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Datasheet for the decision
of 26 April 2019

Case Number: T 1412/15 - 3.3.02
Application Number: 11716084.6
Publication Number: 2556081
IPC: C07H19/073, C07D405/12, A61K31/7068, A61P35/00, C07D239/47, C07D401/12
Language of the proceedings: EN

Title of invention:
A CYTOSINE ANALOGUE, A METHOD OF PREPARATION OF A CYTOSINE ANALOGUE, A DNA METHYLTRANSFERASE 1 INHIBITOR, A METHOD FOR DNA METHYLATION INHIBITION, THE USE OF THE ANALOGUE IN THE TREATMENT OF DISEASES ASSOCIATED WITH DEVIATIONS FROM NORMAL DNA METHYLATION

Applicant:
Instytut Chemii Bioorganicznej PAN

Headword:
CYTOSINE ANALOGUE / DNA METHYLTRANSFERASE 1 INHIBITION

Relevant legal provisions:
EPC Art. 84, 123(2)
RPBA Art. 13(1), 13(3)
**Keyword:**
Late-filed main request - admitted (yes)
Amendments - allowable (yes)
Claims - clarity (yes)

**Decisions cited:**

**Catchword:**
Case Number: T 1412/15 - 3.3.02

DECISION
of Technical Board of Appeal 3.3.02
of 26 April 2019

Appellant: Instytut Chemii Bioorganicznej PAN
(Applicant)
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Decision under appeal: Decision of the Examining Division of the European Patent Office posted on 16 February 2015 refusing European patent application No. 11716084.6 pursuant to Article 97(2) EPC.

Composition of the Board:
Chairman M. O. Müller
Members: M. Maremonti
F. de Heij
Summary of Facts and Submissions

I. The appeal by the applicant (hereinafter "appellant") lies from the decision of the examining division to refuse the European application No. 11 716 084.6.

II. The set of claims according to the sole request pending before the examining division contained three claims, independent claim 1 of which read as follows:

"1. An analogue of cytosine or an physiologically acceptable salt thereof, consisting of 1,4,5 and 6-substituted derivatives of cytosine or 5,6-dihydrocytosine, having chemical formula I or II

\[ \begin{align*}
&\text{I} \\
&\text{II}
\end{align*} \]

where \( R_1 = H, R_4 = \text{alkyl or aryl}, X = N \text{ or } C, \)

wherein if \( X \) in the analogue of formula I is \( N \), then \( R_5 \) is no substituent and \( R_6 \) are independently alkyl, aryl, hydroxyalkyl, aminoalkyl, hydroxyl, carboxyl, amino group, alkoxy, aryloxy, aminoalkyl, aminoaryl, thio group, sulfanyl, sulfinyl or halogen

if \( X \) in the analogue of formula I and/or II is \( C \) or if \( X \) in the analogue of formula II is \( N \), then \( R_5 \) and \( R_6 \)
are independently H, alkyl, aryl, hydroxyalkyl, aminoalkyl, hydroxyl, carboxyl, amino group, alkoxy, aryloxy, aminoalkyl, aminoaryl, thio group, sulfonyl, sulfinyl or halogen as inhibitors of DNA methyltransferase 1 (DNMT1)."

III. The following documents were referred to, inter alia, during the examination procedure:

D2: WO 2009/067035 A2

D4: US 2006/205685 A1

The examining division had come to, inter alia, the following conclusions:

- Claim 1 did not comply with Article 123(2) EPC.
- Claim 1 did not comply with Article 84 EPC.
- The subject-matter of claims 1 and 3 was not novel over D2.
- The subject-matter of claims 1 to 3 did not involve an inventive step in view of D4 and D2.

IV. In its statement setting out the grounds of appeal, the appellant contested the reasoning of the examining division. It filed three sets of claims as a main request and auxiliary requests 1 and 2, respectively. It submitted that the claimed subject-matter met all the requirements of the EPC.

V. The appellant was summoned to oral proceedings by a communication dated 29 January 2019. In the annex accompanying the summons, the board expressed its preliminary opinion that none of the requests filed by the appellant appeared to be allowable.
VI. In its reply dated 19 April 2019, the appellant filed a new set of claims 1 to 3 to be considered as its new main request.

