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D E C I S I O N
of 1 June 2005

Case Number: T 1066/01 - 3.3.1

Application Number: 98957405.8

Publication Number: 1028953

IPC: C07D 333/36

Language of the proceedings: EN

Title of invention:
Aromatic heterocyclic compounds as anti-inflammatory agents

Applicant:
BOERHRINGER INGELHEIM PHARMACEUTICALS INC.

Opponent:
-

Headword:
Anti-inflammatory heterocyclic compounds/BOEHRINGER INGELHEIM

Relevant legal provisions:
EPC Art. 54, 82, 84, 111(1), 123(2)

Keyword:
"Unity of invention (yes) - single general inventive concept"
"Amendments - supported by the application as originally filed (yes)"
"Remittal for further prosecution (yes)"

Decisions cited:
G 0005/83, T 0615/95

Catchword:
-

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Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 1066/01 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 1 June 2005

Appellant: BOEHRINGER INGELHEIM PHARMACEUTICALS INC.
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Decision under appeal: **Decision of the Examining Division of the European Patent Office posted 17 May 2001 refusing European application No. 98957405.8 pursuant to Article 97(1) EPC.**

Composition of the Board:

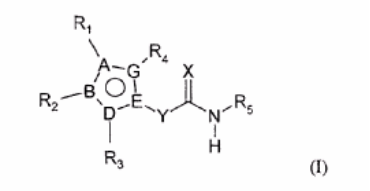
Chairman: A. J. Nuss
Members: P. F. Ranguis
S. C. Perryman

Summary of Facts and Submissions

I. The present appeal lies from the decision of the Examining Division to refuse the European patent application No. 98 957 405.8 (European publication No. 1 028 953) pursuant to Article 97(1) EPC.

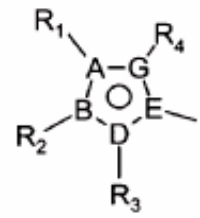
II. Claim 1 of the then pending request is quoted below as far as necessary in the context of this decision.

