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D E C I S I O N
of 25 November 2003

Case Number: T 0248/01 - 3.3.1

Application Number: 96909151.1

Publication Number: 0819123

IPC: C07D 233/32

Language of the proceedings: EN

Title of invention:
1,3-Dihydro-1-(phenylalkenyl)-2H-imidazol-2-one derivatives having PDE IV and cytokine inhibiting activity

Applicant:
JANSSEN PHARMACEUTICA N.V.

Opponent:
-

Headword:
Imidazolones/JANSSEN

Relevant legal provisions:
EPC Art. 54, 56, 82, 111(1)

Keyword:
"Amendments (allowable) - no singling out"
"Novelty, unity (yes) - after amendment"
"Remittal (yes) - fresh case"

Decisions cited:
G 0010/93, T 0279/89, T 0050/97

Catchword:
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Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 0248/01 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 25 November 2003

Appellant: JANSSEN PHARMACEUTICAL N.V.
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Representative: Quaghebeur, Luc
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Decision under appeal: **Decision of the Examining Division of the European Patent Office posted 20 September 2000 refusing European application No. 96909151.1 pursuant to Article 97(1) EPC.**

Composition of the Board:

Chairman: A. J. Nuss
Members: R. Freimuth
S. U. Hoffmann

Summary of Facts and Submissions

I. The appeal lodged on 17 November 2000 lies from the decision of the Examining Division posted on 20 September 2000 refusing European patent application No. 96 909 151.1 (European publication No. 819 123), which was filed as international application published as WO 96/31486.

II. The decision of the Examining Division was based on claims 1 to 20 of the application as filed according to the then pending sole request. The Examining Division found that the subject-matter claimed lacked novelty, unity and inventive step in view of the documents

(A) WO-A-94/20455,

(B) WO-A-94/14800 and

(C) WO-A-94/12461.

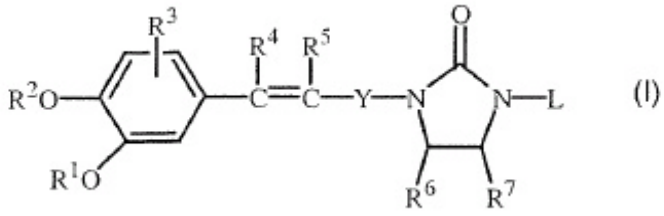
The Examining Division held in particular that the subject-matter claimed was not novel vis-à-vis documents (A) to (C). While none of the examples thereof disclosed individual compounds falling within the claimed invention, the disclosure of those documents was not limited thereto and their general disclosure overlapped with the claimed subject-matter mentioning specific preferred limitations, e.g. in dependent claims 2, 5, 14, 19 of document (A). Documents (A) to (C) disclosed embodiments satisfying the criteria indicated in the decision T 279/89 (not published in OJ EPO) to anticipate the claimed invention. Thus, they satisfied the criterion of a

"small scope"; the further criterion of the "remoteness" of the examples of the prior art from the ones of the present application was not to be taken into account in the present case and the last criterion of the absence of "specific structural elements" was fulfilled in the present case since the claimed imidazolyl group substituted with an OH-group, being the enol-form of the keto-enol tautomeric forms, was identified in claims 14 and 19 of document (A). Due to that lack of novelty in view of documents (A) to (C) and the PDE IV inhibitory activity described therein which was identical to the claimed invention, there was no single inventive concept unifying the claimed subject-matter.

In respect of inventive step the problem underlying the application was the provision of further PDE IV inhibitory compounds having less gastro-intestinal side effects. However, documents (A) and (B) generally described imidazolyl compounds covered by claim 1 as filed which had PDE IV inhibitory activity with no side effects. In the absence of an unexpected effect inventive step could not be acknowledged.

