

## EUROPEAN QUALIFYING EXAMINATION 2016

# Paper B(Ch)

## Chemistry

This paper comprises:

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|--|---------------------|
| * Patent application   | 2016/B(Ch)/EN/1-6   |
| * Document D1  | 2016/B(Ch)/EN/7-8   |
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## Patent application

### Dog and cat repellent

[001] The present invention relates to measures for keeping dogs and cats away from objects.

[002] Fouling of buildings, walls and fences by urinating dogs and cats is a growing problem, especially in large cities. Besides the hygiene risks, the fouled objects may sustain considerable damage. Dog and cat urine not only attacks the wall or fence paint but can even cause considerable damage to the fabric of a building.

[003] Numerous products which keep both dogs and cats away from the objects concerned are commercially available in the form of aerosols, granules or powders. However, due to rain, wind or chemical decomposition, their effect generally lasts only a few days. Moreover, some of the substances used are poisonous to humans and pets.

[004] The object of the present application is to provide compositions which guarantee a repellent effect over a longer period and have low toxicity for humans and pets. Cyclohexylurea derivatives have been found which have a long-lasting repellent effect on cats and dogs but are not hazardous for humans and pets in the concentrations used. Usually, the cyclohexylurea derivative according to the present invention deters at least 50% of animals from urinating on an object for a one-year period.



[005] The cyclohexylurea derivative preferably has the general formula (I)



A being either oxygen or sulphur,

R being alkyl with 3 to 8 carbon atoms, cycloalkyl with 4 to 8 carbon atoms, hydrogen or a halogen selected from the group consisting of chlorine, fluorine, iodine or bromine,

R<sup>1</sup> and R<sup>2</sup> being the same or different and selected from the group consisting of alkyl with 1 to 8 carbon atoms, cycloalkyl with 4 to 8 carbon atoms or hydrogen.

R<sup>1</sup> and R<sup>2</sup> are preferably the same. In a particularly preferred embodiment, A is oxygen, R is hydrogen and R<sup>1</sup> = R<sup>2</sup> = alkyl with 1 to 3 carbon atoms.

[006] The cyclohexylurea derivative can be made available in various compositions, for example in paints, ready-to-spray dispersions, powders and granules. Thus, by treating house walls and fences with the paint, spraying house walls and fences with the dispersion or spreading granules and powders in driveways, dogs and cats can be kept away.



[007] In one embodiment, the cyclohexylurea derivative is embedded in a polymer matrix, which allows for a controlled release of the repellent substance. Examples of polymers used as a polymer matrix are those containing 60 to 85 % by weight (wt%) of at least one acrylic or methacrylic acid ester of an alkanol with 1 to 8 carbon atoms and 15 to 40 wt% of a monomer with a functional group. The functional group allows for a high degree of interaction with the cyclohexylurea derivative. The monomers with a functional group are, for example, acrylic acid, methacrylic acid, styrenes or vinyl toluenes. Given its toxicity, the amount of cyclohexylurea derivative contained in the polymer matrix is at most 25 wt%. In a particular embodiment, the cyclohexylurea derivative in a polymer matrix, which is in the form of microparticles, is added to a paint or prepared as a ready-to-spray dispersion, whereby the microparticles make up 5 to 25 wt% of the paint or 10 to 25 wt% of the ready-to-spray dispersion.

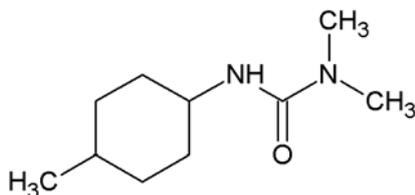
[008] The skilled person understands a paint to be a coating material in liquid or paste form which is applied by brush or roller. This distinguishes paint from ready-to-spray dispersions, which are applied by spraying. Examples of paints are wall paints, wood paints, varnishes and corrosion protection paints. A typical paint according to this invention contains 1 to 25 wt% of polymers such as polyvinylacrylate, 20 to 70 wt% of an inorganic filler such as calcium carbonate, talc or aluminium silicates, 0.1 to 5.0 wt% of surfactants, up to 10 wt% of auxiliary agents, up to 10 wt% of organic solvent and 20 to 60 wt% of water.



