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Datasheet for the decision of 16 April 2013

Case Number: T 1850/10 - 3.3.01

Application Number: 98950443.6

Publication Number: 1031573

IPC: C07D 413/10, A01N 43/80

Language of the proceedings: EN

Title of invention:

Novel benzoylpyrazole compounds, intermediates, and herbicides

Patent Proprietor:

NIPPON SODA CO., LTD.

Opponent:

BASF SE

Headword:

Benzoylpyrazole herbicide/NIPPON SODA

Relevant legal provisions:

EPC Art. 123(2), 54, 56

Keyword:

"Main request, allowable: Amendments (yes), directly and unambiguously disclosed; Novelty (yes), combination of features not directly and unambiguously disclosed in prior art under Article 54(3) EPC; Inventive step (yes), unexpected improvement"

Decisions cited:

T 0332/87

Catchword:

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Boards of Appeal

Chambres de recours

Case Number: T 1850/10 - 3.3.01

DECISION
of the Technical Board of Appeal 3.3.01
of 16 April 2013

Appellant: NIPPON SODA CO., LTD. (Patent Proprietor) 2-1, Ohtemachi 2-chome

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Respondent: BASF SE

(Opponent) Global Intellectual Property

GVX-C006

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Decision under appeal: Decision of the Opposition Division of the

European Patent Office posted 2 August 2010 revoking European patent No. 1031573 pursuant

to Article 101(2)(3)(b) EPC.

Composition of the Board:

Chairman: C. M. Radke
Members: L. Seymour

L. Bühler

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Summary of Facts and Submissions

I. European patent No. 1 031 573 was filed as application number 98 950 443.6, based on the international application published as WO 99/23094, filed on 29 October 1998 and claiming priority of 30 October 1997 from the Japanese patent application number 299208/97. It was granted on the basis of the following claim:

"1. A use of a compound represented by formula I-1, I-9 or I-17:

or a salt thereof,
as a selective herbicide for corn."

II. The opponent sought revocation of the patent in suit pursuant to Article 100(a) EPC, for lack of novelty and inventive step.

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- III. The following documents were cited *inter alia* during the opposition proceedings:
 - (1) WO 98/31681
 - (2) WO 96/26206
- IV. The appeal lies from the decision of the opposition division revoking the patent under Article 101(2),(3)(b) EPC. The decision was based on a main request, namely, the claims as granted, auxiliary requests 1 and 2 filed with letter of 29 March 2010, and auxiliary request 3 filed during oral proceedings before the opposition division.

The subject-matter of the main request and of auxiliary request 1 were considered to lack novelty in view of the disclosure of document (1), as prior art under Article 54(3) EPC. Auxiliary request 2 did not fulfil the requirements of Article 123(2) EPC. Auxiliary request 3 was found to lack an inventive step. In particular, compounds 5.4 and 5.5 of closest prior art document (2) were cited as being structurally closest to compound I-1 according to said request. In the absence of comparative data between these compounds, the problem to be solved was defined as lying in the provision of further herbicides having a selectivity to the culture plant corn. The claimed solution was considered to be obvious, since the compounds used fell within the scope of claim 2 of document (2) and their selective activity was also known from document (2).

V. The appellant (patentee) lodged an appeal against this decision and, with its statement of grounds of appeal,

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filed additional comparative data, and two requests, as main and auxiliary requests, which corresponded to auxiliary requests 1 and 3 forming the basis for the decision under appeal.

The claim of the **main request** differs from the claim as granted in the deletion of the formulae I-9 and I-17 (cf. point I above).

- VI. The respondent (opponent) filed counterarguments with letter of 9 April 2011.
- VII. The appellant responded thereto with letter of 19 February 2013.
- VIII. Oral proceedings were held before the board on 16 April 2013.
- IX. The appellant's arguments, insofar as they are relevant to the present decision, may be summarised as follows:

The limitation introduced into claim 1 of the main request fulfilled the requirements of Article 123(2) EPC. The claimed use of compound **I-1** as a selective herbicide for corn was derivable from the disclosure of the application as originally filed on page 14, lines 3 to 5, in combination with test example 1.

