

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
27 December 2007 (27.12.2007)

PCT

(10) International Publication Number
WO 2007/149098 A1

(51) International Patent Classification:

C07H 23/00 (2006.01) *G01N 33/567* (2006.01)
C12P 19/42 (2006.01)

(74) Agents: KING, Jeffrey, J. et al.; BLACKLOWE & GRAHAM PLLC, 701 Fifth Avenue - Suite 4800, Seattle, WA 98104 (US).

(21) International Application Number:

PCT/US2006/024685

(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, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, 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, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

(22) International Filing Date: 23 June 2006 (23.06.2006)

(25) Filing Language: English

(26) Publication Language: English

(71) Applicant (for all designated States except US): NASTECH PHARMACEUTICAL COMPANY, INC. [US/US]; 3450 Monte Villa Parkway, Bothell, WA 98021-8906 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): QUAY, Steven, C. [US/US]; 3916 East Pine Street, Seattle, WA 98122 (US). GO, Zenaida, O. [US/US]; 565 Grove Street #16D, Clifton, NY 07013 (US). APRILE, Peter, C. [US/US]; 84 Wintercress Lane, East Northport, NY 11731-4713 (US). SILENO, Antony, P. [US/US]; 10 Highview Boulevard, Brookhaven Hamlet, NY 11719 (US).

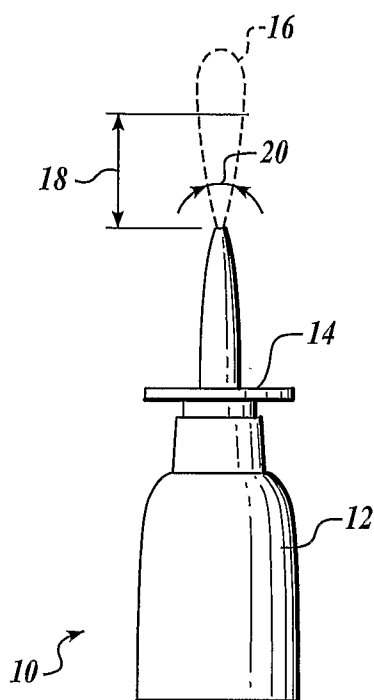
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, 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

[Continued on next page]

(54) Title: CYANOCOBALAMIN LOW VISCOSITY AQUEOUS FORMULATIONS FOR INTRANASAL DELIVERY



(57) Abstract: A stable pharmaceutical aqueous solution of cyanocobalamin comprised of cyanocobalamin and water wherein said solution of cyanocobalamin is suitable for intranasal administration, has a viscosity less than about 1000 cPs, and yields a bioavailability of cyanocobalamin when administered intranasally of at least about 5% relative to an intramuscular injection of cyanocobalamin. The present invention is also directed towards a method for elevating the vitamin B 12 levels in the cerebral spinal fluid (CSF) comprising administering intranasally a sufficient amount of a cyanocobalamin solution so as to increase the average ratio of vitamin B 12 in the CSF to that in the blood serum (B12 CSF/B12 Serum x 100) to at least about 1.1 comprising intranasally administering an aqueous solution of a cyanocobalamin, wherein said solution of cyanocobalamin has a bioavailability of at least 5% relative to an intramuscular injection of a cyanocobalamin.



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.