

**Internal distribution code:**

- (A) [ ] Publication in OJ  
(B) [ ] To Chairmen and Members  
(C) [X] To Chairmen

**D E C I S I O N**  
**of 27 January 2000**

**Case Number:** T 0050/97 - 3.3.1

**Application Number:** 94914619.5

**Publication Number:** 0699199

**IPC:** C07D 453/02

**Language of the proceedings:** EN

**Title of invention:**

Heteroatom substituted alkyl benzylaminoquinuclidines as  
substance P antagonists

**Applicant:**

Pfizer Inc.

**Opponent:**

-

**Headword:**

Quinuclidines/PFIZER

**Relevant legal provisions:**

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

**Keyword:**

"Extension beyond the content of the application as filed (no)  
- after amendment - no singling out of a particular  
combination"

**Decisions cited:**

T 0859/94, T 0615/95

**Catchword:**

-



Europäisches  
Patentamt

European  
Patent Office

Office européen  
des brevets

Beschwerdekammern

Boards of Appeal

Chambres de recours

Case Number: T 0050/97 - 3.3.1

**D E C I S I O N**  
of the Technical Board of Appeal 3.3.1  
of 27 January 2000

**Appellant:** PFIZER INC.  
235 East 42nd Street  
New York, N.Y. 10017-5755 (US)

**Representative:** Ruddock, Keith Stephen  
Pfizer Limited  
European Patent Department  
Ramsgate Road  
Sandwich  
Kent CT13 9NJ (GB)

**Decision under appeal:** Decision of the Examining Division of the  
European Patent Office posted 2 September 1996  
refusing European patent application  
No. 94 914 619.5 pursuant to Article 97(1) EPC.

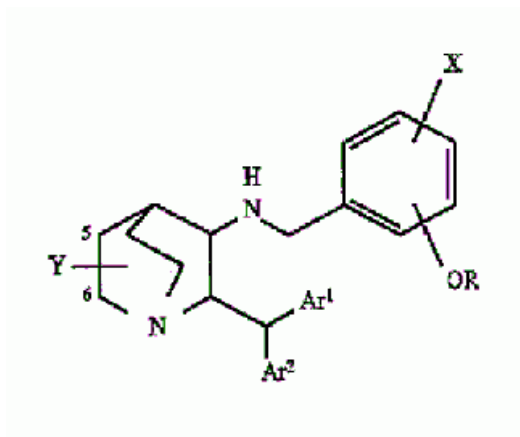
**Composition of the Board:**

**Chairman:** A. J. Nuss  
**Members:** R. Freimuth  
J. P. B. Seitz

## Summary of Facts and Submissions

- I. The appeal lodged on 4 November 1996 lies from the decision of the Examining Division posted on 2 September 1996 refusing European patent application No. 94 914 619.5 (European publication No. 699 199), published as WO-A-94/26740.
- II. The decision of the Examining Division was based on claims 1 to 12 filed with the letter dated 20 October 1995 and amended according to the letter dated 19 July 1996. The Examining Division found that the claims, in particular independent claim 1 as amended, of the then pending request contained subject-matter which extended beyond the content of the application as filed, thus contravening Article 123(2) EPC. The Examining Division held that the lower end of the range of 3 to 6 carbon atoms defining the alkyl group of the substituent X in claim 1 did not find support in the application as filed and that the groups  $-CO_2R^4$  and  $-CONR^4R^5$  defining the substituent Y in claim 1 were not supported by the original application.
- III. In a communication from the Board pursuant to Article 11(2) of the rules of procedure of the Boards of Appeal, the Appellant's attention was drawn to additional aspects and objections in the assessment whether or not the amendments made satisfy the requirements of Article 123(2) EPC.
- IV. At the Oral proceedings before the Board, held on 27 January 2000, the Appellant (Applicant) submitted fresh claims 1 to 13, claim 1 reading as follows:

"1. A compound of the chemical formula (I) or a pharmaceutically acceptable salt thereof:



(I)

wherein R is C<sub>1</sub>-C<sub>6</sub> alkyl;

X is C<sub>3</sub>-C<sub>6</sub> alkyl having one or two substituents selected from hydroxy, halogen, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>2</sub>-C<sub>6</sub> alkanoyl, C<sub>2</sub>-C<sub>6</sub> alkanoyloxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, mono C<sub>1</sub>-C<sub>6</sub> alkylamino, di C<sub>1</sub>-C<sub>6</sub> alkylamino, amino, cyano, azido;

Ar<sup>1</sup> and Ar<sup>2</sup> are each phenyl optionally substituted by one halogen; and

Y is hydrogen, -CO<sub>2</sub>R<sup>4</sup> or -CONR<sup>4</sup>R<sup>5</sup> (wherein R<sup>4</sup> and R<sup>5</sup> are each hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl) at the 4-, 5- or 6-position."

V. The Appellant argued essentially that the amendments made found support in the application as filed. With respect to the lower end of the range of 3 to 6 carbon atoms defining the alkyl group of the substituent X in claim 1 as amended he referred to the list of individual alkyl groups on page 5, lines 10 to 12 of the application as filed and with respect to the groups

-CO<sub>2</sub>R<sup>4</sup> and -CONR<sup>4</sup>R<sup>5</sup> for the substituent Y in claim 1 as amended he pointed to the possible definition of a Z(CH<sub>2</sub>)<sub>p</sub> group for the substituent Y in claim 1 as filed, where p=0 was clearly envisaged and where Z had the possible definitions -CO<sub>2</sub>R<sup>4</sup> and -CONR<sup>4</sup>R<sup>5</sup>.

