

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property

Organization

International Bureau

(43) International Publication Date

27 August 2020 (27.08.2020)



(10) International Publication Number

WO 2020/170148 A1

(51) International Patent Classification:

A01N 37/44 (2006.01) A01N 25/30 (2006.01)

A01N 25/02 (2006.01) A01P 17/00 (2006.01)

A01N 25/06 (2006.01) A01M 29/12 (2011.01)

(21) International Application Number:

PCT/IB2020/051373

(22) International Filing Date:

19 February 2020 (19.02.2020)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

201931006753 20 February 2019 (20.02.2019) IN

(71) Applicant: UPL LTD [IN/IN]; Agrochemical Plant, Durgachak, Midnapore Dist., West Bengal, Haldia 721 602 (IN).

(72) Inventors: UPPARA, Parasu, Veera; UPL Limited, UPL House, 610 B/2, Bandra Village, Off Western Express Highway, Bandra East, Maharashtra, Mumbai 400051 (IN). LOKHANDE, Pradnya, Sanjay; UPL Limited, UPL House, 610 B/2, Bandra Village, Off Western Express Highway, Bandra East, Maharashtra, Mumbai 400051 (IN). SRI-VASTAVA, Krishna, Ramprakash; UPL Limited, UPL House, 610 B/2, Bandra Village, Off Western Express Highway, Bandra East, Maharashtra, Mumbai 400051 (IN).

(74) Agent: MAJUMDAR, Subhatosh et al.; S. Majumdar & Co., 5, Harish Mukherjee Road, West Bengal, Kolkata 700 025 (IN).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, WS, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM,

TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))

— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

Published:

— with international search report (Art. 21(3))

— in black and white; the international application as filed contained color or greyscale and is available for download from PATENTSCOPE

(54) Title: SPRAY COMPOSITION OF AVERSIVE AGENT

(57) Abstract: The present invention relates to a composition comprising bittering agent for defense against human or animal attacker. The present invention more particularly relates to a composition comprising denatonium compound for self-defense, method of making and use of said composition as a personal protectant against human or animal attacker.

WO 2020/170148 A1

SPRAY COMPOSITION OF AVERSIVE AGENT

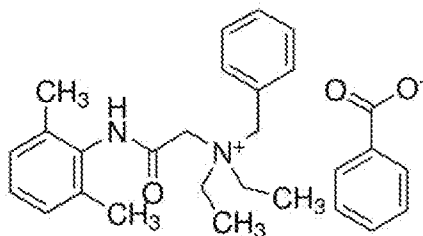
FIELD OF INVENTION

- 5 The present invention relates to a composition comprising bittering agent for defense against human or animal attacker. The present invention more particularly relates to a composition comprising denatonium compound for self-defense, method of making and use of said composition as a personal protectant against human or animal attacker.

BACKGROUND OF THE INVENTION

Denatonium benzoate, also known as phenylmethyl-[2-[(2,6-dimethylphenyl)amino]-2-oxoethyl]-diethylammonium benzoate, CAS no. 3734-33-6. Formula: C₂₈H₃₄N₂O₃ molecular weight: 446.58 g/mol

- 15 Denatonium benzoate is a quaternary ammonium salt formed by the combination of a cationic quaternary ammonium salt with an inert anion such as benzoate ion or saccharin anion. Having the following structure:



- Denatonium benzoate is listed in the Guinness Book of Records and the Merck Index as
20 “the bitterest substance known to man”. Denatonium benzoate can be detected by the average person at 10 ppb and has a generally recognized bitter taste at 50 ppb. The normal application range is 6-50 ppm, depending on the nature of the product to which it is added. However, clinical trials have proven that its extremely human safe drug.

- Denatonium benzoate is generally used as a aversive agent (bittering agent) to prevent
25 eating of toxic substances. For example, added to industrial alcohol, paint, toilet cleaners, liquid soaps, and shampoos in order to prevent poisoning in people, animals. Also, For example, it can be used in a dilute solution to brush on the fingernails of people who are compulsive fingernail-biters or on the thumbs of children who suck their thumbs more

than they should. Denatonium benzoate is also used as an animal repellent such as cat, dog, and bird repellents, for prevention of cannibalism in pigs, to keep horses from chewing their stalls, deer from nibbling tree shoots, and to keep hedgehogs from eating slug pellets (Payne 1988).

- 5 The use of denatonium compounds, in particular, Denatonium Benzoate and Denatonium Saccharide, as aversive agents is known in patents, viz., US3080327, US3268577, US4661504 and US4652577.

EP0173410 discloses a composition for repelling birds and other creatures comprising
10 Denatonium benzoate as a repellant in association with a terpene or terpene polymer.

A variety of products are available commercially for defense purpose and other requirements such as chili spray or pepper spray used by females for self-defense, spray that assists in subduing and arresting people whose behavior is violent or uncooperative.
15 When it comes to self-defense, various spray systems are effective and readily available for personal protection. However, pepper spray and capsaicin can cause permanent damage to the eye, however, prolong exposure could certainly cause permanent damage to the cornea. Similarly, chili spray is not safe for human. Thus, both chili spray and pepper spray are not safe for the human attackers.

20

There remains a need for alternative and effective composition used for defense against human and animal attackers.

The present inventors have surprisingly found that bittering agents when used in a
25 composition does not cause any physical damage to the person on whom it is used and is effective for the purpose. Its inhalation will cause extreme bitterness to the person and person will be distracted instantly from the actions.

Without causing any physical damage to the attacker, aversive agent spray causes
30 discomfort and nausea instantly. Chemical or pepper spray or Chili spray can be harmful to the person on whom it has been used. The aversive agent used herein is chemically safe and doesn't cause any physical damage to the person on whom it is being used.

OBJECTS OF THE INVENTION

5 It is an object of the present invention to provide a composition comprising an aversive agent.

It is another object to provide a spray composition for defense against attackers.

It is an object of the present invention to provide denatonium compounds as aversive agent for dispersing mob and curbing violent protest.

10 It is an object of the present invention to provide spray composition comprising denatonium compound which does not cause any physical damage to the person on whom it is used.

It is another object of the present invention to provide a method of defense using said composition which is safe to use and effective against defense by another.

15 It is an object of the invention to provide a composition comprising denatonium compound which is readily available and used by a person being attacked toward an attacker to provide effective defense.

SUMMARY OF THE INVENTION

20 In an aspect of the present invention, there is provided a composition comprising a bittering agent.

In another aspect of the present invention, there is provided a composition comprising denatonium compound as active agent for defense against attackers.

25 In yet another aspect of the present invention, there is provided a composition comprising denatonium benzoate.

In another aspect of the present invention, there is provided a method of deterring an attack comprising applying said composition to attacker.

30 The present invention is directed to a method of personal self-defense for females which is safe to use and provides an effective deterrent to a would-be assailant. In a preferred embodiment, defense method involves spraying in the direction of the assailant the composition without permanent harmful effect on skin or eyes.

DETAILED DESCRIPTION OF THE INVENTION

For the purposes of the following detailed description, it is to be understood that the invention may assume various alternative variations and step sequences, except where
5 expressly specified to the contrary. Moreover, other than in any operating examples, or where otherwise indicated, all numbers expressing, for example, quantities of materials/ingredients used in the specification are to be understood as being modified in all instances by the term "about". The term "about" used to qualify the amounts of active agent shall be interpreted to mean "approximately" or "reasonably close to" and any
10 statistically insignificant variations therefrom.

Thus, before describing the present invention in detail, it is to be understood that this invention is not limited to particularly exemplified systems or process parameters that may of course, vary. It is also to be understood that the terminology used herein is for the purpose of describing particular embodiments of the invention only and is not intended to
15 limit the scope of the invention in any manner. The use of examples anywhere in this specification including examples of any terms discussed herein is illustrative only, and in no way limits the scope and meaning of the invention or of any exemplified term. Likewise, the invention is not limited to various embodiments given in this specification.

It must be noted that, as used in this specification, the singular forms "a," "an" and "the"
20 include plural referents unless the content clearly dictates otherwise. The terms "preferred" and "preferably" refer to embodiments of the invention that may afford certain benefits, under certain circumstances.

The terms "aversive agent" and "bittering agent" are used interchangeably and included to mean bitter substance in the specification.

25 As used herein, the terms "comprising" "including," "having," "containing," "involving," and the like are to be understood to be open-ended, i.e., to mean including but not limited to.

30 The present invention relates to a composition comprising bittering agent, used for defense.

The bittering agent is selected from natural or synthetic bitterants.

In an aspect of the present invention, there is provided a composition comprising denatonium compound for defense against attacker.

5 In yet another aspect of the present invention, there is provided a composition comprising bittering agent and a carrier.

bittering agent is selected from natural or synthetic bitterants.

In yet another aspect of the present invention, there is provided a composition comprising denatonium compound.

10

The present composition further comprising a carrier selected from water, surfactant, dispersing agent, solvent, colorants, flavors, stabilizers, buffers, thickening agent, solubilizing agent or mixtures thereof.

The carrier is used in an amount of 0.1 to 50 % w/v of the composition.

15

In an embodiment, the liquid composition comprising denatonium compound as aversive agent and a carrier.

20

In another embodiments, the present composition can be in the form of a liquid or solid such as paste, gel, cream, ointment and the like.

Accordingly, the present invention provides a liquid composition comprising denatonium compound as aversive agent.

25

In one embodiment, such liquid forms of the composition include solutions, suspensions, and emulsions. These liquid preparations may further contain, in addition to the active component, colorants, flavors, stabilizers, buffers, artificial and natural bitterants, dispersants, thickeners, solubilizing agents, and the like.

30

The liquid composition of the present invention may further comprise actives that are soluble in water and dissolve in the aqueous medium. In the composition of the invention both suspended and any dissolved active agents are distributed homogeneously.

In some embodiments the present composition may comprise about 10 wt% to about 80 wt% of the propellant.

5 In some embodiments the present composition may comprise about 10 wt% to about 90 wt% of at least one water-miscible solvent selected from monohydric alcohols, polyhydric alcohols and mixtures thereof. The water-miscible solvent can be selected from methyl alcohol, ethyl alcohol, isopropyl alcohol, n-propyl alcohol, iso- butyl alcohol, sec-butyl alcohol, n-butyl alcohol, ethylene glycol, propylene glycol and mixtures thereof.

10

The present composition may contain irritant for example pelargonic acid morpholide, or capsicum oleoresin, or [b, f] -1,4-oxazepine (CR) dibenz, or a mixture of these irritants.

