BESCHWERDEKAMMERN DES EUROPÄISCHEN PATENTAMTS

BOARDS OF APPEAL OF THE EUROPEAN PATENT OFFICE

CHAMBRES DE RECOURS DE L'OFFICE EUROPEEN DES BREVETS

Internal distribution code:
(A) [ ] Publication in OJ
(B) [ ] To Chairmen and Members
(C) [X] To Chairmen

D E C I S I O N
of 19 October 1999

Case Number: T 0730/96 - 3.3.1

Application Number: 88312047.9

Publication Number: 0323727

IPC: C07D 405/12

Language of the proceedings: EN

Title of invention:
Heterocyclic-alkylene quinoxalinyloxyphenoxy propanoate herbicides

Patentee:
Uniroyal Chemical Company, Inc.

Opponent:
Zeneca Limited

Headword:
Quinoxalinyloxyphenoxy propanoate/UNIROYAL

Relevant legal provisions:
EPC Art. 56

Keyword:
"Inventive step (yes) - determination of the closest prior art - proper comparison - unexpected effect"

Decisions cited:
T 0001/80, T 0024/81, T 0181/82, T 0002/83, T 0164/83, T 0248/85, T 0606/89, T 0834/91, T 0465/92, T 0482/92, T 0380/93

Catchword:

-

Europäisches Patentamt | European Patent Office | Office européen des brevets

Beschwerdekammern | Boards of Appeal | Chambres de recours

Case Number: T 0730/96 - 3.3.1

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 19 October 1999

Appellant: (Opponent)
Zeneca Limited
15 Stanhope Gate
London W1Y 6LN (GB)

Representative:
Waterman, John Richard
Intellectual Property Department
Zeneca Agrochemicals
Jealott's Hill Research Station
P.O. Box 3538
Bracknell
Berkshire RG42 6YA (GB)

Respondent: (Proprietor of the patent)
Uniroyal Chemical Company, Inc.
World Headquarters
Middlebury
Connecticut 06749 (US)

Representative:
Spott, Gottfried, Dr.
Spott & Weinmiller
Patentanwälte
Sendlinger-Tor-Platz 11
80336 München (DE)

Decision under appeal: **Decision of the Opposition Division of the European Patent Office posted 8 July 1996 rejecting the opposition filed against European patent No. 0 323 727 pursuant to Article 102(2) EPC.**

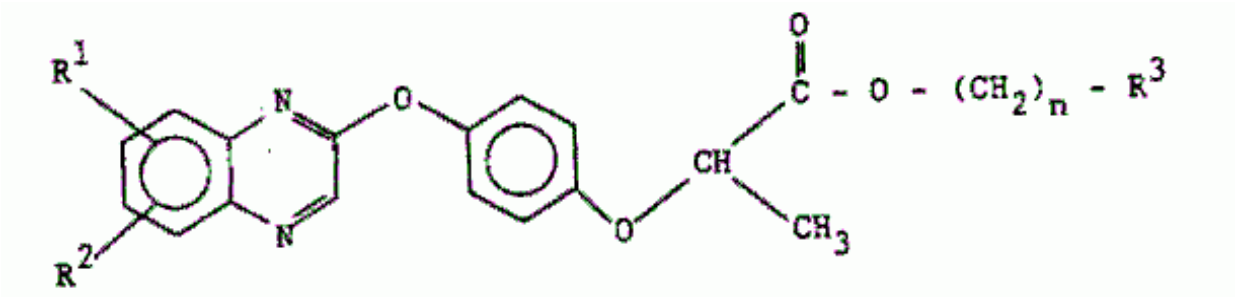
Composition of the Board:

Chairman: J. M. Jonk
Members: R. Freimuth
R. T. Menapace

Summary of Facts and Submissions

I. The Appellant (Opponent) lodged an appeal on 6 August 1996 against the decision of the Opposition Division posted on 8 July 1996 rejecting the opposition against European patent No. 323 727 which was granted on the basis of fifteen claims, the independent claim 1 reading as follows:

"1. A compound having the structural formula:



wherein:

n is 1, 2 or 3;

R^1 and R^2 are each independently selected from the group consisting of halogen, hydrogen, C_1-C_3 alkoxy, C_1-C_3 haloalkoxy, monohalomethyl, dihalomethyl, trihalomethyl, cyanato and nitro; and

R^3 is a 5- or 6-membered saturated, unsaturated or partially unsaturated ring containing 1 or 2 oxygen atoms; said ring optionally being substituted with between 1 and 3 substituents each independently selected

from the group consisting of oxo, C_1-C_3 alkyl and C_1-C_3 alkoxy."

