PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : A61K

A2

(11) International Publication Number: **WO 99/52493**

(43) International Publication Date: 21 October 1999 (21.10.99)

(21) International Application Number: PCT/US99/08305

(22) International Filing Date: 15 April 1999 (15.04.99)

(30) Priority Data:
60/082,019 16 April 1998 (16.04.98) US

(71) Applicant: TEXAS BIOTECHNOLOGY CORPORATION [US/US]; 7000 Fannin, Houston, TX 77030 (US).

(72) Inventors: SCOTT, Ian, L.; 53 Ramsey Place, Albany, NY 12208 (US). BORE, Raju, G.; 41010 Cornac Terrace, Fremont, CA 94539 (US). BIEDIGER, Ronald, J.; 17002 E. Copper Lakes Court, Houston, TX 77095 (US). GRABBE, Vanessa, O.; 2022 Canyon Crest Drive, Sugar Land, TX 77479 (US). KASSIR, Jamal, M.; 2121 Hepburn #713, Houston, TX 77054 (US). KELLER, Karin, M.; Apartment 808, 8330 El Mundo, Houston, TX 77054 (US). LIN, Shuqun; 623 Meadowbrook Drive, Huntingdon Valley, PA 19006 (US). MARKET, Robert, V.; 2215 St. James Place, Pearland, TX 77581 (US). KOGAN, Timothy, P. (deceased).

(74) Agent: KATZ, Martin, L.; Rockey, Milnamow & Katz, Ltd., Two Prudential Plaza, Suite 4700, 180 N. Stetson Avenue, Chicago, IL 60601 (US).

(81) Designated States: 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, 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
Without international search report and to be republished upon receipt of that report.

(54) Title: COMPOUNDS THAT INHIBIT THE BINDING OF INTEGRINS TO THEIR RECEPTORS

(57) Abstract

A method for the inhibition of the binding of $\alpha_4\beta_1$ integrin to its receptors, for example VCAM–1 (vascular cell adhesion molecule–1) and fibronectin; compounds that inhibit this binding; pharmaceutically active compositions comprising such compounds; and the use of such compounds either as above, or in formulations for the control or preventions of diseases states in which $\alpha_4\beta_1$ is involved.