstances, the Board considers it appropriate to exercise its power conferred on it by Article 111(1) EPC to remit the case to the Examining Division.

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 the first instance with the order to grant a patent on the basis of claims 1 to 9 as submitted during the oral proceedings before the Board and a description yet to be adapted.

The Registrar:

The Chairman:

N. Maslin

R. Freimuth