"1. A compound of the formula I,

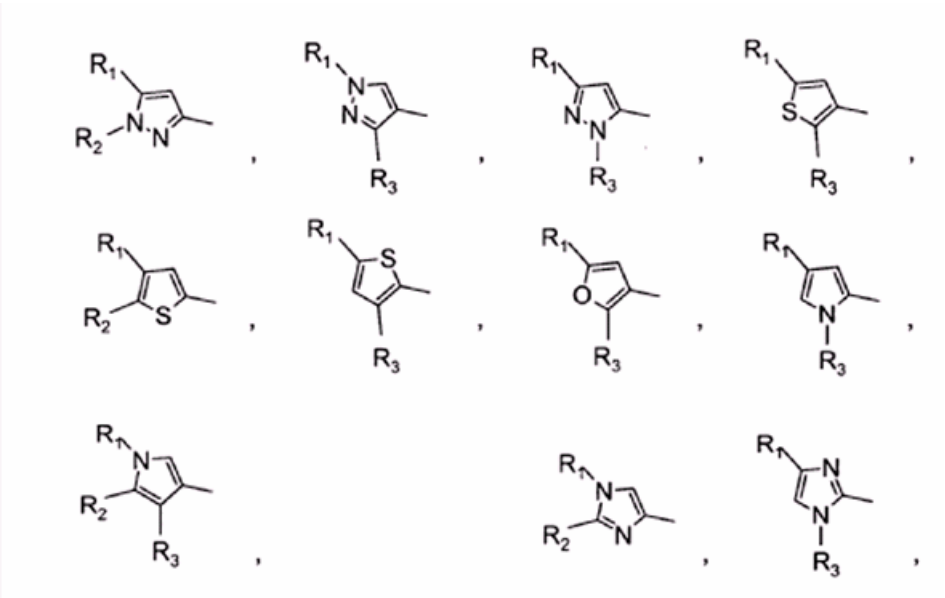


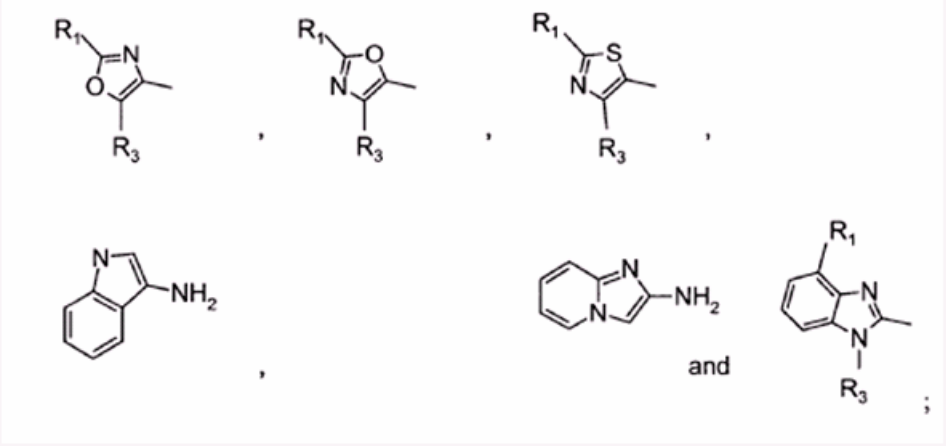
(I)

wherein the heterocyclic moiety



is selected from the group consisting of:





X is S, O or NR_6; Y is N-H…."

III. In its decision, the Examining Division held that Claim 1 of the then pending request did not comply with the requirement of Article 82 EPC for lack of unity *a posteriori* in view of document

(1) WO-A- 97/16442.

The lack of unity objection raised by the Examining Division was based on the fact that the structural element common to all the claimed alternatives encompassed by the formula (I) of Claim 1, i.e. a 5-ring atoms heteroaryl bound to an urea or a thiourea group, was already disclosed in document (1) and that the other defined elements of formula (I) were varying, so that no single inventive concept could be acknowledged.

The subject-matter of Claim 1 also lacked novelty in view of document (1).

IV. With the statement of grounds of appeal, the Appellant withdrew the request refused by the Examining Division and filed in lieu thereof two fresh requests.

V. By a first communication, the Board informed the Appellant that both requests might not overcome the objection under Article 82 EPC and Rule 30(1) EPC.

VI. In response, the Appellant withdrew the requests filed with the statement of grounds of appeal and filed in lieu thereof three fresh requests.

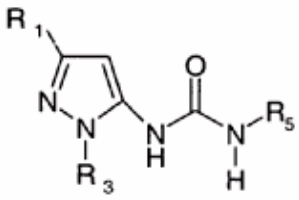
VII. By a second communication, the Board raised objections under Article 123(2), 84 and 83 EPC.

VIII. In response, the Appellant submitted as main request a set of nine claims and as auxiliary request a set of four claims.

IX. By a third communication, the Board informed the Appellant that the main request might comply with the requirements of Article 123(2) and Article 84 EPC, subject to some modifications. Furthermore, the subject-matter of the present main and first auxiliary requests had been amended in such a manner that it amounted to a fresh case. If the objections under Article 123(2) EPC and 84 EPC could be overcome and the Applicant withdrew his request for oral proceedings, a written decision might be taken to remit the case to the first instance for further prosecution in order not to deprive the Appellant of the possibility of being heard by two instances.

X. In the response received on 22 April 2005, the Appellant withdrew his request for oral proceedings subject to the remittal to the first instance on the basis of a set of nine claims attached thereto. Independent Claims 1, 4, 5, 8 and 9 read as follows:

"1. A compound of formula I:



(I), wherein:

R_1 is selected from the group consisting of:

a) C_{3-7} branched alkyl;

b) a cycloalkyl group selected from cyclopropyl, cyclobutyl, cyclopentanyl, cyclohexanyl, cycloheptanyl, bicyclopentanyl, bicyclohexanyl and bicycloheptanyl, which cycloalkyl group is optionally partially or fully halogenated and which is optionally substituted with one to three C_{1-3} alkyl groups;

