Datasheet for the decision
of 23 May 2011

Case Number: T 1078/10 - 3.3.01
Application Number: 04756935.5
Publication Number: 1644375
IPC: C07D 487/04
Language of the proceedings: EN

Title of invention:
Fused-aryl and heteroaryl derivatives as modulators of metabolism and the prophylaxis and treatment of disorders related thereto

Applicant:
Arena Pharmaceuticals, Inc.

Headword:
Pyrazolopyrimidines/ARENA

Relevant legal provisions:
EPC Art. 123(2), 84
EPC R. 43(4)

Relevant legal provisions (EPC 1973):
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Keyword:
"Remittal of main request after amendment"
"Amendments allowable (yes)"
"Clarity (yes)"

Decisions cited:
-

Catchword:
-
Case Number: T 1078/10 - 3.3.01

DECISION
of the Technical Board of Appeal 3.3.01
of 23 May 2011

Appellant: Arena Pharmaceuticals, Inc.
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Representative: Wytenburg, Wilhelmus Johannes
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Decision under appeal: Decision of the Examining Division of the
European Patent Office posted 15 December 2009
refusing European patent application
No. 04756935.5 pursuant to Article 97(2) EPC.

Composition of the Board:
Chairman: P. Ranguis
Members: L. Seymour
         C.-P. Brandt
Summary of Facts and Submissions

I. This appeal lies from the decision of the examining division refusing the European patent application No. 04 756 935.5, based on international application WO 2005/007658, under Article 97(2) EPC.

II. The decision under appeal based on the following requests:

- main request, filed with letter of 27 April 2009;
- auxiliary requests 1 to 4, filed with letter of 27 April 2009;
- auxiliary request 5, filed at oral proceedings on 27 May 2009; and
- auxiliary requests 6 and 7, originally filed as auxiliary requests 5 and 6 with letter of 27 April 2009 and subsequently renumbered at oral proceedings on 27 May 2009.

Claim 1 of the main request read as follows
(definitions of $R_5$, $R_{10}$ and $A{r}_1$ omitted by the board for reasons of conciseness):

"1. A compound selected from compounds of the following formula:

![Chemical Structure]

and pharmaceutically acceptable salts, hydrates, and solvates thereof;

wherein:

A and B are each independently $C_{1-3}$ alkyene;
D is $CR_1R_2$ or $N-R_2$, wherein $R_1$ is selected from: H, $C_{1-4}$ alkyl, $C_{1-4}$ alkoxy, halogen, and hydroxyl;
Similarly, auxiliary requests 1 to 5 each comprised a Markush formula, with more restricted definitions of substituents.

In auxiliary request 6, the Markush formula in claim 1 was replaced by a list of two hundred and twenty-nine individual compounds. This claim is only partially reproduced below, for reasons of conciseness:

"1. A compound selected from the following compounds, and pharmaceutically acceptable salts, hydrates, and solvates thereof:

(A1) 4-[1-(4-Methanesulfonyl-phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yloxy]-piperidine-1-carboxylic acid tert-butyl ester;
(A2) 4-[1-(4-Methanesulfonyl-phenyl)-3-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl oxy]-piperidine-1-carboxylic acid tert-butyl ester;
(A3) 4-[1-(4-Methanesulfonyl-phenyl)-3,6-dimethyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl oxy]-piperidine-1-carboxylic acid tert-butyl ester;
(A4) 4-[1-(4-Methanesulfonyl-phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl oxy]-piperidine-1-carboxylic acid isobutyl ester;
(A5) 4-[1-(4-Methanesulfonyl-phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl oxy]-piperidine-1-carboxylic acid isopropyl ester;
(A6) 1-(4-Methanesulfonyl-phenyl)-4-(piperidin-4-yl oxy)-1H-pyrazolo[3,4-d]pyrimidine;
(A7) 4-[1-(4-Methanesulfonyl-phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl oxy]-piperidin-1-yl]-pyridin-3-yl-methanone.
(A8) (3-Fluoro-phenyl)-[4-[1-(4-methanesulfonyl-phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl oxy]-piperidin-1-yl]-methanone;
(A9) (1-tert-Butyl-5-methyl-1H-pyrazol-4-yl)-[4-[1-(4-methanesulfonyl-phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl oxy]-piperidin-1-yl]-methanone;
(A10) (5-tert-Butyl-2-methyl-2H-pyrazol-3-yl)-[4-[1-(4-methanesulfonyl-phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl oxy]-piperidin-1-yl]-methanone;

..."
In claim 1 of auxiliary request 7, this list was restricted to a single compound.

