NEW SYNTHETIC ROUTES TO β-FLUORO-α-AMINOACIDS

A - *FROM AZIRIDINECARBOXYLATES*

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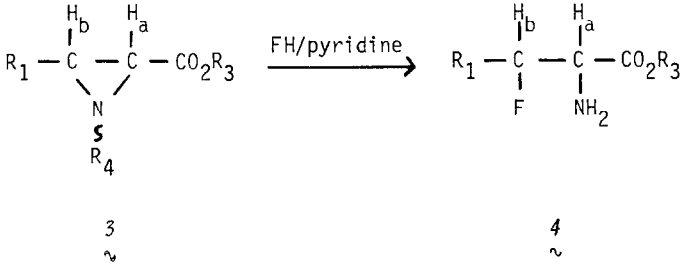
Synthesis of 1-trityl-2-phenylaziridine, 1-trityl-2-methylaziridine and 2-methylcarboxylates of 1-tritylaziridine, 1-trityl-3-methylaziridine, 1-trityl-3-phenylaziridine by reacting N-triphenylmethyl-α-chloroamines with KF in acetonitrile under reflux is described.

Functionalized aziridines opened with hydrogen fluoride in pyridine (70 %) give isobutyl 3-fluoroalanine, methyl-3-fluorophenylalanine and methyl 2-amino-3-fluorobutyrate.

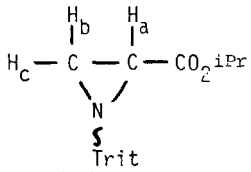
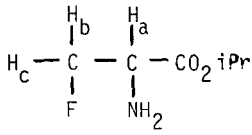
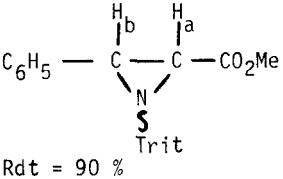
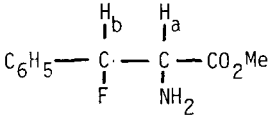
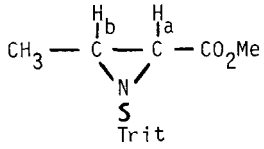
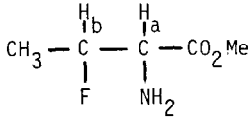
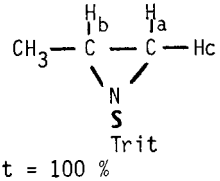
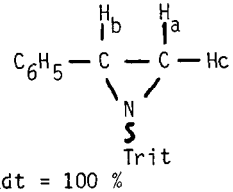
N.M.R. ^{1}H and ^{19}F are discussed.

The following reactions get the compounds in the table.

2 ~ 3 ~



3 ~ 4 ~

	AZIRIDINES COMPOUNDS	FLUOROAMINOACIDS
a	 Rdt = 100 %	 Rdt = 48 %
b	 Rdt = 90 %	 Rdt = 50 %
c	 Rdt = 80 %	 Rdt = 51 %
d	 Rdt = 100 %	
e	 Rdt = 100 %	

* *Formation d'aziridines dans KF/acétonitrile. Obtention de 3-fluoro-amino-acides par ouverture avec FH/py.*
A. BARAMA, R. CONDOM et R. GUEDJ, *J. of Fluorine Chemistry*, 16, 183-187, 1980.