R_3 is selected from the group consisting of the following:

phenyl, naphthyl, and pyridinyl, wherein such phenyl, naphthyl or pyridinyl group is optionally substituted with one to three groups independently selected from C_{1-6} branched or unbranched alkyl, cyano or halo, or the phenyl or naphtyl group may be substituted with one to three groups C_{1-6} branched or unbranched alkyl which is partially or fully halogenated;

R_5 is selected from the group consisting of:

a) phenyl, naphthyl pyridinyl, or quinolinyl, wherein such phenyl, naphthyl, pyridinyl, or quinolinyl wherein such group optionally bears one to three groups selected from phenyl, C_{1-6} branched or unbranched alkyl, halo, cyano, C_{1-3} alkyloxy which is optionally partially or fully halogenated,

b) indanyl, or tetrahydronaphthyl, wherein the indanyl bears 0 to 3 groups selected from halo;

or a tautomer or pharmaceutically acceptable salt thereof."

"4. A pharmaceutical composition comprising a compound according to any of claims 1, 2 or 3, and a pharmaceutically acceptable carrier."

"5. Use of a compound in accordance with any of claims 1, 2, 3 or 4 for the manufacture of a pharmaceutical composition for the treatment of a disease or pathological condition involving inflammation."

"8. Use of a compound in accordance with any of claims 1, 2, 3 or 4 for the manufacture of a pharmaceutical composition for the reduction of undesirable levels of one or more of the cytokines TNFα, IL-1β, GM-CSF, IL-6 or IL-8."

"9. Use of a compound in accordance with any of claims 1, 2, 3 or 4 for the manufacture of a pharmaceutical composition for the treatment of a disease from the group consisting of adult respiratory distress syndrome (ARDS), allergic rhinitis, Alzheimer's disease, asthma, atherosclerosis, anaphylaxis, bone resorptive diseases, brain trauma, contact dermatitis, cachexia, cerebral malaria, Crohn's disease, fever or myalgias due to infection, type I diabetes, type II diabetes, gouty arthritis, graft vs. host reaction, inflammatory bowel disease, infections of HIV-1, HIV-2, HIV-3, cytomegalovirus, influenza, adenovirus, herpes viruses or herpes zoster, hypertension, ischemia reperfusion injury, multiple sclerosis, myocardial infarction, acute or chronic myelogenous, multiple myeloma, muscle degeneration, obesity, osteoarthritis, osteoporosis, psoriasis, Paget's disease, pancreatic beta-cell destruction, rheumatoid arthritis, rheumatoid spondylitis, Reiter's syndrome, stroke, sepsis, septic shock, toxic shock syndrome, ulcerative colitis, uveitis, congestive heart failure and chronic obstructive pulmonary disease (COPD)."

XI. The Appellant argued that the subject-matter of Claim 1 resulted from a limitation of Claim 4 of the application as originally filed and, therefore, did not contravene the requirements of Article 123(2) EPC.

XII. The Appellant requested that the case be remitted to the first instance for further prosecution on the basis of the set of nine claims submitted with the letter received on 22 April 2005.

Reasons for the Decision

1. The appeal is admissible.

2. *Article 82 EPC*

The presently claimed subject-matter relates to compounds of formula (I) characterized by a particular core structure (see point X above), which solve the same technical problem in that they are all useful for treating diseases and pathological conditions involving inflammations (see page 1, lines 6 to 9), i.e. forming a single general inventive concept. The objection of the Examining Division that the Board fully agrees with (see points III and V above) is no longer relevant given that the said particular core structure now represents a significant structural element common to all the claimed alternatives encompassed by the formula (I) of present Claim 1, i.e. a 2-H-pyrazol-3-yl urea, and this is not unambiguously derivable from document (1) so that the requirement of unity of invention in the sense of Article 82 EPC is met.

3. *Articles 123(2) and 84 EPC*

3.1 The subject-matter of Claim 1 represents a restriction from the subject-matter of Claim 4 as originally filed in that the heterocyclic moiety is a pyrazole moiety attached to an urea moiety and some of the definitions of the substituents R_1, R_3 and R_5 were deleted.

