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**Datasheet for the decision  
of 4 May 2011**

**Case Number:** T 0620/08 - 3.3.01

**Application Number:** 00930450.2

**Publication Number:** 1189881

**IPC:** C07D 405/12

**Language of the proceedings:** EN

**Title of invention:**

Propanoic acid derivatives that inhibit the binding of  
integrins to their receptors

**Patentee:**

ENCYSIVE PHARMACEUTICALS, INC.

**Opponent:**

Vertex Pharmaceuticals Incorporated

**Headword:**

Oxo-pyridine/ENCYSIVE

**Relevant legal provisions:**

EPC Art. 54, 84, 56

**Keyword:**

"Admissibility of documents late-filed during opposition  
proceedings: (yes) partly"  
"Late-filed ground for opposition - considered"  
"Main, first and second auxiliary request: novelty (no) special -  
meaning of terms used in patent specification considered"  
"Adjournment of oral proceedings (no) - no new issues"  
"Fourth auxiliary request: novelty (yes); inventive step (yes)  
- oxo-pyridine compounds non-obvious alternative"

**Decisions cited:**

G 0010/91, G 0007/95, T 0986/93, T 0556/02, T 0416/87,  
T 0500/01, T 0939/92, T 0860/93, T 1018/03

**Catchword:**

-



Case Number: T 0620/08 - 3.3.01

**DECISION**  
of the Technical Board of Appeal 3.3.01  
of 4 May 2011

**Appellant:** Vertex Pharmaceuticals Incorporated  
(Opponent) 130 Waverly Street  
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**Respondent:** ENCYSIVE PHARMACEUTICALS, INC.  
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**Decision under appeal:** Decision of the Opposition Division of the  
European Patent Office posted 22 January 2008  
rejecting the opposition filed against European  
patent No. 1189881 pursuant to Article 102(2)  
EPC.

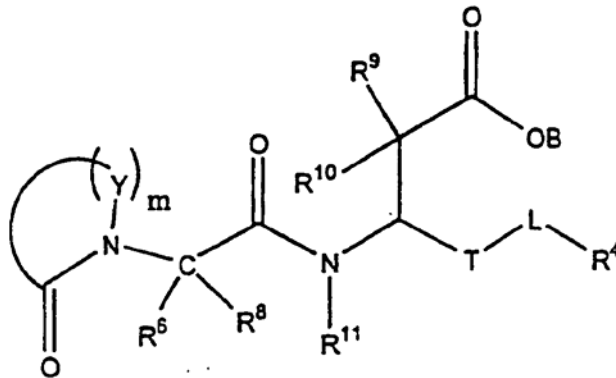
**Composition of the Board:**

**Chairman:** P. Ranguis  
**Members:** G. Seufert  
C.-P. Brandt

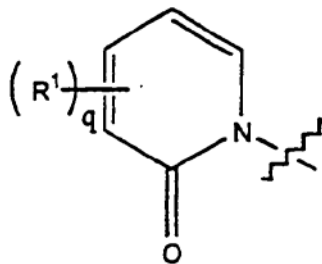
### Summary of Facts and Submissions

- I. The Appellant (Opponent) lodged an appeal against the decision of the Opposition Division rejecting the opposition.
- II. The patent was granted on the basis of nine claims, independent claims 1 and 3 reading as follows:

"1. A compound of the structure:



wherein the ring including Y is a mono-cyclic heterocycle consisting of an optionally substituted oxo-pyridinyl of the formula IV:



IV

q is an integer of zero to four; and  
T is selected from the group consisting of  $(\text{CH}_2)_b$   
wherein b is an integer of 0 to 3;

L is selected from the group consisting of O, NR<sup>13</sup>, S, and (CH<sub>2</sub>)<sub>n</sub> wherein n is an integer of 0 or 1; and B, R<sup>1</sup>, R<sup>4</sup>, R<sup>6</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and R<sup>13</sup> are independently selected from the group consisting of hydrogen, halogen, hydroxyl, alkyl alkenyl, alkynyl, alkoxy, alkenoxy, alkynoxy, thioalkoxy, hydroxyalkyl, aliphatic acyl, -CF<sub>3</sub>, nitro, amino, cyano, carboxy, -N(C<sub>1</sub>-C<sub>3</sub> alkyl)-C(O)(C<sub>1</sub>-C<sub>3</sub> alkyl), -NHC(O)NH(C<sub>1</sub>-C<sub>3</sub> alkyl), -NHC(O)N(C<sub>1</sub>-C<sub>3</sub> alkyl)C(O)NH(C<sub>1</sub>-C<sub>3</sub> alkyl), -C<sub>1</sub>-C<sub>3</sub> alkylamino, alkenylamino, alkynylamino, di(C<sub>1</sub>-C<sub>3</sub> alkyl)amino, -C(O)O-(C<sub>1</sub>-C<sub>3</sub> alkyl), -C(O)NH-(C<sub>1</sub>-C<sub>3</sub> alkyl), -CH=NOH, -PO<sub>3</sub>H<sub>2</sub>, -OPO<sub>3</sub>H<sub>2</sub>, -C(O)N(C<sub>1</sub>-C<sub>3</sub> alkyl)<sub>2</sub>, haloalkyl, alkoxyalkoxy, carboxaldehyde, carboxamide, cycloalkyl, cycloalkenyl, cycloalkynyl, cycloalkylalkyl, aryl, aroyl, aryloxy, arylamino, biaryl, thioaryl, diarylamino, heterocyclyl, alkylaryl, aralkenyl, aralkyl, alkylheterocyclyl, heterocyclylalkyl, sulfonyl, -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub> alkyl), -SO<sub>3</sub>-(C<sub>1</sub>-C<sub>3</sub> alkyl), sulfonamido, aryloxyalkyl, carboxyl, carbamate and -C(O)NH(benzyl);

