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Datasheet for the decision of 21 October 2021

Case Number: T 2593/17 - 3.3.10

Application Number: 06845453.7

Publication Number: 1960409

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Language of the proceedings: EN

Title of invention:
TETRAPHOSPHORUS LIGANDS FOR CATALYTIC HYDROFORMYLATION AND RELATED REACTIONS

Patent Proprietor:
THE PENN STATE RESEARCH FOUNDATION

Opponent:
Evonik Operations GmbH

Headword:

Relevant legal provisions:
EPC Art. 87(1), 100(a), 54(2), 56, 123(2), 123(3), 111(1)

Keyword:
Inventive step (no) - Main request, auxiliary requests 1 and 2
Auxiliary request 3 - allowable

Decisions cited:
G 0001/15, T 0488/16

Catchword:

Europäisches Patentamt
European Patent Office
Office européen des brevets

Beschwerdekammern

Boards of Appeal

Chambres de recours

Boards of Appeal of the
European Patent Office
Richard-Reitzner-Allee 8
85540 Haar
GERMANY
Tel. +49 (0)89 2399-0
Fax +49 (0)89 2399-4465

Case Number: T 2593/17 - 3.3.10

D E C I S I O N
of Technical Board of Appeal 3.3.10
of 21 October 2021

Appellant: (Opponent)	Evonik Operations GmbH Rellinghauserstrasse 1-11 45128 Essen (DE)
Correspondence Address:	Evonik Operations GmbH IP-Management / Bau 1042A/PB15 Paul-Baumann-Straße 1 45772 Marl (DE)
Respondent: (Patent Proprietor)	THE PENN STATE RESEARCH FOUNDATION 304 Old Main University Park, PA 16802 (US)
Representative:	Müller-Boré & Partner Patentanwälte PartG mbB Friedenheimer Brücke 21 80639 München (DE)
Decision under appeal:	**Decision of the Opposition Division of the European Patent Office posted on 10 November 2017 rejecting the opposition filed against European patent No. 1960409 pursuant to Article 101(2) EPC.**

Composition of the Board:

Chair	P. Gryczka
Members:	R. Pérez Carlón
	F. Blumer

Summary of Facts and Submissions

I. The appellant (opponent) lodged an appeal against the decision of the opposition division to reject the opposition against European patent No. 1 960 409.

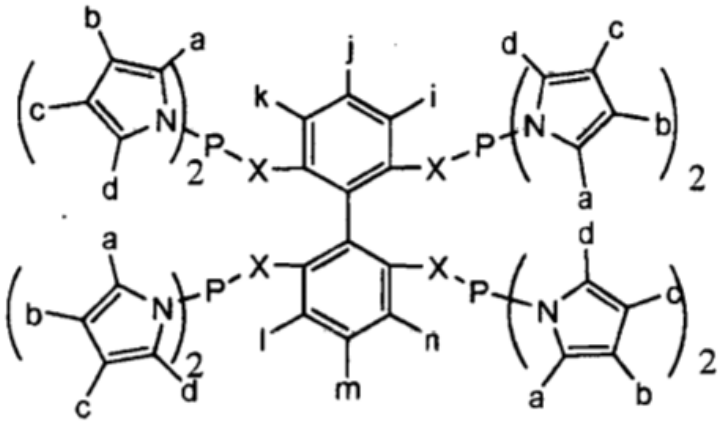
II. Notice of opposition had been filed on the grounds of insufficiency of disclosure (Article 100(b) EPC) and lack of inventive step (Article 100(a) EPC). Lack of novelty (Article 100(a) EPC) was raised by the opposition division in the summons to the oral proceedings before it, during which oral proceedings the ground of added subject-matter (Article 100(c) EPC) was also admitted.

III. The documents filed include the following:

D1 Rhodium Catalyzed Hydroformylation, van Leeuwen and Claver, Editors, Kluwer Academic Publishers 2001, chapters 1, 3 and 4
D3 US 4,769,498
D4 WO 2005/039762 A1
D5 Yan *et al.*, Journal of the American Chemical Society 2006, vol. 128, pages 16058-16061
D6 van der Slot *et al.*, Organometallics 2002, vol. 21, pages 3873-3883
D8 US 4,694,109

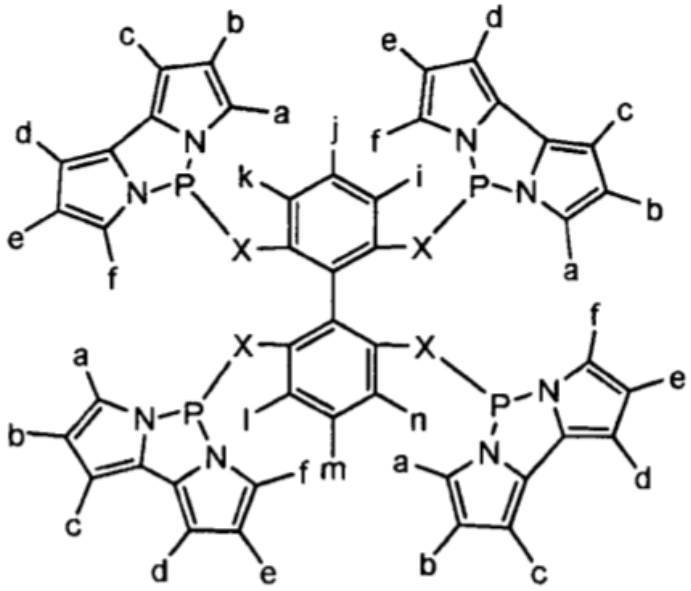
IV. The patent as granted is the main request of the respondent (patent proprietor) in these appeal proceedings. It contains six independent claims directed to a ligand, as follows:

Claim 1: "A phosphorous ligand, wherein the ligand has the following structure:



wherein X is O, CH_2, NH, NR or NSO_2R, where R is an alkyl or aryl; and a,b,c,d,i,j,k,l,m and n are, independently, H, alkyl, aryl, OR, SiR_3, CF_3, COOR, SO_3R, SO_3H, POR_2, halide, or two of a,b,c,d,i,j,k,l,m and n can be a cyclic fused ring or an extended aromatic ring."

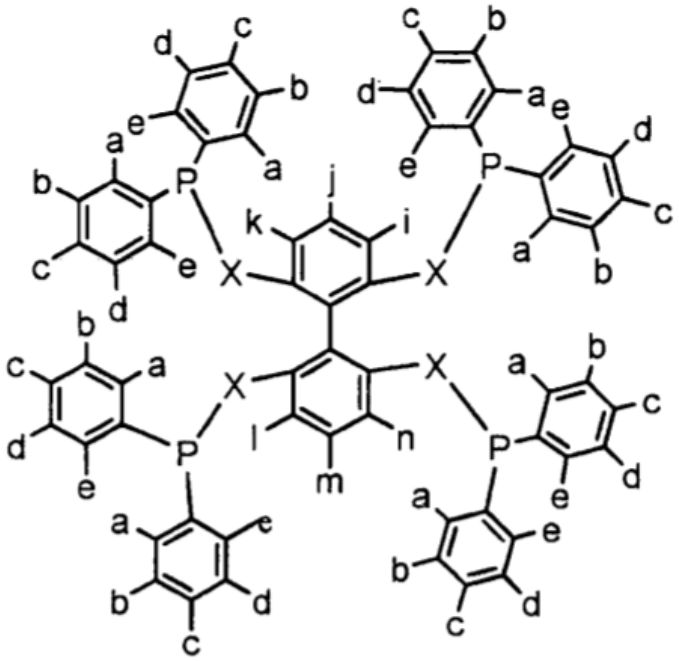
Claim 2: "A phosphorous ligand, wherein the ligand has the following structure:



wherein X is O, CH_2, NH, NR or NSO_2R, where R is an alkyl or aryl; and a,b,c,d,e,f,i,j,k,l,m and n are,

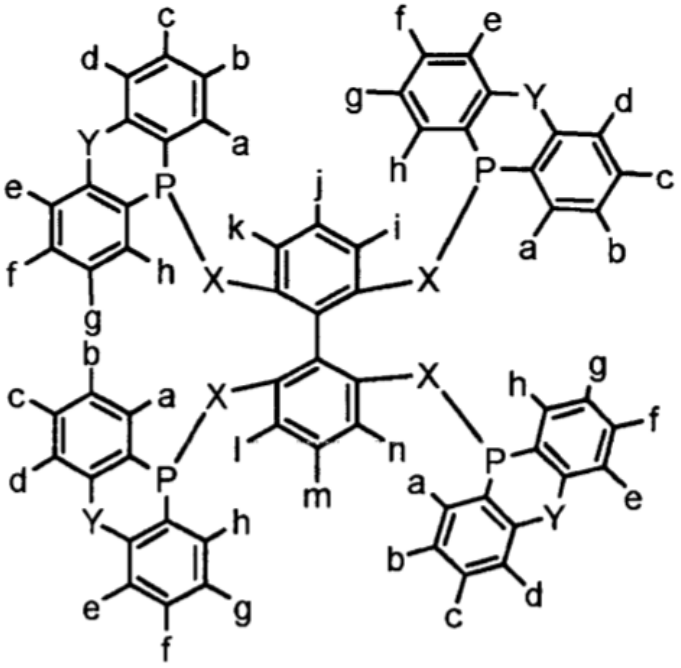
independently, H, alkyl, aryl, OR, SiR_3, CF_3, COOR, SO_3R, SO_3H, POR_2, halide, or two of a,b,c,d,e,f, i,j,k,l,m and n can be a cyclic fused ring or an extended aromatic ring."