[009] To achieve good adhesion of the paint to the substrate, for example a wall or fence, it is advantageous to use in the paint at least 10 wt% of a polymer matrix in which the cyclohexylurea derivative is embedded. This prevents the active ingredient from being washed out of the paint by rain. For a paint having a long-lasting effect, a cyclohexylurea derivative content of 15 to 25 wt% in the polymer matrix has proved advantageous in release experiments.

[010] The ready-to-spray dispersion preferably contains 15 to 25 wt% of a polymer matrix in which the cyclohexylurea derivative according to the invention is embedded, and 75 to 85 wt% of water. Other, standard auxiliary substances can also be added. The ready-to-spray dispersion can be used in atomisers or aerosol cans. When used as a ready-to-spray dispersion, it is important to apply it at a place sheltered from the rain, as otherwise frequent repeat spraying is needed to achieve the desired effect over a longer period.

[011] **Example 1:** Preparation of a polymer matrix with 1-(4-cyclohexylmethyl)-3-dimethyl urea



A polymer matrix in the form of microparticles with a diameter of 1 to 1000 micrometres and containing 15 wt% of 1-(4-cyclohexylmethyl)-3-dimethyl urea was prepared using the monomers isobutyl methacrylate and styrene in a weight ratio of 70:30 according to the method described by Kunzu (*Handbuch der Polymerherstellung*, Denda-Verlag, 1985).



**[012] Example 2:** Preparation of a dog-repellent wall paint

To prepare 10 kg of the dog-repellent wall paint, the following components were added to 3 kg of water and 2 kg of polymer matrix from Example 1: 2 kg of crystalline calcium carbonate and 1 kg of titanium dioxide as inorganic fillers, 300 g of surfactant, 700 g of petroleum spirit and 1 kg of a mixture of auxiliary substances consisting of ANTIFOULPLUS preservative, DEFOAM defoamer and BIGTHICK thickener.

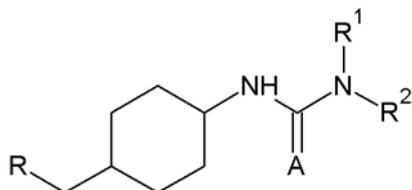
**[013] Example 3:** Wall experiment

In a dog-walking area (Schafka Park, Doghenge), two walls were painted in the usual way with the following wall paints; Wall 1 was painted with the wall paint from Example 2. Wall 2 was painted with a wall paint without a polymer matrix containing cyclohexylurea derivative. The walls were monitored via a webcam over one year and exposed to wind and rain. The number of dogs urinating on each of the walls was counted. The results showed that 60% fewer dogs urinated on wall 1 than on wall 2. Thus it could be proven that the studied repellents kept at least 50% of dogs away from a wall over a one-year period.



## Claims

1. Composition containing at least one cyclohexylurea derivative, by which at least 50% of dogs and cats are kept away from an object over a one-year period.
2. Composition according to claim 1 in which the cyclohexylurea derivative is of the following formula (I):



(I)

A being either oxygen or sulphur,

R being an alkyl with 3 to 8 carbon atoms, cycloalkyl with 4 to 8 carbon atoms, hydrogen or a halogen selected from the group consisting of chlorine, fluorine, iodine or bromine,

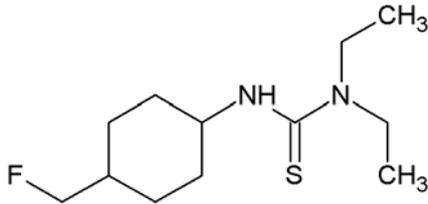
R<sup>1</sup> and R<sup>2</sup> being the same or different and selected from the group consisting of alkyl with 1 to 8 carbon atoms, cycloalkyl with 4 to 8 carbon atoms or hydrogen.

3. Composition according to claim 1 or 2 in which the cyclohexylurea derivative is embedded in a polymer matrix.
4. Use of a polymer matrix containing a dog or cat repellent to prepare a paint or a ready-to-spray dispersion.

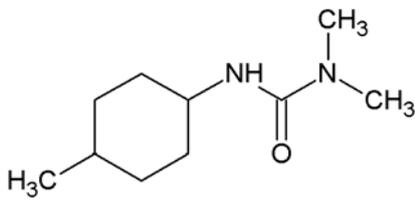


**Document D1:** Catcher, B. *et al.*, *Der Schädlingsbekämpfer*, Volume 23 (2012), pages 111 and 112

[001] Fouling of house walls, entrances and driveways by dogs is a serious hygiene problem. Use has recently been made of cyclohexylurea derivatives such as



or



which are effective in repelling dogs and are available in the form of powder or granules.

