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Bezeichnung der Erfindung: Phenoxypyridine compound
Title of invention:
Titre de l'invention :

Klassifikation / Classification / Classement : C07D213/04

ENTSCHEIDUNG / DECISION

vom / of / du 26 March 1986

Anmelder / Applicant / Demandeur : Imperial Chemical Industrial PLC

Patentinhaber / Proprietor of the patent /
Titulaire du brevet :

Einsprechender / Opponent / Opposant :

Stichwort / Headword / Référence : "Herbicides/ICI"

EPÜ / EPC / CBE Art. 54(3) and 83 EPC

"Novelty", "Enabling disclosure", "Sufficiency", "Common general knowledge"

Leitsatz / Headnote / Sommaire

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Case Number : T 142 /83

D E C I S I O N
of the Technical Board of Appeal 3.3.1
of 26 March 1986

Appellant : Imperial Chemical Industries PLC
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Decision under appeal : **Decision of Examining Division** 005 **of the European Patent Office dated** 07.04.1983 **refusing European patent application No** 80 201 077.7 **pursuant to Article 97(1) EPC**

Composition of the Board :

Chairman : K. Jahn
Member : G. Szabo
Member : O. Bossung

Summary of Facts and Submissions

I European patent application No. 80 201 077.7 filed on 26 July 1978 and published on 20 May 1981 with publication No. 28 870, claiming priority of prior applications on 12 August and 26 October 1977 and 9 February 1978 in the UK was refused by the decision of the Examining Division 005 of the European Patent Office dated 7 April 1983. The decision was based on Claims 1 to 3 which were worded as follows:

1. A compound which is 5-trifluoromethyl-2-p-hydroxyphenoxypyridine or a metal salt thereof.

2. A compound which is 3-chloro-5-trifluoromethyl-2-p-hydroxyphenoxypyridine or a metal salt thereof.

3. A process for preparing a compound or salt according to Claim 1 or 2, the process comprising demethylating 5-trifluoromethyl-2-p-methoxyphenoxypyridine or 3-chloro-5-trifluoromethyl-2-p-methoxy-phenoxypyridine to give, respectively, 5-trifluoromethyl-2-p-hydroxyphenoxy-pyridine or 3-chloro-5-trifluoromethyl-2-p-hydroxy-phenoxypyridine which is then if desired, converted into a metal salt.

II The ground for the refusal was that the subject-matters of Claims 1 and 3, insofar as the Contracting States Belgium, Federal Republic of Germany, France, Great Britain, The Netherlands and Sweden were concerned, were not novel having regard to the disclosure in EP-483 (Dow) in view of Article 54(3) EPC. The senior Dow patent described a product falling within Claim 1 of the application. The submission that the appropriate starting material of the

Dow process for preparing the product, namely 2-chloro-5-trichloro-methylpyridine (CCMP), as well as the corresponding intermediate, i.e. 2-chloro-5-trifluoro-methylpyridine (CTF) had not been available to the skilled person, was rejected.

III The Examining Division concluded in the decision that CTF was in any case a known compound from Example 111b of GB-A-1 421 619 (Merck) (1). Substantially, the same methodology was also available from an article by Raasch, M.S., J. Org. Chem. 1962, 27, 1406 (2). In view of these circumstances, the disclosure of Dow patent was enabling and the product anticipated the claims in the present application.

IV The Applicants filed an appeal against this decision on 31 May 1983 with the payment of the fee, and submitted the Statement of Grounds on 5 August 1983. In reply to a Communication dated 6 March 1985, in which the Board raised the question of availability of CTF in the art on the basis of common general knowledge as allegedly represented by a textbook, i.e. Weissberger and Taylor, "Chemistry of Heterocyclic Compounds", Vol. 14. "Pyridine and its Derivatives" (Supplement Part 2. Abramovitch, R.A., p. 465) (4), which referred to the Raasch article (2). In their reply the Appellants submitted further arguments and evidence, and referred to the textbook by Sheppard and Sharts, "Organic Fluorine Chemistry", 1969, page 412 (5). The Appellants supplemented their pleadings with arguments and evidence purported to be suggestive of relevant discrepancies between the priority application of Dow and the copy submitted on their behalf to the EPO. An oral hearing was held on 26 March 1986.

V The Appellants submitted during the proceedings and at the oral hearing substantially the following arguments:

(a) The contents of an earlier European patent application were citable against a later application only as far as the disclosure therein was an enabling one, i.e. reproducible without any undue effort.
The disclosure must be sufficient to that of the general practitioner, and not only a special expert in the field, should be in a position to carry out the methods necessary for the preparation of starting materials and intermediates. Deficiencies in this respect might be immaterial only if the skilled person could recognise these using his common general knowledge (cf. "Redox catalyst/AIR PRODUCTS, T 171/84, OJ 4/1986, 95).

