

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
23 January 2003 (23.01.2003)

PCT

(10) International Publication Number
WO 03/006428 A1

(51) International Patent Classification⁷: **C07C 327/56**,
A61K 31/337, A61P 35/00

Allen Street, Lexington, MA 02421 (US). **XIA, Zhi-Qiang**
[JP/US]; 259 Colburn Street, Dedham, MA 02026 (US).

(21) International Application Number: PCT/US02/21714

(74) Agents: **BROOK, David, E.** et al.; Hamilton, Brook,
Smith & Reynolds, P.C., 530 Virginia Road, P.O. Box
9133, Concord, MA 01742-9133 (US).

(22) International Filing Date: 10 July 2002 (10.07.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/304,252 10 July 2001 (10.07.2001) US
60/361,936 6 March 2002 (06.03.2002) US

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

(71) Applicant (*for all designated States except US*): **SBR
PHARMACEUTICALS CORP.** [US/US]; 45 Hartwell
Avenue, Lexington, MA 02421 (US).

(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, IE, IT, LU, MC, NL, PT, SE, SK,
TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

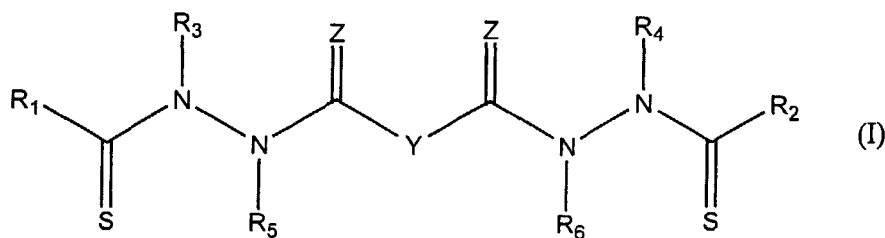
(75) Inventors/Applicants (*for US only*): **KOYA, Keizo**
[JP/US]; 15 Kenwood Street, Brookline, MA 02446 (US).
SUN, Lijun [CN/US]; 148 Depot Road, Harvard, MA
01451 (US). **CHEN, Shoujun** [CN/US]; 158 Concord
Road, #K21, Billerica, MA 01821 (US). **TATSUTA,
Noriaki** [JP/US]; 425 Woburn Street, No. 42, Lexington,
MA 02420 (US). **WU, Yaming** [US/US]; 6 Scotland Road,
Lexington, MA 02420 (US). **ONO, Mitsunori** [JP/US]; 68

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.

(54) Title: TAXOL ENHANCER COMPOUNDS



(57) Abstract: One embodiment of the present invention is a compound represented by Structural Formula (I) wherein Y is a covalent bond of a substituted or unsubstituted straight chained hydrocarbyl group. In addition, Y, taken together with both >C=Z groups to which it is bonded, is a substituted or unsubstituted aromatic group. Preferably, Y is a covalent bond or -C(R₇R₈)-. R₁ is an aliphatic group, a substituted aliphatic group, a non-aromatic heterocyclic group, or a substituted non-aromatic heterocyclic group, R₂-R₄ are independently -H, an aliphatic group, a substituted aliphatic group, a non-aromatic heterocyclic group, a substituted non-aromatic heterocyclic group, an aryl group or a substituted aryl group, or R₁ and R₃ taken together with the carbon and nitrogen atoms to which they are bonded, and/or R₂ and R₄ taken together with the carbon and nitrogen atoms to which they are bonded, form a non-aromatic heterocyclic ring optionally fused to an aromatic ring. R₅-R₆ are independently -H, an aliphatic group, a substituted aliphatic group, an aryl group or a substituted aryl group. R₇ and R₈ are each independently -H, an aliphatic or substituted aliphatic group, or R₇ is -H and R₈ is a substituted or unsubstituted aryl group, or, R₇ and R₈, taken together, are a C2-C6 substituted or unsubstituted alkylene group. Z is =O or =S. Also disclosed are pharmaceutical compositions comprising the compound of the present invention and a pharmaceutically acceptable carrier or diluent.



WO 03/006428 A1