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Datasheet for the decision of 24 March 2026

Case Number: T 0629/24 - 3.3.07

Application Number: 17157697.8

Publication Number: 3222277

IPC: A61K31/422, A61P9/12, A61K9/20, A61P13/12

Language of the proceedings: EN

Title of invention:
A DIPHENYLSULFONAMIDE ENDOTHELIN AND ANGIOTENSIN II RECEPTOR ANTAGONISTS TO TREAT GLOMERULOSCLEROSIS

Patent Proprietor:
Ligand Pharmaceuticals Inc.

Opponent:
Pajaro Limited

Headword:
Endothelin and angiotensin II receptor antagonist / LIGAND

Relevant legal provisions:
EPC Art. 56

Keyword:
Inventive step - (no)

Decisions cited:
T 0725/11

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Case Number: T 0629/24 - 3.3.07

D E C I S I O N
of Technical Board of Appeal 3.3.07
of 24 March 2026

Appellant: (Opponent)	Pajaro Limited 12 New Fetter Lane London EC4A 1JP (GB)
Representative:	Höpfner, Sebastian ZSP Patentanwälte PartG mbB Hansastraße 32 80686 München (DE)
Respondent: (Patent Proprietor)	Ligand Pharmaceuticals Inc. 3911 Sorrento Valley Boulevard Suite 110 San Diego, CA 92121 (US)
Representative:	Carpmaels & Ransford LLP One Southampton Row London WC1B 5HA (GB)
Decision under appeal:	**Interlocutory decision of the Opposition Division of the European Patent Office posted/ electronically transmitted on 21 March 2024 concerning maintenance of the European Patent No. 3222277 in amended fo rm.**

Composition of the Board:

Chairman	A. Usuelli
Members:	E. Duval
	A. Jimenez

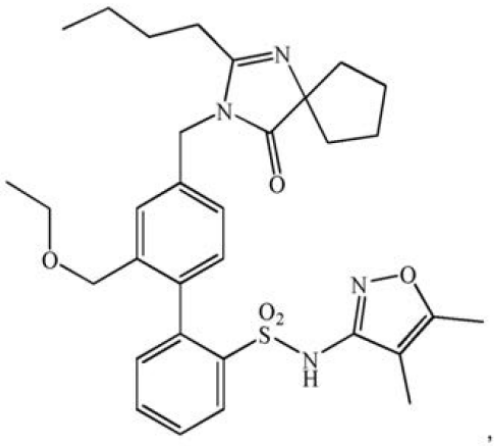
Summary of Facts and Submissions

I. The appeals were filed by the opponent and, initially, the patent proprietor, against the interlocutory decision of the opposition division finding that, on the basis of auxiliary request 3, the patent met the requirements of the EPC.

The decision was based on a main request and auxiliary requests 1-3 all filed by letter of 19 April 2021.

II. Claim 1 of auxiliary request 3 reads as follows:

"A compound of Formula I:



Formula I

or a pharmaceutically acceptable salt thereof, for use in treating a disorder selected from the group consisting of glomerulosclerosis and IgA-induced nephropathy, wherein the amount of the compound of Formula I, or pharmaceutically acceptable salt thereof, administered to a human subject is 200 mg/day, 400 mg/day, or 800 mg/day."

III. The following documents were cited in the impugned decision:

D6: US 6,638,937 B2
D12: Nagy et al., Nephrol Dial Transplant (2005) 20:1533-1539
D13: Barton et al., Can. J. Physiol. Pharmacol. (2008) 86:485-498
D14: SEC filing by Pharmacopeia, Inc. dated 16 May 2008 (SEC Accession No. 0001104659-08-033876)
D23: Press release on the DUPLEX trial from Travere therapeutics, February 2021
D25: Barton et al, Hypertension (2006) 48:834-837
D30: PROTECT Phase 3 Top-line results

IV. With respect to auxiliary request 3, the opposition division decided that the criteria of inventive step were met. Starting from D12, the difference resided in that sparsentan was used in an amount of 200mg, 400mg or 800mg daily, whereas D12 related to the use of ACEIs (angiotensin-converting enzyme inhibitors) and/or ARBs (angiotensin receptor blocking agents). The objective technical problem was to provide a compound with an improved effect for use in the treatment of glomerulosclerosis or IgAN (IgA-induced nephropathy). The claimed solution involved an inventive step. The same conclusion was reached starting from D6, *inter alia*.

V. The patent proprietor and the opponent each lodged an appeal against the opposition division's decision.

VI. The Board set out its preliminary opinion in a communication under Article 15(1) RPBA.

VII. Oral proceedings were held before the Board. In the course of the oral proceedings, the patent proprietor withdrew the main request and auxiliary requests 1 and 2, and subsequently also withdrew their appeal.

VIII. At the end of the oral proceedings, the requests of the parties were accordingly the following:

(a) The appellant (opponent) requested that the decision under appeal be set aside and that the patent be revoked in its entirety.

(b) The respondent (patent proprietor) requested that the appeal be dismissed i.e. that the patent be maintained on the basis of auxiliary request 3 found allowable by the opposition division.

IX. The appellant's arguments may be summarised as follows:

Sparsentan was disclosed in D6 as the most preferred compound. Furthermore, the compounds of D6 were identified as dual-acting receptor antagonists. It was common general knowledge (see D25) that such antagonists were beneficial against IgAN and glomerulosclerosis. This use was also expressly disclosed in D6. Accordingly, the sole difference between D6 and the claimed subject-matter was the daily dosage of 200mg/day, 400 mg/day or 800 mg/day. An improved technical effect over irbesartan could not be taken into account, because D6 already disclosed sparsentan. No unexpected technical effect for the dosages could be acknowledged either. The objective problem was to provide an alternative dosing regimen for sparsentan. The claimed solution did not involve an inventive step.

X. The respondent's arguments may be summarised as follows:

D6 was not the closest prior art. Firstly, D6 did not disclose sparsentan in combination with the claimed medical uses, as two selections had to be made from D6. Secondly, D6 was not an enabling disclosure of the claimed medical uses in view of the absence of any evidence of a therapeutic effect therein. The common general knowledge reflected in D12, D13 and D25 could not be taken into account when assessing the disclosure of D6, as these documents were published after the publication date of D6. Even if starting from D6 as the closest prior art, the differentiating features were the combination and effective use of sparsentan in the treatment of IgAN and glomerulosclerosis, and the specific doses of sparsentan to be used. The objective technical problem was the provision of a treatment of IgAN or glomerulosclerosis that was improved relative to standard of care, in particular irbesartan. The claimed solution was inventive because the skilled person had no reasonable expectation that sparsentan would provide an improved treatment of IgAN and glomerulosclerosis.

Reasons for the Decision

Auxiliary request 3, inventive step over D6

Auxiliary request 3 is the respondent's sole request in appeal (see II. above).

1. The invention pertains to sparsentan (the compound of Formula I in claim 1) for use in the treatment of

glomerulosclerosis or IgA-induced nephropathy (IgAN) at a dosage of 200 mg/day, 400 mg/day, or 800 mg/day. According to the description, sparsentan is an antagonist of both endothelin (especially, ET-1) and angiotensin II (especially, subtype AT_1) receptors, i.e. it is a "dual angiotensin endothelin receptor antagonist", and it is useful in the treatment of a number of conditions including glomerulosclerosis and IgAN (see paragraphs [0044]-[0051]).

2. D6 discloses biphenyl sulfonamide compounds, including the compound of formula I (i.e. sparsentan, see claims 18-20 and 23; examples 227, 275 and 317), as dual angiotensin II and endothelin receptor antagonists for the treatment of several conditions including glomerulosclerosis and IgAN (see columns 41-42, "Utility", in particular column 42, lines 22 and 27).

The Board considers D6 to be a suitable starting point for the assessment of inventive step, because it discloses subject-matter aiming at the same objective as the claimed invention and having the most relevant technical features in common.

3. The respondent contests that D6 is the closest prior art, for several reasons.

3.1 Firstly, the respondent argues that the combination of sparsentan with the medical uses of claim 1, namely glomerulosclerosis and IgAN, results from several selections within D6.

The Board does not share this view. Glomerulosclerosis and IgAN may indeed be seen as resulting from a selection within D6. However, sparsentan is particularly emphasized in D6, in that this compound is

prepared in the highest amount by far (see example 275 A-F), its stereoisomers are separated (see example 317), its metabolite was synthesized (see example 315B), and it is specifically claimed in several claims in D6 (claims 18-20 and 23), which is not the case for the other two specifically claimed compounds in D6. These facts together point beyond doubt to sparsentan (example 227 or 275F in D6) as the preferred compound in D6.

The respondent expressed the view that the preparation of sparsentan in higher amounts in example 275 of D6 might be explained by its use as a intermediate in the preparation of other final compounds, and cited column 13 of D6 in support (starting at line 21, especially: "a large number of groups (known to be useful within the field of angiotensin receptor antagonists) can be substituted at the R_1 position of Formula 1 without departing from the scope of the present invention"). However this cited passage does not support the respondent's argument, because it refers to analogues of the compounds of the invention, but does not mention the use of a compound of formula I as an synthetic intermediate. Besides, the speculative argument that sparsentan may be used as an intermediate in D6 would not explain the further studies in D6 regarding its stereoisomers or metabolite. Thus, the respondent's argument does not call into question the clear focus on sparsentan in this document.

Accordingly, the use of sparsentan in the treatment of glomerulosclerosis and IgAN is disclosed in D6, because it results from the single selection of these conditions.

The respondent further contended that D6 does not indicate which of the numerous compounds disclosed therein are active on which conditions. The Board does not consider that this argument calls into question the above conclusion, considering that sparsentan is emphasised in D6 as the most prominent of the dual-acting agents and therefore unambiguously as useful in the treatment of associated conditions, including glomerulosclerosis and IgAN.

