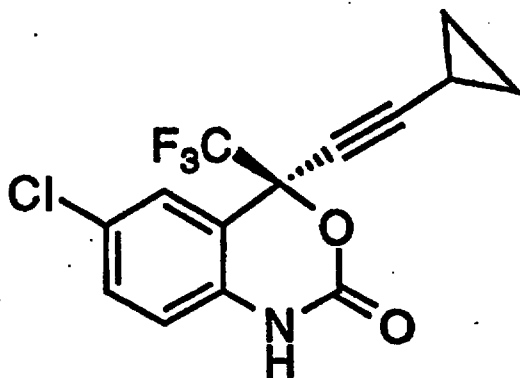




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 265/18	A1	(11) International Publication Number: WO 98/27073 (43) International Publication Date: 25 June 1998 (25.06.98)
(21) International Application Number: PCT/US97/22674 (22) International Filing Date: 15 December 1997 (15.12.97) (30) Priority Data: 60/032,980 16 December 1996 (16.12.96) US 08/838,838 11 April 1997 (11.04.97) US (71) Applicant: E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US). (72) Inventors: PIERCE, Michael, Ernest; 3331 Pierson Drive, Wilmington, DE 19810 (US). CHOUDHURY, Anusuya; 130 Cambridge Road, Landenberg, PA 19350 (US). PAR- SONS, Rodney, Lawrence, Jr.; 1804 Thomas Road, Wilm- ington, DE 19803 (US). (74) Agent: LARSEN, Scott, K.; The du Pont Merck Pharmaceutical Company, Legal/Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).		(81) Designated States: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>

(54) Title: ASYMMETRIC SYNTHESIS OF BENZOXAZINONES



(VI-i)

(57) Abstract

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