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D E C I S I O N
of 13 December 2001

Case Number: T 0232/97 - 3.3.1

Application Number: 90112333.1

Publication Number: 0405537

IPC: C07D 209/20

Language of the proceedings: EN

Title of invention:

N-substituted cycloalkyl and polycycloalkyl alpha-substituted
Trp- Phe- and phenethylamine derivatives

Applicant:

WARNER-LAMBERT COMPANY

Opponent:

-

Headword:

Tryptophan derivatives/WARNER-LAMBERT COMPANY

Relevant legal provisions:

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

Keyword:

"Amendment (not allowable) - a generic disclosure does not
reveal any specific group covered thereby - inadmissible
generalisation of structural element of individual compounds"

Decisions cited:

G 0010/93, T 0288/92, T 0680/93

Catchword:

-



Case Number: T 0232/97 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 13 December 2001

Appellant: WARNER-LAMBERT COMPANY
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Decision under appeal: Decision of the Examining Division of the
European Patent Office posted 11 October 1996
refusing European patent application
No. 90 112 333.1 pursuant to Article 97(1) EPC.

Composition of the Board:

Chairman: A. J. Nuss
Members: R. Freimuth
S. C. Perryman

Summary of Facts and Submissions

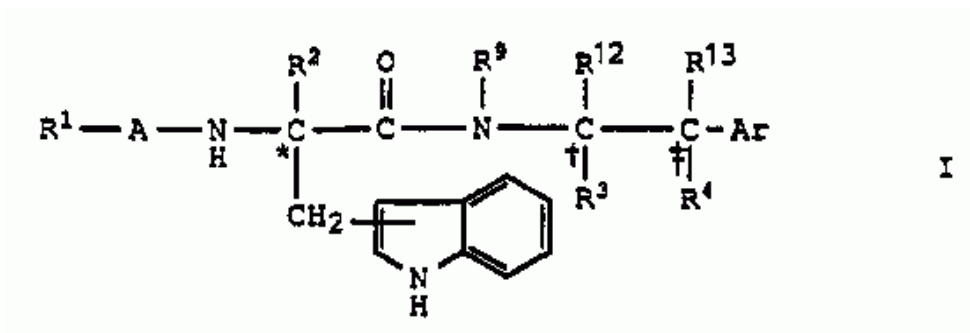
- I. The appeal lodged on 5 December 1996 lies from the decision of the Examining Division posted on 11 October 1996 refusing European patent application No. 90 112 333.1 (European publication No. 405 537).
- II. The decision under appeal was based on claims 1 to 62 according to the then pending request. The Examining Division found that 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 meaning "tetrazole" of the substituent D in claim 1 did not find support in the application as filed. The individual compound C-49, which was identical to the individual compound C-100, comprised the tetrazole group. However, that compound was not covered by claim 1 since the particular group B linking the substituent D to the rest of that molecule did not fall under the definition given in claim 1. Thus, the individual compound C-49 could not serve as basis for the amendment made to claim 1. In the individual compounds C-11, C-12 and C-86 the substituent D was the tetrazole group and the linking group B fell within the definition given in claim 1. However, the disclosure of these three individual compounds was limited to their structural elements in their specific combination excluding any variability of the numerous substituents included in general formula I. Thus, any information which could only be obtained by mentally disassembling the structural formula of an individual chemical compound into its constituent components and then

arbitrarily reassembling them could not be directly and unambiguously derived from the application as filed. The amendment of incorporating the tetrazole group in claim 1 for the substituent D formed an arbitrary class of compounds which had no basis in the original application.

III. The Appellant (Applicant) submitted on 10 February 1997 four fresh sets of claims as main and auxiliary requests together with the Statement of Grounds of Appeal superseding any previous request. The main request comprised sixty claims, the first auxiliary request sixty-two claims, the second auxiliary request sixty claims and the third auxiliary request sixty-two claims. Claim 1 according to the main request read as follows:

"1. A compound of the formula



or a pharmaceutically acceptable salt thereof wherein: R¹ is a cycloalkyl or polycycloalkyl hydrocarbon of from three to twelve carbon atoms with from zero to four substituents each independently selected from the group consisting of a straight or branched alkyl of from one to about six carbon atoms, halogen, CN, OR*, SR*, CO₂R*, CF₃, NR⁵R⁶, and -(CH₂)_nOR⁵ wherein R* is hydrogen or a straight or branched alkyl of from one to six carbon

atoms, R⁵ and R⁶ are each independently hydrogen or alkyl of from one to about six carbon atoms and n is an integer from zero to six;

