BESCHWERDEKAMMERN DES EUROPÄISCHEN PATENTAMTS

BOARDS OF APPEAL OF THE EUROPEAN PATENT OFFICE

CHAMBRES DE RECOURS DE L'OFFICE EUROPEEN DES BREVETS

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 13 January 2000

Case Number: T 0357/98 - 3.3.1

Application Number: 89202635.2

Publication Number: 0366189

IPC: C07D 501/20

Language of the proceedings: EN

Title of invention:
Novel antimicrobial lactam-quinolones

Applicant:
PROCTER & GAMBLE PHARMACEUTICALS, INC. (an Ohio Corp.)

Opponent:
-

Headword:
Lactam-quinolones/PROCTER & GAMBLE

Relevant legal provisions:
EPC Art. 111(1), 123(2)

Keyword:
"Extension beyond the content of the application as filed (no) - after amendment"

Decisions cited:
-

Catchword:
-

Europäisches Patentamt | European Patent Office | Office européen des brevets

Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 0357/98 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 13 January 2000

Appellant: PROCTER & GAMBLE PHARMACEUTICALS, INC.
(an Ohio Corp.)
17 Eaton Avenue
Norwich
New York 13815 (US)

Representative: Kyle, Diana
Elkington and Fife
Prospect House
8 Pembroke Road
Sevenoaks
Kent TN13 1XR (GB)

Decision under appeal: **Decision of the Examining Division of the European Patent Office posted 21 October 1997 refusing European patent application No. 89 202 635.2 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 22 December 1997 lies from the decision of the Examining Division posted on 21 October 1997 refusing European patent application No. 89 202 635.2 (European publication No. 366 189).

II. The decision of the Examining Division was based on claims 1 to 15 filed with letter dated 3 June 1997 according to the then pending request. The Examining Division held that the claims, in particular independent claim 1 as amended, contained subject-matter which extended beyond the content of the application as filed, thus contravening Article 123(2) EPC.

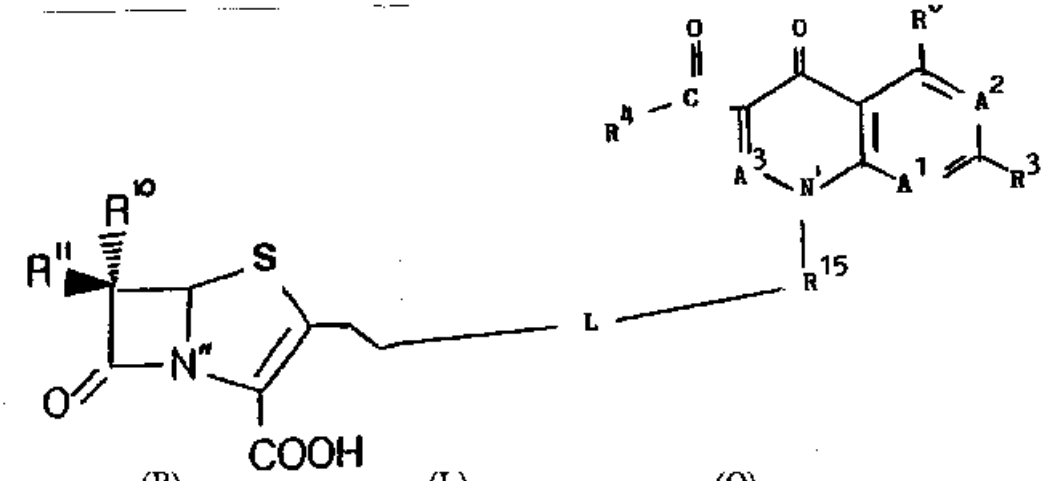
The Examining Division held in particular that the limitation of the group B to a penicillinyl-moiety in the general formula of claim 1 represented a selection lacking disclosure in the application as filed, that the specific heteroatoms in the heterocycles as defined in claim 1 had no counterpart in the application as filed and that in claim 1 the optional substitution of the carbocycles and heterocycles as disclosed in the original application was missing.

