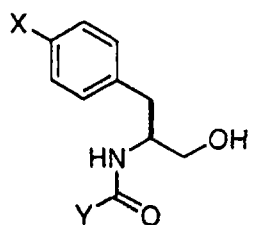




## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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| <p><b>(51) International Patent Classification <sup>7</sup> :</b><br/> <b>C07C 233/80, 235/40, 317/44, 323/60, A61K 31/167, A61P 29/00</b></p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | <b>A1</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | <p><b>(11) International Publication Number:</b> <b>WO 00/48988</b></p> <p><b>(43) International Publication Date:</b> 24 August 2000 (24.08.00)</p> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |
| <table style="width: 100%; border: none;"> <tr> <td style="width: 50%; vertical-align: top; padding: 5px;"> <p><b>(21) International Application Number:</b> PCT/EP00/01168</p> <p><b>(22) International Filing Date:</b> 12 February 2000 (12.02.00)</p> <p><b>(30) Priority Data:</b><br/> 60/120,498                      18 February 1999 (18.02.99)                      US</p> <p><b>(71) Applicant:</b> F. HOFFMANN-LA ROCHE AG [CH/CH]; 124 Grenzacherstrasse, CH-4070 Basle (CH).</p> <p><b>(72) Inventors:</b> SIDDURI, Achytharao; 22 Washington Court, Livingston, NJ 07039 (US). TILLEY, Jefferson, Wright; 19 Evergreen Drive, North Caldwell, NJ 07006 (US).</p> <p><b>(74) Agent:</b> LOESCHNER, Thomas; 124 Grenzacherstrasse, CH-4070 Basle (CH).</p> </td> <td style="width: 50%; vertical-align: top; padding: 5px;"> <p><b>(81) Designated States:</b> 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, MA, 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, 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).</p> <p><b>Published</b><br/> <i>With international search report.</i></p> <div style="text-align: center; margin-top: 20px;"> <br/> *162162*<br/> <br/> *G00001* </div> </td> </tr> </table> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |                                                                                                                                                      | <p><b>(21) International Application Number:</b> PCT/EP00/01168</p> <p><b>(22) International Filing Date:</b> 12 February 2000 (12.02.00)</p> <p><b>(30) Priority Data:</b><br/> 60/120,498                      18 February 1999 (18.02.99)                      US</p> <p><b>(71) Applicant:</b> F. HOFFMANN-LA ROCHE AG [CH/CH]; 124 Grenzacherstrasse, CH-4070 Basle (CH).</p> <p><b>(72) Inventors:</b> SIDDURI, Achytharao; 22 Washington Court, Livingston, NJ 07039 (US). TILLEY, Jefferson, Wright; 19 Evergreen Drive, North Caldwell, NJ 07006 (US).</p> <p><b>(74) Agent:</b> LOESCHNER, Thomas; 124 Grenzacherstrasse, CH-4070 Basle (CH).</p> | <p><b>(81) Designated States:</b> 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, MA, 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, 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).</p> <p><b>Published</b><br/> <i>With international search report.</i></p> <div style="text-align: center; margin-top: 20px;"> <br/> *162162*<br/> <br/> *G00001* </div> |
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| <p><b>(54) Title:</b> PHENYLALANINOL DERIVATIVES</p> <div style="text-align: center; margin: 20px 0;">  <p style="margin-left: 150px;"><b>(1)</b></p> </div> <p><b>(57) Abstract</b></p> <p>Compounds of formula (1) and the pharmaceutically acceptable salts and esters thereof wherein X and Y are as defined in the specification, are effective inhibitors of the binding of VCAM-1 to VLA-4 <i>in vivo</i> and are useful in treating inflammation in inflammatory diseases in which such binding acts to bring on the inflammation.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |                                                                                                                                                      |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |