

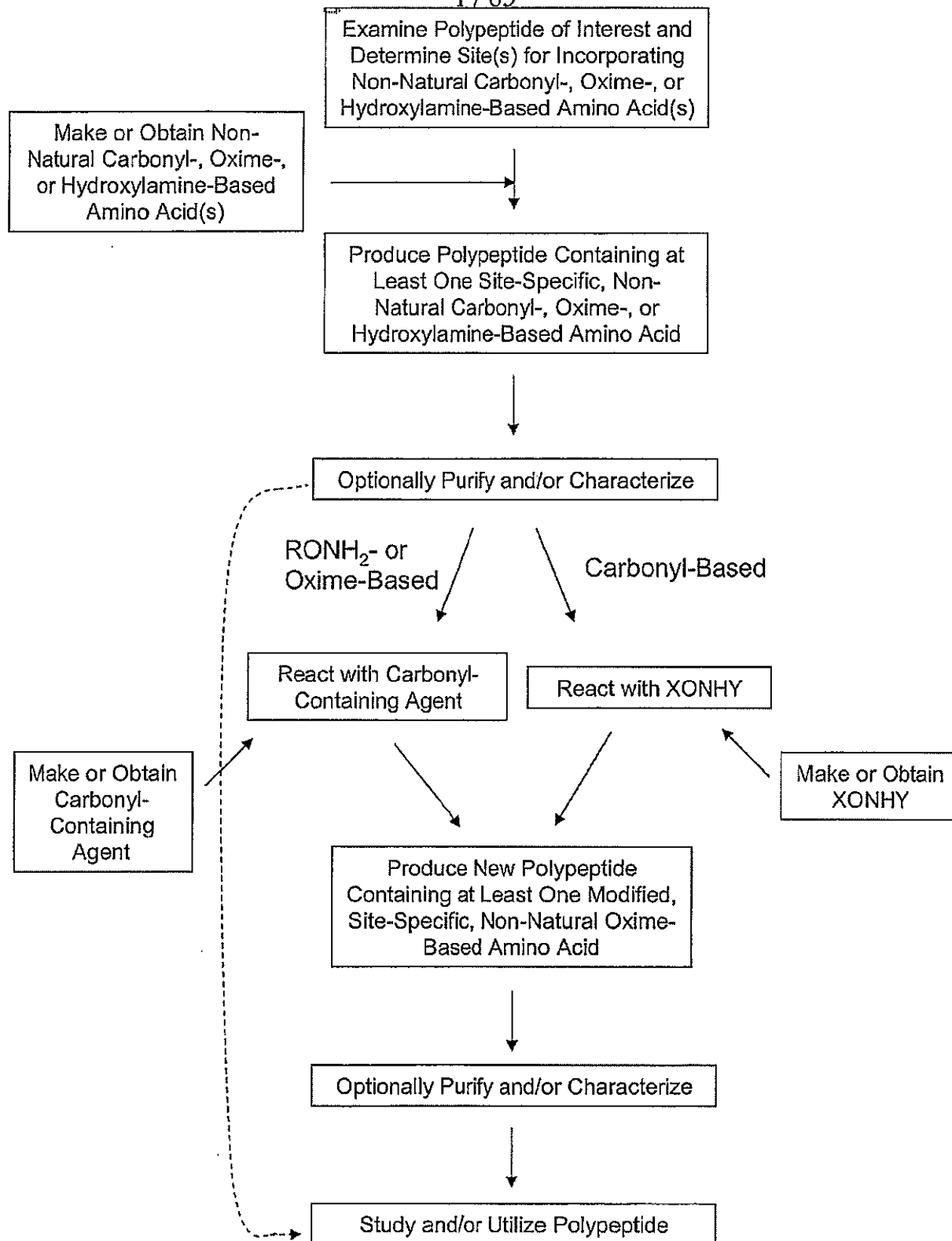


FIG. 1

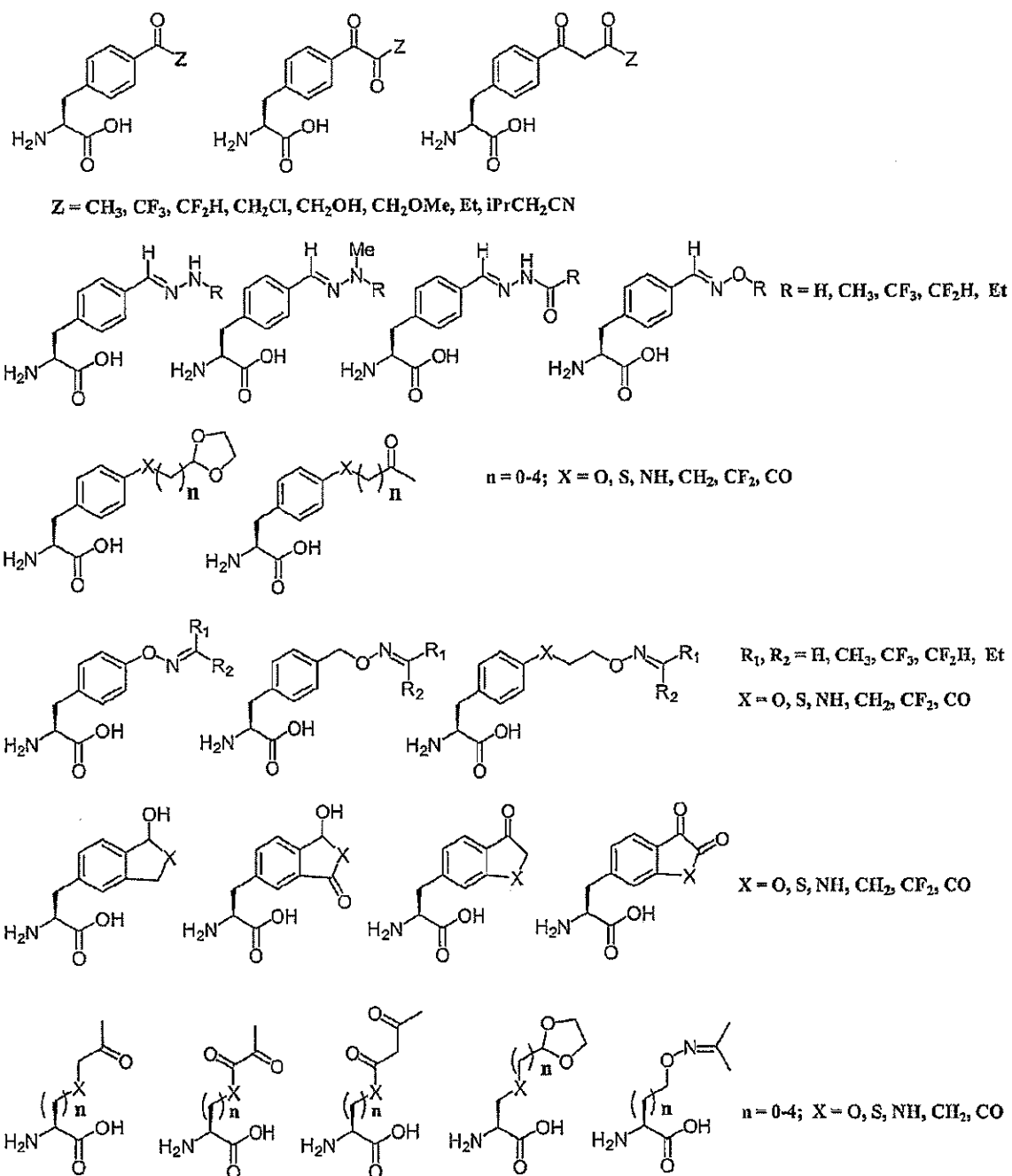
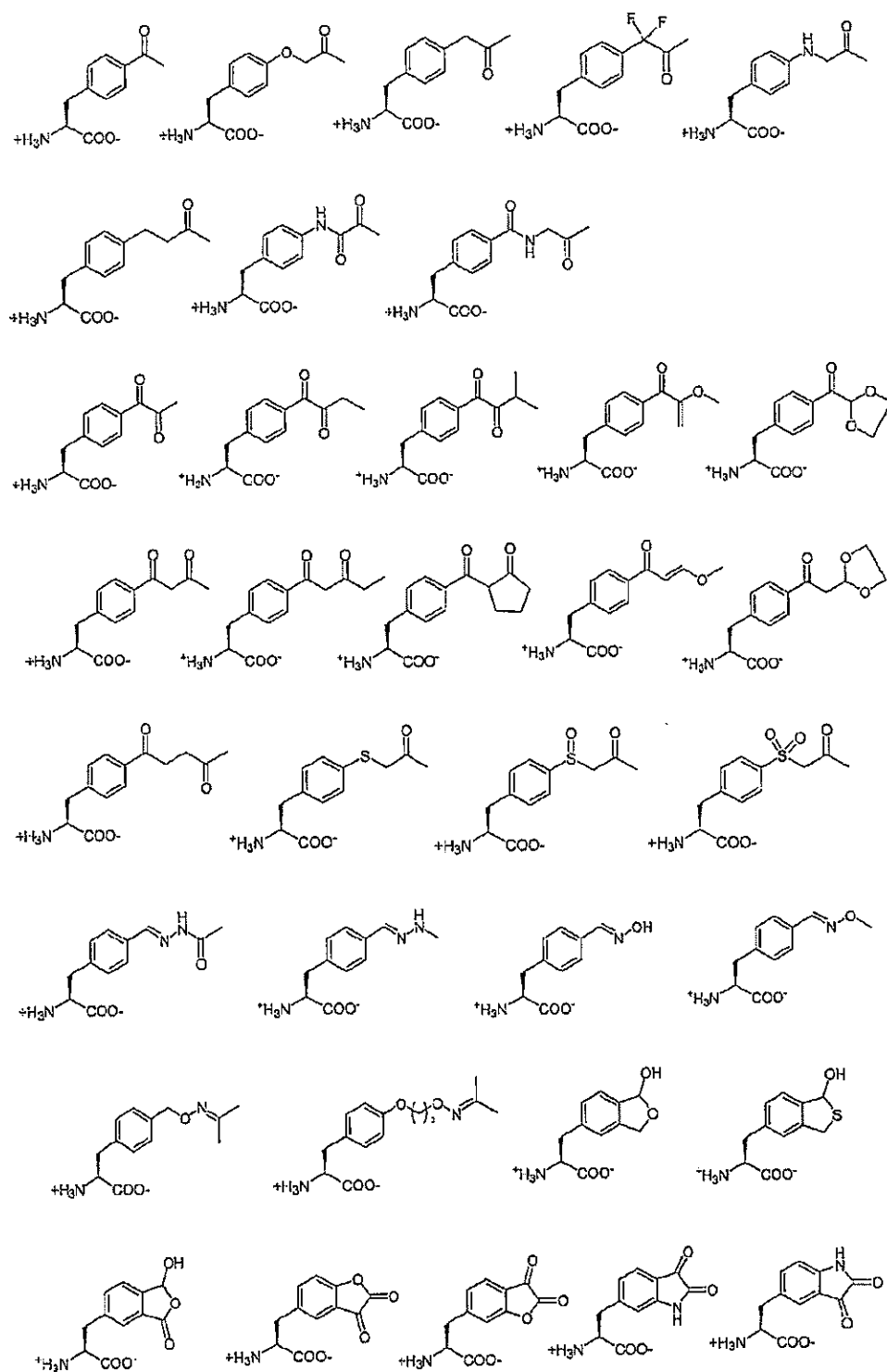


FIG. 2



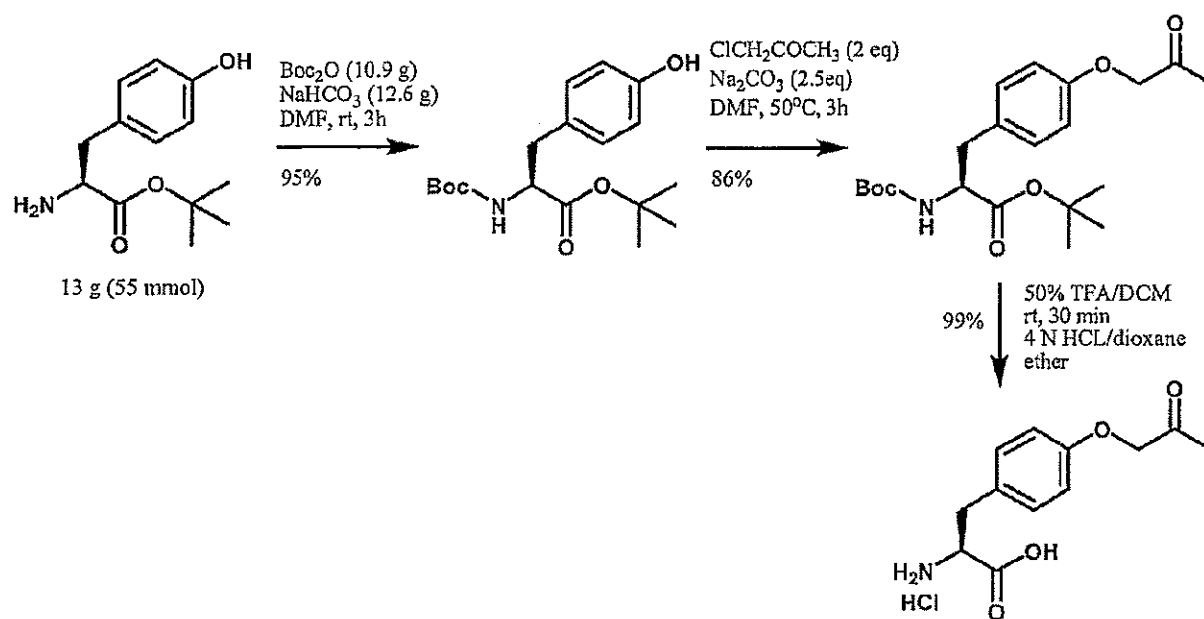


FIG. 4

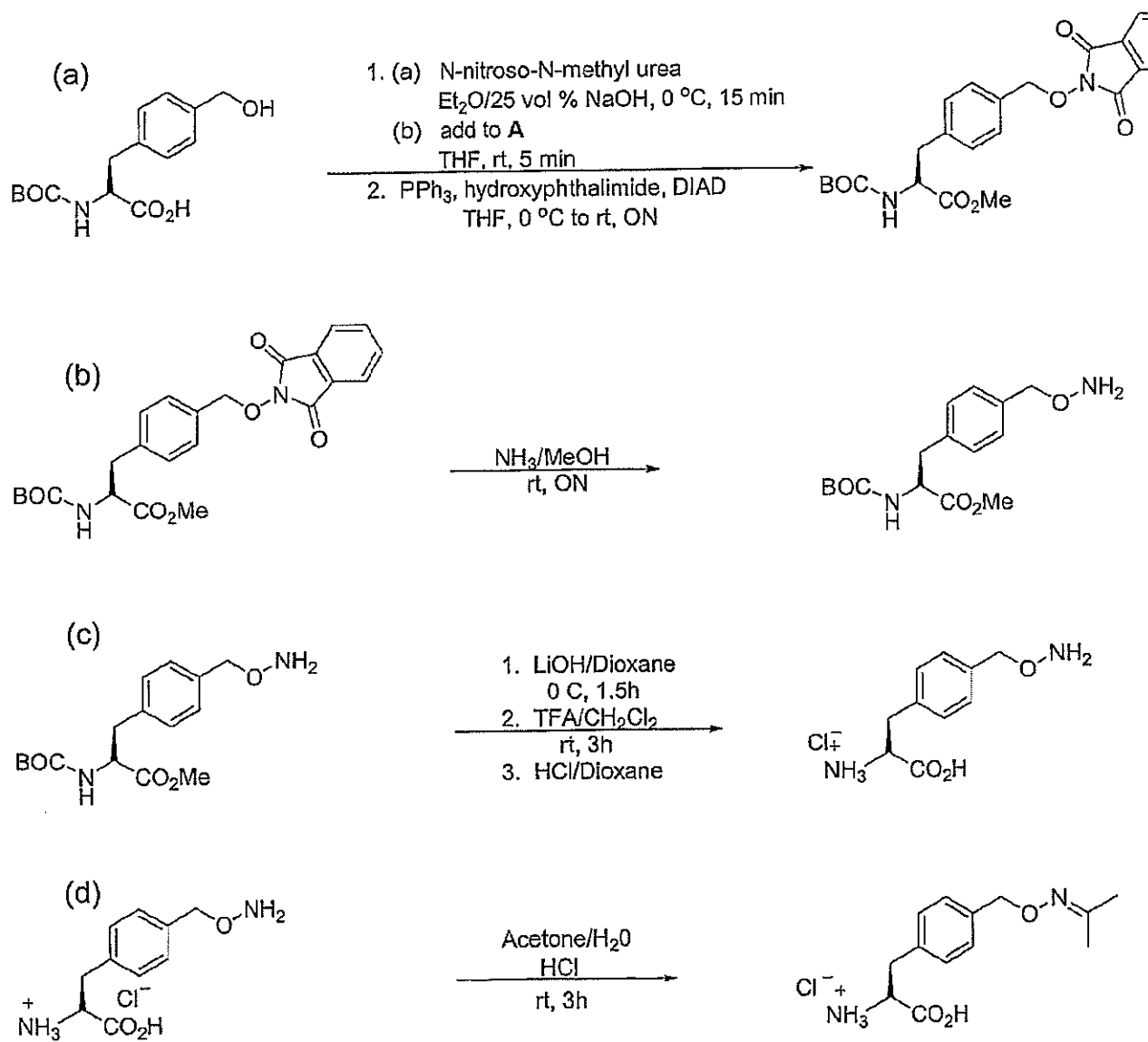


FIG. 5

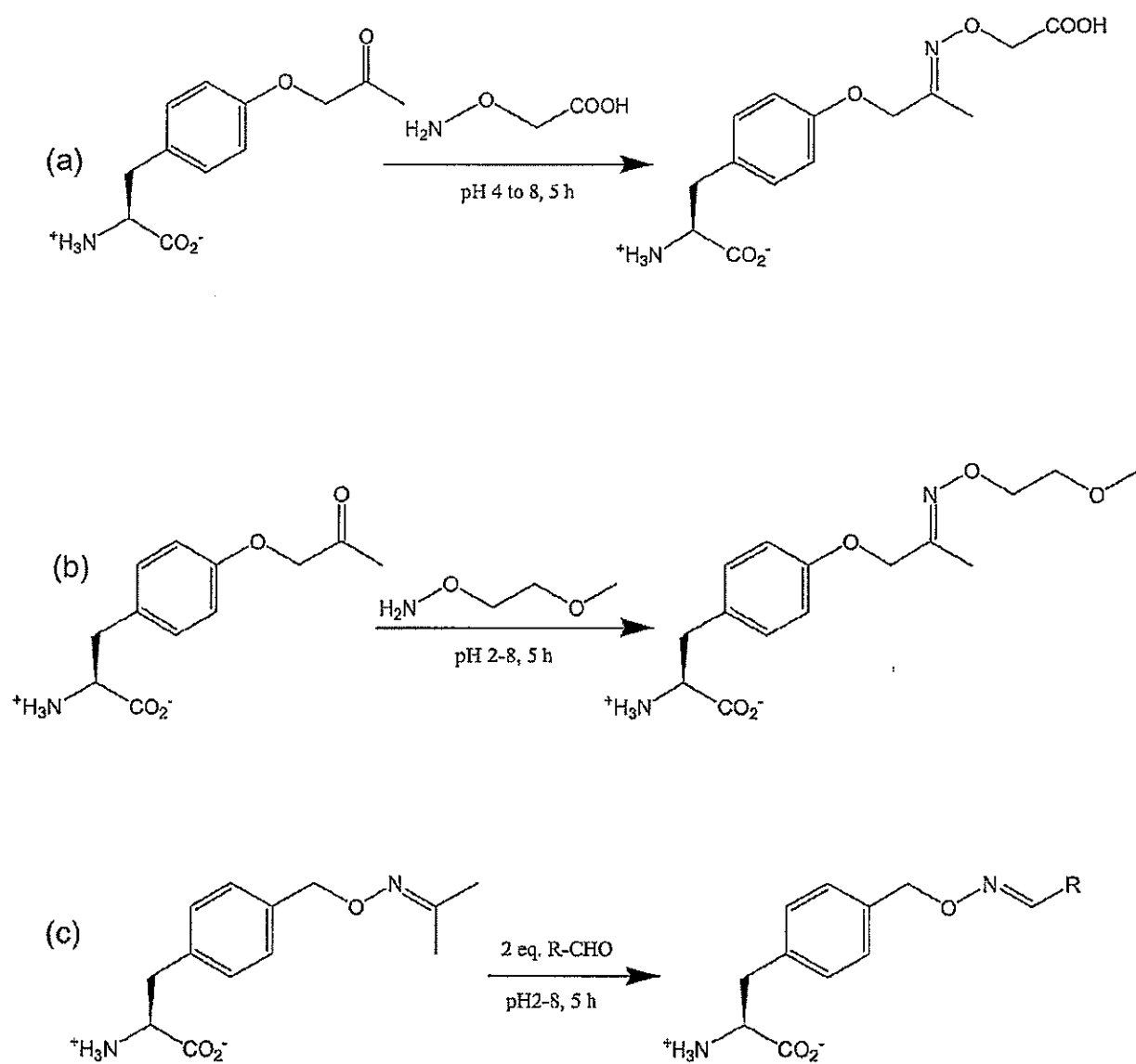


FIG. 6

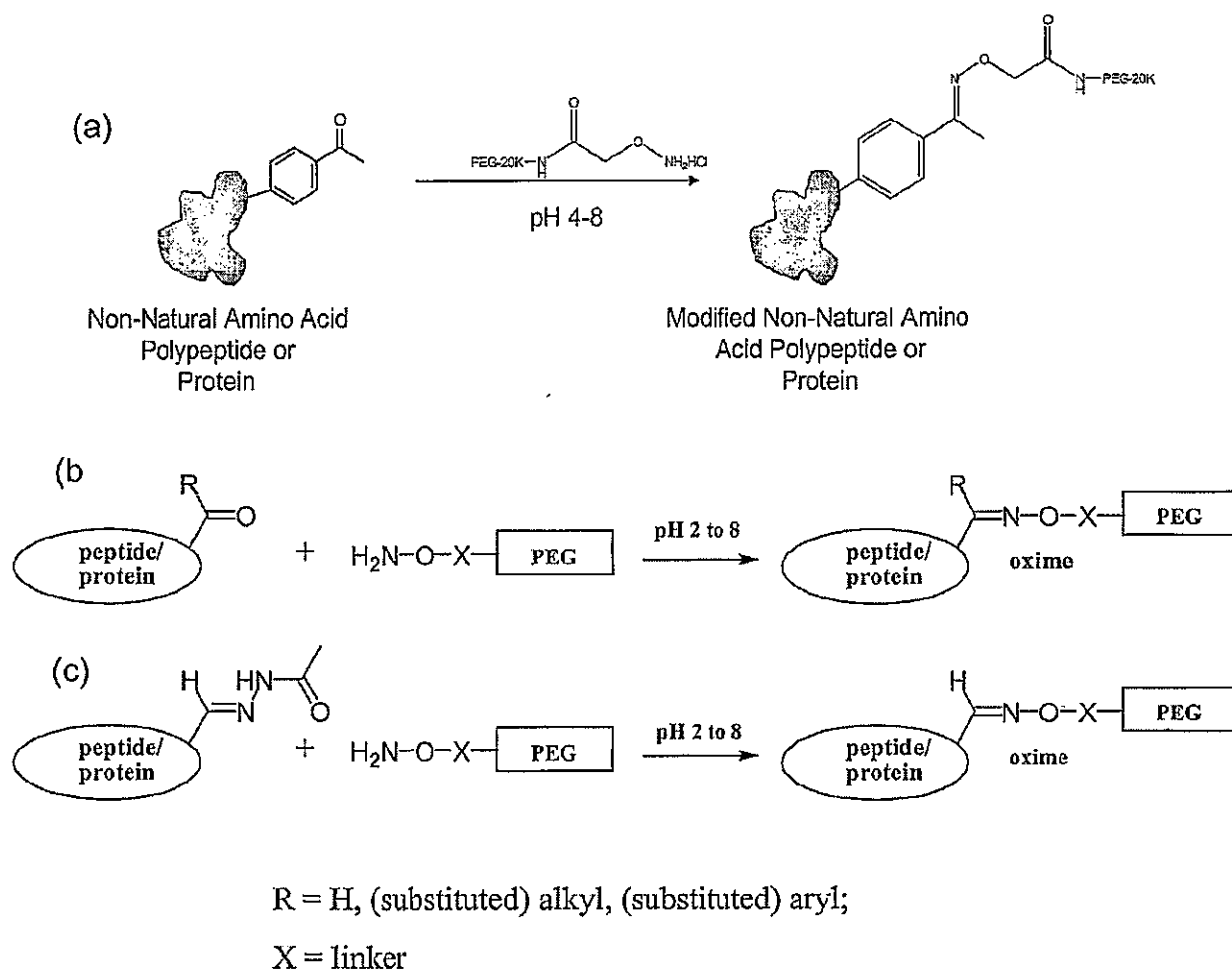


FIG. 7

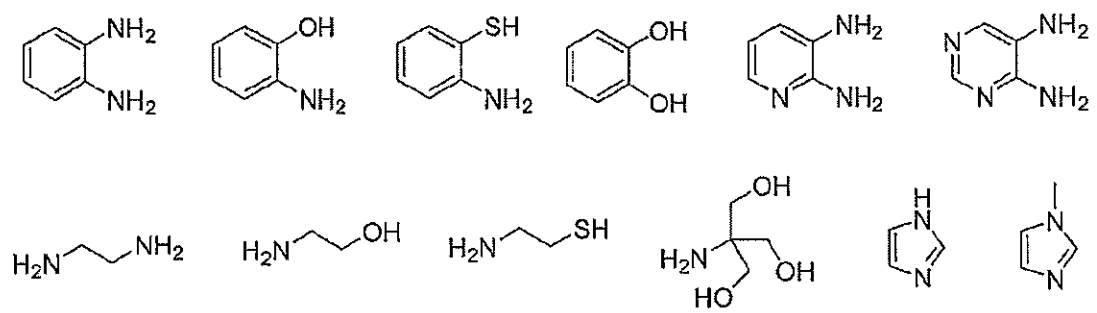


FIG. 8

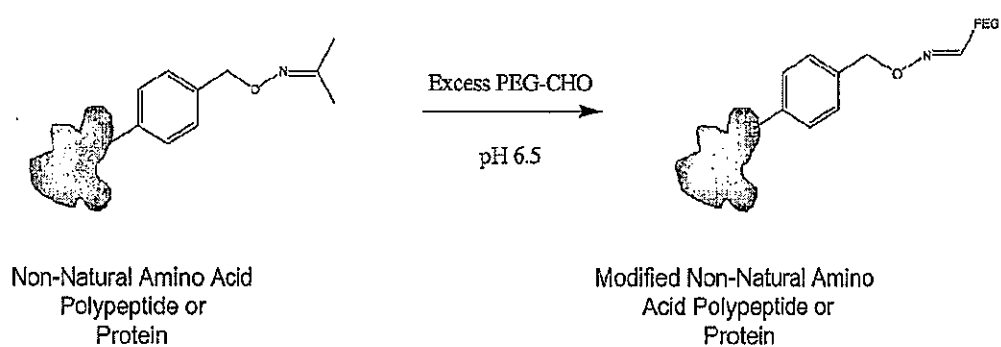
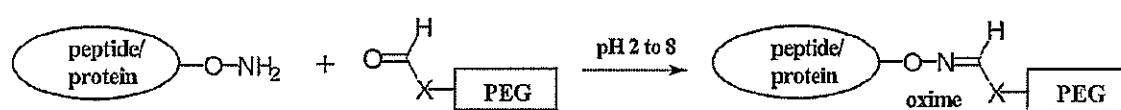


FIG. 9



$R, R_1, R_2 = H, \text{ (substituted) alkyl, (substituted) aryl; } X = \text{linker}$

FIG. 10

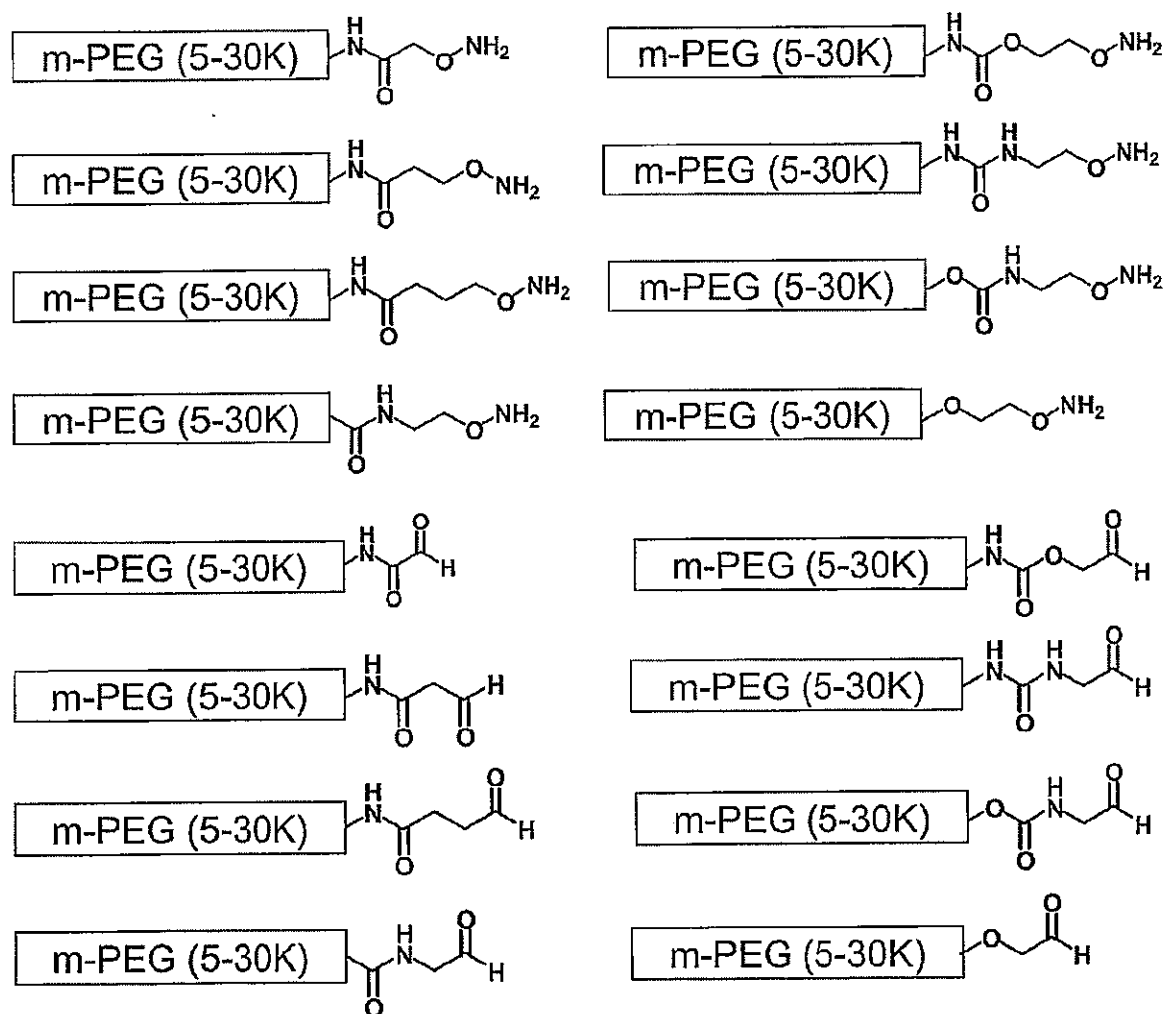


FIG. 11

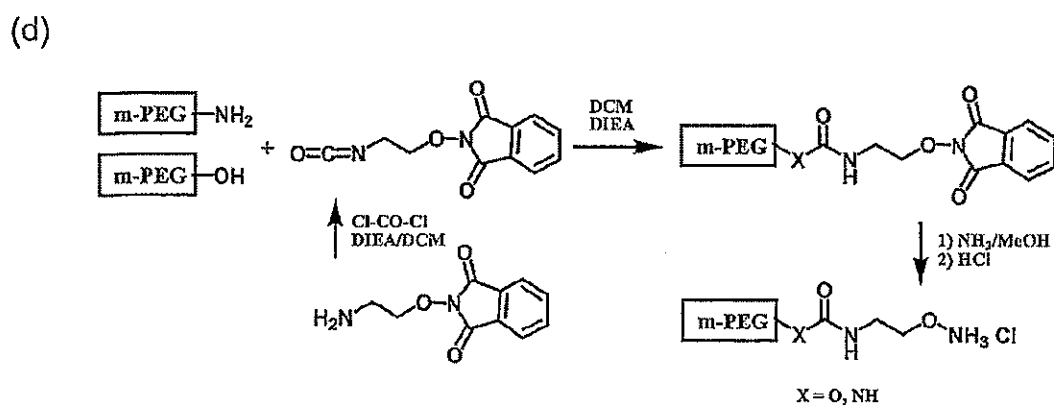
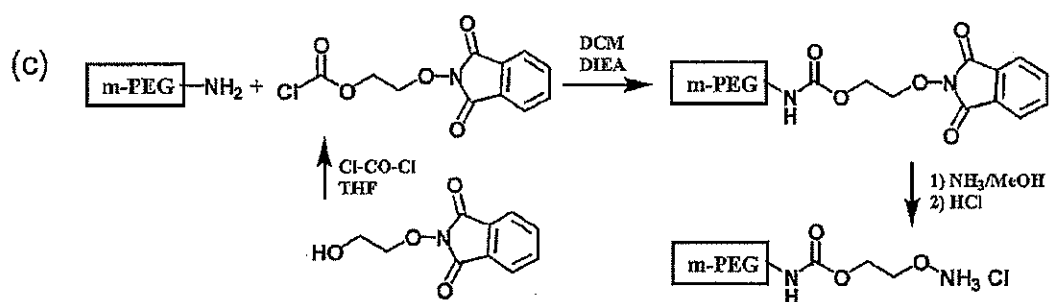
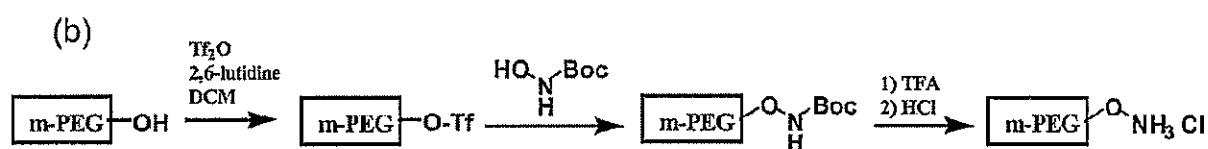
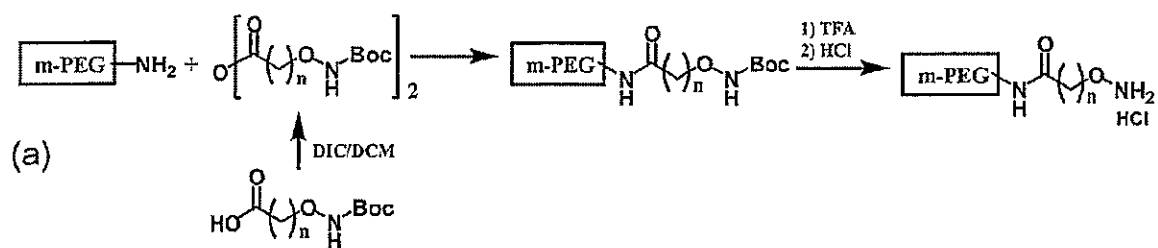


FIG. 12

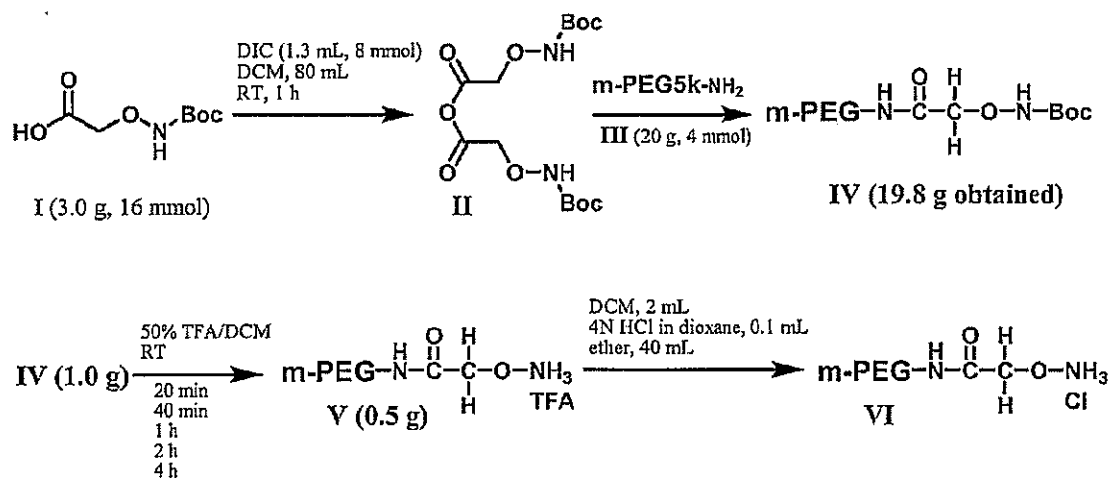
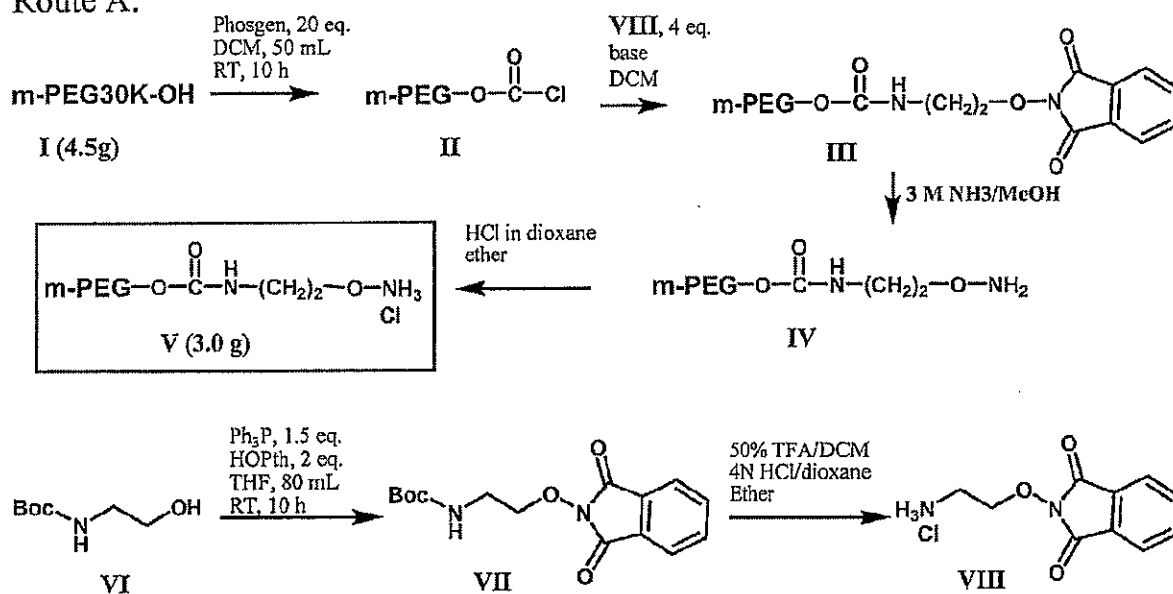


FIG. 13

Route A:



Route B:

