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# Datasheet for the decision of 8 January 2020

**Case Number:** T 0084/15 - 3.3.02

**Application Number:** 06744557.7

**Publication Number:** 2069311

**IPC:** C07D231/44

**Language of the proceedings:** EN

**Title of invention:**
PROCESS FOR THE PREPARATION OF FIPRONIL, AN INSECTICIDE, AND RELATED PYRAZOLES

**Patent Proprietor:**
Gharda Chemicals Limited

**Opponent:**
BASF SE

**Headword:**

**Relevant legal provisions:**
EPC Art. 83, 56

**Keyword:**
Sufficiency of disclosure - (yes)
Inventive step - (yes)

**Decisions cited:**

**Catchword:**

Europäisches Patentamt
European Patent Office
Office européen des brevets

**Beschwerdekammern**

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**Case Number: T 0084/15 - 3.3.02**

# D E C I S I O N
# of Technical Board of Appeal 3.3.02
# of 8 January 2020

| | |
|---|---|
| **Appellant:** (Opponent) | BASF SE<br>67056 Ludwigshafen (DE) |
| **Representative:** | Reitstötter Kinzebach<br>Patentanwälte<br>Postfach 21 11 60<br>67011 Ludwigshafen (DE) |
| **Respondent:** (Patent Proprietor) | Gharda Chemicals Limited<br>B-27/29 MIDC, Phase-1<br>Dist Thane<br>Dombivli 421203, Maharashtra (IN) |
| **Representative:** | Isarpatent<br>Patent- und Rechtsanwälte Behnisch Barth Charles Hassa Peckmann & Partner mbB<br>Postfach 44 01 51<br>80750 München (DE) |
| **Decision under appeal:** | **Decision of the Opposition Division of the European Patent Office posted on 5 November 2014 rejecting the opposition filed against European patent No. 2069311 pursuant to Article 101(2) EPC.** |

**Composition of the Board:**

| | |
|---|---|
| **Chairman** | M. O. Müller |
| **Members:** | P. O'Sullivan |
| | L. Bühler |

## Summary of Facts and Submissions

I. The appeal of the opponent (hereinafter appellant) lies from the decision of the opposition division to reject the opposition.

II. European patent 2 069 311 was opposed under Article 100(a) and (b) EPC on the grounds that its subject-matter lacked inventive step and that the invention defined in the claims was not sufficiently disclosed.

III. During opposition proceedings, *inter alia* the following documents were cited:

D1 : EP 295 117 A
D8 : WO 01/30760 A1
D10: "Nacharbeitung des anspruchsgemäßen Verfahrens"
D14: Declaration of Mr Parker Sureshkumar Dattatraya dated 30 July 2013

IV. With the statement of grounds of appeal, the appellant filed

D15: "Versuchsbericht".

V. With the reply to the statement of grounds the patent proprietor (hereinafter respondent) filed further sets of claims as auxiliary requests 1 to 7.

VI. A communication of the board pursuant to Article 15(1) RPBA was sent in preparation of oral proceedings.

VII. Oral proceedings before the board were held on 8 January 2020 in the absence of the parties, as announced in writing.

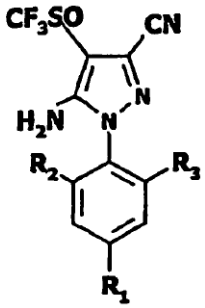
VIII. Requests

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

The respondent requested that the appeal be dismissed and the patent be maintained as granted (main request), or, alternatively, that the patent be maintained on the basis of one of the sets of claims of auxiliary requests 1 to 7 filed with the reply to the statement of grounds of appeal.

IX. Claim 1 as granted (main request) reads as follows:

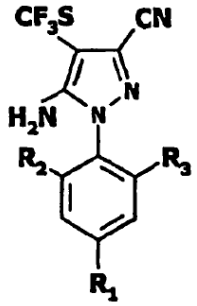
"*1. A process for the preparation of 5-amino-1-phenyl-3-cyano-4-trifluoromethyl sulphinyl pyrazoles as defined by Formula-I,*




**(Formula-I)**

*wherein: $R_1$ = trifluoromethyl or trifluoromethoxy, and $R_2$, $R_3$ = individually hydrogen, chlorine or bromine,*

