



METHODS OF ATTRACTING AND COMBATting INSECTS

The invention relates to methods of attracting and combatting insects at a locus at which a crop is growing, especially a plantation crop, or at a locus where
5 the presence of insects is undesirable for public health reasons.

Many insecticidally active compounds are known, such as the insecticidal pyrazoles described in International Patent Publications No. WO 87/03781, WO 93/06089 and WO 94/21606, as well as in European Patent Publications 0295117, 0403300, 0385809, and 0679650, German Patent Publication 19511269
10 and United States Patents 5,232,940 and 5,236,938.

A particular problem connected with the control of nuisance insects, especially the insects which are found to inhabit private or public housing or buildings, is that it is difficult to reach and treat all of the insects and it is most desirable to have a method to eliminate the population of insects, especially those
15 insects which are not accessible to the treatment or have remained untreated for any reason.

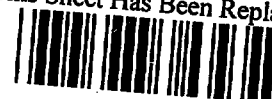
An additional obstacle in eliminating or reducing a population of nuisance insects is that said insects are often able to detect the presence of insecticidally active ingredients, said ingredients thus acting as a repellent or anti-feeding agent
20 for the insects.

Up until now, a common method for controlling a large population of insects, especially those inaccessible to direct treatment, is to utilise a program of multiple treatments or multiple placement of baits containing insecticidally active ingredients, or to associate attractants with insecticidally active ingredients.

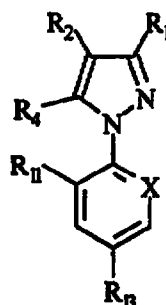
25 An object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects.

Another object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects whereby an attractive ingredient, that is, an attractant, is presented to the insects.

30 An especially advantageous object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects whereby an attractant is presented to the insects, said attractant being simultaneously insecticidally active.



The present invention thus provides a new use, as an attractant for insects, of a compound having the formula:



(I)

5

wherein:

R₁ is CN or methyl;

R₂ is S(O)_nR₃;

R₃ is alkyl or haloalkyl;

10 R₄ is selected from the group comprising a hydrogen atom, a halogen atom, and a radical which may be -NR₅R₆, -S(O)_mR₇, alkyl, haloalkyl, -OR₈, or -N=C(R₉)(R₁₀);

R₅ and R₆ are independently selected from a hydrogen atom, alkyl, haloalkyl, -C(O)alkyl, -S(O)_rCF₃; or R₅ and R₆ form together a divalent radical which may be

15 interrupted by one or more heteroatoms;

R₇ is selected from alkyl or haloalkyl;

R₈ is selected from alkyl, haloalkyl or the hydrogen atom;

R₉ is selected from the hydrogen atom and alkyl;

R₁₀ selected from phenyl or heteroaryl that is optionally substituted by one or more

20 hydroxy, a halogen atom, -O-alkyl, -S-alkyl, cyano, or alkyl or combinations thereof;

R₁₁ and R₁₂ are independently selected from a halogen atom or the hydrogen atom;

R₁₃ is selected from a halogen atom, haloalkyl, haloalkoxy, -S(O)_qCF₃, -SF₅;

25 m,n,q,r are independently selected from 0,1, and 2;

X is selected from the element Nitrogen and the radical C-R₁₂;

provided that when R₁ is methyl, R₃ is haloalkyl, R₄ is NH₂, R₁₁ is Cl, R₁₃ is CF₃, and X is N.

A preferred group of Formula I compounds are those wherein:

- 5 R₁ is CN;and/or
- R₃ is haloalkyl;and/or
- R₄ is NH₂;and/or
- R₁₁ and R₁₂ are independently from halogen;and/or
- R₁₃ is haloalkyl.

- 10 Especially preferred for use in accord with the present invention is the insecticide 5-amino-3-cyano-1-(2,6-dichloro-4-trifluoromethyl)phenyl-4-trifluoromethylsulfinylpyrazole. This compound is designated hereafter as compound (A).

- 15 In the above description alkyl may be a branched or linear chain from 1 to 6 carbons. Halogen may be fluorine, chlorine, bromine or iodine, preferably fluorine or chlorine.

