amino acids, peptides

U 0400 34 - 224 L-Phenylalanine Cyclohexylamide: A Simple and Convenient Auxiliary for the Synthesis of Optically Pure α,α -Disubstituted (R)-and (S)-Amino Acids. — From a series of chiral aminoamides the title compound (II) shows good properties for the synthesis of optically pure disubstituted amino acids such as (VII) starting from the oxazolones (I) via the diastereoisomeric peptides (III) and (IV), which are separated by crystallization or chromatography. Due to their crystalline nature, the resolved intermediate stereoisomers allow the determination of the absolute configuration of the amino acid building blocks by X-ray analysis. The novel α,α -disubstituted amino acids can be transformed into suitable protected and activated amino acid building blocks, which are ready for incorporation into peptides by usual methods. — (OBRECHT, D.; BOHDAL, U.; BROGER, C.; BUR, D.; LEHMANN, C.; RUFFIEUX, R.; SCHOENHOLZER, P.; SPIEGLER, C.; MUELLER, K.; Helv. Chim. Acta 78 (1995) 3, 563-580; Pharma Res. New Technol., F. Hoffmann-La Roche AG, CH-4002 Basel, Switz.; EN)

$$(\pm)-I \xrightarrow{\text{Ph}} \text{OC} \xrightarrow{\text{H}_2 \text{N-Th} \text{Cyc}} \text{Ph} \xrightarrow{\text{III*}} + \text{IV*}$$

$$(\pm)-I \xrightarrow{\text{T0°C, [24 h]}} \text{0 R: -Bn } 39\% \qquad 38\%$$

$$\text{Cyc:} \xrightarrow{\text{D R: -Ph}} 47\% \qquad 48\%$$

$$\text{c R: -iPr } 46\% \qquad 47\%$$

$$IV* \xrightarrow{[2 \text{ steps}]} R \xrightarrow{Me} H_2N \xrightarrow{COOH} (S)-VII$$