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**D E C I S I O N**  
**of 10 May 2006**

**Case Number:** T 0978/02 - 3.3.01

**Application Number:** 97940108.0

**Publication Number:** 0938486

**IPC:** C07D 487/04

**Language of the proceedings:** EN

**Title of invention:**

Substituted pyrrolopyrimidines and processes for their preparation

**Applicant:**

Novartis AG, et al

**Opponent:**

-

**Headword:**

Pyrrolopyrimidines/NOVARTIS

**Relevant legal provisions:**

EPC Art. 54, 111(1)

**Keyword:**

"Novelty (yes, after amendment) - no overlapping group of compounds"

"Remittal to first instance"

**Decisions cited:**

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**Catchword:**

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Case Number: T 0978/02 - 3.3.01

**D E C I S I O N**  
of the Technical Board of Appeal 3.3.01  
of 10 May 2006

**Appellant:** Novartis AG  
Lichtstrasse 35  
CH-4056 Basel (CH)

**Representative:** Schubert Santana, Isabelle  
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**Decision under appeal:** Decision of the Examining Division of the  
European Patent Office posted 17 April 2002  
refusing European application No. 97940108.0  
pursuant to Article 97(1) EPC.

**Composition of the Board:**

**Chairman:** A. Nuss  
**Members:** J. Jonk  
C. Rennie-Smith

## Summary of Facts and Submissions

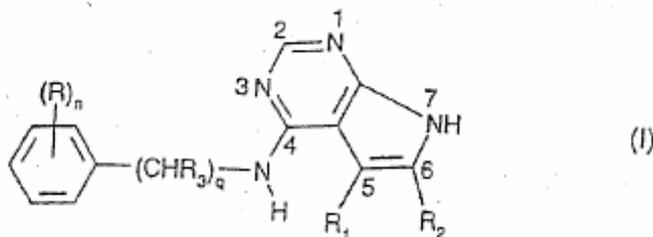
- I. This appeal lies from the decision of the Examining Division refusing the present European patent application 97 940 108.0 (published under number WO 98/07726), which relates to substituted pyrrolopyrimidines and processes for their preparation.
- II. The application in suit was refused on the ground that the subject-matter of Claim 1, corresponding to pages 62 and 63 as originally filed and page 64 filed on 25 July 2001, lacked novelty and inventive step in view of documents:

(1) WO 95/19774, and

(2) EP-A-0 682 027.

- III. Said Claim 1 forming the basis of the decision under appeal read as follows:

"A 7H-pyrrolo[2,3-d]pyrimidine derivative of the formula I



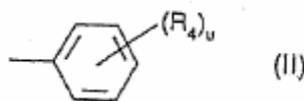
in which n is 0 to 3,

q is 0 or 1,

R is halogen, lower alkyl, hydroxymethyl, aminomethyl, hydroxyl, lower alkanoyloxy, lower alkoxy, carboxyl, lower alkanoyl, benzoyl, lower alkoxy carbonyl, carbamoyl, N-lower alkyl carbamoyl, N,N-di-lower

alkylcarbamoyl, cyano, amino, lower alkanoylamino, lower alkylamino, N,N-di-lower alkylamino or trifluoromethyl, it being possible, if two or more radicals R are present in the molecule, for these to be identical to or different from one another, one of the radicals  $R_1$  and  $R_2$  is hydrogen or lower alkyl, and the other of the radicals  $R_1$  and  $R_2$  is

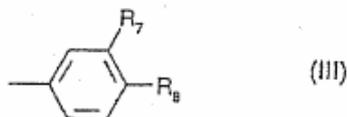
a) a radical of the formula II



in which  $u$  is 1 to 3 and at least one radical  $R_4$  is amidino, guanidino, ureido,  $N^3$ -lower alkylureido,  $N^3, N^3$ -di-lower alkylureido,  $N^3$ -phenylureido,  $N^3, N^3$ -diphenylureido, thiocarbamoyl, thioureido,  $N^3$ -lower alkylthioureido,  $N^3, N^3$ -di-lower alkylthioureido, lower alkoxy-carbonylamino, benzyloxycarbonylamino, morpholine-4-carbonyl, piperazine-1-carbonyl, 4-lower alkylpiperazine-1-carbonyl, lower alkylsulfonylamino, benzenesulfonylamino, toluenesulfonylamino, thiophene-2-carbonylamino, furan-2-carbonylamino, benzylamino, hydroxymethyl, aminomethyl or a radical of the formula  $-N=C(R_5)-R_6$ , in which  $R_5$  is hydrogen or lower alkyl and  $R_6$  is di-lower alkylamino, piperidino, 4-lower alkylpiperazino or morpholino, and the other radical(s)  $R_4$  is(are) halogen, lower alkyl, hydroxyl, lower alkanoyloxy, lower alkoxy, carboxyl, lower alkoxy-carbonyl, carbamoyl, N-lower alkylcarbamoyl, N,N-di-lower alkylcarbamoyl, cyano, amino, lower alkanoylamino, lower alkylamino, N,N-di-lower alkylamino or trifluoromethyl, it being possible, if two or more radicals  $R_4$  are present in the molecule, for

