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D E C I S I O N
of 28 March 2001

Case Number: T 0278/98 - 3.3.1

Application Number: 91920248.1

Publication Number: 0592439

IPC: C07C 307/06

Language of the proceedings: EN

Title of invention:
Aminosulfonyl Carbamates

Applicant:
WARNER-LAMBERT COMPANY

Opponent:
-

Headword:
Carbamates/WARNER-LAMBERT

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

Keyword:
"Amendments - deletion of features generates fresh technical information (not allowable) - restriction to dependent claim as filed (allowable)"
"Claims substantially amended on appeal - remittal"

Decisions cited:
T 0063/86, T 0288/92, T 0680/93

Catchword:
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Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 0278/98 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 28 March 2001

Appellant: WARNER-LAMBERT COMPANY
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Decision under appeal: **Decision of the Examining Division of the European Patent Office posted 28 November 1997 refusing European patent application No. 91 920 248.1 pursuant to Article 97(1) EPC.**

Composition of the Board:

Chairman: R. Freimuth
Members: P. P. Bracke
J. P. B. Seitz

Summary of Facts and Submissions

I. The appeal lodged on 23 January 1998 lies from the decision of the Examining Division posted on 28 November 1997 refusing European patent application No. 91 920 248.1 (European publication No. 0 592 439), published as WO-A-92/08693.

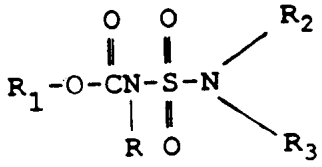
II. The decision under appeal was based on claims 1 to 10 submitted on 29 April 1993 according to the then pending request. The Examining Division found that the claims lacked clarity and that the subject-matter claimed did not involve an inventive step.

The Examining Division held in particular that claims 1 and 8 according to the then pending request were not clear due to the exaggerated length of those claims and the high number of provisos included therein with the consequence that the interpretation of the scope of the claims was not possible without undue burden. Given the obscurity of the scope of the claims the Examining Division did not take a decision on novelty. However, the Examining Division objected to the breadth of the then pending claims 1 to 6 and 8 to 10 since the Applicant had not successfully demonstrated the presence of the alleged ACAT(acyl-coenzyme A: cholesterol acyltransferase)-inhibiting property for all claimed compounds, though the onus of proof rested on him. In such cases where the problem underlying the application could not be regarded as satisfactorily solved by the claimed subject-matter, inventive step could not be acknowledged.

III. At the Oral proceedings before the Board held on 28 March 2001 the Appellant (Applicant) submitted three

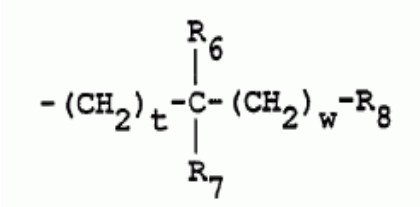
fresh sets of claims as main and auxiliary requests superseding any previous request. The main request comprised eight claims, the first auxiliary request seven claims and the second auxiliary request three claims. The amended claim 1 according to the main request read as follows:

"1. A pharmaceutical composition comprising a compound of the general Formula I and an appropriate amount of a pharmaceutically acceptable carrier:



Formula I

wherein R is hydrogen or a straight or branched alkyl group having from 1 to 8 carbon atoms,
wherein R_1 is
(a) phenyl which is unsubstituted or is substituted with from one to three substituents selected from:
phenyl,
alkyl having from one to six carbon atoms and which is straight or branched or
alkoxy having from one to six carbon atoms and which is straight or branched,
(b) a straight or branched hydrocarbon chain having from 1 to 20 carbon atoms and which is saturated or contains from one to three double bonds;
wherein each of R_2 and R_3 is
(a) hydrogen;
(b) the group



wherein t is zero or one to four; w is zero or one to four with the proviso that the sum of t and w is not greater than five; R_6 and R_7 are independently selected from hydrogen or alkyl having from one to six carbon atoms, R_8 is phenyl, and when R_6 is hydrogen, R_7 can also be phenyl;

