

14/11/03
2003067295

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

(19) World Intellectual Property Organization
International Bureau



162162

(43) International Publication Date
3 January 2003 (03.01.2003)

PCT

(10) International Publication Number
WO 03/000776 A1

(51) International Patent Classification⁷: **C08G 79/04**,
A61K 47/48, A61P 21/06, 11/06, 9/10, 1/08, 35/00, 11/02,
1/12, 1/10

(74) Agent: **NAMAZIE, Mirza, Khaleel**; Tan Rajah & Cheah,
9 Battery Road #15-00, Straits Trading Building, Singapore
049910 (SG).

(21) International Application Number: PCT/SG02/00091

(22) International Filing Date: 14 May 2002 (14.05.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/290,833 14 May 2001 (14.05.2001) US

(71) Applicant (for all designated States except US): **JOHNS HOPKINS SINGAPORE PTE LTD** [SG/SG]; 41 Science Park Road, #03-18 The Gemini, Singapore Science Park II, Singapore 117610 (SG).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **WANG, Jun** [CN/US]; 720 Rutland Avenue, 729 Ross Building, Baltimore, MD 21205-2196 (US). **MAO, Hai-Quan** [CN/SG]; Block 60 West Coast Crescent, #04-01 West Bay Condominium, Singapore 128040 (SG). **LEONG, Kam, Weng** [US/US]; 10242 Breconshire Road, Ellicott City, MD 21042 (US).

(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, 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, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.

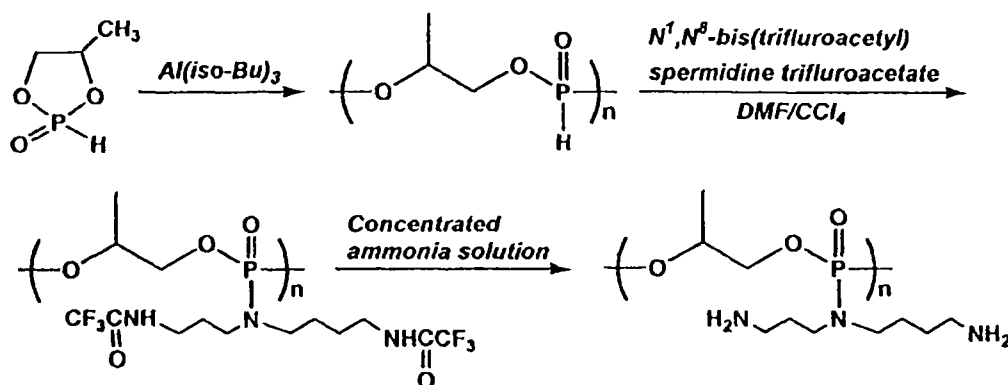
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,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

(54) Title: BIODEGRADABLE POLYPHOSPHORAMIDATES FOR CONTROLLED RELEASE OF BIOACTIVE SUBSTANCES



(57) Abstract: The present invention is directed to a series of new polycationic biodegradable polyphosphoramidates. Process for making the polymers, compositions containing these polymers and bioactive ligands to enhance the cellular uptake and intracellular trafficking, articles and methods for delivery of drugs and genes using these polymers are described. A gene delivery system based on these polymers is prepared by complex coacervation of nucleic acid (DNA or RNA) with polymers. Targeting ligands and molecules that could facilitate gene transfer can be conjugated to polymers to achieve selective and enhanced gene delivery. The current invention also provides a complex composition with buffering capacity.

WO 03/000776 A1



ACTION