Oleoresin capsicum (OS) is a natural capsaicinoid, an extract of the most burning varieties of red pepper, an irritating substance of natural origin, which is becoming
15 increasingly popular as a means of self-defense. If it enters the mucous membrane of the eyes, nose, upper respiratory tract, it causes a short-term irritating effect in the form of lacrimation, sneezing, salivation, nasal discharge, conjunctival redness. Oleoresin capsicum is considered the safest of all used irritants. The use of OS in the liquid composition as a irritant provides a decrease in the toxicity of the composition.

20 Pelargonic acid morpholide (IPC) is an analogue of OS, with a similar effect on the affected object. It is an oily, transparent liquid with a yellowish tint, insoluble in water, soluble in polar organic solvents (acetone, propanol, dimethylformamide, benzene, alcohols), fats (sunflower, castor oil, etc.).

Dibenz [b, f] -1,4-oxazepine (CR) is a yellow crystal that has irritating effects on the eyes,
25 nasopharynx and skin.

The use of these substances in the liquid composition as irritants makes it possible to provide criteria for the effectiveness of the irritating effect (blepharospasm, lacrimation, etc.).

30 In an embodiment the present composition is aqueous composition or non-aqueous composition or a hydroalcoholic composition.

In an embodiment the present composition comprises a bittering agent and water.

In an embodiment the present composition is a suspension.

In an embodiment the present composition is a solution.

In an embodiment the present composition is a gel.

5

In another embodiment the present composition is a clear aqueous suspension formulation.

10 In one embodiment, there is provided an aqueous composition comprising denatonium compound as aversive agent.

In an embodiment, the composition is in the form of a spray.

In one embodiment, the composition is a spray comprising an aqueous suspension of aversive agent.

15

In one embodiment, the composition is a spray comprising an aqueous suspension of denatonium compound.

20 In an embodiment, denatonium compound is selected from the group comprising denatonium chloride, denatonium citrate, denatonium saccharide, denatonium carbonate, denatonium acetate, denatonium benzoate, denatonium benzoate monohydrate and mixtures thereof.

In an embodiment, denatonium salt is denatonium benzoate.

25

In one embodiment, there is provided an aqueous composition comprising denatonium benzoate as bittering agent and a carrier.

30 In one embodiment, there is provided an aqueous composition comprising denatonium compound as bittering agent for defense against attacker.

In one embodiment, there is provided an aqueous suspension comprising denatonium benzoate as bittering agent for defense against human or animal attacker.

5 In one embodiment, there is provided a spray comprising an aqueous composition comprising denatonium compound as bittering agent for protection against attacker.

In one embodiment, there is provided use of denatonium benzoate as bittering agent for self-defense.

10 In one embodiment, the present composition is a spray comprising an aqueous suspension of denatonium compound.

In one embodiment, the present composition is a spray comprising an aqueous suspension of denatonium benzoate.

15 The present spray comprising denatonium compound is particularly used for defense against attackers.

20 The present invention more particularly relates to use of denatonium compound in the present composition which may be formed into water or an aerosol or placed in a pressure sprayer to form a personal protectant for defense against attackers.

The present invention relates to a spray comprising denatonium compound used for defense.

25 According to an aspect of the present invention, there is provided a method of preparing a composition comprising aversive agent.

30 The compositions can be prepared by the convention methods known to a person skilled in the art. Typically the method of preparing the present spray composition comprises mixing the active agent with suitable carrier and stirring the mixture to obtain a clear composition ready to spray.

The composition according to the present invention may be mixed with water and sprayed on the mob. Advantageously, such spraying is also helpful in controlling riots or taming violent protest by mob. The bitterant effect of denatonium compound for example denatonium benzoate would disperse the angry mob and help control the riots or violent protest.

Preferably, the carrier is water and forms an aqueous solution containing the other ingredients.

10 In some embodiments, the composition comprises an oil-based carrier solution containing the ingredients is an alternative to the aqueous carrier solution. Accordingly, the composition can comprise essential oil for example sesame oil, olive oil, corn oil, soybean oil, cottonseed oil, peanut oil, lanolin, petroleum jelly, paraffin, silicone oil, fatty acids of 6 to 30 carbon atoms or the corresponding glycerol or alcohol esters.

15 Alternative embodiments of the present invention provide a gel formulation comprising solid carriers containing the active ingredients. The solid carrier further contains thickening agents to provide the composition with the consistency of wax or paraffin.

20 In an embodiment, the concentration of the aversive agent in the compositions of the invention may vary depending on the particular bittering agent employed, and on the application for which the composition is intended, with the proviso that the concentration employed may not be toxic or below the targeted toxicity level.

25 In an embodiment, the composition comprises denatonium compound as aversive agent, the denatonium compound is typically present in the composition at a concentration in the range of about 0.01 to 50 % wt/v, preferably 0.01 to 20% w/v, more preferably in the range of about 0.01 to 10 % w/v which include 1%, 2%, 3%, 4%, 5%, 6%, 7%, 8% and 9% w/v.

30 The composition may be formed into an aerosol or placed in a pressure sprayer to form a personal protectant for defense against attackers.

According to another aspect of the present invention, there is provided a method of deterring an attack comprising spraying the composition at a human or animal attacker.

In an embodiment, the method of deterring human attack comprising spraying the composition comprising denatonium compound at a human attacker.

In an embodiment, the composition further comprises surfactant selected from the below list.

