

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
9 January 2003 (09.01.2003)

PCT

(10) International Publication Number
WO 03/002092 A2

- (51) International Patent Classification⁷: **A61K 9/00**
- (21) International Application Number: PCT/JP02/06527
- (22) International Filing Date: 28 June 2002 (28.06.2002)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
2001-199484 29 June 2001 (29.06.2001) JP
2001-340993 6 November 2001 (06.11.2001) JP
- (71) Applicant (for all designated States except US): **TAKEDA CHEMICAL INDUSTRIES, LTD.** [JP/JP]; 1-1, Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka 541-0045 (JP).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **YAMAMOTO, Kazumichi** [JP/JP]; 341-11-1109, Shimizu-cho, Kawaramachi-dori Nijo-agaru, Nakagyo-ku, Kyoto-shi, Kyoto 604-0911 (JP). **YAMADA, Akiko** [JP/JP]; 313-501, Sashimono-cho, Kawaramachi-dori Ebisugawa-agaru, Nakagyo-ku, Kyoto-shi, Kyoto 604-0903 (JP). **HATA, Yoshio** [JP/JP]; 531-161, Azahonbetsu, Shikabe-cho, Kayabe-gun, Hokkaido 041-1404 (JP).
- (74) Agents: **AOYAMA, Tamotsu** et al.; AOYAMA & PARTNERS, IMP Building, 3-7, Shiromi 1-chome, Chuo-ku, Osaka-shi, Osaka 540-0001 (JP).
- (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, 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, SL, 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:

— without international search report and to be republished upon receipt of that 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: CONTROLLED RELEASE COMPOSITION AND METHOD OF PRODUCING THE SAME

(57) Abstract: A controlled release composition containing a physiologically active substance in high content, suppressing the initial excess release, and achieving a stable release speed over a long period of time is provided. A controlled release composition comprising (1) a physiologically active substance or salt thereof in an amount of about 14% (w/w) to about 24% (w/w) based on the total composition weight, (2) hydroxynaphthoic acid selected from the group consisting of 3-hydroxy-2-naphthoic acid and 1-hydroxy-2-naphthoic acid or salt thereof, and (3) a lactic acid polymer or salt thereof having a weight-average molecular weight of 15000 to 50000 in which the content of polymers having molecular weights of 5000 or less is about 5% by weight or less, wherein the molar ratio of said hydroxynaphthoic acid or salt thereof to said physiologically active substance or salt thereof is from 3:4 to 4:3.



WO 03/002092 A2