Claim 3: "A phosphorous ligand, wherein the ligand has the following structure:



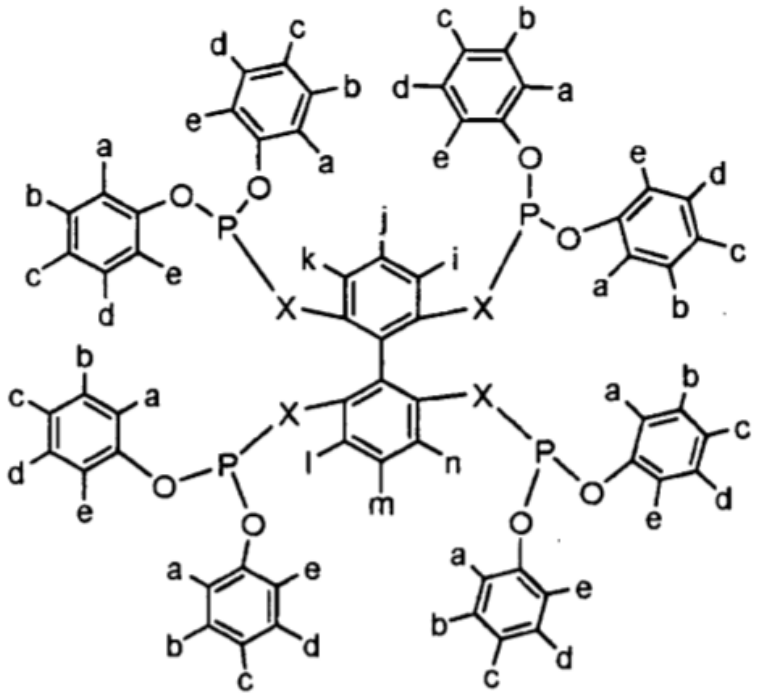
wherein X is O, CH_2, NH, NR or NSO_2R, where R is an alkyl or aryl and a,b,c,d,e,i,j,k,l,m and n are, independently, H, alkyl, aryl, OR, SiR_3, CF_3, COOR, SO_3R, SO_3H, POR_2, halide, NR_2, or two of a,b,c,d,e,i, j,k,l,m and n can be a cyclic fused ring or an extended aromatic ring."

Claim 4: "A phosphorous ligand, wherein the ligand has the following structure:



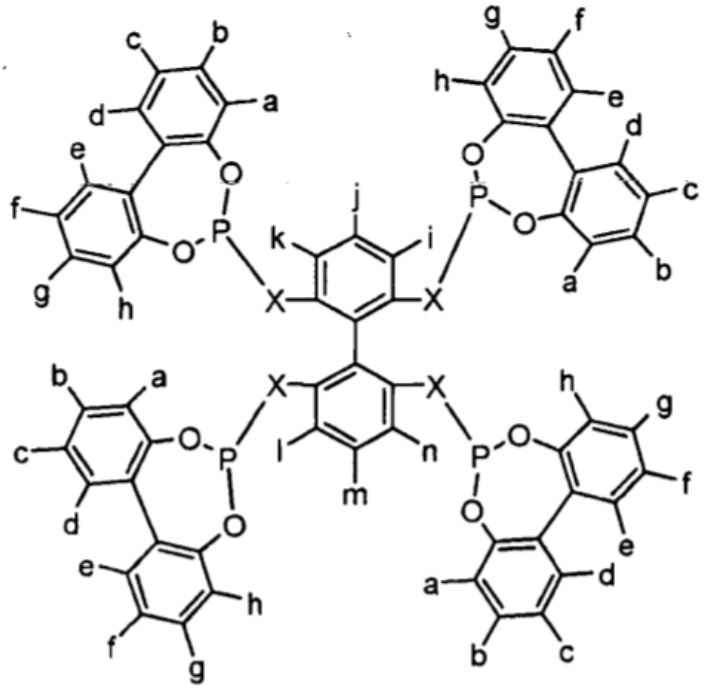
wherein X is O, CH_2, NH, NR or NSO_2R, where R is an alkyl or aryl; Y is a carbon-carbon bond, O, CH_2, NH or NR; and a to n are, independently, H, alkyl, aryl, OR, SiR_3, CF_3, COOR, SO_3R, SO_3H, POR_2, halide, NR_2 or two of a to n can be a cyclic fused ring or an extended aromatic ring."

Claim 5: "A phosphorous ligand, wherein the ligand has the following structure:



wherein X is O, CH_2, NH, NR or NSO_2R, where R is an alkyl or aryl; and a,b,c,d,e,i,j,k,l,m and n are, independently, H, alkyl, aryl, OR, SiR_3, CF_3, COOR, SO_3R, SO_3H, POR_2, halide, NR_2 or two of a,b,c,d,e,i,j, k,l,m and n can be a cyclic fused ring or an extended aromatic ring."

Claim 6: "A phosphorous ligand, wherein the ligand has the following structure:



wherein X is O, CH_2, NH, NR or NSO_2R, where R is an alkyl or aryl; and a to n are, independently, H, alkyl, aryl, OR, SiR_3, CF_3, COOR, SO_3R, SO_3H, POR_2, halide, NR_2 or two of a to n can be a cyclic fused ring or an extended aromatic ring."

The main request also includes claims directed to a catalyst comprising a ligand as in claims 1 to 6 (claim 8), to a method of using some of the catalysts of claim 8 (claim 11) and to a method of using a catalyst comprising one ligand according to claim 1, namely that of claim 7 in a hydroformylation reaction (claim 13).

