

PATENT COOPERATION TREATY

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INTERNATIONAL SEARCHING AUTHORITY

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PCT

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY (PCT Rule 43bis.1)

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference see form PCT/ISA/220		FOR FURTHER ACTION See paragraph 2 below
International application No. PCT/EP2020/078343	International filing date (day/month/year) 08.10.2020	Priority date (day/month/year) 10.10.2019
International Patent Classification (IPC) or both national classification and IPC INV. A01N25/04 A01N25/30 A01N43/40 A01N43/78 A01N33/12 A01P7/00 A01N43/56 A01N47/06 A01N47/40 A01N43/36		
Applicant BAYER AKTIENGESELLSCHAFT		

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☒ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step and industrial applicability; citations and explanations supporting such statement
- ☒ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☒ Box No. VIII Certain observations on the international application

2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

Name and mailing address of the ISA:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Fax: +49 89 2399 - 4465	Date of completion of this opinion see form PCT/ISA/210	Authorized Officer Zanobini, Alessandra Telephone No. +49 89 2399-0
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Box No. I Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of:
 - ☒ the international application in the language in which it was filed.
 - ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of international search (Rules 12.3(a) and 23.1 (b)).
2. ☐ This opinion has been established taking into account the **rectification of an obvious mistake** authorized by or notified to this Authority under Rule 91 (Rule 43bis.1(a))
3. ☐ With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, this opinion has been established on the basis of a sequence listing:
 - a. ☐ forming part of the international application as filed:
 - ☐ in the form of an Annex C/ST.25 text file.
 - ☐ on paper or in the form of an image file.
 - b. ☐ furnished together with the international application under PCT Rule 13ter.1(a) for the purposes of international search only in the form of an Annex C/ST.25 text file.
 - c. ☐ furnished subsequent to the international filing date for the purposes of international search only:
 - ☐ in the form of an Annex C/ST.25 text file (Rule 13ter.1(a)).
 - ☐ on paper or in the form of an image file (Rule 13ter.1(b) and Administrative Instructions, Section 713).
4. ☐ In addition, in the case that more than one version or copy of a sequence listing has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that forming part of the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
5. Additional comments:

Box No. II Priority

1. ☐ The validity of the priority claim has not been considered because the International Searching Authority does not have in its possession a copy of the earlier application whose priority has been claimed or, where required, a translation of that earlier application. This opinion has nevertheless been established on the assumption that the relevant date (Rules 43bis.1 and 64.1) is the claimed priority date.
2. ☐ This opinion has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rules 43bis.1 and 64.1). Thus for the purposes of this opinion, the international filing date indicated above is considered to be the relevant date.
3. Additional observations, if necessary:

see separate sheet

Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	<u>1-19</u>
	No: Claims	
Inventive step (IS)	Yes: Claims	
	No: Claims	<u>1-19</u>
Industrial applicability (IA)	Yes: Claims	<u>1-19</u>
	No: Claims	

2. Citations and explanations

see separate sheet

Box No. VI Certain documents cited

1. Certain published documents (Rules 43bis.1 and 70.10)

and / or

2. Non-written disclosures (Rules 43bis.1 and 70.9)

see form 210

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

Reference is made to the following documents:

- D1 WO 2011/029552 A2 (BAYER CROPSCIENCE AG [DE]; STORK ANDREAS [DE] ET AL.) 17 March 2011 (2011-03-17)
- D2 WO 2008/151725 A1 (BAYER CROPSCIENCE AG [DE]; VERMEER RONALD [DE] ET AL.) 18 December 2008 (2008-12-18)
- D3 WO 2009/004281 A2 (SYNGENTA LTD [GB]; WILLIAMS KIRSTY JANE [GB] ET AL.) 8 January 2009 (2009-01-08)
- D4 WO 2019/197635 A1 (BAYER AG [DE]) 17 October 2019 (2019-10-17)
- D5 WO 2008/148483 A1 (BAYER CROPSCIENCE AG [DE]; JESCHKE PETER [DE] ET AL.) 11 December 2008 (2008-12-11)
- D6 WO 2007/068356 A1 (BAYER CROPSCIENCE AG [DE]; FUNKE CHRISTIAN [DE] ET AL.) 21 June 2007 (2007-06-21)

The present application concerns an insecticidal active ingredient formulation wherein one active is dissolved and the other one is suspended. Ammonium salt is present, a filler, a solvent that is an alkylene glycol ether, a non ionic surfactant that is a copolymer of EO and PO units.

Re Item II

Preliminary Observations on some documents

Document **D4** has been published after the filing date of the present application. In the case of a European Phase of the file, in the European Procedure **D4** will be considered as prior art for the assessment of novelty according to Article 54(3), since **D4** claims the priority of 13.04.2018. The validity of this claimed priority has been confirmed.

Re Item V

Novelty

D1 describes an agent to be added to agrochemical compositions in order to achieve a better penetration of the active ingredients in the leaves. The preferred chemicals to be applied are compounds A and B and also some pyrethroids such as deltamethrin. The additive is an alcohol alkoxylated by several EO and PO units, as described on p. 3. Propylene glycol is mentioned as suitable solvent on p. 11. Ammonium salts are mentioned as possible emulsifiers on p. 12, third paragraph. Table II discloses the use of propylene carbonate in combination with the alkyl propoxylate and ethoxylate (1a-1c and 3b). Examples B, C, D on p. 18 and 19 disclose some compositions comprising the

additive and the solvent with an insecticidal compound. The document is not relevant for novelty because no filler is mentioned nor the physical state of the active ingredient in the solvent.

