

DOCUMENT MADE AVAILABLE UNDER THE PATENT COOPERATION TREATY (PCT)

International application number:	PCT/IB2019/051658
International filing date:	01 March 2019 (01.03.2019)
Document type:	Certified copy of priority document
Document details:	Country/Office: IN
	Number: 201831009604
	Filing date: 15 March 2018 (15.03.2018)
Date of receipt at the International Bureau:	08 April 2019 (08.04.2019)

Remark: Priority document submitted or transmitted to the International Bureau in compliance with Rule 17.1(a),(b) or (b-bis)

IB 19/51658



INTELLECTUAL
PROPERTY INDIA

पेटेंट/डिजाइन/व्यापार
चिह्न/भौगोलिक उपदर्शन



सत्यमेव जयते

भारत सरकार

GOVERNMENT OF INDIA

वाणिज्य एवं उद्योग मंत्रालय

MINISTRY OF COMMERCE & INDUSTRY

पेटेंट कार्यालय

THE PATENT OFFICE

जिस किसी से संबन्धित हो
TO WHOMSOEVER IT MAY CONCERN

मैं, अधोहस्ताक्षरी जो पेटेंट अधिनियम, 1970 की धारा 73(3) के तहत महानियंत्रक एकस्व, अभिकल्प एवं व्यापार चिह्न की ओर से प्रमाणपत्र हस्ताक्षर व जारी करने के लिए प्राधिकृत अधिकारी हूँ, एतद्वारा यह प्रमाणित करता(ती) हूँ कि निम्नलिखित पेटेंट आवेदन के संबंध में फाइल दस्तावेज़(जों) की सही प्रतिलिपि इसके साथ संलग्न है:

I, the undersigned, being an officer duly authorized to sign and issue the certificate on behalf of the Controller General of Patents, Designs and Trademarks in accordance with the provisions of Section 73(3) of the Patents Act, 1970, hereby certify that annexed hereto is a True Copy of the document(s) as filed in connection with the following Patent Application:

क) आवेदन संख्या/a) Application Number: 201831009604
ख) फाइल करने की तारीख/ b) Date of Filing 15th March, 2018
ग) अनुरोधित दस्तावेज़(जों) का नाम:
C) Name of the document(s) requested: Provisional Specification with Form 2 and Form 1.

यह प्रमाणपत्र पेटेंट अधिनियम, 1970 की धारा 147(1) के अधीन मुझमें निहित शक्तियों के तहत जारी किया गया है। This certificate is issued under the powers vested in me U/S 147(1) of The Patents Act, 1970.

दिनांक/Dated this.....22nd day of ...March...2019

Shamishtha Ghosh

नियंत्रक पेटेंट व डिजाइन/ Controller of Patents and Designs
(प्राधिकृत हस्ताक्षरी/ Authorised Signatory)



FORM 2

THE PATENTS ACT, 1970

(39 of 1970)

&

The Patents Rules, 2003

PROVISIONAL SPECIFICATION

(See section 10; rule 13)

5

10

1. Title of the invention - A STABLE AGROCHEMICAL
COMPOSITION

15

2. Applicant(s)

20

(a) NAME : UPL LTD

(b) NATIONALITY : An Indian Company

25

(c) ADDRESS : Agrochemical Plant, Durgachak Haldia – 721
602, Midnapore Dist. West Bengal, India

30

3. PREAMBLE TO THE DESCRIPTION

35

The following specification describes the invention

FIELD OF THE INVENTION

The present invention relates to a stable agrochemical composition. The invention more specifically relates to a stable agrochemical composition comprising moisture sensitive agrochemicals.

5 BACKGROUND AND THE PRIOR ART

Stability of moisture sensitive agrochemical is difficult as it exhibits undesirable changes when exposed to a moist environment, during the time of preparation and also upon storage. Since manufacturing of agrochemical compositions cannot always be conducted in an environment having a low humidity, there can
10 be significant degradation during the various production operations, prior to packaging a product. After packaging, stability of the products can be affected by transfer of moisture through packaging components, as well as reactions involving components of the package atmosphere. These factors becomes responsible for introduction of extrinsic moisture to the composition. Due to
15 normal fluctuations in the moisture content of the atmosphere, storage of moisture sensitive agrochemicals become challenging.

The organophosphorus compound, Acephate (N-(Methoxy-methylsulfanylphosphoryl) acetamide) is a very desirable insecticide in the agrochemical world. Acephate is more stable in acidic conditions and least stable
20 in alkaline conditions. However, acephate is highly sensitive to moisture and not stable in conventional pesticidal formulations. Also, acephate absorbs moisture from the surroundings and transmit the same in the composition that triggers degradation of co-formulants or other actives present in the composition.

The Chloronicotinyl insecticides like Acetamiprid ((E)-N'-[(6-chloro-3-pyridyl)methyl]-N-cyano-N-methylacetamidine) is also an important insecticide
25

for soil and foliar application. It is stable in the neutral or slightly acidic medium and can gradually hydrolyze when pH is 9 at 45°C. Imidacloprid (1-[(6-chloropyridin-3-yl) methyl]-N-nitro-4, 5-dihydroimidazol-2-amine), another chloronicotinyl insecticide is stable to hydrolysis in acidic or neutral conditions, but hydrolysis increases with increasing alkaline pH and temperature. Although, chloronicotinyl insecticides are not so moisture sensitive but often degrade when co-formulated with moisture-sensitive agrochemicals.

