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D E C I S I O N
of 28 January 1997

Case Number: T 0957/96 - 3.3.1

Application Number: 94920762.5

Publication Number: 0703902

IPC: C07D 211/22

Language of the proceedings: EN

Title of invention:
Piperidine derivatives and process for their production

Patentee:
ALBANY MOLECULAR RESEARCH, INC.

Opponent:
-

Headword:
Unity of Invention/ALBANY

Relevant legal provisions:
EPC Art. 82
EPC R. 30(1), 67

Keyword:
"Unity of invention (yes) - common special technical feature within the meaning of Rule 30(1) EPC"
"Refusal after first communication - no procedural violation"

Decisions cited:
T 0162/82, T 0084/82

Catchword:
-

Europäisches Patentamt | European Patent Office | Office européen des brevets

Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 0957/96 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 28 January 1997

Appellant: ALBANY MOLECULAR RESEARCH, INC.
21 Corporate Circle
US-Albany, NY 12203 (US)

Representative: Jones, Stephen Anthony
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GB-Leicester LE1 7JA (GB)

Decision under appeal: **Decision of the Examining Division of the European Patent Office posted 1 July 1996 refusing European patent application No. 94 920 762.5 pursuant to Article 97(1) EPC.**

Composition of the Board:

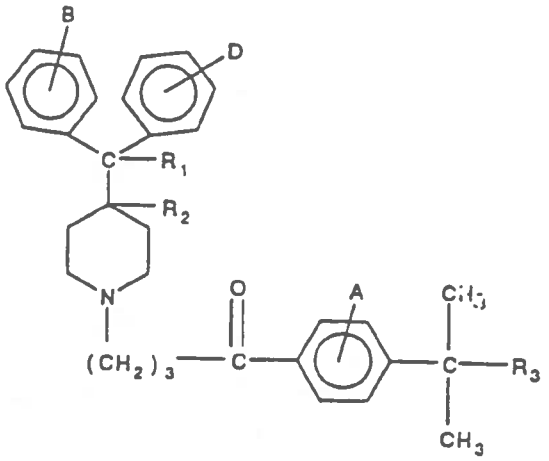
Chairman: A. J. Nuss
Members: R. K. Spangenberg
R. E. Teschemacher

Summary of Facts and Submissions

I. The present appeal lies against the decision of the Examining Division of 1 July 1996, refusing European patent application No. 94 920 762.5, which was filed on 21 June 1994 as PCT/US94/06873 and published as WO 95/00482.

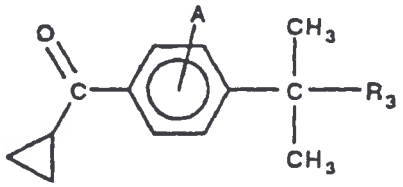
II. The decision under appeal was based on an amended set of 14 claims, filed on 3 June 1996 with the letter dated 30 May 1996, the only independent Claim 1 reading as follows:

"1. A process of preparing a piperidine derivative compound of the formula:

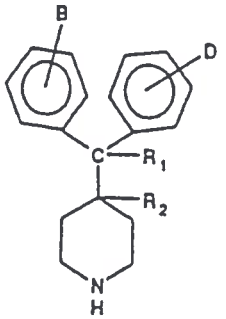


wherein
R_1 is hydrogen or hydroxy;
R_2 is hydrogen;
or R_1 and R_2 taken together form a second bond between the carbon atoms bearing R_1 and R_2;
R_3 is -COOH or $-COOR_4$; R_4 has 1 to 6 carbon atoms;
A, B, and D are the substituents of their rings, each of which may be different or the same, and are selected from the group consisting of hydrogen, halogens, alkyl, hydroxy and alkoxy,
said process comprising:

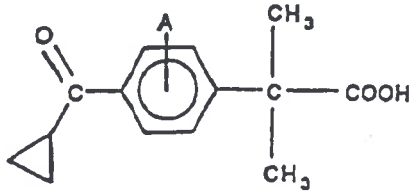
providing a substantially pure regioisomer of the following formula:



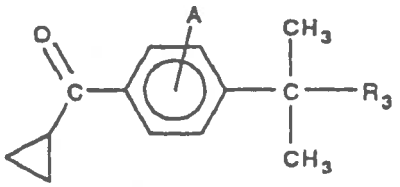
and converting the substantially pure regioisomer to the piperidine derivative compound with a piperidine compound of the formula:



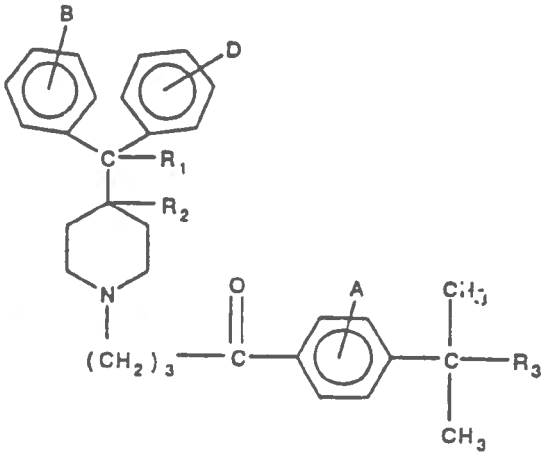
Claims 2 and 3 relate to a particular method of providing the substantially pure regioisomer of the formula



Claims 4 and 5 relate to two other methods of providing that substantially pure regioisomer, whereas Claims 6 to 9 relate to specific embodiments of the methods claimed in Claims 2 and 5. Claims 10 and 11 relate to a method of further processing the product of Claim 1 to a hydroxylated piperidine derivative and two specific embodiments thereof; Claims 12 and 13 relate to two particular methods of converting the substantially pure regioisomer of the formula



to the piperidine derivative compound of the formula:



Claim 14 relates to a process according to Claim 1 producing two specific piperidine derivatives.

The sole ground of refusal was that the subject-matter of the above claims related to the following separate inventions or groups of inventions which were not so linked as to form a single general inventive concept:

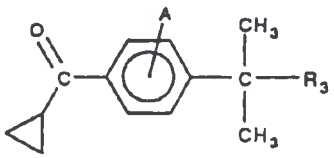
1. Claims 1,10-14 (all part.),2,3
2. Claims 1,10-14 (all part.),4.
3. Claims 1,10-14 (all part.),5-9

The Examining Division considered that the technical problem underlying the present application was the provision of further processes to make available compounds which were known, e.g. from documents

(A) US-A-4 254 129,
(B) US-A-3 839 431, and
(C) US-A-3 898 271;

and which compounds could not, therefore, represent the single inventive concept required by Article 82 EPC. Since the above groups of claims did not relate to chemical processes having at least one new reaction step in common, the Examining Division held that there was "no common novel and inventive link between the different processes claimed in the present application" and the requirement of Article 82 EPC was not met.

III. The Appellant (the Applicant) did not dispute that the present application was directed to a process for the preparation of known compounds of the terfenadine type. He submitted that the problem addressed by the present application was to improve the preparation of terfenadine analogues by avoiding the production of mixtures of regioisomers, ie mixtures of compounds containing phenyl groups substituted at different positions. In his submission, this problem was solved by (in the terminology of Claim 1) "providing" a substantially pure regioisomer of the formula



and "converting" this regioisomer to a keto compound, which may optionally then be reduced to produce a corresponding alcohol. Thus all claimed process variants made use of this key intermediate, which represented the common inventive concept required by Article 82 EPC.

In addition, the Appellant argued that the application was refused immediately after his reply to the first official communication, i.e. in breach of the principle of good faith, since in view of the Guidelines for Examination in the EPO, Chapter C-VI, 4.3 he could fairly expect to have a further opportunity to comment

on the objections raised by the Examining Division.

IV. The Appellant requested that the decision under appeal be set aside and the case be remitted to the Examining Division for further prosecution on the basis of the set of claims underlying the decision under appeal. By way of auxiliary request he requested further prosecution on the basis of one of four sets of claims (first to fourth auxiliary request) submitted on 11 October 1996 together with the statement of grounds of appeal. In addition, the Appellant requested that the appeal fee be refunded.

Reasons for the Decision

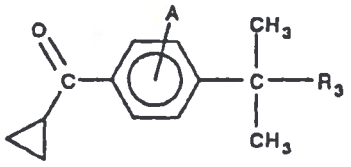
1. The appeal is admissible.

2. The sole substantive issue to be decided in these appeal proceedings is that of unity of invention.

2.1 The present application is directed to a process for the preparation of terfenadine analogues. In view of the known pharmacological activity of terfenadine there has been considerable interest in the preparation of analogous compounds and the application refers to numerous earlier US patents in which such compounds are disclosed (see WO 95/00482, page 1ff, mentioning inter alia document (A), cited by the Examining Division).

2.2 The problem addressed by the present application is to avoid the production of inseparable mixtures of regioisomers, ie mixtures of compounds containing phenyl groups substituted at different positions which occurs in known processes for the preparation of terfenadine analogues (see WO 95/00482, page 3, line 21 to page 6, line 7).