R<sup>8</sup> is independently selected from the group consisting of halogen, hydroxyl, alkyl, alkenyl, alkynyl, alkoxy, alkenoxy, alkynoxy, thioalkoxy, hydroxyalkyl, aliphatic acyl, -CF<sub>3</sub>, nitro, amino, cyano, carboxy, -N(C<sub>1</sub>-C<sub>3</sub> alkyl)-C(O)(C<sub>1</sub>-C<sub>3</sub> alkyl), -NHC(O)NH(C<sub>1</sub>-C<sub>3</sub> alkyl), -NHC(O)N(C<sub>1</sub>-C<sub>3</sub> alkyl)C(O)NH(C<sub>1</sub>-C<sub>3</sub> alkyl), -C<sub>1</sub>-C<sub>3</sub> alkylamino, alkenylamino, alkynylamino, di(C<sub>1</sub>-C<sub>3</sub> alkyl)amino, -C(O)O-(C<sub>1</sub>-C<sub>3</sub> alkyl), -C(O)NH-(C<sub>1</sub>-C<sub>3</sub> alkyl), -CH=NOH, -PO<sub>3</sub>H<sub>2</sub>, -OPO<sub>3</sub>H<sub>2</sub>, -C(O)N(C<sub>1</sub>-C<sub>3</sub> alkyl)<sub>2</sub>, haloalkyl, alkoxyalkoxy, carboxaldehyde, carboxamide, cycloalkyl, cycloalkenyl, cycloalkynyl, cycloalkylalkyl, aryl, aroyl, aryloxy, arylamino, biaryl, thioaryl, diarylamino, heterocyclyl, alkylaryl, aralkenyl, aralkyl, alkylheterocyclyl, heterocyclylalkyl,

sulfonyl,  $-SO_2-(C_1-C_3 \text{ alkyl})$ ,  $-SO_3-(C_1-C_3 \text{ alkyl})$ ,  
 sulfonamido, aryloxyalkyl, carboxyl, carbamate and  
 $-C(O)NH(\text{benzyl})$ ;

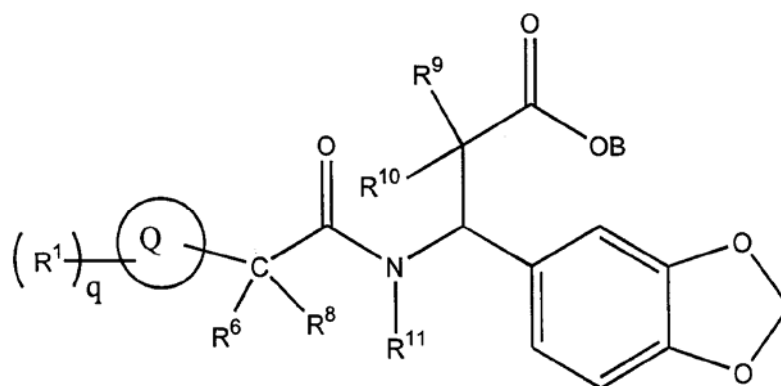
wherein when L is  $-NR^{13}-$ ,  $R^4$  and  $R^{13}$  taken together may  
 form a ring;

and wherein  $R^6$  and  $R^8$  taken together may form a ring;

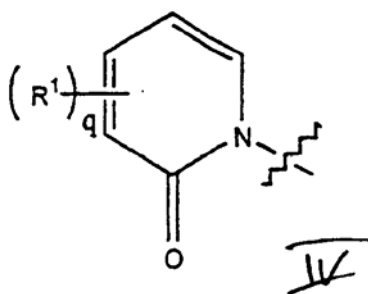
and wherein  $R^9$  and  $R^{10}$  taken together may form a ring;

or a pharmaceutically acceptable salt thereof."

"3. A compound of the structure



wherein circle Q is a ring consisting of



The residues q, B,  $R^1$ ,  $R^6$ ,  $R^8$ ,  $R^9$ ,  $R^{10}$  and  $R^{11}$  are defined  
 as in claim 1 with the exception that in contrast to  
 claim 1 the residue  $R^8$  can also be hydrogen.

Independent claims 6-9 are directed to specific 1,3-  
 Benzodioxol-5-yl compounds, their ester, carbamate and  
 amination derivatives, pharmaceutical compositions  
 comprising a compound of claim 1 and the use of a

compound of claim 1 for preparing a drug for selectively inhibiting  $\alpha_4\beta_1$  integrin binding in a mammal.

III. In this decision the following numbering will be used to refer to the documents:

- (1) US 5,770,573
- (2) EP 0 842 943
- (3) WO 98/08840
- (4) EP 0 512 831
- (5) WO 98/04913
- (6) WO 98/04247
- (7) WO 95/35308

IV. Notice of opposition had been filed by the Appellant requesting revocation of the patent in suit in its entirety on the grounds of lack of inventive step (Article 100(a) EPC). After the summons to oral proceedings by the Opposition Division, the Appellant submitted documents (3) to (7) with letter dated 6 July 2007 and raised an objection of lack of novelty in view of documents (3), (4) and (7).

V. The decision under appeal was based on the claims as granted. The Opposition Division decided not to consider the late-filed ground for opposition, i.e. lack of novelty, because in its opinion none of the documents (3), (4) or (7) prejudiced *prima facie* the maintenance of the patent. Furthermore, the Opposition Division held that the subject-matter of the claims as granted involved an inventive step with regard to documents (1), (2), (5) and (6). In its opinion, documents (3), (4) and (7), not being directed to

compounds with the same activity, would not be considered by the skilled person and, even if considered, would not lead to compounds claimed in the patent in suit.

VI. In a communication dated 11 February 2011 the Board expressed its preliminary opinion. In particular, the Board indicated that the procedural decision of the Opposition Division not to admit lack of novelty as a ground for opposition was an issue subject to review by the Board. An issue for discussion during oral proceedings would therefore appear to be whether or not the Opposition Division was correct in finding that none of the documents (3), (4) and, in particular, document (7) prejudiced the maintenance of the patent in suit. The Board considered document (1) to be a suitable starting point for assessing inventive step. The problem to be solved was indicated to be the provision of further compounds useful for the inhibition and prevention of cell adhesion and cell adhesion mediated pathologies.

VII. In reply to the Board's communication the Respondent submitted first and second auxiliary requests. In the first auxiliary request, paragraph [0055] of page 8 of the description of the patent in suit was amended by deleting its first sentence. In the second auxiliary request, the whole paragraph was deleted in response to the Appellant's request of 24 September 2010. The claims as granted remained unchanged in both auxiliary requests.

VIII. Oral proceedings took place on 4 May 2011. As part of the discussion about novelty, the Chairman indicated

that if the patent in suit were to be considered its own dictionary, there might be further concerns regarding novelty of the claimed subject-matter over document (7). After the discussion about novelty the Respondent requested adjournment of the oral proceedings as its third auxiliary request, and filed fourth and fifth auxiliary requests.

During the oral proceedings, the Appellant withdrew its request of 24 September 2010, in which it requested that paragraph [0055] be removed from the patent in suit.

- IX. In the fourth auxiliary request, claim 1 as granted (see point II above) was restricted by removing the moiety "C<sub>1</sub>-C<sub>3</sub> alkylamino" from the list of moieties for the residues B, R<sup>1</sup>, R<sup>6</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup>. Paragraph [0055] was amended in the same way as in the first auxiliary request.

