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D E C I S I O N
of 20 January 2004

Case Number: T 0943/98 - 3.3.1

Application Number: 92870006.1

Publication Number: 0494850

IPC: C07D 211/46

Language of the proceedings: EN

Title of invention:
Novel antiviral compounds

Applicant:
G.D. Searle & Co.

Opponent:
-

Headword:
Antiviral compounds/SEARLE

Relevant legal provisions:
EPC Art. 56

Keyword:
"Inventive step (yes) - non-obvious solution"

Decisions cited:
-

Catchword:
-

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Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 0943/98 - 3.3.1

DECISION
of the Technical Board of Appeal 3.3.1
of 20 January 2004

Appellant: G.D. Searle & Co.
5200 Old Orchard Road
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Illinois 60077 (US)

Representative: Colens, Alain
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B-1050 Bruxelles (BE)

Decision under appeal: Decision of the Examining Division of the European Patent Office posted 23 April 1998 refusing European application No. 92870006.1 pursuant to Article 97(1) EPC.

Composition of the Board:

Chairman: A. J. Nuss
Members: P. P. Bracke
R. T. Menapace

Summary of Facts and Submissions

I. The appeal lies from the Examining Division's decision, handed down on 23 April 1998, refusing European patent application No. 92870006.1, published as EP-A-0 494 850, on the grounds of lack of inventive step in the light of the disclosure of documents

(A) EP-A-0 367 748 and

(H) EP-A-0 298 350.

In particular, the Examining Division found that the claimed compounds differed from the compounds known from document (A) only by the nature of the N-substituent and that it was known from document (H) that the N-substituent can be modified without loss of the desired activity.

II. In a telefax received on 13 January 2004 the Appellant filed sets of claims according to a main request and auxiliary requests I and II.

The main request consisted of six claims with the only independent claim reading:

"1. An O-acylated derivative of 1,5-dideoxy-1,5-imino-D-glucitol containing an N-ώ,ώ,ώ-trifluoroalkyl group having from three to eight carbon atoms and in which from one to four of the free hydroxyl groups are O-acylated with carboxylic acyclic alkanoyl groups having from two to ten carbon atoms."

III. The Appellant essentially argued that a skilled person in search of antiviral compounds, which are inhibitors of visna virus and are potentially useful in the treatment of AIDS and AIDS-related complex (ARC), would not have considered the disclosure of document (H) and, consequently, that he would not have combined the disclosure of document (H) with the disclosure of document (A). Moreover, he submitted that ώ,ώ,ώ-trifluoroalkyl groups were not suggested as N-substituents in document (H).

IV. The Appellant requested that the contested decision be set aside and that a patent be granted based on the set of claims according to the main request or according to any of auxiliary requests I and II, all filed with telefax received on 13 January 2004.

Reasons for the Decision

1. The appeal is admissible.

2. *Main request*

2.1 Article 123(2) EPC

Since the subject-matter claimed in Claims 1 to 6 correspond with the subject-matter of Claims 25 to 30 of the application as filed, the set of claims meets the requirement of Article 123(2) EPC.

2.2 Novelty

The claimed compounds were not described in any of the cited prior art documents. In particular, the claimed compounds differ from the compounds described in document (A) by virtue of the ώ,ώ,ώ-trifluoro substitution of the N-alkyl substituent.

2.3 Inventive step

2.3.1 In accordance with the "problem-solution approach" applied by the Boards of Appeal to assess inventive step on an objective basis, it is in particular necessary to establish the closest state of the art forming the starting point, to determine in the light thereof the technical problem which the invention addresses and solves, and to examine the obviousness of the claimed solution to this problem in the light of the state of the art.

2.3.2 The "closest state of the art" is normally a prior art document disclosing subject-matter aiming at the same objective as the claimed invention and having the most relevant technical features in common with it.

Since Claim 1 relates to antiviral O-acylated derivatives of 1,5-dideoxy-1,5-imino-D-glucitol which are inhibitors of visna virus and are potentially useful in the treatment of AIDS and ARC, and document (A) also discloses O-acylated derivative of 1,5-dideoxy-1,5-imino-D-glucitol having such activity, document (A) is to be considered as the closest prior art and, thus, as a suitable starting point for evaluating the inventive merit of the invention.

Document (A) describes on page 2, lines 47 to 55, N-alkyl substituted O-acylated derivatives of 1,5-dideoxy-1,5-imino-D-glucitol wherein the N-alkyl group contains 4 to 14 carbon atoms, and on page 3, lines 24 to 57, and in the examples it specifically describes O-acylated derivatives of 1,5-dideoxy-1,5-imino-D-glucitol having as N-alkyl group butyl, hexyl, nonyl, 2-ethylbutyl or 2-methylpentyl.

