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(21) International Application Number: PCT/US98/27424 (22) International Filing Date: 23 December 1998 (23.12.98) (30) Priority Data: 60/068,889 24 December 1997 (24.12.97) US (71) Applicant (for all designated States except US): VERTEX PHARMACEUTICALS INCORPORATED [US/US]; 130 Waverly Street, Cambridge, MA 02139-4242 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): HALE, Michael, R. [US/US]; 42 Sunset Road, Bedford, MA 01730 (US). TUNG, Roger, D. [US/US]; 54 Richfield Road, Arlington, MA 01274 (US). BAKER, Christopher, T. [US/US]; Apartment 5, 23 Judith Lane, Waltham, MA 02154 (US). SPALTENSTEIN, Andrew [US/US]; 4105 Brewster Drive, Raleigh, NC 27606 (US). FURFINE, Eric, Steven [US/US]; 4133 Livingstone Place, Durham, NC 27707 (US). KALDOR, Istvan [US/US]; 7 Bonham Court, Durham, NC 27703 (US). KAZMIERSKI, Wieslaw, Mieczyslaw [US/US]; 1221 Stone Creek Way, Raleigh, NC 27615 (US). (74) Agents: HALEY, James, F., Jr.; Fish & Neave, 1251 Avenue of the Americas, New York, NY 10020 (US) et al.		(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, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, 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 <i>Without international search report and to be republished upon receipt of that report.</i>
(54) Title: PRODRUGS OF ASPARTYL PROTEASE INHIBITORS (57) Abstract The present invention relates to prodrugs of a class of sulfonamides which are aspartyl protease inhibitors. In one embodiment, this invention relates to a novel class of prodrugs of HIV aspartyl protease inhibitors characterized by favorable aqueous solubility, high oral bioavailability and facile <i>in vivo</i> generation of the active ingredient. This invention also relates to pharmaceutical compositions comprising these prodrugs. The prodrugs and pharmaceutical compositions of this invention are particularly well suited for decreasing the pill burden and increasing patient compliance. This invention also relates to methods of treating mammals with these prodrugs and pharmaceutical compositions.		