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D E C I S I O N
of 11 May 2004

Case Number: T 0623/00 - 3.3.1

Application Number: 94928384.0

Publication Number: 0672042

IPC: C07D 401/04

Language of the proceedings: EN

Title of invention:
Pharmacologically active pyrimidineamine derivatives and processes for the preparation thereof

Applicant:
Novartis AG, et al

Opponent:
-

Headword:
Pyrimidene derivatives/NOVARTIS

Relevant legal provisions:
EPC Art. 56, 87(1), 88(3)(4), 111(1)

Keyword:
"Priority right (no) - Claims 4 to 6 not directed to the same invention as disclosed in the first priority document"
"Inventive step (yes) - Claims 4 to 6 directed to non-obvious embodiments even though the first priority date could not be acknowledged"
"Remittal for further prosecution"

Decisions cited:
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Catchword:
-

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Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 0623/00 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 11 May 2004

Appellant: Novartis AG
Lichtstraße 35
CH-4056 Basel (CH)

Representative: -

Decision under appeal: **Decision of the Examining Division of the European Patent Office posted 20 January 2000 refusing European application No. 94928384.0 pursuant to Article 97(1) EPC.**

Composition of the Board:

Chairman: A. J. Nuss
Members: J. M. Jonk
S. C. Perryman

Summary of Facts and Submissions

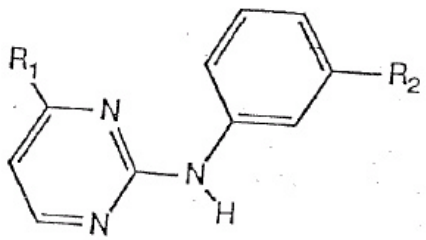
I. This appeal lies from the decision of the Examining Division refusing the present European patent application 94 928 384.0 (published under number WO 95/09851), and relating to "Pharmacologically active pyrimidineamine derivatives and processes for the preparation thereof".

II. The Examining Division refused the application on the ground that the subject-matter of Claims 4 to 6 of the set of Claims 1-10, 11 (part) filed on 17 March 1998 and Claim 11 (part) filed on 15 September 1999 lacked inventive step in view of document

(2) EP-A-0 564 409

having regard to the fact that the priority date of 1 October 1993 claimed on the basis of the first priority document CH 2968/93-9 in accordance with Article 88 EPC could not be acknowledged for the subject-matter of said Claims 4 to 6.

III. Claim 1 of said set of claims related to N-phenyl-2-pyrimidine derivatives of the following formula (I):



wherein the substituents R_1 and R_2 have the meanings as indicated in this claim.

Furthermore, Claims 4 to 6 read as follows:

"4. A compound according to claim 1 of formula I, wherein R_1 is a 4-pyridyl radical substituted in the 2-position with respect to the pyridine nitrogen by chlorine, cyano, carboxy, carbamoyl, hydroxy or by N-propyl-amino and R_2 is chlorine or trifluoromethyl, or a salt thereof."

"5. A compound according to claim 1 of formula I, which is N-(3-chloro-phenyl)-4-(2-chloro-4-pyridyl)-2-pyrimidineamine, or a salt thereof."

"6. A compound according to claim 1 of formula I or a pharmaceutically acceptable salt of such a compound having at least one salt-forming group, selected from

N-(3-trifluoromethyl-phenyl)-4-(2-chloro-4-pyridyl)-2-pyrimidineamine,
N-(3-trifluoromethyl-phenyl)-4-(2-cyano-4-pyridyl)-2-pyrimidineamine,
N-(3-trifluoromethyl-phenyl)-4-(2-carboxy-4-pyridyl)-2-pyrimidineamine,
N-(3-chloro-phenyl)-4-(2-cyano-4-pyridyl)-2-pyrimidineamine,
N-(3-chloro-phenyl)-4-(2-carboxy-4-pyridyl)-2-pyrimidineamine,
N-(3-chloro-phenyl)-4-(2-carbamoyl-4-pyridyl)-2-pyrimidineamine,
N-(3-trifluoromethyl-phenyl)-4-(2-carbamoyl-4-pyridyl)-2-pyrimidineamine,

N-(3-chloro-phenyl)-4-(2-n-propylamino-4-pyridyl)-2-pyrimidineamine,
N-(3-chloro-phenyl)-4-(2-amino-4-pyridyl)-2-pyrimidineamine,
N-(3-chloro-phenyl)-4-(2-hydroxy-4-pyridyl)-2-pyrimidineamine,
N-(3-chloro-phenyl)-4-(2-methoxy-4-pyridyl)-2-pyrimidineamine,

and from the pharmaceutically acceptable salts of such compounds having at least one salt-forming group."

