SYNTHESES OF POLYFLUORO- α -AMINO ACIDS

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Some fluorine-containing amino acids have been known to inactivate certain enzymes selectively and irreversibly. We have synthesized β -(polyfluoroethyl)alanines and β -(trifluorovinyl)alanine from polyfluoroiodoethanes and acrylate.

The synthetic schemes of β -(polyfluoroethyl)-alanines are shown below.

Using similar procedures, we have also prepared β -(polyfluoroethyl)- β -methylalanines, CF₂XCFX'CH(CH₃)-CH(NH₂)CO₂H from polyfluoroiodoethanes and ethyl crotonate.

 β -(Trifluorovinyl)alanine was synthesized in a good yield from the ester of β -(dichlorotrifluoroethyl)alanine as follows:

The reactive trifluorovinyl group in the amino acid allows us to synthesize various kinds of fluorine-containing amino acids with a functional group through radical and ionic addition reactions. Some reactions of the ester of N-acetylated β -(trifluorovinyl)alanine with alkoxides (nucleophilic addition) and alcohols (radical addition) will be mentioned.