As an environment protection guideline to some countries for risk mitigation, composition comprising organophosphates (especially acephate) alone or with other active ingredients is to be packed and sold in water soluble pouch. Since acephate is toxic to mammals, water soluble pouch prohibits direct contact of acephate to the user.

Packaging of agrochemicals in water-soluble pouch offer many advantages, among them being the pre-measurement of the packet contents for a single use; reduction in the degree of inconvenience or hazard in using agrochemicals which are dusty or otherwise undesirable if allowed to come in physical contact with the user. Nevertheless, agrochemical compositions packed in water soluble pouch are relatively easy to handle during the mixing process and also results in decreased contamination of the environment.

Water soluble pouch are made of water-soluble thermoplastic films with an inherent moisture content of 6.0%-12.0%. It has been observed that water soluble pouch is affected by external factors such as temperature, pH and moisture. The water soluble pouch experience premature breakage when kept in high humidity place. Similarly, high temperature makes it brittle due to loss of inherent moisture.

Stability issue arises when organophosphates are formulated with chloronicotinyl compounds. They cannot be stored for a virtually long time because the two active components contained in the composition interact with each other, leading to the decomposition of the overall composition. The condition worsens further when such composition is packed in water soluble pouch. The organophosphorous compounds absorb moisture from water soluble pouch, adding it to the composition and accelerate degradation of chloronicotinyl compounds.

In past, researchers tried addressing the stability issues of organophosphorous compounds and chloronicotinyl compounds when they were formulated together.

U. S. Application No. 20060008493 disclosed a synergistic composition comprising chloronicotinyl compound and organophosphorous compound. The compositions disclosed include a stabilizer, which though is able to impart limited stability and limited shelf life but there is a need to investigate and solve the problem of stability of such compositions, especially under moisture conditions.

U. S. Patent No. 5,140,019 disclosed methods of prevention of decomposition of a combination of a compound comprising imidacloprid, an organophosphorous compound and compounds selected from polyethylene glycol, propylene glycol, ethylene glycol-propylene glycol co-polymer or a mixture of these compounds.

However, the stability studies has been conducted in glass containers and in dark rooms which is different when compared with commercial scale storage conditions. Further no reference has been made in the invention with respect to stability in the presence of extrinsic moisture conditions.

Therefore, need exists to provide a composition of moisture sensitive acephate and chloronicotinyl compounds having storage stability in water soluble pouch and also the process of obtaining the stable composition.

OBJECTS OF THE PRESENT INVENTION

- 5 One objective of the present invention is to provide a stable agrochemical composition of moisture sensitive agrochemicals, namely an organophosphorus compound and a chloronicotinyl compound, which is stable while storing in water soluble pouch.

- 10 Another objective of the present invention is to provide a process of preparing a stable agrochemical composition of moisture sensitive agrochemicals, namely an organophosphorus compound and a chloronicotinyl compound, which is stable in water soluble pouch.

- 15 Another objective of the present invention is to provide a storage stable agrochemical composition comprising of acephate and acetamiprid which has an improved shelf life while stored in water soluble pouch.

SUMMARY OF THE INVENTION

- 20 In one aspect, the present invention provides a stable agrochemical composition comprising at least one chloronicotinyl compound; and a polyoxyethylene/polyoxypropylene block copolymeric chain, wherein said polyoxyethylene/polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

In another aspect, the present invention provides a stable agrochemical composition comprising;

(A) atleast one organophosphorous compound;

(B) atleast one chloronicotinyl compound; and

(C) a polyoxyethylene/ polyoxypropylene block copolymeric chain,
wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain
5 is end-capped with alkyl groups.

In another aspect, the present invention provides a stable agrochemical composition comprising acetamiprid, and a polyoxyethylene/ polyoxypropylene block copolymeric chain, wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

10

In accordance with the above objectives, the present invention provides a stable agrochemical composition comprising;

(A) acephate;

(B) acetamiprid; and

15

(C) a polyoxyethylene/ polyoxypropylene block copolymeric chain,
wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain
is end-capped with alkyl groups.

20

In accordance with the above objectives, the present invention provides a process for preparation of the stabilized agrochemical composition comprising, atleast one organophosphorous compound, atleast one chloronicotinyl compound, and a polyoxyethylene/ polyoxypropylene block copolymeric chain, wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

In accordance with the above objectives, the present invention provides use of stable agrochemical compositions according to the present invention as pest control solution.

5 In accordance with the above objectives, the present invention further provides a method of controlling unwanted pests said method comprising applying an agrochemically effective amount of stable agrochemical compositions according to the present invention to the pests or to their locus.

Additional features and advantages of the present invention will be apparent from the detailed description that follows, which illustrates by way of example, 10 the most preferred features of the present invention which are not to be construed as limiting the scope of the invention described herein.

DETAILED DESCRIPTION OF THE INVENTION

As used herein the term 'composition' is used interchangeably with the term 15 'formulation' and is intended to refer to the stable wet granules intended to prevent damage of agricultural crops and its produce from insects and pests.

The term 'moisture sensitive' active ingredient refers to a compound having ability to absorb moisture and undergoes degradation.

20

As used herein, the term 'degradation' denotes loss of the active ingredient as a result of exposure to moisture.

Surprisingly, it has been found that, a stable composition comprising 25 chloronicotinyl compounds can be prepared by adding polyethyleneoxy and

polypropyleneoxy block copolymeric chain which are end-capped with alkyl groups. The resultant composition remains stable without any adverse influences of extrinsic moisture on the physical properties of the active ingredients in the composition.

