



## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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| <b>(51) International Patent Classification <sup>7</sup> :</b><br><b>C07C 315/00, 229/00, 321/00, 205/00</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | <b>A1</b> | <b>(11) International Publication Number:</b> <b>WO 00/68188</b><br><b>(43) International Publication Date:</b> 16 November 2000 (16.11.00)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |
| <b>(21) International Application Number:</b> PCT/US00/12464<br><b>(22) International Filing Date:</b> 5 May 2000 (05.05.00)<br><br><b>(30) Priority Data:</b><br>60/132,967                      7 May 1999 (07.05.99)                      US<br>60/170,441                      10 December 1999 (10.12.99)                      US<br><br><b>(71) Applicant:</b> TEXAS BIOTECHNOLOGY CORPORATION<br>[US/US]; Suite 1920, 7000 Fannin, Houston, TX 77030 (US).<br><br><b>(72) Inventors; and</b><br><b>(75) Inventors/Applicants (for US only):</b> BIEDIGER, Ronald, J.<br>[US/US]; 17002 E. Copper Lakes Court, Houston, TX 77095 (US). HOLLAND, George, W. [US/US]; 10 Acorn Place, North Caldwell, NJ 07006 (US). KASSIR, Jamal, M. [LB/US]; 2121 Hepburn, Apt. #713, Houston, TX 77054 (US). LI, Wen [CN/US]; 1954 Winrock, Apt. #234, Houston, TX 77057 (US). MARKET, Robert, V. [US/US]; 2215 St. James Place, Pearland, TX 77581 (US). SCOTT, Ian, L. [GB/US]; 25 Lea Drive, Delanson, NY 12053 (US). WU, Chengde [CN/US]; 2511 Lansing Circle, Pearland, TX 77584 (US). |           | <b>(74) Agents:</b> KATZ, Martin, L. et al.; Rockey, Milnamow & Katz, Ltd., Two Prudential Plaza, Suite 4700, 180 North Stetson Avenue, Chicago, IL 60601 (US).<br><br><b>(81) Designated States:</b> AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, 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, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, 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).<br><br><b>Published</b><br><i>With international search report.</i> |
| <b>(54) Title:</b> PROPANOIC ACID DERIVATIVES THAT INHIBIT THE BINDING OF INTEGRINS TO THEIR RECEPTORS<br><br><b>(57) Abstract</b><br><p>A method for the inhibition of the binding of <math>\alpha_4\beta_1</math> 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 prevention of diseases states in which <math>\alpha_4\beta_1</math> is involved.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            |