The fifth auxiliary request differs from the fourth auxiliary request in that paragraph [0055] was deleted entirely.

- X. The arguments provided by the Appellant during the written procedure and during oral proceedings, to the extent that they are relevant for the present decision, can be summarised as follows:

Documents (3) to (7) were *prima facie* relevant and should be allowed into the appeal proceedings, in particular document (7), which was novelty destroying for the claimed subject-matter. Regarding the late-filed ground for opposition, the Opposition Division by



disregarding the precise definition of substituents and radicals in the description of the patent in suit incorrectly assessed the scope of the claims. It therefore wrongly decided not to admit lack of novelty as a ground for opposition.

The claims as granted lacked novelty over document (7), in particular over compounds 54a, 126, 129 and 130 and the preferred group of compounds disclosed on pages 62-65, in view of the definitions of chemical terms set out in paragraphs [0016] to [0055] of the patent in suit. These definitions should be taken into account, since according to Article 69 EPC the claims of a patent should be interpreted in accordance with the description. According to paragraph [0055] of the patent in suit, the term "amino" could be substituted by an aralkyl moiety via a C(=O) linker, which corresponded to the moiety  $\text{-NHC(=O)CH}_2\text{CH}_2\text{phenyl}$  of document (7). Contrary to the Opposition Division's opinion, there were no reasons apparent in the patent in suit which would inform the skilled reader that paragraph [0055] was a "relic" of the originally filed description and thus no longer applicable, in particular that it did not apply to the term "amino". It was also not correct to disregard the specific teaching of paragraph [0055] for the term "amino" for the sole reason that certain terms in the claims would otherwise be redundant.

The deletion of paragraph [0055] was not sufficient to overcome the novelty objection, since paragraph [0016] referred to substituted alkyl, meaning an alkyl group that could be substituted by anything.

Adjournment of the oral proceedings was not necessary, since lack of novelty over document (7) was not a new issue. The Respondent could be expected to deal with novelty objections related to this document.

Regarding the fourth and fifth auxiliary requests, the amendments made resulted in inconsistencies between these amendments and paragraph [0060] of the description of the patent in suit.

The claimed subject-matter was not inventive. Document (5) already disclosed compounds with a very similar structure as inhibitors of the binding of  $\alpha_4\beta_1$  integrin to its receptor. It also provided several hints prompting the skilled person to amend the amide moiety in these compounds to build a pyridone ring. Since the compounds in document (5) were structurally so very close and did not provide any advantages over those of document (5) they did not involve an inventive step. Furthermore, document (1) already suggested ring formation in structurally similar compounds for the same purpose. According to document (1) this ring could be aromatic and substituted.

XI. The arguments provided by the Respondent during the written procedure and during oral proceedings, to the extent that they are relevant for the present decision, can be summarised as follows:

Documents (3) to (7) and the objection of lack of novelty based on some of these documents as a new ground for opposition were late-filed and should not be admitted into the proceedings. The Opposition Division examined the *prima facie* relevance of the late-filed

documents with regard to novelty, provided clear reasons why they were not *prima facie* relevant and then correctly decided not to admit the late-filed ground for opposition. In contrast, the Opposition Division did not correctly apply its discretion by admitting documents (3) to (7) into the proceedings, since it did not check whether they did *prima facie* prejudice the maintenance of the patent but merely introduced them into the proceedings.

The claims as granted were novel over document (7). The term "amino" was clearly defined in paragraph [0040] of the patent in suit. The skilled reader considering the patent as a whole would undoubtedly recognise that the statement "use of the above terms is meant to encompass substituted and unsubstituted moieties" did not apply to the term "amino". If this had been intended, then, as correctly pointed out by the Opposition Division, other moieties in claim 1 would be redundant. Therefore, the skilled reader would understand that "amino" denoted only unsubstituted "amino". Moreover, paragraph [0055] referred only to aforementioned substituted terms. The preferred compounds on pages 62-65 of document (7) were not included in claim 1 as granted, since the residue R<sup>5</sup> in document (7) did not represent an unsubstituted "amino" moiety or one of the explicitly mentioned substituted amino moieties required for the residue R<sup>1</sup> of the patent in suit. Article 69 EPC relied on by the Appellant was to be used for infringement cases and should not be applied for the purpose of examining novelty. There was also no reason to consider the description in interpreting the terms in the claims, since these terms were clear *per se* and had a generally accepted meaning.

As a result of the deletion of paragraph [0055] substituted alkylamino moieties, like an acylated amino moiety, were not encompassed by the claims. Furthermore, the skilled person would not consider an acylated amino moiety to be encompassed by the term "alkylamino", since it would no longer be an "alkylamino" but rather an "amide" moiety.

The objection with regard to the term " substituted alkyl" in paragraph [0016] of the patent in suit was newly raised and since the patent proprietor was not present during the oral proceedings, adjournment was requested for further instructions before further restrictions were introduced.

The Appellant's objections regarding the alleged inconsistencies between paragraph [0060] of the patent in suit and the amendments made in the fourth and fifth auxiliary requests were not justified. This paragraph referred to compounds of formula II. No such formula was present in the patent in suit.

The claimed subject-matter involved an inventive step. The problem to be solved was the provision of further compounds useful as inhibitors of  $\alpha_4\beta_1$  integrin binding as set out in paragraph [0008] of the patent in suit. Document (5) referred to compounds which contained an amide bond instead of the presently required oxo-pyridine ring. Nor did this document provide any indications for replacing the amide moiety by an oxo-pyridine ring. Such a ring was also not suggested in document (1). Although this allowed for ring formation and an aromatic ring structure, it provided no

motivation for the selection of an oxo-pyridine ring. The combination of the compounds of document (5) with the teaching of document (1) was entirely based on hindsight.

XII. The Appellant requested that the decision under appeal be set aside and that European patent No 1 189 881 be revoked.

XIII. The Respondent requested that the appeal be dismissed, or, in the alternative, that the patent be maintained on the basis of the first or the second auxiliary request, filed with letter of 2 May 2011. As a third auxiliary request the Respondent requested that the oral proceedings be postponed, or, in the alternative, that the patent be maintained on the basis of the fourth or fifth auxiliary request, both filed during the oral proceedings on 4 May 2011. The Respondent further requested that documents (3) to (7) and "lack of novelty" as ground for opposition not be admitted into the procedure.