5

It has been further found that, a stable composition comprising organophosphorous compound and chloronicotinyl compounds can be prepared by adding polyethyleneoxy and polypropyleneoxy block copolymeric chain which are end-capped with alkyl groups. The resultant composition remains stable without any adverse influences of extrinsic moisture on the physical properties of the active ingredients in the composition.

10

The inventors of the present invention have found that the addition of polyoxyethylene/ polyoxypropylene block copolymeric chain end-capped with alkyl groups to a composition of a moisture sensitive active ingredient, being a chloronicotinyl compound, optionally in combination with an organophosphorus compound, resulted in highly stable composition.

15

Without wishing to be bound by theory, the inventors in the present invention have surprisingly found, that addition of polyoxyethylene/ polyoxypropylene block copolymeric chain end-capped with alkyl group to a composition of a moisture sensitive active ingredient such as chloronicotinyl compound, optionally in the presence of an organophosphorous compound, results in a stable composition.

20

25

In one aspect, the present invention provides a stable agrochemical composition comprising at least one chloronicotinyl compound; and a polyoxyethylene/polyoxypropylene block copolymeric chain, wherein said polyoxyethylene/polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

5

In another aspect, the present invention provides a stable agrochemical composition comprising;

(A) atleast one organophosphorous compound;

(B) atleast one chloronicotinyl compound; and

10

(C) a polyoxyethylene/ polyoxypropylene block copolymeric chain,

wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

15

In another aspect, the present invention provides a stable agrochemical composition comprising acetamiprid; and a polyoxyethylene/ polyoxypropylene block copolymeric chain, wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

In an embodiment, the present invention provides a stable agrochemical composition comprising:

20

(A) acephate;

(B) acetamiprid; and

(C) a polyoxyethylene/ polyoxypropylene block copolymeric chain,

wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

In an embodiment, the stable agrochemical composition additionally comprises an organophosphorus compound.

5

In another embodiment, the organophosphorus compound may be selected from the group consisting of acephate, aspon, azinphos-methyl, carbofuran, carbophenothion, chlorfenvinphos, chlorpyrifos, coumaphos, crotoxyphos, crufomate, demeton, diazinon, dichlorvos, dicrotophos, dimethoate, dioxathion, disulfoton, EPN, ethion, ethoprop, famphur, fenamiphos, fenitrothion, fensulfothion, fenthion, fonofos, isofenfos, malathion, methamidophos, methidathion, methyl parathion, mevinphos, monocrotophos, metam sodium, naled, oxydemeton-methyl, parathion, phorate, phosalone, phosmet, phosphamidon, profenofos, temephos, TEPP, terbufos, tetrachlorvinphos, trichlorfon and combinations thereof.

10

15

The preferred organophosphorus compound may be selected from acephate, profenophos, phosphomidon or mixtures thereof.

20 According to another embodiment of the present invention, the stable agrochemical composition comprises from about 0.5% to about 99% w/w and preferably from about 10% to about 95% w/w organophosphorus compound of the total weight of the stable agrochemical composition.

In a preferred embodiment of the present invention, the stable agrochemical composition comprises from about 40% to about 90% w/w organophosphorus compound of the total weight of the stable agrochemical composition.

- 5 In an embodiment, the stable agrochemical composition comprises a chloronicotinyl compound.

In another embodiment, the chloronicotinyl compound may be selected from the group consisting of acetamiprid, imidacloprid, thiacloprid, thiamethoxam and
10 combinations thereof.

The preferred chloronicotinyl compound are selected from acetamiprid, imidacloprid, thiacloprid or mixtures thereof.

- 15 According to another embodiment of the present invention, the stable agrochemical composition comprising from about 0.1% to about 40% w/w and preferably from about 0.5% to about 30% w/w chloronicotinyl compound of the total weight of the stable agrochemical composition.

- 20 In a preferred embodiment of the present invention, the stable agrochemical composition comprises from about 0.5% to about 20% w/w chloronicotinyl compound of the total weight of the stable agrochemical composition.

A polyoxyethylene/ polyoxypropylene block copolymeric chain according to the
25 invention would have one or both of the hydrogens of the hydroxyl groups removed and replaced with a different functional group. Preferably, the

replacement functional group is a functional group that is less reactive than a hydroxyl group. More preferably the replacement functional group is an alkyl group ($-\text{CH}_3$, $-\text{C}_2\text{H}_5$ etc.) such that the resulting compound is capable of providing stability to the composition comprising moisture sensitive active ingredients.

In an embodiment, the stable agrochemical composition comprises a polyoxyethylene/ polyoxypropylene block copolymeric chain end-capped with one or more alkyl groups.

10 According to another embodiment, the polyoxyethylene/ polyoxypropylene block copolymeric chain, is end-capped with one or more alkyl groups comprising methyl group, ethyl group, propyl group and butyl group.

According to a preferred embodiment of the present invention the composition
15 comprises an polyoxyethylene/ polyoxypropylene block copolymeric chain, end-capped with methyl group.

According to another embodiment of the present invention, the stable agrochemical composition comprises from about 0.1% to about 30% w/w and
20 preferably from about 0.2% to about 20% w/w polyoxyethylene/ polyoxypropylene block copolymeric chain of the total weight of the stable agrochemical composition.