3.2 The respondent further expresses the view that D6 contains no evidence to support the efficacy of sparsentan in the treatment of glomerulosclerosis or IgAN, and thus does not disclose the claimed medical uses of sparsentan.

3.2.1 Indeed, while D6 identifies the compounds disclosed therein as dual angiotensin II and endothelin receptor antagonists, it does not contain evidence specifically on efficacy against glomerulosclerosis or IgAN. Consequently, D6 does not on its own clearly establish the effectiveness of sparsentan in the treatment of the conditions specified in claim 1.

However, this absence of evidence of the specific therapeutic efficacy does not *per se* disqualify D6 as starting point for the assessment of inventive step (see for instance T 725/11, point 2.2 of the reasons).

3.2.2 In this respect, the respondent submits that D6 does not amount to an enabling disclosure of the claimed medical use and, for that reason, cannot serve as a suitable starting point for the assessment of inventive step. The Board has, however, concluded that D6 does not disclose the therapeutic effectiveness of sparsentan in the treatment of the relevant medical

conditions and does not see any reason to assess the enablement of D6 in relation to this aspect, which constitutes a distinguishing feature of the invention over D6 itself.

In any event, documents D12, D13, and D25, which reflect the common general knowledge at the relevant date, indicate that antagonists of the endothelin type A (ETA) receptor and of the angiotensin II type 1 (AT_1) receptor — and, *a fortiori*, dual-acting receptor antagonists — were considered beneficial in the treatment of kidney diseases, including glomerulosclerosis and IgA nephropathy (IgAN) (see D12, page 1535, first column, second and third paragraphs; D13, page 490, second column, second paragraph and first column, second paragraph; D25, figure on page 835).

3.2.3 The respondent contested that this common general knowledge could be used in assessing the disclosure of D6, because D12, D13 and D25 had been published after the publication date of D6.

3.2.4 According to established case law (see the Case Law of the Boards of Appeal, 11th edition, 2025, I.C.2.3), *for the purposes of examining novelty*, a document is to be assessed from the perspective of the skilled person on its publication date.

However, inventive step has to be assessed on the basis of the skilled person's knowledge before the priority or filing date (*ibid*, I.D.8.3.1). Taking into account the knowledge reflected in D12, D13 and D25, which were published before the priority date of the patent in suit, the disclosure of D6 cannot be set aside as merely speculative or defective, because the link

between the dual-acting receptor antagonist activity established in D6 and effectiveness against glomerulosclerosis or IgAN is confirmed by these later documents.

Consequently, D6 is a realistic starting point for the assessment of inventive step.

4. The differentiating features of claim 1 over D6 are thus the dosage, namely 200, 400 and 800 mg/day, and the effectiveness of the treatment.

The effectiveness of sparsentan supports its application in the treatment of IgAN and glomerulosclerosis, a conclusion confirmed by the post-published documents D23 and D30. As regards the dosages defined in claim 1, it was undisputed that they have not been shown to produce any effect.

Contrary to the respondent's view, the correct formulation of the objective technical problem could not, in this situation, include the provision of a treatment that is improved relative to the standard of care, i.e. irbesartan, because the starting point D6 already discloses sparsentan as the preferred compound. Thus this improved treatment is not shown to be associated with the differentiating feature regarding the daily dosage.

5. The objective technical problem is accordingly the provision of an effective dosing regimen for sparsentan.

6. The claimed solution is obvious firstly in light of D6, which discloses overlapping dosage ranges, namely from about 1 to about 2500 mg, preferably from about 5 to

about 500 mg of active compound per day (see column 43, lines 54-63). The arbitrary selection of dosages falling within these ranges does not, in the absence of associated unexpected technical effect, involve an inventive step.

In addition, D14 relates to the disclosures in 2008 of the results of phase 2a and phase 2b trials of PS433540 (see page 14), i.e. sparsentan (see the structure on page 9), as a dual-acting agent and in treating hypertension. Sparsentan was found to be safe at dosages up to 1000 mg/day (see page 11). The skilled person would thus be all the more led to selecting dosages within this range.

Contrary to the respondent's view, the skilled person had a reasonable expectation that sparsentan would be effective in the treatment of glomerulosclerosis or IgAN, because its activity as a dual angiotensin endothelin receptor antagonist was known from D6 and confirmed in D14, and because common general knowledge at the priority date of the contested patent confirmed the use stated in D6, i.e. that such a dual activity enabled sparsentan to treat glomerulosclerosis or IgAN (as shown by D12, D13, and D25, see 3.2.2 above).

In conclusion, auxiliary request 3 does not meet the requirement of inventive step.

Order

For these reasons it is decided that:

The decision under appeal is set aside.

The patent is revoked.

The Registrar: The Chairman:

B. Atienza Vivancos A. Usuelli

Decision electronically authenticated