2.3 According to Claim 1 of the main request, this problem is solved by "providing" a substantially pure regioisomer of the following formula (which will be referred to hereinafter as "formula II")



"formula II"

and "converting" this regioisomer to a keto end product. The keto compound may optionally then be reduced to produce a corresponding alcohol (see Claims 10 to 12).

2.4 It is true that the present application describes, on the one hand, more than one specific method by which the substantially pure regioisomer of formula II may be "provided" and, on the other hand, more than one method by which that substantially pure regioisomer can be "converted" to the keto end product, so that the application indeed describes a number of processes which do not have any process step in common.

2.5 It is further true that the compounds of formula II belong to a class of compounds generically described in documents (B) and (C). However, according to these documents the p-cyclopropylcarbonyl-phenylacetic acids of this type serve as intermediates for the preparation of the corresponding p-cylopropylmethyl-phenylacetic acids which have antiinflammatory activity. The problem of avoiding the production of undesired regioisomers is not mentioned in these documents, nor is there any explicit disclosure of a substantially pure regioisomer.

2.6 Notwithstanding the above, the decisive fact for the

question of unity of invention in the present case is, however, that all processes now claimed share a common technical feature, namely **the use of a substantially pure regioisomer** of formula II, which is essential for solving the addressed technical problem (see point 2.2 above), since, according to the present application, this isomer can be easily obtained in substantially pure form, free of undesired regioisomers (see pages 20 to 26). It is this use of the intermediate compound in processes for obtaining terfenadine analogues in substantially pure form, i.e. for solving the technical problem addressed by the present application, which forms the common "inventive" concept of all claimed process variants. In other words, this feature constitutes a special technical feature that defines the contribution that the claimed invention makes over the prior art, as required by Rule 30(1) EPC, as submitted by the Appellant in his statement of grounds of appeal.

2.7 Therefore documents (A) to (C) relied upon in the decision under appeal cannot serve as a basis for objection pursuant to Article 82 EPC against the set of claims according to the main request, having regard to Rule 30(1) EPC.

3. In these circumstances, there is no need to consider the sets of claims according to the auxiliary requests.

4. It remains to be decided whether the decision under appeal was taken in violation of procedural law.

4.1 In his reply to the official communication, the Appellant has put forward only one very short argument in support of his rebuttal of the objection raised by the Examining Division, namely that the **subsequent conversion** of the regiosiomer to the end product as

claimed in Claim 1 represented the "unifying inventive concept". The Examining Division did not regard this argument as sufficient, since it was in contradiction to the method of Claim 12, according to which the cyclopropyl derivative of formula II was first transformed into the 3-chloropropylketo derivative and the latter reacted with the corresponding piperidine derivative, whereas Claim 13 related to the direct conversion of the said intermediate product to the piperidine derivative. Consequently, the argument brought forward could not overcome the objection raised, as appears to have been admitted by the Appellant in the statement of grounds of appeal (see point 4.5), so that there is no reason to suppose that the Examining Division exercised its discretion contrary to the principle of reasonable prospect that a further invitation to file observations could lead to the grant of a patent, as developed in the jurisprudence of the Boards of Appeal of the EPO (see e.g. Decisions T 162/82, OJ EPO 1987, 533 and T 84/82, OJ EPO 1983, 451). On the contrary, in view of the reasons given for the refusal and in view of the substantially different reasoning set out in the statement of grounds of appeal, the Board concludes that the Examining Division had not exercised its discretion in such a way so as to constitute a substantial procedural violation.

The mere fact that the Board of Appeal reached a different conclusion on the **substantive** point at issue does not constitute a **procedural** defect either.

4.2 The Board is further satisfied that neither on the basis of the wording of the Guidelines for Examination in the EPO, Chapter C-VI, 4.3, nor in respect of the jurisprudence of the Boards of Appeal concerning the necessary number of official communications required by

Articles 96(2) and 113(1) EPC (see "Case Law of the Boards of Appeal of the EPO, published 1996, Chapter VI-C, 3.2), the Appellant was entitled to a second invitation to present his comments in respect of objections already communicated to him, so that the Board cannot recognise any possible breach of the principle of good faith in the circumstances of the present case.

4.3 Hence, the requirements of Rule 67 EPC are not met, so that the appeal fee cannot be reimbursed.

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 for further prosecution on the basis of the main request.

3. The request for reimbursement of the appeal fee is rejected.

The Registrar: The Chairman:

E. Görgmaier A. Nuss