According to an embodiment, a stable agrochemical composition of the present invention comprise an organophosphorous compound, chloronicotinyl
25 compound and a polyoxyethylene/ polyoxypropylene block copolymeric chain, end-capped with alkyl groups.

According to specific embodiments a stable agrochemical composition of the present invention may comprise from about 0.1% to about 95% w/w of organophosphorous compound, from about 0.5% to about 30% w/w of chloronicotinyl compound, from about 0.1% to about 20% w/w of a
5 polyoxyethylene/ polyoxypropylene block copolymeric chain, end-capped with alkyl groups.

According to an embodiment of the present invention, there is provided a stable agrochemical composition comprising acephate, acetamiprid and
10 polyoxyethylene/ polyoxypropylene block copolymeric chain, end-capped with alkyl groups.

According to specific embodiments a stable agrochemical composition of the present invention may comprise from about 0.5% to about 95% w/w of acephate, from about 0.1% to about 30% w/w of acetamiprid, from about 1.0% to about 20% w/w of a polyoxyethylene/ polyoxypropylene block copolymeric chain, end-
15 capped with alkyl groups.

The present invention provides a process for preparation of the stabilized agrochemical composition comprising at least one organophosphorous compound, at least one chloronicotinyl compound, and a polyoxyethylene/ polyoxypropylene block copolymeric chain, wherein said polyoxyethylene/
20 polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

According to an embodiment of the present invention, a process for the preparing a stable agrochemical composition comprises:

- 1) premixing at least one active ingredient from chloronicotinyl compound or organophosphorous compound with polyoxyethylene/

polyoxypropylene block copolymeric chain which is end-capped with alkyl groups mixed together to obtain a mixture;

- 2) adding the mixture to atleast another active ingredient from chloronicotinyl compound or organophosphorous compound and mixed for sufficient time to obtain a blend; and
- 3) subjecting the blend to extrusion to obtain granules.

According to an embodiment of the present invention, a process for the preparing a stable agrochemical composition comprises premixing chloronicotinyl compound with polyoxyethylene/ polyoxypropylene block copolymeric chain which is end-capped with alkyl groups.

According to an embodiment of the present invention, a process for the preparing a stable agrochemical composition comprises premixing the chloronicotinyl compound, optionally with an organophosphorous compound with polyoxyethylene/ polyoxypropylene block copolymeric chain which is end-capped with alkyl groups.

According to an embodiment, the blend is obtained by mixing the active ingredients according to the process described in the invention for a sufficient time from about 1 min to about 24 hours.

According to an embodiment of the present invention, a process for the preparing a stable agrochemical composition comprises:

- 1) premixing at least one chloronicotinyl compound with polyoxyethylene/ polyoxypropylene block copolymeric chain which is end-capped with alkyl groups mixed together to obtain a mixture;

- 2) optionally adding the mixture to atleast one organophosphorous compound and mixed for sufficient to obtain a blend; and
- 3) subjecting the blend to extrusion to obtain granules.

5 According to another embodiment of the present invention, the process for the preparing a stable agrochemical composition comprises pre-mixing chloronicotinyl compound with polyoxyethylene/ polyoxypropylene block copolymeric chain end-capped with alkyl group along with other necessary formulation auxiliaries to obtain a mixture.

10

According to another embodiment of the present invention, the process of obtaining blend of mixture of chloronicotinyl compound with polyoxyethylene/ polyoxypropylene block copolymeric chain, end-capped with alkyl group and organophosphorous compound and the process of extrusion may be performed
15 separately, sequentially or simultaneously.

According to another embodiment of the present invention, other solid formulations can also be obtained from a blend of mixture of chloronicotinyl compound with other formulation ingredients and organophosphorous
20 compound.

According to an embodiment of the present invention, a process for the preparing a stable agrochemical composition comprises:

- 1) premixing acetamiprid with polyoxyethylene/ polyoxypropylene block
25 copolymeric chain which is end-capped with alkyl group and mixed together to obtain a mixture;

- 2) optionally adding the mixture to acephate and mixing it for sufficient time to obtain a blend;
- 3) subjecting the blend to extrusion to obtain granules.

In an embodiment, the process of the present invention comprises additional
5 conventional steps, which may be necessary but not crucial to achieve the advantages of the present invention.

According to another embodiment of the present invention, in the process for preparation of the stable agrochemical composition, the extruded granules can further be subjected to drying in a suitable device.

10 Examples of suitable device for drying that may be selected from but not limited to air flow band dryers, stirring dryers, fluidized bed dryers, vibration dryers and bed-type dryers. The fluidized bed dryer is preferred.

According to another embodiment of the present invention, in the process for preparation of the stable agrochemical composition, the extruded granules, thus
15 obtained, are preferably tested for required quality specifications. Once the granules pass quality specification, they are preferably filled and packed in desired packing.

In an embodiment, it is preferred to prepare the agrochemical composition
20 according to the invention as Wet Granules (WG).

In accordance with the above objectives, the present invention provides use of stable agrochemical composition comprising at least one chloronicotinyl compound, optionally at least one organophosphorous compound and

polyoxyethylene/ polyoxypropylene block copolymeric chain which is end-capped with alkyl group, as a pesticide.

5 In an embodiment, the stable agrochemical composition according to the present invention is used as an insecticide.

In an embodiment, the present invention provides a method of controlling unwanted pests, said method comprising applying an agrochemical composition according to the present invention to the pests or to their locus.