[002] Practice shows, however, that these products only repel dogs for a few days, as their effect very quickly wears off as a result of wind or rain.

[003] It has now been found that the substances described above can repel the majority of dogs for up to a year, and even longer in individual cases, if they are embedded in a polymer matrix and disposed in the house entrance or in a rainproof device.



[004] Such a rainproof device consists of a receiving container which is filled with the polymer matrix containing the embedded dog repellent and mounted on a rail on the house wall at a height of 20 to 50 cm. The polymer matrix is selected in such a way and seals the dog repellent in such a way, so as to release it in a controlled manner. It is desirable to embed in the polymer matrix as high a concentration of dog repellent as possible, namely 20 wt% or more.

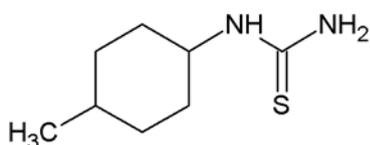
[005] The preparation of polymers with a methacrylic acid ester such as butyl methacrylate and another monomer such as, for example, styrene or methacrylic acid is described in the patent specification EP8975654 for the controlled release of fertilisers.



**Document D2:** Hahn *et al.*, *AgroReports*, published on 17 May 2014

[001] This study concerns controlled-release compositions for use in agriculture. The aim of the study is to find a means enabling the controlled release of an active ingredient in a polymer matrix in a sufficient concentration over as long a period as possible.

[002] Active ingredients which come into question are the repellents used in agriculture against insects, small rodents or birds. For the purposes of this study, we used a cyclohexylurea derivative of the formula (A):



(A)

[003] As a polymer matrix, polymers are used which contain 60 to 95% of at least one acrylic or methacrylic acid ester of an alkanol with 1 to 6 carbon atoms and 5 to 40% of a monomer with a functional group such as, for example, a styrene. This polymer matrix interacts optimally with the active ingredient and so allows for its controlled release. The polymer matrix in the form of microparticles in which the cyclohexylurea derivative of the formula (A) is embedded was prepared according to the method described by Kikker and Rana (*Journal of Polymers and Agriculture*, 18: 230-236, 1997). Then, 10 parts polymer matrix were dispersed in 90 parts water.



[004] In a first, simplified experiment, the effectiveness of the ready-to-spray dispersion against insects was tested on a white wall. The mixture was sprayed using a hand-held sprayer on five square metres of a white farmyard wall sheltered from wind and rain and monitored via a high-resolution webcam for 144 hours. The number of flies, attracted to the treated wall compared with the number of flies attracted to the untreated wall, had fallen after 144 hours by between 62% and 83%, depending on the concentration of cyclohexylurea derivative of the formula (A) in the polymer matrix.

[005] Surprisingly and unexpectedly, it was found that the cyclohexylurea derivative of formula (A) in a concentration of 5 wt% in the polymer matrix had a longer-lasting effect than a higher concentration of 20 wt%. Since lower contents of the cyclohexylurea derivative of formula (A) are also less harmful to humans and animals, we recommend, for a long-lasting effect, a concentration of 5 wt% of the cyclohexylurea derivative of formula (A) in the polymer matrix.

[006] It could not be established to what extent pets were also adversely affected by the composition used. In this connection, it was observed that the chickens, dogs and cats that were free to move around the farmyard kept a distance of at least one metre from the treated wall and so did not come into direct contact with the repellent. It is necessary to conduct additional experiments to test the compositions on fields, as it is probable that the effect of the repellents wears off significantly within a short space of time if they are exposed to sunlight and affected by moisture.



## Communication

1. This communication is based on the application as filed. Attached documents D1 and D2 are state of the art for the purposes of Article 54(2) EPC.
2. The subject matter of claims 1 to 3 is not novel, because both documents disclose cyclohexylurea derivatives covered by formula (I). D1 also describes compositions used to repel dogs. The subject-matter of claim 4 is not novel.
3. Claim 1 lacks clarity within the meaning of Article 84 EPC, as the compounds are defined by the result to be achieved and the skilled person does not know which structural features are crucial to the result or which compounds fall under the term "derivatives".

Claim 4 is unclear because the features "dog or cat repellent", "polymer matrix", "paint" and "ready-to-spray dispersion" are ambiguous terms.

