Examiners' Report Paper B 2013 (Chemistry)

CLAIMS

1. Original claims

1.1 Novelty

1.1.1 Each of the cited prior art documents D1 and D2 discloses compounds which fall under the formula (I) of the present patent application, and they are therefore novelty-destroying (since claims 1 and 2 of the application are absolute product claims). In order to establish novelty, the novelty-destroying subject-matter disclosed in D1 and D2 must be removed from the claims.

1.1.2 Document D1 comprises a claim 1 which is practically identical with claim 1 of the application and is thus novelty-destroying. Also the compounds of examples 1 to 5 disclosed in table 1 of D1 destroy the novelty of claim 1 as originally filed. Claim 2 of the application, dependent of claim 1, restricts the definitions of R_1, R_2 and R_3. The novelty of claim 2, however, is destroyed by the compound of example 3 in the table of D1. Since D1 also comprises claims directed to repellents, it anticipates the subject-matter of present claim 4, too.

1.1.3 The chemical compound disclosed in the non-patent literature D2 is novelty-destroying for claims 1 and 2 of the application. The process for preparation described in D2 corresponds to the process described by claim 3 of the application. Consequently, with regard to D2, the subject-matter of present claim 3 is not novel either. Moreover, the compound disclosed in D2 is suitable as repellent so that D2 also destroys the novelty of the subject-matter of claim 4.

1.2 Inventive step

1.2.1 Document D1 represents the closest prior art for the subject-matter of the product claim (claim 1) and the repelling agent claim (original claim 4) since it refers exactly to the same technical field as the application (i.e. provision of repellents). According to claim 1 of D1, the kind of substituents at the alkyl groups R_1, R_2 and R_3 a priori does not influence the desired activity as such. The skilled person must assume that any substituents at R_1, R_2 and R_3 lead to compounds that have repelling activity towards insects. It should be noted that there is even a compound disclosed in D1 which carries a substituent that is also specifically mentioned in the application (OH). In paragraph [008] of D1 the compounds are also assumed to have a mite-repelling effect.

1.2.2 The compound disclosed in D2 also represents relevant prior art since it is described as insect repellent as well.

1.2.3 The presence of an inventive step therefore can only be acknowledged for subject-matter exhibiting a non-obvious technical effect vis-à-vis the overall disclosure of D1 and of D2.

1.3 Clarity of the claims

1.3.1 The term "lower alkyl" is not clear since it does not unambiguously define the maximum number of C atoms in the alkyl group. Since the claims must be clear per se, "lower alkyl" is to be supplemented or replaced by clear definitions. In the description it is clearly defined that "lower alkyl" refers to alkyl groups with 1 to 4 C atoms.

2. Claims submitted by the candidates

2.1 Compound claim(s) (i.e. Product claim(s))

2.1.1 The correctly amended product claim should read: ***"Compounds of formula (I) wherein R_1 stands for C_1-C_4 alkyl, R_2 and R_3 each independently stand for C_1-C_4 alkyl substituted by CN, as well as their pharmaceutically acceptable salts"***. It can be said that for such compounds wherein each of R_2 and R_3 contain at least one CN substituent, the presence of an inventive step should be acknowledged, because, according to tables 1 and 2 of the description, they exhibit a very strong activity as repellent ("surprising, non-obvious technical effect"). For this product claim, a maximum of **18 marks** was available.