10 Thus, in an aspect, the present invention may provide methods of controlling insect pests at a locus, said method comprising application of an insecticidally effective amount of a composition comprising:

(A) at least one chloronicotinyl compound;

(B) optionally at least one organophosphorous compound; and

15 (C) polyoxyethylene/ polyoxypropylene block copolymeric chain,

wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain is end-capped with alkyl groups.

In an embodiment, the present invention may provide methods of controlling insect pests at a locus, said method comprising application of an insecticidally effective amount of a composition comprising:

20 (A) acephate;

(B) acetamiprid; and

(C) a polyoxyethylene/ polyoxypropylene block copolymeric chain,
wherein said polyoxyethylene/ polyoxypropylene block copolymeric chain
is end-capped with alkyl groups.

In an embodiment, the present invention may provide methods of controlling
5 insect pest such as those belonging to *Lepidopteran*, *Coleoptran*, *Dipteran*,
Hemipteran classes of insecticides.

The stable agrochemical compositions of the present invention may further
comprise one or more pH stabilizers, additives, dispersants, wetting agents, fillers,
10 surfactants, anticaking agents, preservatives, biocides, antifoaming agents,
humectants, colorants and other formulation aids.

The pH stabilizer may be selected from sodium dihydrogen orthophosphate
dihydrate, disodium hydrogen orthophosphate anhydrous, tertiary polyhydroxy
15 amine, cationic quaternary ammonium compound containing propylene glycol,
dimethylglucamine, trifunctional amine, hydroxypropyl methyl cellulose, styrene-
divinylbenzene-copolymer with sulphonic acid groups in H-form,
diethanolamine, monoethanolamine, triethanolamine or mixtures thereof.

20 The additive may be selected from the group comprising of hydrophobic silica,
hydrophilic silica, hydrophobic fumed silica (Aerosil R 972, Cabosil TS 610, HDK,
Aerosil R 812), hydrophilic fumed silica, silica gels, silicates, talc, kaolin,
montmorillonite, attapulgite, pumice, sepiolite, bentonite, limestone, lime, chalk,
clay, dolomite, diatomaceous earth, calcite, calcium sulfate, magnesium sulfate,
25 magnesium sulfate, magnesium oxide, sand, ammonium sulfate, ammonium

phosphate, ammonium nitrate, ureas, cereal meal, tree bark meal, wood meal, nutshell meal, and cellulose powders.

The dispersants may be selected from ionic and nonionic dispersants to enable disintegration of granules in water with ease, such as salts of polystyrene
5 sulphonic acids, salts of polyvinylsulphonic acids, salts of naphthalenesulphonic acid/formaldehyde condensates, salts of condensates of naphthalenesulphonic acid, phenolsulphonic acid and formaldehyde, and salts of lignosulphonic acid, polyethylene oxide/polypropylene oxide block copolymers, polyethylene glycol
ethers of linear alcohols, reaction products of fatty acids with ethylene oxide
10 and/or propylene oxide, furthermore polyvinyl alcohol, polyvinylpyrrolidone, copolymers of polyvinyl alcohol and polyvinylpyrrolidone and copolymers of (meth)acrylic acid and (meth)acrylic esters, furthermore alkyl ethoxylates and alkylarylethoxylates ethoxylated alkylarylphosphated and sulphated ester. The preferred dispersing agents include derivative of ethoxylates of vegetable oil or a
15 mixture of one or more of these; or styrene acrylic polymers or mixtures thereof.

The wetting agents may be selected from soaps; salts of aliphatic monoesters of sulphuric acid including but not limited to sodium lauryl sulphate; sulfoalkylamides and salts thereof including but not limited to N-methyl-N-
20 oleoyltaurate Na salt; alkylarylsulfonates including but not limited to alkylbenzenesulfonates; alkyl naphthalenesulfonates and salts thereof and salts of ligninsulfonic acid.

In some embodiment fillers may be selected from insoluble fillers and soluble
25 fillers.

In an embodiment, fillers may be selected preferably from precipitated silica and diatomaceous earth kaolin.

In an embodiment, humectants may be selected from glycerol or sugar syrups,
5 such as corn syrup, which is obtained from maize.

In an embodiment, suitable antifoams may, preferably be, silicones, long-chain alcohols and salts of fatty acids.

10 Suitable colorants (for example in red, blue and green) are, preferably, pigments, which are sparingly soluble in water, and dyes, which are water-soluble. Examples are inorganic coloring agents (for example iron oxide, titanium oxide, and iron hexacyanoferrate) and organic coloring agents (for example alizarin, azo and phthalocyanin coloring agents).

15

In an embodiment, the stable agrochemical composition of the present invention may be optionally mixed together with other insecticides, attractants, sterilants, bactericides, acaricides, nematocides, fungicides, growth regulators, herbicides, fertilizers and mixtures thereof.

20

The premix of chloronicotinyl compound with polyoxyethylene/polyoxypropylene block copolymeric chain which is end-capped with alkyl groups prevents direct interaction of chloronicotinyl compound with organophosphorous compound in the composition which in turn prevents
25 chloronicotinyl compound from deterioration in the presence of moisture sensitive organophosphorous compound.

The composition of the present invention enjoys all the advantages discussed above, making it beneficial from an economic aspect and a handling aspect and shows a very good performance during application. As depicted in the examples, the composition of the present invention demonstrates good stability.