4. The application lacks unity (Article 82 EPC) because cyclohexylurea derivatives with a dog-repellent rate of more than 50% over a one-year period are known from D1. Accordingly, the following inventions are not interlinked:  
Invention group 1 (claims 1 to 3): each of the individual compounds alternatively possible in general formula (I) is a separate invention;  
Invention 2 (claim 4): use according to claim 4.  
The search report was drawn up for all inventions. The applicant is asked to choose one invention and delete the others. It may be possible to file one or more divisional applications for the other inventions.



5. If the applicant wishes to proceed with the application, amended claims taking the above objections into account should be filed. The applicant should take care to ensure that such amended claims satisfy requirements of the EPC with respect to novelty, inventive step, clarity and unity of invention. No amendments may be made which introduce subject-matter extending beyond the content of the application as filed.

The letter of reply should explain the difference between the new claims and the prior art disclosed in D1 and D2. The technical problem underlying the invention, as compared with the closest prior art, and the solution to that problem should be clearly apparent from the applicant's arguments.

6. To make it easier to assess whether the amended claims contain subject-matter which extends beyond the content of the application as filed, the applicant is asked to indicate precisely the parts of the original application documents which the proposed amendments relate to.



**Letter from the applicant**

Dear Ms Dock,

You informed us that, in the course of the grant proceedings concerning our patent application, the European Patent Office had cited novelty-destroying documents.

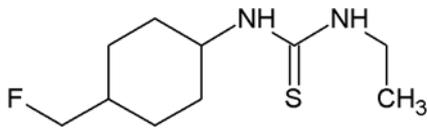
We have thoroughly analysed the EPO's objections and amended the claims in response. We now wish to limit ourselves to paints and ready-to-spray dispersions containing particular cyclohexylurea derivatives, as we see our market potential in such products.

We are certain that we have thereby overcome the EPO's objections and are claiming the preferred compounds. Please make any other amendments where necessary.

We consider that the amended claims introduce an inventive step and that there is now unity of invention. Please delete inventions where necessary.



In addition to the experiments already described in the application, we have added to a paint a cyclohexylurea derivative of the formula



and obtained very good results. Applying this paint kept 70% of all dogs away from the wall in Schafka Park for a period of 14 months.

We have no final results for the effectiveness for repelling dogs of the sprayable dispersions that contain the cyclohexylurea derivatives of the amended claims. First experiments indicate that sprayable dispersions that contain these cyclohexylurea derivatives have a lower dog-repelling effect than the corresponding paints. Possibly we can provide you with final results for the sprayable dispersions in a year.

Yours sincerely,  
Peter Chienville  
Sorak Ltd., Cattenborough



Patent claims

1. Paint or ready-to-spray dispersion containing a polymer matrix in which a cyclohexylurea derivative of the formula (I)



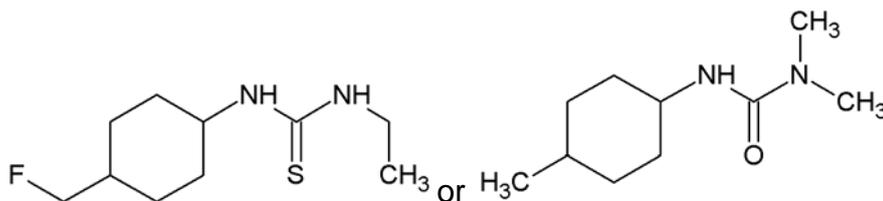
is embedded,

A being either oxygen or sulphur,

R being an alkyl with 3 to 8 carbon atoms, cycloalkyl with 4 to 8 carbon atoms, hydrogen or a halogen selected from the group consisting of chlorine, fluorine, iodine or bromine,

R<sup>1</sup> and R<sup>2</sup> being the same or different and selected from the group consisting of alkyl with 1 to 8 carbon atoms, cycloalkyl with 4 to 8 carbon atoms or hydrogen, and no more than one of R<sup>1</sup> or R<sup>2</sup> being hydrogen.

2. Paint or ready-to-spray dispersion according to claim 1 in which the cyclohexylurea derivative has the formula



3. Paint or ready-to-spray dispersion according to claim 1 or 2 in which the content of cyclohexylurea derivative in the polymer matrix is between 10 and 25 wt%.
4. Use of a paint or a ready-to-spray dispersion according to any one of claims 1 to 3 to repel dogs or cats.

