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File Number: W 35/91 - 3.3.1

Application No.: PCT/US 91/00017

Publication No.: WO 9111453

Title of invention: 5-oxygenated-2,4,6-triaminopyrimidines

Classification: C07J 43/00

DECISION  
of 28 September 1992

Applicant: The Upjohn Co. et al

Headword: Oxygenated pyrimidines/UPJOHN

PCT Art. 17(3)(a), Rule 13(1), (2), (3), (4) and 40(2)(c)

Keyword: "Non-unity of invention a priori (no)" - "Structural relationship between compounds" - "Structural relationship between intermediates and final products"



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Boards of Appeal

Chambres de recours

Case Number : W 35/91 - 3.3.1  
International Application No. PCT/US 91/00017

**D E C I S I O N**  
of the Technical Board of Appeal 3.3.1  
of 28 September 1992

Applicant : The Upjohn Company  
301 Henrietta Street  
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Representative : B. Stein  
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Kalamazoo, Michigan 49001 (US)

Subject of the Decision : Protest according to Rule 40.2(c) of the Patent  
Cooperation Treaty made by the applicants against  
the invitation (payment of additional fee) of the  
European Patent Office (branch at The Hague)  
dated 7 June 1991.

Composition of the Board :

Chairman : K.J.A. Jahn  
Members : J. Jonk  
J. Stephens-Ofner

## Summary of Facts and Submissions

- I. Following the filing of international patent application PCT/US 91/00017, the EPO, acting as International Search Authority (ISA), issued an invitation pursuant to Article 17(3)(a) and Rule 40.1 PCT, on 7 June 1991, to pay six additional search fees within a time limit of 45 days.
- II. In said invitation the ISA indicated that the compounds (X) as defined in Claims 1 to 17 were so different from each other that no technical relationship or interaction could be found to be present, so as to form a single general inventive concept. Moreover, Claims 18 to 31 defined 4 different intermediates which were not closely interconnected with the end products so that the necessary relationship between intermediates and end products was lacking.

The allegedly separate and distinct inventions were listed as follows:

- (1) Claims 1-5, 11-17 (partially):  
5-oxygenated amino substituted pyrimidines wherein R<sub>1</sub> is a steroid,
- (2) Claims 1, 4, 6-8, 11-17 (partially):  
5-oxygenated amino substituted pyrimidines wherein R<sub>1</sub> is a trolox,
- (3) Claims 1, 4, 9-17 (partially):  
5-oxygenated amino substituted pyrimidines wherein R<sub>1</sub> is an unsubstituted or substituted alkyl,
- (4) Claims 18-22:  
protected 5-oxygenated pyrimidines of formula VII,

- (5) Claims 23-25:  
5-oxygenated pyrimidines of formula VIII,
- (6) Claims 26-27:  
5-hydroxy pyrimidines of formula XI, and
- (7) Claims 28-31:  
oxygenated N,N-disubstituted pyrimidines of  
formula XIII.

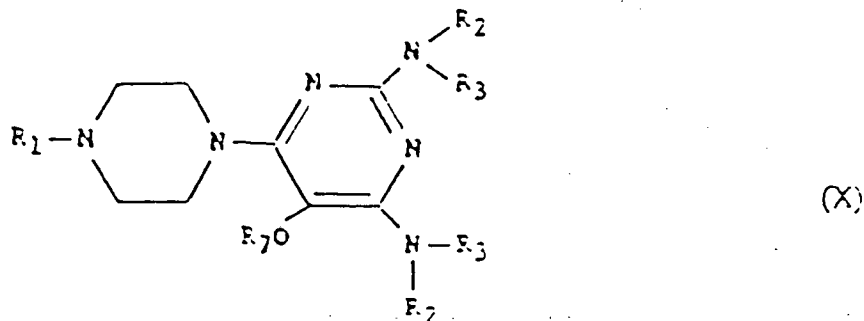
III. On 24 July 1991 the Applicant paid four additional fees under protest. No additional fees were paid to search groups (4) and (6), relating to Claims 18-22 and 26-27 respectively.

He based his protest on the contention that his invention related to the oxygenated derivatives of known compounds. Since the parent compounds were known, the invention concerned the oxygenated form of these known compounds. The fact that the starting compounds for the present invention differed considerably, as they were steroids, trolox derivatives, amines, etc. did not therefore mean that there was more than one invention or non-unity. In this connection he pointed out that, as all the products contained a common feature, namely, the same type of oxygenation, they clearly related to a single inventive concept (Rule 13.1).

#### Reasons for the Decision

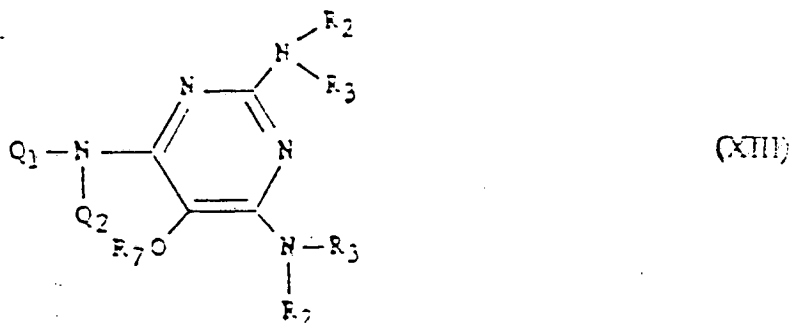
1. The protest conforms with the formal requirements of Rule 40.2(c) PCT and is, therefore, admissible.