5

Inventors of the present invention succeeded in preparing stable agrochemical composition by careful combination of at least one chloronicotinyl compound, at least one organophosphorous compound and polyoxyethylene/polyoxypropylene block copolymeric chain end-capped with alkyl group and process of preparing the same. The optimum concentration of the actives as well as formulation ingredients which led to the stable WG formulation has been arrived at by the experiments as exemplified below.

EXPERIMENTAL EXAMPLES:

The following examples illustrate the basic methodology and versatility of the invention.

Example 1: Acephate 85% w/w and Acetamiprid 5% w/w WG was prepared as follows:

Table 1

Composition	Quantity (% w/w)
Acephate Technical (98.0% purity)	88.50
Acetamiprid Technical (99.0% purity)	5.5
EO/PO block copolymer end-capped with methyl group	2.5
pH stabilizer	2.0
Hydrophobic silica	q.s.
Total	100

1) Mixing

a) Weighed quantity of pre-ground acetamiprid technical was loaded into a mixer to which weighed quantity of EO/PO block copolymer end-capped with methyl group was added and mixed until a homogeneous mixture was obtained. Further hydrophobic fumed silica was added and mixed to obtain homogeneous free flowing powder. To this powder, pH stabilizer was added and continued blending to obtain a mixture.

b) Weighed quantity of acephate technical was separately taken in a blender.

The mixture obtained in step 1(a) was added to it and blended thoroughly for about 30 min to obtain a homogeneous blend of all the ingredients.

2. Extrusion:

The homogeneous blend thus obtained in step 1 was extruded using extruder with 1.0 mm diameter sieve to obtain granules and kept for drying at room temperature. Therefore, the storage stable agrochemical composition of acephate and acetamiprid is obtained according to the process disclosed in this invention.

EXAMPLE 2: Acephate 85% w/w and Acetamiprid 5% w/w WG was prepared as follows:

Composition	Quantity (% w/w)
Acephate Technical (98.0% purity)	89.5
Acetamiprid Technical (99.0% purity)	5.5
EO/PO block copolymer end-capped with methyl group	1.0
pH Stabilizer	2.0
Hydrophobic silica	q.s.

Total	100
-------	-----

The WG composition including acephate, acetamiprid, hydrophobic silica, EO/PO block copolymer end-capped with methyl group and pH stabilizer in a given ratio shown above was prepared as per the process of Example 1.

5

EXAMPLE 3: Acephate 85% w/w and Acetamiprid 5% w/w WG was prepared as follows:

Composition	Quantity (% w/w)
Acephate Technical (98.0% purity)	89.5
Acetamiprid Technical (99.0% purity)	5.5
Non End-capped EO/PO block copolymer	2.0
pH Stabilizer	2.0
Hydrophobic silica	q.s.
Total	100

10 The WG composition including acephate, acetamiprid, hydrophobic silica, non end-capped EO/PO block copolymer end-capped with methyl group and pH stabilizer in a given ratio shown above was prepared as per the process of Example 1.

15

COMPARATIVE STUDY OF THE COMPOSITIONS WITH EO/PO BLOCK COPOLYMER END-CAPPED WITH METHYL GROUP AND NON- END CAPPED EO-PO BLOCK POLYMER

Ingredients	7 Days AHS	14 Days AHS
-------------	------------	-------------

	End-capped EO/PO block copolymer (Example 1)	Non End-capped EO/PO block copolymer (Example 3)	End-capped EO/PO block copolymer (Example 1)	Non End-capped EO/PO block copolymer (Example 3)
Moisture Content	0.11	0.56	0.12	0.71
Acephate	88.16	86.75	88.00	86.40
Acetamiprid	5.321	5.07	5.227	4.78
% Degradation (Acephate/Acetamiprid)	0.64/0.93	1.5/7.98	1.02/2.57	1.92/13.24

The composition described in Example-1 (having EO/PO block copolymer end-capped with methyl group) prepared according to the process disclosed in the present invention was tested against the composition described in Example-3 (having non alkyl end-capped EO/PO block copolymer). The objective of study was to determine the effect EO/PO block copolymer end-capped with alkyl group in preventing degradation of active ingredients and to impart overall stability to the composition.

It was observed that the composition comprising EO/PO block copolymer end-capped with methyl group remained quite stable in both 7 days AHS as well as 14 days AHS. Degradation observed for acephate in 7 days AHS was 0.64% and in 14 days AHS was 1.02%. Similarly, degradation observed for acetamiprid in 7 days AHS was 0.93% and in 14 days AHS was 2.57%. The overall moisture content of said composition was also found to be controlled throughout the study.

Strikingly, contrasting observations were made for the composition comprising non end-capped EO/PO block copolymer. While degradation observed for acephate in 7 days AHS was 1.5 and in 14 days AHS was 1.92; enormous degradation observed for acetamiprid in 7 days AHS with 7.98% and in 14 days

AHS with 13.24% degradation. This composition thus failed to pass the AHS stability test. It was thus surprising that the presence of the alkyl end-capped polymer of the present invention, even in small quantities, contributed significantly to the chemical stability of the compositions of the present invention.

- 5 The only difference between the compared compositions was the presence and absence of the alkyl end-capping. Therefore, the surprisingly improved chemical stability of the compositions of the present invention could be attributed solely to the alkylic end-capping of the EO/PO polymeric chain, which was unexpected and surprising. The overall moisture content of said composition was also found
- 10 to be more than 0.5% which is supposed to contribute to overall degradation of the composition.