*the process comprising the step of oxidizing a compound of Formula-II,*



**(Formula-II)**

*wherein: $R_1$ = trifluoromethyl or trifluoromethoxy, and $R_2$, $R_3$ = individually hydrogen, chlorine or bromine, in a medium comprising at least one oxidizing agent and trichloro acetic acid, and/or the reactions product(s) of the at least one oxidizing agent and trichloro acetic acid, and at least one melting point depressant selected from monochloro acetic acid, dichloro acetic acid, methylene dichloride, ethylene dichloride, monochlorobenzene and a haloalkane."*

X. The appellant's arguments, insofar as relevant to the present decision, may be summarised as follows:

Main request - Sufficiency of disclosure, Article 100(b) EPC

The invention defined in claim 1 was not sufficiently disclosed. The wording of the claim did not place any limitation on the nature of the oxidising agent recited therein, and could only be considered sufficiently disclosed if the desired product could be obtained using all possible oxidising agents which the skilled person would consider suitable for such a transformation. In view of the experiments in D10 and D15, which demonstrated that oxidising agents

identified as preferred in the patent did not provide the desired product, this condition was not met.

Inventive step, Article 100(a) EPC

The disclosure in D8 that *inter alia* pertrichloroacetic acid had [previously] been employed as the oxidising agent in a transformation corresponding to that of claim 1 at issue was the closest prior art. The distinguishing feature of claim 1 at issue with respect to this disclosure, the use of a melting point depressant (hereinafter MPD) did not provide a technical effect, in particular across the entire scope of the claim. Consequently, a technically meaningful problem could not be identified, and the subject-matter of claim 1 did not involve an inventive step starting from D8 as closest prior art.

Alternatively, the subject-matter of claim 1 lacked inventive step starting from the disclosure in D1 as closest prior art.

XI. The respondent's arguments, insofar as relevant to the present decision, may be summarised as follows:

Main request - Sufficiency of disclosure, Article 100(b) EPC

The non-availability of some particular variants, such as those provided by the failed tests of D10 and D15, was immaterial to sufficiency of disclosure as long as there were suitable variants known to the skilled person, either from the disclosure in the patent or from common general knowledge. The examples of the patent as well as the experimental results D10, D14 and D15 all confirmed that it was possible for the skilled

person to obtain the product of claim 1 by the method defined therein. Claim 1 was consequently sufficiently disclosed.

Inventive step, Article 100(a) EPC

The closest prior art was represented by the claimed process of D8, namely the oxidation reaction corresponding to that of claim 1 at issue using trifluoroacetic acid in the presence of hydrogen peroxide and a corrosion inhibitor. Claim 1 at issue differed from this disclosure in the use of trichloro acetic acid (hereinafter TCAA) and an oxidising agent, in the presence of a MPD. The problem was the provision of an alternative process for the preparation of Fipronil (a preferred compound falling within the definition of Formula-I; and related compounds) which avoided the corrosion caused by trifluoroacetic acid. The solution proposed in claim 1 at issue involved an inventive step.

The disclosure in D8 chosen by the appellant as closest prior art (supra) resulted from an ex post facto analysis. Nor was D1 to be considered as the closest prior art, since it was not concerned with the problem of corrosion.

## Reasons for the Decision

Main request (claims as granted)

1. Sufficiency of disclosure (Article 100(b) EPC)

1.1 Claim 1 at issue concerns a process for the oxidation of a compound of Formula-II to a compound of Formula-I using a reagent mixture comprising TCAA, an oxidising agent and at least one MPD chosen from a specific list.

1.2 The appellant submitted that since the nature of the oxidising agent recited in claim 1 was unspecified, sufficiency of disclosure could only be recognised if the desired product could be obtained using all possible oxidising agents which the skilled person would consider suitable for the claimed transformation.

1.3 As demonstrated by the appellant and not disputed by the respondent, certain oxidising agents in combination with MPDs recited in claim 1 at issue do not lead to the preparation of the desired sulfinyl pyrazole product at acceptable yields. Thus, tests 1a and 1c of D10 (D10, table on page 3, "2. Variation des Oxidationsmittels"; graphs on pages 23 and 27) show that using dichloroacetic acid as MPD, the transformation with either tert-butyl peroxide or benzoyl peroxide as oxidising agent, both listed as preferred according to the patent (paragraph [0017]), all result in insignificant yields of the desired product. Furthermore, the tests of D15 show that despite varying the temperature of the reaction (to 20, 30 and 60°C), oxidation with tert-butyl peroxide in combination with dichloromethane as MPD still fails to provide the desired product.