(c) a straight or branched hydrocarbon chain having from 1 to 20 carbon atoms and which is saturated or contains from one to three double bonds;

(d) an alkyl group having from one to six carbon atoms wherein the terminal carbon is substituted with $-NR_6R_7$ wherein R_6 and R_7 have the meanings defined hereinabove;

(e) $-(CH_2)_sQ$ wherein s is a number of from zero to three and Q is a 5- or 6-membered monocyclic or fused bicyclic heterocycle containing at least one to four nitrogen, oxygen or sulfur atoms in at least one ring number,

(f) phenyl or phenyl substituted with from one to three alkyl radicals having from one to six carbon atoms and which is straight or branched; or

(g) NR_1R_2 taken together form a monocyclic heterocyclic group selected from pyrrolidino, piperidino, morpholino, or piperazino, each of which is unsubstituted or substituted with one substituent selected from phenyl, straight or branched alkyl having from one to six carbon atoms; and pharmaceutically acceptable salts thereof."

Claim 1 according to the first auxiliary request was directed to the use of the compounds as defined in claim 1 of the main request for the preparation of a pharmaceutical preparation for treating hypercholesterolemia and atherosclerosis in a patient.

Claim 1 according to the second auxiliary request read as follows:

"1. An aminosulfonyl-carbamate which is:
Methyl[[2,6-bis(1-methylethyl)phenylamino] sulfonyl]carbamate,
Dodecyl[[2,6-bis(1-methylethyl)phenylamino] sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methoxyphenyl[[(2,2-diphenylethyl)amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methoxy phenyl[[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)phenyl-[[diphenylmethyl)amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)phenyl[[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)phenyl[[(2,2-diphenylethyl)amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)phenyl[[bis (phenylmethyl)amino]sulfonyl]carbamate,
2,6-bis(1-methylethyl)phenyl[(diphenylamino) sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[(dibutylamino) sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[[bis(phenylmethyl) amino]sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[(1H-benzimidazol-2-ylamino)sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[[2,2-

diphenylethyl)amino sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[[(diphenylmethyl)amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[[(diphenylmethyl)amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[[[bis(2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[[(2,2-diphenylethyl)amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[(dibutylamino)sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[(dipentylamino)sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[[bis(1-methylethyl)amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[(dihexylamino)sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[(hexylamino)sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[[methyl(2-phenylethyl)amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[[[bis-3-(dimethylamino)propyl]amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[(methyloctylamino)sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methyl[[bis[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[(dioctylamino)sulfonyl]carbamate,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[[methyl 2-(2-pyridinyl)ethyl]amino]sulfonyl]carbamate,

hydrochloride salt,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[[[methyl 2-(2-pyridinyl)ethyl]amino]sulfonyl]carbamate, sodium salt,
2,6-Bis(1,1-dimethylethyl)-4-methylphenyl [(didecylamino)sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[[bis(1-methylethyl) amino]sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[[(1-methylethyl) phenylmethyl)amino]sulfonyl]carbamate,

2,6-Bis(1-methylethyl)phenyl[(hexylamino) sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[(dioctylamino) sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[[cyclo-hexyl(1-methylethyl)amino]sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[(methyloctylamino) sulfonyl]carbamate,
2,6-Bis(1-methylethyl)phenyl[(dihexylamino) sulfonyl]carbamate,
Dodecyl[[(2,4,6-trimethoxyphenyl)amino]sulfonyl] carbamate,
2,6-Bis(1-methylethyl)phenyl ester(4-morpholinylsulfonyl)carbamic acid,
2,6-Bis(1-methylethyl)phenyl ester(1-piperidinylsulfonyl)carbamic acid,
2,6-Bis(1-methylethyl)phenyl ester(1-pyrrolidinylsulfonyl)carbamic acid,
2,6-Bis(1-methylethyl)phenyl ester, monohydro-chloride[(4-methyl-1-piperazinyl)sulfonyl]carbamic acid,
2,6-Bis(1-methylethyl)phenyl ester[(2,3-dihydro-1H-indol-1-yl)sulfonyl]carbamic acid,
2,6-Bis(1-methylethyl)phenyl[(dibutylamino)