II. The opposition was based on the sole ground of lack of inventive step. It was supported by numerous documents including:

(1) The Pesticide Manual, Charles R. Worthing, 8th edition 1987, pages 379, 404, 405 and 737,

(2) HERBICIDES, Chemistry, Degradation and Mode of action, P.C. Kearney and D.D. Kaufman, 2nd edition 1975, Volume 1, pages 14 to 16 and 32,

(3) US-A-4 629 493,

(16) JP-A-57-203 066.

The Opposition Division held that the subject-matter claimed involved an inventive step in the light of the documents cited. The documents (3) and (16) were considered as closest prior art since they related to the structurally closest compounds of the state of the art having the same herbicidal activity against weeds as the compounds of the patent in suit. The problem underlying the present invention was to provide further quinoxalinyloxyphenoxy propanoate derivatives having improved herbicidal activity, such as unexpectedly desirable selective herbicidal activity. This followed from the comparative tests provided by the Patentee showing improved herbicidal properties for the claimed tetrahydrofurfuryl ester compared with the corresponding glycidyl ester of document (16). In this context, it was noted that the comparative

glycidyl ester, which comprised the oxirane group, was correctly chosen in those tests since it had the maximum structural similarity with the compounds of the present invention. Finally it was concluded that there was no incentive from the prior art to provide the claimed compounds when looking for compounds having improved herbicidal properties.

III. The **Appellant** filed the statement of the grounds of appeal on 8 November 1996 in which he submitted that the Opposition Division had formulated a totally unrealistic technical problem to be solved by the patent in suit, which the skilled person never faced and which never existed, by using an ex post facto analysis, contrary to the decision T 465/92 (OJ EPO 1996, 32). The ethyl ester of the quinoxalinyloxyphenoxy propanoic acid was commercialised as a herbicide having the generic name "quizalofop-ethyl" and the problem had to be formulated with respect to that ethyl ester and not to another obscure ester plucked out of many hundreds of others in the patent literature. The claimed compounds showed no advantage or surprising properties when compared to the ethyl ester.

Furthermore, the experimental data presented were not handled correctly by the Opposition Division, since the pre-emergence data of the Patentee were taken into account though that type of herbicide was applied to 100% post-emergence.

The Appellant argued moreover that the esters claimed in the patent in suit rapidly broke down in the plant to the parent acid, which was the herbicidal active

moiety, as did quizalofop-ethyl. The alcohols forming the esters claimed were well known in the herbicide art to form agriculturally acceptable esters introducing desirable properties to phenoxy alkanoic herbicides. The favourable properties of the esters claimed in the patent in suit were addressed in the leading text book (2).

IV. The **Respondent** (Proprietor of the Patent) submitted that the problem determined in the decision under appeal was identical to that formulated in the patent in suit. Thus, in the Appellant's view, the problem was not the object from which the assessment of inventive step started, it was the question which compound should be used for comparison examples to show a surprising effect. In the present case, where the properties of a chemical compound had to be determined, the comparison had to be made with the most relevant closest state of the art but not with a commercial product which was further from the closest prior art. This was confirmed by the decisions T 181/82 (OJ EPO 1984, 401) and T 164/83 (OJ EPO 1987, 149). The closest state of the art being the herbicides of either document (3) or (16), the most relevant compound for comparison was to be chosen therefrom. Both documents related to compounds which were structurally identical to the claimed ones with the exception of the alcoholic group. The cyclic alcoholic groups of documents (3) and (16) were by far structurally closer to the claimed compounds than the ethyl alcoholic group of the commercial product quizalofop-ethyl. None of the documents cited in the proceedings gave any hint as to the desirable properties introduced by the class of alcohols forming

the esters claimed, or indicated any preference for that class.

V. The Appellant requested that the decision under appeal be set aside and the patent be revoked.

The Respondent requested that the appeal be dismissed.

VI. Oral proceedings were held on 19 October 1999. At the end of the oral proceedings the decision of the Board was given orally.

Reasons for the Decision

1. The appeal is admissible.

2. *Inventive step*

The sole issue arising from this appeal consists in deciding whether or not the subject-matter of the claims of the patent in suit as granted involves an inventive step.

