



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : A61K 9/00	A2	(11) International Publication Number: WO 99/49846 (43) International Publication Date: 7 October 1999 (07.10.99)
(21) International Application Number: PCT/US99/06746 (22) International Filing Date: 29 March 1999 (29.03.99) (30) Priority Data: 60/079,809 30 March 1998 (30.03.98) US (71) Applicant: RTP PHARMA INC. [US/US]; 4364 South Alston Avenue, Durham, NC 27713-2280 (US). (72) Inventors: KHAN, Sheema; Unit H, 22 Bayshore Drive, Napcan, Ontario K2B 6M8 (CA). PACE, Gary, W.; 4364 South Alston Avenue, Durham, NC 27713-2280 (US). (74) Agent: CRAWFORD, Arthur, R.; Nixon & Vanderhye P.C., 8th floor, 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, 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 <i>Without international search report and to be republished upon receipt of that report.</i>	
(54) Title: COMPOSITION AND METHOD OF PREPARING MICROPARTICLES OF WATER-INSOLUBLE SUBSTANCES (57) Abstract Compositions and procedures that yield sub-micron and micron-size stable particles of water-insoluble or poorly soluble drugs or other industrially useful insoluble compounds are prepared using combinations of natural or synthetic phospholipids, a charged surface modifier such as a highly purified charged phospholipid and a block copolymer coated or adhered onto the surfaces of the water insoluble-compound particles. The combination of charged surface modifier and block copolymer allows the formation and stabilization of the sub-micron and micron size compound particles - stabilized by the charged phospholipid to provide electrostatic stabilization and the block copolymer to provide steric stabilization - and therefore prevents these particles from particle growth, aggregation or flocculation.		