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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
28 June 2012 (28.06.2012)

WIPO | PCT

(10) International Publication Number
WO 2012/085111 A1

(51) International Patent Classification:
C07K 16/00 (2006.01) *A61K 39/395* (2006.01)
C07K 16/32 (2006.01) *A61P 35/00* (2006.01)
C07K 16/18 (2006.01)

(21) International Application Number:
PCT/EP2011/073631

(22) International Filing Date:
21 December 2011 (21.12.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
10196688.5 23 December 2010 (23.12.2010) EP

(71) Applicant *(for all designated States except US)*: **F. HOFFMANN-LA ROCHE AG** [CH/CH]; Grenzacher Strasse 124, CH-4070 Basel (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **GERG, Michael** [DE/DE]; Fuerstenrieder Strasse 270, 81377 Muenchen (DE). **HEINDL, Dieter** [DE/DE]; Sternstrasse 4, 82396 Paehl (DE). **NIEDERFELLNER, Gerhard** [DE/DE]; Dorfstrasse 36b, 82386 Oberhausen (DE). **SCHAEFER, Wolfgang** [DE/DE]; Tannhaeuserring 190, 68199 Mannheim (DE). **SCHRAEML, Michael** [DE/DE]; Hochfeldstrasse 46, 82377 Penzberg (DE). **TACKE, Michael** [DE/DE]; Geisenfelder Strasse 7, 80689 Muenchen (DE).

(74) Agents: **SKOLAUT, Alexander** et al.; Roche Diagnostics GmbH, P.O. Box 11 52, Nonnenwald 2, 82372 Penzberg (DE).

(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, CL, 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, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, 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, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, 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, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *of inventorship (Rule 4.17(iv))*

Published:

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

[Continued on next page]

(54) Title: POLYPEPTIDE-POLYNUCLEOTIDE-COMPLEX AND ITS USE IN TARGETED EFFECTOR MOIETY DELIVERY

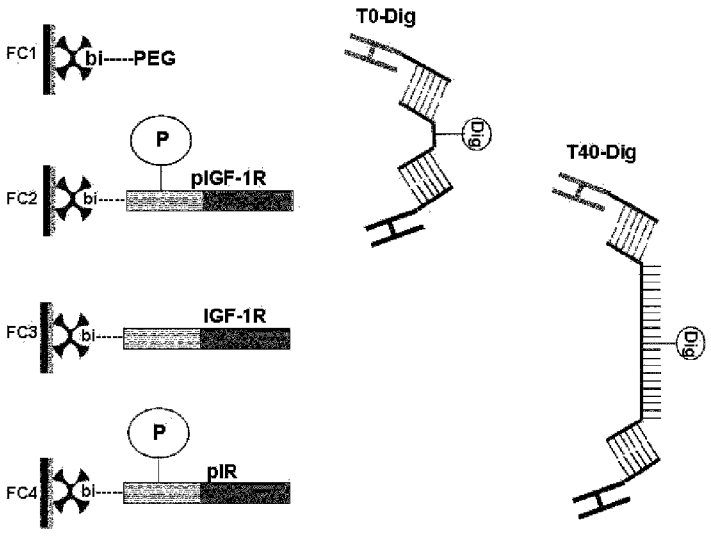


Fig. 11

(57) Abstract: Herein is reported a polypeptide-polynucleotide-complex as therapeutic agent and its use as tool for the targeted delivery of an effector moiety. The polynucleotide part of the complex is essentially resistant to proteolytic and enzymatic degradation in vivo. Additionally the polypeptide part specifically binds to a compound or structure such as a tissue or organ, a process or a disease. Thus, one aspect as reported herein is a polypeptide-polynucleotide-complex comprising a) a polypeptide specifically binding to a target and conjugated to a first member of a binding pair, b) a polynucleotide linker conjugated at its first terminus to the second member of the binding pair, and c) an effector moiety conjugated to a polynucleotide that is complementary to at least a part of the polynucleotide linker.

WO 2012/085111 A1

— *with sequence listing part of description (Rule 5.2(a))*