(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
8 October 2009 (08.10.2009)

PCT

(10) International Publication Number
WO 2009/121631 A3

(51) International Patent Classification:
A61K 47/48 (2006.01) *A61P 11/00* (2006.01)
A61P 35/00 (2006.01)

(21) International Application Number:
PCT/EP2009/002513

(22) International Filing Date:
31 March 2009 (31.03.2009)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
08075267.8 31 March 2008 (31.03.2008) EP

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(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— *with international search report (Art. 21(3))*

— *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:
6 May 2010

WO 2009/121631 A3

(54) Title: NANOPARTICLES FOR TARGETED DELIVERY OF ACTIVE AGENTS TO THE LUNG

(57) Abstract: The advantages of coupling NPs containing lipophilic cytotoxic drugs with MAbs followed by local delivery to the lungs include: direct delivery to lungs, prolonged residence time in the target tissue; continuous release of significant potent drug doses at tumor sites and better cytotoxic drug internalization in tumors allowing improved efficacy. The present invention concerns a delivery system administered to the lung preferably by inhalation comprising a polymer-based nanoparticle; and a linker comprising a first portion non-covalently anchored to said nanoparticle, wherein at least part of said first portion comprises a hydrophobic/lipophilic segment embedded in said nanoparticle; and a second portion comprising a coupling group, preferably a maleimide compound, exposed at the outer surface of said nanoparticle. In accordance with one embodiment, the delivery system comprises one or more targeting agents, each covalently bound to said coupling group, preferably maleimide compound, and is administered as an aerosol in the therapy or diagnosis of lung cancer or bronchial dysplasia. In accordance with yet another embodiment, the delivery system comprises a drug and/or a radiopharmaceutical and/or a contrasting agent. A specific example for a linker in accordance with the invention is octadecyl-4- (maleimideomethyl)cyclohexane-carboxylic amide (OMCCA).

INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2009/002513

A. CLASSIFICATION OF SUBJECT MATTER
INV. A61K47/48 A61P35/00 A61P11/00

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 A61P

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 practical, search terms used)
EPO-Internal, WPI Data, EMBASE, BIOSIS, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2007/034479 A (YISSUM RES DEV CO [IL]; BENITA SHIMON [IL]; DEBOTTON NIR [IL]; GOLDSTE) 29 March 2007 (2007-03-29) page 14, line 1 - line 4 page 20, line 6 - line 13 page 27 - line 12 page 28, line 24 - line 25 page 29, line 4 - line 21 figure 1 page 49, line 5 - line 28; example 4 ----- -/--	1-41,46, 49,50, 52,53

[X] Further documents are listed in the continuation of Box C.

[X] See patent family annex.

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

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Date of the actual completion of the international search	Date of mailing of the international search report
19 February 2010	03/03/2010

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INTERNATIONAL SEARCH REPORT

International application No
PCT/EP2009/002513

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	DEBOTTON N ET AL: "Overcoming the formulation obstacles towards targeted chemotherapy: In vitro and in vivo evaluation of cytotoxic drug loaded immunonanoparticles" JOURNAL OF CONTROLLED RELEASE, ELSEVIER, AMSTERDAM, NL, vol. 127, no. 3, 8 February 2008 (2008-02-08), pages 219-230, XP022617737 ISSN: 0168-3659 [retrieved on 2008-02-08] abstract page 221, column 1, paragraph 3 - column 2, paragraph 6	1-19, 23-36, 38, 40-41, 46,49, 52-53
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International application No
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C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	AZARMI S ET AL: "Formulation and in vivo evaluation of effervescent inhalable carrier particles for pulmonary delivery of nanoparticles" DRUG DEVELOPMENT AND INDUSTRIAL PHARMACY 200809 US, vol. 34, no. 9, 6 February 2008 (2008-02-06), pages 943-947, XP002509782 ISSN: 0363-9045 the whole document	1-56
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Information on patent family members

International application No
PCT/EP2009/002513

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