On the question of novelty, the appellant submitted that the subject-matter claimed was novel over document (1) since there was no direct and unambiguous disclosure therein of the specific combination of features claimed.

Concerning the issue of inventive step, the appellant started from document (2) as representing the closest prior art, and defined the problem to be solved as lying in the provision of an improved herbicidal treatment for corn. The comparative data filed with the statement of grounds of appeal demonstrated that this problem had been credibly solved by the use of compound I-1 as defined in claim 1. There was no suggestion in the prior art pointing to this solution.

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

During the oral proceedings before the board, the respondent no longer disputed that the main request conformed with Article 123(2) EPC, based on the general sentence of the description cited by the appellant. However, the respondent emphasised that test example 1 could not be relied on in this respect, since the selective herbicidal activity was only disclosed therein in relation to application of specific amounts under specific conditions, and was not open to generalisation.

The respondent further maintained that subject-matter of the main request lacked novelty with respect to document (1). Present compound I-1 was specifically exemplified therein, as compounds Ib23.105 and 3.86. The use as selective herbicides for corn was also disclosed. The skilled person would understand that this teaching applied equally to the whole class of benzoylpyrazoles of formula (I), and particularly to the specific embodiments.

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Moreover, as set out in decision T 332/87, it was possible to combine different passages of one document provided that there were no reasons which would prevent a skilled person from making such a combination.

Accordingly, starting from the example on page 152 disclosing selective herbicidal activity in corn for compound 3.33, novelty destroying subject-matter resulted from the combination thereof with one alternative, namely, compound 3.86, selected from a single list of specifically exemplified compounds of document (1).

The features now claimed did not therefore add any new element to the disclosure of document (1).

In its assessment of inventive step, the respondent agreed with the appellant that document (2) constituted the closest prior art, but disputed that an improvement for compound I-1 had been rendered credible for the whole breadth claimed. Thus, the respondent's own data provided in its statement of grounds of opposition demonstrated that the structurally closest compounds 5.4 and 5.5 of document (2) already exhibited excellent activity against the common weeds Chenopodium album and Echinochloa crus-galli, whilst leaving corn untouched. The respondent further criticised the appellant's comparative data filed with the statement of grounds of appeal, since it only related to two specific weeds, namely, velvet leaf and redroot pigweed, and not to the whole range of weeds relevant to corn. Moreover, it could be seen from this data that the alleged improvement was not observed at all doses of active ingredient applied.

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The problem to be solved could therefore only be viewed as lying in the provision of a further selective herbicidal treatment for corn. The solution proposed was to be viewed as an obvious modification within the teaching of document (2).

XI. The appellant (patent proprietor) requested that the decision under appeal be set aside and that the patent be maintained on the basis of the main request or, alternatively, of the auxiliary request, all filed with the statement of grounds of appeal.

The respondent (opponent) requested that the appeal be dismissed.

XII. At the end of the oral proceedings, the decision of the board was announced.

Reasons for the Decision

1. The appeal is admissible.

Main request

- 2. Article 123(2) EPC
- 2.1 In order to assess the allowability of the amendments within the meaning of Article 123(2) EPC, it has to be investigated whether the content of the application as originally filed as a whole provides a direct and unambiguous basis for the subject-matter claimed, namely, for the use of the compound I-1 or a salt

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thereof, as a selective herbicide for corn (cf. above points I and V).

In the application as originally filed, one of the objects of the invention is stated to be "to provide a herbicide that can ... selectively work on weeds without damaging crops" (see page 2, paragraph 2). The compounds envisaged for this purpose are disclosed on pages 2 to 4, namely, benzoylpyrazoles of general formula (I) and salts thereof (see also claim 1). In examples 5 to 9, syntheses of specific benzoylpyrazoles are disclosed, including compound I-1 (pages 27 to 31). This is followed by Tables 2 and 3 which provide lists of preferred compounds (pages 32 to 38).