V. The opposition division concluded that the claims of the patent as granted found the required basis in the application as originally filed.

It also concluded that the claimed invention was sufficiently disclosed for it to be carried out by a person skilled in the art.

Document D5 was not prior art since the right to priority had been validly claimed. The claimed ligands were thus novel.

Ligand 3 of document D6 was the closest prior art for the subject-matter of claims 1, 2 and 7-13. The problem underlying the claimed invention was to provide an improved ligand. The claimed solution, characterised by being a tetradentate ligand, would not have been obvious to a person skilled in the art.

Ligand 4 of D6 was the closest prior art for the ligands of claims 3 and 4 and for the corresponding catalyst and method of claims 8 to 13. The problem was to provide alternative ligands for the same purpose. The claimed solution, characterised at least by being a tetradentate ligand, would not have been obvious to a person skilled in the art.

Lastly, either ligand 11, 8a or 8b of D1, or ligand M of D3, was the closest prior art for the ligands of claims 5 and 6 and the corresponding catalyst and method of claims 8 to 13. The problem underlying the claimed invention was to provide alternative ligands. The claimed solution, characterised either by the backbone or by being a tetradentate, would not have been obvious for a person skilled in the art. The claimed ligands were thus inventive.

VI. With its reply to the grounds of appeal, the respondent filed auxiliary requests 1 to 13.

Claim 1 of auxiliary requests 1 and 2 differs from claim 1 of the patent as granted in that the definition of the residues of the general formula is as follows:

"wherein X is O, CH_2, NH, NR or NSO_2R; and a, b, c and d are, independently, H, alkyl, aryl, OR, SiR_3, CF_3, COOR, SO_3R, SO_3H, POR_2, halide, i, j, k, l, m, and n are, independently, H, alkyl, aryl, OR, SO_3H, POR_2, halide or two of a,b,c,d,i,j,k,l,m and n can be a cyclic fused ring or an extended aromatic ring, where R is an alkyl or aryl".

Claim 1 of auxiliary request 3 stipulates the following definition of the residues of the general formula:

"wherein X is O, CH_2, NH, NR, NSO_2R or NSO_2Ar, where R is an alkyl and Ar is an aryl; and a, b, c and d are, independently, H, alkyl, aryl, OR, OAr, SiR_3, CF_3, COOR, SO_3R, SO_3H, POR_2, halide, i, j, k, l, m, and n are, independently, H, alkyl, aryl, OR, OAr, SO_3H, POR_2, $POAr_2$, halide or two of a,b,c,d,i,j,k,l,m and n can be a cyclic fused ring or an extended aromatic ring".

VII. The arguments of the appellant, as far as they are relevant for the present decision, were as follows.

The right to priority had not been validly claimed for some embodiments of claim 1, and document D5 was prior art for them. D5 disclosed ligand L1 according to the claimed invention. The claimed ligands were not inventive in view of the disclosure of L1 in D5.

The patent disclosed only a limited number of ligands and tested only one of them. The skilled person would not find sufficient information to synthesise the compounds required by claims 1 to 6. In addition, the claims related to sterically hindered compounds which would not be ligands. Lastly, not every ligand required

by claim 1 would induce the required regioselectivity. For all these reasons, the claimed invention was not sufficiently disclosed for it to be carried out by a person skilled in the art.

Claim 1 of the third auxiliary request did not find a basis in the combination of claims 1 and 2 as originally filed, as it included the definition of residue "R" only once.

The appellant considered ligand 11 of document D1, compounds 3 and 4 of document D6 and the general formula of D4 to be suitable starting points for examining inventive step. Regardless of which of them came closest, the problem underlying the claimed invention was the provision of alternative ligands. The claimed solution merely combined moieties known in the context of ligands for transition metal catalysis, and would have been obvious for a person skilled in the art.

VIII. The arguments of the respondent were as follows.

The respondent did not dispute at the oral proceedings before the board that the priority had not been validly claimed for some embodiments of claim 1 of the patent and of auxiliary requests 1 and 2. It also did not dispute that those ligands for which priority had not been validly claimed were not inventive having regard to ligand 1 of document D5.

Claim 1 of auxiliary request 3 found the required basis in the combination of claims 1 and 2 as originally filed and did not require the repetition of the definition of R for substituents a-d and i-n. The ligand of claim 1 of auxiliary request 3 thus validly

claimed the right to priority, and D5 was not prior art.

Regardless of which ligand of the prior art came closest to the claimed invention, the problem to be solved was to provide a ligand which allowed enhanced regioselectivity in metal-catalysed processes. The problem was solved by the claimed ligands having regard to the available data and the proposed mechanism. The claimed solution would not have been obvious for a person skilled in the art.

IX. The appellant informed the board that it would not be attending the oral proceedings to which it had already been summoned, and requested a decision based on the written record.

X. The board informed the parties in a communication dated 11 October 2021 that it was not in a position to cancel the scheduled oral proceedings. A discussion needed to take place as to whether D5 was prior art for some of the ligands of claim 1 of the patent as granted, and whether the ligands of claims 5 and 6 of the main request were inventive over ligand 11 of document D1.