10 Anionic surfactant: sulfate, sulfonate, and phosphate, carboxylate derivatives: Anionic surfactants contain anionic functional groups at their head, such as sulfate, sulfonate, phosphate, and carboxylates. Prominent alkyl sulfates include ammonium lauryl sulfate, sodium lauryl sulfate (sodium dodecyl sulfate, SLS, or SDS), and the related alkyl-ether sulfates sodium laureth sulfate (sodium lauryl ether sulfate or SLES), and sodium myreth sulfate. Carboxylates are the most common surfactants and comprise the carboxylate salts (soaps), such as sodium stearate. More specialized species include sodium lauroyl sarcosinate and carboxylate-based fluorosurfactants such as perfluorononanoate, perfluorooctanoate (PFOA or PFO).

20 Cationic surfactant: pH-dependent primary, secondary, or tertiary amines; primary and secondary amines become positively charged at $\text{pH} < 10$: octenidine dihydrochloride.

Permanently charged quaternary ammonium salts: cetrimonium bromide (CTAB), cetylpyridinium chloride (CPC), benzalkonium chloride (BAC), benzethonium chloride (BZT), dimethyl dioctadecyl ammonium chloride, and dioctadecyl dimethyl ammonium bromide

30 Zwitterionic: Zwitterionic (amphoteric) surfactants have both cationic and anionic centers attached to the same molecule. The cationic part is based on primary, secondary, or tertiary amines or quaternary ammonium cations. The anionic part can be more variable and include sulfonates, as in the sultaines CHAPS (3-[(3-cholamidopropyl)dimethylammonio]-1-propanesulfonate) and cocamide. Betaines such as

cocamidopropyl betaine have a carboxylate with the ammonium. The most common biological zwitterionic surfactants have a phosphate anion with an amine or ammonium, such as the phospholipids phosphatidylserine, phosphatidylethanolamine, phosphatidylcholine, and sphingomyelins.

5

Non-ionic surfactants:

Non-ionic surfactants have covalently bonded oxygen-containing hydrophilic groups, which are bonded to hydrophobic parent structures. The water-solubility of the oxygen groups is the result of hydrogen bonding. Hydrogen bonding decreases with increasing temperature, and the water solubility of non-ionic surfactants therefore decreases with increasing temperature.

10

Ethoxylates:

Fatty alcohol ethoxylate, Narrow-range ethoxylate, Octaethylene glycol monododecyl ether, Pentaethylene glycol monododecyl ether

15

Alkylphenol ethoxylates (APEs) : Nonoxynols, Triton X-100

Fatty acid ethoxylates, Fatty acid ethoxylates are a class of very versatile surfactants, which combine in a single molecule the characteristic of a weakly anionic, pH-responsive head group with the presence of stabilizing and temperature responsive ethyleneoxide units.

20

Special ethoxylated fatty esters and oils:

Ethoxylated amines and/or fatty acid amides: Polyethoxylated tallow amine, Cocamide monoethanolamine, Cocamide diethanolamine, Terminally blocked ethoxylate, Poloxamers

25

Fatty acid esters of polyhydroxy compounds

Fatty acid esters of glycerol: Glycerol monostearate, Glycerol monolaurate

Fatty acid esters of sorbitol

30

Spans: Sorbitan monolaurate, Sorbitan monostearate, Sorbitan tristearate,

Tweens: Tween 20, Tween 40, Tween 60, Tween 80

Fatty acid esters of sucrose

Alkyl polyglucosides

Alkyl polyglycoside : Decyl glucoside, Lauryl glucoside, Octyl glucoside

Amine oxides : Lauryldimethylamine oxide

5

In some embodiments, other bitter (aversive) agents which can be used in the composition either alone or in combination or the composition comprising at least one bittering agent can be prepared according to the present invention for desired purpose, include but not limited to, natural bitter substance or a member selected from the group consisting of:

10 denatonium salts or a derivative thereof; quercetin (3,3',4',5,7-pentahydroxyflavone); **Naringin** (4',5,7-Trihydroxyflavanone-7-rhamnoglucoside); Aucubin; Amarogentin; Dihydrofoliamentin; Gentiopicroside; Gentiopicrin; Swertiamarin; Swerosid; Gentioflavosid; Centaurosic; Methiafolin; Harpagoside; Centapikrin; Sailicin; **Kondurangin**; Absinthin; Artabsin; Cnicin; **Lactucin**; **Lactucopicrin**; **Salonitenolid**; α -
15 **thujone**; β -thujone; Desoxy Limonene; Limonin; Ichangin; iso-Obacunoic Acid; Obacunone; Obacunoic Acid; Nomilin; Ichangin; Nomilinoic acid; Marrubin; Prämarrubin; Carnosol; Carnosic acid; Quassin; Quinine hydrochloride; Quinine sulfate; Quinine dihydrochloride; Columbine; Caffeine; Threonine; Methionine; Phenylalanine; Tryptophan; Arginine; Histidine; Valine; Aspartic acid; Sucrose octaacetate; Quinine
20 bisulfate; hop extract; and mixtures thereof.

According to the present invention a particularly preferred bittering agent is denatonium benzoate, also known as phenylmethyl-[2-[(2,6-dimethylphenyl)amino]-2-oxoethyl]-diethylammonium benzoate.

25

In one preferred embodiment the composition comprising denatonium benzoate as aversive agent and at least one carrier selected from poly vinyl pyrrolidone, poly vinyl pyridine, polyvinyl alcohol or mixtures thereof.