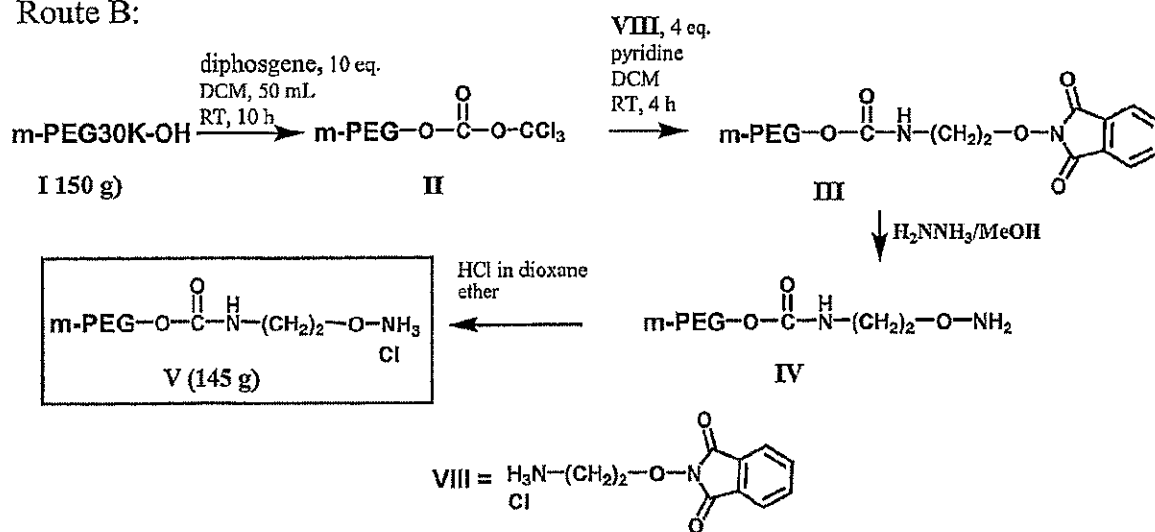
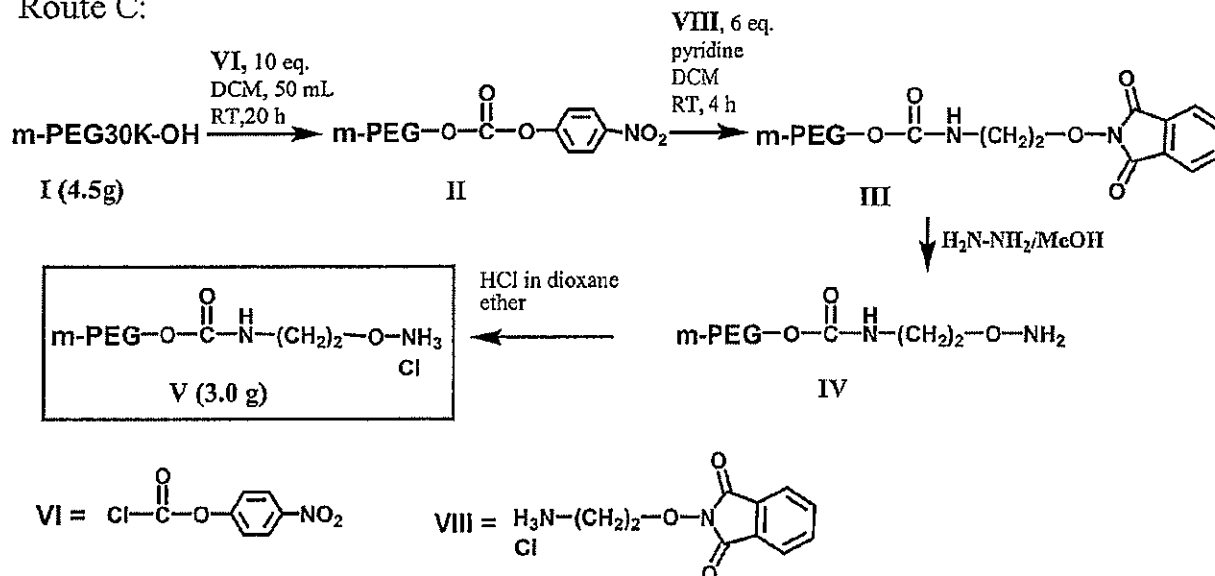


FIG. 14

Route C:



Route D:

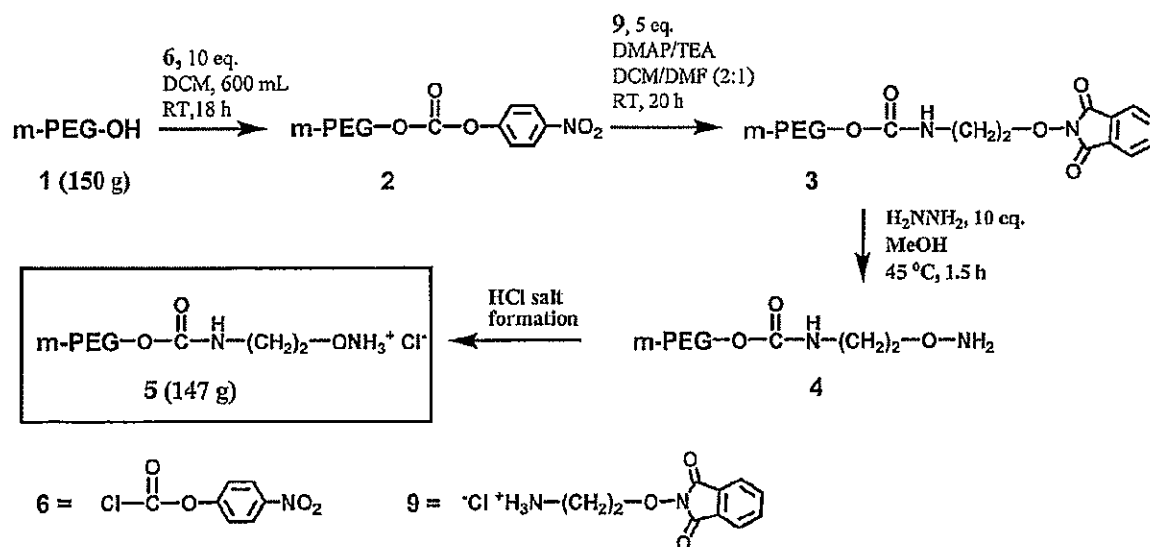
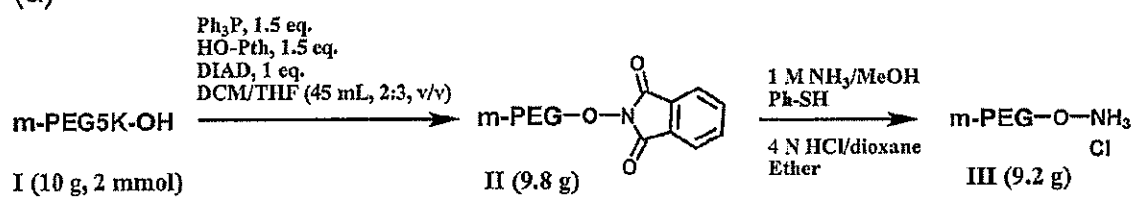


FIG. 15

(a)



(b)

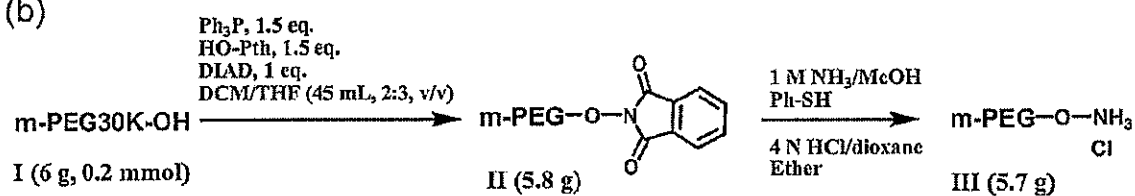


FIG. 16

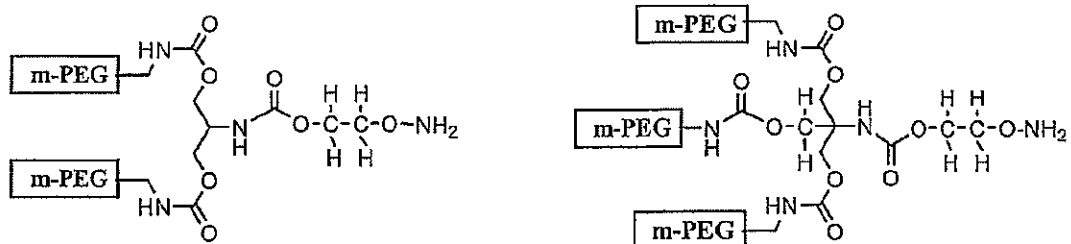
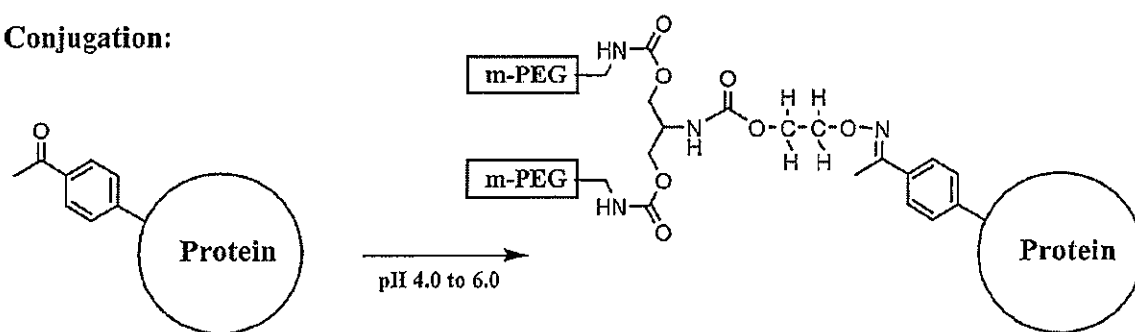
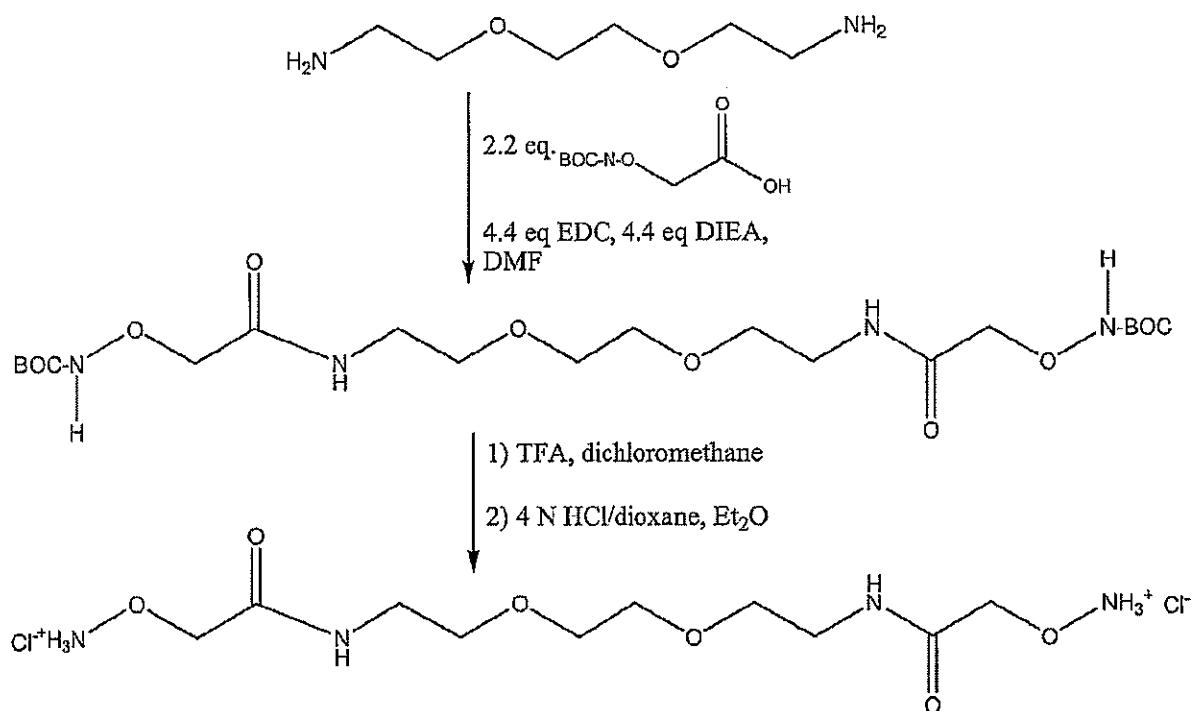
Branched PEG:**Conjugation:**

FIG. 17

a



b

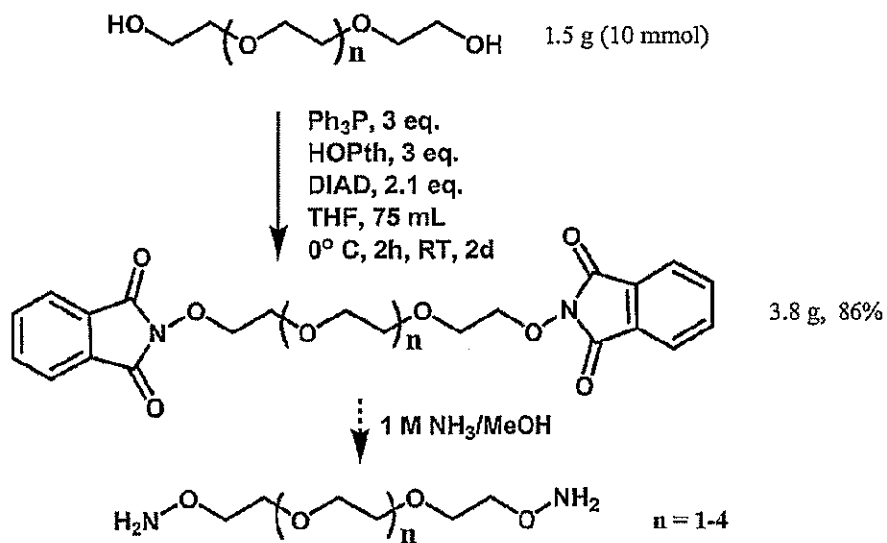


FIG. 18

Bifunctional linker: $\text{H}_2\text{N}-\text{O}-\text{CH}_2-\text{[linker]}-\text{CH}_2-\text{[tag]}$

Scheme:

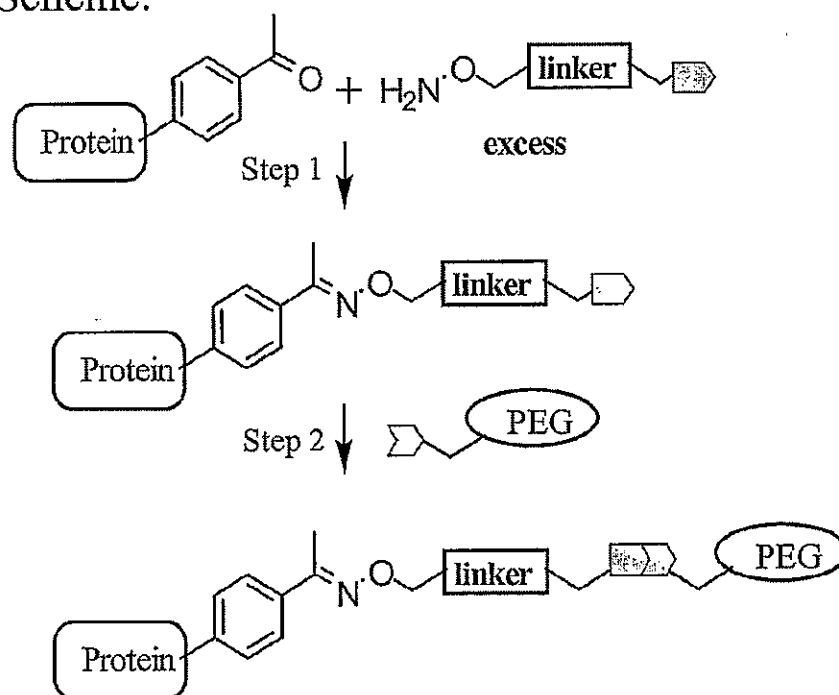


FIG. 20

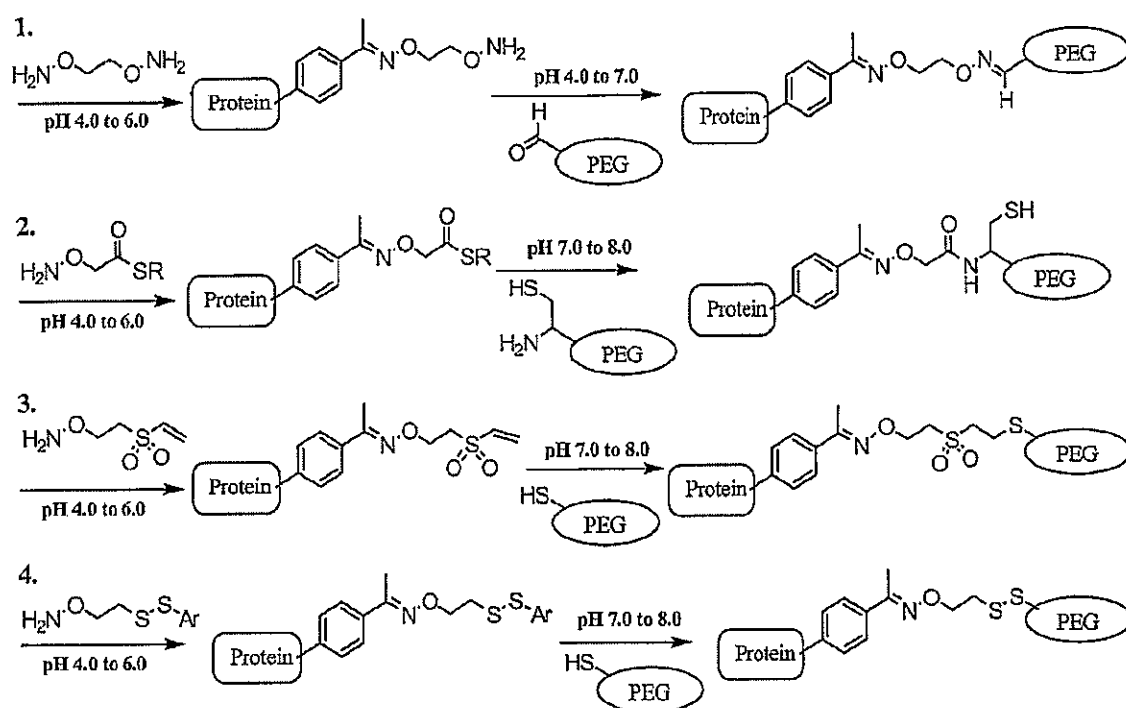


FIG. 21

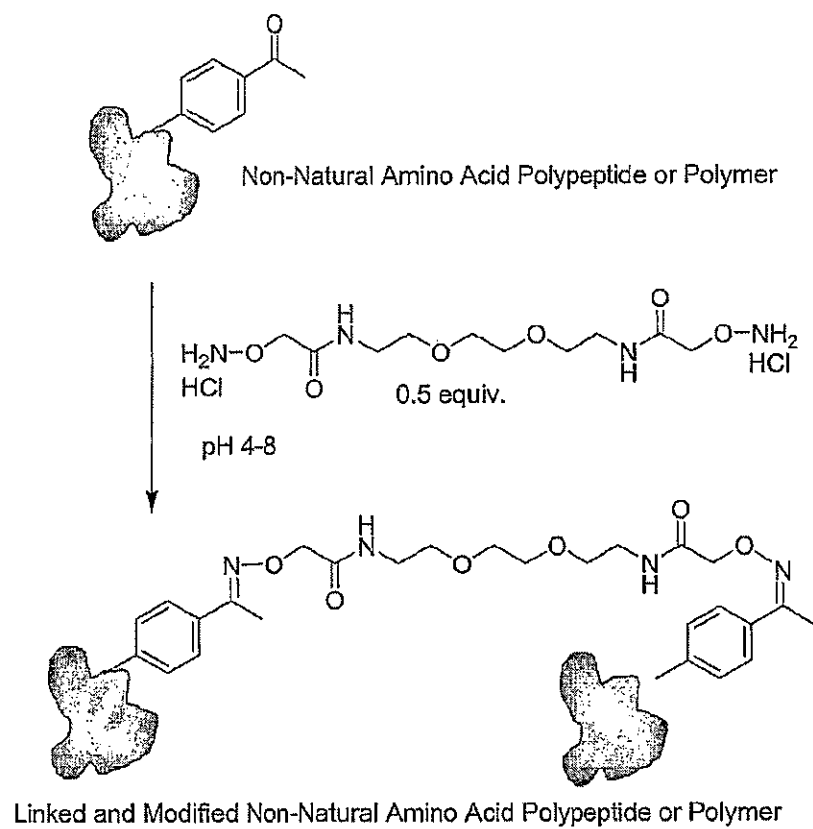


FIG. 22

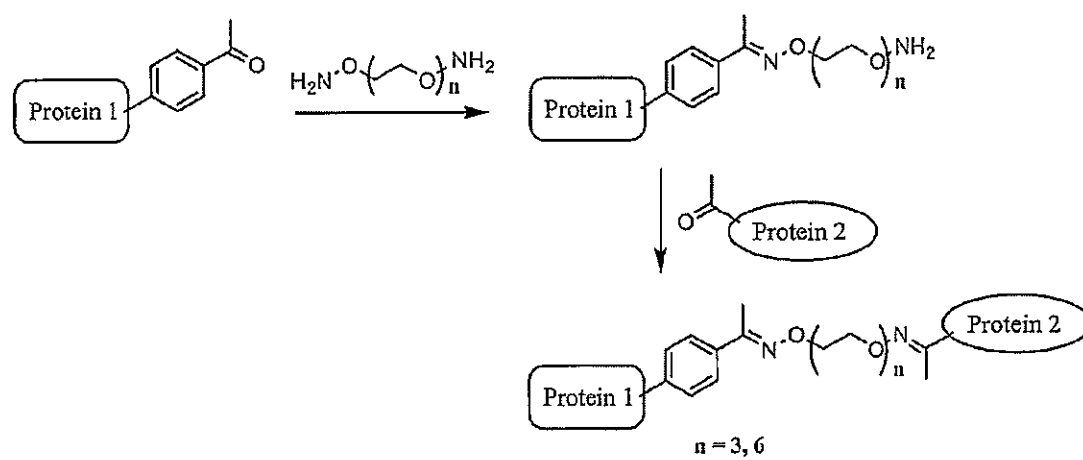


FIG. 23

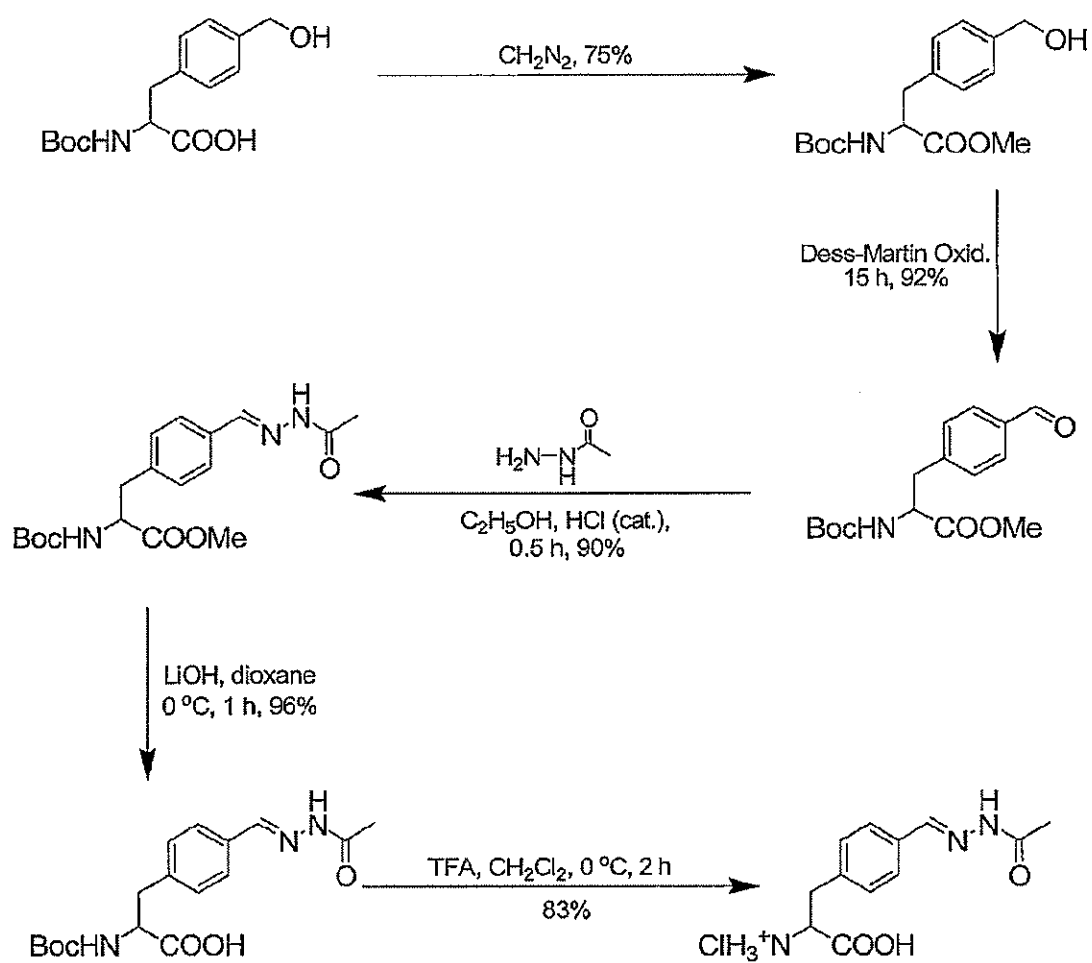


FIG. 24

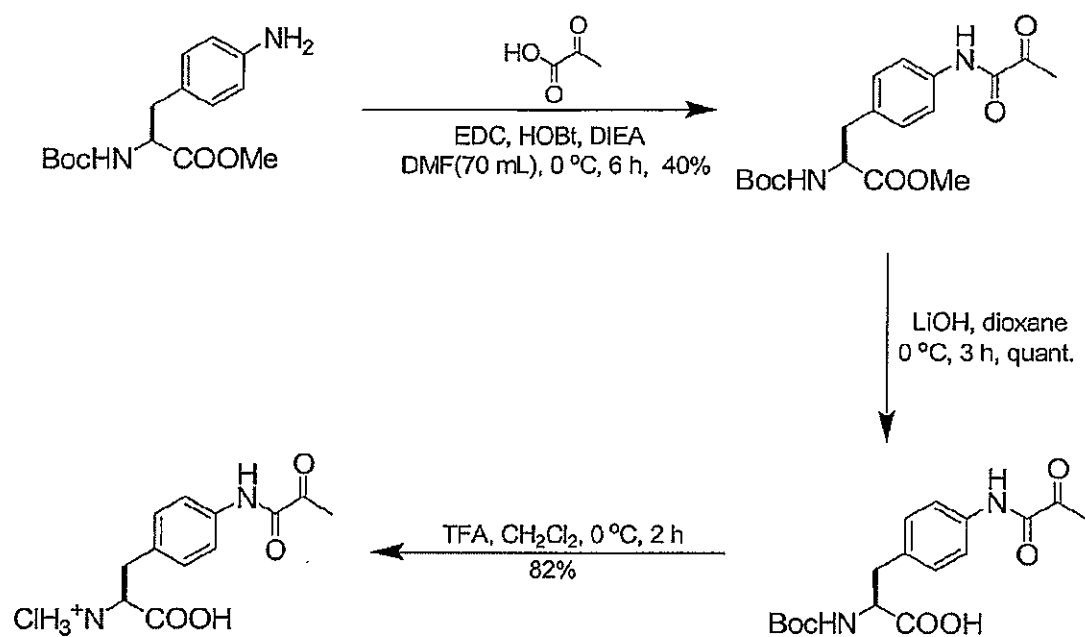


FIG. 25

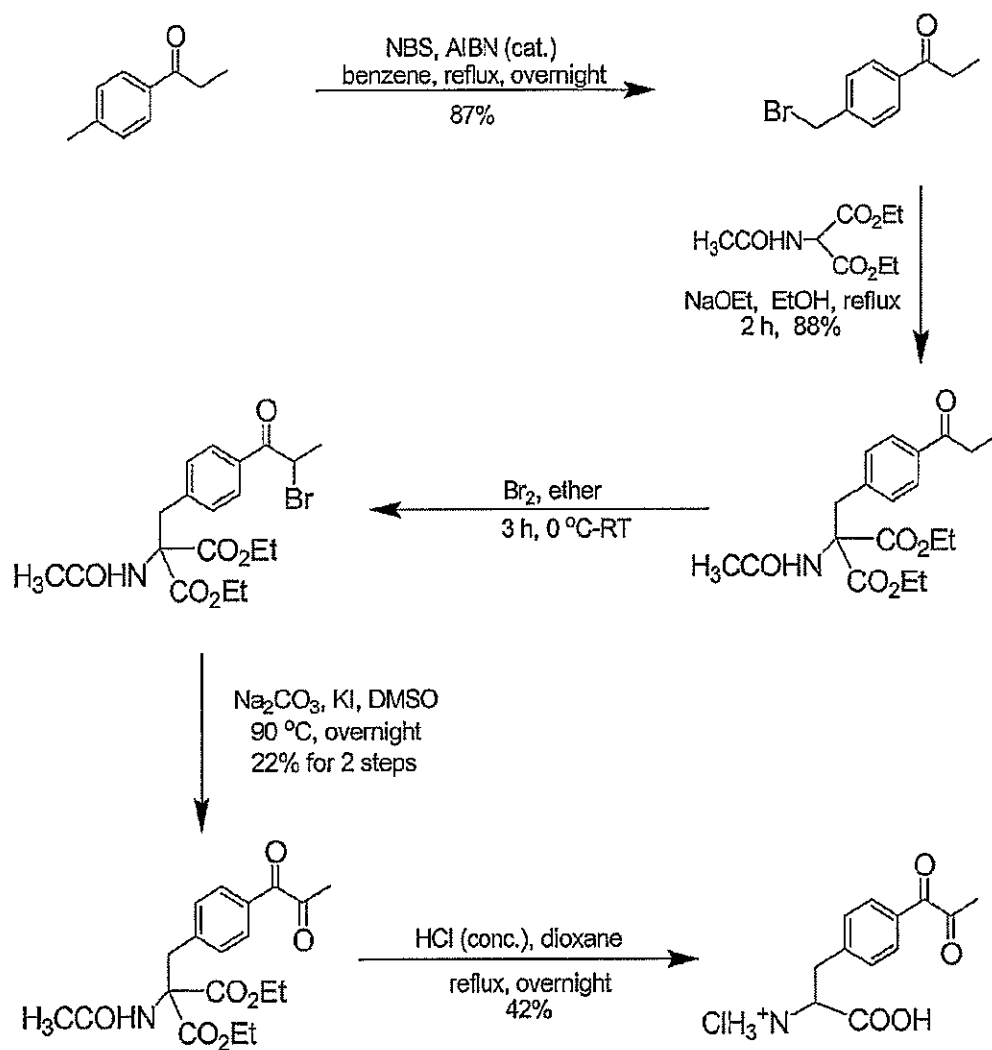


FIG. 26

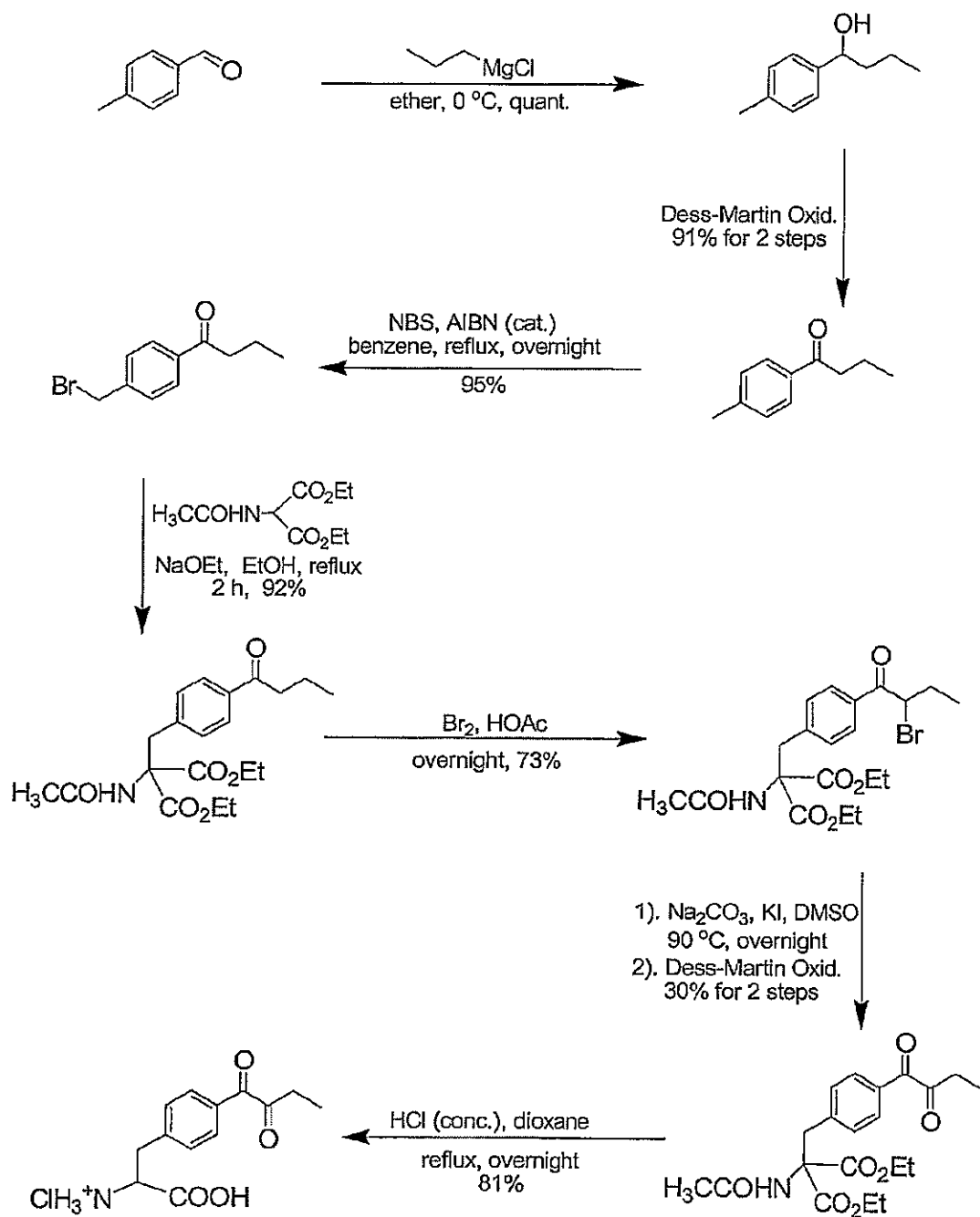