2.3.3 It has not been contested that, starting from the disclosure of document (A), the problem underlying the invention is the provision of further antiviral compounds which are inhibitors of visna virus and are potentially useful in the treatment of AIDS and ARC (see page 2, lines 4 to 6, of the published patent application).

2.3.4 The application in suit claims to solve this problem by means of the compounds defined in Claim 1 (see point II above).

From the data provided in Table 2 for compounds 62, 63 and 66 in the application as filed it follows that O-acylated derivatives of 1,5-dideoxy-1,5-imino-D-glucitol having as a N-substituent a $-(CH_2)_nCF_3$ group wherein n is 3, 5 or 7 have an inhibitory activity against visna virus.

Considering those data, the Board has no reason to doubt that the problem underlying the invention is effectively solved with the claimed compounds.

2.3.5 It therefore remains to be decided whether, in the light of the teachings of the cited documents, a skilled person seeking to solve the above-mentioned problem (see point 2.3.3) would have arrived at the claimed compounds in an obvious way.

2.3.6 As document (A) is silent about any possible substitution on the N-alkyl group, it does not reveal, in isolation, whether by replacing a N-alkyl group in an O-acylated derivative of 1,5-dideoxy-1,5-imino-D-glucitol by an ώ,ώ,ώ-trifluoroalkyl group the inhibitory activity against visna virus may be maintained.

2.3.7 Document (H) describes chiral 2-hydroxymethyl-3-amino-4,5-dihydroxypiperidines optionally substituted on the ring N-atom, which influence the metabolism of lipids and proteins, and those substituted on the ring N-atom by an alkyl group with up to 6 carbon atoms, which may be substituted with one or more fluoro- or chloroatoms, are cited on page 3, lines 33 to 37, as preferred compounds.

It was also stated in document (H), page 11, lines 6 to 8, that owing to their influence on the glycosylation of viral proteins the compounds described therein are also suitable for the therapy of viral diseases. The Examining Division therefore concluded that document (H) showed that the desired activity of structurally related antiviral compounds was not lost by substituting an alkyl group with one or more fluoro atoms.

However, the said statement on page 11, lines 6 to 8, of document (H) is to be seen in the complete context of that document, in particular, in the context of the pharmacological and therapeutical properties cited in the paragraph bridging pages 10 and 11 of the published application. It is namely stated in this paragraph that 3-amino-piperidines influence the glycosylation of proteins and that, by virtue of this property, they can be used in a series of applications. It is in this context that the use in the therapeutic treatment of viral diseases is mentioned in document (H).

Since document (H) relates only to compounds useful in the therapeutic treatment of viral diseases owing to their influence on the glycosylation of proteins, it does not provide any information about the chemical structure of antiviral compounds that are inhibitors of visna virus and are potentially useful in the treatment of AIDS and ARC. Therefore, a skilled person looking for inhibitors of visna virus had no reason to take the information contained in document (H) into consideration, all the more, since it only discloses 2-hydroxymethyl-**3-amino**-4,5-dihydroxypiperidines without mentioning the **3-hydroxy** analogues as now claimed and as disclosed in document (A).

Consequently, document (H) says nothing about whether the visna virus inhibitory activity would be maintained if the N-alkyl substituent in the compounds described in document (A) were replaced by poly-fluoro substituted alkyl groups, let alone by ώ,ώ,ώ-trifluoro substituted alkyl groups.

2.3.8 Examination of the remaining prior art documents cited in the European Search Report revealed that ώ,ώ,ώ-trifluoro substituted alkyl groups were not suggested in any of those documents either.

2.3.9 The compounds of Claim 1 are thus not rendered obvious by the teaching of any of documents (A) and (H), taken in isolation or in combination, nor by the combined teaching of any of those documents and one or more other documents cited in the European Search Report.

Claims 2 to 6 derive their patentability from the same inventive concept as Claim 1, on which they depend.

3. *Auxiliary requests*

In the light of the above findings, there is no need to consider the auxiliary requests.

Order

For these reasons it is decided that:

1. The contested decision is set aside.

2. The case is remitted to the first instance with the order to grant a patent on the basis of Claims 1 to 6 according to the main request filed with Appellant's telefax received on 13 January 2004 and a description to be adapted thereto.

The Registrar:

N. Maslin

The Chairman:

A. Nuss