Datasheet for the decision of 7 December 2006

Case Number: T 1006/05 - 3.3.07
Application Number: 02704733.1
Publication Number: 1370356
IPC: B01J 20/32
Language of the proceedings: EN

Title of invention:
Chiral stationary phases based on derivatives of 4-amino-3,5-dinitrobenzoic acid

Applicant:
EURAND PHARMACEUTICALS LTD.

Opponent:
-

Headword:
-

Relevant legal provisions:
EPC Art. 60(3), 123(2)
EPC R. 20

Keyword:
"Amendments - added subject matter (yes)"

Decisions cited:
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Catchword:
-
DECISION
of the Technical Board of Appeal 3.3.07
of 7 December 2006

Appellant:
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Decision under appeal:
Decision of the Examining Division of the European Patent Office posted 25 April 2005 refusing European application No. 02704733.1 pursuant to Article 97(1) EPC

Composition of the Board:
Chairman: S. Perryman
Members: B. ter Laan
B. Struif
I. European patent application No. 02 704 733.1, filed on 6 March 2002 as International Application PCT/EP02/02437, was published on 12 September 2002 as WO02/070124. The application as filed comprised 25 claims, claim 1 reading as follows:

"Chiral stationary phase represented by the structure (I):

\[
\begin{align*}
\text{O}_2\text{N} & \quad \text{P} \quad \text{N} \\
& \quad \text{CO} \quad \text{NH} \quad \text{Q} \\
\text{O}_2\text{N} & \quad \text{P} \\
\end{align*}
\]

(i)

in which:

• **P** is:

  (i): a chiral group in an enantiomerically pure form, chosen between:

  \(-(\text{CH}_2)_n\text{NHCOCH}(\text{R}^1)\text{NH}(\text{R}^2)\) where:

  n ranges from 2 to 4, \(\text{R}^1\) is \(\text{C}_1-\text{C}_5\) linear or branched alkyl, aryl; \(\text{R}^2\) is \(\text{COAr}\) or \(\text{Ar}\), where \(\text{Ar}\) is an aryl or alkylaryl group, optionally substituted with one or more groups chosen among: \(\text{NO}_2, \text{CN}, \text{Cl, CH}_3, \text{OCH}_3\)

  \(-(\text{COCH}(\text{R}^1)\text{NH}(\text{R}^2)\) where:

  \(\text{R}^1\) and \(\text{R}^2\) are defined as above;

  (ii) or **P** is a spacer group covalently bound to a solid support for chromatography.
Q is:

(i) a chiral group in an enantiomerically pure form, chosen among:
-\text{CHR}^{10}\text{R}^{11}\) where:
\(\text{R}^{10}\) is H, C\(_1\)-C\(_5\) linear or branched alkyl; \(\text{R}^{11}\) is cycloalkyl, arylalkyl, aryl, optionally substituted with one or more groups chosen among: NO\(_2\), CN, Cl, CH\(_3\), OCH\(_3\)

-\text{CH}(\text{R}^{13})\text{CONH}(\text{R}^{14})\) where:
\(\text{R}^{13}\) is H, C\(_1\)-C\(_5\) linear or branched alkyl, aryl, optionally substituted with NO\(_2\), CN, Cl, CH\(_3\), OCH\(_3\); \(\text{R}^{14}\) is alkylaryl, aryl, optionally substituted with one or more groups chosen among: NO\(_2\), CN, Cl, CH\(_3\), OCH\(_3\)

-\text{CH}(\text{R}^{3})\text{CH}(\text{R}^{4})(\text{NH}\text{R}^{5})\) where:
\(\text{R}^{3}\) and \(\text{R}^{4}\) independently of each other are C\(_1\)-C\(_5\) linear or branched alkyl, aryl, alkylaryl, optionally substituted with NO\(_2\), CN, Cl, CH\(_3\), OCH\(_3\); or \(\text{R}^{3}\) forms with \(\text{R}^{4}\) and with the carbon atoms bound to \(\text{R}^{3}\) and \(\text{R}^{4}\) a 5-6 term ring; \(\text{R}^{5}\) is an aryl, benzoyl group, optionally substituted with one or more groups chosen from: NO\(_2\), CN, Cl, CH\(_3\), OCH\(_3\).