FIG. 27

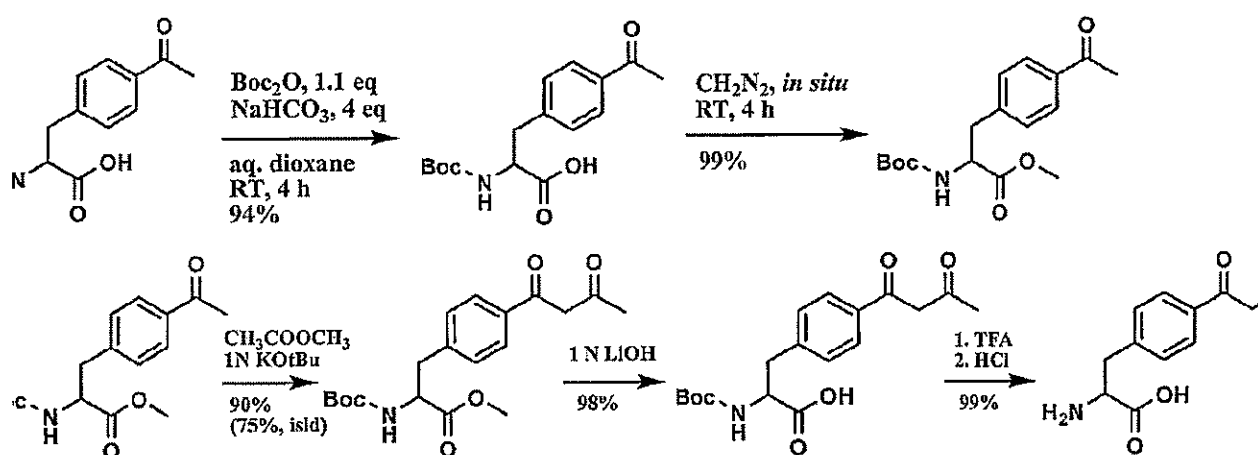


FIG. 28

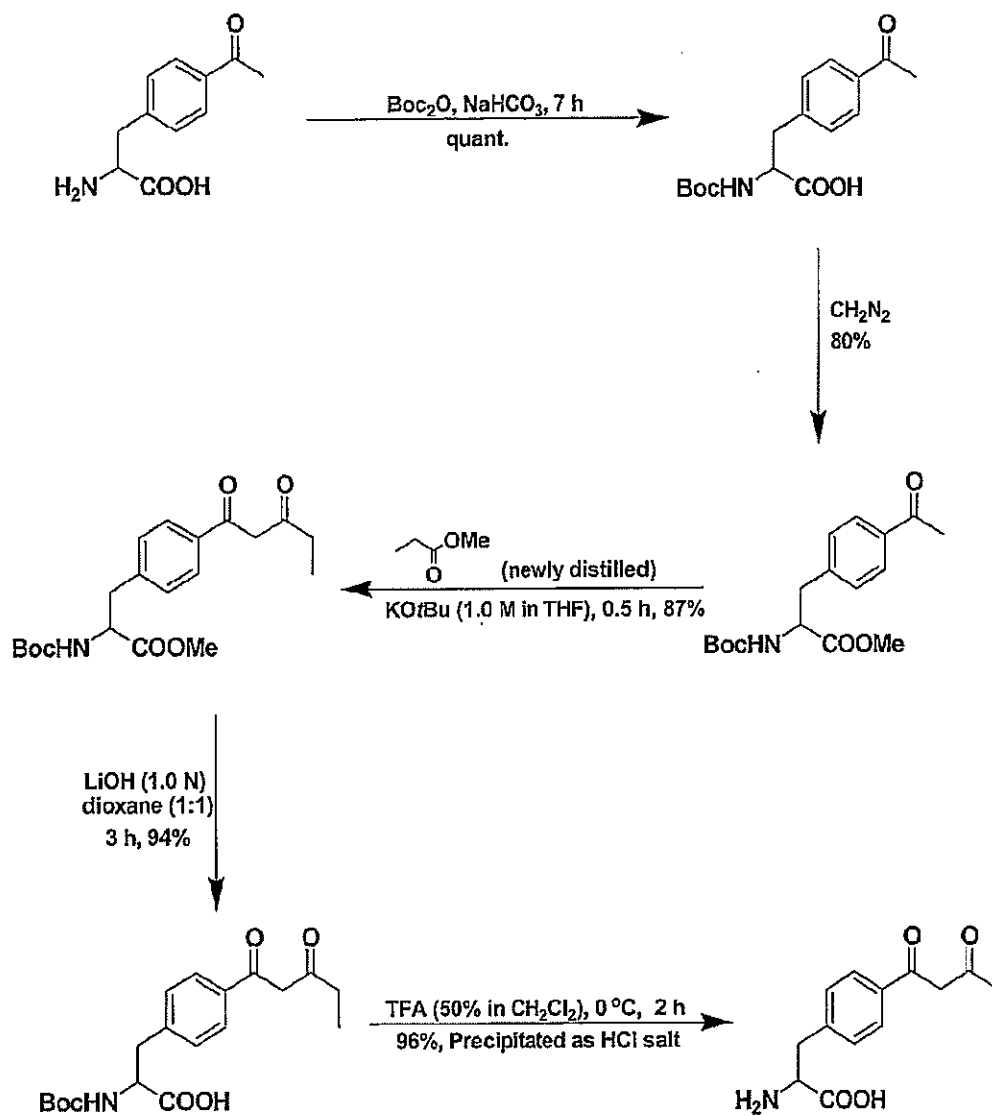


FIG. 29

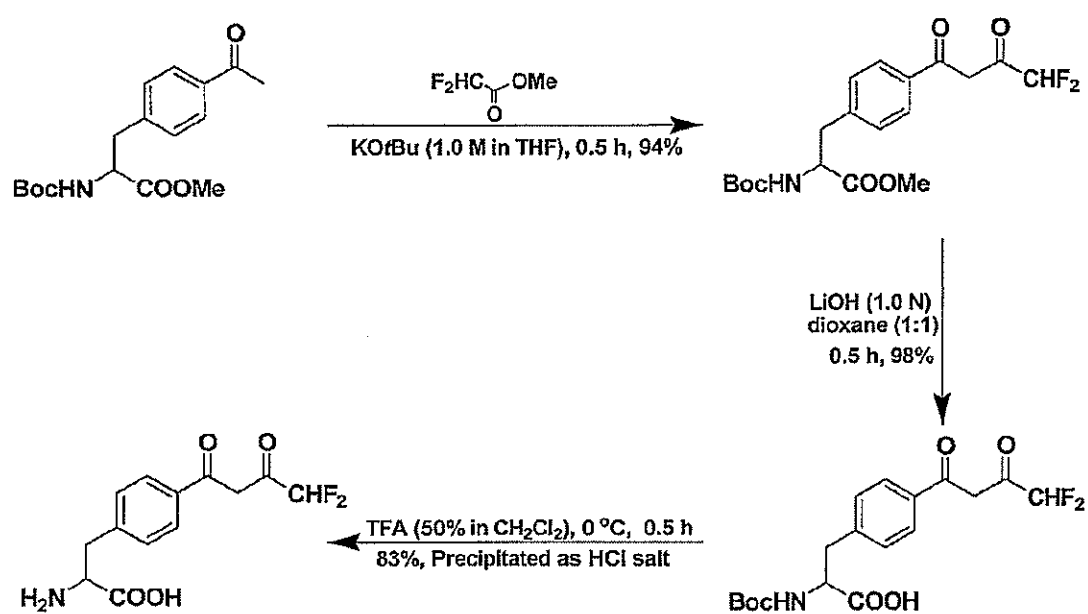


FIG. 30

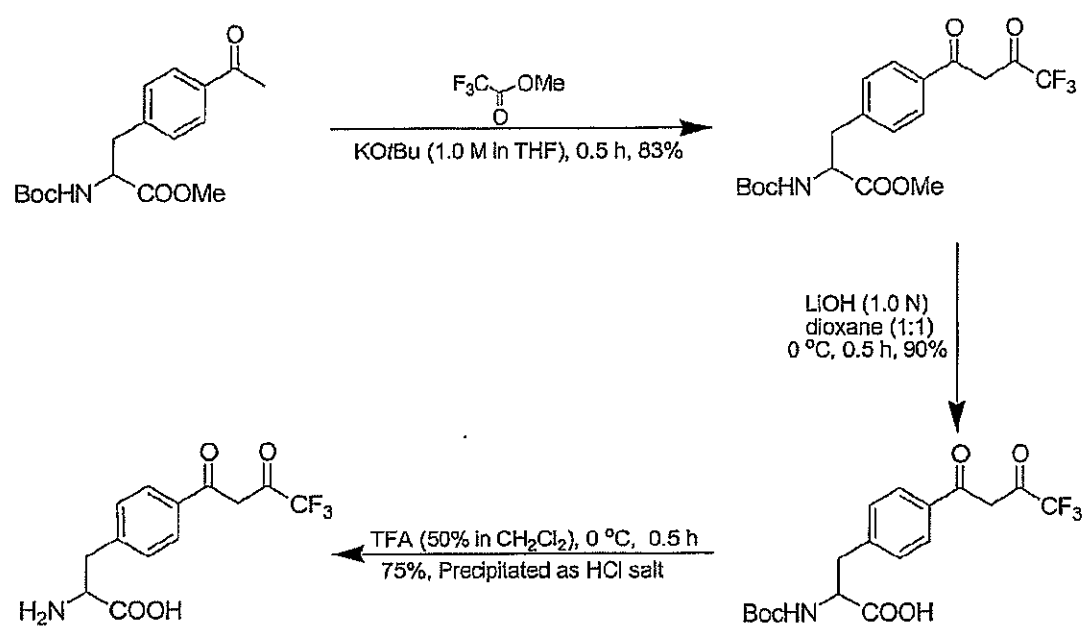


FIG. 31

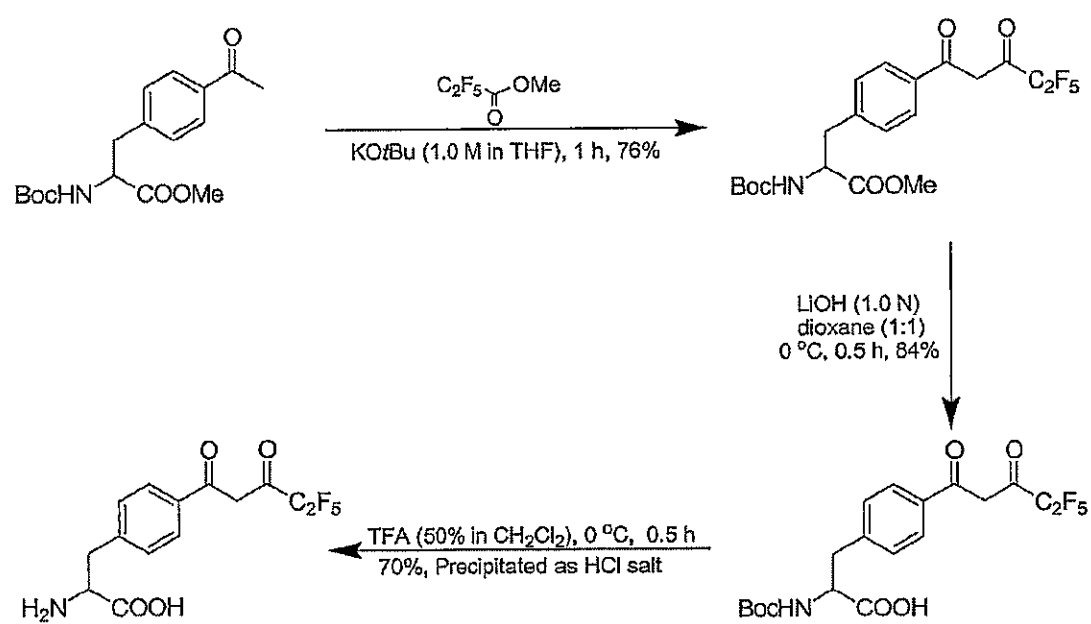


FIG. 32

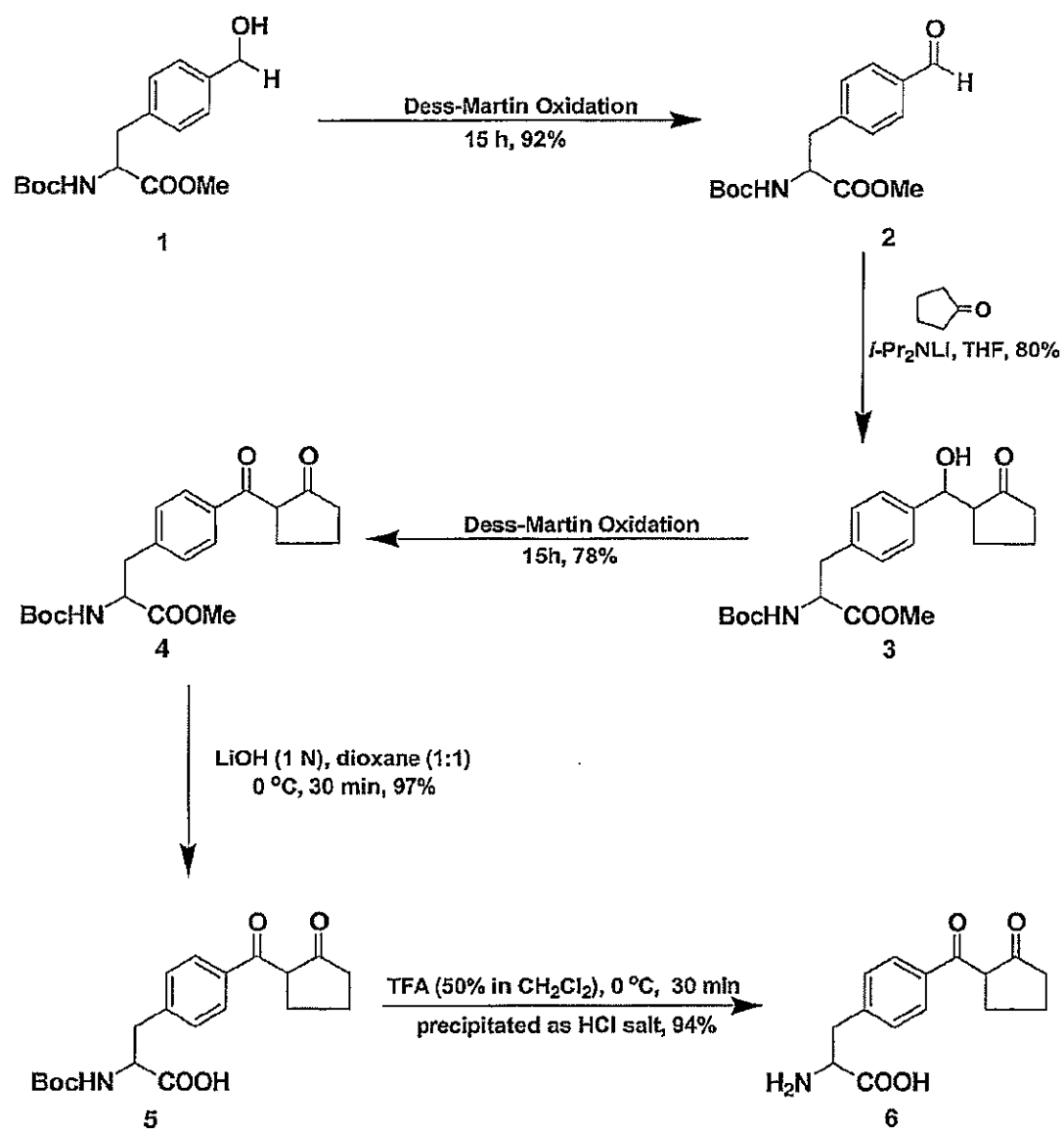


FIG. 33

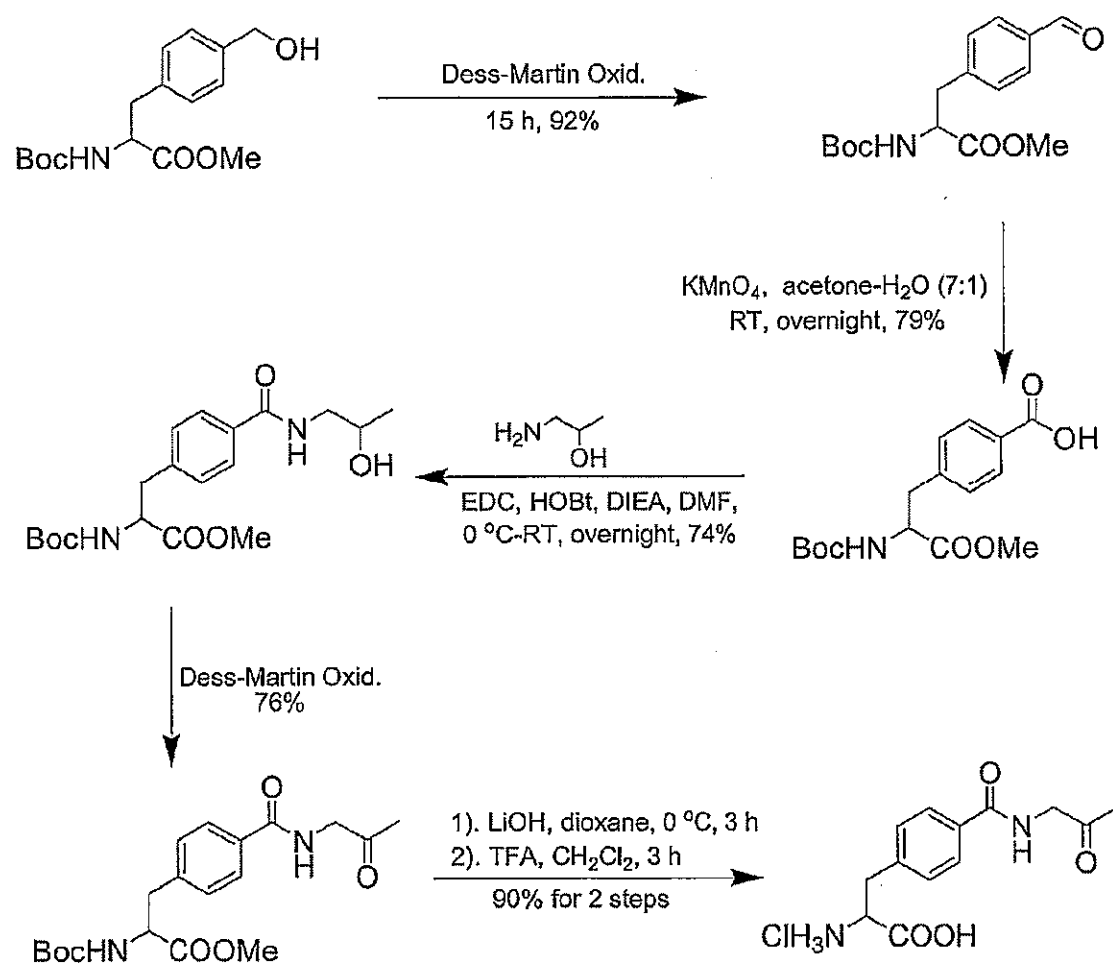


FIG. 34