Concerning the herbicidal use thereof, the following is stated (see paragraph bridging pages 13 and 14, emphasis added): "Compounds that work on weeds selectively without damaging crops, such as corn, wheat, soybean and cotton, are also included in the compounds of the present invention."

From these passages, the skilled person can derive that a generically defined compound class, exemplified by a list of specific compounds, may generally be useful as selective herbicides in a number of different crop types, such as those listed. Contrary to the submission of the respondent, the above disclosure, taken on its own, cannot provide an allowable basis under Article 123(2) EPC for the subject-matter claimed in the main request, since this would require the singling out of one specific alternative "compound I-1" from a first list, and the specific crop "corn" from a second list, in order to create a particular combination that

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is not directly and unambiguously disclosed in individualised form.

2.3 However, in order to establish what a skilled person would derive directly and unambiguously from the whole of the application as originally filed, the features set out in the general description, as outlined above in point 2.2, must be read together with the examples pertaining to herbicidal use.

Thus, in test example 1 (pages 40, 41), the herbicidal effect on a range of weeds and corn is evaluated for the compounds I-1, I-9 and I-17 (see structures depicted in point I above). The herbicidal indices obtained are summarised in Table 4 as follows (note: compound A is a comparative example corresponding to compound 5.3 of document (2)):

Compound	Dosage	Velvet	Pigweed	Cocklebur	Giant	Corn
No.	(g/ha)	leaf			foxtail	
I-1	63	10	10	10	10	0
I-9	63	9	10	10	10	0
I-17	63	9	10	10	10	0
А	63	8	10	8	10	9

From this table, the skilled person would draw the unambiguous information that the compound ${\tt I-1}$ selectively works on a range of weeds without damaging corn.

The respondent argued that these examples could not be generalised beyond the specific details of the method employed therein. However, this analysis ignores the fact that the examples cannot be read in isolation. In the present case, these examples are clearly intended

to be illustrative of the more general statement in the paragraph bridging pages 13 and 14, and therefore establish a direct link between the structure of compound **I-1** and the selective herbicidal activity in corn in the more general context of the latter.

- 2.4 It is therefore concluded that the combination of the claimed features is directly and unambiguously disclosed in the application as originally filed as a whole. Consequently, the provisions of Article 123(2) EPC are considered to be met by the subject-matter of the main request.
- 3. Novelty (Articles 52(1) and 54 EPC)
- 3.1 The respondent raised a novelty objection with respect to document (1). Since the subject-matter claimed in the present main request is entitled to the priority date of 30 October 1997, document (1) can only be considered as state of the art according to Article 54(3) EPC. This was not disputed by the parties.
- 3.1.1 Document (1) relates to benzoylpyrazoles of general formula (I) and their use as herbicides (see e.g. claims 1 and 24). A long list of compounds is disclosed on pages 28 to 100. Preparation examples follow, with a selection of compounds listed in Table 3, which includes compound 3.86 corresponding to present compound I-1 (see pages 120 to 129, in particular, page 127, last line).

On page 146 (first paragraph), it is further disclosed that, in crops such as wheat, rice, corn, soybean and cotton, the herbicidal compositions comprising

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compounds of formula (I) act against weeds without significantly damaging the crops.

In the examples pertaining to herbicidal use, a test method is provided, and compound **3.33** is disclosed as being very effective against a range of weeds and being well tolerated in winter wheat and Indian corn (see pages 151, 152).

3.1.2 The board notes that the standard to be applied for the assessment of novelty is the same as that which was applied above in point 2 when deciding on the allowability of the amendments within the meaning of Article 123(2) EPC, namely, whether the claimed subject-matter is directly and unambiguously disclosed in document (1).

As summarised above in point 3.1.1, document (1) generally discloses that a compound class of formula (I) exhibits selective herbicidal activity in crops; a number of different crop types are listed, including corn. Compound 3.86 appears in a second lengthy list of individual compounds, and is in no way prioritised therein. Therefore, in order to arrive at subjectmatter falling within the scope of the present claim, a singling out of features would be required from the wide range of possibilities offered in document (1), in order to create a specific combination that is not disclosed in a direct and unambiguous manner.

