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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
8 September 2006 (08.09.2006)

PCT

(10) International Publication Number
WO 2006/094193 A3

(51) International Patent Classification:
*C07K 7/00* (2006.01) *A61K 38/00* (2006.01)

(21) International Application Number:
PCT/US2006/007600

(22) International Filing Date: 3 March 2006 (03.03.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/658,741 3 March 2005 (03.03.2005) US

(71) Applicant *(for all designated States except US)*: **RE-VANCE THERAPEUTICS, INC.** [US/US]; 2400 Bayshore Parkway, Suite 100, Mountain View, California 94043 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **DAKE, Michael, D.** [US/US]; 665 Gerona Road, Stanford, California 94305 (US). **WAUGH, Jacob, M.** [US/US]; 4020 El Camino Real #116, Mountain View, California 94040 (US).

(74) Agent: **Joseph Eng, Jr., Ph.D.**; King & Spalding, LLP., 1185 Avenue of the Americas, New York, NY 10036-4003 (US).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, 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, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, 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, HU, IE, IS, IT, LT, LU, LV, MC, NL, 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*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

*[Continued on next page]*

(54) **Title:** COMPOSITIONS AND METHODS FOR TOPICAL APPLICATION AND TRANSDERMAL DELIVERY OF AN OLIGOPEPTIDE



(57) **Abstract:** The invention relates to the transdermal application of oligopeptides for reducing synaptic transmission in tissues of an animal. In one aspect, this invention relates to compositions comprising an oligopeptide and optionally a carrier comprising a positively charged "backbone" having positively charged branching or "efficiency" groups, as described herein. Most preferably the positively charged carrier is a long-chain positively charged polypeptide or a positively charged nonpeptidyl polymer, for example, a polyalkyleneimine. The invention further relates methods for producing a biologic effect of reducing synaptic transmission in a tissue by topically applying an effective amount of such a composition, preferably to the skin, of a subject or patient in need of such treatment. This invention also provides kits for preparing or formulating a composition that comprises the oligopeptide and optionally a carrier, as well as such additional items that are needed to produce a usable formulation, or a premix that may in turn be used to produce such a formulation.

WO 2006/094193 A3

**(88) Date of publication of the international search report:**
18 January 2007

*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.*