III. At the oral proceedings before the Board held on 25 November 2003 the Appellant (Applicant) no longer maintained the former request. He submitted fresh claims 1 to 18 superseding any previous request. Independent claim 1 read as follows:

"1. A compound of formula



(I)

a N-oxide form, a pharmaceutically acceptable acid or base addition salt or a stereochemically isomeric form thereof, wherein:

R^1 and R^2 each independently are hydrogen; C_{1-6}alkyl; difluoromethyl; trifluoromethyl; C_{3-6}cycloalkyl; a saturated 5-, 6- or 7-membered heterocycle containing one or two heteroatoms selected from oxygen, sulfur or nitrogen; indanyl; bicyclo[2.2.1]-2-heptenyl; bicyclo[2.2.1]heptanyl; C_{1-6} alkylsulfonyl; arylsulfonyl; or C_{1-10} alkyl substituted with one or two substituents each independently selected from aryl, pyridinyl, thienyl, furanyl, C_{3-7} cycloalkyl and a saturated 5-, 6- or 7-membered heterocycle containing one or two heteroatoms selected from oxygen, sulfur or nitrogen;

R^3 is hydrogen, halo or C_{1-6} alkyloxy;

R^4 is hydrogen; cyano; C_{1-6} alkyl; C_{1-6} alkyloxycarbonyl; aryl or C_{1-6} alkyl substituted with aryl, cyano, carboxyl or C_{1-6} alkyloxycarbonyl;

R^5 is hydrogen; cyano; C_{1-6} alkyl; C_{1-6} alkyloxycarbonyl; aryl or C_{1-6} alkyl substituted with aryl, cyano, carboxyl or C_{1-6} alkyloxycarbonyl;

Y is a direct bond or C_{1-3} alkanediyl;

R^6 and R^7 each independently are hydrogen or C_{1-4} alkyl;

L is hydrogen; C_{1-6} alkyl; C_{1-6} alkylcarbonyl; C_{1-6} alkyloxycarbonyl; C_{1-6} alkyl substituted with one or two substituents selected from the group consisting of

hydroxy, C_{1-4} alkyloxy, C_{1-4} alkyloxycarbonyl, mono- and di(C_{1-4} alkyl)amino, aryl and Het; C_{3-6} alkenyl; C_{3-6} alkenyl substituted with aryl; piperidinyl; piperidinyl substituted with C_{1-4} alkyl or arylC_{1-4} alkyl; C_{1-6} alkylsulfonyl or arylsulfonyl;
aryl is phenyl or phenyl substituted with one, two or three substituents selected from halo, hydroxy, C_{1-4} alkyl, C_{1-4} alkyloxy, C_{3-6} cycloalkyl, trifluoromethyl, amino, nitro, carboxyl, C_{1-4} alkyloxycarbonyl and C_{1-4} alkylcarbonylamino;
Het is morpholinyl; piperidinyl; piperidinyl substituted with C_{1-4} alkyl or arylC_{1-4} alkyl; piperazinyl; piperazinyl substituted with C_{1-4} alkyl or arylC_{1-4} alkyl; pyridinyl; pyridinyl substituted with C_{14} alkyl; furanyl; furanyl substituted with C_{1-4} alkyl; thienyl or thienyl substituted with C_{1-4} alkyl or C_{1-4} alkylcarbonylamino."

IV. The Appellant argued in respect of novelty that documents (A) to (C) did not anticipate the subject-matter as defined in fresh claim 1. None of those documents covered the imidazolidine-2-one ring system because the compounds described therein all contained an aromatic system at the corresponding position in the molecule. In view of that structural difference the claimed imidazolidine-2-one compounds were not novelty destroyed. Since the claimed subject-matter was novel, the objection of non-unity based only on a lack of novelty became necessarily void.

The Appellant submitted furthermore that the decision under appeal did not challenge the inventive step of the restricted subject-matter of fresh claim 1. Thus, the Examining Division has not yet addressed and

assessed the inventive ingenuity of the imidazolidine-2-one compounds now exclusively claimed. Therefore the case should be remitted to the first instance for continuing examination proceedings.

V. The Appellant requested that the decision under appeal be set aside and the case be remitted to the first instance on the basis of the sole request filed during oral proceedings on 25 November 2003.

