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**Datasheet for the decision  
of 29 May 2009**

**Case Number:** T 0632/06 - 3.3.01

**Application Number:** 99973606.9

**Publication Number:** 1142876

**IPC:** C07D 215/14

**Language of the proceedings:** EN

**Title of invention:**

Process for producing quinolinecarbaldehyde

**Patentee:**

Nissan Chemical Industries, Ltd.

**Opponent:**

-

**Headword:**

Quinolinecarbaldehyde/NISSAN CHEMICAL INDUSTRIES, LTD.

**Relevant legal provisions:**

EPC Art. 56, 113(1)

EPC R. 103

**Relevant legal provisions (EPC 1973):**

EPC R. 67

**Keyword:**

"Inventive step (no)"

"Reimbursement of the appeal fee (no)"

**Decisions cited:**

T 0181/82

**Catchword:**

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Case Number: T 0632/06 - 3.3.01

**D E C I S I O N**  
of the Technical Board of Appeal 3.3.01  
of 29 May 2009

**Appellant:** Nissan Chemical Industries, Ltd.  
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Tokyo 101-0054 (JP)

**Representative:** Hartz, Nikolai  
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**Decision under appeal:** Decision of the Examining Division of the  
European Patent Office posted 22 November 2005  
refusing European application No. 99973606.9  
pursuant to Article 97(1) EPC.

**Composition of the Board:**

**Chairman:** P. Ranguis  
**Members:** J.-B. Ousset  
R. Menapace

## Summary of Facts and Submissions

I. The applicant lodged an appeal against the decision of the examining decision to reject the European application No. 99 973 606.9 8 (Publication No. 1 142 8 76) for lack of inventive step in view of documents

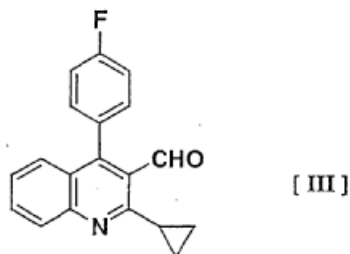
(1) JP-A-08-027114 and CAPLUS 124:317006

(2) JP-A-01-305068 and CAPLUS 112:235309

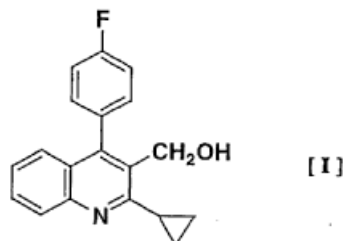
on the basis of the set of claims as originally filed.

II. Claim 1 of the sole independent claim reads as follows:

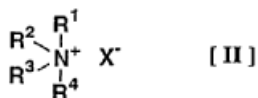
"1. A process for producing 2-cyclopropyl-4-(4-fluorophenyl)-quinoline-3-carbaldehyde of the formula (III):



characterized by oxidizing 2-cyclopropyl-4-(4-fluorophenyl)-3-hydroxymethylquinoline of the formula (I):



with a salt of a hypohalogenous acid in the presence of a quaternary ammonium salt of the formula (II):



wherein each of R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> which are the same or different from one another, is a C<sub>1-16</sub> alkyl group or a benzyl group (the benzyl group may be substituted by a C<sub>1-4</sub> alkyl group, a C<sub>1-4</sub> alkoxy group or a halogen atom), and X<sup>-</sup> is a halogen ion, a sulphate ion or a methane sulphate ion."

III. In its decision, the examining division held that document (1) was to be seen as the closest state of the art to define the objective problem to be solved. The example of this document disclosed the preparation of the 2-cyclopropyl-4-(4-fluorophenyl)-quinoline-3-carbaldehyde by oxidation of the corresponding alcohol with aq. NaClO in the presence of 2,2,6,6-tetramethylpiperidine-1-oxyl. After crystallisation, 96% of the target compound of 99.3% purity was obtained. Therefore, the objective problem could be defined as the provision of a process for the preparation of 2-cyclopropyl-4-(4-fluorophenyl)-quinoline-3-carbaldehyde avoiding environmentally hazardous and expensive reagents (see application, pages 1-2, and bridging paragraph).

Document (2) addressed the provision of an improved process for the preparation of 3-benzylimidazole-2-carbaldehyde. In example 1 the corresponding alcohol was reacted with aqueous NaClO in the presence of tetrabutylammonium sulphate. 78% of the target compound was identified by HPLC analysis.

By routine testing of document (2), the person skilled in the art would have come immediately to the claimed

solution, namely the modification of the process known as such from document (1), by replacing 2,2,6,6-tetramethylpiperidine-1-oxyl with tetrabutylammonium sulphate. The argument of the applicant that there existed a technical prejudice against a combination of documents (1) and (2) due to the fact that aliphatic aldehydes were susceptible to overoxidation and thus to various unwanted side-reactions was not convincing in the absence of adequate evidence, whereas such evidence should have been easy to provide since document (2) was assigned to the assignee of the present application.