30 In one embodiment, the present invention provides use of denatonium compound for example denatonium benzoate as bittering agent for self-defense against human or animal attacker.

In another aspect of the present invention, there is provided a method of deterring a human attack comprising spraying the present composition comprising denatonium compound for example denatonium benzoate at a human attacker.

- 5 According to an embodiment of the present invention, a kit comprising stable composition according to the present invention for self-defense.

In another aspect the present invention provides a spray device comprising a composition of denatonium benzoate in an amount of less than 50 % w/v.

10

In another aspect the present invention provides a spray device comprising a composition of denatonium benzoate in an amount of 0.01 to 50 % w/v.

- 15 In another aspect the present invention provides a personal defense device carried by a user, for spraying a liquid composition comprising denatonium benzoate in an amount of 0.01 to 50 % w/v.

- 20 In another aspect the present invention provides a defense spray pack comprising an aqueous composition of denatonium benzoate in an amount of 0.01 to 50 % w/v and instructions manual to use the same.

In another aspect the present invention provides a defense spray pack comprising a non-aqueous composition of denatonium benzoate in an amount of 0.01 to 50 % w/v.

- 25 Advantages of the present invention:

1. The present formulation is environment friendly.
2. **The present formulation doesn't cause any physical damages to skin and eyes.**
3. The present product is physiochemically stable and environmentally safe.
4. The formulation also gives sustain release effect because of addition of polymers.
- 30 5. The advantage of using polymer is bitter effect remains on the surface for a longer period and hence the efficacy of the formulation is extended to a longer period.
6. The formulation has excellent spray ability.

7. The formulation has improved efficacy.

It will be understood that the specification and examples are illustrative but not limitative of the present invention and that other embodiments within the spirit and scope of the present invention will suggest themselves to those skilled in the art. Other embodiments can be practiced that are also within the scope of the present invention. The following examples illustrate the invention, but by no means intend to limit the scope of the claims.

The composition described above is safe, efficacious and stable at various temperatures, and even when the stable agrochemical composition undergoes shear forces for example on mixing.

In order that the present invention may be more readily understood, reference will now be made, by way of example, to the aforesaid description.

EXAMPLES

The compositions described in following examples were taken further to evaluate physicochemical parameters. Stability features associated with the composition developed according to the present invention were studied. It was found that all the compositions remained stable when tested at ambient conditions i.e. room temperature and pressure. The compositions also passed 0°C and Accelerated Heat Stability (AHS) test for 14 days and remained clear and flowable suspension.

EXAMPLE 1:

TABLE 1

No	Ingredients	FORMULATION 1 Qty % w/v	FORMULATION 2 Qty % w/v	FORMULATION 2 Qty % w/v	FORMULATION 3 Qty % w/v	FORMULATION 4 Qty % w/v
1	Denatonium Benzoate	0.5	1	5	15	20
2	Water	Quantity sufficient (q.s.)	q.s.	q.s.	q.s.	q.s.
3	Irritant (optional) Capsaicin	Not Used	Not Used	Not Used	0.05	Not Used

OBSERVATIONS (14 days accelerated stability at 45 degrees)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	Suspension
2	Viscosity in CPS	1.21 cP	1.21 cP	1.68	1.79	1.81
3	Specific Gravity	1.2	1.2	1.2	2.1	2.4
4	Observation	Clear solution	Clear solution	Sediment formation which is easily dispersible	Sediment formation which is easily dispersible	Sediment formation which is easily dispersible
		There is no film formation. Drug remains on the surface and can get easily rub off.				
OBSERVATIONS (0 degrees/14 days)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	Suspension
2	Viscosity in CPS	1.74	1.76	1.56	1.87	1.87
3	Specific Gravity	1.87	1.9	1.8	2.0	2.7
4	Observation	Clear solution	Clear solution	Sediment formation which is easily dispersible	Sediment formation which is easily dispersible	Sediment formation which is easily dispersible
		There is no film formation. Drug remains on the surface and can get easily rub off.				
	Process of preparation	Keep required quantity of water under stirring. Add weighed quantity of denatonium Benzoate and stir. Filter if required.				

5 **EXAMPLE 2:****TABLE 2**

No	Ingredients	FORMULATION 1 Qty % w/v	FORMULATION 2 Qty % w/v	FORMULATION 3 Qty % w/v	FORMULATION 4 Qty % w/v	
1	Denatonium Benzoate	0.5	1	15	20	
2	Poly vinyl Pyrrolidone	0.01	20	3.5	5	
4	Water	q.s.	q.s.	q.s.	q.s.	
5	Irritant (optional) Capsaicin	Not Used	Not Used	Not Used	Not Used	
OBSERVATIONS (14 days accelerated stability at 45 degrees)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	
2	Viscosity in CPS	1.21 cP	2.81 cP	1.68	1.79	

3	Specific Gravity	1.2	3.3	1.2	2.1	
4	Observation	Clear solution	Clear solution	Sediment formation which is easily dispersible	Sediment formation which is easily dispersible	
		Thin film formation with little tensile strength.				
OBSERVATIONS (0 degrees/14 days)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	
2	Viscosity in CPS	1.78	2.07	2.98	1.09	
3	Specific Gravity	1.3	3.4	1.4	2.8	
4	Observation	Clear solution	Clear solution	Sediment formation which is easily dispersible		
		Thin film formation with little tensile strength.				
	Process of preparation	Keep required quantity of water under stirring. Add weighed quantity of Poly vinyl Pyrrolidone slowly till it forms a clear solution. Add weighed quantity of denatonium Benzoate and stir. Filter if required.				