2.1 According to the established jurisprudence of the Boards of Appeal it is necessary, in order to assess inventive step (Article 56 EPC) on an objective basis, to establish the closest state of the art, to determine in the light thereof the technical problem which the invention addresses and successfully solves, and to examine the obviousness of the claimed solution to this problem in view of the state of the art (see decisions T 1/80, OJ EPO 1981, 206, points 3, 6, 8, 11 of the reasons; T 24/81, OJ EPO 1983, 133, point 4 of

the reasons; T 248/85, OJ EPO 1986, 262, point 9.1 of the reasons). This "problem-solution approach" ensures assessing inventive step on an objective basis and avoids assessing it by using an *ex post facto* analysis the Appellant objected to.

In this context, the Boards of Appeal have developed certain criteria that should be adhered to in order to identify the closest state of the art to be treated as the starting point in the assessment of inventive step. The crucial criteria are that the "closest prior art" is normally a prior art document disclosing subject-matter conceived for the same purpose as the claimed invention and additionally having the most relevant technical features in common, i.e. requiring the minimum of structural modifications (see e.g. decisions T 606/89, point 2 of the reasons; T 482/92, point 4.1 of the reasons; T 834/91, point 4.1 of the reasons; T 380/93 point 3.1 of the reasons; none published in OJ EPO).

2.2 The patent in suit relates to compounds useful as selective herbicides, particularly to control undesirable grasses, and having a heterocyclic-alkylene quinoxalinyloxyphenoxypropanoate structure (specification of the patent in suit page 2, lines 5 to 8 and 41). In relation to that purpose and to that particular structure, a selection among the documents cited in the proceedings must be made as to which one is to be considered as the "closest prior art". The Appellant and the Respondent concurred that this selection was to be made among either document (3) or document (16) or the commercial product quizalofop-ethyl of document (1), since those documents referred

to similar compounds for the same use, their structure differing from that of the claimed compounds exclusively in the ester group. However, both parties had divergent views on the matter which of those documents should be treated as the closest prior art.

2.2.1 Document (16), which the Respondent considered as the closest piece of prior art, relates to compounds useful as selective herbicides against plants of the family Graminaceae, i.e. grasses, and applied in a pre- or post-emergence treatment (page 5, lines 6 to 9). That document is directed to quinoxalinyloxyphenoxypropanoate compounds having the general formula of claim 1 of the patent in suit (see point I above), wherein the ester group is a glycidyl group (claim 1; page 6, Table 1). That glycidyl group consists of a terminal oxirane group and a linking methylene group. That oxirane group represents the substituent R^3 in the general formula of claim 1 of the patent in suit and constitutes a 3-membered saturated heterocyclic ring containing 1 oxygen atom in terms of the patent in suit; that methylene group represents the linking group in that general formula having the index n = 1. According to claim 1 of the patent in suit the index n may be 1 and the substituent R^3 may be a 5-membered saturated heterocyclic ring containing 1 oxygen atom. Therefore, the exclusive structural difference between the compounds of document (16) and those claimed in the patent in suit is found within the substituent R^3 and consists in widening the 3-membered saturated heterocyclic ring containing 1 oxygen atom into the corresponding 5-membered ring.

Thus, document (16) relates to the same purpose as the

claimed invention and a single structural modification of the compounds referred to in that document is required to arrive at the structure of the claimed compounds.

2.2.2 Document (3), which the Respondent considered as alternative closest piece of prior art, relates to compounds useful as selective herbicides against various weeds, especially gramineous, i.e. grassy, weeds (column 1, lines 11 and 43 to 47), and applied in a pre- or post-emergence treatment (column 18, line 33; column 23, line 17). That document is directed to quinoxalinyloxyphenoxypropanoate compounds having the general formula of claim 1 of the patent in suit (claims 1 and 3; columns 3 to 6, Table 1). The ester groups in those compounds are either acyclic groups or some particular homocyclic groups, *inter alia* the benzyl group (Table 1, number 55) which the Respondent pointed to. That benzyl group consists of a terminal phenyl group and a linking methylene group. That phenyl group represents the substituent R^3 in the general formula of claim 1 of the patent in suit (see point I above) and constitutes a 6-membered unsaturated homocyclic ring containing no oxygen atom in terms of the patent in suit; that methylene group represents the linking group in that general formula having the index n = 1. The Respondent submitted that the structure of this benzyl ester compound of document (3) would come very close to the structure of the compound according to claim 1 of the patent in suit wherein the index n is 1 and the substituent R^3 is a furyl group, i.e. a 5-membered unsaturated heterocyclic ring containing 1 oxygen atom. The structural difference between the benzyl ester

compound of document (3) and the furfuryl ester compound claimed in the patent in suit is indeed found exclusively within the substituent R^3; however, it consists of transforming the unsaturated homocyclic ring into a heterocyclic ring by incorporating any heteroatom, of selecting 1 oxygen atom as heteroatom in that ring and of cutting down the 6-membered ring to a 5-membered ring.