sulfonyl]carbamate monosodium salt, [1,1:3',1"-Terphenyl]-2'-yl[[[2,6-bis(1-methylethyl)phenyl]amino]sulfonyl]carbamate, and 2,6-Bis(1,1-dimethylethyl)phenyl[[(diphenylmethyl)amino]sulfonyl]methylcarbamate."

Claim 2 according to the second auxiliary request was directed to a pharmaceutical composition comprising an aminosulfonyl-carbamate of claim 1, 2,6-Bis(1-methylethyl)phenyl[(phenylamino)sulfonyl]carbamate, 2,6-Bis(1-methylethyl)phenyl[(dipentylamino)sulfonyl]carbamate or 2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[(phenylamino)sulfonyl]carbamate, and an appropriate amount of a pharmaceutically acceptable carrier. Claim 3 according to that request was directed to the use of a compound of claim 2 for the preparation of a pharmaceutical composition for treating hypercholesterolemia and atherosclerosis.

The Appellant submitted that those fresh sets of claims reflected the preferred scope of the present invention. The claims had been restricted to those definitions of formula I which were generally supported by examples and original experimental data, thereby overcoming the objections raised in the decision under appeal. He argued furthermore that any amendment made to the claims according to the main and the auxiliary requests was in keeping with the requirements of Article 123(2) EPC. Though claim 1 according to the main and the first auxiliary request omitted the three provisos (i), (ii) and (iii) which were comprised in the respective claims of the application as filed, that amendment did not extend beyond the content of the application as filed since provisos could be deleted any time without contravening the requirements of Article 123(2) EPC.

IV. The Appellant requested that the decision under appeal be set aside and that the case be remitted to the first instance for further prosecution on the basis of either the main, or one of the two auxiliary requests, filed during the oral proceedings before the Board.

V. At the end of the oral proceedings the decision of the Board was announced.

Reasons for the Decision

1. The appeal is admissible.

Main Request

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

2.1 The subject-matter of claim 1 directed to a pharmaceutical composition is based on claim 8 of the application as filed. While original claim 8 includes three mandatory provisos (i), (ii) and (iii) restricting the definition of the compounds comprised in that pharmaceutical composition, present claim 1 has been amended by omitting those provisos. In order to determine whether that amendment offends against Article 123(2) EPC, it is necessary to examine whether it introduces technical information which a skilled person would not have directly and unambiguously derived from the application as filed (see decisions T 288/92, point 3.1 of the reasons; T 680/93, point 2 of the reasons; neither published in OJ EPO).

The provisos (i), (ii) and (iii) according to original claim 8, which are also required according to the

description as filed, specify each mandatory meanings for some substituents in the compounds of formula I. Thus, the proviso (ii) as filed requires that at least one of the substituents R_1, R_2 and R_3 in those compounds is phenyl or substituted phenyl and the proviso (iii) as filed stipulates that both substituents R_2 and R_3 in those compounds are not hydrogen at the same time. However, present claim 1 comprises neither proviso. As the result of that amendment made to claim 1, i.e. omitting the provisos (ii) and (iii), that claim covers pharmaceutical compositions comprising compounds of formula I wherein none of the substituents R_1, R_2 and R_3 represents (substituted) phenyl, and compositions comprising compounds wherein both substituents R_2 and R_3 are hydrogen at the same time, which is at variance with the content of the application as filed.

Therefore, in the Board's judgement, this amendment of present claim 1 results in generating technical information which is not directly and unambiguously derivable from the application as filed.