STABILITY STUDY OF ACTIVE INGREDIENTS IN VARIOUS STORAGE CONDITIONS

Active	AMB	14 D AHS	% Degradation
Without Packing			
Acephate	88.59	87.81	0.75
Acetamiprid	5.403	5.267	2.5
Packed in Water Soluble Pouch			
Acephate	88.59	87.13	1.29
Acetamiprid	5.403	5.163	4.4

- 15 The composition described in Example-1 prepared according to the process disclosed in the present invention was tested to determine the stability of active ingredients when subjected to various storage conditions. Degradation of active ingredients was calculated at ambient conditions and in 14 days AHS at 54°C for the composition kept as unpacked and as packed in water soluble pouch.

Acephate and acetamiprid both found to be stable in unpacked as well as when packed in the water soluble pouch.

STABILITY OF ACTIVE INGREDIENTS OBSERVED IN REAL TIME STUDY

Active Ingredients	Ambient	19 Month Real Time
Acephate A. I.	88.11	85.03
Degradation		3.50
Acetamiprid A. I.	5.11	4.99
Degradation		2.31

5

The composition prepared in Example-2 according to the process disclosed in the present invention is kept for real time study to observe degradation pattern of active ingredients. The composition was kept for 19 months in ambient conditions. Acephate and Acetamiprid both found to be very stable with 3.5% and 2.31% degradation respectively. This resulted into an overall stable agrochemical composition.

10

Therefore, the stable agrochemical composition prepared according to the process disclosed in the present invention exhibited good stability. The extremely sensitive acephate and chloronicotinyl compound can be formulated together according to the process disclosed in the present invention and same can be stored safely in water soluble pouch as well as trilaminated pouch without undesirable degradation of actives. The instant invention is more specifically explained by above example. However, it should be understood that the scope of the present invention is not limited by the examples in any manner. It will be appreciated by any person skilled in this art that the present invention includes

15

20

aforesaid examples and further can be modified and altered within the technical scope of the present invention.

Dated this the 15th day of March 2018

5



Dr. Sanchita Ganguli
Of S. MAJUMDAR & CO.
Applicant's Agent

FORM 1 THE PATENTS ACT, 1970 (39 of 1970) and The Patents Rules, 2003 APPLICATION FOR GRANT OF PATENT [See section 7, 54 & 135 and sub-rule (1) of rule 20]	(FOR OFFICE USE ONLY)
---	------------------------------

	Application No :		
	Filing Date :		
	Amount of Fee Paid :		
	CBR No :		
	Signature :		
1. APPLICANT'S REFERENCE / IDENTIFICATION NO. (AS ALLOTTED BY OFFICE)			
2. TYPE OF APPLICATION [Please tick (✓) at the appropriate category]			
Ordinary (✓)		Convention ()	
PCT-NP ()			
Divisional ()	Patent of Addition ()	Divisional ()	Patent of Addition ()
Divisional ()	Patent of Addition ()	Divisional ()	Patent of Addition ()

3A. APPLICANT(S)

Name in Full	Nationality	Country of Residence	Address of the Applicant	
UPL LTD	An Indian Company	India	House No.	Agrochemical Plant
			Street	Durgachak Haldia
			City	Midnapore
			State	West Bengal
			Country	India
			Pin code	721 602

3B. CATEGORY OF APPLICANT [Please tick (✓) at the appropriate category]

Natural Person ()	Other than Natural Person		
	Small Entity ()	Startup ()	Others (✓)

4. INVENTOR(S) [Please tick (✓) at the appropriate category]

Are all the inventor(s) same as the applicant(s) named above ?	YES ()	NO (✓)
--	----------------	---------------

If "No", furnish the details of the inventor(s)

Name in Full	Nationality	Country of Residence	Address of the Inventor	
MUKHERJEE, Dev, Varta	An Indian citizen	India	House No.	UPL House,
			Street	610 B/2, Bandra Village, Off Western Express Highway, Bandra East,
			City	Mumbai,
			State	Maharashtra
			Country	India
			Pin code	400051
SHARMA, Shiv, Kumar	An Indian citizen	India	House No.	UPL House,
			Street	610 B/2, Bandra Village, Off Western Express Highway, Bandra East,
			City	Mumbai,
			State	Maharashtra
			Country	India
			Pin code	400051
SHROFF, Jaidev Rajnikant	A British Citizen	Dubai U.A.E.	House No.	206, Swiss Tower, Cluster Y
			Street	Jumeirah Lake Towers, P.O. Box: 33421
			City	
			State	
			Country	Dubai - U.A.E.
			Pin code	
SHROFF, Vikram Rajnikant	A British Citizen	Dubai U.A.E.	House No.	206, Swiss Tower, Cluster Y
			Street	Jumeirah Lake Towers, P.O. Box: 33421
			City	
			State	
			Country	Dubai - U.A.E.
			Pin code	

5. TITLE OF THE INVENTION: A STABLE AGROCHEMICAL COMPOSITION

6. AUTHORISED REGISTERED PATENT AGENT(S)	IN/PA No.	625
	Name	Dr. Sanchita Ganguli
	Mobile No.	9331827882
7. ADDRESS FOR SERVICE OF APPLICANT IN INDIA	Name	S. MAJUMDAR & CO.
	Postal Address	5 Harish Mukherjee Road, Kolkata – 700 025, West Bengal, India
	Telephone No.	(033) 2455 7484 / 85 / 86
	Mobile No.	9331827882
	Fax No.	(033) 2455 7487 / 88
	E-mail ID	cal@patentindia.com