1.4 The board came to the following conclusion. Claim 1 concerns "*A process for the preparation of 5-amino-1-phenyl-3-cyano-4-trifluoromethyl sulphinyl pyrazoles as defined by Formula-I*". Being the product of the process of claim 1 at issue, the compound of Formula-I is thus to be considered as a compulsory feature of claim 1. It follows that specific reagent combinations of TCAA, an oxidising agent and a MPD which fail to provide this product are not to be understood as non-working embodiments falling within the scope of the claim. Rather, said reagent combinations do not fall within the scope of the claim since they fail to deliver the product of Formula-I.

1.5 The question that arises with regard to sufficiency of disclosure is whether the skilled person, based on his common general knowledge at the priority date of the patent, or the patent itself, has sufficient guidance on how to select those process embodiments that lead to the product referred to in claim 1 (T 435/91, point 2.2.1 of the Reasons, T 1063/06, point 5 of the Reasons, T 544/12, point 4.2 of the Reasons).

In this respect a reasonable amount of trial and error may be acceptable. This presupposes, however, that sufficient information is available that leads the skilled person directly towards success through the evaluation of initial failures (T 480/11, point 3.4 of the Reasons, T 544/12, point 4.8 of the Reasons).

1.6 In the present case the question to be answered is whether the skilled person is provided with sufficient information to choose a suitable oxidising agent in combination with a MPD, either from the disclosure itself or from common general knowledge, and thereby

carry out the invention, i.e. prepare the product of Formula-I.

1.7 There can be no doubt that the patent comprises sufficient information to enable the skilled person to prepare compounds of Formula-I from compounds of Formula-II. Examples 1 and 2, reproduced successfully by the respondent in D14 (Experiments 1 and 2), demonstrate that the desired product can be prepared using hydrogen peroxide as the oxidising agent and either dichloroacetic acid or methylene dichloride as the MPD. Hydrogen peroxide is identified in the description as the most preferred oxidising agent (paragraph [0017]). Furthermore, the skilled person is provided with guidelines as to the preferred reagents and reaction conditions (paragraphs [0014] - [0022]). Additionally, the post-filed experiments on file serve as evidence that it was within the capability of the skilled person, through routine experimentation, to select further oxidising agent/MPD combinations which provide the desired product. Thus examples 3 and 4 of the respondent's evidence D14 demonstrate that the product can be prepared using either peracetic acid or sodium peroxide as oxidising agent and methylene chloride as MPD. The appellant's evidence D10 also comprises further tests demonstrating the success of the transformation using combinations of hydrogen peroxide and a range of different MPDs (D10, table on page 3, "1. Variation des Lösemittelsystems").

1.8 Consequently, the patent comprises sufficient guidance enabling the skilled person to carry out the invention defined in claim 1.

1.9 It follows that the ground for opposition under Article 100(b) EPC does not prejudice maintenance of the patent as granted.

2. Inventive step, Article 100(a) EPC

2.1 The parties agreed that D8 represented the closest prior art, but differed in the selection of the specific disclosure therein representing the starting point for the skilled person. The board sees no reason to deviate from the partys' selection of the closest prior art.

2.2 D8 discloses a process for preparing 4-trifluoromethylsulphinylpyrazole derivatives from the corresponding sulphides (D8, claim 1) and relates to the same oxidation step recited in claim 1 at issue. In D8, the reaction is performed employing a mixture of trifluoroacetic acid and hydrogen peroxide, in the presence of a corrosion inhibiting compound such as boric acid (page 2, lines 6-19; example 1). According to D8, a mixture of trifluoroacetic acid and hydrogen peroxide provided the desired compound in excellent selectivity and yield, but the ensuing corrosion of the glass lining in large scale industrial reaction vessels, resulting from the formation of HF, prohibited the use of this reagent mixture. The problem was solved in D8 by the addition of the corrosion inhibitor.

2.3 According to the appellant, D8 offered the skilled person two distinct technical teachings, namely:

- Teaching (1): the claimed process of D8 discussed above; and

- Teaching (2): that in the relevant oxidation to produce the desired compounds, other oxidants including pertrichloroacetic acid (the reaction product of at least one oxidising agent and trichloro acetic acid, as recited in claim 1 at issue) had been investigated (D8, page 1, line 29 - page 2, line 5).

2.4 The appellant argued that the skilled person would not consider said other oxidants in teaching (2) to be unsuitable for the transformation, despite D8 stating that they were found to be unsatisfactory in one respect or another. Rather, the skilled person would merely understand that methods employing said oxidants had certain disadvantages compared to the method claimed in D8.