3.1.3 In contrast to the situation encountered with respect to the application as originally filed, as outlined above in point 2, document (1) does not contain any examples to provide a basis for a link between the

specific structure I-1/3.86 and a selective herbicidal activity for the specific crop corn. Indeed, the only specific disclosure in document (1) of a compound being effective as a selective herbicide in corn is for compound 3.33 (see page 152), which differs from present compound I-1 in the chlorine substituents at positions 2 and 4 of the benzoyl group and in having a methyl substituent at position 3 of the pyrazole ring (cf. document (1), Table 3, entries for compounds 3.33 and 3.86, respectively). The replacement of compound 3.33 with 3.86 in the specific context of the example on page 152 is not directly and unambiguously disclosed in document (1). Therefore, said example cannot provide a basis for a valid attack on the novelty of the claimed subject-matter.

The argument of the respondent based on decision T 332/87 is not convincing. In that case it was found that a general teaching disclosed in the description of a particular document, namely, the possibility of incorporating of a further additive (filler), would be understood to be generally applicable to embodiments disclosed elsewhere in the same document (see reasons, point 2.4). In contrast, in the present case, as outlined in the preceding paragraph, the respondent has based its objection of lack of novelty on the modification of the example of document (1) by replacing a specific element thereof with a further specific element listed in the description. The present situation is therefore not comparable to that underlying decision T 332/87.

3.1.4 Consequently, the board considers that document (1) does not contain a direct and unambiguous disclosure of

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the use claimed. Hence, the subject-matter of the main request is novel over document (1).

- 3.2 None of the remaining cited prior art documents are relevant to the issue of novelty. It is noted in this context that, although present compound I-1 falls within the scope of the general formula (I) according to document (2), it is not specifically disclosed therein.
- 3.3 Accordingly, the subject-matter of the main request meets the requirements of novelty.
- 4. Inventive step (Articles 52(1) and 56 EPC)
- 4.1 The board considers, in agreement with the appellant and respondent, that document (2) represents the closest state of the art.

Document (2) relates to benzoylpyrazoles of general formula (I) and their use as herbicides (see e.g. claims 1 and 7). The synthesis of a number of specific examples is disclosed on page 39, line 25 to page 40, line 39, including compounds **5.4** and **5.5**, which are depicted below in the table reproduced under point 4.3.1.

On page 26, lines 26 to 31, it is further disclosed that, in crops such as wheat, rice, corn, soybean and cotton, the herbicidal compositions comprising compounds of formula (I) act against weeds without significantly damaging the crops. The selective herbicidal use in corn is exemplified for two compounds on page 31, line 5 to page 32, line 42.

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4.2 The problem to be solved in the light of the closest prior art can be seen, as submitted by the appellant, as lying in the provision of an improved herbicidal treatment for corn.

The solution as defined in the claim of the main request relates to the use of the benzoylpyrazole ${f I-1}$ or a salt thereof.

- 4.3 As a next step, it has to be decided whether it has been rendered plausible that the problem defined under point 4.2 has been successfully solved.
- 4.3.1 The appellant relied in this respect on the following comparative data filed with the statement of grounds of appeal (for rating symbols, see footnote of table):

Compound as herbicide active	Amount of	Velvetleaf	Redroot	Corn
ingredient	Active	Control	Pigweed	Injury
	Ingredient		Control	
	(g/ha)			
I-1 of the EP1031573B1 HO O CH ₃ NO SO ₂ CH ₃	250	E	E	E
	125	E	E	E
	63	E	E	E
	16	E	E	E

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1	,			
5.4 of D2 H ₃ C N CH ₃ SO ₂ CH ₃	250	F	E	P
	125	F	E	P
	63	P	E	P
	16	P	E	P
5.5 of D2 HO CI NO CI N	25 0	E	E	Е
	125	F	E	E
	63	F	E	E
	16	P	F	E