XI. Oral proceedings before the board of appeal took place on 21 October 2021. As announced, the appellant did not attend.

XII. The final requests of the parties were as follows:

- The appellant requested that the decision under appeal be set aside and the patent revoked.

- The respondent requested that the appeal be dismissed (main request) or that the patent be

maintained on the basis of any one of auxiliary requests 1 to 13, all auxiliary requests having been filed with the reply to the grounds of appeal dated 5 July 2018.

XIII. At the end of the oral proceedings, the decision was announced.

Reasons for the Decision

1. The appeal is admissible.

Main request, auxiliary requests 1 and 2

2. Document D5

2.1 Document D5 is a scientific paper published between the priority and filing dates of the patent. It is prior art (Article 54(2) EPC) only if the claimed subject-matter does not validly claim the right to priority.

Ligand 1 of D5 (Figure 1) is the same as ligand L1 of the patent. D5 also discloses reactions over a transition metal catalyst containing this ligand (Tables 1 and 2).

3. Right to priority

3.1 It is not disputed that ligand L1 is disclosed in the priority document (page 15), so that partial priority with respect to this ligand (G 1/15) is validly claimed.

3.2 However, the right to priority is not validly claimed for all the embodiments covered by claim 1 of the

patent as granted, since the combination of claims 1 and 2 of the priority document does not result in claim 1 of the patent as granted.

3.2.1 Claim 1 of the priority document does not include SiR_3, CF_3, COOR or SO_3R among the residues linked to the meta and para positions of the biphenyl unit, which it names a-f.

Dependent claim 2 neither restricts nor redefines the meaning of the substituents of the biphenyl moiety, which it names e-j. It discloses residues SiR_3, CF_3, COOR and SO_3R on the pyrrol ring (a-d) but not on the biphenyl unit (e-j), which correspond to the substituents named i-n in claim 1 of the patent as granted.

Thus, the right to priority cannot be acknowledged with regard to the ligands of claim 1 bearing substituents i-n SiR_3, CF_3, COOR and SO_3R.

3.2.2 In addition, claim 2 of the priority document does not disclose residue R as "alkyl or aryl". It discloses that R is alkyl and Ar is aryl. Not every group containing a substituent R has a counterpart bearing Ar, such as NR. Also for these embodiments, the right to priority is not validly claimed.

3.3 There are thus ligands of claim 1 of the patent as granted and of auxiliary requests 1 and 2 for which compound 1 of D5 is prior art. This was not disputed at the oral proceedings before the board.

4. Inventive step

4.1 It was also not disputed at the oral proceedings before the board that the embodiments for which the right to priority cannot be acknowledged are not inventive over ligand 1 of document D5. Ligand 1 is identical to ligand L1 of the patent in suit, which is a ligand according to claim 1.

4.2 D5 discloses the use of ligand L1 in hydroformylation reactions (Tables). It also discloses that it allows good regioselectivity, which is explained by the mechanism in paragraph [0010] of the patent (Scheme 2 of D5) due to the increase of the local phosphorous concentration around the metal centre (page 16059, left column, lines 14-23).

4.3 Having regard to ligand 1 of D5, the problem underlying the parts of the claimed invention which do not validly claim the right to priority is to provide alternative chelating ligands which allow good regioselectivity to be obtained in catalysed reactions, as in the case of the ligand of D5.

4.4 The claimed solution is characterised by the substituents of the ligand.

4.5 Having regard to the close structural resemblance of the claimed ligands to ligand 1 of D5, and to the fact that they share the same multi-chelating mechanism as is disclosed in D5, the claimed solution would have been obvious for a person skilled in the art seeking an alternative. The argument applies analogously to the embodiments of claim 1 of auxiliary requests 1 and 2 for which the right to priority cannot be acknowledged.

4.6 The ligand of claim 1 of the patent as granted and of auxiliary requests 1 and 2 is thus not inventive. For

this reason, the ground for opposition in Article 100(a) EPC precludes the maintenance of the patent as granted, and auxiliary requests 1 and 2 are not allowable.

Auxiliary request 3

5. Amendments

5.1 In the context of the main request, the appellant argued that claim 1 contained added subject-matter. The appellant's objection also applies to claim 1 of auxiliary request 3.

5.2 Claims 1 and 2 as originally filed included the definition of R after that of groups X, Y and i-m in claim 1, and after X and a-d and i-n in claim 2. Claim 1 of the third auxiliary request defines the meaning of the residue R only once.

The appellant argued that the use of a semicolon after the definition of R in claim 1 indicated that the meaning of R was only to be applied to the R residues of substituents X. It did not apply to the R residues of substituents a-d or i-n. By leaving the latter undefined, claim 1 related to subject-matter which was not disclosed in the application as originally filed.

5.3 The meaning of R in claims 1 and 2 as originally filed is the same in every occurrence. For this reason alone, it is not necessary to include its meaning more than once. The skilled reader would seek the meaning of R in the context of residues a-d and i-n of claim 1, and find it a couple of lines above, regardless of the use of a semicolon. No new information is derived from the

amendment.

