200002.979-3

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 :		(11) International Publication Number:
C07K 14/47, 7/06, A61K 38/17, 47/48	A1	WO 99/28345
		(43) International Publication Date: 10 June 1999 (10.06.99)

(21) International Application Number: PCT/US98/25358

(22) International Filing Date: 25 November 1998 (25.11.98)

(30) Priority Data:

60/067,110	2 December 1997 (02.12.97)	US
9804399.5	2 March 1998 (02.03.98)	GB

(71) Applicant *(for all designated States except US)*: MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065 (US).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: BRADY, Stephen, F. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065 (US). FENG, Dong-Mei [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065 (US). GARSKY, Victor, M. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065 (US).

(74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, NJ 07065 (US).

(81) Designated States: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, 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

With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: CONJUGATES USEFUL IN THE TREATMENT OF PROSTATE CANCER

(57) Abstract

Chemical conjugates which comprise oligopeptides, having amino acid sequences that are selectively proteolytically cleaved by free prostate specific antigen (PSA) and known cytotoxic agents are disclosed. The conjugates of the invention are characterized by attachment of the cleavable oligopeptide to the oxygen atom at the 4–position on a vinca drug that has be desacetylated. Such conjugates are useful in the treatment of prostatic cancer and benign prostatic hypertrophy (BPH).