2.5 Furthermore, according to the appellant, since in contrast to teaching (1), teaching (2) was distinguished from the subject-matter of claim 1 at issue only in the presence of a MPD, it therefore represented the most promising springboard to the invention.

2.6 The board disagrees. Although D8 discloses that the preparation of the desired sulphinylpyrazoles had been attempted using *inter alia* pertrichloroacetic acid, it also states that said methods were found to be unsatisfactory in one respect or another (D8, page 2, line 22 - page 3, line 5). D8 therefore clearly teaches away from using said other oxidants. Consequently, teaching (2) cannot represent a realistic starting point reflecting the real-world circumstances of the skilled person before the priority date of the patent in suit. It follows that only teaching (1) in D8

represents a suitable starting point for the skilled person.

2.7 The appellant did not submit any line of argumentation according to which the claimed subject-matter lacked inventive step starting from teaching (1) of D8 as closest prior art.

2.8 The board observes in this respect the following:

The subject-matter of claim 1 at issue differs from the disclosure in D8 in that the preparation of the desired sulphinylpyrazole is performed using trichloroacetic acid in combination with an oxidising agent and a melting point depressant, whereas in D8, trifluoroacetic acid is employed in combination with an oxidising agent (hydrogen peroxide) and a corrosion inhibitor, such as boric acid.

The effect of the difference is the provision of an alternative low corrosion method for the preparation of the sulfinylpyrazoles of claim 1 at issue.

The objective technical problem consequently is the provision of an alternative low corrosion method for preparing sulfinylpyrazoles of formula-I.

2.9 In a related aspect, the appellant argued that in view of D10 and D15 (the disclosures of which are discussed above), the problem of providing an alternative process for the preparation of the desired products was not solved across the scope of claim 1 at issue. The tests of D10 and D15 demonstrated that claim 1 comprised embodiments (i.e. TCAA/oxidising agent/MPD combinations) which failed to provide the desired

product. Thus, inventive step could not be acknowledged for the subject-matter of claim 1.

2.10 The board notes that this argument was submitted starting from teaching (2) of D8 as closest prior art, which the board has concluded does not represent a suitable starting point for the skilled person (supra). Nevertheless, as noted above (paragraph 1.4), reagent combinations which fail to provide the desired product do not fall within the scope of claim 1 at issue. The failed tests of D10 and D15 are therefore not relevant to the question of whether the subject-matter of claim 1 at issue involves an inventive step.

2.11 In view of these considerations, the objective technical problem remains the provision of an alternative low corrosion method for preparing sulfinylpyrazoles of formula-I.

2.12 Since there is no suggestion in the prior art that starting from teaching (1) of D8 this probem can be solved by the claimed subject-matter, and in the absence of any argument in this regard by the appellant, the claimed solution is not obvious.

2.13 The subject-matter of claim 1 thus involves an inventive step starting from D8 as closest prior art.

2.14 D1 as closest prior art

2.15 The appellant also proposed D1 as a suitable closest prior art disclosure.

2.16 D1 is directed to a broadly defined group of compounds per se among which the target compounds of claim 1 at issue are comprised (D1, claim 1). Example 10 of D1

discloses the reaction of a compound corresponding to Formula-II of claim 1 at issue with m-chloroperbenzoic acid in dichloromethane to yield the desired sulphinyl compound corresponding to Formula-I of claim 1. D1 is nevertheless not concerned with large scale synthesis, nor problems that would gain importance chiefly on a preparative industrial scale, such as corrosion. Indeed D1 seems to represent, in terms of the development of the pesticides in question, an early patent application claiming the relevant compounds as such. As a consequence, the oxidation reaction of example 10 of D1 to produce the sulphinyl pyrazole (supra) would not be understood by the skilled person as an optimised process, but rather merely as a suitable means to obtain the desired product. For the skilled person wishing to provide an industrial scale preparation of the desired compounds therefore, a document which discloses process optimisation on a large scale, such as D8, represents a more realistic starting point, and thus a more promising springboard to the invention.

2.17 Although D1 does not represent the closest prior art, the following is of note. Even if the skilled person were to start from the teaching of D1, he would fail to arrive at the subject-matter of claim 1 at issue. D1 does not mention the use of TCAA at all. Since the appellant has not combined D1 with any further prior art disclosing this compound, this objection must fail from the outset.

2.18 The ground for opposition under Article 100(a) EPC consequently does not prejudice maintenance of the patent as granted.

**Order**

**For these reasons it is decided that:**

The appeal is dismissed.

The Registrar: The Chairman:

N. Maslin M. O. Müller

Decision electronically authenticated