

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
16 March 2006 (16.03.2006)

PCT

(10) International Publication Number  
**WO 2006/029079 A3**

(51) International Patent Classification:  
*C07D 265/18* (2006.01)

(21) International Application Number:  
PCT/US2005/031541

(22) International Filing Date:  
2 September 2005 (02.09.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/606,702 2 September 2004 (02.09.2004) US

(71) Applicant (*for all designated States except US*): **BRISTOL-MYERS SQUIBB COMPANY** [US/US]; P.O. Box 4000, Route 206 and Provinceline Road, Princetown, NJ 08543-4000 (US).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **VEMISHETTI, Purushotham** [US/US]; 53 Chesapeake Road, Monmouth Junction, NJ 08852 (US). **CHADWICK, Scott, T.** [US/US]; 1332 Virginia Avenue, Redwood City, CA 94061 (US). **COSTELLO, Carrie, A.** [US/US]; 167 Thornberry Lane, Rensselaer, NY 12144 (US). **DESIKAN, Sridhar** [IN/US]; 39 Dewitt Lane, Hillsborough, NJ 08844 (US). **REIFF, Emily, A.** [US/US]; 24 West Foch Avenue, Milltown, NJ 08850 (US).

(74) Agents: **VANATTEN, Mary, K.** et al.; Bristol-Myers Squibb Company, P.O. Box 4000, Princeton, NJ 08543-4000 (US).

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM,

AT, AU, AZ, BA, BB, BG, BR, BW, 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, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (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))*
- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

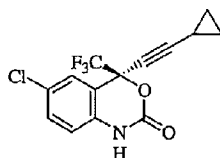
**Published:**

- *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:  
1 June 2006

*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: SYNTHESIS OF A BENZOXAZINONE



(III)

(57) Abstract: The present invention provides novel methods for the synthesis of (S)-6-chloro-4-cyclopropylethynyl-4-trifluoromethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one of formula (III) which is useful as a human immunodeficiency virus (HIV) reverse transcriptase inhibitor.

WO 2006/029079 A3