5.4 Claim 1 of auxiliary request 3 thus finds the required basis in claim 2 as originally filed (Article 123(2) EPC).

5.5 The meaning of residues i-n of claim 1 is narrower than in claim 1 of the patent as granted. No objection under Article 123(3) EPC was raised, or is apparent to the board.

6. Sufficiency of disclosure

6.1 Claims 1 to 6 relate to ligands of specific chemical formulas. The claimed ligands bear four phosphor-containing residues at each ortho position of a biphenyl moiety.

6.2 The appellant argued that the claimed invention was, for various reasons, not sufficiently disclosed for it to be carried out by a person skilled in the art.

6.2.1 Firstly, the appellant argued that not every compound required by claims 1 to 6 was a ligand, as sterically hindering residues would prevent linkage to a metal atom.

However, similar ligands containing phosphorous donors are prior art; see for example D1, section 3.3.1. The mere presence of a phosphorous donor is likely to render a compound a ligand; the compounds required by claims 1 to 6 have not one but four of these units. Thus, the vast majority of the compounds required by the claims are ligands.

Even if, as argued by the appellant, some compounds

required by the claims would not bind to a metal atom due to steric hindrance, the skilled person would solve the issue by selecting less hindering residues. The skilled person is thus in a position to obtain ligands according to claims 1 to 6 reliably using common general knowledge.

6.2.2 The appellant also argued that the claimed ligand did not necessarily chelate a metal. It thus concluded that the claimed ligand was not sufficiently disclosed.

Claims 1 to 6 require the claimed compound to be a ligand. The mere presence of the phosphorous moiety in these compounds renders them suitable for this purpose. Claims 1 to 6 do not require the claimed ligands to form a chelate, as argued by the appellant. This argument is thus not convincing.

6.2.3 The appellant also argued that the patent in suit disclosed the synthesis of only a limited number of ligands, and tested only one. However, claims 1 to 6 related to a very large number of compounds, and the skilled person would not find enough information for the synthesis of all of them. Also for this reason, the claimed invention was not sufficiently disclosed for it to be carried out by a person skilled in the art.

However, similar compounds are prior art (D1, 3.3.1; D6, compounds 3 and 4) and how to synthesise them has been published (see references in D1; experimental part of D6, page 3882, right column). The synthesis of the ligands of claims 1 to 6 is thus not beyond the skills of a person of the art.

6.2.4 The appellant argued that the claimed ligands could not at the same time be inventive over those of D6 and be

sufficiently disclosed.

These are, however, two different concepts. The key issue in the context of inventive step is whether the skilled person would have a reason to synthesise the claimed ligands. When it comes to sufficiency, the question is whether the skilled person would be able to do it. For the reasons in the preceding point, the board considers that the skilled person would indeed be able to synthesise the claimed ligands.

6.2.5 The appellant argued that the examples of the patent in suit only showed the capability of a compound (L1) as a ligand in combination with a specific metal (Rh) in a specific type of process (hydroformylation). The examples of the patent did not provide evidence as to whether the claimed ligands could form a complex with every metal required by claim 8, and not every complex would be a catalyst for every reaction of claim 11. Also for this reason, the claimed invention was not sufficiently disclosed for it to be carried out by a person skilled in the art.

Coordination chemistry is well known, as is the type of catalyst which can be used in each of the processes defined in claim 11. Even if it is the case that not every ligand would form a complex with every metal of claim 8, and that not every complex is a catalyst for the processes of claim 11, the skilled person knows which catalysts are suitable for each of them. All these processes can be catalysed by transition metal catalysts bearing phosphorous ligands.

This argument is also not convincing.

6.2.6 Lastly, the appellant argued that not every ligand would lead to the required regioselectivity.

However, none of the claims requires any specific level of regioselectivity. This argument is also not convincing.

6.3 The board thus concludes, as did the opposition division, that the claimed invention is sufficiently disclosed for it to be carried out by a person skilled in the art.

7. Right to priority

7.1 By deleting SiR_3, CF_3, COOR and SO_3R from the definition of residues i-n and by restricting R to alkyl in the definition of the ligand of claim 1 of auxiliary request 3, the issues in point 3.2 above are solved.

7.2 In the context of the main request, the appellant argued in addition that the priority document did not sufficiently disclose the synthesis of every compound of the general formulas according to claims 1 to 6. Also for this reason, the right to priority had not been validly claimed for the majority of the claimed subject-matter.

The disclosure of the priority document with respect to the synthesis of the claimed ligands is equivalent to that of the patent. For the reasons given in point 6.2.3 above in the context of the sufficiency of the patent's disclosure, the skilled person encounters no unsurmountable difficulty with regard to their synthesis. This argument is thus not convincing.

8. Document D5

Since the subject-matter of auxiliary request 3 validly claims the right to priority, document D5 is not prior art.

9. Inventive step

9.1 The patent relates to ligands containing four phosphorous units and having multi-chelating coordination modes [0010], [0011]. These ligands seek to enhance the regioselectivity of hydroformylation reactions [0010].