these to be identical to or different from one another,  
or is

b) a radical of the formula III



in which  $R_7$  is lower alkoxy or benzyloxy and  $R_8$  is hydroxyl or benzyloxy, or is

c) amino-lower alkyl, whose amino group is substituted by one or two hydroxy-lower alkyl, amino-lower alkyl, carboxy-lower alkyl, lower alkoxy-carbonyl-lower alkyl, benzyloxycarbonyl-lower alkyl or benzyl radicals, which in the phenyl moiety are unsubstituted or substituted by halogen, lower alkyl, hydroxymethyl, aminomethyl, hydroxyl, lower alkanoyloxy, lower alkoxy, carboxyl, lower alkanoyl, benzoyl, lower alkoxy-carbonyl, carbamoyl, N-lower alkylcarbamoyl, N,N-di-lower alkylcarbamoyl, cyano, amino, lower alkanoylamino, lower alkylamino, N,N-di-lower alkylamino or trifluoromethyl, or is

d) piperidine-1-carbonyl, piperazine-1-carbonyl, 4-lower alkylpiperazine-1-carbonyl, morpholine-4-carbonyl, thiocarbamoyl, a heterocyclic radical bonded via a ring carbon atom and having five ring members and 1-4 ring heteroatoms, selected from oxygen, nitrogen and sulfur, or is

e) 4-lower alkylpiperazinomethyl or a lower alkyl radical which is substituted by a heterocyclic radical other than piperazinyl and having five or six ring members and 1-4 ring heteroatoms, selected from oxygen, nitrogen and sulfur, or is

f) a radical of the formula  $-CH=N-OR_9$  in which  $R_9$  is hydrogen or lower alkyl, or

g) if q is 1, additionally to the definitions given above in the sections a) to f) can also be phenyl which is substituted by halogen, lower alkyl, trifluoromethyl or lower alkoxy, and R<sub>3</sub> is hydrogen, lower alkyl, lower alkoxy-carbonyl, carbamoyl, N-lower alkylcarbamoyl or N,N-di-lower alkylcarbamoyl, or its salts, wherein the prefix "lower" denotes a radical having up to and including a maximum of 7 carbon atoms."

IV. Concerning the issue of inventive step the Examining Division held in particular

- that, like the present application, both documents (1) and (2) related to 7H-pyrrolo[2,3-d]pyrimidine derivatives having an anti-tumour activity,

- that document (1) disclosed a group of compounds having an overlap with the group of compounds as claimed in Claim 1 of the application in suit and containing a bridging group corresponding to the group  $-(HR_3)_q-$  as defined in Claim 1 of the application in suit in which q is 0 or 1, thus teaching that this bridging group might be present or not, and

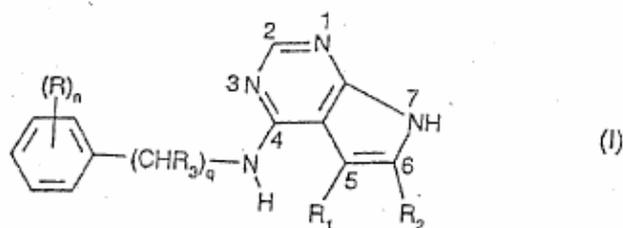
- that it would be obvious to the skilled person in the light of document (2) that effective pyrrolo[2,3-d]pyrimidine derivatives could have substituents in the 6-position as defined in D(2) for R<sub>2</sub> and claimed in Claim 1 of the present application as indicated under g).

V. Oral proceedings before the Board were held on 10 May 2006.

VI. The Appellant defended the patentability of the subject-matter of the present application on the basis of Claims 1 to 7 submitted during these oral proceedings as its sole request.

