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(21) International Application Number: PCT/US98/15765 (22) International Filing Date: 29 July 1998 (29.07.98) (30) Priority Data: 60/054,402 31 July 1997 (31.07.97) US (71) Applicant: DUPONT PHARMACEUTICALS COMPANY [-/US]; 974 Centre Road, WR-1ST18, Wilmington, DE 19807 (US). (72) Inventors: FORTUNAK, Joseph, M.; 19 Somerset Lane, Newark, DE 19711 (US). WANG, Zhe; 67 Westwood Boulevard, Hockessin, DE 19707 (US). YIN, Jianguo; 19 Signal Hill Drive, Hockessin, DE 19707 (US). (74) Agent: LARSEN, Scott, K.; E.I. du Pont de Nemours and Company, Legal Patent Records Center, 1007 Market Street, Wilmington, DE 19898 (US).		(81) Designated States: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, 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). Published <i>With international search report.</i>
(54) Title: A PROCESS FOR THE PREPARATION OF CYCLOPROPYLACETYLENE		
(57) Abstract The present invention relates generally to novel methods for the synthesis of cyclopropylacetylene which is an essential reagent in the asymmetric synthesis of (S)-6-chloro-4-cyclopropylethynyl-4-trifluoromethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one; a useful human immunodeficiency virus (HIV) reverse transcriptase inhibitor. In the process, cyclopropane carboxaldehyde is condensed with malonic acid to form 3-cyclopropylacrylic acid; 3-cyclopropylacrylic acid is halogenated to form (E, Z)-1-halo-2-cyclopropylethylene; and (E, Z)-1-halo-2-cyclopropylethylene is dehydrohalogenated to form cyclopropyl acetylene. This improvement provides for high conversion of inexpensive, readily available starting materials into cyclopropylacetylene, high overall yields and can be conducted on an industrial scale.		