9.2 Documents D1, D3, D4, D6 and D8 relate to phosphorous-containing ligands suitable for transition-metal-catalysed processes, and address the issue of regioselectivity. They are thus all suitable starting points for examining inventive step.

Depending on the structure of the claimed ligands, different documents come closest to the claimed invention.

Claims 1 and 2

9.3 The ligands of claims 1 and 2 contain a biphenyl central moiety substituted by four $(pyrrol)_2P$-X- groups in the four ortho- positions of the biphenyl unit.

9.4 The opposition division concluded that ligand 3 of document D6 was the closest prior art for the ligands of claims 1 and 2. It contains two $(pyrrol)_2$-P-X- groups linked to a biphenyl unit.

The appellant argued that document D4 came as close as

D6 to the claimed invention. However, multiple selections within the general formula of D4 are required to arrive at a compound which is equivalent to compound 3 of D6.

The appellant further argued that compound 11 of D1, which is also compound M of D3, was a suitable starting point for examining the claimed invention. However, this ligand differs from that of claims 1 and 2 by the nature not only of the central unit (neopentyl instead of biphenyl) but also of the phosphorous-containing group, which is not $(pyrrol)_2P-X-$. Be that as it may, the analysis and conclusion on inventive step of the ligands of claims 1 and 2 starting from compound 11 of D1 would not in essence differ from that of the ligands of claims 5 and 6 below (points 9.14 to 9.20). The claimed subject-matter is for those reasons also inventive in view of compound 11 of D1.

The ligand of formula 3 of D6 thus comes closest to the ligands of claims 1 and 2 of the patent in suit.

9.5 Technical problem underlying the invention

The respondent argued that the technical problem underlying the claimed invention was to provide a ligand which enhanced the regioselectivity of catalytic reactions.

9.6 Solution

The solution to this technical problem is the biphenyl $(pyrrol)_2P-X-$ ligand of claims 1 and 2, characterised by having four $(pyrrol)_2P-X-$ units located at the four ortho- positions of the biphenyl linker.

9.7 Success

9.7.1 The respondent relied on the results obtained in the examples of the patent in suit to show that the problem formulated in point 9.5 above had been credibly solved by the tetradentate ligands of claims 1 and 2. The examples compare, in a hydroformylation reaction, the regioselectivity achieved by compound L1 of the patent in suit, which is a compound according to claim 1, and by compound 3 of document D6.

9.7.2 The appellant argued that the examples of the patent could not show any advantage. The comparative compound lacked two binding units, had lower steric hindrance and was electronically very different from the tested compound. It was thus not a suitable comparison.

The ligand of the comparative example is, of course, different from that according to claims 1 and 2. It is, however, the ligand of the closest prior art and thus the most appropriate compound for a comparison.

9.7.3 The appellant also argued that the data in the patent did not allow the conclusion that any effect achieved by ligand L1 would also be obtained by every ligand of the general formulas defined in claims 1 and 2.

In addition to experimental data for ligand L1, the patent proposes in paragraph [0010] a mechanism underlying the obtained selectivity. Tetradentate ligands of the claimed invention can form four (identical) chelates with a central metal atom, which increases the local concentration of phosphorous (see also D5, page 16059, left column, lines 14-21). Every compound required by claims 1 and 2 can bind in this manner. It is thus credible, having regard to the

proposed mechanism, that the problem as formulated above is solved by all the ligands claimed. No experimental evidence showing the contrary is available.

9.7.4 The appellant argued that post-published evidence such as D5 should not be taken into consideration for the issue of inventive step, in line with T 488/16.

The experimental data together with the mechanism proposed in the patent makes an increase of selectivity credible on its own. The present case is thus not comparable to the case before the board in T 488/16, which related to a compound whose alleged therapeutic activity lacked any evidence. D5, a peer-reviewed scientific paper, merely corroborates what the patent discloses.

9.8 In a last step, it needs to be examined whether the proposed solution to the objective problem defined above would have been obvious for the skilled person in view of the prior art.

The board mentioned in its communication that comparison of the regioselectivity disclosed in D3 for tetradentate ligand M and bidentate ligand L3 could hint at better selectivity of the tetradentate.

The respondent argued that D3 also showed the reverse result. Tridentate ligand C was less reactive than bidentate ligand D. Among the ligands having an aliphatic central unit, tridentate ligand P was less selective than bidentate ligand L3.

The board agrees with the respondent's arguments that the results in D3 are not conclusive.

Starting from ligand 3 of D6 and seeking ligands with enhanced regioselectivity, the skilled person would not have been pointed in the direction of the tetradentate ligands of claims 1 and 2.

Claims 3 and 4

9.9 The closest prior art for the ligands of these claims is compound 4 of document D6, which has two $aryl_2P$-X- moieties.

9.10 Technical problem underlying the invention

The respondent argued that the technical problem underlying the claimed invention was to provide a ligand which allowed the regioselectivity of catalytic reactions to be enhanced.

