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

(19) World Intellectual Property Organization
International Bureau

G00002

(43) International Publication Date
23 May 2002 (23.05.2002)

PCT

(10) International Publication Number
WO 02/040484 A3

(51) International Patent Classification[7]: C07D 487/04, 471/04, A61K 31/4188, 31/437, A61P 35/00, C07D 233/54 // (C07D 487/04, 235:00, 209:00) (C07D 471/04, 235:00, 221:00)

(21) International Application Number: PCT/JP01/10002

(22) International Filing Date:
16 November 2001 (16.11.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

2000-351780	17 November 2000 (17.11.2000)	JP
2001-247618	17 August 2001 (17.08.2001)	JP
2001-336880	1 November 2001 (01.11.2001)	JP

(71) Applicant *(for all designated States except US)*: **TAKEDA CHEMICAL INDUSTRIES, LTD.** [JP/JP]; 1-1, Doshomachi 4-chome, Chuo-ku, Osaka-shi, Osaka 541-0045 (JP).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **TASAKA, Akihiro** [JP/JP]; 9-20-102, Yamadahigashi 2-chome, Suita-shi, Osaka 565-0821 (JP). **HITAKA, Takenori** [JP/JP]; 1-22-302, Nakayamasatsukidai 6-chome, Takarazuka-shi, Hyogo 665-0871 (JP). **MATSUNAGA, Nobuyuki** [JP/JP]; 33-1, Hannan-cho 3-chome, Abeno-ku, Osaka-shi, Osaka 545-0021 (JP). **KUSAKA, Masami** [JP/JP]; 4-102-301, Gakuenhigashimachi 1-chome, Nishi-ku, Kobe-shi, Hyogo 651-2102 (JP). **ADACHI, Mari** [JP/JP]; 2-3, Mihogaoka 4-chome, Nishi-ku, Kobe-shi, Hyogo 651-2216 (JP). **AOKI, Isao** [JP/JP]; 17-1, Daiwahigashi 3-chome, Kawanishi-shi, Hyogo 666-0111 (JP). **OJIDA, Akio** [JP/JP]; 36-25, Hakozaki 2-chome, Higashi-ku, Fukuoka-shi, Fukuoka 812-0053 (JP).

(74) Agent: **TAKASHIMA, Hajime**; Fujimura Yamato Seimei Bldg., 2-14, Fushimimachi 4-chome, Chuo-ku, Osaka-shi, Osaka 541-0044 (JP).

(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, 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, TR, TT, TZ, UA, UG, US, UZ, 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, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, 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:
26 September 2002

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.

162162

WO 02/040484 A3

(54) Title: NOVEL IMIDAZOLE DERIVATIVES, PRODUCTION METHOD THEREOF AND USE THEREOF

(57) Abstract: The present invention provides a compound having a steroid C17,20-lyase-inhibitory activity and useful for the therapy and prophylaxis of tumor such as prostatism, breast cancer and the like, and a method for efficiently separating an optically active compound of this compound from a mixture of optical isomers thereof, a compound of the formula:wherein each symbol is as defined in the specification, a salt thereof or a prodrug thereof, and a method for obtaining an optically active compound by optically resolving a mixture of optical isomers by the use of a resolving agent such as tartranilic acid and the like.