

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
24 June 2004 (24.06.2004)

PCT

(10) International Publication Number
WO 2004/052310 A3

(51) International Patent Classification⁷: **C07F 7/08**,
7/18, C07D 473/18

(21) International Application Number:
PCT/US2003/039554

(22) International Filing Date:
10 December 2003 (10.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/432,549 11 December 2002 (11.12.2002) US

(71) Applicants (for all designated States except US): **BRISTOL-MYERS SQUIBB COMPANY** [US/US]; P. O. Box 4000, Route 206 and Provinceline Road, Princeton, NJ 08543-4000 (US). **BANERJEE, Amit** [US/US]; 6924 Pershing Ave., St. Louis, MO 63130 (US). **KUCERA, David, J.** [US/US]; 14099 Recuerdo Dr., Del Mar, CA 92014 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **PENDRI, Yadagiri, R.** [US/US]; 54 Aspen Dr., South Glastonbury, CT 06073 (US). **CHEN, Chung-Pin, H.** [US/US]; 92 Joshua Trail, Madison, CT 06443 (US). **PATEL, Sunil, S.** [US/US]; 7 Tulip Dr., Apt.#3J, Fords, NJ 08863 (US). **EVANS, Jeffrey, M.** [US/US]; 3232 Windy Hill Ln., Manlius, NY 13104 (US). **LIANG, Jing** [US/US]; 57 Sayre Dr., Princeton, NJ 08540 (US). **KRONENTHAL, David, R.** [US/US]; 407 Lenape Ln., Yardley, PA 19067 (US). **POWERS, Gerald, L.** [US/US]; 721 B. Cranbury Cross Rd., North Brunswick, NJ 08902 (US). **PRASAD, Siva, Josyula** [US/US]; 56 Jared Boulevard, Kendall Park, NJ 08824 (US). **BIEN, Jeffrey, T.** [US/US]; 62 Jackson Ave., Princeton, NJ 08540 (US). **SHI, Zhongping** [US/US]; 20 North Wilson, West Windsor, NJ 08550 (US). **PATEL, Ramesh, N.** [US/US]; 572 Cabot Hill Rd., Bridgewater, NJ 08807 (US). **CHAN, Yeung, Y.** [US/US]; 20 Concord Dr., Kendall Park, NJ 08824 (US). **RIJHWANI, Sushil, K.** [IN/US]; 20 Belmont Dr., Robbinsville, NJ 08691 (US). **SINGH, Ambarish, K.** [US/US]; 12 Alfred Dr., Borden-town, NJ 08505 (US). **WANG, Shaopeng** [US/US]; 3852 South Parkway Dr., North Brook, IL 60062 (US). **STOJANOVIC, Milan** [US/US]; 243B Whiteman St., Fort Lee, NJ 07024 (US). **POLNIASZEK, Richard** [US/US]; 112 Monaco Dr., Redwood City, CA 94065 (US). **LEWIS, Charles** [US/US]; 21 Matt Dr., Fairfield, NJ 07004 (US). **THOTTATHIL, John** [US/US]; 28630 N. SkyCrest Dr., Ivanhoe, IL 60060 (US). **KRISHNAMURTY, Dhileep-kumar** [IN/US]; 10 Isabel Way, Brookfield, CT 06804

(US). **ZHOU, Maotang, X.** [US/US]; 6024 Singletree Lane, Jamesville, NY 13078 (US). **DEMISHETTI, Pu-rushotham** [IN/US]; 7316 Snowball Run, East Syracuse, NY 13057 (US).

(74) Agents: **WINSLOW, Anastasia** et al.; Bristol-Myers Squibb Company, P.O. Box 4000, Princeton, NJ 08543-4000 (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, EG, 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 (BW, 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).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations 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, EG, 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 (BW, 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)
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designation US
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations

Published:

- with international search report

[Continued on next page]

(54) Title: PROCESS AND INTERMEDIATES FOR SYNTHESIS ENTECAVIR

(57) Abstract: Processes are disclosed for preparing the antiviral agent entecavir. A resin adsorption process for the isolation and purification of entecavir is also disclosed. Various intermediates useful in the preparation of entecavir are also disclosed.

WO 2004/052310 A3



(88) Date of publication of the international search report:

3 March 2005

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.