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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
22 February 2001 (22.02.2001)

PCT

(10) International Publication Number
WO 01/12186 A1

(51) International Patent Classification[7]: A61K 31/40, 31/4025, 31/445, C07D 401/06, 401/08, 401/10, 401/12

(21) International Application Number: PCT/US00/22285

(22) International Filing Date: 14 August 2000 (14.08.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/148,845 13 August 1999 (13.08.1999) US

(63) Related by continuation (CON) or continuation-in-part (CIP) to earlier application:
US 60/148,845 (CIP)
Filed on 13 August 1999 (13.08.1999)

(71) Applicant *(for all designated States except US)*: **BIOGEN, INC.** [US/US]; 14 Cambridge Center, Cambridge, MA 02142 (US).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **LEE, Wen-Cherng** [—/US]; 192 Spring Street, Lexington, MA 02421 (US). **SCOTT, Daniel** [US/US]; 42 Bradyll Road, Weston, MA 02493 (US). **CORNEBISE, Mark** [US/US]; 22 Gilbert Street, Watertown, MA 02472 (US). **PETTER, Russell** [US/US]; 343 Hudson Road, Stow, MA 01775 (US).

(74) Agent: **MYERS, Louis**; Fish & Richardson P.C., 225 Franklin Street, Boston, MA 02110-2804 (US).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, 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, KP, 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:
— *With international search 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 01/12186 A1

(54) Title: CELL ADHESION INHIBITORS

(57) Abstract: A cell adhesion inhibitor of the general formula: R^3-L-L'-R^1 is disclosed. An inhibitor of the present invention interacts with VLA-4 molecules and inhibits VLA-4 dependent cell adhesion. Also disclosed are methods for preparing and using such a cell adhesion inhibitor, as well as pharmaceutical compositions containing the same.