-\text{CH}[\text{CH}(\text{R}^{17})\text{R}^{7}][\text{R}^{3}]\) where:
\(\text{R}^{9}\) is OH, -\text{CHR}^{8}\text{R}^{6} or phenyl; \(\text{R}^{17}\) is H or OH, \(\text{R}^{7}\) is H, C\(_1\)-C\(_5\) linear or branched alkyl or \(\text{R}^{7}\) forms with \(\text{R}^{8}\) and with the carbon atoms bound to \(\text{R}^{7}\) and \(\text{R}^{8}\) a 5-6 term ring; \(\text{R}^{8}\) is H, C\(_1\)-C\(_5\) linear or branched alkyl, phenyl, or \(\text{R}^{8}\) forms with \(\text{R}^{6}\) and with the carbon atoms bound to \(\text{R}^{8}\) and \(\text{R}^{6}\) a 5-6 term ring optionally of aromatic nature; optionally of aromatic nature; \(\text{R}^{6}\) is H, C\(_1\)-C\(_5\) linear or branched alkyl, hydroxyl;

(ii) or Q is a spacer group covalently bound to a solid support for chromatography, and in which the aforesaid formula (I) always contains one chiral group and one spacer group bound to a solid support for chromatography, as defined above."
II. In its decision of 25 April 2005, the Examining Division refused the application for lack of unity since D1 (Journal of Chomatography A, 859 (1999), 143-151) disclosed the specific technical feature shared by all the claimed alternatives.

III. That decision was based on a set of 23 claims filed on 3 February 2005 as the only request, claim 1 reading:

"Chiral stationary phase represented by the structure (I):

(I)

in which:
- \(P\) is a spacer group covalently bound to a solid support for chromatography
- \(Q\) is:
  (i) a chiral group in an enantiomerically pure form, chosen among:
  - \(-\text{CHR}^{10}\text{R}^{11}\) where:
    - \(R^{10}\) is H, C\(_1\)-C\(_5\) linear or branched alkyl; \(R^{11}\) is cycloalkyl, arylalkyl, aryl, optionally substituted with one or more groups chosen among: NO\(_2\), CN, Cl, CH\(_3\), OCH\(_3\)
  - \(-\text{CH(R}^{13})\text{CONH(R}^{14}\) where:
R^{13} \text{ is } H, C_1-C_5 \text{ linear or branched alkyl, aryl, optionally substituted with NO}_2, \text{ CN, Cl, CH}_3, \text{ OCH}_3; R^{14} \text{ is alkylaryl, aryl, optionally substituted with one or more groups chosen among: NO}_2, \text{ CN, Cl, CH}_3, \text{ OCH}_3.

\text{-CH(R^3)CH(R^4)(NHR^5)} \quad \text{where:}

R^3 \text{ and } R^4 \text{ independently of each other are } C_1-C_5 \text{ linear or branched alkyl, aryl, alkylaryl, optionally substituted with NO}_2, \text{ CN, Cl, CH}_3, \text{ OCH}_3; \text{ or } R^3 \text{ forms with } R^4 \text{ and with the carbon atoms bound to } R^3 \text{ and } R^4 \text{ a 5-6 term ring; } R^5 \text{ is an aryl, benzoyl group, optionally substituted with one or more groups chosen from: NO}_2, \text{ CN, Cl, CH}_3, \text{ OCH}_3.