5

EXAMPLE 3:**TABLE 3**

No	COMPOSITION	FORMULATION 1 Qty % w/v	FORMULATION 2 Qty % w/v	FORMULATION 3 Qty % w/v	FORMULATION 4 Qty % w/v	
1	Denatonium Benzoate	0.5	1	15	20	
2	Poly vinyl pyridine	0.01	20	3.5	5	
4	Water	q.s.	q.s.	q.s.	q.s.	
5	Irritant (optional) Capsaicin	Not Used	Not Used	Not Used	Not Used	
OBSERVATIONS (14 days accelerated stability at 45 degrees)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	
2	Viscosity in CPS	1.21 cP	2.88 cP	2.10	2.19	
3	Specific Gravity	1.2	3.2	1.88	1.91	
4	Observation	Clear solution	Clear solution	Sedimentation which is easily dispersible	Sedimentation which is easily dispersible	
		Very thin film which releases active for at least 20-30 mins.	Film is little thicker which releases active for at least 20-30	Very thin film which releases active for at least 20-30 mins. But	Film is little thicker least 20-30 mins. But film may crack after 10 mins or gets	

			mins. But film may crack after 10 mins or gets easily wiped off.	film may crack after 10 mins or gets easily wiped off.	easily wiped off.	
OBSERVATIONS (0 degrees/14 days)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	
2	Viscosity in CPS	1.4	1.98	1.99	2.09	
3	Specific Gravity	1.42	2.99	1.07	2.87	
4	Observation	Clear solution	Clear solution	Sedimentation which is easily dispersible	Sedimentation which is easily dispersible	
		Very thin film which releases active for at least 20-30 mins.	Film is little thicker which releases active for at least 20-30 mins. But film may crack after 10 mins or gets easily wiped off.	Very thin film which releases active for at least 20-30 mins. But film may crack after 10 mins or gets easily wiped off.	Film is little thicker least 20-30 mins. But film may crack after 10 mins or gets easily wiped off.	
	Process of preparation	Keep required quantity of water under stirring. Add weighed quantity of Poly vinyl Pyridine slowly till it forms a clear solution. Add weighed quantity of denatonium Benzoate and stir. Filter if required.				

EXAMPLE 4:

5

TABLE 4

No	Composition	FORMULATION 1 Qty % w/v	FORMULATION 2 Qty % w/v	FORMULATION 3 Qty % w/v	FORMULATION 4 Qty % w/v	
1	Denatonium Benzoate	0.5	1	15	5	
2	Polyvinyl Alcohol	0.01	1	3.5	20	
3	Water	q.s.	q.s.	q.s.	q.s.	
4	Irritant (optional) Capsaicin	Not Used	Not Used	Not Used	Not Used	
OBSERVATIONS (14 days accelerated stability at 45 degrees)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	
2	Viscosity in CPS	1.21 cP	1.21 cP	1.06 cP	Very thick solution. Forms cake at the bottom	
3	Specific Gravity	1.2	1.2	3.8	3.9	
4	Observation	Clear solution	Clear solution	Sedimentation which is easily dispersible	Sedimentation which is easily dispersible	
		Very thin film. Doesn't remain on surface for long time. Effective to release drug for 10-15 mins. Can get easily rubbed off.			Comparatively thicker film. Doesn't remain on the surface for long	

					time. Effective to release drug for 10-15 mins. Can get easily rubbed off.	
OBSERVATIONS (0 degrees/14 days)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	
2	Viscosity in CPS	2.18	1.21	1.09	Very thick solution.	
3	Specific Gravity	1.12	1.56	3.06	4	
4	Observation	Clear solution	Clear solution	Sedimentation which is easily dispersible	Sedimentation which is easily dispersible	
		Very thin film. Doesn't remain on surface for long time. Effective to release drug for 10-15 mins. Can get easily rubbed off.			Comparatively thicker film. Doesn't remain on the surface for long time. Effective to release drug for 10-15 mins. Can get easily rubbed off.	
	Process of preparation	Keep required quantity of water under stirring. Add weighed quantity of Poly vinyl Alcohol slowly till it forms a clear/milky solution. Add weighed quantity of denatonium Benzoate and stir. Filter if required.				

EXAMPLE 5:**TABLE 5**

5

No	Composition	FORMULATION 1 Qty % w/v	FORMULATION 2 Qty % w/v	FORMULATION 3 Qty % w/v	FORMULATION 4 Qty % w/v	
1	Denatonium Benzoate	0.5	10	15	20	
2	Polyvinyl Alcohol	20	8	3	5	
5	Polyvinyl pyridine	0.2	0.2	0.3	0.2	
6	Water	q.s.	q.s.	q.s.	q.s.	
7	Irritant (optional) Capsaicin	Not Used	Not Used	Not Used	Not Used	
OBSERVATIONS (14 days accelerated stability at 45 degrees)						
1	Appearance	Clear solution	Suspension	Suspension	Suspension	
2	Viscosity in CPS	1.21 cP	1.5 cP	1.9 cP	2.2	
3	Specific Gravity	1.2	1.2	3.5	4.1	
4	Observations	Clear solution	Clear solution	Uniform suspension. Easily dispersible.	Uniform suspension. It settles down, but is easily re-dispersible	
		Uniform film formation. Film remains the surface for a long time and releases drug. If	Uniform film formation. Film remains the surface for a long time and releases drug. If film remains on the surface, will release drug for 20-25 mins			

