

Candidate's answer

EPO

Dear Sirs,

In response to the Communication we hereby submit the following amendments and arguments.

Amendments

See the enclosed set of amended claims.

Claim 1 has been amended by replacing the wording "R₁, R₂, R₃ each independently stand for optionally substituted alkyl" with "R₁ stands for C₁-C₄ alkyl and R₂, R₃ independently stand for C₁-C₄ alkyl substituted by CN"

This has support in original claims 1 and 2 and in the description §[006]-[007], disclosing preferred compounds of the general class disclosed in §[005] and original claim 1. The exchange of the two instances of "lower alkyl" to "C₁-C₄ alkyl" has support in the description §[008], which refers back to [007] and hence is applicable to the embodiments in question.

Original claim 2 has been deleted. A new dependent claim 2 has been added, where R₁ = CH₃, R₂ = CH₂CN and R₃ = CH₂CN. This has support in Example No. 3 (Table), which discloses this particular compound.

Process claim 3 is not changed. The reference to amended claim 1 has support in §[009] of the description, where "formula (I)" and the definitions of residues also refer back to §[006] – [008], used for the definitions in present claim 1.

A new dependent claim 4 has been added, where the reaction of claim 3 is performed in the presence of a phase-transfer catalyst. This has support in the last sentence of §[009], which paragraph also discloses the process of claim 3.

The repelling agent claim 4 (now 5) is unchanged.

Hence, all amendments fulfill the demands of Art 123(2) EPC.

Novelty Art 54(2) EPC

D1 relates to insect-repelling urea derivatives, which can be used in insect-repelling compositions on human skin. It discloses morpholine ring-containing compounds of the general formula (I), where R₁, R₂, R₃ are optionally substituted alkyls, such as C₁-C₁₈ alkyls, which may be independently substituted with OH, OCH₃, OC₂H₅, NO₂ or NH₂. It specifically discloses compounds where all of R₁, R₂, R₃ are alkyls and where R₁ = CH₃ and R₂ = R₃ = CH₂OH.

D1 does not disclose any C₁-C₄ alkyls substituted with CN and in particular not any compounds where both R₂ and R₃ are substituted by CN. As the compounds of claim are selected from two lists (R₂ and R₃), claim is novel over D1.

D2

D2 relates to an insect-repellant for use in scented candles or scented oils. It discloses a specific morpholine ring containing urea compound of general formula (I), where R₁ = CH₃ and R₂ = R₃ = CF₃.

D1 does not disclose any C₁-C₄ alkyls substituted with CN and it does not disclose any generalised structures.

As the compounds of claim have R₂ and R₃ substituted with CN, claim 1 is thus novel also over D₂.

Claims 2-5 all refer back to claim 1 and as claim 1 is novel over D1 and D2, claims 2-5 are by definition also novel.

Inventive step (Art. 56 EPC)

The present invention relates to compounds useful for repelling insects and arachnids, in particular mosquitoes and mites. It aims at improving the repellent effect in comparison with prior art compounds and to prolong the effect.

Both D1 and D2 aim at finding compounds and compositions with improved insect repellency. D1 further aims at prolonging the repellent effect.

As D1 aims at solving the same problems as claim 1 and has the most features in common with claim 1, D1 is selected as the closest prior art.

The difference between claim 1 and D1 is that in claim 1 both R₂ and R₃ are substituted by CN.

The technical effect of this difference is that the insect-repellent effect is improved.

The objective technical problem to be solved by the invention is thus to provide compounds with improved insect-repellent effect.

That the features of claim 1 solve this problem is demonstrated by the Examples, in particular Table 1, showing the mosquito-repellent effect of different compounds. Examples 1 and 2 (comparative) are made with the compounds 4 and 2 respectively from D1 (D1 p.3, Table). They gave C_R values of 72 and 68 (same values as in D1), while Example 3, showing an embodiment of the current invention where R₁ = CH₃ and R₂ = R₃ = CH₂CN gave a C_R value of 98, i.e. a significant improvement. Similar effects on mites are shown in Table 2.

There is no suggestion in D1 to use CN substituents, nor indeed to use any substituents but OH, OCH₃, OC₂H₅, NO₂ or NH₂. A skilled person reading D1 would not have any reason to try other substituents, or apply any possible common general knowledge regarding substituents in order to improve the insect repellency even beyond what was obtained with OH substituents in D1. Hence, claim 1 is inventive over D1 alone and D1 in combination with common general knowledge.

If the skilled person were to combine the teachings of D1 with those of D2, he would not get any closer to the solution of claim 1. D2 does not disclose any CN substituents, so no combination of D1 with D2 will give the claim 1 solution. Hence, claim 1 is inventive also over D1 + D2.

As claims 2-5 all refer back to claim 1, which is inventive over D1 and D1 + D2, claims 2-5 are by definition also inventive.

In conclusion, all claims fulfill the demands of Art 56 EPC.

Clarity Art (84 EPC)

As the term "lower alkyl" has been replaced with "C₁ – C₄ alkyl", which precisely defines the number of carbon atoms, the claims are now clear and fulfill the demands of Art 84 EPC.

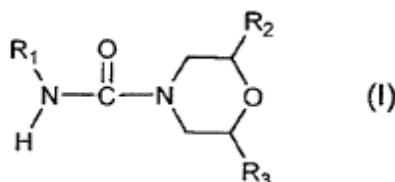
We submit that the application is now ready for grant. If the Examining Division disagrees, we auxiliarily request oral proceedings (Art 116(1) EPC).

"Signature"

Agent for the applicant.

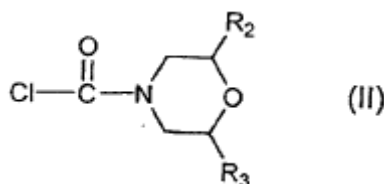
Amended claims

1. Compounds of formula (I),

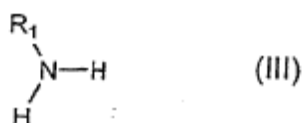


wherein R_1 stands for $C_1 - C_4$ alkyl and R_2, R_3 independently stand for $C_1 - C_4$ alkyl substituted by CN, as well as their pharmaceutically acceptable salts.

2. Compound of formula (I), wherein $R_1 = CH_3$, $R_2 = CH_2CN$ and $R_3 = CH_2CN$.
3. Process for the preparation of compounds of formula (I) according to claim 1 characterised in that morpholine compounds of formula (II)



are reacted with compounds of formula (III)



in a solvent in the presence of a base.

4. Process according to claim 3, wherein the reaction is performed in the presence of a crown ether as phase-transfer catalyst.
5. Insect and mite-repellent agents characterized in that they contain at least one compound of formula (I) according to claim 1.

EXAMINATION COMMITTEE I

Candidate No.

Paper B (Chemistry) 2013 - Marking Sheet

Category		Maximum possible	Marks awarded	
			Marker	Marker
Claims	Product claim	18	18	18
	Process claim	8	8	8
	Other claims	4	4	4
Arguments	Amendments	15	12	12
	Clarity	2	2	2
	Novelty	20	14	14
	Inventive Step	30	24	25
	Unity	3	0	0
Total		100	82	83

Examination Committee I agrees on 83 marks and recommends the following grade to the Examination Board:

PASS
(50-100)

COMPENSABLE FAIL
(45-49)

FAIL
(0-44)

27 June 2013

Chairman of Examination Committee I