8. IN CASE OF APPLICATION CLAIMING PRIORITY OF APPLICATION FILED IN CONVENTION COUNTRY, PARTICULARS OF CONVENTION APPLICATION

COUNTRY	APPLICATION NUMBER	FILING DATE	NAME OF THE APPLICANT	TITLE OF THE INVENTION	IPR (as classified in the
---------	--------------------	-------------	-----------------------	------------------------	---------------------------

					convention country)

9. IN CASE OF PCT NATIONAL PHASE APPLICATION, PARTICULARS OF INTERNATIONAL APPLICATION FILED UNDER PATENT COOPERATION TREATY (PCT)

International application number	International filing date as allotted by the receiving office

10. IN CASE OF DIVISIONAL APPLICATION FILED UNDER SECTION 16, PARTICULARS OF ORIGINAL (FIRST) APPLICATION

Original (first) application number	Date of filing of Original (first) application

11. IN CASE OF PATENT OF ADDITION FILED UNDER SECTION 54, PARTICULARS OF MAIN APPLICATION OR PATENT

Main application / patent Number	Date of filing of main application

12. DECLARATIONS

(i) Declaration by the inventor(s)

(In case the applicant is an assignee : the inventor(s) may sign herein below or the applicant may upload the assignment or enclose the assignment with this application for patent or send the assignment by post/electronic transmission duly authenticated within the prescribed period).

We, the above named inventor(s) are the true & first inventor(s) for this invention and declare that the applicant(s) herein are our assignee or legal representative.

(a) Date _____

(b) Signature(s) of the inventor(s):

(c) Name of the inventor: **MUKHERJEE, Dev, Varta**

(a) Date _____

(b) Signature(s) of the inventor(s):

(c) Name of the inventor: **SHARMA, Shiv, Kumar**

(a) Date _____

(b) Signature(s) of the inventor(s):

(c) Name of the inventor: **SHROFF, Jaidev Rajnikant**

(a) Date _____

(b) Signature(s) of the inventor(s):

(c) Name of the inventor: **SHROFF, Vikram Rajnikant**

(ii) Declaration by the applicant(s) in the convention country

~~(In case the applicant in India is different than the applicant in the convention country: the applicant in the convention country may sign herein below or applicant in India may upload the assignment from the applicant in the convention country or enclose the said assignment with this application for patent or send the assignment by post/electronic transmission duly authenticated within the prescribed period)~~

I/We, the applicant(s) in the convention country declare that the applicant(s) herein is/are my/our assignee or legal representative

(a) Date _____

(b) Signature(s)

(c) Name(s) of the Signatory :-

(iii) Declaration by the applicant(s):

I/We, the applicant(s) hereby declare(s) that :

- ☐ We are in possession of the above-mentioned invention
- ☐ The provisional specification relating to the invention is filed with this application.
- ☒ The invention as disclosed in the specification uses the biological material from India and the necessary permission from the competent authority shall be submitted by us before the grant of patent to us.
- ☐ There is no lawful ground of objection(s) to the grant of the Patent to us.
- ☒ We are the true & first inventor(s).
- ☐ We are the assignee or legal representative of true & first inventor(s).
- ☒ The application or each of the applications, particulars of which are given in Paragraph-8, was the first application in convention country/countries in respect of my/our invention.
- ☒ I/We claim the priority from the above mentioned application(s) filed in convention country/ countries and state that no application for protection in respect of the invention had been made in a convention country before that date by me/us or by any person from which I/we derive the title.
- ☒ My/Our application in India is based on international application under Patent Cooperation Treaty (PCT) as mentioned in Paragraph-9.
- ☒ The application is divided out of my/our application particulars of which is given in Para-10 and pray that this application may be treated as deemed to have been filed on (DD/MM/YYYY) under Section 16 of the Act.
- ☒ The said invention is an improvement in or modification of the invention particulars of which are given in Paragraph-11.

13. FOLLOWING ARE THE ATTACHMENTS WITH THE APPLICATION

(a) Form 2

Item	Details	Fee	Remarks
Provisional specification)#	No. of pages (27)		
No. of claims	No. of claims and no. of pages		
Abstract	No. of pages		
No. of Drawing(s)	No. of drawings () and No. of pages ()		

In case of a complete specification, if the applicant desires to adopt the drawings filed with his provisional specification as the drawings or part of the drawings for the complete specification under rule 13(4), the number of such pages filed with the provisional specification are required to be mentioned here.

(b)

- (a) Form -2 with provisional specification (2 copies). No. of pages 27
- (b) Statement and undertaking on Form 3
- (c) General Power of Attorney in our favour.
- (d) Fee for excess pages exceeding 30 = NIL
- (e) Fee for application = Rs. 8,000.00

Fee Rs. 8000/-

We hereby declare that to the best of our knowledge, information and belief the fact and matters stated herein are correct and we request that a patent may be granted to us for the said invention.

Dated this 13th day of March 2018



Dr. Sanchita Ganguli
of S. MAJUMDAR & CO.
Applicants' Agent

To
The Controller of Patents
The Patent Office,
At Kolkata

Note:-

- * Repeat boxes in case of more than one entry.
- * To be signed by the applicant(s) or by authorized registered patent agent otherwise where mentioned.
- * Tick (✓)/cross (x) whichever is applicable/not applicable in declaration in paragraph – 12.
- * Name of the inventor and applicant should be given in full, family name in the beginning.
- * Strike out the portion which is/are not applicable.
- * For fee: See First Schedule