III. The Appellant (Applicant) submitted amended claims 1 to 17 on 27 February 1998 together with the Statement of Grounds of Appeal and additionally on 27 July 1999. He argued that the amendments made to the claims overcame the objections raised in the decision under appeal.

IV. 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 of whether or not the amendments made satisfy the requirements of Article 123(2) EPC. Furthermore, the Appellant was informed that any fresh set of claims extending the scope of the claims compared with that of the claims in the decision under appeal restoring deficiencies previously raised in examination proceedings and met by the restrictions previously made to the claims may not be admitted in the appeal proceedings pursuant to Rule 86 (3) EPC.

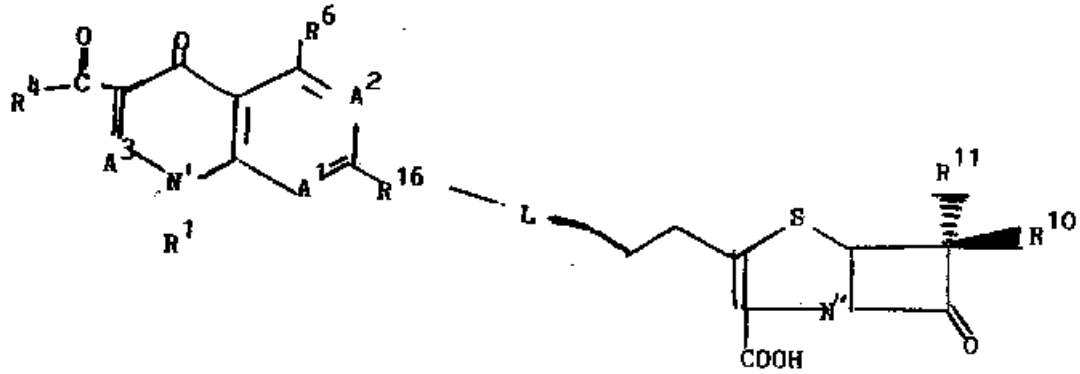
In reply, the Appellant submitted on 20 December 1999 new claims 1 to 16 requiring a written opinion on the allowability of these claims. He argued essentially that the amendments now made found support in the application as filed and he referred to particular sections of the original application. With respect to the penicillinyl-moiety for group B in claim 1 as amended he pointed to the penem structure disclosed on page 19, line 15 and following of the application as filed. Fresh claim 1 as amended read as follows:

"1. A compound having the structure:



(B) (L) (Q)

or



(Q) (L) (B)

wherein in the group (Q)

(1) A^1 is N or C(R^7); where

(i) R^7 is hydrogen, hydroxy, alkoxy, nitro, cyano, halogen, C_1-C_8 alkyl, or N(R^8)(R^9), and

(ii) R^8 and R^9 are, independently, R^{8a} where R^{8a} is hydrogen; C_1-C_8 alkyl; C_2-C_8 alkenyl; a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle; or a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; or R^8 and R^9 together form a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle including the nitrogen to which they are bonded; and

wherein said heterocycles have one or more heteroatoms selected from O, N or S;

(2) A^2 is N or C(R^2); where R^2 is hydrogen or halogen;

(3) A^3 is N or C(R^5); where R^5 is hydrogen;

(4) R^1 is hydrogen or R^{15};

(5) R^3 is hydrogen, halogen, or R^{16};

(6) R^{15} is C_1-C_8 alkyl; a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle; a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; alkoxy; hydroxy; C_2-C_8 alkenyl; arylalkyl; or N(R^8)(R^9); and wherein said arylalkyl is a C_1-C_8 alkyl substituted with an aryl group; wherein said heterocycles have one or more heteroatoms selected from O, N or S;

(7) R^{16} is C_1-C_8 alkyl; a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle; or a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; wherein said heterocycles have one or more heteroatoms selected from O, N or S;

(8) R^4 is hydroxy; and

(9) R^6 is hydrogen, halogen, nitro, or N(R^8)(R^9);

and wherein:

(1) when A^1 is C(R^7), R^1 and R^7 may together form a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle including N' and A^1; wherein said heterocycles have one or more heteroatoms selected from O, N or S;

(2) when A^2 is C(R^2), R^2 and R^3 may together form -O-$(CH_2)_n$-O-, where n is an integer from 1 to 4;

(3) when A^3 is C(R^5), R^4 and R^5 may together form a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle including the carbon atoms to which R^4 and R^5 are bonded and the carbon atom to which said carbon atoms are bonded; and wherein said heterocycles have one or more heteroatoms selected

from O, N or S; and

(4) when A^3 is $C(R^5)$, R^1 and R^5 may together form a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle including N' and the adjacent carbon to which R^5 is bonded; and wherein said heterocycles have one or more heteroatoms selected from O, N or S;

wherein, in the group (B)

R^{10} is hydrogen; halogen; C_1-C_8 alkyl; C_2-C_8 alkenyl; a 3-8 atom heteroalkyl having 1 or 2 heteroatoms selected from O, N or S; a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle; a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; R^{8a}-O-; $R^{8a}CH=N$-; $(R^8)(R^9)N$-; R^{17}-$C(=CHR^{20})$-C(=O)NH-; R^{17}-$C(=NO$-$R^{19})$-C(=O)NH-; or R^{18}-$(CH_2)_m$-C(=O)NH-; and wherein said heterocycles have one or more heteroatoms selected from O, N or S; and where

(1) m is an integer from 0 to 9;

(2) R^{17} is hydrogen; C_1-C_8 alkyl; C_2-C_8 alkenyl; a 3-8 atom heteroalkyl having 1 or 2 heteroatoms selected from O, N or S; a heteroalkenyl having 2 to 8 carbon atoms and heteroatoms selected from O, N or S; a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle; or a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; wherein said heterocycles have one or more heteroatoms selected from O, N or S;

(3) R^{18} is R^{17}, $-Y^1$, or $-CH(Y^2)(R^{17})$;

(4) R^{19} is R^{17}; arylalkyl; heteroarylalkyl; $-C(R^{22})(R^{23})COOH$; $-C(=O)O-R^{17}$; or $-C(=O)NH-R^{17}$; wherein said arylalkyl is a C_1-C_8 alkyl substituted with an aryl group and wherein said heteroarylalkyl is a C_1-C_8 alkyl substituted with a heteroaryl group having one or more heteroatoms selected from O, N or S; and where R^{22} and R^{23} are,

independently, R^{17} or together form a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle or a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle, including the carbon atom to which R^{22} and R^{23} are bonded; and wherein said heterocycles have one or more heteroatoms selected from O, N or S;

(5) R^{20} is R^{19}, halogen $-Y^1$, or $-CH(Y^2)(R^{17})$;

(6) Y^1 is $-C(=O)OR^{21}$, $-C(=O)R^{21}$, $-N(R^{24})R^{21}$, $-S(O)_pR^{29}$, or $-OR^{29}$; and Y^2 is Y^1 or -OH, -SH, or $-SO_3H$;

(a) p is an integer from 0 to 2;

(b) R^{24} is hydrogen; C_1-C_8 alkyl; C_2-C_8 alkenyl; a 3-8 atom heteroalkyl having 1 or 2 heteroatoms selected from O, N or S; a heteroalkenyl having 2 to 8 carbon atoms and heteroatoms selected from O, N or S; a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle; a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; $-SO_3H$; $-C(=O)R^{25}$; or, when R^{18} is $-CH(N(R^{24})R^{21})R^{17}$), R^{24} and R^{21} may together form a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; wherein said heterocycle consists of one or more heteroatoms selected from O, N or S; and

