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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
12 February 2004 (12.02.2004)

PCT

(10) International Publication Number
WO 2004/012735 A3

(51) International Patent Classification[7]: A61K 31/427, C07D 417/06, 493/04, 207/40, C07C 323/24, A61K 47/48

(21) International Application Number:
PCT/EP2003/008483

(22) International Filing Date: 31 July 2003 (31.07.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

102 34 975.4	31 July 2002 (31.07.2002)	DE
103 05 098.1	7 February 2003 (07.02.2003)	DE
60/451,673	5 March 2003 (05.03.2003)	US

(71) Applicant *(for all designated States except US)*: **SCHERING AG** [DE/DE]; Müllerstrasse 178, 13353 Berlin (DE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BERGER, Markus** [DE/DE]; Malplaquetstr. 35, 13347 Berlin (DE). **SIEMEISTER, Gerhard** [DE/DE]; Reimerswalder Steig 26, 13503 Berlin (DE). **KLAR, Ulrich** [DE/DE]; Isegrimsteig 8A, 13503 Berlin (DE). **WILLUDA, Jörg** [DE/DE]; Platanenstr. 3, 13156 Berlin (DE). **MENRAD, Andreas** [DE/DE]; Allerstr. 7, 16515 Oranienburg (DE). **BOSSLET, Klaus** [DE/DE]; Am Kahlschlag 9, 13465 Berlin (DE).

(74) Agent: **DÖRRIES, Ulrich, H.**; Dörries, Frank-Molnia & Pohlman, Triftstr. 13, 80538 München (DE).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, 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, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

— *as to the identity of the inventor (Rule 4.17(i)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, 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, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, 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 receipt of amendments*

(88) Date of publication of the international search report:
27 May 2004

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.

(54) Title: NEW EFFECTOR CONJUGATES, PROCESS FOR THEIR PRODUCTION AND THEIR PHARMACEUTICAL USE

(57) Abstract: Conjugates of epothilones and epothilone derivatives (as effectors) with suitable biomolecules (as recognition units) are described. Their production is carried out by the effectors being reacted with suitable linkers, and the compounds that are produced are conjugated to the recognition units. The pharmaceutical use of the conjugates for treating proliferative or angiogenesis-associated processes is described.

WO 2004/012735 A3