13 MAY 2003

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7 : A61K 9/14, 9/51	A1	(11) International Publication Number: WO 00/30615
		(43) International Publication Date: 2 June 2000 (02.06.00)

(21) International Application Number: PCT/US99/27435

(22) International Filing Date: 19 November 1999 (19.11.99)

(30) Priority Data:
60/109,203 20 November 1998 (20.11.98) US

(71) Applicant: RTP PHARMA INC. [CA/US]; 4364 South Alston Avenue, Durham, NC 27713–2280 (US).

(72) Inventors: KHAN, Sheema; Unit H., 22 Bayshore Drive, Napean, Ontario K2B 6M8 (CA). PARIKH, Indu; 120 Ferland, Ile de Soeurs, Verdun, Quebec H3E 1L1 (CA). LOUGHREY, Helen, C.; 7004 de St–Vallier, Montreal, Quebec H2S 2R2 (CA).

(74) Agent: CRAWFORD, Arthur, R.; Nixon & Vanderhye P.C., Suite 800, 1100 North Glebe Road, Arlington, VA 22201–4714 (US).

(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, 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, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, 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), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, 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 the receipt of amendments.

(54) Title: METHOD OF PREPARING STABLE SUSPENSIONS OF INSOLUBLE MICROPARTICLES

$$\text{System HLB} = \sum_{j} \frac{(\text{weight of surfactant j})}{\text{weight of drug})} \times (\text{HLB value of surfactant j}) \qquad \text{(I)}$$

(57) Abstract

Sub–micron and micron–size stable particles of water–insoluble or poorly soluble drugs or other industrially useful insoluble compounds suspended in an aqueous medium containing at least one surface modifier are prepared by selecting the surface modifier or modifiers such that the hydrophile–lipophile balance (HLB) of the composition, defined as formula (I): is between 4 and 9. This provides a reliable HLB–based selection criteria for selecting the type and amount of surface modifiers used to obtain sub–micron size stable suspensions.



162162



G00002