		film remains on the surface, will release drug for 20-25 mins				
OBSERVATIONS (0 degrees/14 days)						
1	Appearance	Clear solution	Suspension	Suspension	Suspension	
2	Viscosity in CPS	2.1	1.45	1.88	2.20	
3	Specific Gravity	2.22	1.98	3.89	3.87	
4	Observations	Clear solution	Clear solution	Uniform suspension. Easily dispersible.	Uniform suspension. It settles down, but is easily re-dispersible	
		Uniform film formation. Film remains the surface for a long time and releases drug. If film remains on the surface, will release drug for 20-25 mins	Uniform film formation. Film remains the surface for a long time and releases drug. If film remains on the surface, will release drug for 20-25 mins	Uniform film formation. Film remains the surface for a long time and releases drug. If film remains on the surface, will release drug for 20-25 mins	Uniform film formation. Film remains the surface for a long time and releases drug. If film remains on the surface, will release drug for 20-25 mins	
	Process of preparation	Keep required quantity of water under stirring. Add weighed quantity of Poly vinyl Alcohol, polyvinyl Pyrrolidone and Polyvinyl Pyridine slowly till it forms a clear/milky solution. Add weighed quantity of denatonium Benzoate and stir. Filter if required.				

Example 6:

5

TABLE 6

No	Ingredients	FORMULATION 1 Qty % w/v	FORMULATION 2 Qty % w/v	FORMULATION 3 Qty % w/v	FORMULATION 4 Qty % w/v	
1	Denatonium Benzoate	0.5	1	15	20	
2	Non aqueous Solvent (compatible and approve for human consumption) Methanol	q.s.	q.s.	q.s.	q.s.	
3	Water	-	20	40	60	
4	Irritant (optional) Capsaicin	Not Used	0.05	Not Used	0.04	
OBSERVATIONS (14 days accelerated stability at 45 degrees)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	
2	Viscosity in CPS	1.21 cP	1.21 cP	1.68	1.79	
3	Specific Gravity	1.2	1.2	1.2	2.1	

4	Observation	Clear solution	Clear solution	Sediment formation which is easily dispersible	Sediment formation which is easily dispersible	
There is no film formation. Drug remains on the surface and can get easily rub off.						
OBSERVATIONS (0 degrees/14 days)						
1	Appearance	Clear solution	Clear solution	Suspension	Suspension	
2	Viscosity in CPS	2.08	2.11	1.67	2.01	
3	Specific Gravity	2.10	2.67	2.11	1.98	
4	Observation	Clear solution	Clear solution	Sediment formation which is easily dispersible	Sediment formation which is easily dispersible	
		There is no film formation. Drug remains on the surface and can get easily rub off.				
	Process for preparation	Keep required quantity of water under stirring. Add weighed quantity of denatonium Benzoate and stir. Filter if required. (Optional: Add weighed quantity of Poly vinyl Alcohol, polyvinyl Pyrrolidone and Polyvinyl Pyridine slowly till it forms a clear/milky solution.)				

From the above data, it was observed that the all the compositions of the present invention are stable and effective.

5

10

15

20

CLAIMS

1. A composition comprising a bittering agent for defense against attacker.
2. The composition as claimed in claim 1 wherein said bittering agent is selected
5 from natural or synthetic bitterant.
3. The composition as claimed in claim 1 wherein said bittering agent is denatonium compound.
4. The composition as claimed in claim 3 wherein said denatonium compound is selected from the group comprising denatonium chloride, denatonium citrate,
10 denatonium saccharide, denatonium carbonate, denatonium acetate, denatonium benzoate, denatonium benzoate monohydrate and mixtures thereof.
5. The composition as claimed in claim 1 wherein said denatonium compound is denatonium benzoate.
6. The composition as claimed in claim 1 wherein said denatonium compound is
15 used in an amount of less than 50% w/v.
7. The composition as claimed in claim 1 wherein said denatonium compound is used in an amount of 0.01 to 50% w/v.
8. The composition as claimed in claim 1 further comprising a carrier selected from water, surfactant, dispersing agent, solvent, colorants, flavors, stabilizers, buffers,
20 thickening agent, solubilizing agent or mixtures thereof.
9. The composition as claimed in claim 5 wherein said carrier is used in an amount of 0.1 to 50 % w/v of the composition.
10. The composition as claimed in claim 1 is in the form of liquid, paste, gel, cream formulation.
- 25 11. The composition as claimed in claim 7 wherein said liquid formulation is an aqueous or non-aqueous formulation, solution, suspension or emulsion.
12. An aqueous composition comprising denatonium compound as bittering agent for defense against attacker.
13. An aqueous suspension comprising denatonium benzoate as bittering agent for
30 defense against human or animal attacker.
14. A spray comprising an aqueous composition comprising denatonium compound as bittering agent for protection against attacker.

15. Use of denatonium benzoate as bittering agent for self-defense.
16. A method of deterring an attack comprising spraying composition comprising denatonium compound as bittering agent at attacker.

5

10

15

20

25

30

INTERNATIONAL SEARCH REPORT

International application No.