2. In its reasoning, the ISA does not refer to any prior art document, so that clearly it considers the lack of unity to be a priori as opposed to a posteriori.
3. Claim 1 is directed to compounds of formula (X):



wherein R<sub>1</sub> is a steroid, trolox or an alkyl substituent.

Claim 28 relates to compounds of formula (XIII)



wherein NQ<sub>1</sub>Q<sub>2</sub> is a substituted amino group. Contrary to the finding of the ISA, these compounds are not intermediates, but end products as indicated on page 11, lines 3 to 15 of the description of the present patent application.

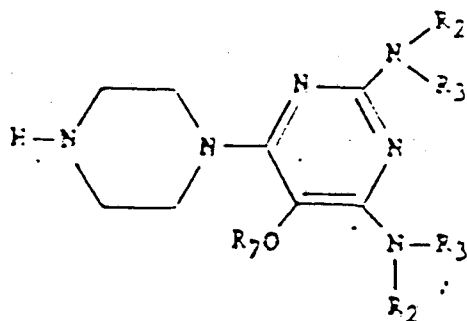
- 3.1 The first question to be answered is whether the compounds of the formulae (X) and (XIII), listed by the ISA as the inventions (1), (2), (3) and (7), are so closely linked as to form a single general inventive concept.

3.2 According to the description of the present patent application the technical field to which the invention relates, is specified as 5-oxygenated-2,4,6-triamino-pyrimidines which are suitable as pharmaceutical agents (cf. page 1, lines 3 to 5). Moreover it discloses that non-oxygenated compounds similar to the oxygenated compounds of formulae (X) and (XIII) are known (cf. page 1, lines 6 to 22), and that these oxygenated compounds can be prepared by oxidising the corresponding known compounds directly with an appropriate reagent to form the desired 5-oxygenated compounds as indicated on Chart A (cf. page 8, lines 14 to 18 and page 11, lines 3 to 6). Consequently, in the Board's judgment, there is a technical relationship between the compounds within the scope of Claim 1 and between the compounds of Claims 1 and 28, namely, that the claimed 2,4,6-triamino-pyrimidines are oxygenated in the 5-position, forming a single inventive concept in the sense of Rule 13.1 PCT.

3.3 It is true that the compounds according to Claim 1 contain a substituted 1-piperazinyl group in the 6-position of the pyrimidine moiety, whereas the compounds of Claim 28 contain an amino group defined by  $NQ_1Q_2$  in the same position, wherein  $Q_1$  and  $Q_2$  do not form a heterocyclic ring. However, in the Board's judgment, these groups are technically sufficiently closely related and, therefore, do not give rise to unity objections, because they are both amino groups which could also have been claimed as alternative groups in a single claim as has been done in the case of the  $NR_2R_3$  groups (cf. Claim 1, page 43, lines 4 to 8). Moreover, the Board observes that, according to Rule 13.3 PCT, the determination of whether a group of inventions is so closely linked as to form a single general inventive concept shall be made without regard to whether the inventions are claimed in separate claims, or as alternatives within a single claim.

4. The sole remaining issue to be decided is whether the compounds of formula (VIII) according to Claim 23, designated by the ISA as invention (5), are so closely linked with the compounds of formulas (X) and (XIII) according to Claims 1 and 28 as to form a single general inventive concept.

4.1 Claim 23 is related to 5-oxygenated pyrimidines of formula (VIII):



(VIII)

According to the description, these compounds are intermediate compounds that can be coupled with an appropriate compound R<sub>1</sub>-Z to give the desired 5-oxygenated amino substituted pyrimidine of formula (X) (cf. page 10, lines 10 to 29 and Charts B and C).

4.2 In the Board's judgment, the requirement of unity of invention as set out in Rule 13(1) and (2) PCT, is fulfilled, if the novel intermediates designed to give rise to the novel end products are technically sufficiently closely related by their contribution to an essential structural element of the end products.

4.3 In the present case, the Board has no doubt that the intermediates of formula (VIII) were made available with a view to obtaining the end products of formula (X), and that they are technically sufficiently closely inter-connected with the final products, because both groups of

compounds comprise the same 2,4,6-triaminopyrimidine moiety with the characteristic by oxygenated group in the 5-position (see also under section 3.2 above). Thus, the present intermediates are integrated into a single inventive concept by being designed to give rise to the final products.

5. The groups of dependent Claims 2 to 17, 24 to 25 and 29 to 31 claiming specific forms of the invention claimed in independent Claims 1, 23 and 28, respectively, are, according to Rule 13.4 PCT, permitted to be included in the same international application.
6. The Board, therefore, considers that the ISA erred in its finding of lack of unity of invention between the subject-matter of the groups of claims listed above under (1), (2), (3), (5) and (7).

**Order**

For these reasons, it is decided that:

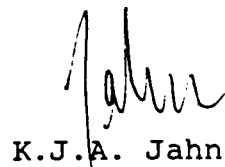
Reimbursement of the four additional search fees is ordered.

The Registrar:



E. Gorgmaier

The Chairman:



K.J.A. Jahn