3.1.1 In the Board's judgment, a compound of formula (I) being a pyrazole moiety attached to an urea moiety emerges directly and unambiguously from Claim 4 as originally filed (see page 78, lines 9 to 21).

3.1.2 Regarding the definitions of the substituents R_1, R_3 and R_5 now recited, it is well-established Case Law of the Boards of Appeal that, if there are three independent lists of sizeable length specifying possible alternative meanings for three residues in a generic chemical formula, then the deletion in each list of some originally disclosed meanings is allowable under Article 123(2) EPC if it does not result in singling out any hitherto not specifically mentioned individual compound or group of compounds, but maintains the remaining subject-matter as a generic group of compounds differing from the original group only by its smaller size. Such shrinking of the generic group of chemical compounds is not objectionable if these deletions do not lead to a particular combination of specific meanings of the respective residues which was not disclosed originally or, in other words, do not generate another invention (see T 615/95, point 6 of the reasons).

In the Board's judgment, the present restriction does not change the level of the generic disclosure as originally filed and, therefore, the definitions of the substituents R_1, R_3 and R_5 now recited amount to a simple limitation which, in contrast with a singling out, does not extend the subject-matter claimed beyond the content of the application as originally filed.

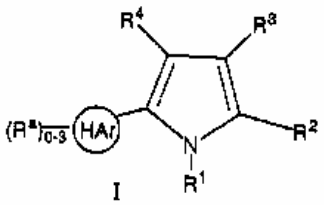
3.2 The subject-matter of Claim 2 finds support in Table 1 of the application as originally filed (see pages 54 to 58). The subject-matter of Claims 3 and 4 finds support in Claims 5 and 6 as originally filed, respectively. The subject-matter of Claims 5 to 9 are worded in the format authorized by the decision G 5/83 (OJ EPO 1985, 64, order). Those claims find support in Claims 7 to 11 as originally filed, respectively.

3.3 The claims are also clear.

3.4 There is, therefore, no objection under Articles 123(2) or 84 EPC.

4. *Novelty over document (1)*

4.1 Document (1) discloses medicaments useful in the treatment of inflammatory diseases of formula:



I

wherein R^a may be the substituent $NR^{20}CONR^{20}R^{23}$ wherein R^{20} may be hydrogen and R^{23} may be aryl (see page 4, line 17; page 6, lines 26-27 and page 7, line 19).

Although HAr may represent a heteroaryl group containing from 5 to 10 atoms, 1-4 of which are heteroatoms, 0-4 of which heteroatoms are N, encompassing, therefore, a pyrazole group (see page 4, lines 9 to 12), such a group is not unambiguously disclosed. Already for this reason, the present claimed subject-matter distinguishes from the disclosure of document (1). Additionally, the claimed compounds do not comprise a pyrrole group.

4.2 It follows that document (1) does not anticipate the subject-matter of Claim 1. That finding applies to dependent Claims 2 and 3 along with Claim 4 related to a pharmaceutical composition and Claims 5 to 9 related to therapeutic uses (under the format authorised following G 5/83).

5. *Article 111(1) EPC - Remittal*

5.1 The Board has come to the conclusion that the claimed subject-matter satisfies the requirements of unity of invention (Article 82 EPC) and document (1) is not novelty-destroying, overcoming, therefore, the reasons for refusing the European application relied on by the first instance. Furthermore, the requirements of Articles 123(2) and 84 EPC are also met.

5.2 Given that the decision of the first instance was completely silent regarding the inventive step issue, that the function of the Boards of Appeal is primarily to give a judicial decision upon the correctness of the earlier decision taken by the first instance and that the Appellant did not raise any objection against the

proposed remittal to the first instance for further prosecution which had been foreshadowed in the Board's preliminary communication, the Board exercises its discretion under Article 111(1) EPC to remit the case to the first instance for further prosecution.

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 nine claims submitted with the letter received on 22 April 2005.

The Registrar: The Chairman:

N. Maslin A. Nuss