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A NOVEL SYNTHETIC ROUTE TO α-TRIFLUOROMETHYL SUBSTITUTED α-AMINO ACIDS

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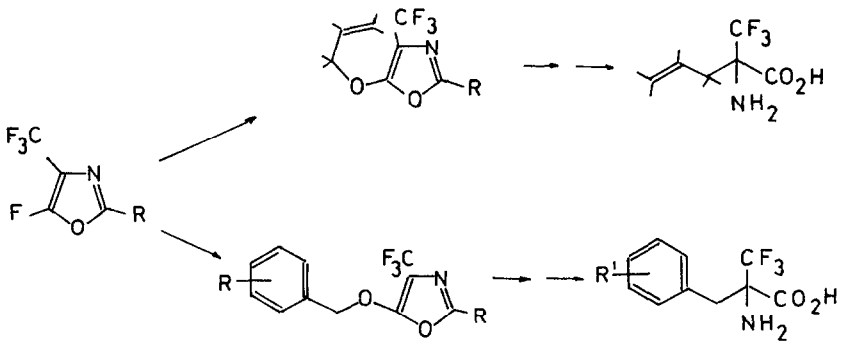
The α-trifluoromethyl substituted α-amino acids known so far, exhibit interesting biological properties. Some act as enzyme inhibitors, some as antihypertensive agents, and some show strong antibacterial activities [1,2]. Consequently, the development of new syntheses for 2-substituted 3,3,3-trifluoroalanine derivatives is of current bioorganic and biomedicinal interest.

We report on a novel, general access to α-trifluoromethyl substituted α-amino acids starting from 5-fluoro-4-trifluoromethyloxazoles [3].



1 T. Tsushima, K. Kawada, S. Ishihara, N. Uchida, O.Shiratori, J. Higaki and M. Hirata, Tetrahedron, **44**, 5375 (1988); and lit. cited therein.
2 J.T. Welch, Tetrahedron, **43**, 3123 (1987).
3 K. Burger, K. Geith and K. Gaa, Angew. Chem. Internat. Edit. **27**, 848 (1988).