9.11 Solution

The solution to this technical problem is the $aryl_2P$-X-containing biphenyl ligand of claims 3 and 4, characterised by having four $aryl_2P$-X- units located at the four ortho- positions of the biphenyl linker.

9.12 Success

It is undisputed that there is no direct comparison between the ligands of claims 3 and 4 and those having two binding moieties of the prior art.

However, having regard to the mechanism in paragraph [0010] of the patent, the problem can be considered to be credibly solved also by the ligands of claims 3 and

4.

9.13 The claimed solution would not have been obvious for a person skilled in the art for the same reasons as for the ligands of claims 1 and 2 (see 9.8 above).

Claims 5 and 6

9.14 The ligands of claims 5 and 6 have four groups of the structure $(arylO)_2P-X-$.

9.15 Closest prior art

The phosphite ligand 11 of D1 (page 47), which has four phosphite units linked to a central neopentyl unit, comes closest to the ligands of claims 5 and 6. This ligand is also disclosed as ligand M in document D3.

The claimed ligands differ from ligand 11 of D1 by virtue of their central units.

9.16 Technical problem underlying the invention

The respondent argued that the problem underlying the claimed invention was to provide a ligand which allowed higher regioselectivity in metal-catalysed reactions.

9.17 Solution

The solution to this technical problem is constituted by the ligands of claims 5 and 6, characterised in that the central unit of the ligand is a biphenyl moiety.

9.18 Success

It is undisputed that there is no direct comparison between compound 4 of D6 and the ligands of claims 5 and 6.

The respondent relied in this respect on the mechanism underlying enhanced regioselectivity in paragraph [0010]. This mechanism is further elaborated in scientific papers published after the effective filing date of the patent, such as D5. The claimed ligands provide a high local phosphorous concentration, enhancing chelating ability (Scheme 2), by forming four possible, equivalent bidentate complexes which effectively increase the local phosphorous concentration (page 16059, left column, lines 16-23).

Like the ligands of claim 1, compound 11 of D1 is capable of producing a high local phosphorous concentration by forming four possible, equivalent bidentate complexes.

However, each of the four phosphite units of ligand 11 can rotate around two single bonds linked to the central atom of the neopentyl unit. The degree of freedom of the phosphorous moieties of compound 11 of D1 is thus considerably larger than that of the ligands of claims 5 and 6. This is a key difference between these structures.

The respondent argued that compound 11 of D1 was also capable of forming tridentate chelates with a metal such as rhodium, due to this higher degree of freedom. This type of chelates was known to deactivate the catalyst. In contrast, the ligands of claims 5 and 6 lacked this degree of freedom and could not form tridentate chelates. For this reason, it was credible that the ligand of claim 1 was superior to compound 11

of D1 even in the absence of a direct comparison.

Having regard to the structure of compound 11, it is credible that it can form tridentate chelates with a metal atom. Hydroformylation catalysis involves species such as those described in the last line of paragraph [0008] of the patent in suit; see also Figure 3 of D1. Forming a three-dentate chelate hinders the three reagents required by the hydroformylation process, olefin, carbon monoxide and hydride, from linking to the metal at the same time.

The board thus considers that the ligands of claims 5 and 6 credibly solve the problem as formulated above.

9.19 In a last step, it needs to be examined whether the proposed solution to the objective problem defined above would have been obvious for the skilled person in view of the prior art.

The appellant argued that biphenyl central units were well known in the context of polyphosphite ligands; see for example compounds 4 to 8 of document D1. D1 also disclosed that ligands with this central unit led to the highest selectivity (page 46, lines 3-4) and the effect of "bite angle" on selectivity and thus hinted at the claimed invention.

Table 2 of D1 shows that some ligands having a biphenyl unit have better selectivity than ligand 11. This is the case for, inter alia, 5a and 4a. However, there are also ligands with a biphenyl unit, such as 8a and 8b, which led to worse results.

The skilled person seeking a more regioselective ligand would not have been pointed in the direction of ligands

having an orto-tetrasubstituted biphenyl central unit, as no clear trend can be deduced from D1. D1 thus does not hint at the claimed solution.

9.20 The ligands of claims 5 and 6 are thus also inventive (Article 56 EPC).

9.21 The ligand of dependent claim 7 is inventive for the same reasons as claim 1. The catalyst of claims 8 to 10 comprising the ligands of claims 1 to 6, and the method of claims 11 to 13 of using such a catalyst, are inventive for the same reasons as those regarding the ligands per se.

10. The appellant's third auxiliary request is allowable.

11. **Remittal**

The description contains subject-matter not encompassed by the claims of the third auxiliary request. It thus requires amendment (Article 84 EPC). The board decided to make use of its discretion to remit the case to the opposition division for the description to be adapted (Article 111(1) EPC). Neither of the parties objected to the remittal.

Order

For these reasons it is decided that:

1. The decision under appeal is set aside.

2. The case is remitted to the opposition division with the order to maintain the patent on the basis of claims 1 to 13 filed as auxiliary request 3 with the reply to the grounds of appeal and a description yet to be adapted.

The Registrar: The Chair:

C. Rodríguez Rodríguez P. Gryczka

Decision electronically authenticated