\text{-CH[CH(R^17)R^7][R^9]} \quad \text{where:}

R^9 \text{ is OH, } -\text{CHR}^8R^6 \text{ or phenyl; } R^{17} \text{ is } H \text{ or OH, } R^7 \text{ is } H, C_1-C_5 \text{ linear or branched alkyl or } R^7 \text{ forms with } R^8 \text{ and with the carbon atoms bound to } R^7 \text{ and } R^8 \text{ a 5-6 term ring; } R^8 \text{ is } H, C_1-C_5 \text{ linear or branched alkyl, phenyl, or } R^8 \text{ forms with } R^6 \text{ and with the carbon atoms bound to } R^8 \text{ and } R^6 \text{ a 5-6 term ring optionally of aromatic nature; } R^6 \text{ is } H, C_1-C_5 \text{ linear or branched alkyl, hydroxyl};"}

IV. On 24 June 2005, the applicant (appellant) filed a notice of appeal, the appeal fee being paid on the same day. In the statement setting out the grounds of appeal filed on 28 July 2005, the appellant argued that the special technical feature was represented by the combination of i) the identification of the chiral selectors of claim 1 with the peculiar position of the dinitrophenyl entity and ii) the identification of their capability to separate a wide spectrum of different compounds.

In a communication of 18 September 2006 in preparation of oral proceedings, the Board pointed out that the single general inventive concept linking together the
various possibilities given for the chiral group \( Q \) so as to form one invention, still remained to be indicated (Article 82 EPC). Also, lack of novelty in view of D1 was pointed out (Article 54 EPC). Furthermore, the appellant was asked to indicate the basis in the original application for any amendment that would be made (Article 123(2) EPC).

With a letter dated 7 November 2006, the appellant filed an amended set of claims 1 to 8, replacing entirely the previous one. A new description of 26 pages including new examples was also filed. Claim 1 reads as follows:

"Chiral stationary phases represented by the structure (I)

\[ \text{solid support} \quad B(CH_2)n - NH \quad \text{O} \quad \text{NO}_2 \quad \text{NO}_2 \quad \text{N} - (CH_2)m - N - Q \]

in which:
- \( n \) ranges from 2 to 4,
- \( m \) ranges from 2 to 4,
- \( B \) is binding unit which ensures covalent binding to the solid support
- \( Q \) is a chiral group in the enantiomeric pure form chosen among:
- \(-C (=O)CH(R_1)HNX\) where:
R₁ is C₁-C₆ linear or branched alkyl, aryl and heteroaryl
X is aroyl (arylacyl), or heteroaryl group optionally substituted with one or more groups chosen among NO₂, CN, Cl, OMe,
with the proviso that said formula (I) contains: a) one chiral group containing at least one stereogenic carbon atom within group Q, and b) one spacer group (CH₂)ₘ defined as above,
solid support means organic, or preferably inorganic material" [sic]

In a further communication dated 14 November 2006, the Board pointed out that no basis for the amendments would appear to be present in the original application.

By a facsimile letter of 6 December 2006 it was indicated to the Board that the appellant would not be represented at the oral proceedings to which he had been summoned. The oral proceedings took place on 7 December 2006 in the absence of the appellant, pursuant to Rule 71(2) EPC.

V. The appellant requested that the decision under appeal be cancelled.
Reasons for the Decision

1. The appeal is admissible.

Procedural matters

2. In view of the explicit withdrawal of the previous set of claims (letter dated 7 November 2006), the Board can only assume that the full request of the appellant is that the decision under appeal be set aside and that a patent be granted on the basis of the set of eight claims filed on 7 November 2006 as the sole request.

3. Pursuant to Article 60(3) EPC, the Board can only treat as party to the proceedings the applicant who is on record at the European Patent Office as proprietor. Where the Board is contacted, as happened in this case, on behalf of someone who claims an interest in the application as successor to the applicant on record, the Board cannot take this into consideration unless recordal of a change of ownership has been duly requested pursuant to Rule 20 EPC.