### **Reasons for the Decision**

1. The appeal is admissible.
2. Admissibility of documents (3) to (7)
  - 2.1 Documents (3) to (7) were filed by the Appellant during the opposition proceedings. The decision under appeal does not explicitly address the question of their admissibility. Although the Opposition Division considered that documents (3), (4) and (7) were not

*prima facie* relevant for the question of novelty, the Board understands from the decision under appeal that the Opposition Division admitted documents (3) to (7) into the proceedings. In this context, the decision under appeal states that "Lack of inventive step was the ground for opposition mentioned and substantiated in the notice of opposition. Therefore, the opposition division considers it expedient to consider the late filed documents under the aspect of inventive step." (decision under appeal, page 11, first paragraph under point 3. of the Reasons).

2.2 The Respondent argued that, in admitting these documents, the Opposition Division did not exercise its discretion correctly and requested that these documents not be admitted into the appeal proceeding.

2.3 With regard to documents (3) and (4), the Board sees no reason to deviate from the findings of the Opposition Division that these document were not *prima facie* relevant for the question of novelty. Moreover, novelty over document (4) was not disputed by the Appellant in the appeal proceedings, while the objection of lack of novelty over document (3) was no longer maintained during the oral proceedings. Nor, in the Board's view, can these documents be considered *prima facie* relevant for the assessment of inventive step, since they are not directed to the same purpose, i.e. to compounds having the same activity as those presently claimed. This was not disputed by the Appellant. Thus, in the absence of clear *prima facie* relevance, there was no reason to admit these late-filed documents. The Board therefore comes to the conclusion that the Opposition Division did not exercise its discretion taking into

account the right principles and excludes these documents from the appeal proceedings.

Document (6) was not relied upon by the Appellant in its statement of grounds of appeal or in its letter dated 24 September 2011 and therefore does not form part of the basis of the appeal proceedings (Article 12(2) RPBA; Rule 99(2) EPC).

- 2.4 Document (5) discloses compounds which are structurally close to the compounds of claims 3 and 6 of the patent in suit and have the same activity. During opposition proceedings the Appellant considered document (5) to be the closest state of the art and argued that it rendered the subject-matter obvious, either alone or in combination with document (1) (minutes of the oral proceedings before the Opposition Division). The Board is of the opinion that the Opposition Division had at least a *prima facie* reason to consider document (5) for the assessment of inventive step, even if in the decision under appeal it did not follow the Appellant's approach.

Concerning document (7), the Board considers this document to be *prima facie* relevant for the question of novelty (see point 3 below).

The Board therefore sees no reason to overrule the Opposition Division's decision to admit these documents.

*Main request*

3. Objection under Articles 100(a) and 54 EPC
- 3.1 The Appellant's opposition was originally only based on the ground of lack of inventive step. With letter dated 6 July 2007 the Opponent filed documents (3)-(7) and argued that the subject-matter of the patent in suit lacked novelty in view of documents (3), (4) and (7).
- 3.2 The Opposition Division decided not to admit the late-filed ground for opposition, since it found that none of the documents (3), (4) or (7) was *prima facie* relevant for the question of novelty. In the decision under appeal, under the point "Novelty", the Opposition Division set out in detail the reasons why it considered that the subject-matter of the patent in suit was novel over these documents, which then led to its decision not to admit the late-filed ground for opposition. The Appellant challenged the finding of the Opposition Division with regard to document (7). The objection of lack of novelty over documents (3) and (4) was not maintained.
- 3.3 The Respondent argued that since it was not admitted into the proceedings by the Opposition Division, the objection of lack of novelty was a fresh ground for opposition which could not be introduced without the agreement of the patentee and cited the decision G 7/95 in support of this argument. Approval was not given.
- 3.4 According to the jurisprudence of the Boards of Appeal (see G 10/91, OJ EPO 1993 408 and 420, Headnote III, and G 7/95, OJ EPO 1996, 626), fresh grounds for



opposition may be considered in appeal proceedings only with the approval of the patentee. As can be derived from the Enlarged Board of Appeal's argumentation in point 18 of the Reasons of G 10/91, the term "fresh ground of opposition" means a ground which is relied upon for the first time in appeal proceedings (T 986/93, OJ, 1993, 215, point 2.3 of the Reasons). This, however, is not the case here. Novelty as a ground for opposition was relied upon and discussed during the opposition proceedings and forms a major part of the decision under appeal (T 986/93, *supra*, point 2.4 of the Reasons).

Furthermore, a Board of Appeal is at least not barred from considering a late-filed ground for opposition which has been disregarded by the Opposition Division pursuant to Article 114(2) EPC, if it is of the opinion that the Opposition Division exercised its discretion wrongly in this respect (T 986/93, *supra*, Headnote). The procedural decision of an Opposition Division to disregard submissions forms an essential element of its decision-making process and as such belongs to the issues subject to review when the final decision of the Opposition Division is challenged on its merits (T 986/93, *supra*, point 2.4 of the Reasons).

- 3.5 The Opposition Division considered that the moiety  $\text{-NHC(=O)(CH}_2\text{)(CH}_2\text{)phenyl}$  present in compounds 54a, 126, 129 or 130 did not fall within the definition of the residue  $\text{R}^1$  of claim 1 of the patent in suit, because the term "amino" was not to be construed broadly such as to encompass the aforementioned moiety.

In its reasoning the Opposition Division recognised that, in principle, "the claims should be read giving the words the meaning and scope which they normally have in the relevant art, unless, in particular cases, the description gives the words a special meaning by explicit definition or otherwise". In this context, the Opposition Division also recognised already that paragraph [0055] of the patent in suit might be understood as giving the term "amino" such a special technical meaning. Thus, the Opposition Division was already aware of the fact that, at first glance, lack of novelty over the disclosure of document (7) might be an issue, which, if established, could prejudice the maintenance of the patent in suit. It should thus have admitted this ground for opposition. Nevertheless, the Opposition Division considered that the term "amino" had no such special technical meaning for two main reasons: Firstly, the broad definition of amino in view of paragraph [0055] of the patent in suit would have made the more specific definitions in claim 1, like  $-N(C_1-C_3\text{alkyl})-C(=O)(C_1-C_3\text{ alkyl})$ ,  $-NH-C(=O)-NH-(C_1-C_3\text{ alkyl})$ ,  $-NH-C(=O)-N(C_1-C_3\text{alkyl})-C(=O)-NH(C_1-C_3\text{ alkyl})$ ,  $C_1-C_3\text{ alkylamino}$ , etc., redundant, so that the skilled person attempting to make technical sense of the claim would expect that the term "amino" had its normal meaning. Secondly, the originally filed application was directed to a very broad class of compounds and paragraph [0055] was related to said broad class. The skilled person reading the description and the considerably restricted claims would realise that paragraph [0055] was a "relic" of the originally filed description which did not apply to the restricted set of claims as granted.