Thus, document (3) relates to the same purpose as the claimed invention, but a triple structural modification of the closest compound referred to in that document is required in order to arrive at the structure of the claimed compounds. The Board concludes therefore that document (3) represents prior art which is further from the patent in suit than document (16).

2.2.3 The commercial product quizalofop-ethyl on page 737 of document (1), identical to compound 23 in Table 1 of document (3), was considered as the closest piece of prior art by the Appellant.

2.2.3.1 That compound, useful as selective herbicide against grass weeds and applied in a post-emergence treatment (page 737, paragraph 3), is the ethyl ester of a quinoxalinyloxyphenoxypropanoate having the general formula of claim 1 of the patent in suit (see point I above). That ethyl ester group, however, belongs to the class of acyclic groups which is substantially different and structurally unrelated to the heterocyclic class of ester groups in the claimed compounds.

Thus, although the commercial product quizalofop-ethyl relates to the same purpose as the claimed invention, a fundamental structural modification of its ester group is required to arrive at the structure of the claimed compounds. The Board concludes therefrom that this product represents prior art being further away from the claimed invention than document (16).

2.2.3.2 The Appellant argued that the parent acid of the quinoxalinyloxyphenoxypropanoate ester compounds was the herbicidally active moiety and that the ester group thereof was irrelevant for that activity. Therefore, the widely known ethyl ester of quinoxalinyloxyphenoxypropanoate and not another obscure ester plucked out of the patent literature should be considered as closest prior art.

The Appellant and the Respondent agreed on the matter that the parent acid is the herbicidally active moiety. At the oral proceedings before the Board both parties concurred also on the matter that the ester group is nonetheless important for the penetration of those compounds into the plant (see e.g. document (2), page 14, last paragraph) with the consequence that the herbicidal activity against weeds depends in fact substantially on the structure of the ester group as well. Since the premise on which the Appellant's argument was based is inconsistent with the facts, his objection must be disregarded by the Board.

It is therefore reasonable to take into consideration the structure of the ester group, which is relevant for the factual herbicidal activity against weeds, when identifying the structural modifications required

to arrive at the claimed compounds from the prior art in order to establish the closest prior art, as done in point 2.2.3.1 above.

The Appellant argued furthermore that the product quizalofop-ethyl was to be considered as the closest piece of prior art because it had been commercialized. However, whether or not a particular product of the prior art is marketed at a particular time may have many different reasons, especially economic reasons, which are not relevant when establishing the closest prior art according to the problem-solution approach. Therefore, in the present case, the mere fact that the particular product from the prior art was marketed commercially, cannot be interpreted as a sign of the predominance of that product in the assessment of inventive step pursuant to Article 56 EPC. As set out in detail in point 2.1, last paragraph, above, the decisive and exclusive criteria for determining the closest prior art and starting point in the assessment of inventive step are whether the prior art discloses subject-matter conceived for the same purpose and requiring the minimum of structural modifications. Thus, the Appellant's objection cannot convince the Board.

2.2.4 For theses reasons, in the Board's judgement, document (16) represents the piece of prior art closest to the claimed invention and, hence, the starting point in the assessment of inventive step.

2.3 As indicated in the specification of the patent in suit, the technical problem consists in providing a class of novel compounds exhibiting unexpectedly

desirable selective herbicidal activity, i.e. **enhanced** selective control of undesirable grasses (page 2, lines 40 to 43), which is the technical problem to be defined vis-à-vis the closest prior art document (16) in view of the technical information provided by the Respondent.

The Appellant argued, referring to the decision T 465/92, point 9.6 of the reasons (loc. cit.), that "the investigation of inventiveness should avoid formulating artificial and unrealistic technical problems, and should normally start from the technical problem identified in the patent in suit". In the present case, so the Appellant, this approach was not followed, but rather a totally unrealistic problem was formulated.

However, the assessment of inventive step in the present case follows the line claimed to be "normal" by the Appellant: it starts from the technical problem as defined in the patent in suit, thus avoiding formulating an artificial or unrealistic technical problem. For that reason, the Appellant's objection in that respect is devoid of merit.

2.4 As the solution to this problem, the patent in suit suggests the compounds having the general formula according to claim 1 (see point I above) which are characterized by the presence of an ester group comprising a 5- or 6-membered heterocyclic ring containing 1 or 2 oxygen atoms, which may be further substituted.

2.5 The Appellant and the Respondent were divided as to

whether or not the evidence presented convincingly demonstrates that the proposed solution successfully solves the technical problem as defined in point 2.3 above, i.e. to enhance the control of undesirable grasses. In support of his submission, namely that the alleged enhancement is in fact obtained by the claimed invention, the Respondent referred to the results of the test report submitted on 18 May 1995 in the opposition proceedings.

2.5.1 This test report comprises experimental data of two quinoxalinyloxyphenoxypropanoate compounds which were, apart from the ester group, structurally identical. The compound A carrying a furfuryl ester group corresponds to the claimed invention, the compound B carrying a glycidyl ester group represents document (16), as set out in point 2.2.1 above. Therefore, the comparison of the experimental data for compounds A and B indicated in that test report truly reflects the impact of the structural modification of the ester group, distinguishing the solution suggested by the patent in suit from the closest prior art document (16). This specific comparison of compounds A and B is, thus, a fair basis for the assessment of inventive step.

The tests were run twice applying a pre-emergence treatment onto numerous weeds. The compound A according to the invention shows in Table I of the first test run at an application rate of 0,125 lb/acre a weed control of 100% against each of the weeds switch grass (Panicum virgatum), wild oat (Avena fatua), green foxtail (Setaria viridis), goose grass (Eleusine indica), yellow foxtail, (Setaria glauca)

and barnyard grass (Echinolchloa crus-galli). The comparative compound B according to document (16) shows in Table I at the same application rate in respect of the same weeds a control rate of 60%, 50%, 80%, 70%, 60% and 60%, respectively. In the second test run, the results of which are indicated in Table II, compound A shows, at the same application rate in respect of those weeds, a control rate of 100%, 40%, 100%, 100%, 100% and 95%, respectively, compound B, however, a control rate of 0%, 0%, 0%, 90%, 60% and 30%, respectively. Thus, compound A according to the invention shows in respect of each of the weeds and in each test run a higher control rate compared to compound B according to document (16). Therefore, the herbicidal activity of the former is considerably superior to that of the latter.

The evidence on file convincingly demonstrates, in the Board's judgement, that the enhancement of the herbicidal activity has been achieved by the claimed invention and that this is due to the structural modification of the ester group of the quinoxalinyloxyphenoxypropanoate compound into an ester group comprising a 5- or 6-membered heterocyclic ring containing 1 or 2 oxygen atoms, i.e. the solution proposed by the patent in suit.

2.5.2 The Appellant objected to the Respondent's test report on the ground that it used an inadequate compound for comparison since the commercial product quizalofop-ethyl should have been used. The Appellant's test report submitted on 4 December 1995 in opposition proceedings compared the herbicidal activity of this commercial product with that of a compound according

to the invention. However, the experimental data of his test report, so the Appellant, did not demonstrate a superior herbicidal activity of the latter over the former, with the consequence that any purported superiority of the claimed compounds was to be discarded from the assessment of inventive step. This was contested by the Respondent.

It is the established jurisprudence of the Boards of Appeal that, to be relevant, comparative tests must meet certain criteria. These include the choice of a compound disclosed in the patent in suit and of a comparative compound taken from the state of the art; at the same time, the pair being compared should possess maximum structural similarity (decision T 181/82, loc. cit., point 5 of the reasons). Thus, comparative tests must be carried out in respect of the closest state of the art. The comparison with a commercial product, in assessing inventive step, cannot be a substitute for the demonstration of inventive step with regard to the relevant state of the art (decision T 164/83, loc. cit., points 6 and 8 of the reasons).

In the present case the closest piece of prior art represents the compounds of document (16) wherein the ester group is a glycidyl group, as set out in detail in point 2.2.1 above. Therefore the pair of compounds compared in the Respondent's test report submitted on 18 May 1995, i.e. compound A according to the invention and compound B according to document (16), possesses the maximum structural similarity. The compound quizalofop-ethyl is from a structural point of view further away (cf. point 2.2.3.1 above) so that

it cannot be used for a fair comparison, regardless of whether or not it is commercialized. For these reasons, the Board concludes that the Respondent's test report is fair and indeed to be taken into account when assessing inventive step, and the Appellant's objection must fail.