(c) R^{25} is R^{17}; $NH(R^{17})$; $N(R^{17})(R^{26})$; $O(R^{26})$; or $S(R^{26})$; where R^{26} is C_1-C_8 alkyl; C_2-C_8 alkenyl; a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle; a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; or when R^{25} is $N(R^{17})(R^{26})$, R^{26} and R^{17} may together form a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; wherein said heterocycles have one or more heteroatoms

selected from O, N or S; and

(7) R^{21} is R^{29} or hydrogen; where R^{29} is C_1-C_8 alkyl; C_2-C_8 alkenyl; arylalkyl consisting of a C_1-C_8 alkyl substituted with an aryl group; a 3-8 atom heteroalkyl having 1 or 2 heteroatoms selected from O, N or S; a heteroalkenyl having 2 to 8 carbon atoms and heteroatoms selected from O, N or S; heteroarylalkyl, consisting of a C_1-C_8 alkyl substituted with a heteroaryl group substituted with one or more heteroatoms selected from O, N or S; a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle; a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; or, when Y^1 is $N(R^{24})R^{21}$ and R^{21} is R^{29}, R^{21} and R^{24} may together form a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle including the nitrogen atom to which R^{24} is bonded; wherein said heterocycles have one or more heteroatoms selected from O, N or S;

R^{11} is hydrogen, halogen, alkoxy, or $R^{27}C(=O)NH$-, where R^{27} is hydrogen or C_1-C_8 alkyl;

and

L links Q to B; and L is L', $-X^2_t-R^{39}-L'$, or $-X^3_t-R^{39}-L'$, where L' is Q', $-X^2-Q'$, $-X^3-Q''$, $-X^4_t- C(=Y^3_u)-Z-Q''$, $-X^5_t-PO(Y^4_u\ R^{8a})-Z'-Q''$, or $X^5_tSO_2-Z'-Q''$;

(1) t and u are, independently, 0 or 1;

(2) R^{39} is C_1-C_8 alkyl, C_2-C_8 alkenyl, a 3-8 atom heteroalkyl having one or two heteroatoms selected from O, N or S, a heteroalkenyl having 2 to 8 carbon atoms and heteroatoms selected from O, N or S, a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle; and wherein said heterocycles have one or more heteroatoms selected from O, N or S;

(3) X^2 is oxygen, or $S(O)_v$, where v is an integer from 0 to 2;

(4) X^3 is nitrogen; $N(R^{40})$; $N^+(R^{41})(R^{42})$; or R^{43}-$N(R^{41})$; where

(a) R^{40} is R^{8a}; -O R^{8a}; or $-C(=O)R^{8a}$;

(b) R^{41} and R^{42} are, independently, hydrogen; C_1-C_8 alkyl; C_2-C_8 alkenyl; a 3-9 atom monocyclic or 7-17 atom polycyclic or a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle, or, together with Q'', comprise a 3-9 atom monocyclic or 7-17 atom polycyclic heterocycle as R^{15} or R^{16}; and wherein said heterocycles have one or more heteroatoms selected from O, N or S;

(c) R^{43} is $N(R^{41})$, oxygen or sulfur;

(5) X^4 is oxygen, sulfur, NR^{40}, or R^{43}-NR^{41};

(6) X^5 is oxygen or NR^{41};

(7) Y^3 is oxygen, sulfur, $N(R^{40})$ or $N^+(R^{41})(R^{42})$;

(8) Y^4 is oxygen or NR^{41};

(9) Z is nil, oxygen, sulfur, nitrogen, NR^{40}, or $N(R^{41})$-R^{43};

(10) Z' is nil, oxygen, nitrogen, or NR^{41};

(11) Q' is R^{15} or R^{16}; and

(12) Q'' is Q'; or together with X^2, X^3, Z or Z', is an R^{15} or R^{16} group;

wherein references herein to carbocycle(s) and heterocycle(s) mean substituted or unsubstituted ring radicals; and pharmaceutically-acceptable salts and biohydrolyzable esters thereof, and hydrates thereof."

VI. The Appellant requested in writing that the decision under appeal be set aside and that a patent be granted on the basis of claims 1 to 16 filed with the letter dated 20 December 1999.