IV. The Examining Division held in particular that the first priority date could not be acknowledged for the subject-matter of Claims 4 to 6, and that therefore document (2) disclosing N-phenyl-4-(4-pyridyl)-2-pyrimidineamine derivatives represented the closest prior art for said subject-matter.

Furthermore, it considered that in the light of this closest prior art the technical problem underlying the subject-matter of Claims 4 to 6 was the provision of further derivatives with anti-tumour activity.

It concluded that having regard to the disclosure of document (2) indicating that the phenyl ring as well as the pyridyl ring could have the defined substituents it would have been obvious to the skilled person faced with said problem to contemplate varying the substitution at the phenyl and pyridyl rings in order to arrive at the subject-matter of Claims 4 to 6.

V. Oral proceedings before the Board were held on 11 May 2004.

VI. The Appellant argued that the claimed priority date of 1 October 1993 on the basis of the first priority document would be valid, since the subject-matter of the claimed invention was clearly derivable from said priority document as a whole, and that under these circumstances the inventive step objection based on the earlier European patent application (document (2)) would not apply because this would no longer be a document citable under Article 56 EPC. He also submitted that even in case document (2) was considered to be state of the art within the meaning of Article 54(2) EPC the subject-matter of Claims 4 to 6 nevertheless involved inventive step.

VII. The Appellant requested that the decision under appeal be set aside and that the case be remitted to the first instance for further prosecution.

VIII. At the conclusion of the oral proceedings the Board's decision was pronounced.

Reasons for the Decision

1. The appeal is admissible.

2. *Priority right*

2.1 The Examining Division found that the subject-matter of Claims 4 to 6 of the present patent application lacked inventive step in view of document (2). This document

is, however, an intermediate document having a priority date between the first priority date claimed by the present patent application and the filing date thereof. Therefore, the first question to be decided by the Board is whether or not the subject-matter of said Claims 4 to 6 is entitled to the claimed priority.

2.2 Pursuant to Article 87(1) EPC, a right of priority may only be enjoyed in respect of the same invention. Therefore, in deciding whether Claims 4 to 6 of the patent application in suit is entitled to the claimed priority, it needs to be decided whether in the first priority document CH 2968/93-9 the same invention is disclosed as in present Claims 4 to 6. In this context, the Enlarged Board of Appeal ruled in its opinion G 2/98, OJ EPO 2001, 413, as follows:

The requirement for claiming priority of the same invention, referred to in Article 87(1) EPC, means that priority of a previous application in respect of a claim in a European patent application in accordance with Article 88 EPC is to be acknowledged only if the skilled person can derive the subject matter of the claim directly and unambiguously, using common general knowledge, from the previous application as a whole.

2.3 The first priority document aims at providing pharmacologically active pyrimidineamine derivatives for the treatment of tumours in warm-blooded animals including humans, and in order to achieve this object, it teaches the provision of compounds of a formula corresponding to formula (I) of the application in suit indicated under point III above, wherein R_1 can be a

substituted pyridyl radical (see page 1, second paragraph, and Claims 1, 2, and 6 to 10).

Moreover, it discloses:

(a) that R_1 is especially a substituted **4**-pyridyl radical (see page 2, second paragraph),

(b) that especially preferred are compounds of formula (I) wherein R_1 is a **4**-pyridyl radical which is substituted in the **3-position** (see page 8, third paragraph, and Claim 3), and

(c) a number of examples illustrating the described invention all of them relating to compounds of formula (I) having a **3**-substituted **4**-pyridyl radical as R_1.

Thus, although the priority document describes a class of compounds having formula (I) comprising within its scope compounds having a 2-substituted 4-pyridyl radical as R_1, it does not specify any compound or group of compounds having such a radical.

2.4 It follows from this disclosure that the subject-matter of Claims 4 to 6 of the application in suit essentially differs from the subject-matter disclosed in the priority document in that all the compounds specified in said claims relate to compounds having a **2-**substituted **4**-pyridyl radical as R_1 instead of compounds having a **3-**substituted **4**-pyridyl radical.

