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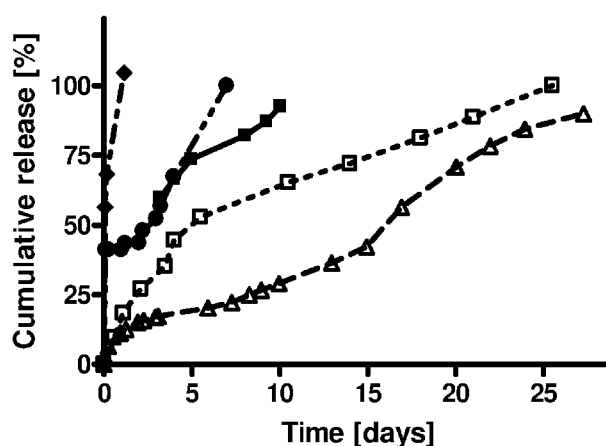
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[Continued on next page]

(54) Title: BIODEGRADABLE COMPOSITIONS SUITABLE FOR CONTROLLED RELEASE

Figure 1



(57) Abstract: The invention describes a special class of drug-depot forming triblock copolymers which are very suitable for the loading, containment and releasing of sensitive drugs such as proteins from biodegradable, injectable drug depots. Furthermore the invention describes how to visualize these depots for various imaging related purposes. The invention relates to a composition comprising a tri-block copolymer according to formula 1 B-A-B (1), wherein A stands for a linear poly-(ethylene glycol) block and wherein B stands for wherein B stands for a poly(lactide-co-ε-caprolactone) block, wherein the hydroxyl end-groups of the tri-block copolymer are at least partially acylated with an optionally substituted acyl having 2 to 12 C-atoms, C-atoms of the substituents included; an active ingredient, preferably a pharmaceutically active ingredient and a solvent, wherein the block ratio of the tri-block copolymer, which ratio is defined as the ratio between the sum of the average molecular weight of the B-blocks and the sum of the average molecular weight of the A-block ranges from 1.4 to 3.5. This composition is suitable for controlled release of a pharmaceutically active ingredient.





— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

(88) Date of publication of the international search report:
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INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2012/055993

A. CLASSIFICATION OF SUBJECT MATTER

INV. A61K9/06 A61K47/34 A61K49/04 C08G63/08 C08G63/91
ADD.

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

A61K C08G

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

EPO-Internal, BIOSIS, EMBASE, WPI Data, COMPENDEX, INSPEC

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 2007/265356 A1 (KIM SUNG W [US] ET AL KIM SUNG WAN [US] ET AL) 15 November 2007 (2007-11-15) cited in the application paragraph [0009] - paragraph [0013] paragraph [0035] examples claims -----	1,5-19
Y	WO 00/18821 A1 (MACROMED INC [US]) 6 April 2000 (2000-04-06) page 7, line 10 - page 8, line 7 page 14, line 26 - page 15, line 3 examples claims -----	1,5-19



Further documents are listed in the continuation of Box C.



See patent family annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

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Name and mailing address of the ISA/

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2012/055993

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fees, this Authority did not invite payment of additional fees.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1(completely); 5-19(partially)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest and, where applicable, the payment of a protest fee.
- ☐ The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1(completely); 5-19(partially)

Composition comprising a tri-block copolymer according to formula 1

B-A-B (1)

wherein A stands for a linear poly-(ethylene glycol) block and wherein B stands for wherein B stands for a poly(lactide-co-E-caprolactone) block , wherein the hydroxyl end-groups of the tri-block copolymer are at least partially acylated with an optionally substituted acyl having 2 to 12 C-atoms, C-atoms of the substituents included;

an active ingredient, preferably a pharmaceutically active ingredient

and a solvent,

wherein the block ratio of the tri-block copolymer, which ratio is defined as the ratio between the sum of the number average molecular weight of the B-blocks and the number average molecular weight of the A-block ranges from 1.4 to 2.6,

wherein the number average molecular weight (Mn) of the linear poly-(ethylene glycol) block is at least 1250Da and wherein the acyl group is an optionally substituted acetyl group, an optionally substituted propionyl group, an optionally substituted butyl group or an optionally substituted benzoyl group.

2. claims: 2-4(completely); 5-19(partially)

Composition comprising a tri-block copolymer according to formula (1)

B-A-B (1)

wherein A stands for a linear poly-(ethylene glycol) block and wherein B stands for a poly(lactide-co-E-caprolactone) block, wherein at least part of the hydroxyl end-groups of the tri-block copolymer are covalently bound to a compound containing radiopaque atoms.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2012/055993

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
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