VI. 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)*

Fresh claim 1 differs from claim 1 according to the request pending before the Examining Division in restricting the claimed compounds to imidazolidine-2-ones according to present formula (I), i.e. by limiting the group -A-B- in original formula (I) to the sole definition $-CHR^6-CHR^7-$. That amendment is based on claim 1 as filed since one of both alternative definitions originally given for the group -A-B- has merely been deleted. Original claim 1 forms a proper basis for that amendment since limiting the group -A-B- to that sole definition is not objectionable as that limitation does not result in singling out a particular combination of a hitherto not specifically mentioned sub-class of compounds, but maintains the remaining

subject-matter of amended claim 1 as generic lists of alternative definitions (see decision T 50/97, point 2.1 of the reasons, not published in OJ EPO).

Therefore, the amendment made to claim 1 does not generate subject-matter extending beyond the content of the application as filed and the Board concludes that the requirements of Article 123(2) EPC are satisfied.

3. *Novelty*

Documents (A) and (B) cited in the decision under appeal disclose compounds comprising *inter alia* an optionally substituted monocyclic aryl group containing one or more heteroatoms selected *inter alia* from nitrogen atoms, thereby specifically disclosing *inter alia* imidazolyl-groups. Thus, those documents refer to (hetero)aryl groups necessarily having an aromatic ring system such as e.g. imidazolyl-groups whereas the claimed invention is directed to compounds comprising imidazolidine-groups not having an aromatic ring system. Document (C) discloses compounds comprising *inter alia* optionally substituted imidazolyl-groups while claim 1 has been restricted to compounds comprising the imidazolidine-group.

Hence, the embodiments generally and specifically disclosed in documents (A) to (C) are structurally different from the compounds of amended claim 1 and, thus, cannot anticipate the subject-matter of the present application.

The Board noted that the Examining Division cited and relied on a particular decision of the Boards of Appeal, namely T 279/89, in order to deny novelty to the present application. The Board observes that this decision deals with the criteria to be applied when selecting a novel numerical sub-range out of a broad range delimited by minimum and maximum values. In the present case, however, the matter to be decided is not the novelty of a numerical sub-range but the novelty of a group of chemical compounds as defined by the general (generic) formula given in claim 1. As the issue decided in that case is quite different from the issue to be decided here, the reasoning of that case is not relevant here and the first instance erred in relying thereon.

4. *Unity*

The decision under appeal challenged the unity of the invention as a result of its finding that the claimed subject-matter lacked novelty. However, the claimed subject-matter is novel for the reasons given in point 3 above, in particular due to presence of the imidazolidine group in the compounds claimed. This fresh structural element unifies the claimed invention. Thus, the provisions of Article 82 EPC are met.

5. *Remittal*

Having so decided, the Board has not, however, taken a decision on the whole matter, since substantial amendments have been made to independent claim 1 which amended claim was presented at the oral proceedings before the Board. The decision under appeal dealt

exclusively with lack of novelty, unity and inventive step of claim 1 according to the then pending request and did not consider claim 1 in the present form as such request was never submitted to the first instance. The amendments leading to fresh claim 1, in particular in restricting the scope of the claims to imidazolidine compounds, have the effect that the reasons given in the contested decision for refusing the present application no longer apply since the present claims have never been challenged under Article 56 EPC for lack of inventive step.

Thus, the Board considers that the substantial amendments made by the Appellant remove all the objections raised in the decision under appeal and that present claim 1 generates a fresh case not yet addressed in examination proceedings and requiring reexamination.

While Article 111(1), second sentence, first alternative, EPC gives the Boards of Appeal the power to decide in ex-parte proceedings on fresh issues where the application has been refused on other issues, 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), fresh issues normally being left to the Examining Division to consider after a referral back, so that the Appellant has the opportunity for these to be examined and decided upon without loss of an instance.

Under these circumstances, the examination not having been concluded and the Appellant having requested remittal, 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.

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:

N. Maslin A. Nuss