

Examiners' Report Paper A 2012 (Chemistry)

This paper deals with diarylbutadiene derivatives as filtering agents for UV-A radiations. According to the client's letter, these compounds exhibit an improved photostability, in particular when used in combination with UV-B screening agents in sunscreen compositions. The client also identified the presence of at least one $\text{Si}(\text{CH}_3)_3$ group as essential for a good stability profile. It is also emphasized that the compounds of the prior art are water soluble and thus easily removed by water, such as sea water or perspiration. As can be seen from table 1, compounds wherein R_1 is alkyl having up to 6 carbon atoms, cycloalkyl or phenyl are oil soluble. According to [006], such compounds present additional advantages when used in sunscreens.

The first document describes diarylbutadiene derivatives as monomers which can be copolymerized with styrene to form new rubbers resistant to high temperatures. The formula disclosed in this document overlaps with the one according to the client's letter when R_1 is cycloalkyl or phenyl. The process used to prepare the monomers is identical in substance to that described by the client. The polymerisation process is run in a medium comprising at least one oil phase in which the monomers are said to be soluble. In the example provided, the reaction medium also comprises a stabilizer.

The second document concerns diarylbutadiene derivatives as UV-A screening agents. It is stated that these compounds show excellent photostability. The formula overlaps with the one according to the client's letter when R_1 is unsubstituted alkyl. The process described in that document is also identical to the one according to the client's letter. The preferred compositions are also emulsions comprising at least one oil phase. These compositions are especially useful in daily use cosmetic moisturizers.

1. Independent claims

A total of 70 marks were available for the independent claims.

1.1. Compound claim

A maximum of 30 marks could be awarded for the compound claim.

The claims should provide a meaningful patent protection.

According to the client's letter, protection is sought for compounds which are useful as UV filters. As is clear from the client's letter, to fulfil their protective role, such UV filters should be photostable. It follows from [021], last sentence that adequate photostability is achieved for compounds scoring at least 90% in the photostability test. As emphasized by the client (see [025]), this effect is obtained only when at least one silyl group is present. The presence of at least one $\text{Si}(\text{CH}_3)_3$ group is thus an essential feature which should be incorporated in the Markush formula. Omitting this feature resulted in a deduction of 15 marks.

Formula (I) according to the client's letter lacks novelty with regard to both documents of the prior art. The first document is novelty destroying when R_1 represents cycloalkyl or phenyl. In order to ensure that the claim is novel, the phenyl group has to be removed from the definition of R_1 in formula (I). It is not possible to establish novelty by specifying that at least one $\text{Si}(\text{CH}_3)_3$ group is present since the document discloses a compound with R_3 being $\text{Si}(\text{CH}_3)_3$, see [006].

A disclaimer would also not be sufficient for drafting a novel claim. Document D1 discloses not only the individual compound (wherein R₁ is phenyl, R₂ is methyl and R₃ is Si(CH₃)₃), but also 4,4-diarylbutadiene derivatives of general formula I encompassing other compounds with a phenyl and a silyl group. Introducing a disclaimer in the general formula covering also R₁ being a cycloalkyl or a phenyl merely results in claiming the same group of substances as in document D1 with the exception of a single compound. Such claims lack novelty. It was also possible to cover both the alkyl group having at least 6 carbon atoms and the cycloalkyl group. Within the common range with document D1, the combination of a cycloalkyl group and a silyl group represents an individualised disclosure which is not readily derivable from document D1. This combination thus serves to establish novelty vis-à-vis document D1. Such claims could be awarded full marks.

The second document is novelty destroying when R₁ represents alkyl as exemplified in compound (1) of D2. For the same reasons as for D1, the whole overlap has to be removed from formula (I) to establish novelty.

It is stated in the client's letter that water soluble sunscreen agents have the disadvantage of being easily washed off during bathing or perspiration. In the light of [006] and table 1, the compounds with "higher" alkyl esters appear particularly suitable since they are oil soluble as can be seen from the result of the oil solubility test. Such compounds were said to have at least 6 carbon atoms in the R₁ alkyl group ([007]). According to table 1, one compound with a C₈-alkyl group was found to be insoluble in oil. However, this alternative is not covered by the claims since it lacks the essential Si(CH₃)₃ group.

The term "higher" without indication as to the number of carbon atoms was considered unclear. Since an unclear term cannot be used to distinguish an invention from the prior art, the term "higher" should not be the sole distinguishing feature. Use of the term "higher" as distinguishing feature resulted in a loss of 10 marks. 15 marks were deducted when R₁ was limited to the specific alkyl groups hexyl, heptyl, octyl or decyl.

