

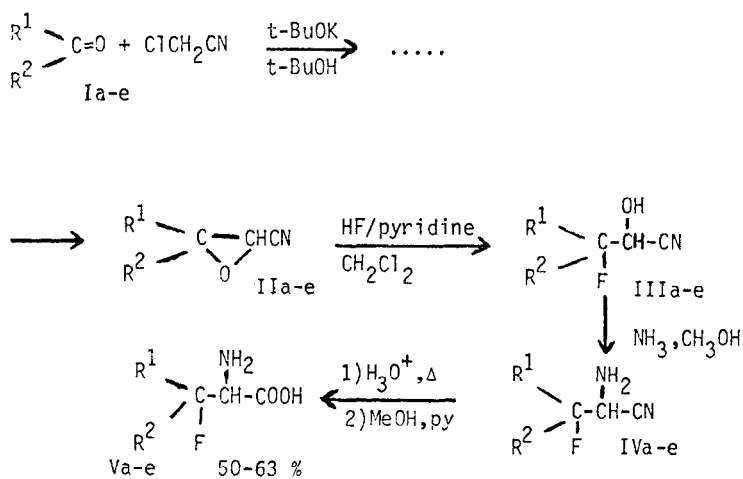
NEW SYNTHETIC ROUTES TO  $\beta$ -FLUORO- $\alpha$ -AMINOACIDS

B - FROM GLYCIDONITRILES

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Ring opening of the glycidonitriles (II a-e) by HF/pyridine leads to the fluorocyanohydrins (III a-e). Treatment of the fluorocyanohydrins with ammonia in anhydrous methanol gives the  $\alpha$ -amino- $\beta$ -fluoronitriles (IV a-e) which upon acidic hydrolysis afford the  $\beta$ -fluoro- $\alpha$ -aminoacids (V a-e) in good overall yield.



- a :  $\text{R}^1 = \text{R}^2 = \text{CH}_3$   
 b :  $\text{R}^1 = \text{CH}_3$   $\text{R}^2 = \text{C}_2\text{H}_5$   
 c :  $\text{R}^1, \text{R}^2 = -(\text{CH}_2)_5-$   
 d :  $\text{R}^1, \text{R}^2 = -(\text{CH}_2)_4-$   
 e :  $\text{R}^1 = \text{CH}_3$   $\text{R}^2 = \text{C}_6\text{H}_5$

N°	Starting glycidonitriles	$\beta$ -fluoro- $\alpha$ -aminoacids	N°	yield %	mp °C dec(uncor)
II <sub>a</sub>			V <sub>a</sub>	63	180-182
II <sub>b</sub>			V <sub>b</sub>	63	170-172
II <sub>c</sub>			V <sub>c</sub>	57	183-185
II <sub>d</sub>			V <sub>d</sub>	55	195-196
II <sub>e</sub>			V <sub>e</sub>	50	158-160

\* The indicated yields are those of the isolated compounds.