2.1.2 In the draft set of claims proposed by the applicant as reaction to the official communication, in claim 1, "lower alkyl" within the definitions of R_1, R_2 and R_3 have been correctly replaced with "C_1-C_4 alkyl". So the clarity objection has been overcome. It is therefore necessary to re-define "lower alkyl" like this. Candidates who maintained "lower alkyl" lost **8 marks.** Candidates defining R_1 as "alkyl" lost **5 marks.** Apart from this amendment of "lower alkyl", claim 1 amended by the applicant is based on original claim 2. In order to establish novelty against D1, the applicant has restricted the possible substituents of the R_2 and R_3 groups to CN or F, which are found in the description, paragraph [007], as preferred ones. This limitation establishes novelty of claim 1 against the compound of example 3 of D1; it is moreover admissible under Art. 123(2) because of paragraph [007] of the description. In order to establish novelty vis-à-vis D2, however, the applicant, in his letter, has excluded the chemical compound disclosed in D2 by means of a disclaimer. This is not permissible in the present case since this disclaimer excludes a subject disclosed in an Art. 54(2) document referring to the same technical field, moreover to practically the same technical problem so that the novelty-destroying anticipation by D2 is not an accidental one. The argument put forward by some candidates that D2 would refer to a different technical field, namely to flavours, cannot be accepted since D2 explicitly mentions that the compound is suitable as strong insect repellent. Therefore the disclaimer proposed in the applicant's amended set of claims is not admissible. Maintaining the proposed disclaimer as well as any other disclaimer, which shall establish novelty towards D1 and/or D2, leads to loss of at least **8 marks** (see below).

In order to establish novelty in view of D2, it would be appropriate to delete F (fluorine) in the definition of R_2 and R_3. This is also allowable under Art. 123(2) since in paragraph [007] of the description CN is mentioned to be "particularly preferred". With this amendment, the disclaimer becomes superfluous. Candidates maintaining the disclaimer proposed in the applicant's letter and thus defining R_2 and R_3 as a group selected from CN or F, lost **8 marks**. Maintaining the disclaimer and defining

R_2 and R_3 as a group selected from CN, F and/or Cl, led to loss of **12 marks**. Defining R_2 and R_3 as a group selected from CN or Cl, without a disclaimer, led to loss of **6 marks**. Moreover, defining R_1 as "optionally substituted C_1-C_4 alkyl" led to deduction of **8 marks**. If R_1 was limited to C_2H_5 (ethyl), rendering the scope of claim 1 much to narrow, **14 marks** were deducted. Last, but not least, if the product claim was drafted in the form of a product-by-process claim, the candidate lost **10 marks**.

2.1.3 A further (dependent) claim directed only to the compound of example 3 of the tables ($R_1 = CH_3$, $R_2 = CH_2CN$ and $R_3 = CH_2CN$), having an excellent repelling activity, was also possible and received **2 marks.**

2.1.4 All the other concrete compounds mentioned in the description could not be made the subject of further dependent claims since they cannot be considered inventive: The compounds wherein R_1, R_2 and R_3 are unsubstituted alkyl groups (examples 1 and 2) are not inventive or even not novel against D1, and the compounds with F substituents are not inventive against D2 because no non-obvious effect has been made credible. Therefore **0 marks** were rewarded for other product claims.

2.1.5 Product claims (compound claims) comprising general definitions from the original claims mixed with definitions taken from single compounds are not allowable under Art. 123(2) (since they represent a novel, originally not disclosed selection) and received **0 marks**.

2.1.6 Claims directed to intermediate compounds were not necessary and therefore superfluous. Such claims have not been mentioned neither in the amended set of claims filed by the applicant nor in the letter of the applicant so that it must be assumed that the applicant did not set value on such claims. **0 marks** were rewarded for such claims.

2.1.7 If candidates offered two or more independent compound (product) claims, only the worst claim was marked irrespective of the claim order.

2.1.8 Product claims being not novel received **0 marks**.

2.2 Process claim(s)

2.2.1 The process claim 2 (original claim 3), proposed in the applicant's letter, now mandatorily includes the presence of a crown ether. This limitation, however, was not necessary: As long as all products obtained by the claimed process fall under a novel and inventive product claim 1, any process for producing the compounds benefits from novelty and inventiveness of claim 1 and is to be considered novel and inventive, too, but only on the condition either that the process claim is referred to claim 1 (with regard to the definition of the compounds) or that the explicitly written definition of the compounds in the process claim is exactly the same as in the compound claim.