Additional limitation (e.g. R₁ limited to C₆-C₁₀ alkyl or alkyl with at least 7 carbon atoms; OH and NH₂ not in the definition of R₂ and R₃) resulted in a deduction of 5 marks each.

Up to 2 marks were deducted for unclear formulations in the claims. Claims lacking novelty are not awarded marks.

1.2. Compound for use claim

This claim could be awarded up to 10 marks.

A broader Markush formula could be claimed for the compound for use in a method for protecting the skin against UV radiations. It is clear from table 1 in the client's letter, and also from D1, that the compounds wherein R₁ is cycloalkyl or phenyl are also oil soluble and photostable. Consequently, these compounds also solve the problem of providing sunscreens which are not removed from the skin during bathing or perspiration and could be claimed for their use as UV- filters. Limitation to the restricted compound claim resulted in a loss of 5 marks.

5 marks were deducted when the essential $\text{Si}(\text{CH}_3)_3$ group was missing.

3 marks were deducted for additional limitations.

The application of sunscreen products is a treatment of the human body and always involves a therapeutic aspect due to the prophylactic effect which is indissolubly linked to the cosmetic use. The wording as a use claim therefore raises issues under Article 53(c) EPC and resulted in a loss of 2 marks.

In this context, it was expected that the wording of Article 53(c) be used. The wording "compound for use as UV filter" is construed as being also directed to compounds suitable as UV filters in which case the claim lacks novelty.

1.3. Composition claim

Up to 20 marks could be awarded for the composition claim.

The letter describes the presence of at least one oil phase as an essential feature of the compositions when oil soluble compounds are used ([012], line 3). This should be reflected in the claim. 5 marks were deducted if the claim lacks this essential feature. Limiting to emulsions was also acceptable as it implicitly requires the presence of an oil phase. However, this also implies the presence of water, which is an additional limitation and 2 marks were deducted.

The client states also that the composition must contain further additives. Merely indicating the presence of further additives however did not introduce any new limitation. Thus, specific additives had to be introduced in the claim.

D1 does not refer explicitly to a composition, but the process involves a reaction medium comprising an oil phase and a stabilizer, see [005]. Limiting the composition merely by the presence of an oil phase and further additives such as a stabilizer is therefore not sufficient to establish novelty with regard to D1. A broader Markush formula including the compounds of D1 may be claimed if the composition includes a thickener and a preservative which are not disclosed in D1. Limitation to the restricted compound claim resulted in a loss of 10 marks. Omitting the thickener or the preservative results in a loss of 2 marks.

The content of compound of formula (I) in the copolymerization mixture of D1 was not explicitly disclosed. Selecting the sub-range 0.01 to 20% as the distinguishing feature was not considered an appropriate limitation and resulted in a deduction of 5 marks.

As indicated above, D2 covers also cosmetic compositions comprising at least one oil phase, in particular emulsions, see e.g. [008]. Thus, the Markush formula for the composition should be limited accordingly to R_1 representing alkyl having at least 6 carbon atoms.

Limitation to the specific composition of [014] resulted in the exclusion a number of meaningful alternatives. Such claims could attract a maximum of 10 marks. Depending on the wording of the claims and the corresponding part of the description, the presence of a stabilizer would result in the preferred composition of [014] and the examples being excluded. 5 marks were deducted if the preferred embodiments were not covered by the independent composition claim.

Specifying the concentration for the individual components in the composition as well as any other limitation resulted in a loss of 2 marks.

1.4. Process claim

A maximum of 10 marks could be awarded for the process claim.

Since both D1 and D2 disclose the same process as described by the client, this claim has to be limited to the Markush formula of the product claim.

The presence of a base is necessary to carry out the reaction and this should be indicated in the claim. The absence of this essential feature resulted in a deduction of 5 marks.

As an alternative, the broader Markush formula of the composition/compound for use in claims could be used, in which case a limitation on the nature of the base could render the claim novel.

Claims directed to a process for the preparation of compounds which do not solve the problem posed (e.g. when alkyl in R_1 is not limited to alkyl having at least 6 carbon atoms) were considered neither unitary with the other independent claims nor inventive. 5 marks were deducted for such claims.