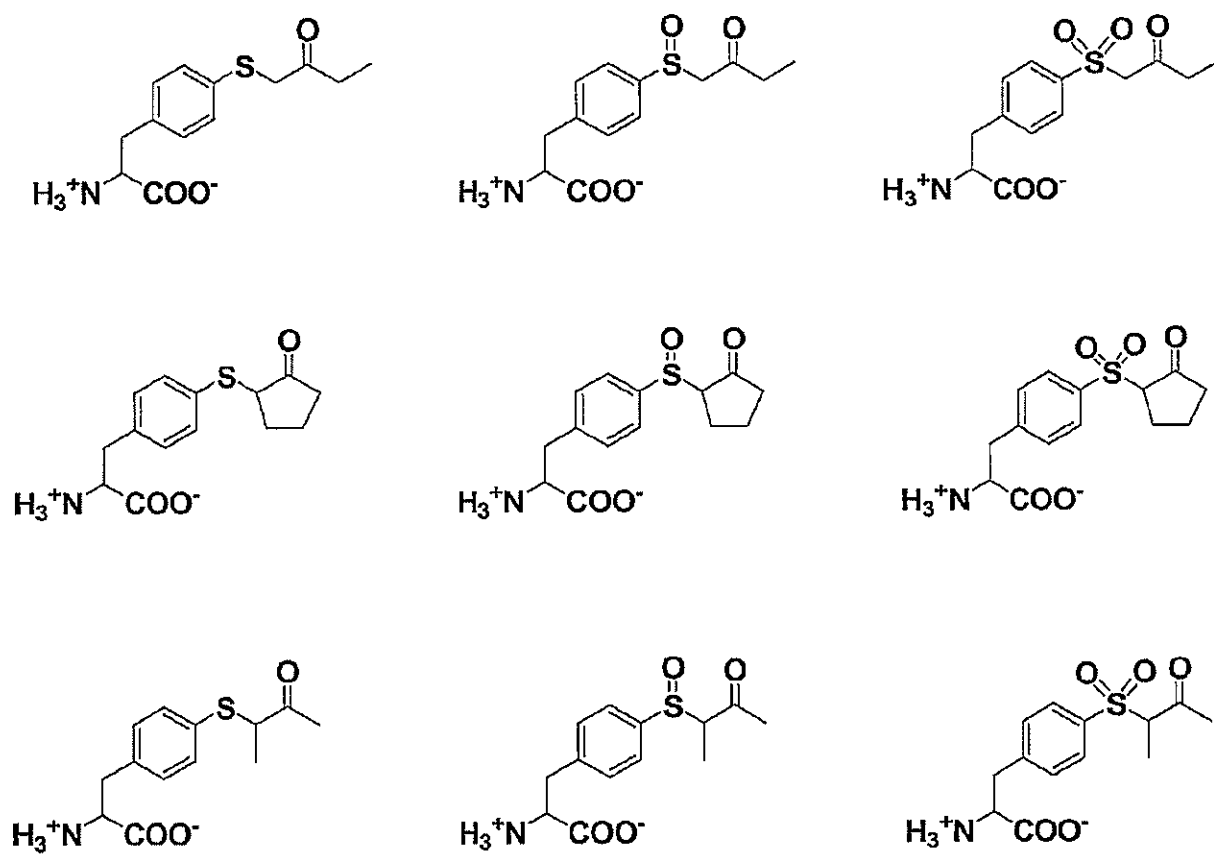


FIG. 35

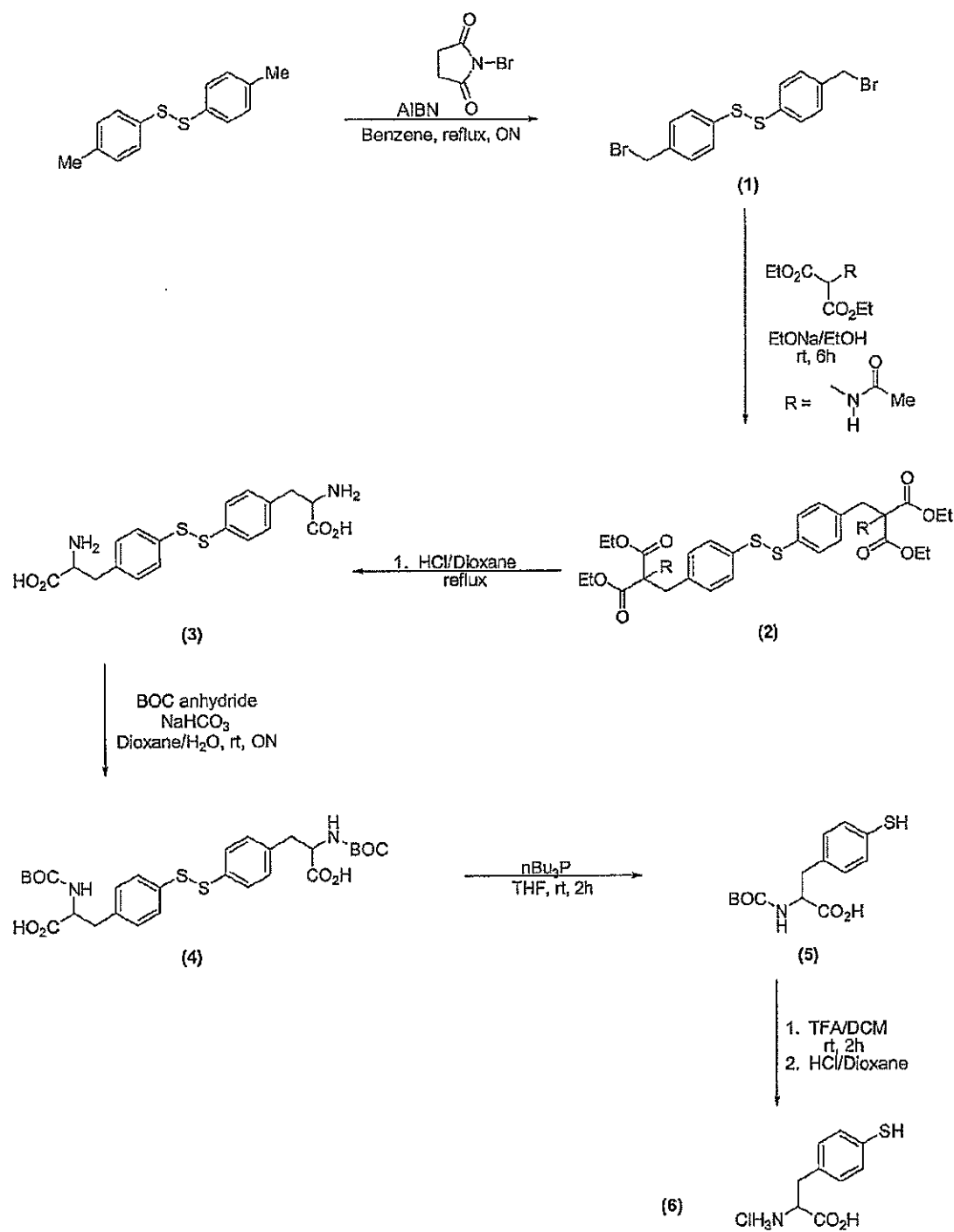


FIG. 36

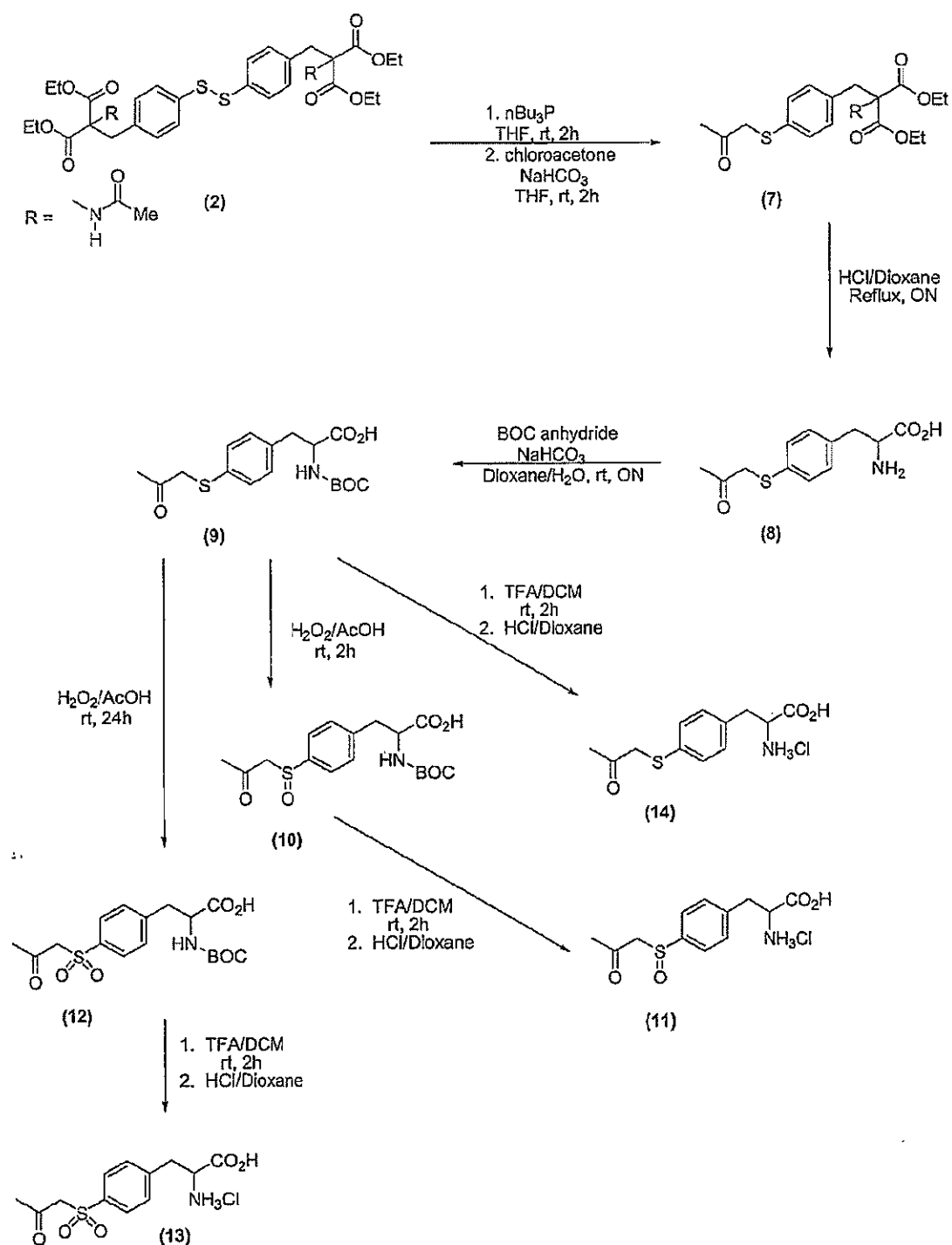


FIG. 37

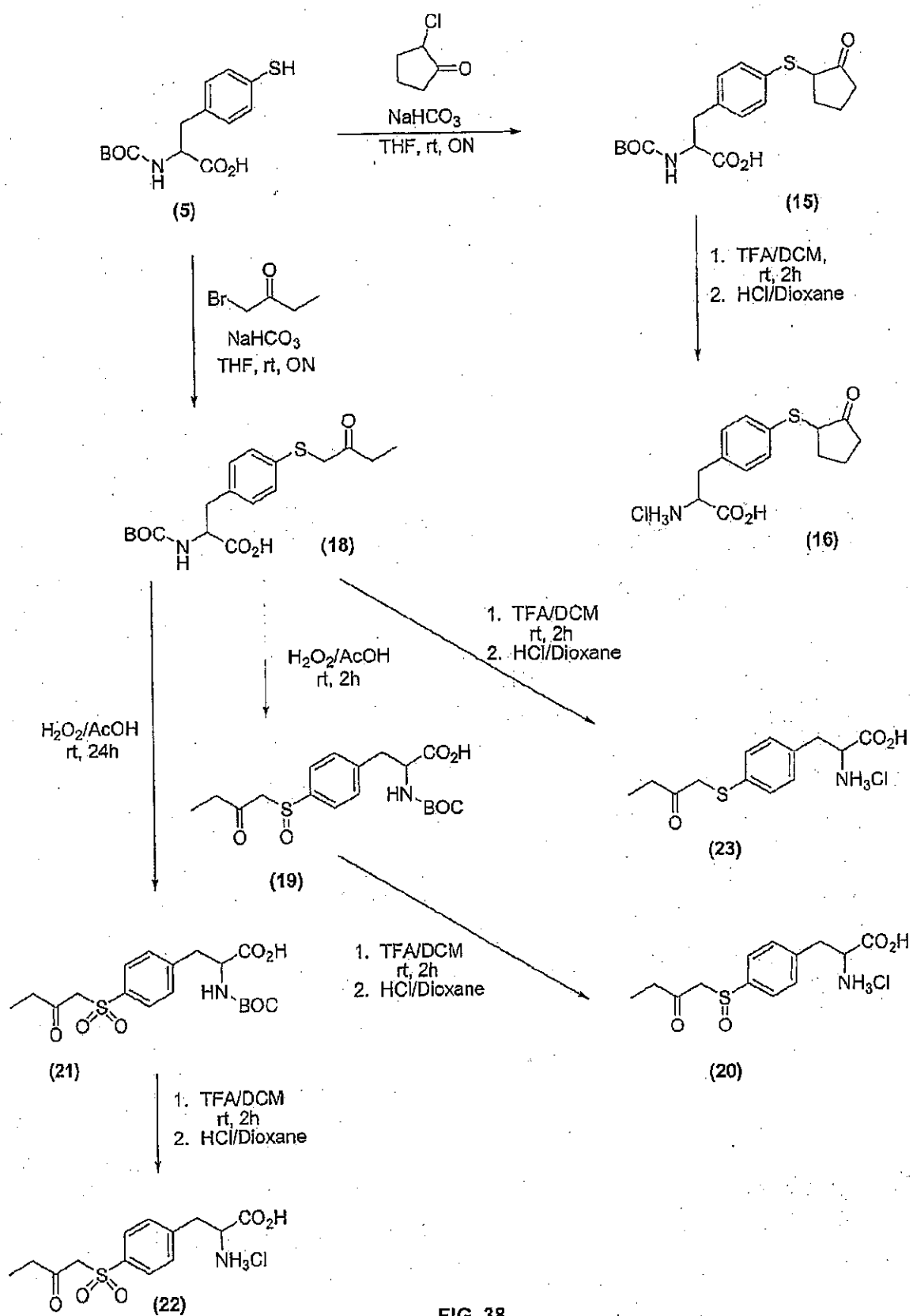


FIG. 38

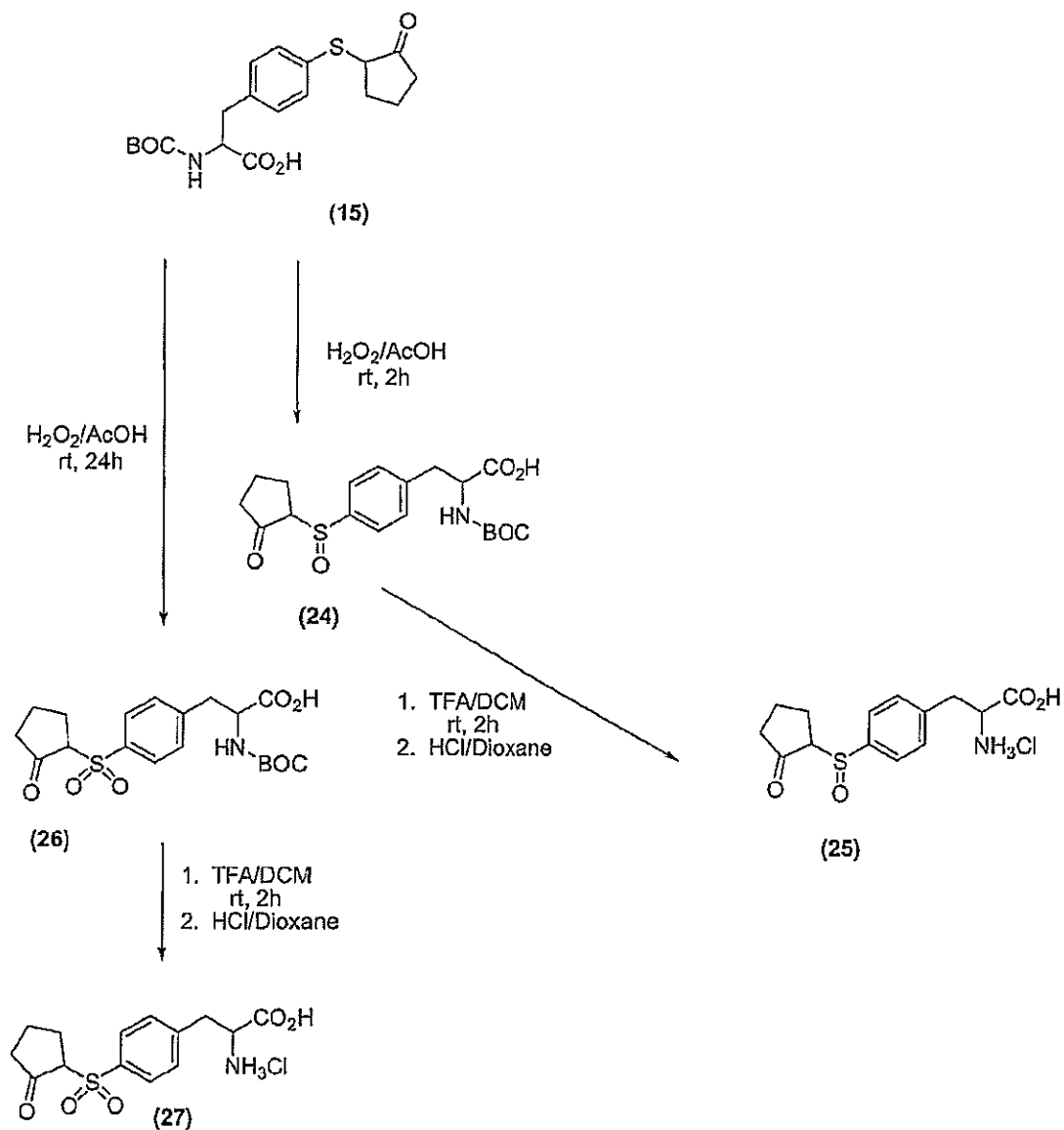


FIG. 39

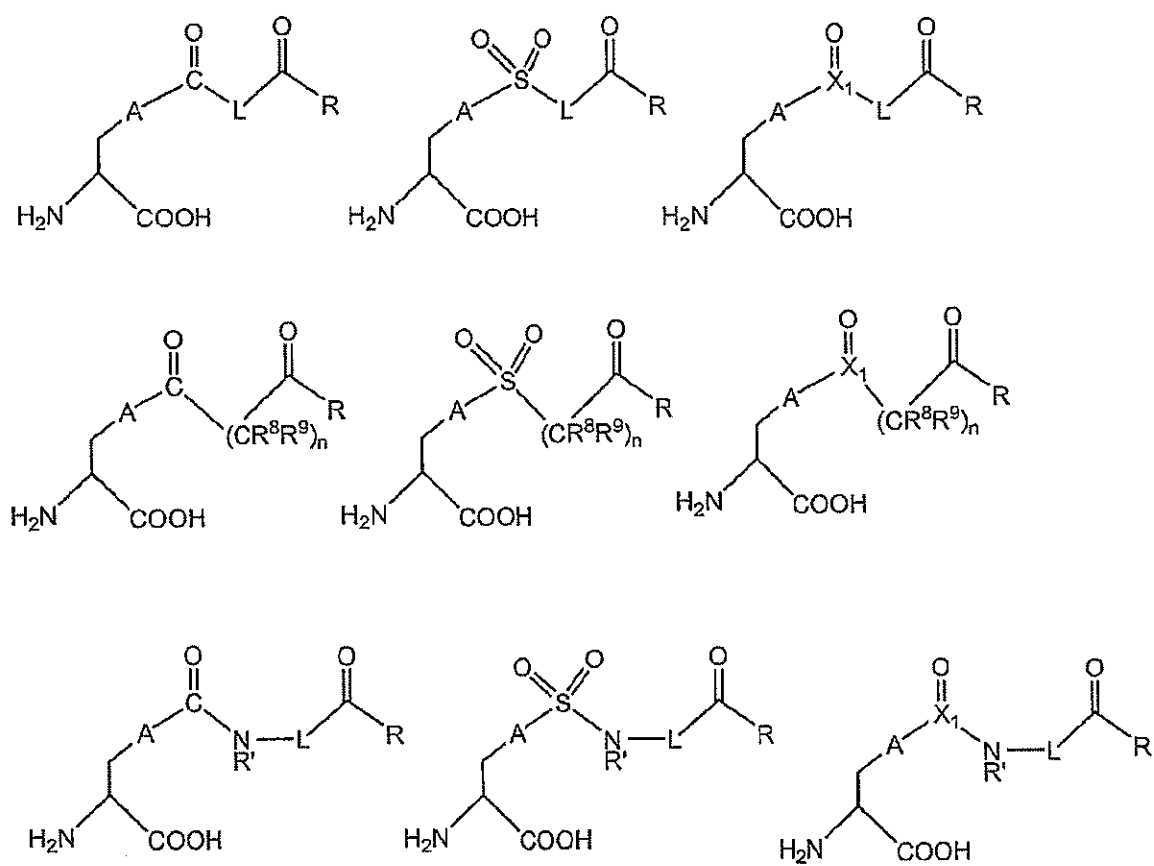


FIG. 40

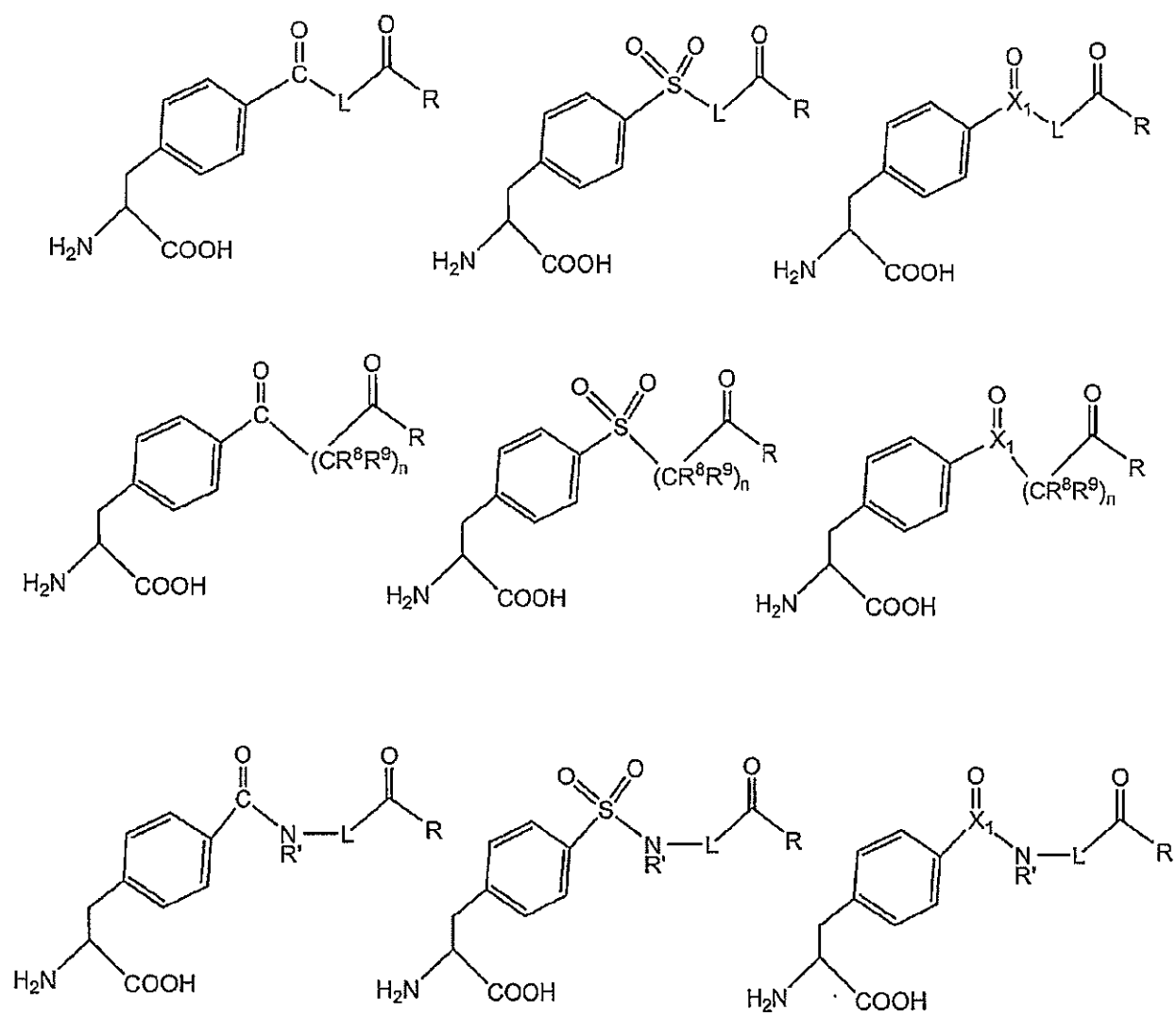
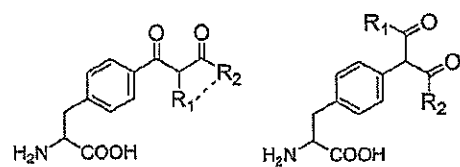