Weed Control Ratings Corn Injury

E: excellent: 90 - 100% 0 - 10%

F: fair: 70 - 79%

P: poor: <70% 21%<

Of the examples disclosed in document (2), compounds 5.4 and 5.5 are structurally closest with respect to present compound I-1. The data provided can therefore be considered to represent a fair comparison with the closest prior art. It is noted that both compounds 5.4 and 5.5 differ from compound I-1 in bearing a chlorine rather than a methyl substituent at position 2 of the benzoyl group; in addition, compound 5.4 bears a methyl substituent at position 3 of the pyrazole ring, and compound 5.5 an ethyl rather than a methyl group at position 1 of the pyrazole ring.

It can be seen from the above data that, with respect to corn injury, compound I-1 rates much better than compound 5.4, and is comparable to compound 5.5.

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Furthermore, it emerges that compound **I-1** exhibits an improved efficacy against velvet leaf and a comparable efficacy against pigweed.

4.3.2 The respondent's criticism with respect to the breadth of the claim is not considered to be convincing.

In this context, the respondent referred to its data provided in the statement of grounds of opposition. However, this only relates to compounds **5.4** and **5.5** of document (2), and does not provide a comparison with present compound **I-1**. Therefore, based on this information, no conclusions can be drawn as to the relative merits of these herbicides.

Regarding the respondent's submission that comparative data had only been provided for specific weeds, the board cannot accept the premise that an improvement must be demonstrated with respect to each an every weed occurring in corn. If a herbicide provides improved control of certain weeds and comparable control of others, this clearly provides an overall advantage in weed control. As outlined above in point 4.3.1, the comparative data relied upon renders it plausible that this is the case.

Moreover, the fact that an improvement may not be observed at every possible dosage (cf. table in point 4.3.1, entries for compounds I-1 and 5.5 at 250 g/ha) cannot detract from the fact that, taking into account the data provided as a whole, an overall improvement has been demonstrated for present compound I-1 with respect to the two structurally

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closest compounds of prior art document (2) over a wide range of doses.

- 4.3.3 Having regard to the considerations outlined above, the board is therefore satisfied that the problem posed has been credibly solved by the subject-matter of the main request.
- 4.4 It remains to be investigated whether the proposed solution would have been obvious to the skilled person in the light of the prior art.

As summarised above in point 4.1, document (2) discloses herbicidal benzoylpyrazoles of general formula (I), and the selective herbicidal use in corn is exemplified for two specific compounds. However, these compounds, depicted on page 32, are structurally remote from present compound I-1, particularly with respect to the substitution pattern at the benzoyl group. A large number of specific compounds are listed in Tables 1 and 5 of document (2) (see pages 21 to 26 and 40). However, the present substitution pattern at the benzoylpyrazole core structure does not emerge therefrom as being in any way preferred. Thus, document (2) does not provide the skilled person with any incentive to modify the structurally closest prior art compounds 5.4 and 5.5 so as to arrive at present compound I-1 in order to obtain an improved herbicidal activity.

The respondent did not rely on any further documents in order to support its objection of obviousness.

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The board therefore concludes that the cited state of the art does not render the claimed subject-matter obvious.

- 4.5 Accordingly, the subject-matter of the main request meets the requirements of Articles 52(1) and 56 EPC.
- 5. In view of this conclusion, there is no need to consider the auxiliary request.
- 6. Remittal (Article 111(1) EPC)

The description has yet to be adapted to the allowable claim according to the main request. For this purpose, the board exercises its discretion under Article 111(1) EPC and remits the case to the department of first instance.

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Order

For these reasons it is decided that:

1. The decision under appeal is set aside.

The case is remitted to the department of first instance with the order to maintain the patent with the following claim and a description to be adapted:

Claim:

No. 1 of the main request filed with the statement of grounds of appeal.

The Registrar: The Chairman:

M. Schalow C. M. Radke