Any additional limitation in the process claim, such as limiting to any of the specific process steps according to [011], resulted in a deduction of 2 marks per limitation. The marks awarded for an independent claim reflect the degree to which the claim achieves protection for the client's invention. Limiting a claim to a process performed at 0°C or room temperature is inappropriate for defining the client's invention in its broadest possible scope and disadvantages the client by limiting the scope of the claims.

2 marks were deducted for clarity issues such as omitting the definition of R.

A process claim for preparing the composition was not considered a valuable claim and was not awarded any marks.

Answers having multiple independent claims in the same category and relating to the same type of subject-matter were awarded fewer marks because it is considered that the invention can be appropriately claimed with a single independent claim in each category (Rule 43(2) EPC).

2. Dependent claims

Up to 15 marks were available for the dependent claims. The following features could provide good fall-back positions:

- Compounds wherein $R_2, R_3 = \text{Si}(\text{CH}_3)_3$ (2 marks)
- Compounds wherein R_1 is $\text{C}_6\text{-C}_{10}$ -alkyl (2 marks)
- Composition further comprising at least one UV-B sunscreen agent (2 marks)
- Composition which is an emulsion further comprising an emulsifier (5 marks). Only 2 marks were awarded in the absence of an emulsifier.
- Composition which is a water-in-oil emulsion (should also comprise an emulsifier) (2 marks)

- Composition comprising 2-7 wt % of UV filter of formula (I), 2-7 wt % of UV- α filter, 0.05-1.0 wt % of preservative, 4-8 wt % emulsifier, 0.1-2 wt % thickener, 40-60 wt % oil solvent, 15-51.85 wt % water (2 marks)
- Process where the base is LiOH (2 marks)
- Process performed in diethyl ether (2 marks)

No marks were awarded for any claims subsequent to the 15th claim since the client states that claim fees will not be paid.

3. Description

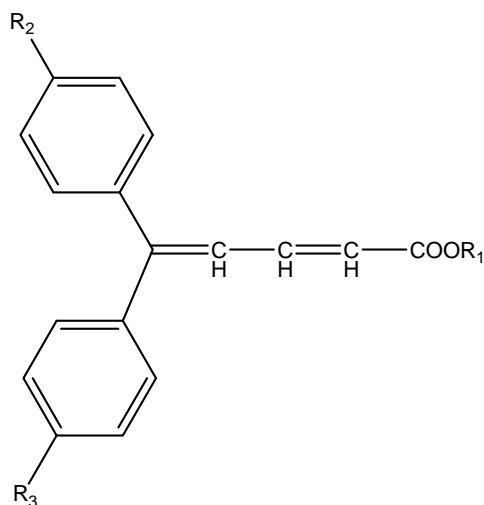
Up to 15 marks were available for the description. It is expected that the introductory part of the description be drafted as in a real application and not as a communication to the EPO. The prior art should be discussed and the signification of the invention in relation to the problem to be solved in the light of the prior art should be clearly presented. Oil solubility and its advantages for use in sunscreens as well as the conservation of stability properties should be indicated.

It was expected that the background art be presented in a general way, e.g. it could be indicated that UV protection is essential to prevent sunburn and its consequences. In this context, it is important that the UV filters are photostable otherwise protection may decrease over time. In addition, sunscreens are often exposed to water, be it perspiration or sea/fresh water. For efficient protection, it is therefore also important that the sunscreens remain on the skin during and after contact with water. The drawbacks of the known prior art should be clearly identified in the description. In particular, it should be emphasised that D2 discloses UV filters which are soluble in water. These filters would be easily removed from the skin resulting in an insufficient protection. The solution to this problem should be explained for all covered embodiments. It should be indicated that both alkyl with at least 6 carbon atoms and the cycloalkyl and phenyl groups are oil soluble (known from the client's letter and from D1). Reference could be made in particular to Table 1 to underline the presence of the effect.

The problem and its solution as well as the remainder of the description had to be consistent with the prior art and with the independent claims proposed.

4. A possible set of claims could be

1. Compound of formula (Ia)

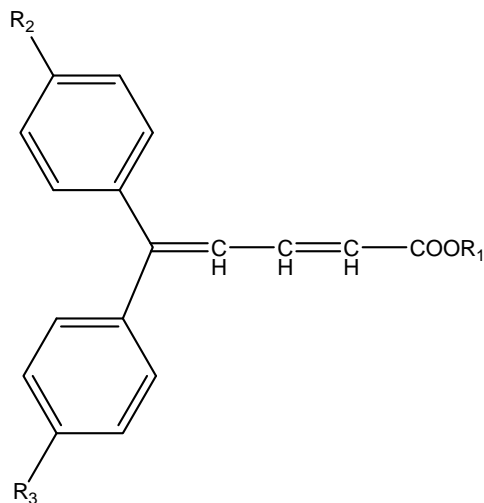


wherein

R_1 represents alkyl having at least 6 carbon atoms

R_2 and R_3 independently represent H, alkyl, halogen, $\text{Si}(\text{CH}_3)_3$, OH or NH_2 and at least one of R_2 and R_3 is $\text{Si}(\text{CH}_3)_3$.

