NEW EFFICIENT SYNTHESES FOR 3.3.3-TRIFLUOROALANINE, 2-DEUTERO-3.3.3-TRIFLUOROALANINE AND THEIR N-PROTECTED DERIVATIVES

E. Höss*, N. Sewald, K. Gaa and K. Burger

Institute für Organische Chemie, Technische Universität München, Lichtenbergstr. 4, 8046 Garching (F.R.G.)

3.3.3-Trifluoroalanine and its 2-substituted derivatives are highly specific enzyme inhibitors, especially for those reactions, where pyridoxal phosphate is involved, e. g. decarboxylation and transamination processes [1].

Syntheses for 3.3.3-trifluoroalanine are already known [2-5]. But there is still a lack of simple, preparative high yield methods, which also can be performed on a large scale.

We now describe four new routes from trifluoropyruvic acid esters to 3.3.3-trifluoroalanine and its N-protected derivatives. By the new methods also 2-deutero-3.3.3-trifluoroalanine, its N-protected derivatives and dipeptides with N-terminal 3.3.3-trifluoroalanine or its deutero-species can be obtained.

$$\begin{array}{cccc} F_{3}C & & & CF_{3}-CH-COOR^{1} & CF_{3}-CH-COOH \\ R^{1}OOC & & & & NH_{2} \\ & & & & NH_{2} \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & &$$

- 1. J.T. Welch, Tetrahedron 43, 3123 (1987) and lit. cited therein.
- F. Weygand, W. Steglich, W. Oettmeier, A. Maierhofer and R.S. Loy, <u>Angew. Chem. Internat. Edit.</u> 5, 600 (1966).
- 3. W. Steglich, H.U. Heininger, H. Dworschak and F. Weygand, <u>Angew. Chem.</u> Internat. Edit. 6, 808 (1967).
- 4. F. Weygand, W. Steglich and W. Oettmeier, <u>Chem. Ber. 103</u>, 818 (1970) and lit. cited therein.
- 5. K. Burger, D. Hübl and P. Gertitschke, J. Fluorine Chem. 27, 327 (1985).