The preparation of compounds of formula (I) for use herein can proceed according to any process described in the hereinabove-cited patent documents, or other process within the knowledge of one skilled in the art of chemical synthesis.

- 20 In one aspect, the present invention thus provides a method for attracting insects, said method comprising offering to said insects for ingestion an effective attractant amount of a compound of formula (I) as defined above.

- In another aspect, the present invention provides a method for attracting and killing insects comprising offering to said insects for ingestion a compound of
- 25 formula (I) as defined above in an amount which is effective both as an attractant and as an insecticide.

- According to a further aspect of the invention, there is provided a method for controlling a population of insects, especially insects able to walk or travel in public or private housing or building or household or home, that is, insects which
- 30 are able to enter or inhabit buildings, whereby an attractant and insecticidally effective amount of a compound of formula (I) as defined above is offered or presented to the insects to be controlled as food among alternative food or foods, which can be closely situated.

The method of the invention is especially advantageous because it provides more possibilities and much more freedom for placement of the insecticidally active ingredient. Because of its attractant properties, the insecticidally active ingredient can be located in any place, not only at the specifically appropriate place
5 where the insects are to travel and feed.

In a preferred embodiment of the present invention, there is provided a method for controlling a population of insects at a locus which is in or near a food storage, preparation, serving or eating area, said method comprising offering to said insects as an alternative food source an amount of a compound of formula (I) as
10 defined above which is effective both as an attractant and as an insecticide. Thus, an effective attractant and insecticidal amount of a compound of formula (I) is preferably offered to the insects in or near an area in which other food is present as a practical consequence of the normal use of the building or housing.

The active ingredient of formula (I) is preferably used in accord with the
15 present invention in the form of a bait, which can be a solid, liquid or gel bait. The manner of preparation of a bait will be apparent to one skilled in the art. Baits have already been described in the patent documents cited hereinabove. It is not necessary to add an attractant to the active ingredient of formula (I) and the carrier or diluent to form the bait, since the compound of formula (I) acts herein as an
20 attractant as well as an insecticide.

The method of the invention is particularly appropriate as a method for the control of populations of insects like cockroaches, ants or the like especially those belonging to the families *Blattidae* or *Formicidae*. Treatment of cockroaches in an area in which their presence can be detrimental to public health, that is to say in
25 housing or buildings, is a preferred feature of the instant invention, especially for the control of so-called American cockroaches (*Periplaneta americana*), but also of other cockroaches such as German cockroaches (*Blattella germanica*).

The attractant compositions or baits which can be used in the practice of the present invention can be offered or presented to the insects in various amounts.
30 Usually, however, it is advantageous to offer these attractant compositions or baits comprising the compound of formula (I) in an appropriate form and in an amount of from about 0.00001 g to about 20 g of active ingredient of formula (I) per 100 square meters, preferably of from about 0.001 g to about 1 g per 100 m².

The attractant compositions which are useful in the present invention generally comprise from about 0.0001 to about 15 % w/w of active ingredient of formula (I), preferably from about 0.01 to about 6 % w/w. These compositions can be in the form of a solid, *e.g.* dusts or granules or wettable powders, or in the form of a liquid, such as an emulsifiable concentrate or a true solution.

The attractant compositions can also contain any compatible surface-active agent and/or carrier, preferably selected from ingredients which can be eaten by insects. The carrier itself can be solid or liquid.

The compounds of formula (I) can be used in sequence or admixture, particularly in admixtures with another pesticide, for example, an insecticide, acaricide or fungicide.

The attractant compositions can be prepared by simply admixing the ingredients.

The invention is illustrated by the following examples which should not be considered as limiting or restricting the invention.

EXAMPLES

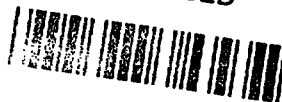
On a large circle situated on a 1 square meter confinement, various foodstuffs and two baits of compound (A) were distributed around the perimeter of a circle of 75 cm diameter. Similar pieces of baits were placed at diametrically opposed points on the circle.