Claim 1 of this request read as follows:

"A 7H-pyrrolo[2,3-d]pyrimidine derivative of the formula I



in which n is 0 or 1,

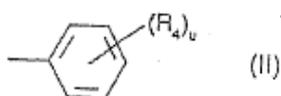
q is 0 or 1,

R is chlorine,

R<sub>1</sub> is hydrogen,

R<sub>2</sub> is

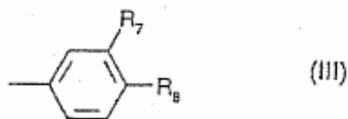
a) a radical of the formula II



in which u is 1 and

R<sub>4</sub> is N<sup>3</sup>-lower alkylureido, N<sup>3</sup>-phenylureido, N<sup>3</sup>-lower alkylthioureido, lower alkoxy-carbonylamino, benzyloxycarbonylamino, morpholine-4-carbonyl, piperazine-1-carbonyl, 4-lower alkylpiperazine-1-carbonyl, lower alkylsulfonylamino, benzenesulfonylamino, toluenesulfonylamino, furan-2-carbonylamino, thiophene-2-carbonylamino, benzylamino, hydroxymethyl or a radical of the formula -N=C(R<sub>5</sub>)-R<sub>6</sub>,

in which  $R_5$  is hydrogen or lower alkyl and  $R_6$  is di-lower alkylamino, piperidino, 4-lower alkylpiperazino or morpholino, or is  
b) a radical of the formula III



in which  $R_7$  is lower alkoxy and  $R_8$  is hydroxyl or benzyloxy, or is

c) piperazine-1-carbonyl, 4-lower alkylpiperazine-1-carbonyl, morpholine-4-carbonyl, thiocarbamoyl, thiazol-2-yl, 4-(4-methoxyphenyl)thiazole-2-yl, 4,5-dimethylthiazol-2-yl, tetrazol-5-yl,

2-methyltetrazol-5-yl, 1-methyltetrazol-5-yl, or is

d) a radical of the formula  $-CH=N-OR_9$ , in which  $R_9$  is hydrogen or lower alkyl, and

$R_3$  is hydrogen, lower alkyl,

or a pharmaceutical acceptable salt thereof,

wherein the prefix "lower" denotes a radical having up to and including a maximum of 7 carbon atoms."

With respect to the subject-matter of this Claim 1 the appellant argued in particular that, by restricting the subject-matter of the former Claim 1, the overlap of the claimed group of compounds with the group of compounds disclosed in document (1) had been removed. Moreover, it argued with respect to the question of inventive step that neither document (1) nor document (2) provided an incentive to the provision of effective 7H-pyrrolo[2,3-d]pyrimidine derivatives having in the 6-position a substituent as defined in present Claim 1 for  $R_2$ .

VII. The Appellant requested that the decision under appeal be set aside, and that a patent be granted on the basis of Claim 1 of the request filed during the oral proceedings.

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

### **Reasons for the Decision**

1. The appeal is admissible.

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

Present Claim 1 is supported by Claim 3 of the application as filed in combination with the description as filed, page 3, second paragraph, with respect to the prefix "lower".

2.1 Therefore, the Board concludes that the subject-matter of Claim 1 of the present request does not extend beyond the content of the application as filed, and consequently meets the requirement of Article 123(2) EPC.

3. *Novelty*

3.1 Neither document (1) nor document (2) discloses a 7H-pyrrolo[2,3-d]pyrimidine derivative having in the 6-position a substituent as defined in present Claim 1 for R<sub>2</sub>.

3.2 Consequently, the Board concludes that the claimed subject-matter is novel.

4. *Inventive step and remittal*

4.1 Although the Board considers, in agreement with the Appellant, that the cited documents (1) and (2) alone or in combination do not suggest the provision of 7H-pyrrolo[2,3-d]pyrimidine derivatives falling under the scope of the strongly restricted subject-matter of present Claim 1, that subject-matter has not been examined by the first instance with respect to the essential issue of inventive step. Moreover, the Examining Division did not decide the question of the patentability of the further dependent and independent claims then on file, let alone the further and restricted claims filed during the oral proceedings before the Board.

4.2 Under these circumstances the Board considers it appropriate to exercise its power conferred on it by Article 111(1) EPC to remit the case to the Examining Division for further prosecution on the basis of Claim 1 filed during the oral proceedings.

**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 Claim 1 of the sole request filed during the oral proceedings.

The Registrar:

The Chairman:

N. Maslin

A. Nuss