3.6 The Opposition Division's first reason that the skilled person would understand that claim 1 would not contain at the same time a broad definition and a more specific definition encompassed by the broad definition is inconsistent with the facts. Claim 1 of the patent in suit obviously includes other examples for the simultaneous presence of broad and specific (i.e. redundant) terms, for example the term "-CF<sub>3</sub>" and the term "haloalkyl". Other examples are the term "carboxy", which refers to a group "-C(=O)O-", and "carboxyl", which refers to a group C(=O)OH, or "sulfonyl", which refers to a group "-SO<sub>2</sub>-", and "-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>3</sub>)". Thus, for the skilled person redundancy of terms is a feature of the present claims and no reason to attribute to a term a meaning other than that given to it in the patent in suit by explicit definition. Nor does the presence of redundant terms render present claim 1 technically meaningless.

The second reason of the Opposition Division is also not supported by the facts. It is not apparent at all from the patent in suit itself that paragraph [0055] should be understood as a "relic" of the original application which does not apply to the claims of the patent in suit. Under the heading "Brief Summary of the Invention" the patent in suit refers to the compounds according to claims 1 and 3. This section is followed by a section with the heading "Detailed Description of the Invention" which starts with a clear "Definition of Terms", including the term "amino (see paragraphs [0016]-[0054])". Paragraph [0055] refers to substituents of the "above terms", which the skilled person would understand as applying to all the terms mentioned in paragraphs [0016] to [0054]. There is nothing in the

patent in suit indicating that this paragraph was to be ignored. Also, the skilled person wishing to establish what is disclosed in the patent in suit is not required to consider the application as filed or consult the file history of the patent. However, even if the skilled person had done so in the present case, all he would have learned was that the original value Q, which was defined as "one or more rings", was restricted to a oxo-pyridine ring which could be unsubstituted or substituted by R<sup>1</sup>. This restriction did not concern the definition of the terms as set out in paragraphs [0016]-[0055]. This is also apparent in the fact that neither the Applicant/Respondent nor the Examining Division considered it necessary to restrict or amend the "Definition of Terms".

3.7 Thus, in view of the specific meaning of the terms given in the patent in suit, it appears to the Board that there are *prima facie* reasons for believing that the claimed subject-matter might not be novel over the disclosure of document (7). The Board therefore concludes that the Opposition Division did not exercise its discretion in a reasonable way and, therefore, erroneously decided to disregard novelty as ground for opposition. As a consequence, the Board is not barred from considering the issue of lack of novelty over document (7).

3.8 Concerning novelty of the claimed subject-matter, the Board agrees with the Opposition Division's opinion that the claims should be read giving the words the meaning and scope which they normally have in the relevant art, **unless** the description gives the words a special meaning by explicit definition. Being a legal

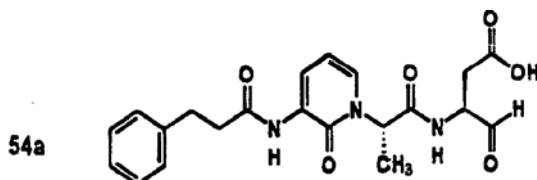
document a patent may be its own dictionary. It may define technical terms and determine how a skilled person has to understand a specific word when used in the description or the claims. Thus, the description may give a word or an expression, even an unequivocally clear one, which has a generally accepted meaning, a different meaning than the generally accepted one by explicit definition (T 556/02, not published, point 5.3 of the Reasons; T 416/87, point 5 of the Reasons, OJ EPO 1990, 415; T 500/01, not published, point 6 of the Reasons).

- 3.9 In the present case, the description of the patent in suit contains an entire section of over two pages with the heading "Definition of terms" at the beginning of the section with the heading "Detailed Description of the Invention" in which the meaning of the terms used in the patent in suit is explicitly defined (paragraphs [0016] to [0055]), including a definition of the terms "alkyl" and "amino". It is, furthermore, made clear that these definitions apply throughout the complete patent specification, see the expression "the term ..... as used herein" in all the paragraphs [0016] to [0054]. Alkyl, for example, is defined as C<sub>1</sub>-C<sub>12</sub> straight or branched saturated chain radicals, amino as NH<sub>2</sub>, both being optionally substituted (paragraphs [0016], [0040] and [0055] of the patent in suit). It would, therefore, be clear for any skilled reader that in the present case the meaning of any of these terms used in the description or the claims may differ from the meaning the skilled person would normally attribute to them. The Board sees no reason in the present case to disregard these unambiguous and explicit definitions for a proper understanding of the claims and to

consider instead the generally accepted definition of alkyl, i.e. a moiety with the formula  $C_nH_{2n-1}$  with  $n$  being an integer  $\geq 1$  and amino, i.e.  $NH_2$ .

Accordingly, in the present case the specific definitions given in the description of the patent in suit will be taken into account in the examination of novelty over document (7).

3.10 Document (7) discloses, on page 78, compound 54a with the following structure



This compound falls within the scope of claim 1 with  $B$ ,  $R^6$ ,  $R^9$ ,  $R^{10}$  and  $R^{11}$  equal to hydrogen,  $R^8$  equal to methyl ( $C_1$  alkyl),  $T$  equal to  $(CH_2)_b$  with  $b = 0$ ,  $L$  equal to  $(CH_2)_n$  with  $n = 0$  and  $R^4$  equal to carboxaldehyde. According to claim 1 the oxo-pyridine ring can be substituted by a single residue  $R^1$  ( $q=1$ ). The moiety  $-NHC(=O)(CH_2)(CH_2)phenyl$  corresponds to  $R^1$  being an amino group of the patent in suit, which according to paragraph [0055] can be substituted by an alkylaryl group attached via a  $C(=O)$  linker, or  $R^1$  being a  $C_1$ - $C_3$  alkylamino group substituted according to paragraph [0055] by a phenyl (aryl) and an oxo group.

Compounds 126, 129 and 130 on pages 79 and 80 of document (7) are of a similar structure. The only difference is that the substituent corresponding to the residue  $R^4$  is a substituted heteroaryl residue or a substituted aliphatic acyl residue (claim 1 and paragraphs [0048] and [0020] of the patent in suit).

Claim 1 of the main request is therefore not novel over document (7).

3.11 According to the Respondent the term "amino" was clearly defined in paragraph [0040] as the group  $\text{NH}_2$ . The skilled person would easily recognise that the statement in paragraph [0055] did not apply to the term "amino", for the reasons already set out by the Opposition Division, namely that the other explicitly mentioned amino derivatives would then be redundant. Furthermore, the Respondent argued that paragraph [0055] was not directed to all preceding terms, but rather to those preceding terms which were mentioned as optionally substituted.