PCT/IB2020/051373

A. CLASSIFICATION OF SUBJECT MATTER

**A01N 37/44 (2006.01) A01N 25/02 (2006.01) A01N 25/06 (2006.01) A01N 25/30 (2006.01) A01P 17/00 (2006.01)
A01M 29/12 (2011.01)**

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

Index Classification Symbols A01N37/44, A01N25/02, A01N 25/06, A01N 25/30, A01P17/00, A01M29/12, F41H9/10
Databases -Intel GNP, CAPLUS, REGISTRY, GOOGLE, GOOGLE PATENT, GOOGLE SCHOLAR Logical database -
PATENTW

Keywords- denatonium, denatonium benzoate, repellent, aversive, bitterant, animal, bear, tiger, lion, BOBCAT, DOG, COYOTE
self-defence or personal protection/protectant and like terms.

Applicant/Inventor search terms - UPL Ltd; SRIVASTAVA, Krishna, Ramprakash; LOKHANDE, Pradnya, Sanjay; UPPARA,
Parasu, Veera.

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
	Documents are listed in the continuation of Box C	

☒ Further documents are listed in the continuation of Box C

☒ See patent family annex

* Special categories of cited documents:	
"A" document defining the general state of the art which is not considered to be of particular relevance	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"D" document cited by the applicant in the international application	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"E" earlier application or patent but published on or after the international filing date	"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"&" document member of the same patent family
"O" document referring to an oral disclosure, use, exhibition or other means	
"P" document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search
4 May 2020

Date of mailing of the international search report
04 May 2020

Name and mailing address of the ISA/AU

AUSTRALIAN PATENT OFFICE
PO BOX 200, WODEN ACT 2606, AUSTRALIA
Email address: pct@ipaustralia.gov.au

Authorised officer

Karl Heys
AUSTRALIAN PATENT OFFICE
(ISO 9001 Quality Certified Service)
Telephone No. +61262832725

INTERNATIONAL SEARCH REPORT		International application No.
C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		PCT/IB2020/051373
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 5891919 A (BLUM et al) 06 April 1999 Abstract, claims, examples (especially ex 4)	1-16
X	EP 0027624 B1 (Henkel AG and Co KGaA) 16 March 1983 Introduction, claims, example, column 2 lines 52-63 of original document.	1-16
X	CA 2941717 A1 (MARTYN, TIMOTHY J.) 14 March 2018 Abstract, claim 1, [0004], [00016].	1-5, 8-16
X	US 4661504 A (HOLLANDER et al.) 28 April 1987 Abstract, examples, claims col 3 lines 4-17.	1-16
X	CN 105265433 A (DONGGUAN LIPULI CHEMICAL TECHNOLOGY CO LTD) 27 January 2016 Abstract, example, claims 1 and 2	1-16
X	US 2013/0161222 A1 (PATEL) 27 June 2013 Abstract, [0008]-[0010]	1-12 & 15-16
X	US 6399073 B2 (PINKNEY) 04 June 2002 Abstract, col 5 paragraph 3, examples, claims.	1-5 & 7-16
X	US 5698180 A (DIXON) 16 December 1997 Abstract, col 4 lines 45-48, claims.	1-5 & 7-16
X	'Shaws Chew Deterrent' by Bob Martin, GNPD database record ID 4993957, published August 2017. Please Note- the product can be found at <URL:https://canineconcepts.co.uk/product/chew-deterrent-shaws/> Title, Product Description, ingredients	1-5, 8 & 10-14
X	Trent A. Comparison of Commercial Deer Repellants. US Department of Agriculture, Forest Service, Technology & Development Program; 2001. 'Tests of commercial repellents' Table 1, "Ropel" & "tree guard"	1--8 & 10-14
X	WO 94/004027 A1 (NORTECH FOREST PRODUCTS, INC.) 03 March 1994 abstract claims.	1-14
Form PCT/ISA/210 (fifth sheet) (July 2019)		

INTERNATIONAL SEARCH REPORT		International application No.	
Information on patent family members		PCT/IB2020/051373	
This Annex lists known patent family members relating to the patent documents cited in the above-mentioned international search report. The Australian Patent Office is in no way liable for these particulars which are merely given for the purpose of information.			
Patent Document/s Cited in Search Report		Patent Family Member/s	
Publication Number	Publication Date	Publication Number	Publication Date
US 5891919 A	06 April 1999	US 5891919 A	06 Apr 1999
		AU 8603598 A	12 Apr 1999
		EP 1015418 A1	05 Jul 2000
		EP 1015418 B1	26 May 2004
		WO 9915495 A1	01 Apr 1999
EP 0027624 B1	16 March 1983	EP 0027624 A1	29 Apr 1981
		EP 0027624 B1	16 Mar 1983
		DE 2942581 A1	30 Apr 1981
CA 2941717 A1	14 March 2018	CA 2941717 A1	14 Mar 2018
US 4661504 A	28 April 1987	US 4661504 A	28 Apr 1987
CN 105265433 A	27 January 2016	CN 105265433 A	27 Jan 2016
US 2013/0161222 A1	27 June 2013	US 2013161222 A1	27 Jun 2013
US 6399073 B2	04 June 2002	US 2001016215 A1	23 Aug 2001
		US 6399073 B2	04 Jun 2002
		US 5217708 A	08 Jun 1993
US 5698180 A	16 December 1997	US 5698180 A	16 Dec 1997
WO 94/004027 A1	03 March 1994	None	
End of Annex			
Due to data integration issues this family listing may not include 10 digit Australian applications filed since May 2001.			