FIG. 41

General structure:



Examples:

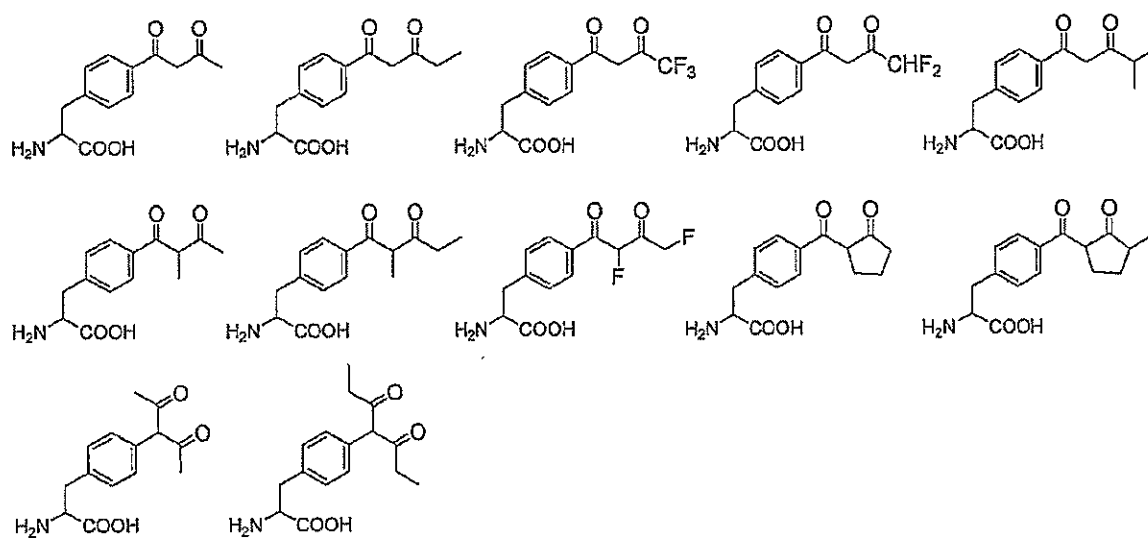
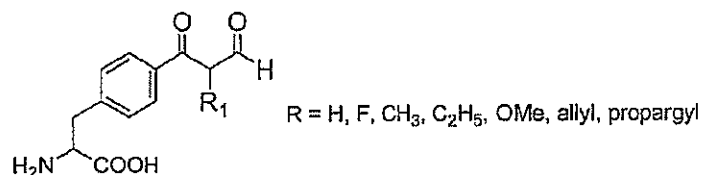


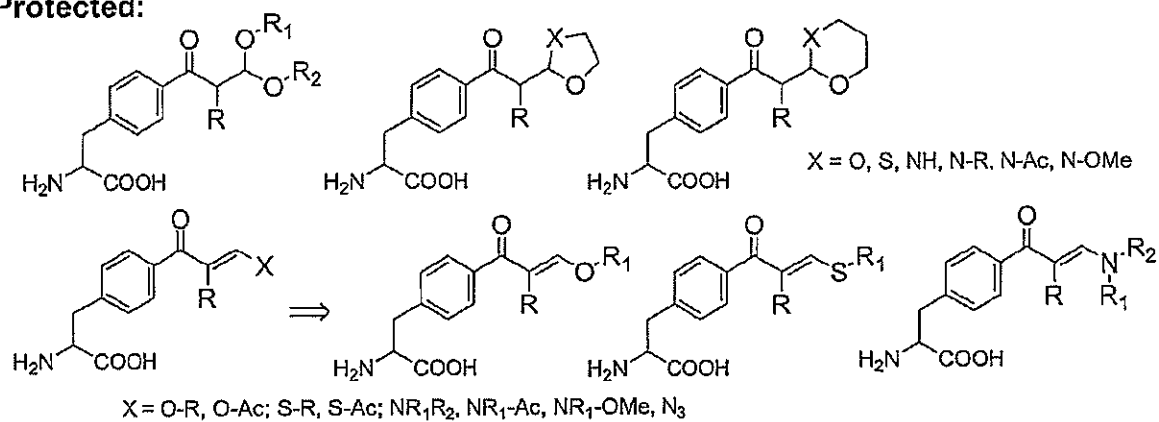
FIG. 42

(a)

Unprotected



Protected:



(b)

Structure:

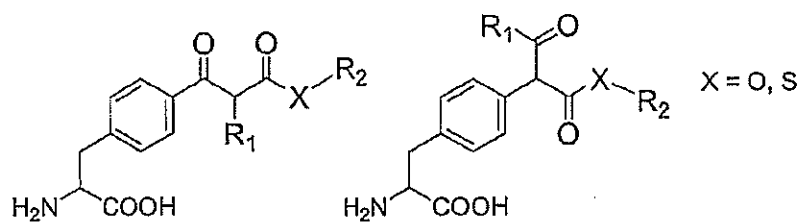


FIG. 43

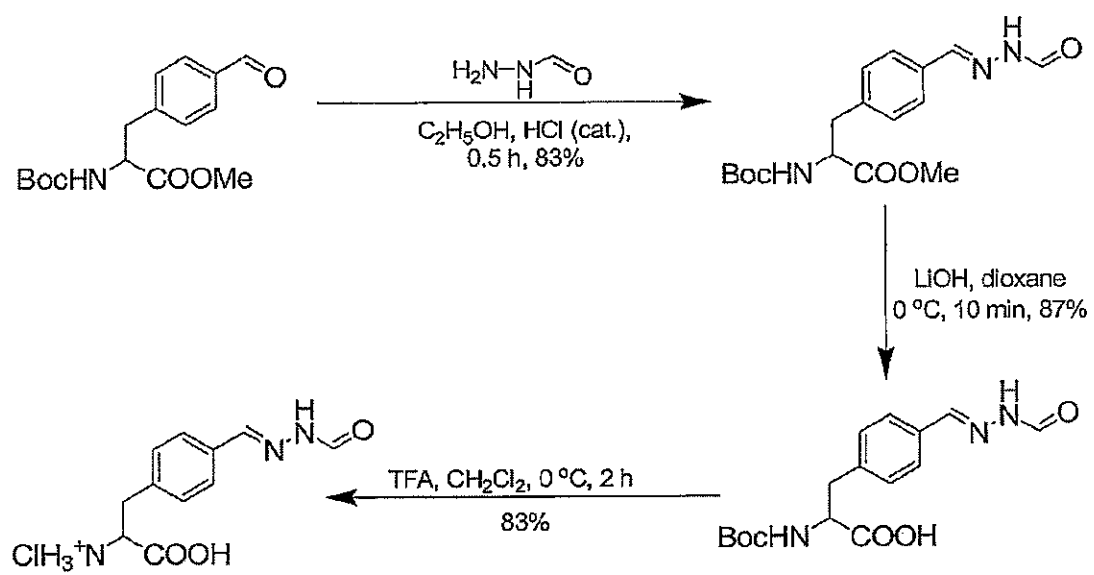


FIG. 44

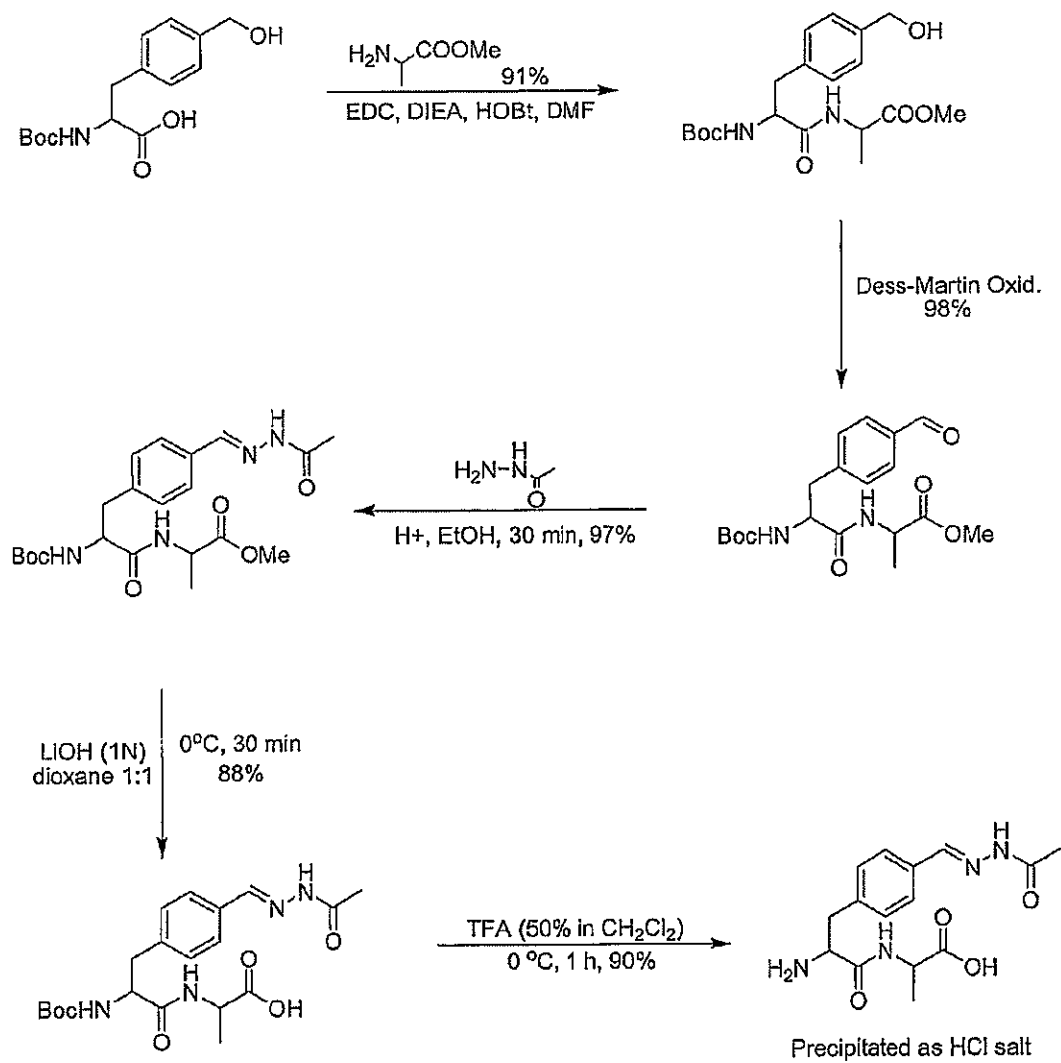


FIG. 45

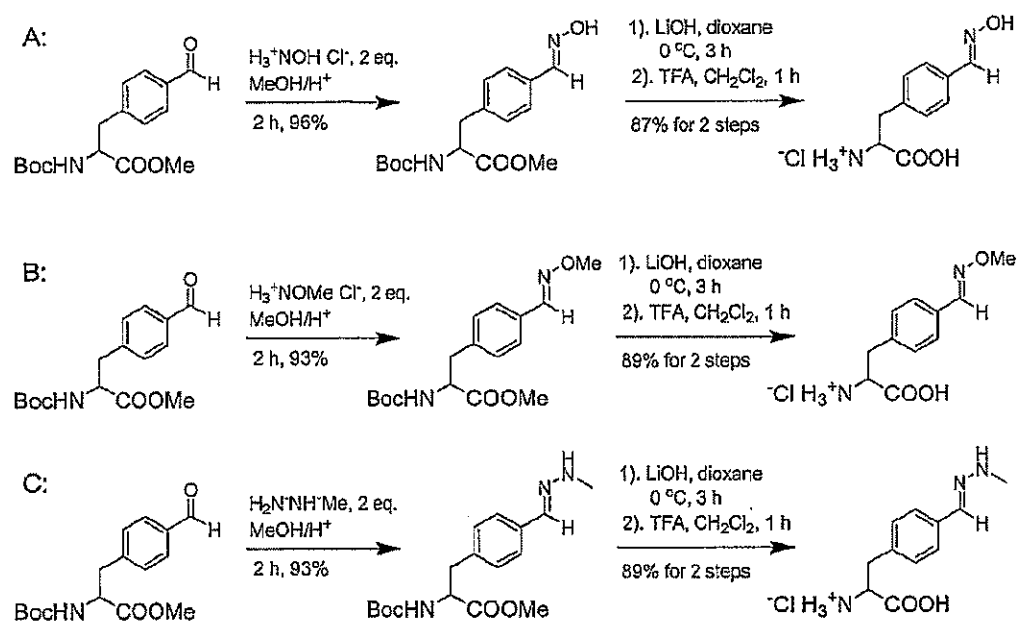


FIG. 46

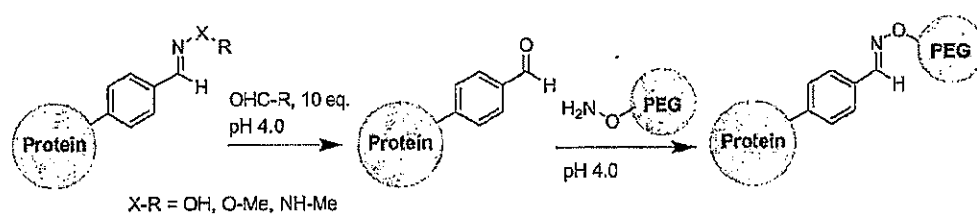
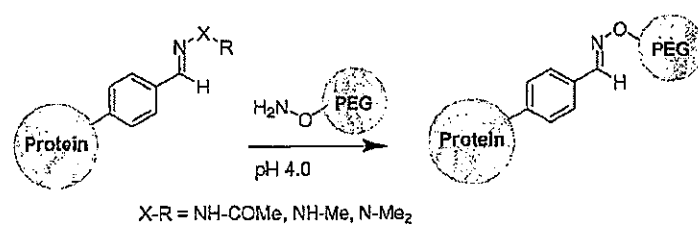
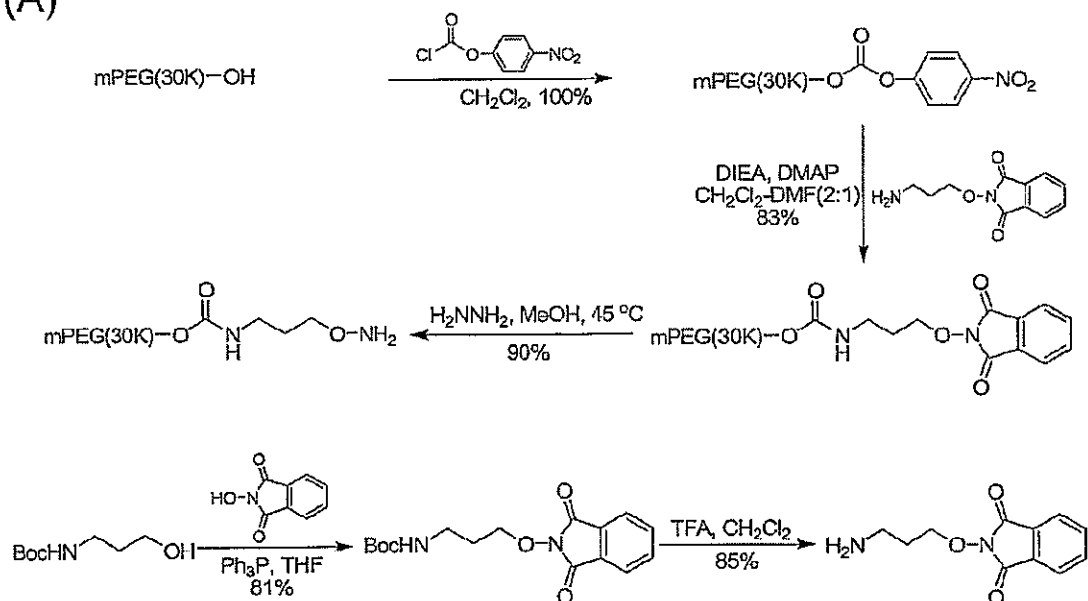
Two-step conjugation:**One-step conjugation:**

FIG. 47

(A)



(B)

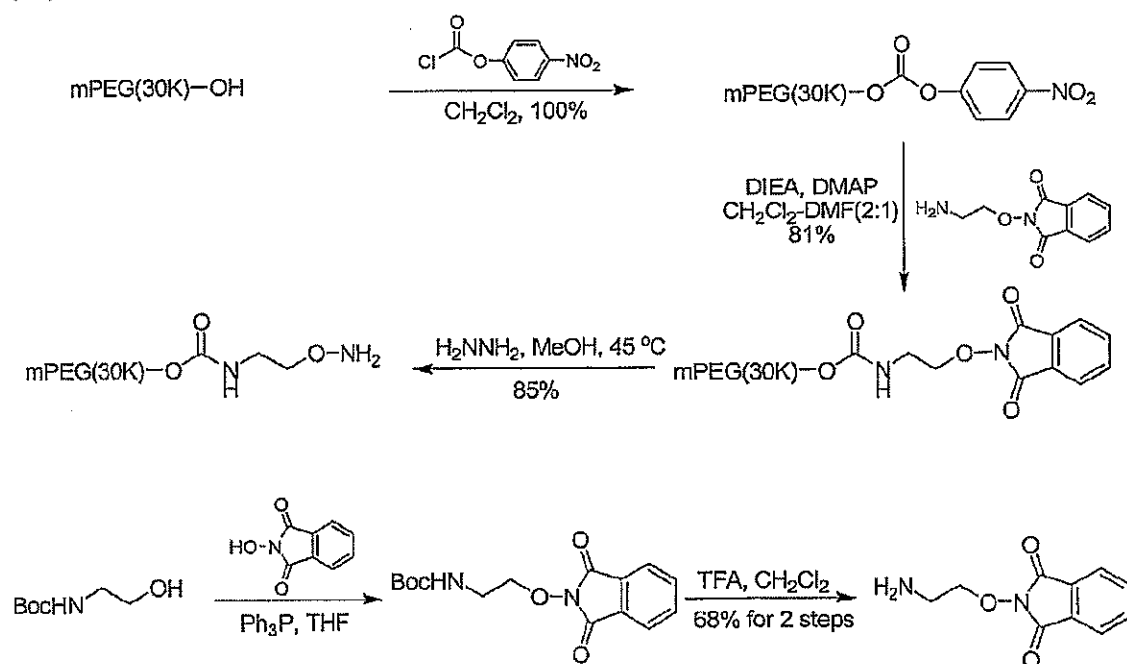
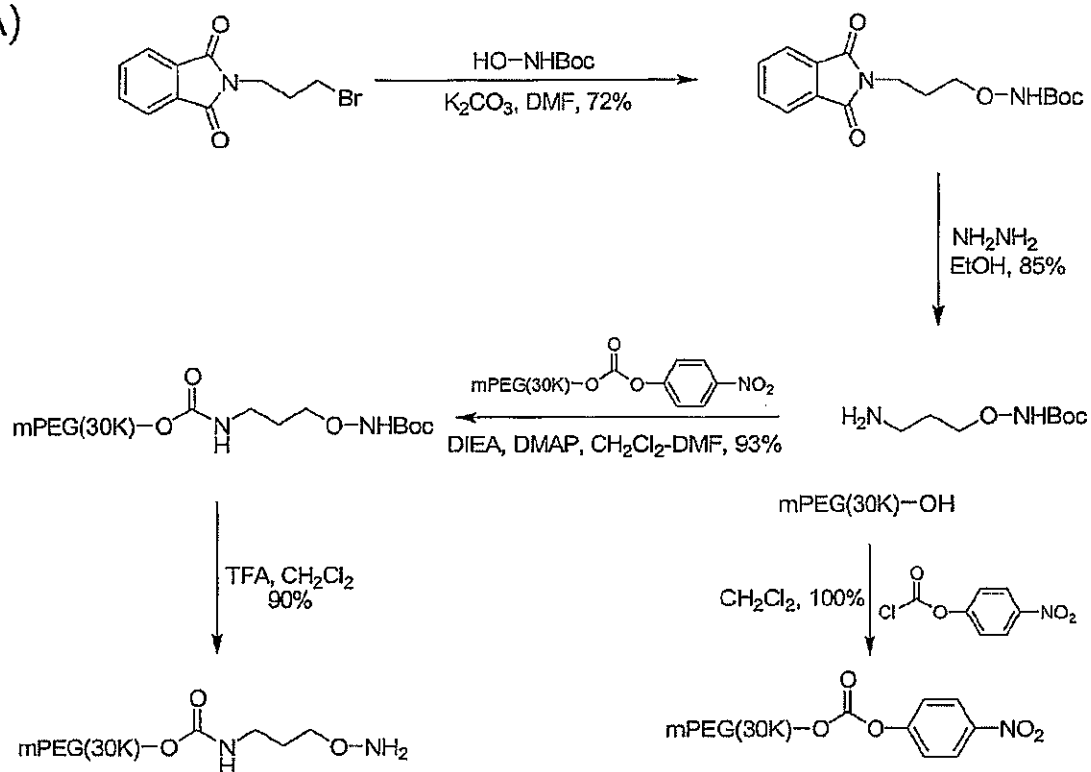


