amino acids, peptides

U 0400 45 - 236 N-Hydroxypyridine-2(1H)-thione Derivatives of Carboxylic Acids as Activated Esters. Part 2. Applications in Peptide Synthesis.

— Barton esters of amino acids such as (I) react with free α-amino acid esters or the corresponding benzenesulfenamides to produce dipeptides. The benzenesulfenamides are the reagents of choice since they are more reactive in sterically demanding instances. The methodology is also working by generating the Barton esters in situ by reaction of the appropriate carboxylic acid with 2,2'-dithiopyridine-1, 1'-dioxide and tributylphosphine. This is applied especially in the formation of chiral compounds. — (BARTON, D. H. R.; FERREIRA, J. A.; Tetrahedron 52 (1996) 28, 9367-9386; Dep. Chem., Tex. A&M Univ., College Station, TX 77843-3255, USA; EN)

$$I \xrightarrow{HN_{R}} (V) \\ CH_{2}Cl_{2}, \text{ [dark]} \Rightarrow III \xrightarrow{a 96\%} \\ DH_{1} \xrightarrow{COOH} (S)-VI$$

$$III \xrightarrow{a 96\%} \\ DH_{2} \xrightarrow{COO} (S)-VI$$

$$III \xrightarrow{A 9$$

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