Adults cockroaches (25 males and 25 females) were released and offered harborage 24 hours prior to the start of the experiment. All testing was conducted at night under infrared illumination. Three replicates were conducted for each species. Observations began one hour after lighting in the laboratory went off. The number of foraging cockroaches at each location was recorded at 10 minute intervals for a period of 120 minutes.

Example 1

Only compound (A) was used as an insecticide.

The alternative foods were: 2 pieces of rodent chow, 2 pieces of rodent jelly and 2 vials of water.



The numbers of foraging German cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

62 cockroaches went to compound (A), 43 to chow, 25 to jelly and 22 to water.

5

Example 2

Only compound (A) was used as an insecticide.

The alternative foods were: 2 pieces of rodent chow, 2 vials of oil and 2 vials of water.

10 The numbers of foraging German cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

68 cockroaches went to compound (A), 25 to chow, 23 to oil and 14 to water.

15

Example 3

One insecticidal bait comprised compound (A) and one comprised hydramethylnon.

The alternative foods were : 2 pieces of rodent chow, 2 pieces of rodent jelly, 2 vials of water and 1 piece of hydramethylnon.

20 The numbers of foraging American cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

35 cockroaches went to compound (A) (substantially less to the other insecticide), 17 to chow, 15 to jelly and 18 to water.

25 While the invention has been described in terms of various preferred embodiments, the skilled artisan will appreciate that various modifications, substitutions, omissions and changes can be made without departing from the spirit thereof. Accordingly, it is intended that the scope of the present invention be limited solely by the scope of the following claims, including equivalents thereof.



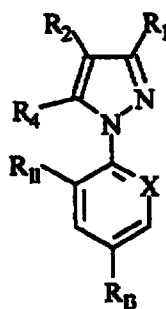


Claims



What we claim is:

- 5 1. A method for attracting and controlling insects which comprises offering to said insects for ingestion of an effective amount of a compound having the formula:



(I)

10

wherein:

R₁ is CN or methyl;

R₂ is -S(O)_nR₃;

R₃ is alkyl or haloalkyl;

- 15 R₄ is selected from the group comprising a hydrogen atom, a halogen atom, and a radical which may be -NR₅R₆, -S(O)_mR₇, alkyl, haloalkyl, -OR₈, or -N=C(R₉)(R₁₀);

R₅ and R₆ are independently selected from a hydrogen atom, alkyl, haloalkyl, -C(O)alkyl, -S(O)_rCF₃; or R₅ and R₆ form together a divalent radical which may be

- 20 interrupted by one or more heteroatoms;

R₇ is selected from alkyl or haloalkyl;

R₈ is selected from alkyl, haloalkyl or the hydrogen atom;

R₉ is selected from the hydrogen atom and alkyl;

R₁₀ selected from phenyl or heteroaryl that is optionally substituted by one or more

- 25 hydroxy, a halogen atom, -O-alkyl, -S-alkyl, cyano, or alkyl or combinations thereof;





WO 96/32014



PCT/EP96/01334

R_{11} and R_{12} are independently selected from a halogen atom or the hydrogen atom;

R_{13} is selected from a halogen atom, haloalkyl, haloalkoxy, $-S(O)_qCF_3$, $-SF_5$; m, n, q, r are independently selected from 0, 1, and 2;

- 5 X is selected from the element Nitrogen and the radical C- R_{12} ; provided that when R_1 is methyl, R_3 is haloalkyl, R_4 is NH_2 , R_{11} is Cl, R_{13} is CF_3 , and X is N.

- 10 2. A method according to Claim 1 wherein

R_1 is CN;and/or

R_3 is haloalkyl;and/or

R_4 is NH_2 ;and/or

R_{11} and R_{12} are independently from halogen;and/or

- 15 R_{13} is haloalkyl.

3. A method according to any of the foregoing claims wherein the compound of formula (I) is 5-amino-3-cyano-1-(2,6-dichloro-4-trifluoromethyl)phenyl-4-trifluoromethylsulfinylpyrazole.

20

4. A method according to any of the foregoing claims wherein a population of insects is in a public or private housing area, public or private building, or public or private home.

- 25 5. A method according to any of the foregoing claims wherein a population of insects are able to enter or inhabit a public or private housing area, a public or private building, or a public or private home .

- 30 6. A method according to any of the foregoing claims wherein an attractant is offered or presented to the insects to be controlled as food among alternative food or foods, which can be closely situated.



WO 96/32014



PCT/EP96/01334

7. A method according to any of the foregoing claims wherein a population of insects is at a locus which is in or near a food storage, preparation, serving or eating area.
- 5 8. A method according to any of the foregoing claims wherein an attractant is used in the form of a bait, which can be a solid, liquid or gel bait.
9. A method according to any of the foregoing claims wherein the insects to be controlled belong to the families *Blatidae* or *Formacidae*.
- 10
10. A method according to any of the foregoing claims wherein the insects to be controlled are American cockroaches (*Periplaneta americana*) or German cockroaches (*Blatella germanica*).
- 15 11. A method according to any of the foregoing claims wherein the amount of attractant is from about 0.00001 g to about 20 g of active ingredient of formula (I) per 100 square meters.
12. A method according to any of the foregoing claims wherein the amount of
- 20 attractant is from about 0.001 g to about 1 g per 100 m².
13. A method according to any of the foregoing claims wherein the attractant comprises from about 0.0001 to about 15 % w/w of active ingredient of formula (I), preferably from about 0.01 to about 6 % w/w.
- 25
14. A method according to any of the foregoing claims wherein the composition of the attractant is in the form of a solid or of a liquid.
15. A method according to any of the foregoing claims wherein the attractant is
- 30 mixed or admixed with a surface-active agent or carrier.
16. A method according to any of the foregoing claims wherein the attractant is mixed or admixed with a with another pesticide.



METHODS OF ATTRACTING AND COMBATting INSECTS

The invention relates to methods of attracting and combatting insects at a locus at which a crop is growing, especially a plantation crop, or at a locus where
5 the presence of insects is undesirable for public health reasons.

Many insecticidally active compounds are known, such as the insecticidal pyrazoles described in International Patent Publications No. WO 87/03781, WO 93/06089 and WO 94/21606, as well as in European Patent Publications 0295117, 0500209, 0403300, 0385809, and 0679650, German Patent Publication
10 19511269 and United States Patents 5,232,940 and 5,236,938.
More particularly, European Patent Publications 0295117 and 0500209 disclose N-phenylpyrazoles, such as the ones used in the present invention, useful in the control of insect pests.

A particular problem connected with the control of nuisance insects,
15 especially the insects which are found to inhabit private or public housing or buildings, is that it is difficult to reach and treat all of the insects and it is most desirable to have a method to eliminate the population of insects, especially those insects which are not accessible to the treatment or have remained untreated for any reason.

20 An additional obstacle in eliminating or reducing a population of nuisance insects is that said insects are often able to detect the presence of insecticidally active ingredients, said ingredients thus acting as a repellent or anti-feeding agent for the insects.

Up until now, a common method for controlling a large population of
25 insects, especially those inaccessible to direct treatment, is to utilise a program of multiple treatments or multiple placement of baits containing insecticidally active ingredients, or to associate attractants with insecticidally active ingredients.

An object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects.

30 Another object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects whereby an attractive ingredient, that is, an attractant, is presented to the insects.

An especially advantageous object of the instant invention is to provide a simplified and efficient method of controlling or combatting insects whereby an
35 attractant is presented to the insects, said attractant being simultaneously insecticidally active.

The numbers of foraging German cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

62 cockroaches went to compound (A), 43 to chow, 25 to jelly and 22 to water.

5

Example 2

Only compound (A) was used as an insecticide.

The alternative foods were: 2 pieces of rodent chow, 2 vials of oil and 2 vials of water.

10 The numbers of foraging German cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

68 cockroaches went to compound (A), 25 to chow, 23 to oil and 14 to water.

15

Example 3

One insecticidal bait comprised compound (A) and one comprised hydramethylnon.

The alternative foods were : 2 pieces of rodent chow, 2 pieces of rodent jelly, 2 vials of water and 1 piece of hydramethylnon.

20 The numbers of foraging American cockroaches for up to 3 hours of foraging time were measured and cumulatively added.

35 cockroaches went to compound (A) (substantially less to the other insecticide), 17 to chow, 15 to jelly and 18 to water.