- IV. With the statement of grounds of appeal, the appellant submitted that in its decision the examining division had not addressed the arguments which were put forward by the applicant in the letter of 20 October 2005 as to the question of whether further experiments were necessary for the grant of a patent. Moreover, the examining division had not given the applicant an opportunity to learn about the reasons why further experiments were deemed necessary, contrary to the specific request for further clarification under item 2. of the cited letter. Furthermore, the assertion of the examining division that "Document (2) is likewise assigned to the assignee of the present application", first presented in the decision, resulted in the applicant had never having had the opportunity to be heard regarding this assumption. A reimbursement of the appeal fee, therefore, appeared equitable.

Regarding inventive step, the appellant argued that departing from document (1), the technical problem to be solved was to provide an industrially useful generic

process having a high reaction rate, whereby said process provided the desired product at a high purity and a high yield and did not require expensive or toxic reagents. The product of document (2) contained many impurities. Furthermore, contrary to the examining division's finding, the teaching of document (2) could not be generalized to the chemical transformation of the present invention. For those two reasons, the person skilled in the art would not have combined the teaching of document (2) with that of document (1), so that the claimed solution was not obvious in view of the prior art cited.

V. Annexed to the summons to the oral proceedings was a communication expressing the board's preliminary view as follows:

Further to the documents (1) and (2) on which the decision under appeal was based, the following documents are introduced into the procedure:

- (3) Tetrahedron Letters No. 20, pp 1641-1644 cited by the appellant during examination phase in his letter of 21 March 2005 (page 5).
- (4) Methoden des Organischen Chemie (Houben-Weyl), Band E3, "Aldehyde", (1983), pages 266-267 introduced by the board on its own motion.

Document (1) (JP-A-08-027114) represents the closest prior art, since it also aims at transforming the 2-cyclopropyl-4-(4-fluorophenyl)-3-hydroxymethyl quinoline into the corresponding aldehyde by using a

hypohalogenous acid in the presence of nitroxyl radical derivatives.

Starting from this document, the problem underlying the present application, according to the present application, is the provision of a process to oxidize 2-cyclopropyl-4-(4-fluorophenyl)-3-hydroxymethylquinoline having advantageous properties like avoiding the use of an expensive and relatively unstable agent (page 2, line 2 and 3 of the original description). The solution proposed by the appellant is to be seen in the subject-matter defined in claim 1.

In accordance with the Jurisprudence of the Boards of Appeal of the EPO, any alleged but not substantiated effect and/or advantage does not in itself justify the presence of an inventive step. In view of the content of the file, the board cannot find any comparative data (T 181/82, OJ EPO 1984, 401), for this purpose. Even if a higher yield and/or a shorter time for the reaction is demonstrated, it remains questionable, whether such advantages could be regarded as unexpected by the person skilled in the art.

In the absence of any surprising effect, the problem underlying the present application needs to be reformulated in the provision of an alternative process to oxidise 2-cyclopropyl-4-(4-fluorophenyl)-3-hydroxymethylquinoline into the corresponding aldehyde.

It appears, however, that a person skilled in the art, seeking an alternative method would consider either document (4) or document (3) which teaches that aryl carbinols are smoothly converted to carbonyl compounds

by using hypohalogenous acid in the presence of tetraalkylammonium as catalyst (document (4), page 1641, second paragraph), or document (3) which teaches that high yield of aromatic aldehydes with short reaction times can be obtained by using the same catalytic system (page 267, second paragraph). Therefore, the person skilled in the art would find in document (4) or document (3) a clear hint to replace the catalytic system of document (1) by the one described in documents (3) and (4) to arrive at the claimed invention.

- VI. With letter dated 29 October 2008, the appellant withdrew its request for oral proceedings and requested a decision in written proceedings.
- VII. The appellant requested that the decision under appeal be set aside and a patent be granted based on the claims as originally filed and the reimbursement of the appeal fee.

### **Reasons for the Decision**

1. The appeal is admissible.
2. Procedural matters

The appellant has been informed in due time by way of a communication of the board's objections under Article 56 EPC. Since the appellant had an opportunity to present his arguments in respect thereof, the requirements of Article 113(1) are fulfilled insofar the board's decision is based on these objections.



3. Inventive step

3.1 By a communication of the board, the appellant had been informed of the board's view that the subject-matter of claim 1 did not involve an inventive step in view of document (1) as the closest state of the art and document (3) or (4) (see point V above).

3.2 The appellant did not file any submissions in response.

3.3 The board has no reason to deviate from the view and the reasoning for it as expressed in that communication and finds that for the reasons set out in the communication, the subject-matter of claim 1 does not involve an inventive step.

4. Reimbursement of the appeal fee

Rule 103 EPC 2000 (former Rule 67 EPC 1973) provides that the appeal fee shall be reimbursed where the board deems an appeal to be allowable.

Since the appeal is to be dismissed, the request for the reimbursement of the appeal fee cannot be allowed.

**Order**

**For these reasons it is decided that:**

The appeal is dismissed.

The Registrar

The Chairman

M. Schalow

P. Ranguis