3.12 Concerning the issue of redundancy the Board has already set out in point 3.6 above why this argument brought forward by the Opposition Division is not convincing. Furthermore, the Board observes that the first sentence in paragraph [0055] clearly refers to "the above terms" and makes no distinction with regard to any particular term. The skilled person therefore has no reason to exclude, in particular, the term "amino" or to consider only those terms for which substitution has been mentioned in the preceding paragraphs. Finally, even if, for the sake of argument, the Respondent's arguments with regard to the amino group were accepted, the moiety  $-\text{NHC}(=\text{O})(\text{CH}_2)(\text{CH}_2)\text{phenyl}$  for the substituent  $\text{R}^1$  is nevertheless encompassed by claim 1 in view of the definition of the term "alkyl" in paragraph [0016] of the patent in suit and the substitution defined in paragraph [0055].

- 3.13 The Respondent further submitted that terms like "amino" or "alkyl" had a well accepted and clear meaning in the art and should be read as such without referring to the description. The present issue was merely an issue of inconsistency between the description and the claims and thus an objection under Article 84 EPC, which is not a ground for opposition.
- 3.14 The Respondent's arguments are not convincing for the reasons already set out in points 3.8 and 3.9 above. In the present case, in view of the explicit and unambiguous definitions of terms when used in the patent in suit, any understanding of the claims resulting in something contrary to this teaching, cannot be accepted.
- 3.15 The Board therefore concludes that claim 1 of the main request lacks novelty within the meaning of Article 54 EPC.
- 3.16 The Respondent also argued that Article 69 EPC relied on by the Appellant in its line of argument regarding lack of novelty, was concerned with the extent of protection conferred by the patent in infringement cases, i.e. essentially before national courts, and should not be applied for the purpose of examining novelty.
- 3.17 With regard to this issue, the Board wishes to clarify that it solely relied upon the generally accepted principle of law according to which a proper understanding of any part of a document is to be derived by considering the document as a whole (T 556/02 *supra*; T 860/93, OJ EPO 1995, 47, point 5 of



the Reasons). Applying this principle in the present case entails that the claims should be construed as they would be by a person skilled in the art in the light of the overall content of the patent specification. The Board therefore sees no reason to disregard the unambiguous and explicit definitions of terms in the description of the patent in suit.

*First and second auxiliary request*

4. Novelty (Article 54 EPC)

4.1 In the first and second auxiliary request, the Respondent has either amended or deleted paragraph [0055]. These amendments remove the possibility of substitution on the "amino" group, but do not address the objection that R<sup>1</sup> may represent a substituted "alkyl" group according to paragraph [0016] of the patent in suit. Claim 1 as granted has not changed in these requests and therefore still encompasses the moiety -NHC(=O) (CH<sub>2</sub>) (CH<sub>2</sub>)phenyl present in compounds 54a, 126, 129 and 130 of document (7).

As a consequence, the Respondent's first and second auxiliary requests lack novelty pursuant to Article 54 EPC and must therefore be refused.

4.2 The Board does not agree with the Respondent's argument that the aforementioned moiety was not included, because claim 1 referred to an alkylamino moiety, while document (7) disclosed an amide moiety. Paragraph [0016] clearly refers to substituted alkyl, which means that alkyl is substituted either by explicitly defined substituents, if such definition are given, or, in the

absence of explicit definitions, by absolutely anything (T 939/92, OJ EPO, 1996, 309, 2.2.1 of the Reasons). The position of the substituent is not defined and thus alkyl residues substituted by an oxo-group on the carbon atom attached to the nitrogen atom resulting in an amide are included in the definition of a substituted alkylamino moiety. Thus, the Respondent cannot rely on a merely linguistic interpretation of term "alkylamino".

- 4.3 Nor does the Board share the Opposition Divisions view that according to paragraph [0016] of the patent in suit a C<sub>1</sub>-C<sub>3</sub> alkyl group cannot be substituted. As the Board's understands it, this paragraph defines the term "alkyl" as C<sub>1</sub>-C<sub>12</sub> alkyl **unless** it is preceded by a specific designation, i.e. C<sub>x</sub>-C<sub>y</sub>. It does not exclude substitution of C<sub>x</sub>-C<sub>y</sub> alkyl.

*Third auxiliary request*

5. Adjournment of oral proceedings
- 5.1 The Respondent's request for adjournment of the oral proceedings was prompted by the Board's conclusion that in view of the definition of the term "alkyl" in paragraph [0016] of the patent in suit, the amendments in paragraph [0055] of the description in the first and second auxiliary request were not sufficient to restore novelty over document (7). The Representative argued that he was faced with a new novelty objection, which had been raised for the first time in the oral proceedings and taken him by surprise. The oral proceedings should therefore be adjourned to allow the

Representative to contact his client before introducing further restrictions.

5.2 The Board does not share the Respondent's view. The objection of lack of novelty in view of document (7) having already been discussed before the Opposition Division, was maintained by the Appellant in its statement of grounds of appeal, while the Board in its communication accompanying the summons to oral proceedings indicated that document (7) might be of particular relevance (see point VII above). The crucial question to be examined in this context was, from the outset, whether or not the specific meaning of certain terms as defined in the patent in suit should be taken into account when reading the claims. To that extent, the factual situation has not changed. Document (7) being of particular relevance, the Representative could have discussed its disclosure with his client when preparing for the oral proceedings. Moreover, the fact that substitution on the alkyl moiety as defined in paragraph [0016] of the patent in suit may be relevant in this context, is not an entirely new issue and cannot take the Respondent completely by surprise. The Opposition Division in the contested decision already referred to paragraph [0016] and to the issue in question, namely the possibility of substitution on the term "C<sub>1</sub>-C<sub>3</sub> alkyl" (decision under appeal paragraph bridging pages 10/11), even if it concluded - erroneously, in the Board's view - that the term "C<sub>1</sub>-C<sub>3</sub> alkyl" cannot be substituted (see point 4.3 above).

5.3 Thus, an adjournment of the proceedings, in the Board's view, was not justified and the Respondent's request was refused.

5.4 The Board was, however, prepared to give the Respondent an opportunity to respond to the Board's conclusion regarding novelty by submitting new requests. The fourth and fifth auxiliary request submitted in the oral proceedings were therefore admitted into the appeal proceedings.