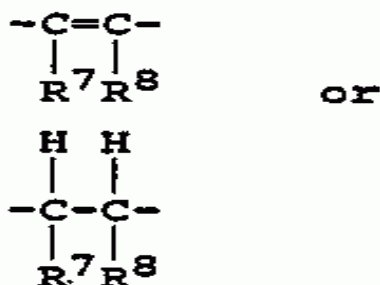
A is -(CH₂)_nCO-, -SO₂-, -S(=O)-, -NHCO-, -(CH₂)_n-OCO-, -SCO-, -O-(CH₂)_nCO- or -HC=CHCO- wherein n is an integer from zero to six;

R² is a straight or branched alkyl of from one to about six carbon atoms, -HC=CH₂, -C/CH, -CH₂-CH=CH₂, -CH₂C/CH, -CH₂Ar, -CH₂OR*, -CH₂OAr, -(CH₂)_nCO₂R*, or -(CH₂)_nNR⁵R⁶ wherein n, R*, R⁵ and R⁶ are as defined above and Ar is as defined below;

R³ and R⁴ are each independently selected from hydrogen, R² and -(CH₂)_{n'}-B-D wherein:

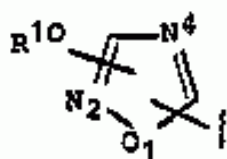
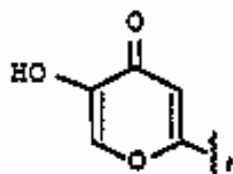
n' is an integer of from zero to three;

B is a bond, -OCO(CH₂)_n-, -O(CH₂)_n-, -NHCO(CH₂)_n-, -CONH(CH₂)_n-, -NHCOCH=CH-, -COO(CH₂)_n-, -CO(CH₂)_n-, -S-(CH₂)_n-, -S(=O)-(CH₂)_n-, -SO₂-(CH₂)_n-,



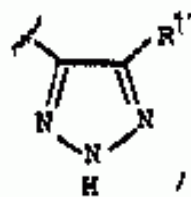
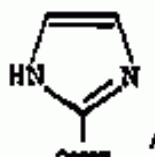
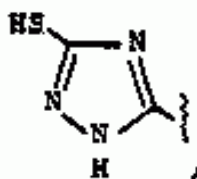
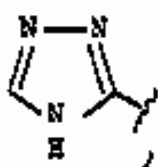
wherein R⁷ and R⁸ are independently selected from hydrogen and R² or together form a ring (CH₂)_m wherein m is an integer of from 1 to 5 and n is as defined above;

D is -COOR*, -CONR⁵R⁶, -CN, -NR⁵R⁶, -OH, -H and acid replacements such as **tetrazole**

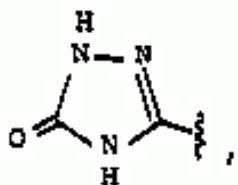


R^{10} is $OH, NH_2, CH_3, Cl,$
 HO_2S- ,
 HO_2P- ,

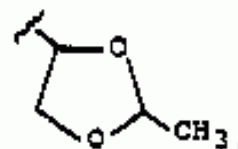
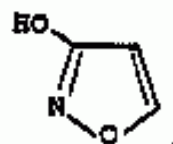
1,2,4oxadiazole



R^{11} is $CN, CO_2H, CF_3,$



$PhSO_2NHCO-$,
 $CF_3CONHCO-$,
 CF_3SO_2NHCO- ,
 H_2NBO- ,



$-CH_2OR^*$, $-CHR^2OR^*$, $-CH_2SR^*$, $-CHR^2SR^*$,

wherein R^* , R^2 , R^5 , and R^6 are as defined above;

R^9 is hydrogen or a straight or branched alkyl of from one to about six carbon atoms, $-(CH_2)_nCO_2R^*$, $-(CH_2)_nOAr'$, $-(CH_2)_nAr'$ or $(CH_2)_nNR^5R^6$, wherein n , R^* , R^5 , and R^6 are as defined above or taken from R^3 and Ar' is taken from Ar as defined below;

R^{12} and R^{13} are each independently hydrogen or are each independently taken with R^3 and R^4 respectively to form a moiety doubly bonded to the carbon atom; and

Ar is 2- or 3-thienyl, 2- or 3-furanyl, 2-, 3- or 4-pyridinyl or an unsubstituted or substituted phenyl whose substituents if any are each independently hydrogen, fluorine, chlorine, bromine, iodine, methyl, methoxy, trifluoromethyl or nitro." (emphasis added)

Claim 1 according to the first auxiliary request differed from claim 1 according to the main request exclusively in omitting the meaning "tetrazole" from the list of alternative definitions given for the substituent D. Claims 1 according to the second and the third auxiliary request differed from claim 1 according to the main and the first auxiliary request, respectively, in that the term "selected from (comprising)" was substituted for the term "such as" at the beginning of the list of acid replacements for the substituent D.