D2 describes a formulation for a pesticide that comprises alcohol ethoxylate propoxylates and ammonium sulfate. It can also comprise a filler such as kaolin, rutile, silica or synthetic silica. Methyl ester of colza oil or further oils are the preferred solvents. The document is not relevant for novelty because no solvent of formula 4 is comprised in the mixture and no combination of active ingredients is used.

D3 describes physically stable oil dispersions. The active ingredient is suspended in an oil and bentone organoclays (such as tetralkyl ammonium hectorite) are added to the compositions with an activator such as propylene carbonate. Various emulsifiers can be used such as alkyl ethoxylate with 1 to 20 EO units. Propylene glycol monobutyl ether is the preferred solvent and different glycol ethers are used. Alkyl ammonium salts are mentioned on p. 7, I. 13. Bisamides, mectins or pyrethroids are within the suitable pesticide to be formulated with this compositions. **D3** differs from the present application because it does not disclose alkyl ethoxylate propoxylate, as surfactants.

D4 describes a formulation that provides the adsorption and penetration of two active ingredients with different solubility. One compounds is dissolved and one is suspended. The use of ammonium salts, alkyl propoxylate ethoxylate adjuvants, an insoluble filler and carbonate esters as solvent. The active is not soluble in the propylene carbonate. Tetramic acid are the preferred main actives, mixed with flupyradifurone. Table IV discloses some examples and shows the stability in different conditions. The document is not relevant for novelty because no solvent of formula 4 is used with both active ingredients.

D5 and **D6** are further disclosures wherein insoluble insecticides belonging to the bisamide class and the enaminecarbonyl compounds (such as flupyradifurone) are formulated with the help of penetration enhancer such as non ionic surfactants of the type of alcohol ethoxylates propoxylate, in the presence of ammonium or phosphonium ions. Preferred solvent is DMF, preferred penetration enhancer is colza oil methyl ester. No combination with a further active ingredient is disclosed, therefore the documents are not relevant for novelty.

Inventive Step

The Application is directed to the stabilisation of oil dispersion of active ingredients, in order to increase the penetration of the insoluble active and the stability of the dispersion. Furthermore, the non-aqueous solvent has a further active ingredient dissolved in it.

In general, it seems the problem is the stabilization of oil dispersions in order not to decrease the activity of the compound that needs to reach its site of action.

D2 is considered to represent the closest prior-art to the subject matter of claims 1 to 19 since it is directed to the formation of oil suspensions that are stable and can guarantee sufficient penetration of the active ingredient in the plant system.

Claims 1 to 19 differ from **D2** in that a solvent of formula 4 is comprised in the composition and in that a further active ingredient is dissolved therein.

The effect of the component of formula 4 is a stabilisation of the composition. According to the application, these components are glycol ether and should help the stability of the composition and the penetration of plants.

The effect is disclosed for a compound of formula I-2 in combination with a further insecticide that can be dissolved in the selected solvent, in particular the effect is disclosed for I-2 in combination with flupyradifurone. Stabilisation is demonstrated also for flupyradifurone with tetraniliprole, cyantraniliprole, ethiprole, spirotetramat and thiacloprid. Compound I-2 with flonicamid is not stabilised that well after 2 weeks at 54 °C.

The same effect cannot be obviously extrapolated for any solid pesticide to be formulated as an oil suspension in a solvent where it is not soluble, in combination with any active that is soluble in that solvent of formula 4, as it can be seen for the combination I-2/flonicamid that after two weeks is not that stable even at 20 °C. The problem has not been solved over the whole scope.

The problem to be solved by the present application is therefore the provision of an alternative formulation that can stabilise a solid pesticide formulation as a suspension in a solvent, wherein another active is dissolved.

The alternative appears obvious in view in particular of **D3**, from the field of stabilisation of solid agrochemicals in oil suspension, wherein the use of different glycol ether is recommended in order to achieve stable dispersion of active ingredients in the presence of filler. In view of **D3**, starting from **D2**, it would have been obvious the addition of a glycol ether to the composition of **D2**, resulting in the present invention.

Moreover, the application seems to be directed to insecticidal composition, but claim 1 is even much broader, being directed to active ingredients only characterized by their physical state.

Re Item VI

See Item II

Re Item VIII

Clarity

The application does not meet the requirements of Article 6 PCT, because claims 1, 3, 12, 18 are not clear.

The terms "preferably" and "optionally" used in claims 1, 3, 12, 18 are vague and unclear and leave the reader in doubt as to the meaning of the technical features to which they refer, thereby rendering the definition of the subject-matter of said claims unclear. Expressions of this kind have no limiting effect on the scope of the claim, that is the feature following any such expression is to be regarded as entirely optional.

The attention of the Applicant is drawn to the fact that the description is directed to insecticidal composition whereas claim 1 is directed to any active ingredient.