2.5 In these circumstances, and in view of the strict interpretation of the concept of "the same invention"

equating the concept of "the same subject-matter" in said Opinion of the Enlarged Board of Appeal G 2/98 (see point 9 of the reasons), the Board concludes that the invention as defined in Claim 1 of the patent in suit is not the same as that disclosed in the first priority document.

2.6 The Appellant's submission that the subject-matter of Claims 4 to 6 of the application in suit can directly and unambiguously be derived from the first priority document, in particular from Example 1 and one of the preparation processes, i.e. process (d) (see the paragraph bridging pages 9 and 10), and in fact originated from wrongly applied UIPAC nomenclature, fails in view of the facts that apparently the correct nomenclature has been used in the first priority document as follows from its teaching that R_1 is especially a substituted **4**-pyridyl radical (see page 2, second paragraph), and that the end product mentioned in Example 1, as well as the end products indicated in Examples 3 and 5 obtained by said preparation method (d) all belong to the especially preferred group of compounds having a **3**-substituted **4**-pyridyl radical.

It is true, that in steps 1.2 and 1.3 of Example 1 the preparation of the intermediate compounds 4-acetyl-**2**-chloro-pyridine and 3-dimethylamino-1-(**2**-chloro-**4**-pyridyl)-2-propen-1-on is indicated, and that the last mentioned intermediate product would actually give an end product having a **2**-substituted **4**-pyridyl radical. Moreover, it is true that according to said preparation method (d) an N-oxido-pyridyl group of an intermediate compound is converted into a leaving group and the resulting leaving group is removed from the molecule by

nucleophilic substitution in the ortho position with respect to the pyridyl nitrogen which substitution would actually lead to an end product of formula (I) having a **2**-substituted **4**-pyridyl radical. However, these few discrepancies contained by the teaching of the priority document when considered as a whole would not lead the skilled person **directly and unambiguously** to the conclusion that said teaching would be wrong and that the especially preferred group of compounds having a **3**-substituted **4**-pyridyl radical should instead represent the group of compounds having a **2**-substituted **4**-pyridyl radical.

2.7 For these reasons, the Board finds that the subject-matter of Claims 4 to 6 of the application in suit is not entitled to the claimed priority right.

2.8 Furthermore, the Board observes that in view of the fact that the claimed priority on the basis of the first priority document cannot be acknowledged and that therefore document (2) represents state of the art to be considered under Article 56 EPC.

3. *Inventive step*

3.1 The Examining Division considered that document (2) represented the closest prior art and that in the light of this prior art the technical problem underlying the subject-matter of Claims 4 to 6 was the provision of further derivatives with anti-tumour activity. It concluded that having regard to the disclosure of document (2) indicating that the phenyl ring as well as the pyridyl ring could have the defined substituents it would have been obvious to the skilled person faced

with said technical problem to contemplate varying the substitution at the phenyl and pyridyl rings in order to arrive at the subject-matter of Claims 4 to 6.

3.2 However, this objection of obviousness based on document (2) leaves aside the established jurisprudence of the boards of appeal that, when assessing inventive step, the decisive question is not whether the skilled person **could** have arrived at the claimed solution of the technical problem to be solved, but whether he **would** have done so considering the teaching of the relevant prior art as a whole, without using hindsight based on the knowledge of the claimed invention.

3.3 Moreover, the Board has found in considering said document (2) that the class of N-phenyl-2-pyrimidineamine derivatives disclosed in this document neither comprises a compound having a 2-substituted 4-pyridyl radical as defined in Claims 4 to 6 of the application in suit for R_1, nor a compound having at the phenyl ring a single substituent as defined in Claims 4 to 6 of the application in suit for R_2 (see document (2), page 2, lines 4 to 34). Hence, the skilled person would not find any incentive to the claimed solution of the above defined technical problem.

3.4 Thus, in view of these considerations, the Board concludes that the solution of the technical problem underlying the application in suit according to the particular embodiments as claimed in present Claims 4 to 6 is not obvious in the light of document (2), and that consequently the decision under appeal cannot be maintained.

4. *Remittal to the first instance*

4.1 The decision under appeal only concerned the patentability of Claims 4 to 6. Therefore, the application in suit as a whole needs further examination in order to establish whether it meets the requirements of the EPC. In these circumstances, and in accordance with the Appellant's request, the Board finds it appropriate to make use of its power under Article 111(1) EPC and 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.

The Registrar: The Chairman:

N. Maslin A. Nuss