IV. The Appellant submitted that the amendment of claim 1 objected to by the Examining Division was supported by the application as filed. Tetrazole was a group covered by the generic term "acid replacements" given in original and present claim 1 for the substituent D; this fact was well known in the art as shown by the fresh document

(4) Chemie der Heterocyclen, H. Lettau, 1980, pages 80 to 89.

Therefore the skilled person would automatically include the tetrazole group as a member of "acid replacements" without any further consideration. The Appellant conceded that the individual compound C-49 was not covered by the generic formula I of claim 1 due to the different linking group B. The individual compounds C-11, C-86 and Example 34 fell within claim 1 and comprised tetrazole as an acid replacement group D. However, the skilled person would not restrict that particular acid replacement group tetrazole to those individual compounds only. Such narrow and literal interpretation of the content of the application as

filed ignored the skilled man's ability for abstract thoughts which led him to the conclusion that tetrazole was nothing but an inadvertently omitted additional equivalent example for the originally disclosed "acid replacements". Therefore the correctly interpreted definition of substituent D was amended in claim 1 to include an omitted radical on the basis of examples clearly disclosing it without changing the scope of the amended claim which was, thus, in keeping with the requirements of Article 123(2) EPC.

- V. The Appellant requested that the decision under appeal be set aside and that a patent be granted on the basis of the set of claims according to the main request or subsidiarily of the sets of claims according to the first to third auxiliary request, all sets of claims submitted with letter dated 6 February 1997.

- VI. Oral proceedings were held on 13 December 2001. At the end of the oral proceedings the decision of the Board was announced.

Reasons for the Decision

- 1. The appeal is admissible.

- 2. *Scope of examination on appeal*
 - 2.1 While Article 111(1) EPC gives the Boards of Appeal the power to raise new grounds in ex-parte proceedings where the application has been refused on other grounds, proceedings before the Boards of Appeal in ex-parte cases are primarily concerned with examining the contested decision (see decision G 10/93, OJ EPO 1995,

172, points 4 and 5 of the reasons), other objections normally being left to the Examining Division to consider after a referral back, so that the Appellant has the opportunity for these to be considered without loss of an instance.

- 2.2 In the present case the Board, thus, restricts itself to considering whether the amended claim 1 meets 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.

Main request

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

- 3.1 Claim 1 is based on original claims 1 and 6. Moreover, the Appellant has introduced into claim 1 the fresh meaning "tetrazole" in the list of "acid replacements" defining the substituent D. That amendment was the sole ground given in the decision under appeal for refusing the present application. In case of such an amendment, it must be fully examined by the Board as to its compatibility with the provisions of Article 123(2) EPC.

- 3.2 In order to determine whether or not an amendment offends against Article 123 (2) EPC it has to be examined whether technical information has been introduced which a skilled person would not have objectively 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).

- 3.3 The Appellant conceded that the application as filed

lacks any general disclosure of the fresh definition "tetrazole" as a specific embodiment of the substituent D. The meaning "tetrazole" is exclusively disclosed in the original application as part of the structure of the individual compounds C-11, C-12, C-49, C-86, C-100, Example 33, Example 34, Table I No. 49, formulae No. 86 and 90, and compounds (44) and (62) of the reaction schemes on pages 35 and 37. Thus, it has to be established whether or not those particular individual compounds form a proper basis for generalising the definition "tetrazole" of the substituent D to any compound covered by claim 1.

3.3.1 The individual compounds named C-49, C-100, Table I No.49 and formula No. 90 in the original application are indeed structurally identical, hence being only one single individual compound. This individual compound is covered neither by original nor present claim 1 as conceded by the Appellant since the linking group B in that compound has a carboxamido group located between the substituents B and D which is not provided for in claim 1. That individual compound being outside of the scope of claim 1, it cannot form a proper basis for any amendment of that claim.

