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Pyrolysis of Amino Acid Derived Stabilised Ylides as a Route to Chiral Acetylenic Amines and Amino Acids and Gaba Analogues

R. Alan Aitken^a; Nazira Karodia^a

^a School of Chemistry, University of St. Andrews, Fife, U. K.

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PYROLYSIS OF AMINO ACID DERIVED STABILISED YLIDES AS A ROUTE TO CHIRAL ACETYLENIC AMINES AND AMINO ACIDS AND GABA ANALOGUES

R. ALAN AITKEN* and NAZIRA KARODIA

School of Chemistry, University of St. Andrews, North Haugh, St. Andrews, Fife, KY16 9ST, U. K.

We recently reported the pyrolysis of stabilised ylides as a method for overall conversion of carboxylic acids to homologous acetylenic esters and terminal alkynes.^{1,2} This has now been applied successfully to amino acids. A wide range of alkoxycarbonyl protected amino acids have been converted to the stable crystalline ylides 1. These have been fully characterised, and upon FVP, eliminate Ph₃PO to afford the protected

acetylenic amino acids 2 in good yield and without significant racemisation. Subsequent reactions of these extremely versatile intermediates have been used to gain access to a wide variety of chiral amine and amino acids of great interest as potential selective enzyme inhibitors and components for modified peptide structures.

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