2. Compound of formula (I)



wherein

R_1 represents alkyl having at least 6 carbon atoms, cycloalkyl or phenyl, and

R_2 and R_3 independently from each other represent H, alkyl, halogen, $\text{Si}(\text{CH}_3)_3$, OH or NH_2

and at least one of R_2 and R_3 is $\text{Si}(\text{CH}_3)_3$

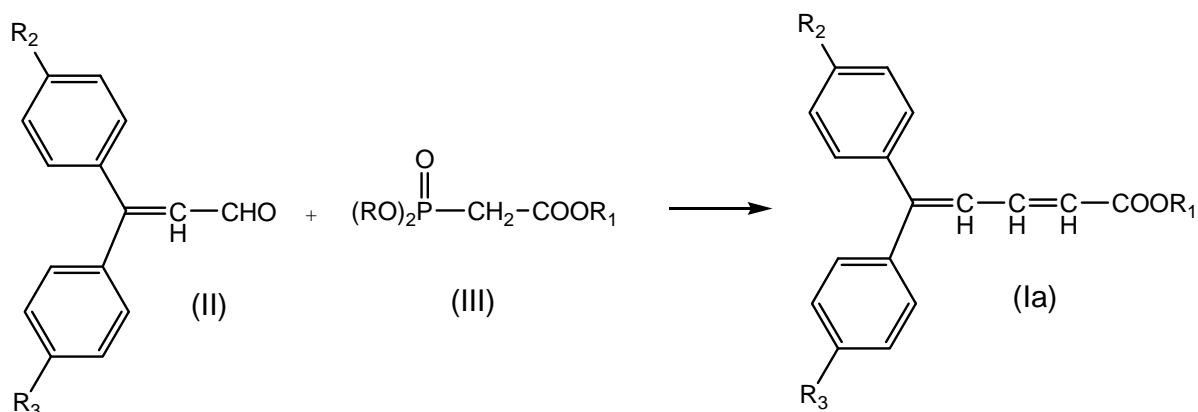
for use in a method for protecting the skin against UV radiation.

3. Compound of formula (Ia) according to claim 1 or formula (I) according to claim 2 wherein both R_2 and R_3 are $\text{Si}(\text{CH}_3)_3$.

4. Compound of formula (Ia) according to claim 1 or formula (I) according to claim 2 wherein R_1 represents C_6 - C_{10} -alkyl.
5. Composition comprising at least one compound of formula (I) according to claim 2, a thickener and a preservative in at least one oil phase.
6. Composition according to claim 5 further comprising at least one UV-B sunscreen agent.
7. Composition according to claims 5 to 6 in the form of an emulsion further comprising an emulsifier.
8. Composition according to claim 7 in the form of a water-in-oil emulsion.
9. Composition according to any of claims 5 to 8 comprising
 - UV filter of formula (I) 2 - 7 % wt,
 - UV-B filter 2 - 7 % wt,
 - Preservative 0.05 - 1.0 % wt,
 - Emulsifier 4 - 8 % wt,
 - Thickener 0.1 - 2 % wt,
 - Oil phase 40 - 60 %wt,
 - Water 15 - 51.85 %.
10. Process for making the compounds of formula (Ia) according to claim 1 comprising reacting a compound of formula (II) with a compound of formula (III) in the presence of a base

wherein

R is C_1 - C_{10} -alkyl or phenyl and R_1 , R_2 and R_3 are defined as in claim 1.



11. Process according to claim 9 where the base is LiOH.
12. Process according to claim 10 or 11 where the reaction is performed in diethyl ether.

EXAMINATION COMMITTEE I

Candidate No. _____

Paper A (Chemistry) 2012 - Marking Sheet

Category	Maximum possible	Marks awarded		
Independent claims	Compound	30		
	Compound for use	10		
	Composition	20		
	Process	10		
Dependent claims		15		
Description		15		
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)

28 June 2012

Chairman of Examination Committee I