The expected independent process claim reads as follows: ***"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"***. For such a claim, **6 marks** were rewarded. For a further (dependent) process claim having the additional feature that a crown ether is present, **2 marks** were additionally rewarded. However, if the independent process claim limited to the very compounds according to claim 1 also contained the feature that a crown ether (as phase-transfer catalyst) was present, this was considered as unnecessary restriction of the process claim, and **4 marks** of the available 8 marks were deducted.

2.2.2 A number of candidates have realised that it was possible to draft a process claim where the compounds are broadly defined as in the original claim 1 (e.g. "R_1, R_2, R_3 are optionally substituted alkyl"), but with the mandatory presence of a crown ether as novelty feature. Such a process claim could also receive **8 marks**, however, in this case it was expected that the candidate, under "Arguments", would discuss the topic of unity of invention (see below) because with the subject-matter of such a broad process claim a different technical problem is solved, namely the provision of a further new (possibly improved) process for the preparation of (partly) per se known compounds. Such a broad process claim also comprises the preparation of compounds which are not comprised by a correct claim 1 since they are e.g. not novel and/or not inventive. In contrast, the problem underlying claim 1 is the provision of new (further) compounds which are suitable as repellents. Some candidates realised this issue and proposed the filing of a divisional application, directed to a process containing the broad definition of substituents, where the presence of a crown ether is mandatory. This could lead additionally to up to **3 marks** for the argumentation with regard to unity of invention (see below).

2.2.3 Some candidates introduced the term "phase transfer catalyst" into the process claim without mentioning the term "crown ether". This must be considered as added subject-matter, contravening Art. 123(2), since the description explicitly restricts the phase transfer catalysts to crown ethers. So claims mentioning "phase transfer catalyst", but not "crown ether", lost **2 marks**.

2.2.4 Not novel process claims (e.g. with R_1, R_2, R_3 are optionally substituted alkyl, but no crown ether present): **0 marks**.

2.2.5 For other process claims, **0 marks** were rewarded.

2.2.6 If candidates offered two or more independent process (method) claims, which do not comply with Rule 43(2) EPC, marks are lost based on faults in any claim.

2.3 Claims for repelling agents

2.3.1 The claim directed to the insect- and mite-repelling agent could simply be maintained (re-numbered, if necessary). A claim of the form ***"Insect- and mite-repelling agents characterised in that they contain at least one compound of formula (I) according to claim 1"*** was given **2 marks**. Claims for agents directed only to insect repellents, only to mite repellents or to arachnid repellents were rewarded **0 marks**. The mention of substituents of R_2 and R_3 other than CN and at the same time restriction of using the agent only against mites (not against insects) is

not justified, because in D1, paragraph [008], a repelling effect against mites is assumed; such claims received **0 marks**. If the claim mentions OH as substituent, **marks** were rewarded; mention of Cl and/or F in addition to CN also resulted in **0 marks**. Splitting the claim into two claims ("insect repellents" and "mite repellents") in order to make it allegedly possible to have a broader definition of compounds in one of the claims may render these claims formally novel, however, the claim with the broader definition of compounds must be considered non-unitary. **0 marks** were rewarded for such claims.

2.3.2 Claims directed to the use of the agent are not necessary since the applicant has not asked for such claims so that it must be assumed that he does not set value thereon. Such claims received **0 marks**.

2.3.3 Not novel claims directed to repelling agents received **0 marks**.

2.4 General remarks on claims

The original claims as given should have been taken as basis for amending the claims such that the objections raised in the official communication are overcome so that the claims comply with the requirements of the EPC (specifically Art. 54, 56, 84 and 82). The only expected additional claims were the dependent product claim directed to the compound of example 3 (see point 2.1.3 above) and the dependent process claim having the additional feature that a crown ether is present (see point 2.2.1 above). Further additional claims thus did not receive any marks.

ARGUMENTS

1. Amendments (Art. 123(2)) - 15 marks:

The candidates were expected to identify the amendments against the original version of the claims. A maximum of **5 marks** could be received. For the indication of the basis for the amendments, a maximum of **5 marks** was rewarded. Up to **5 marks** were also given for arguments with regard to Art. 123(2).