(b) There were no specific instructions at all in the Dow application as to how to prepare CCMP, the starting material. This was a novel compound at the time of the priority date of the Dow application. Although CTF itself was disclosed in (1), in Example 111b, this was not even traceable through the Index of Chemical Abstracts at the relevant date of the Dow application.

(c) It could have been an unfair burden on the public to require that the ordinary practitioner should carry out a general search to trace CTF in the patent literature, in order to find a basis for its preparation. Patent specifications were not normally parts of common general knowledge in spite of the fact that these documents are in the state of the art. The

suggested source for rectifying the deficiencies of the Dow disclosure, the Merck (1) patent should not therefore be considered as available for the purpose of rectifying insufficiency.

(d) After failing to find a proper way of preparing the starting material CCMP on the basis of Dow and of common general knowledge, it would be a further unfair burden to require from the skilled person to make any additional effort to make the disclosure workable. Notwithstanding the ease or difficulty of providing the intermediate CTF through other reactions not mentioned at all in the Dow document, the irreproducibility of the only route specifically recommended in the document should itself be decisive, and render the end-products unavailable to the public on the suggested basis.

(e) There was no common knowledge available as to the preparation of CTF by using SF_4 in HF.A textbook by Sheppard and Sharts, (5) referred to this technique but warned that "Major limitation is SF_4 reactivity with functional groups such as amide, quinone, imino, nitrite, halide, amine and some alcohol groups ...." (emphasis added). Even if the skilled person was aware of the Raasch article through the textbook on pyridines, the dangers of side-reactions with the amine group, and even more with the halogen atom in the second position would have deterred him from using the method.

(f) Raasch himself warned against using the method in the presence of other "sensitive functional groups" which "may prevent a successful reaction". None of the 24 Examples in the article carry a halogeno-substituent or any other sensitive group, and the generality of

the disclosure must therefore be construed as to "disclaim" any such idea of use. In view of this and the prejudice created by (5), no method was really available to the skilled person from common general knowledge to rectify the insufficiency of the Dow patent.

(g) Even if the appropriate starting material or intermediate had been available at the filing date of the U.S. application claimed for priority purposes, the right to priority for Dow's corresponding European application was in considerable doubt since the specification actually filed in the USA and the copy presented on behalf of Dow in the proceedings before the EPO were different.

IV The Appellants requested that the decision under appeal be set aside and that the case be remitted to the Examining Division for further prosecution. They declared not to pursue the question whether or not the cited Dow patent was entitled to the claimed priority, in the event the appeal succeeds fully.

Reasons for the Decision

1. The appeal complies with Articles 106 to 108 and Rule 64 EPC and is, therefore, admissible.

2. There is no doubt in this case that Claim 1 of the application in suit embraces a number of chemical compounds which were individually identified in Dow's earlier patent application. There is, however, no dispute either that a compound defined by its chemical structure can only be regarded as being disclosed in a particular document if it has been "made available to the public" in the sense of Art. 54(2) EPC. In the field of chemistry this requirement

is, for instance, satisfied if a reproducible method is described in the same document. This need for an enabling disclosure not only applies to documents cited under Art. 54(2) and (3) EPC but is also in conformity with the principle expressed in Art. 83 EPC for patent applications which must, accordingly, "disclose the invention in a manner sufficiently clear and complete for it to be carried out by a person skilled in the art" (emphasis added). The requirements as to the sufficiency of disclosure are, therefore, identical in all these instances.

3. The appeal concerns the question whether or not the disclosure of the Dow patent cited under Article 54(3) EPC is an enabling disclosure. The problem arises in view of the fact that no instructions are given in that patent as to the preparation of the starting material. Although there is a process described in the document suggesting a way to convert this, viz. CCMP, to the corresponding intermediate, CTF, this can only be carried out when the starting material is available. There are no instructions either as to possible alternative routes to the intermediate suggested in the cited document, and the skilled person is therefore left to his own resources to find a route to the precursor before he can prepare the end-product.

Such situation is not uncommon in chemistry, since many applications start from basic materials which are assumed by the Applicant to be readily available on the market or by "standard" methods. There is a risk that the inventor or the draftsman of the specification is unduly influenced by his excessive experience in the relevant field, so as to neglect providing all the detailed instructions in the specification which are necessary for carrying out the invention without difficulties.

4. The Board already issued a decision on the question of sufficiency of disclosure ("Redox catalyst/AIR PRODUCTS, T 171/84, Ibid.). The Headnote of that decision suggested that "An error in the description is immaterial to the sufficiency of the disclosure if the skilled person could recognise and rectify it using his common general knowledge". However, the decision also stated in that case that "... no undue effort was expected from the skilled man either in way of such search or experimentation, let alone any necessity to exercise his inventive skill" (Ibid, at p. 101, paragraph 12).

5. The Board has come to the conclusion that the principle expressed in the cited decision should also be applicable to the present case. Basically any cure of insufficiency lies with the addressee of the document, i.e. the person skilled in the art who has common general knowledge at his immediate disposal. It would be unfair to the public if more were to be expected of him, i.e. an awareness of the whole state of the art. It is normally accepted that common general knowledge is represented by basic handbooks and textbooks on the subject in question. The skilled person could well be expected to consult these to obtain clear advice as to what to do in the circumstances, since the skills of such persons not only includes knowledge about particular basic prior art but also knowledge as to where to find such information. Such books may indeed refer him to articles describing specifically how to act or at least giving a fairly generally applicable method for the purpose, which can be used without any doubt.

6. Normally patent specifications are not part of common general knowledge and cannot therefore cure apparent insufficiency (cf. decision referred to, page 99, paragraph 5). This applies to (1),

irrespective whether or not Chemical Abstracts have reported these patents which mention CTF specifically. The indexes of Chemical Abstracts cover virtually the whole state of the art, and represent therefore much more than what is assumed to be the common general knowledge of the addressee of the specification. Reliance on the contents of Chemical Abstracts to rectify insufficiency might be tantamount to leave the skilled reader to carry out a search in the whole state of the art, which would be an unacceptable burden on the public.

7. The Board's investigation as to possible routes to CCMP suggested that none of the ideas could be taken from common general knowledge. In addition, evidence was shown that even specific reactions based on analogy with methods disclosed in individual patent specifications and articles were difficult to reproduce and needed particular conditions for success. It is therefore clear that the required starting material of the Dow patent, CCMP, cannot be assumed to be properly available to the skilled person at the priority date of the patent.

8. Having failed to carry out the specific instructions of that citation, the skilled person might consider other ways to provide at least the intermediate for the purpose. The Appellants contested this idea as not being the proper approach in the circumstances. There was criticism that the Examining Division had been wrong in focusing on the availability of the intermediate in the two stage process recommended by Dow, i.e. CTF per se in the art. It is the view of the Board that the skilled person need not be assumed to follow blindly and slavishly the steps described in the Dow document. From the point of view of the reproducibility of the relevant end product, it is of no importance whether CTF has, for instance, been prepared from CCMP or from another starting material.

Decisive is whether or not the skilled person was in a position to provide that intermediate on the basis of common general knowledge, i.e. without undue effort, in the absence of adequate instructions in the Dow specification.

9. In exercising his skill the expert would have turned to the above mentioned textbook by Sheppard and Sharts (5) which outlines the fluorination of carboxyl groups but warns against use in the presence of halide groups. The step required to obtain CTF directly would employ 2-chloro-pyridine-5-carboxylic acid, which though available in the art through Dictionary of Organic Compounds, 4th Rev. Ed., Eyre & Spottswood Publ. Ltd., 1965, page 685 (6), would clearly involve an undesirable reactive halide group. The Raasch article itself, referred to by the general textbook on pyridines (4), also warns against "sensitive groups" and avoids such starting materials altogether in all its 24 examples.

10. In such circumstances no generalisation of the Raasch article would have been justified to cover the reactions needed by the Dow document for sufficiency. Although the method in fact leads, inter alia, also to a mixture with a 2-fluoro-substituted by-product, and this could also be used for the same purpose since the halogeno group is altogether removed in the subsequent stage of the process disclosed in the Dow document, the skilled person would not have known the exact character of the expected side reaction nor its degree, and the nature of the consequent difficulties with possible separation problems.

11. The only other choice available for the skilled person was to apply first Raasch directly, as disclosed with unsubstituted ß-nicotinic acid, and attempt to chlorinate the product subsequently. It appears, however, that such

second step requires specific conditions which were recognised as inventive (cf. EP-13474). Thus none of CTF or CCMP were available to the skilled person through common general knowledge, and this means that the appropriate further derivative identified in the Dow patent did not represent an enabling disclosure. It is the view of the Board that a document does not effectively disclose a chemical compound, even though it states the structure and the steps by which it is produced, if the skilled person is unable to find out from the document or from common general knowledge how to obtain the required starting materials or intermediates. Information, which can only be obtained after a comprehensive search is not to be regarded as part of common general knowledge.

12. In view of the above the novelty of compounds claimed in the application under appeal, which are specifically disclosed in the Dow patent, is unaffected by such disclosure in view of the apparent insufficiency of the document cited under Article 54(3) EPC, irrespective whether or not Dow is entitled to priority as claimed. The question of priority in this respect need not therefore be decided.

Order

For this reason it is decided that:

1. The decision under appeal is set aside.
2. The application is remitted to the Examining Division for further examination.

The Registrar The Chairman

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