*Fourth auxiliary request*

6. Amendments and clarity (Articles 123(2), (3) and 84 EPC)

6.1 Claim 1 of the fourth request differs from the claims as granted in that the moiety C<sub>1</sub>-C<sub>3</sub>-alkylamino was deleted from the list of moieties for the residues B, R<sup>1</sup>, R<sup>4</sup>, R<sup>6</sup>, R<sup>9</sup>, R<sup>10</sup>, R<sup>11</sup> and R<sup>13</sup>. In addition, the first sentence in paragraph [0055] on page 8 of the description of patent in suit was deleted. The mere removal of a single moiety out of a list of considerable length and the deletion of the first sentence in paragraph [0055] to further restrict the possibility of substitution for the list of terms defined in the patent in suit does not generate subject-matter extending beyond the content of the application as filed or beyond the scope of the claims as granted. Thus, the requirements of Article 123(2) and (3) EPC are satisfied. This was not disputed by the Appellant.

6.2 According to the Appellant the amendments made in the fourth auxiliary request were inconsistent with paragraph [0060] of the patent in suit.

The Board does not share this view. Paragraph [0060] is embedded in the discussion of rings and substituents related to the formulae (I), (II) or (III) (see paragraph [0059] and paragraphs [0061] to [0063] of the patent in suit). None of these formulae is present in the patent in suit. In other words this objection does not concern inconsistencies resulting from amendments made in the fourth auxiliary request, but rather to inconsistencies which are already present in the patent as granted. Therefore, this objection represents an attempt to raise an objection under Article 84 EPC, which is not a ground for opposition.

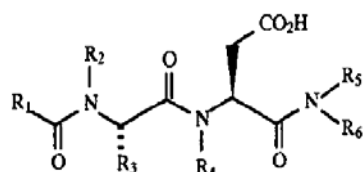
7. Novelty (Article 54 EPC)

- 7.1 Due to the restrictions introduced, the moiety  $\text{-NHC(=O)CH}_2\text{CH}_2\text{phenyl}$  present in compounds 54a, 126, 129 and 130 of document (7) is not encompassed in claim 1 of the fourth auxiliary request. This was not disputed by the Appellant.
- 7.2 In its statement of grounds of appeal the Appellant also argued lack of novelty over the more general disclosure of preferred compounds disclosed on pages 64-65 of document (7). The moiety  $\text{R}^5\text{NH-}$  in this preferred group of compounds, which corresponds to the presently claimed residue  $\text{R}^1$ , is defined as a  $\text{Ar}_1\text{-SO}_2\text{-NH-}$ ,  $\text{R}^9\text{-SO}_2\text{-NH-}$  or  $\text{Ar-C}_{1-4}\text{-C(=O)NH}$ . These moieties are also not included in claim 1 of the fourth auxiliary request due to the restrictions introduced in claim 1 and paragraph [0055].
- 7.3 Thus, the subject-matter of the fourth auxiliary request is novel within the meaning of Article 54 EPC.

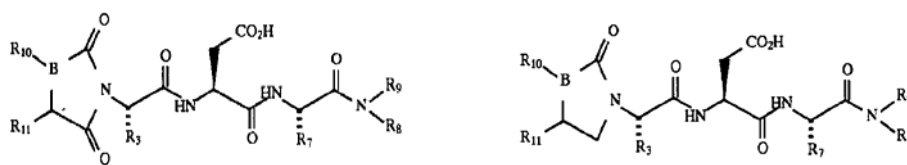
8. Inventive step (Article 56 EPC)

8.1 Claims 1, 3 and 6 are directed to oxo-pyridine derivatives (see point II above). These compounds are inhibitors of the binding of  $\alpha_4\beta_1$  integrin (also called VLA-4 for very late antigen-4) to its receptor and as such are useful in the treatment of atherosclerosis, rheumatoid arthritis, asthma, allergy, multiple sclerosis, lupus, inflammatory bowel disease, graft rejection, contact hypersensitivity, type I diabetes as well as some forms of cancer.

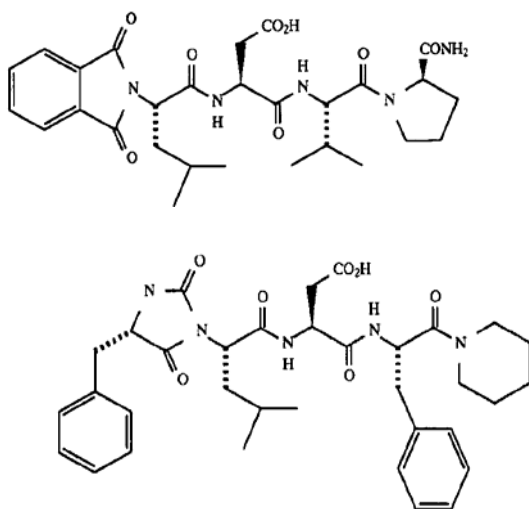
8.2 Document (1), which was considered to be the closest state of the art by the Opposition Division, discloses structurally similar compounds with the general formula



and having the same activity, namely the inhibition of the binding of VLA-4, i.e.  $\alpha_4\beta_1$  integrin, to fibronectin CS-1 compound. The substituent  $R_1$  is extremely broadly defined and can be an open structure or a ring structure separated or not by a linker.  $R_1$  may also form a cyclic structure with  $R_2$  or  $R_4$ . Suitable compounds are illustrated in table 1 of document (1). In the majority of these compounds the substituent  $R_1$  is a ring structure, either separated by a linker or not. Further contemplated compounds are those whereby  $R_1$  and  $R_2$  form a five-membered ring substituted by one or two oxo-groups which may contain a further nitrogen atom (document (1) column 19-23), e.g. compounds with the following structure:



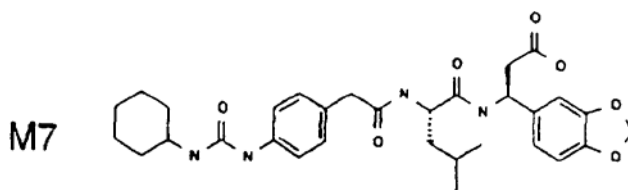
Explicit examples contain an imidazolidinedione or a phthalimide ring, see for example table 1, columns 37 or 55 of document (1):



Oxo-pyridine rings are not disclosed in document (1).

- 8.3 The Appellant considered document (5) a suitable starting point for the assessment of an inventive step. In its opinion the examples M7, M8, M11 and M12 in figures 3g, 3h, 3k and 3l were structurally very similar to the claimed compounds and had the same activity. They were propanoic acid. The residues corresponding to the residues T and L of the patent in suit were absent and the residue equivalent to the residue R<sub>4</sub> of the patent was a heterocycle, namely a 1,3-benzodioxol-5-yl moiety, which was encompassed by the claimed subject-matter. The compounds of document (5) differed from the presently claimed compounds only in that they did not possess an oxo-pyridine ring.