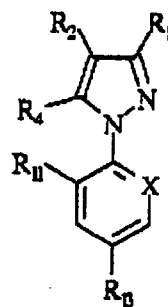
25 While the invention has been described in terms of various preferred embodiments, the skilled artisan will appreciate that various modifications, substitutions, omissions and changes can be made without departing from the spirit thereof.

Claims



What we claim is:

1. A method for attracting and controlling insects which comprises offering to said insects for ingestion of an effective amount of a compound having the formula:



(I)

10

wherein:

- R₁ is CN or methyl;
 R₂ is -S(O)_nR₃;
 R₃ is alkyl or haloalkyl;
 15 R₄ is selected from the group comprising a hydrogen atom, a halogen atom, and a radical which may be -NR₅R₆, -S(O)_mR₇, alkyl, haloalkyl, -OR₈, or -N=C(R₉)(R₁₀);
 R₅ and R₆ are independently selected from a hydrogen atom, alkyl, haloalkyl, -C(O)alkyl, -S(O)_rCF₃; or R₅ and R₆ form together a divalent radical which may be
 20 interrupted by one or more heteroatoms;
 R₇ is selected from alkyl or haloalkyl;
 R₈ is selected from alkyl, haloalkyl or the hydrogen atom;
 R₉ is selected from the hydrogen atom and alkyl;
 R₁₀ selected from phenyl or heteroaryl that is optionally substituted by one or more
 25 hydroxy, a halogen atom, -O-alkyl, -S-alkyl, cyano, or alkyl or combinations thereof;

AMENDED SHEET



- R_{11} and R_{12} are independently selected from a halogen atom or the hydrogen atom;
- R_{13} is selected from a halogen atom, haloalkyl, haloalkoxy, $-S(O)_2CF_3$, $-SF_5$;
- m, n, q, r are independently selected from 0, 1, and 2;
- 5 X is selected from the element Nitrogen and the radical $C-R_{12}$;
- provided that when R_1 is methyl, R_3 is haloalkyl, R_4 is NH_2 , R_{11} is Cl, R_{13} is CF_3 , and X is N.
2. A method for attracting and controlling insects whereby the attracting effect is
- 10 obtained by the contribution of the insecticidally active compound of formula (I) located among alternative closely situated food or foods also pleasant to the said insects.
3. A method for attracting and controlling insects whereby the said insects have a
- 15 choice between various pleasing and closely situated food or foods and are preferentially attracted and directed to the food containing the said insecticidally active compound of formula (I).
4. A method according to any of the foregoing Claims wherein the insecticidally
- 20 active compound is of formula (I) wherein
- R_1 is CN; and/or
- R_3 is haloalkyl; and/or
- R_4 is NH_2 ; and/or
- R_{11} and R_{12} are independently from halogen; and/or
- 25 R_{13} is haloalkyl.
5. A method according to any of the foregoing claims wherein the compound of
- formula (I) is 5-amino-3-cyano-1-(2,6-dichloro-4-trifluoromethyl)phenyl-4-
- trifluoromethylsulfinylpyrazole.
- 30 6. A method according to any of the foregoing claims wherein a population of insects is in a public or private housing area, public or private building, or public or private home.



7. A method according to any of the foregoing claims wherein a population of insects are able to enter or inhabit a public or private housing area, a public or private building, or a public or private home .
- 5 8. A method for attracting and controlling insects whereby an attractant is offered or presented to the insects to be controlled as food among alternative food or foods, which can be closely situated.
- 10 9. A method for attracting and controlling insects whereby a population of insects is at a locus which is in or near a food storage, preparation, serving or eating area.
10. A method according to any of the foregoing claims wherein an attractant is used in the form of a bait, which can be a solid, liquid or gel bait.
- 15 11. A method according to any of the foregoing claims wherein the insects to be controlled belong to the families *Blatidae* or *Formicidae*.
12. A method for attracting and controlling insects whereby the insects to be
- 20 controlled are American cockroaches (*Periplaneta americana*) or German cockroaches (*Blattella germanica*).
13. A method according to any of the foregoing claims wherein the amount of attractant is from about 0.00001 g to about 20 g of active ingredient of formula (I)
- 25 per 100 square meters.
14. A method according to any of the foregoing claims wherein the amount of attractant is from about 0.001 g to about 1 g per 100 m².
- 30 15. A method according to any of the foregoing claims wherein the attractant comprises from about 0.0001 to about 15 % w/w of active ingredient of formula (I), preferably from about 0.01 to about 6 % w/w.