Claims 14 to 16 of auxiliary request 6 read as follows; corresponding claims were also to be found in the main request (claims 50 to 52) and in auxiliary requests 1 to 4 and 7:

"14. A compound according to any one of claims 1 to 7 for use in a method of decreasing food intake of an individual.

15. A compound according to any one of claims 1 to 7 for use in a method of inducing satiety of an individual.

16. A compound according to any one of claims 1 to 7 for use in a method of controlling or decreasing weight gain of an individual."

III. The sole ground for refusal was non-compliance of these requests with the requirements of Article 123(2) EPC.

With respect to the main request, the examining division inter alia considered that the omission of the term "by therapy" from claims 50 to 52 contravened Article 123(2) EPC. Auxiliary requests 1 to 4, 6 and 7 also suffered from the same deficiency with respect to corresponding claims (cf. e.g. claims 14 to 16 of auxiliary request 6 reproduced above).

With regards to the respective claims 1 of auxiliary requests 1 to 5, the examining division was of the opinion that the combinations of structural features claimed in the Markush formulae were not disclosed in the application as originally filed.
IV. The appellant (applicant) lodged an appeal against this decision, and filed a main request and three auxiliary requests with the statement of grounds of appeal.

V. In a communication sent as annex to the summons to oral proceedings, the board expressed its preliminary opinion on the allowability of the requests on file in view of the requirements of Article 123(2) EPC.

VI. In its response of 4 May 2011, the appellant submitted a main request and two auxiliary requests to replace the requests previously on file.

Claim 1 of the main request corresponds to claim 1 of auxiliary request 6 forming the basis of the decision under appeal (cf. page 2 above). The present main request differs from former auxiliary request 6 in several amendments to the wording of the medical use claims. In particular, in claims 14 to 16, the expression "of an individual" (cf. claims 14 to 16 reproduced on previous page) has been replaced by "of the human or animal body by therapy".

VII. In a telephone conversation with the rapporteur of the board on 19 May 2011, the appellant confirmed that it withdrew its request for oral proceedings on condition that the board decided to remit the case to the examining division for further prosecution based on the main request filed with letter of 4 May 2011.

VIII. By fax dated 23 May 2011, the board informed the appellant that the oral proceedings due to take place on 7 July 2011 were cancelled.
IX. The appellant (applicant) requested in writing that the decision under appeal be set aside and that the case be remitted to the examining division for grant on the basis of the main request, or alternatively on the basis of the first or second auxiliary requests, all filed with the letter of 4 May 2011.

Reasons for the Decision

1. The appeal is admissible.

2. Main request - Article 123(2) EPC

Independent claim 1 is based on Table A (pages 80 to 113) in combination with claim 1 of the application as originally filed.

The remaining claims 2 to 22 also find their basis in the application as originally filed, specifically, in Table A (pages 80 to 113), in combination with claims 1, 56, 59, 100 to 104, and 111 to 116, and the corresponding passages of the description on page 8, line 25 to page 10, line 31, as listed in detail by the appellant in an annex to its letter of 4 May 2011.

Consequently, the board is satisfied that the claims meet the requirements of Article 123(2) EPC.
3. **Main request - further formal requirements under Article 84 and Rule 43(4) EPC**

Claim 1 lists a very large number of compounds by name. Although, under some circumstances, such a claim might be considered to lack conciseness and comprehensibility, in the present case the claimed compounds possess a clearly identifiable common structural feature, namely, a \(1H\)-pyrazolo[3,4-d]pyrimidine ring bearing a phenyl or pyridyl group at position 1 and an oxygen or sulfur atom at position 4 (cf. Table A). The board therefore considers that the wording of claim 1 meets the requirements of Article 84 EPC in the present case.

It is also noted, for the sake of completeness, that claims 14 to 16 of the main request are drafted as purpose-related product claims. They contain a reference to the corresponding product claims 1 to 7, and are appropriately grouped with further claims having the same format (cf. claims 10 to 13). These claims are thus considered to be in conformity with Rule 43(4) EPC (cf. reference to objections under Rule 43(4) EPC in the decision under appeal, page 5, second complete paragraph).

4. It follows from the considerations outlined above that the main request addresses the reason for the refusal of the present patent application by the examining division (cf. also point III above) and is considered to be formally allowable. However, examination has not yet been completed as regards further requirements of the EPC, in particular those of novelty and inventive step. In order not to deprive the appellant of the possibility of having these issues decided by two
instances, the board exercises its power under Article 111(1) EPC and remits 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 examining division for further prosecution on the basis of claims 1 to 22 of the main request filed with letter of 4 May 2011.

The Registrar: The Chairman:

M. Schalow P. Ranguis