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The Section Chemical Research (SCR) of the New Swiss Chemical Society (NSCS) has decided to publish each year in CHIMIA a collection of short papers (1–2 pages each) corresponding to the most remarkable posters or oral contributions presented at the Fall Meeting of the NSCS. The main purpose of this action is to enable the authors of the awarded contributions to develop somewhat their presentation at the Fall Meeting so as the whole readership of CHIMIA may have a chance to be aware of it. The choice of the awarded contributions is made by the Committee of the SCR which takes the responsibility for the part of subjectivity inherent in such a selection. This CHIMIA issue presents the papers pertaining to the fields of organic chemistry, physical chemistry and computational chemistry, whereas those related to inorganic chemistry will be published in a future issue.

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Synthesis of Non-proteinogenic Amino-Acid Methyl Esters with Acid-Sensitive Side Chains from a Chiral Glycine Derivative[a])

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Abstract. A superior chiral glycine derivative **1** (*tert*-butyl 2-(*tert*-butyl)-4-methoxy-2,5-dihydro-1,3-imidazole-1-carboxylate, BDI) for the synthesis of acid-sensitive and highly hindered α-amino-acid methyl esters is readily available by resolution methods. The heterocycle **1** is alkylated once and twice in the 5-position with very high diastereoselectivity, and the resulting products (**2**, **3**) are hydrolyzed under very mild conditions to give methyl esters of the corresponding amino acids (**6**–**10**).

The synthesis of non-proteinogenic amino acids has been a major research area of our group for many years. Our contribution to this field has recently been reviewed [2]. We reported on the preparation of the new chiral glycine building block **1** in 1993 [3]. The advantage of **1**, over previously studied glycine derivatives [2], for amino-acid synthesis is that its products of alkylation and hydroxyalkylation (in the 5-position) are hydrolyzed to amino-acid methyl esters under very mild conditions. We have now improved the preparation of **1** in an enantiomerically pure form and applied it for the preparation of highly hindered and of acid-sensitive non-proteinogenic amino-acid esters.

The heterocycle *rac*-**1** can be prepared in four steps from glycinamide hydrochloride as reported previously [3]. Our preferred approach for the preparation of the dihydroimidazole **1** in an enantiomerically pure form is outlined in *Scheme 1*. Resolution of the racemic 2-(*tert*-butyl)-tetrahydro-1,3-imidazol-4-one can be achieved *via* two consecutive crystallizations of diastereoisomeric salts (the enantiomer of **1** is likewise accessible by using the corresponding chiral acids).

Besides this route, we have also used preparative chromatographic resolution of **1** and *ent*-**1** by high-performance liquid chromatography on a chiral stationary phase [4][5].

With the dihydroimidazole **1** available, we have investigated its use for the synthesis of amino-acid esters. Alkylation of **1** *via* its Li derivative gave the products **2** in a diastereomerically pure form (*Scheme 2* and *Table 1*) – we have no indication for the formation of the other diastereoisomer. The monoalkylation is so clean that it is possible to carry out a second alkylation *in situ*, which leads to the products **3**, once again in high yields and with the formation of only one diastereoisomer (*Table 2*). We have demonstrated [1][3] by chemical correlation with known amino acids and by NMR spectroscopy of products of type **2** and **3** that the alkylations proceed *trans* to the *tert*-butyl

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[a]) These results were presented as a poster at the autumn meeting of the New Swiss Chemical Society (NSCS) in Basel, Switzerland, on November 21 1996.