

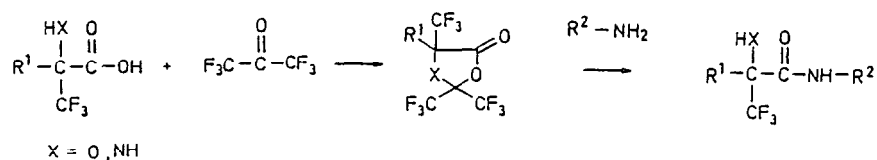
A CONVENIENT METHOD FOR CARBOXYL GROUP ACTIVATION IN TRIFLUOROMETHYL SUBSTITUTED  $\alpha$ -AMINO AND  $\alpha$ -HYDROXY ACIDS

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$\alpha$ -Amino and  $\alpha$ -hydroxy acids are key compounds in chemistry of life. Only recently preparative routes to their  $\alpha$ -trifluoromethyl analogues became available [1,2]. The compounds turned out to be irreversible enzyme inhibitors [3].

We now report on a simple procedure, which allows protection of the  $\alpha$ - functionality and activation of the carboxy group in one step. Several trifluoromethyl substituted biological interesting compounds now become available readily.



- 1 V. A. Soloshonok, I. I. Gerus, Yu. L. Yagupolskii, V. P. Kukhar, *J. Org. Chem. Russ.* **23**, 1298 (1987).
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 b) K. Burger, K. Gaa and K. Geith, *J. Fluorine Chem.* **41**, 429 (1988).  
 c) K. Burger, K. Gaa, K. Geith and Ch. Schierlinger, *Synthesis* in press.
- 3 J. Kollonitsch, in R. Filler and Y. Kobayashi (eds.), *Biomedical Aspects of Fluorine Chemistry*, Kodansha, Tokio, Elsevier Biomedical, Amsterdam, 1982, p. 93 ff.