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 13 of his main and sole request filed at the oral proceedings.

VII. At the end of the oral proceedings the decision of the Board was given orally.

### **Reasons for the Decision**

1. The appeal is admissible.

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

2.1 The subject-matter of claim 1 is based on claim 1 of the application as originally filed. The lower limit of the range, which specifies the number of carbon atoms comprised in the alkyl group defining the substituent X, has been raised from 1 carbon atom in claim 1 as filed to 3 carbon atoms in claim 1 as amended. Indeed, page 5, line 11 of the application as filed lists individual alkyl groups including n-propyl and isopropyl. Since both groups represent the only two possible isomers of an alkyl group having 3 carbon atoms, that specific disclosure in the application as filed provides a proper basis for claiming 3 carbon

atoms as lower limit of the range of carbon atoms comprised in the alkyl group. The alternative consisting of the substitution of that alkyl group by two substituents and the list of these substituents indicated in claim 1 is backed up by claim 2 as filed and page 6, lines 26 to 29 of the application as filed. The limitation of the substituents Ar<sup>1</sup> and Ar<sup>2</sup> in claim 1 to a phenyl group and to the optional substitution thereof by halogen is found on page 6, lines 24 and 25 of the application as filed.

The substituent Y in claim 1 has been limited to the definitions " hydrogen, -CO<sub>2</sub>R<sup>4</sup> or -CONR<sup>4</sup>R<sup>5</sup> (wherein R<sup>4</sup> and R<sup>5</sup> are each hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl)". Claim 1 as filed comprises a list of several alternative definitions for the substituent Y from which hydrogen and the group Z(CH<sub>2</sub>)<sub>p</sub> are preferred according to page 7, line 3 of the application as filed, thereby clearly supporting the definition "hydrogen" for the substituent Y in claim 1. With respect to the preferred group Z(CH<sub>2</sub>)<sub>p</sub> for the substituent Y, claim 1 as filed defines the index p in that group to be "0 to 6", thus specifically disclosing at the date of filing the particular value of p=0, with the direct consequence that in this case the portion (CH<sub>2</sub>)<sub>p</sub> disappears and the substituent Y is reduced to the group Z. The definitions "-CO<sub>2</sub>R<sup>4</sup> or -CONR<sup>4</sup>R<sup>5</sup>" for the substituent Y in claim 1 arise from excising certain definitions from the list given in claim 1 of the application as filed specifying alternative definitions for the group Z, which is identical with the substituent Y for p=0, and, thus, are directly and unambiguously derivable from the application as filed. The groups R<sup>4</sup> and R<sup>5</sup> have been limited in turn to specific definitions by excising

certain definitions from the respective list of alternative definitions given in claim 1 as filed.

That shrinking of the lists of alternative definitions disclosed in the application as filed and the sole fixing of the index  $p$  to the single value of 0 disclosed specifically in the application as filed, is not objectionable as that limitation does **not** result in singling out a **particular combination** of specific definitions. i.e. a hitherto not specifically mentioned sub-class of compounds, but maintains the remaining subject-matter of claim 1 as generic lists of alternative definitions differing from the original lists only by their smaller size (see decisions T 615/95, point 6 of the reasons; T 859/94, point 2 of the reasons, neither published in OJ EPO).

Therefore, in the Board's judgement, the amendments made to claim 1 do not generate new subject-matter extending beyond the content of the application as filed.

- 2.2 The further claims 2 to 4 and 6 find a basis in claims 2, 3 and 5 as filed, respectively. The preference of the definitions "hydrogen" and "carboxy" for the substituent Y is found on page 7, line 4 of the application as filed and that of the definition "phenyl" for the substituents  $Ar^1$  and  $Ar^2$  on page 6, line 25, thereof.
- 2.3 The three individual compounds of claim 5 are disclosed on page 7, lines 12 to 15 and lines 18 and 19 of the application as filed.



- 2.4 The section (B) of claim 11 as filed supports claim 7. In combination with page 15, lines 25 to 27 and page 16, lines 16 and 17 of the application as filed disclosing the optional conversion to a pharmaceutical acceptable salt, claim 11 as filed provides a proper basis for claim 13.
- 2.5 Claims 8, 9, 11 and 12 are based on claims 7 to 10 as filed, respectively. The alternative presence of a diluent in the pharmaceutical compositions is found on page 18, line 11 of the application as filed.
- 2.6 The pharmaceutical activity as a substance P antagonist of the compounds of formula (I), the pharmaceutical acceptable salts and compositions thereof according to claim 10 is supported by page 4, lines 13, 14 and 27 to 29 of the application as filed.
- 2.7 For these reasons, the Board concludes that the claims 1 to 13 as amended meet the requirements of Article 123(2) EPC.

3. *Remittal*

Having so decided, the Board has not taken a decision on the whole matter since the decision under appeal was solely based on Article 123(2) EPC. As the Examining Division has not yet ruled on the other requirements for granting a European patent, the Board considers it appropriate to exercise its power conferred to it by Article 111(1) EPC to remit the case to the Examining Division for further prosecution on the basis of the claims according to the fresh main and sole request, in order to enable the first instance to decide on the

outstanding issues.

## **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 for further prosecution on the basis of claims 1 to 13 filed during the oral proceedings held on 27 January 2000.

The Registrar:

The Chairman:

E. Görgmaier

A. Nuss