

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

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
7 December 2000 (07.12.2000)

PCT

(10) International Publication Number  
**WO 00/72801 A2**

- (51) International Patent Classification<sup>7</sup>: **A61K**
- (21) International Application Number: **PCT/US00/14901**
- (22) International Filing Date: **30 May 2000 (30.05.2000)**
- (25) Filing Language: **English**
- (26) Publication Language: **English**
- (30) Priority Data:  
60/137,101 2 June 1999 (02.06.1999) US  
60/179,216 31 January 2000 (31.01.2000) US
- (71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **COLEMAN, Paul, J.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **DUGGAN, Mark, E.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **HALCZENKO, Wasyl** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **HARTMAN, George, D.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **HUTCHINSON, John, H.** [CA/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **MEISSNER, Robert, S.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **PATANE, Michael, A.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **PERKINS, James, J.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **WANG, Jiabing** [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **BRESLIN, Michael, J.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (74) Common Representative: **MERCK & CO., INC.**; Durette, Philippe, L., 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, 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.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, 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:  
— Without international search report and to be republished upon receipt of that report.
- 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.

WO 00/72801 A2



\*G00001\*

(54) Title: **ALPHA V INTEGRIN RECEPTOR ANTAGONISTS**

(57) Abstract: The present invention relates to novel nonanoic acid derivatives, their synthesis, and their use as alphaV integrin receptor antagonists. More particularly, the compounds of the present invention are antagonists of the integrin receptors alphaV beta3 and alphaV beta5 and are useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting vascular restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation, inflammatory arthritis, viral disease, cancer, and metastatic tumor growth.



\*162162\*