2.5.3 Furthermore, the Appellant objected to the fact that the Respondent's test report submitted on 18 May 1995 applied a pre-emergence treatment to show the herbicidal activity, although the commercial product quizalofop-ethyl as well as other herbicides of that type were applied only in a post-emergence treatment. Therefore, this test report should be disregarded in the assessment of inventive step.

However, the closest prior art document (16) teaches to apply the quinoxalinyloxyphenoxypropanoate compounds, which were used for comparison in that test report, in a pre-emergence treatment (page 5, line 7). That pre-emergence treatment is additionally exemplified in Testing Example 1. Moreover, the quinoxalinyloxyphenoxypropanoate compounds of document (3) are applied in a pre-emergence treatment according to Test 1 at columns 18 to 23. Thus, the Appellant's allegation that the quinoxalinyloxyphenoxypropanoate type of herbicides was applied only in a post-emergence treatment, is not supported by the facts with the consequence that his argument is not valid.

2.5.4 Therefore the Board, concurring with the Opposition Division, is satisfied that the claimed subject-matter successfully solves the problem underlying the patent in suit of providing compounds having **enhanced** selective herbicidal activity against undesirable grasses.

2.6 Finally it remains to be decided whether or not the proposed solution to the technical problem underlying the invention involves an inventive step.

2.6.1 Document (16), i.e. the closest prior art document (see points 2.2.1 and 2.2.4 above), is directed to quinoxalinyloxyphenoxypropanoate glycidyl esters having herbicidal activity. It does not give any incentive to structurally modify that ester group into a 5- or 6-membered heterocyclic group containing 1 or 2 oxygen atoms in order to enhance the herbicidal activity thereof. Thus, document (16), on its own, does not render obvious the solution proposed by the claimed invention.

2.6.2 The Appellant referred to document (2), submitting that the common general knowledge in that leading textbook emphasised the favourable properties of the esters claimed in the patent in suit, particularly of the tetrahydrofurfuryl ester group.

Document (2) is directed to phenoxyalkanoic acid esters having herbicidal activity. It aims at reducing the hazardous volatility of those esters and teaches to overcome this shortcoming by the introduction of "low-volatile esters" (page 15, penultimate line to page 16, line 1), specifying *inter alia* the

tetrahydrofurfuryl ester. Hence, that document addresses the technical problem of volatility and does not address the different problem underlying the invention, namely to enhance the herbicidal activity against undesirable grasses. However, it is the established jurisprudence of the Boards of Appeal that, when assessing inventive step, the decisive question is not whether the skilled person **could** have arrived at the invention, in the present case by introducing the tetrahydrofurfuryl ester group, but whether he **would** have done so with the reasonable expectation of enhancing the herbicidal activity (see for example decision T 2/83, OJ EPO 1984, 265, point 7 of the reasons). Thus, as is clear from the preceding considerations, the latter condition has not been met since the decisive fact remains that document (2) lacks any hint on how to solve the problem underlying the invention, i.e. to enhance the herbicidal activity against undesirable grasses.

For these reasons, in the Board's judgement, document (2) does not give any incentive to solve the problem underlying the patent in suit.

2.6.3 Document (3) refers to quinoxalinyloxyphenoxypropanoate compounds wherein the ester groups are either acyclic or homocyclic groups. However, that document diverges from the characterising feature specified in the claimed invention to incorporate ester groups comprising a 5- or 6-membered heterocyclic ring containing 1 or 2 oxygen atoms. Therefore, that document does not point to the proposed solution.

2.7 To summarise, none of the documents addressed above renders the claimed invention obvious, either taken alone or in combination.

The Appellant not relying on further documents in support of his objection of obviousness, the Board is satisfied that none of the other documents in the proceedings renders the proposed solution obvious.

2.8 For these reasons, the Board concludes that the subject-matter of claim 1, and by the same token that of independent claim 5, referring to a herbicidal composition comprising a compound as defined in claim 1, of independent claim 9, referring to a method for controlling the growth of undesirable plants by applying a compound as defined in claim 1, and of dependent claims 2 to 4, 6 to 8 and 10 to 15 involve an inventive step within the meaning of Articles 52(1) and 56 EPC.

Order

For these reasons it is decided that:

The appeal is dismissed.

The Registrar: The Chairman:

E. Görgmaier J. Jonk