8.4 However, the compounds M7, M8, M11 and M12 do not only lack an oxo-pyridine ring. Unlike the compounds disclosed in columns 19-23 of document (1), they do not have a ring structure at all, but an acyclic  $-\text{CH}_2-\text{C}(=\text{O})-\text{NH}-$  moiety at that part of the molecule which corresponds to the oxy-pyridine of the presently claimed compounds, as illustrated by compound M7 of document (5):



In other words document (5) does not disclose compounds whereby the moiety  $-\text{CH}_2-\text{C}(=\text{O})-\text{N}-$  attached to the phenyl ring forms part of an oxo-pyridine ring. The compounds M8, M11 and M12 differ from the compound M7 merely in the substituent in para-position to the phenyl moiety attached to the moiety  $-\text{CH}_2-\text{C}(=\text{O})-\text{N}-$ .

The Board therefore agrees with the Opposition Division that document (1) represents the closest state of the art and hence takes it as the starting point for the assessment of an inventive step.

8.5 Having regard to this prior art the Board, in accordance with the Opposition Division, considers the objective problem to be solved to be the provision of further compounds inhibiting the binding of  $\alpha_4\beta_1$  integrin to its receptors. No beneficial effects or advantageous properties compared to document (1) are apparent from the patent in suit or have been asserted by the Respondent. The same problem, namely the provision of further compounds inhibiting the binding



of  $\alpha_4\beta_1$  integrin to its receptors, has been formulated in the patent in suit (see page 3, lines 44-45) and the application as filed (page 2, lines 29-31).

8.6 During the oral proceedings the Appellant argued that the problem to be solved had to be the provision of compounds with an improved activity, since the problem of providing compound inhibiting the binding of  $\alpha_4\beta_1$  integrin had already been solved as could be seen from a comparison of the  $IC_{50}$  values of the patent in suit (table 3) with those of document (5) (page 38, line 17; page 39, line 3).

8.7 However, according to the established jurisprudence of the Boards of Appeal, the fact that a technical problem has already been solved does not preclude any subsequent attempt to find a solution to the same problem in a further non-obvious way. An invention may also lie in the provision of a non-obvious alternative (see for example Case Law of the Boards of Appeal, 6th edition, I.D.4.5). Furthermore, the Appellant cannot formulate a problem which is more ambitious than the problem the patent in suit sets out to solve, and then argue that this problem has not been successfully solved (T 1018/03, not published, point 6.2 of the Reasons).

Accordingly, the underlying technical problem remains as set out in point 8.5 above.

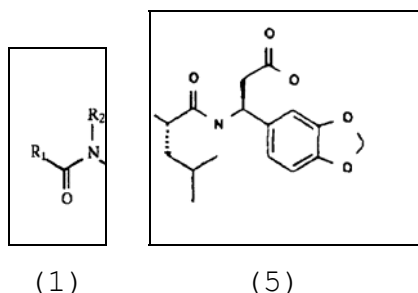
8.8 The solution proposed by the patent in suit is provided by the oxo-pyridine compounds of claims 1, 3 and 6.

In view of the data present in the patent in suit the Board considers that the problem has been solved. This has not been contested by the Appellant.

- 8.9 It remains to be decided whether or not the proposed solution is obvious in view of the state of the art.
- 8.9.1 Document (1) does not mention or suggest oxo-pyridine compounds and therefore cannot on its own lead the skilled person to the presently claimed compounds. Although document (1) allows for ring formation between  $R_1$  and  $R_2$ , which might theoretically also be 6-membered and aromatic, its teaching with regard to the explicit structure of such rings neither points to 6-membered nor to aromatic rings, as clearly illustrated by the compounds in columns 19-23 of document (1). Nor are compounds comprising oxo-pyridine moiety suggested in any of the other documents relating to compounds with the same activity. This was conceded by the Appellant during the oral proceedings.
- 8.9.2 According to the Appellant, document (5) provided several hints pointing to an oxo-pyridine ring. Firstly, all rings contained in the compounds M7 and M8 were six-membered rings, like oxo-pyridine. Secondly, the aromatic oxo-pyridine when compared to the non-aromatic piperidone ring was preferred for stability reasons and, thirdly, an aromatic ring was in line with the teaching on page 38, lines 14-18 of document (5), where preference was given to aromatic radicals on the left-hand side of the molecules to achieve planarity.

8.9.3 However, document (5) does not even remotely suggest that in any of the compounds M7, M8, M11 or M12 the  $-\text{CH}_2-\text{C}(=\text{O})-\text{NH}-$  moiety should form part of a ring. Whether such a ring, which is not suggested in the first place, should be an aromatic oxo-pyridine instead of a non-aromatic oxo-piperidine ring for reasons of stability or planarity is, therefore, irrelevant and in this context purely speculative.

Moreover, the Appellant's arguments with regard to a combination of documents (1) and (5) amount to splitting the compounds in each document arbitrarily into two parts and combining the right-hand part of the compounds disclosed in document (5) with the left-hand part of compounds disclosed in document (1)



(1)

(5)

with the additional requirement that R<sub>1</sub> and R<sub>2</sub> in the part resulting from document (1) form an optionally substituted oxo-pyridine ring, for which there is no indication either in document (1) or in document (5). This assessment is clearly based on an *ex post facto* analysis.

8.9.4 In summary, neither document (1) nor (5) relied on by the Appellant in support of its objection of lack of inventive step, renders the claimed subject-matter obvious either alone or in combination. The Board is also satisfied that none of the other documents which are in the appeal proceedings renders the proposed solution obvious. Document (2) refers to

imidazolidinedione compounds similar to those of document (1). Document (7) is directed to inhibitors of interleukin  $1\beta$  converting enzymes and would clearly not be considered by the skilled person faced with the technical problem of providing further compounds inhibiting the binding of  $\alpha_4\beta_1$  integrin to its receptors.

8.10 For these reasons, the Board concludes that the subject-matter of the fourth auxiliary request involves an inventive step within the meaning of Article 56 EPC.

9. Since the Board has come to the conclusion that the fourth request is allowable, there is no need to decide on the fifth auxiliary request.

## Order

### For these reasons it is decided that:

1. The decision under appeal is set aside.
  
2. The case is remitted to the department of first instance with the order to maintain the patent on the basis of the fourth auxiliary request filed during the oral proceedings on 4 May 2011:
  - Description: - page 8 as filed during the oral proceedings on 4 May 2011
  - pages 3-7 and 9-25 of the patent specification.
  
  - Claims: Claim 1-9 as filed during the oral proceedings on 4 May 2011

The Registrar:

The Chairman:

M. Schalow

P. Ranguis