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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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