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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
22 April 2004 (22.04.2004)

PCT

(10) International Publication Number
WO 2004/032900 A1

(51) International Patent Classification[7]: A61K 9/127, 31/195

(21) International Application Number: PCT/EP2003/011202

(22) International Filing Date: 9 October 2003 (09.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/417,874	11 October 2002 (11.10.2002)	US
10/357,617	4 February 2003 (04.02.2003)	US
10/357,618	4 February 2003 (04.02.2003)	US

(71) Applicant *(for all designated States except US)*: **IDEA AG** [DE/DE]; Frankfurter Ring 193a, 80807 München (DE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **CEVC, Gregor** [DE/DE]; Tassilostrasse 3, 82131 Gauting (DE). **VIERL, Ulrich** [DE/DE]; Milbertshofenerstrasse 52, 80807 München (DE).

(74) Agent: **MAIWALD, Walter**; Maiwald Patentanwalts GmbH, Elisenhof, Elisenstrasse 3, 80335 München (DE).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2004/032900 A1

(54) Title: AGGREGATE WITH INCREASED DEFORMABILITY, COMPRISING AT LEAST THREE AMPHIPATS, FOR IMPROVED TRANSPORT THROUGH SEMI-PERMEABLE BARRIERS AND FOR THE NON-INVASIVE DRUG APPLICATION IN VIVO, ESPECIALLY THROUGH THE SKIN

(57) Abstract: The application describes combinations of at least three amphipatic substances forming aggregate suspensions in a polar liquid. Judicious choice of system components, which differ at least 2-times to 10-times in solubility, ensures said aggregates to have extended, unusually adaptable surfaces. This is probably due to simultaneous action on said aggregates of at least two more soluble substances amongst said three system components, at least one of which is an active ingredient and preferably a drug; the third component, alternatively, can take the role of a drug. The application further deals with the use of said combinations in pharmaceutical preparations capable of transporting drugs into the body of warm blood creatures. This is made possible by the drug loading capability of said aggregates with the highly flexible and deformable coating, which renders the resulting drug carriers highly adaptable. The application finally reveals suitable methods and favourable conditions for carrier manufacturing and application. The application also describes novel formulations of nonsteroidal anti-inflammatory drugs (NSAIDs) based on complex aggregates with at least three amphipatic components suspended in a suitable, e.g. pharmaceutically acceptable, polar liquid medium.