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- (71) Applicant: **WYETH** [US/US]; Five Giralda Farms, Madison, NJ 07940 (US).
- (72) Inventors: **KREFT, Anthony, Frank**; 43 Barley Court, Langhorne, PA 19047 (US). **ANTANE, Madelene, Miyoko**; 56 Lillie Street, West Windsor, NJ 08550 (US). **COLE, Derek, Cecil**; 14 Renfrew Road, New City, NY 10956 (US). **KUBRAK, Dennis, Martin**; 3231 Gaul, Philadelphia, PA 19134 (US). **RESNICK, Lynn**; 923 Edison Glen Terrace, Edison, NJ 08837 (US). **STOCK, Joseph, Raymond**; 439 High Street, Monroe, NY 10950 (US). **WANG, Zheng**; 27 Christian Drive, East Brunswick, NJ 08816 (US).
- (74) Agents: **KODROFF, Cathy, A.** et al.; Howson and Howson, Spring House Corporate Center, P.O. Box 457, Spring House, PA 19477 (US).
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(54) Title: PROCESS FOR THE SYNTHESIS OF CHIRALLY PURE β -AMINO-ALCOHOLS

(57) Abstract: A process is provided for preparing chirally pure S-enantiomers of α -amino acids comprising the steps of: a) preparing an organometallic reagent from an alkyl halide of the Formula $(R)_2CH(CH_2)_nCH_2X$; b) adding the organometallic reagent to carbon dioxide to afford a carboxylic acid; c) activating the carboxylic acid with an acid chloride, phosphorus trichloride, acid anhydride, or thionyl chloride in the presence of a tertiary amine base; d) reacting the product of step c) with an alkali metal salt of S-4-benzyl-2-oxazolidinone; e) treating the product of step d) with a strong non-nucleophilic base to form an enolate anion; f) trapping the enolate anion with 2,4,6-triisopropylbenzenesulfonyl azide to afford an oxazolidinone azide; g) hydrolyzing the oxazolidinone azide with an aqueous base to afford an α -azido acid; h) reducing the α -azido acid to the α -amino acid; and i) recrystallizing the α -amino acid to the chirally pure α -amino acid. A process is also provided for preparing chirally pure S-enantiomers of β -amino alcohols further comprising the steps of reducing the crude α -amino acid to the β -amino alcohol and recrystallizing the β -amino alcohol to the chirally pure β -amino alcohol. A process is further provided for preparing chirally pure S enantiomers of N-sulfonyl β -amino alcohols further comprising the steps of sulfonylating the β -amino alcohol with 5-chloro-thiophene-2-sulfonyl halide; and recrystallizing to afford the chirally pure N-sulfonyl β -amino alcohols.



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