3.3.2 The individual compounds named C-86, Examples 33 and 34, and formula No. 86 in the original application are structurally identical, hence exemplifying only one single individual compound, as conceded by the Appellant at the oral proceedings before the Board.

3.4 For these reasons, exclusively the three particular individual compounds C-11, C-12 and C-86 plus both compounds (44) and (62) of the reaction schemes on pages 35 and 37 remain for being taken into

consideration when assessing whether or not the fresh definition "tetrazole" of the substituent D in claim 1 as amended is supported by the original application as required by Article 123(2) EPC.

- 3.5 These five particular individual compounds comprise in their structure the tetrazole group as substituent D, however, exclusively linked to the group $\text{-NHCO-(CH}_2\text{)}_2\text{-}$ as substituent B. There is no compound in the application as filed wherein the tetrazole group D is combined with any other of the 12 alternative generic definitions given in claim 1 for the substituent B.

In the Board's judgement, the skilled person derives from the structure of those five particular individual compounds nothing more than the bare disclosure of the structural elements in their particular combination, namely the tetrazole group D to be linked to the group $\text{-NHCO-(CH}_2\text{)}_2\text{-}$ as substituent B. Therefore the original disclosure of those five individual compounds cannot support the generalisation indicated in claim 1 as amended which results in claiming compounds wherein the tetrazole group D is linked to any other of the 12 alternative generic definitions given for the substituent B. To dismantle the definition "tetrazole" for the substituent D from the particular group $\text{-NHCO-(CH}_2\text{)}_2\text{-}$ for the substituent B and to generalise that definition to any other meaning given for the substituent B, provides the skilled person with technical information which is not directly and unambiguously derivable from the application as filed.

- 3.6 The Appellant argued that the tetrazole group was covered by the generic term "acid replacements" indicated in original and present claim 1 for the

substituent D as shown in document (4). The skilled person including therefore automatically the tetrazole group as an acid replacement without any further consideration, the respective amendment of the substituent D in claim 1 found sufficient support in the application as filed.

However, as a general rule, a generic term does not reveal each and every specific structural group to the skilled person which is covered thereby. Thus, in the present case the generic term "acid replacements" used in original claim 1 for defining the substituent D does not disclose, either explicitly or implicitly, the specific tetrazole group indicated in claim 1 as amended. For that reason the Appellant's argument is devoid of merit.

- 3.7 The Appellant argued furthermore that the list indicating specific groups within the generic meaning "acid replacements" of the substituent D in claim 1 including *inter alia* "tetrazole" was merely an exemplary list not restricting that generic definition. Thus, the fresh inclusion of the tetrazole group in that list was allowable.

However, the provisions of Article 123(2) EPC, i.e. that the application may not be amended in such a way that it extends beyond the content of the application as filed, applies simply to the application as a whole without excluding amendments of any list from that requirement. Whether a list is exemplary or exhaustive, hence, is irrelevant for deciding on the allowability of an amendment made to that list. Thus, in the present case, the fresh inclusion of the tetrazole group in the list of "acid replacements" defining the substituent D

is to be examined as to its compatibility with the provisions of Article 123(2) which results, however, in a negative conclusion as set out in point 3.5 above.

- 3.8 The Board concludes that claim 1 as amended extends the subject-matter claimed beyond the content of the application as filed, thus, contravening the provisions of Article 123(2) EPC. In these circumstances, the Appellant's main request is not allowable and must be rejected.

First auxiliary request

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

Claim 1 results from combining original claim 1 with the specific embodiment of original claim 6 depending thereon. Thus, that claim is in keeping with the requirements of Article 123(2) EPC.

5. *Remittal*

Having so decided, the Board has not taken a decision on the whole matter since the decision under appeal was solely based on deficiencies of claim 1 with respect to Article 123(2) EPC. As the Examining Division has not yet ruled on the other claims and the other requirements for granting a European patent, the Board considers it appropriate to exercise the power conferred on 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 pending request, in order to enable the first instance to decide on the outstanding issues.

Second and third auxiliary request

6. Since the preceding first auxiliary request overcomes the objection raised in the decision under appeal for the reasons set out above, there is no need for the Board to decide on any lower ranking 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 set of claims according to the first auxiliary request submitted with letter dated 6 February 1997.

The Registrar:

The Chairman:

N. Maslin

A. Nuss