AMENDED SHEET



16. A method according to any of the foregoing claims wherein the composition of the attractant is in the form of a solid or of a liquid.
17. A method according to any of the foregoing claims wherein the attractant is
5 mixed or admixed with a surface-active agent or carrier.
18. A method according to any of the foregoing claims wherein the attractant is mixed or admixed with a with another pesticide.

9705059-5

RTMP3

0080



PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : A01N 47/02, 43/56		A1	(11) International Publication Number: WO 96/32014
			(43) International Publication Date: 17 October 1996 (17.10.96)
(21) International Application Number: ☒ PCT/EP96/01334 (22) International Filing Date: ☒ 27 March 1996 (27.03.96) (30) Priority Data: ☒ 08/419,609 ☒ 10 April 1995 (10.04.95) ☒ US (71) Applicant (for all designated States except US): RHONE-POULENC AGROCHIMIE [FR/FR]; 14-20, rue Pierre-Baizet, F-69009 Lyon (FR). (72) Inventors; and (75) Inventors/Applicants (for US only): DAVIDSON, Thomas, Charles [US/US]; 5409 Pelham Road, Durham, NC 27713 (US). WERNER, Georgina, M. [US/US]; 5901 Fordland Drive, Raleigh, NC 27606 (US). (74) Agent: BRACHOTTE, Charles, Yves; Rhône-Poulenc Agrochimie - DPI, Boîte postale 9163, F-69263 Lyon Cédex 09 (FR).		(81) Designated States: AL, AM, AU, BB, BG, BR, CA , CN, CZ, EE, GE, HU, IS, JP, KG, KP, KR, LK, LB , LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG , SI, SK, TR, TT, UA, US, UZ, VN, ARIPO patent (KE, LS , MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published With international search report.	
(54) Title: METHODS OF ATTRACTING AND COMBATting INSECTS ☒			
(57) Abstract A method for attracting and controlling insects which comprises offering to said insects for ingestion an effective amount of a compound.			

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AM	Armenia	GB	United Kingdom	MW	Malawi
AT	Austria	GE	Georgia	MX	Mexico
AU	Australia	GN	Guinea	NE	Niger
BB	Barbados	GR	Greece	NL	Netherlands
BE	Belgium	HU	Hungary	NO	Norway
BF	Burkina Faso	IE	Ireland	NZ	New Zealand
BG	Bulgaria	IT	Italy	PL	Poland
BJ	Benin	JP	Japan	PT	Portugal
BR	Brazil	KE	Kenya	RO	Romania
BY	Belarus	KG	Kyrgyzstan	RU	Russian Federation
CA	Canada	KP	Democratic People's Republic of Korea	SD	Sudan
CF	Central African Republic	KR	Republic of Korea	SE	Sweden
CG	Congo	KZ	Kazakhstan	SG	Singapore
CH	Switzerland	LI	Liechtenstein	SI	Slovenia
CI	Côte d'Ivoire	LK	Sri Lanka	SK	Slovakia
CM	Cameroon	LR	Liberia	SN	Senegal
CN	China	LT	Lithuania	SZ	Swaziland
CS	Czechoslovakia	LU	Luxembourg	TD	Chad
CZ	Czech Republic	LV	Latvia	TG	Togo
DE	Germany	MC	Monaco	TJ	Tajikistan
DK	Denmark	MD	Republic of Moldova	TT	Trinidad and Tobago
EE	Estonia	MG	Madagascar	UA	Ukraine
ES	Spain	ML	Mali	UG	Uganda
FI	Finland	MN	Mongolia	US	United States of America
FR	France	MR	Mauritania	UZ	Uzbekistan
GA	Gabon			VN	Viet Nam