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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
23 February 2017 (23.02.2017)

WIPO | PCT

(10) International Publication Number
WO 2017/031367 A1

(51) International Patent Classification:
A61K 47/50 (2017.01) *A61P 35/00* (2006.01)

(21) International Application Number:
PCT/US2016/047640

(22) International Filing Date:
18 August 2016 (18.08.2016)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
62/206,776 18 August 2015 (18.08.2015) US
62/249,085 30 October 2015 (30.10.2015) US

(71) Applicant: **ASPYRIAN THERAPEUTICS, INC.** [US/US]; 10581 Roselle Street, Suite 115, San Diego, CA 92121 (US).

(72) Inventors: **BIEL, Merrill**; 2563 Delaware Avenue, Mendota Heights, MN 51158 (US). **MAKINGS, Lewis, R.**; 337 Cerro Street, Encinitas, CA 92024 (US). **HEIM, Roger**; 2131 Caminito Del Barco, Del Mar, CA 92014 (US). **GARCIA-GUZMAN, Miguel**; 4076 Carmel Springs Way, San Diego, CA 92130 (US). **CHIN, Eileen, Sun**; 9645 Easter Way, San Diego, CA 92121 (US). **YADAV, Deepak**; 13129 Old West Avenue, San Diego, CA 92129 (US). **FONG, Jerry**; 7700 Marker Road, San Diego, CA 92130 (US).

(74) Agents: **POTTER, Karen** et al.; Morrison & Foerster LLP, 12531 High Bluff Drive, Suite 100, San Diego, CA 92130-2040 (US).

(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, BN, 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, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SA, 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, ST, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, 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, KM, ML, MR, NE, SN, TD, TG).

Published:

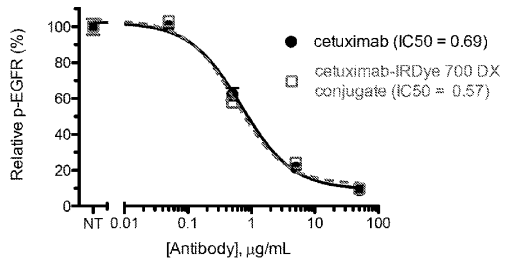
— *with international search report (Art. 21(3))*

— *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))*

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

(54) **Title**: COMPOSITIONS, COMBINATIONS AND RELATED METHODS FOR PHOTOIMMUNOTHERAPY

FIG. 1



(57) **Abstract**: Provided herein are conjugates, compositions and methods for use in photoimmunotherapy, such as photoimmunotherapy induced by activation of a phthalocyanine dye conjugated to a targeting molecule that binds a protein on cell, for example, an IR700-antibody conjugate. In some embodiments, the phthalocyanine-dye conjugate can be activated by irradiation with near-infrared light. Features of the conjugates, compositions and methods, including the dose of the conjugate, provide various advantages, such as lower toxicity and/or improved efficacy. In some embodiments, also provided is a dual label phthalocyanine-dye conjugate in which the targeting molecule is conjugated to an additional fluorescent dye, which can be used for photoimmunotherapy while, for example, also exhibiting improved performance for imaging or detection. Also provided are therapeutic methods using the conjugates and compositions for treatment of diseases and conditions, including tumors or cancers.

WO 2017/031367 A1