2. Clarity of the claims (Art. 84) - 2 marks:

It was expected that the candidates briefly commented about "lower alkyl";
up to **2 marks** were rewarded.

3. Novelty (Art. 54) - 20 marks:

It was expected that the candidates commented on the content of D1 and of D2, leading to a maximum of 3 marks each (D1: **3 marks**, D2: **3 marks**).
Evaluation of the novelty against D1 led to a maximum of **9 marks**. Evaluation of the novelty against D2 lead to a maximum of **5 marks**.
Novelty of the product claim had to be evaluated against the overall disclosure and the specific disclosure (i.e. single compounds of the examples) of D1 and D2.
Novelty of the process claim: If the process claim, with regard to the compounds, was referred to claim 1 (a number of candidates did so), it was sufficient to explain that if the compounds are novel, a process limited to the preparation of these compounds must be novel as well. In case that the chemical formula in the process claim was broader than in the product claim (e.g. groups R defined as "optionally substituted

alkyl"), the feature "crown ether" could serve as novelty feature; however, the subject-matter of the process claim could then be considered non-unitary with rega to the product claim (see point 2.2.2 of "Claims" above).
Novelty of the claim directed to the repelling agent: This claim was "automatically" novel if it comprised the same scope of compounds as the (novel) product claim.

4. Inventive step (Art. 56) - 30 marks:

The candidates were expected to identify D1 as closest prior art for the product claim and the repellent agent claim and D2 as closest prior art for the process claim. For correctly identifying the closest prior art, a maximum of **6 marks** was rewarded.
The (main) underlying problem should have been defined by means of the problem-solution approach, which must be seen in the provision of further (preferably better) repellents. Since the closest prior art comes structurally so close [claim 1 of D1 and of the application are the same, but the specific selection made in the application is (partly) different from the specific selection made in D1], it was necessary to demonstrate a non-obvious technical effect in order to make inventive step credible. For the correct problem-solution approach, a maximum of **8 marks** was given.
The candidates had to evaluate that only such compounds are inventive for which a non-obvious effect had been made credible (here: by comparative activity tests submitted with the tables). Consequently, the solution of the underlying problem is the provision of compounds having better repelling properties than the D1 compounds. Up to **8 marks** were rewarded for the evaluation if and how the underlying problem was solved.
Moreover, an evaluation about the non-obviousness of the claimed subject-matter and of the technical effect obtained with regard to the disclosures of D1 and D2 was expected. Here a maximum of **8 marks** could be received. Note: The compound of example 3 of table 1 of the application, having CN substituents, is the only one that shows a significant activity enhancement over the compounds tested in D1. Compounds with F substituents are not inventive with regard to D2. And for compounds having Cl substituents, no effect at all was made credible because they were not tested.

5. Unity of invention (Art. 82), divisional application - 3 marks:

Some candidates formulated a process claim where the compounds involved are broader in scope than in the product claim 1, but where the crown ether is mandatory (as discussed above), thus introduced a second, different technical problem, namely the provision of a (further) process for the preparation of (partly) known compounds. This subject-matter, however, does not clearly comply with the requirement of unity of invention (Art. 82). Candidates who did not evaluate the Art. 82 problem in connection with such a broad process claim, lost **4 marks** under “inventive step, problem-solution approach”.

For discussing unity of invention, **3 marks** were awarded.

6. General remark

It should be noted that the paper did not call for a letter for advice to the client; consequently, no marks were available for such a letter.

EXAMINATION COMMITTEE I

Candidate No. _____

Paper B (Chemistry) 2013 - Marking Sheet

Category		Maximum possible	Marks awarded	
Claims	Product claim	18		
	Process claim	8		
	Other claims	4		
Arguments	Amendments	15		
	Clarity	2		
	Novelty	20		
	Inventive Step	30		
	Unity	3		
Total		100		

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

☐ PASS (50-100)

☐ COMPENSABLE FAIL (45-49)

☐ FAIL (0-44)

27 June 2013

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Chairman of Examination Committee I