Amendments

4. Present claim 1 differs from the original claim 1 in many aspects.

4.1 One noticeable difference is the introduction of a completely amended formula (I) in which a new group "B" is present, defined as "a binding unit which ensures covalent binding to the solid support". Group B appears to be part of the original group Q having, as an additional spacer group, -(CH₂)ₙ- (n ranging from 2
to 4). However, in the original definition, $Q$ is simply a spacer group directly bonded to the $-\text{NH}$ group.

4.2 Also, the group $P$ in original formula (I) has been replaced by the group $-(\text{CH}_2)_m\text{NHQ}$, where $Q$ is $-\text{C}(=\text{O})\text{CH}(\text{R}_1)\text{HNX}$, $\text{R}_1$ being a $\text{C}_1-\text{C}_6$ linear or branched alkyl, aryl or heteroaryl and $X$ being an aroyl (arylacyl), or heteroaryl group optionally substituted with one or more groups chosen among NO$_2$, CN, Cl, OMe. Thus, the present "$Q$" has nothing to do with the original $Q$.

Although originally one of a number of possible meanings of $P$ had been "$-(\text{CH}_2)_n\text{NHCOCH(\text{R}_1)}\text{NH(\text{R}_2)}$", where $n$ ranged from 2 to 4, $\text{R}_1$ was $\text{C}_1-\text{C}_5$ linear or branched alkyl, aryl; $\text{R}_2$ was COAr or Ar, where Ar was an aryl or alkylaryl group, optionally substituted with one or more groups chosen among NO$_2$, CN, Cl, CH$_3$, OCH$_3$.", that disclosure cannot serve as a basis for the replacement of $P$ by $-(\text{CH}_2)_m\text{NHQ}$, since a number of differences, indicated in bold, have been introduced:

- $\text{R}_1$, which could originally be a $\text{C}_1-\text{C}_5$ linear or branched alkyl or aryl, can now be a $\text{C}_1-\text{C}_6$ linear or branched alkyl, aryl or heteroaryl;

- $\text{R}_2$ was replaced by $X$. Whereas $\text{R}_2$ had originally been defined as COAr or Ar, where Ar was an aryl or alkylaryl group, optionally substituted with one or more groups chosen among NO$_2$, CN, Cl, CH$_3$, OCH$_3$, $X$ has now become an aroyl (arylacyl), or heteroaryl group optionally substituted with one or more groups chosen among NO$_2$, CN, Cl, OMe.

4.3 Furthermore, the combination of features now being claimed appears, at least in part, to involve a
specific selection made by choosing particular combinations of various possibilities encompassed by but not specifically disclosed in the original application.

5. Not only the claims have been drastically changed, but also the examples in the description.

5.1 Originally, there were 36 examples in which chiral stationary phases numbered CSP-1 to CSP-XIV were prepared (examples 1 to 24) and used (examples 25 to 36). The present description contains eight preparation examples (1 to 8) and seven application examples (9 to 15). Present example 1 pertains to the preparation of "SP2", the meaning of which is unclear. Some of the elements of present example 1 may be found in original example 19, which however referred to the preparation of chiral stationary phase CSP-X, and according to which different amounts of solvents were used, thus casting doubt on the identity of the examples.

5.2 As regards the other examples, they appear completely different from the ones disclosed in the application as originally filed.

6. Finally, the figures have nothing in common with the original ones. The present chemical formulae indicated as CSP (with different numbers) appear not to be attached to the solid necessarily present in a chiral stationary phase, which had however been indicated in the original figures.

7. The Board cannot find any basis in the original application for the amendments indicated above nor for
many other amendments not specifically dealt with in this decision. In spite of the explicit and repeated requests made by the Board in their communications, the appellant has failed to indicate any basis for the far-reaching amendments made to the application. Hence, the requirements of Article 123(2) EPC cannot be regarded as fulfilled.

Order

For these reasons it is decided that:

The appeal is dismissed.

The Registrar

The Chairman

C. Eickhoff

S. Perryman