2.2 While not contesting the above finding at the oral proceedings before the Board, the Appellant claimed the unrestricted right to omit provisos in present claim 1 at any time in examination proceedings without contravening the provisions of the European Patent Convention. However, Article 123(2) EPC stipulates that any amendment made to a European patent application must not result in subject-matter extending beyond the content of the application as filed. The Board observes that in the present case those provisos were mandatory in the application as filed with the consequence that their deletion in present claim 1, which is an amendment within the meaning of Article 123(2) EPC, is

subject to that requirement of the EPC. Thus, the Appellant's allegation of an unrestricted right to omit those provisos conflicts in the present case with the EPC and, hence, cannot convince the Board.

2.3 For the reasons given above, the Board concludes that claim 1 as amended extends the subject-matter claimed beyond the content of the application as filed, thus contravening the requirements of Article 123(2) EPC. In these circumstances, the Appellant's main request is not allowable.

First auxiliary request

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

Claim 1 is directed to the use of the compounds as defined in claim 1 of the main request and, thus, is also devoid of the mandatory provisos (i), (ii) and (iii) of the application as filed. The considerations having regard to the requirements of Article 123(2) EPC given in point 2.1 above with respect to the main request are based on the omission of those provisos in claim 1. Therefore the conclusion drawn on point 2.3 above with regard to the main request still applies for the first auxiliary request, i.e. its subject-matter extends beyond the content of the application as filed.

In these circumstances, the Appellant's first auxiliary request is also not allowable for contravening the requirements of Article 123(2) EPC.

Second auxiliary request

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

The subject-matter of claim 1 is based on original claim 7. The amendment of the substituent "dodecylamino" into "didecylamino" in the compound 2,6-Bis(1,1-dimethylethyl)-4-methylphenyl[(didecylamino) sulfonyl]carbamate of claim 1 finds support in example 34 on page 38, line 12 of the application as filed. Claim 2 is backed up by claims 7 and 8, and examples 14, 21, 34 and 42 of the application as filed. Claim 3 has a proper basis in original claims 7, 8 and 9.

For those reasons, the Board concludes that claims 1 to 3 as amended are in keeping with the requirements of Article 123(2) EPC.

5. *Remittal*

Having so decided, the Board has not, however, taken a decision on the whole matter, since the Examining Division has not yet ruled on novelty and since substantial amendments have been made to the fresh set of claims according to the second auxiliary request which was only presented at the oral proceedings before the Board. The decision under appeal dealt exclusively with lack of clarity and lack of inventive step in respect of claims 1 to 6 and 8 to 10 according to the then pending request and did not object to the then pending claim 7. The amendments made to the fresh set of claims according to the second auxiliary request, which consist in particular in restricting the scope of the claims basically to former claim 7, have the effect that the reasons given in the contested decision for refusing the present application no longer apply since the now pending claims have never been challenged under Article 84 EPC for lack of clarity or under Article 56 EPC for lack of inventive step.

Thus, the Board considers that the amendments made by the Appellant remove all the objections raised in the decision under appeal and are substantial in the sense that in the present case the examination has to be done on a new basis, with the consequence that the appeal is well founded.

This finding is in line with established jurisprudence of the Boards of Appeal that an appeal is to be considered well founded if the Appellant no longer seeks grant of the patent with a text as refused by the Examining Division and if substantial amendments are proposed which clearly meet the objections on which the decision relies (see decision T 63/86, OJ EPO 1988, 224).

Under these circumstances, the examination not having been concluded, the Board considers it appropriate to exercise its power conferred to it by Article 111(1), second sentence, second alternative, EPC to remit the case to the Examining Division for further prosecution on the basis of the second auxiliary request.

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 the second auxiliary request filed during the oral proceedings before the Board.

The Registrar: The Chairman:

N. Maslin R. Freimuth