VII. Oral proceedings were held on 13 January 2000 in the

absence of the Appellant who, after having been duly summoned, informed the Board with the letter dated 5 January 2000 that he withdrew his auxiliary request for oral proceedings. 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. The issue arising from this appeal is whether or not the claims, in particular independent claim 1 as amended, satisfy the requirements of Article 123(2) EPC, which is stated in the decision under appeal as being the sole ground for refusal of the application.

3. Amendments (Article 123(2) EPC)

3.1 The subject-matter of claim 1 is based on claim 1 of the application as originally filed. The formula of the penicillinyl-moiety for the group B in both general formulae of claim 1 is supported by page 19, lines 15 to 30 of the application as filed wherein the ring member W is S. The linkage of the group (Q) to the groups (L) and (B) via the group R^{15} in the one general formula or via the group R^{16} in the other general formula of claim 1 represents the graphical reproduction of the typewritten alternative embodiments (I) (C) (1) and (I) (C) (2) of claim 1 as filed, respectively. The definitions of the chain length of the alkyl and alkenyl groups as having 1 to 8 or 2 to 8 carbon atoms, respectively, find support on page 15, lines 5 and 13 of the application as filed. The

carbocyclic and heterocyclic rings are defined on page 15, lines 15, 18 to 20, 24 and 28 to 30 of the original application to be substituted or unsubtituted and to be a 3-9 atom monocyclic or 7-17 atom polycyclic carbocycle or heterocycle, thus, providing a proper basis for the respective amendments throughout claim 1. Page 15, lines 1 and 26 of the application as filed backs up the features of claim 1 that the heterocycles have one or more heteroatoms and that the latter are selected from O, N or S. The definition of the arylalkyl and heteroarylalkyl groups in claim 1 to consist of a C_1-C_8 alkyl substituted with an aryl or heteroaryl group having one or more heteroatoms selected from O, N or S, respectively, is found on page 16, line 9 in combination with page 15, lines 1, 5, 24 to 26 and 34 of the application as filed. The number of 3-8 atoms and of 1 or 2 heteroatoms comprised in the heteroalkyl groups of claim 1 is based on page 15, lines 9 to 11 of the original application. The definition of the heteroalkenyl groups in claim 1 as having 2 to 8 carbon atoms finds support on page 15, lines 12 and 13 of the application as filed. The reformulated wording "**and** wherein" comprised in between the first and the second section of the list of definitions for the group (Q) clarifies merely the original fact that the second section recite definitions in addition to those of the first section, without adding subject-matter extending beyond the content of the application as filed.

3.2 The further claims 2 to 16 find a basis in claims 5 to 13, 15 and 17 to 20 as originally filed.

3.3 For these reasons, the Board concludes that the

claims 1 to 16 as amended meet the requirements of Article 123(2) EPC.

4. *Remittal*

4.1 It follows from the above, that by substantially amending the refused independent claim 1, the Appellant has overcome the objections pursuant to Article 123(2) EPC raised in the decision under appeal. The examination not yet having been concluded, the Board exercises its power under Article 111(1) EPC to remit the case to the Examining Division for further prosecution.

4.2 The Board has noted some misprints within claim 1 giving rise to unclarity, namely:

- in the second general formula the chemical bond between the ring member N' and the substituent R^1 is missing and the ring member N'' does not clearly form the bridge atom;

- in both general formulae the zigzag line linking the penicilinyl moiety (B) and the group (L) shows two edges which appears ambiguous since it symbolizes one methylene group;

- the wordings "consists of" and "substituted with" comprised in the definitions (6)(b) or (7) of the group (B), respectively, appear to be inappropriate in the given context of a heterocycle or a heteroaryl group, respectively;

- the opening bracket for R^{17} is missing in the

definition (6)(b) of the group (B); and

- the term "carbocycle" is missing in the definition (4) (b) of the group (L) in claim 1.

Any corrective action which may become necessary to bring the dependent claims into line with the amendments made to independent claim 1 is left to the Appellant and 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 first instance for further prosecution.

The Registrar: The Chairman:

E. Görgmaier A. Nuss