VII. Oral proceedings before the board were held on 26 April 2019. During oral proceedings, the appellant filed a new main request containing a single claim and withdrew all previously filed requests. The single claim 1 of this main request reads as follows:

"1. An analogue of cytosine of the formula

![Chemical structure image]

VIII. Final requests

The appellant requests that the decision under appeal be set aside and that the case be remitted to the examining division for further prosecution on the basis of the main request as filed during oral proceedings before the board on 26 April 2019.

IX. The arguments of the appellant, where relevant for the present decision, can be summarised as follows:

Admissibility of the main request:

- It was acknowledged that claim 8 as filed, which was directed to cytosine analogues, recited that the substituent R4 in formula I (II, supra) was
alkyl or aryl and thus that it possibly did not include furfuryl.

- It was also acknowledged that claim 8 as filed did not include the possibility of the substituent R₆ in formula I (II, supra) to be hydrogen.

- It was true that the compound now claimed in the main request contained furfuryl as the substituent R₄ and hydrogen as the substituent R₆.

- Nevertheless, cytosine analogues including furfuryl as substituent R₄ and hydrogen as the substituent R₆ had been covered by the search performed in respect of the present application. This was confirmed by the fact that document D2, disclosing cytosine analogues with a furfuryl group as the R₄ substituent and hydrogen as the R₆ substituent, was cited in the search report issued for the present application.

- Therefore, the compound defined in the main request did not require any additional search to be done.

- The main request was also convergent with the previous main request filed with the statement of grounds of appeal, i.e. it did not represent a fresh case.

- It was true that claim 1 of the previous main request defined the substituent R₄ in the claimed cytosine analogues to be either alkyl or aryl, i.e. possibly not including furfuryl. However, this claim 1 was understood by both the examining division (see the impugned decision) and the board in its annex to the summons to oral proceedings as to actually comprise furfuryl as a possible
substituent $R_4$. This was confirmed by the fact that D2 was regarded as novelty-destroying for this claim 1 in view of its disclosure of the compounds 4-N-furfurylcytosine and 4-N-furfuryl-5-methylcytosine.

- The compound of the present main request had thus to be seen as a restriction of the subject-matter claimed at the onset of the appeal proceedings.

- Moreover, the claimed subject-matter was also clearly allowable under Articles 123(2) and 84 EPC. It was disclosed on page 11 and in table 1, compound L, of the application as filed.

- The main request did not require adjournment of the oral proceedings and was decisive for the reversal of the impugned decision. Therefore, despite the late filing, it should be admitted into the proceedings.

Reasons for the Decision

Main request - admittance into the proceedings

1. The arguments submitted by the appellant (IX, supra) convince the board that the subject-matter defined in the single claim of the main request had been covered by the search carried out in respect of the present application, is convergent with the main request filed at the onset of the appeal proceedings and is clearly allowable under Articles 123(2) and 84 EPC (see below).

2. Moreover, the main request, restricting the claimed subject-matter to a single compound, neither increases the complexity of the claimed subject-matter nor raises
issues requiring an adjournment of the oral proceedings.

3. Therefore, in the exercise of its discretion under Article 13(1) RPBA and with regard to Article 13(3) RPBA, the board decided to admit the main request of the appellant into the proceedings.

Main request - compliance with Articles 123(2) and 84 EPC

4. The compound defined in the single claim 1 of the main request is 4-N-furfuryl-5-acetyloxymethylcytosine. It is directly and unambiguously disclosed on page 11 (there designated as "4-N-furfuryl-5-acetyloxymethylcytosine") as well as in table 1, compound L, of the application as filed (page 17). This compound is defined in the claim by means of its chemical structure, which is clear.

The subject-matter of the main request therefore complies with Articles 123(2) and 84 EPC.

Remittal

5. The other patentability requirements of the specific compound defined in claim 1 at issue, e.g. novelty and inventive step, were not assessed by the examining division. Therefore, the board finds it appropriate to make use of its discretion under Article 111(1) EPC and to remit the case to the examining division for further prosecution on the basis of the main request filed by the appellant during oral proceedings, in accordance with the appellant's request to this end.
Order

For these reasons it is decided that:

1. The appealed decision is set aside.

2. The case is remitted to the examining division for further prosecution on the basis of the main request as filed during oral proceedings before the board on 26 April 2019.

The Registrar: 

The Chairman:

N. Maslin 

M. O. Müller

Decision electronically authenticated