FIG. 48

(A)



(B)

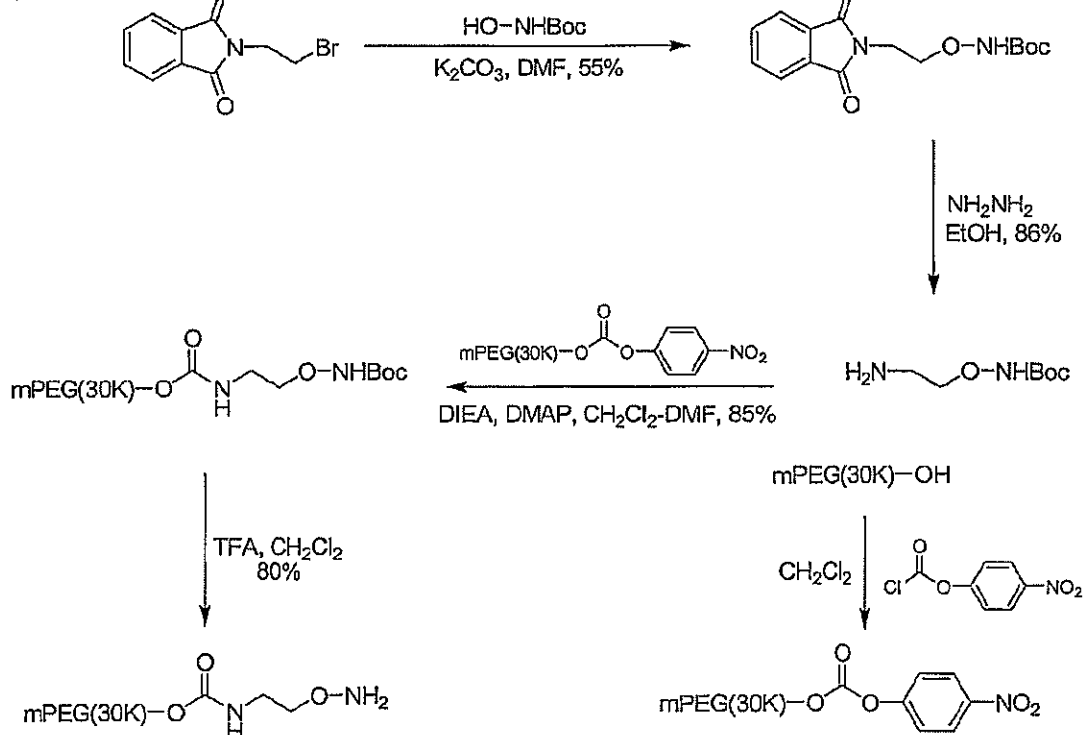


FIG. 49

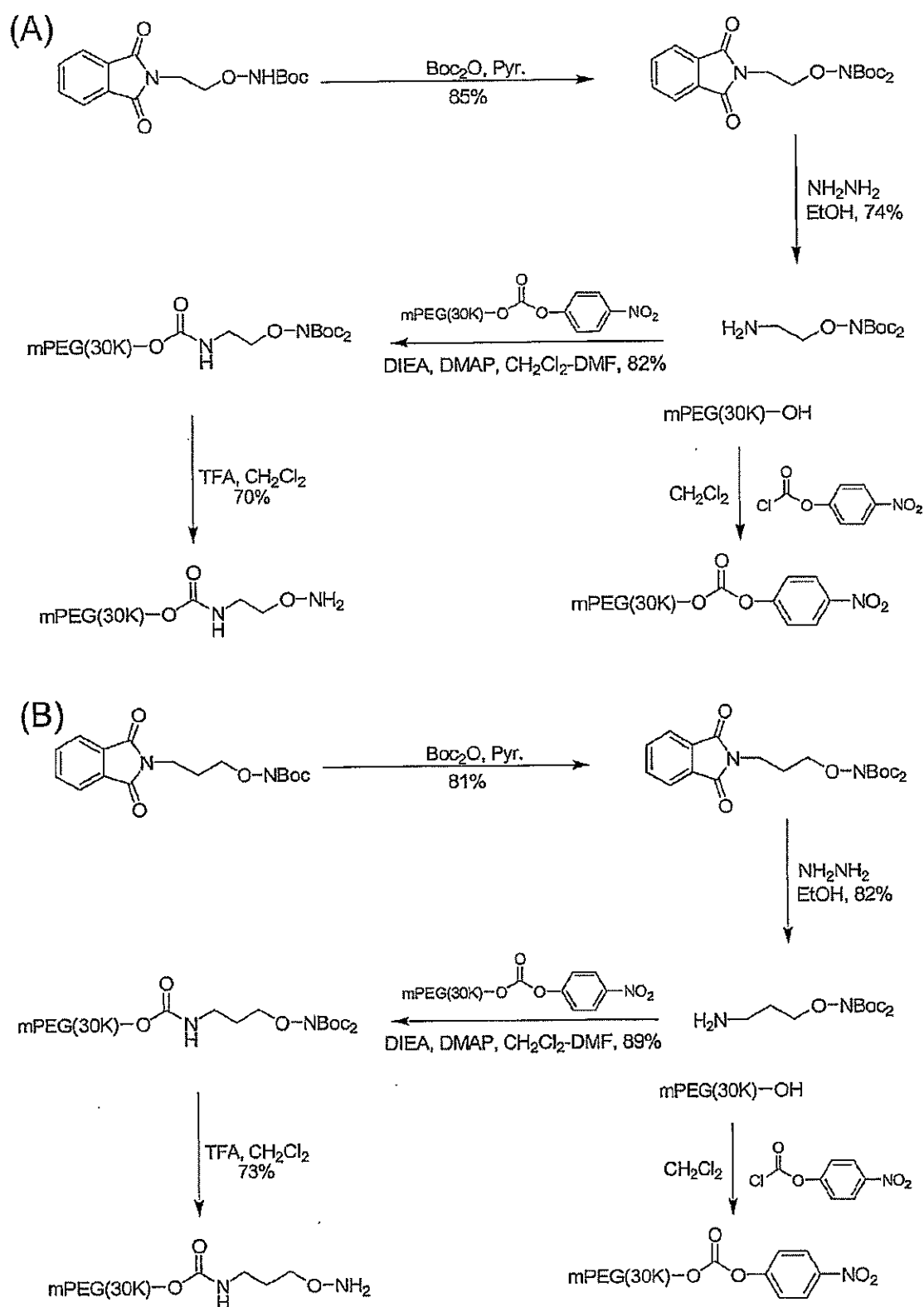
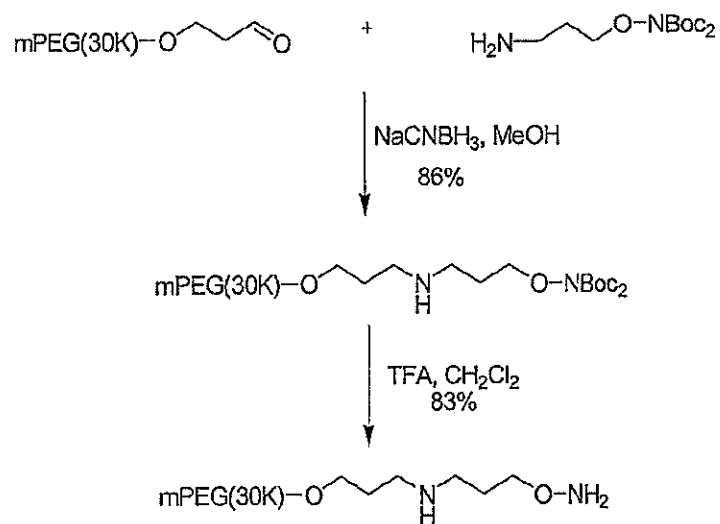


FIG. 50

(A)



(B)

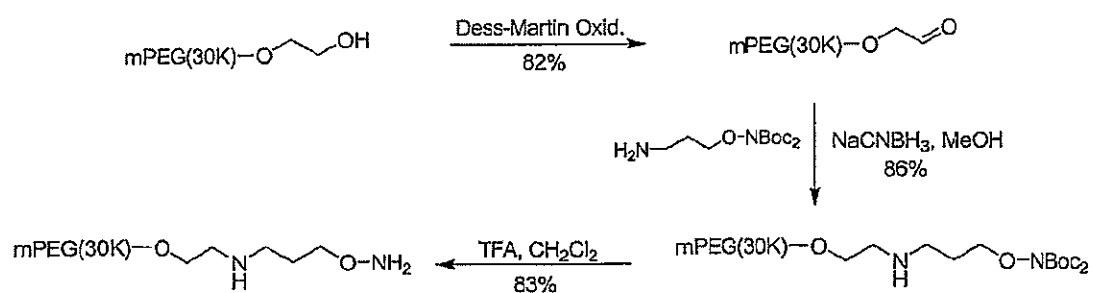
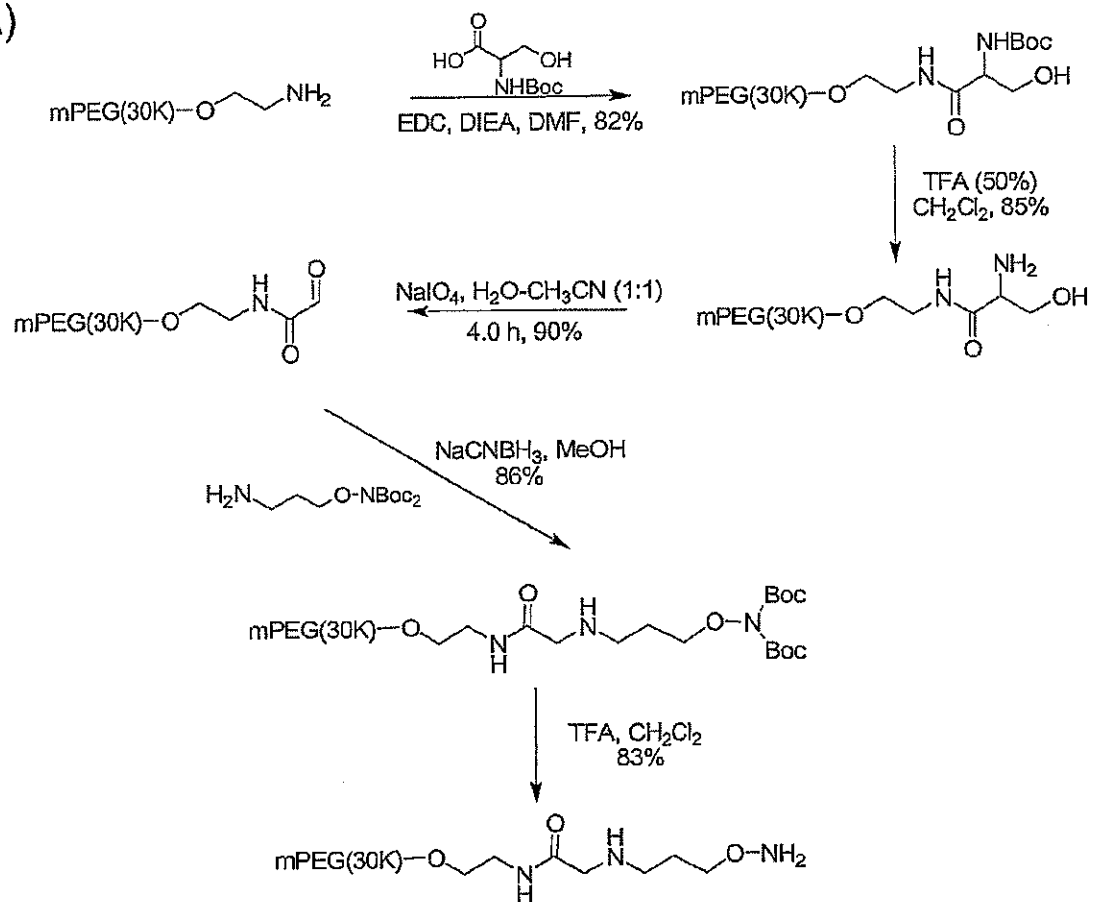


FIG. 51

(A)



(B)

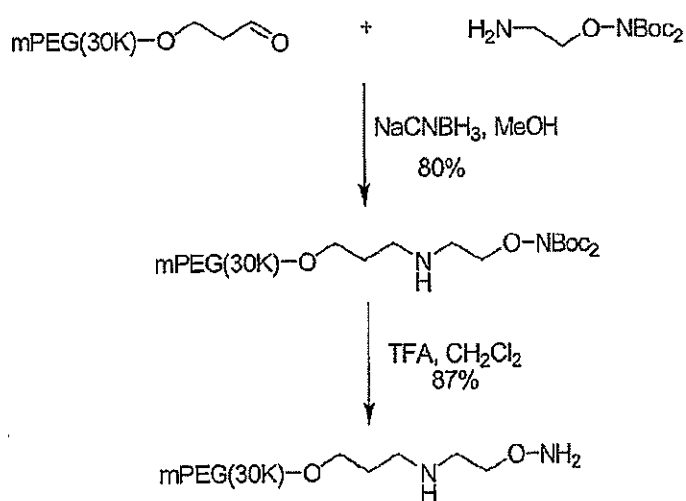
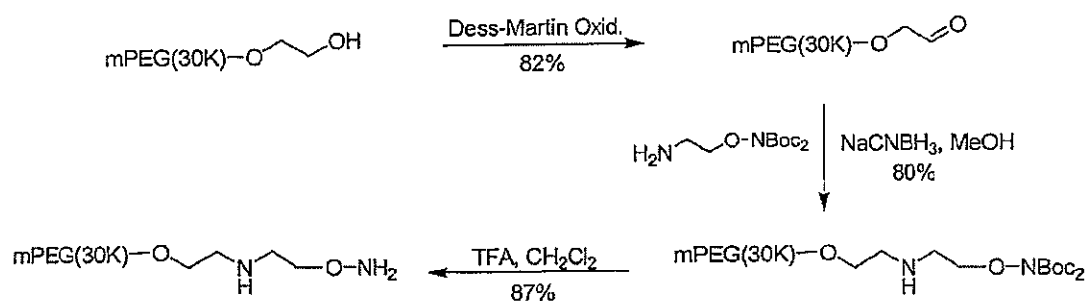


FIG. 52

(A)



(B)

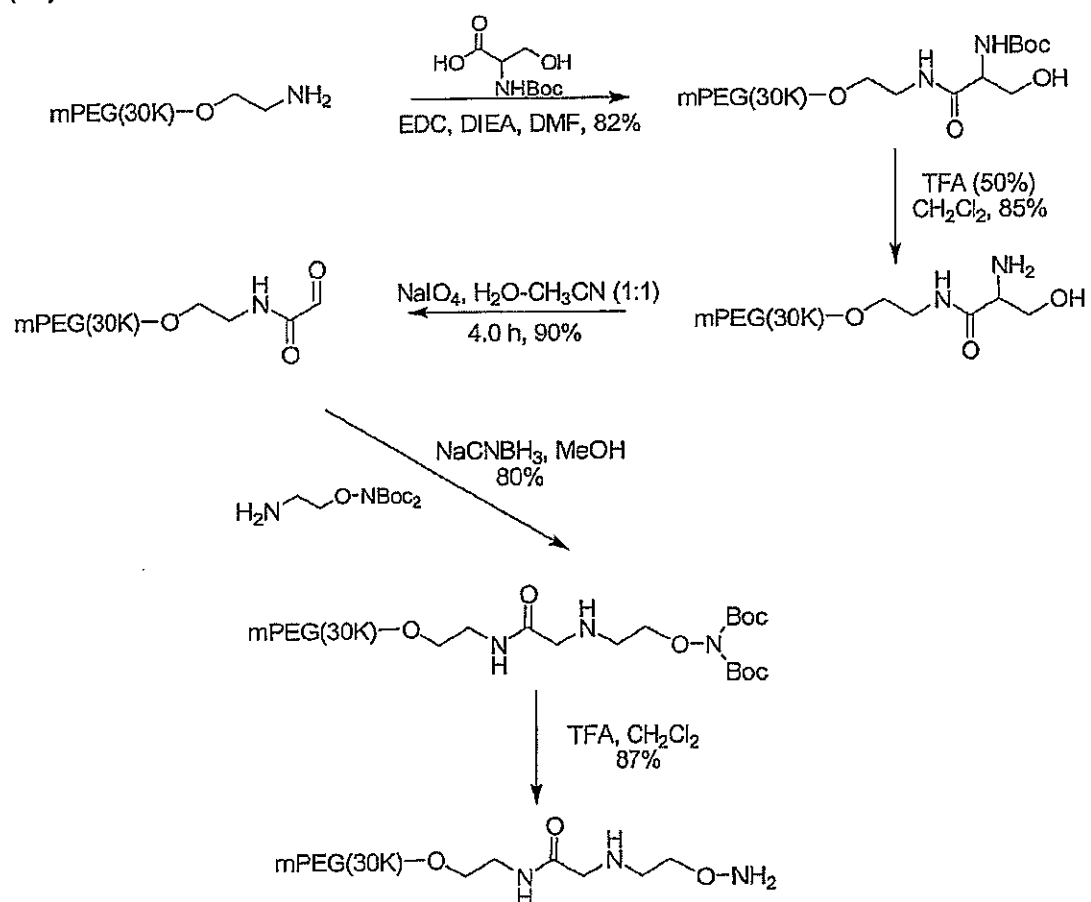
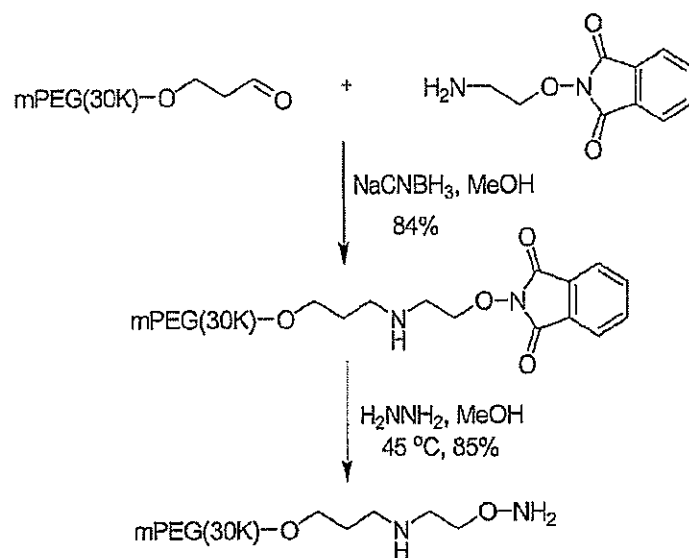


FIG. 53

(A)



(B)

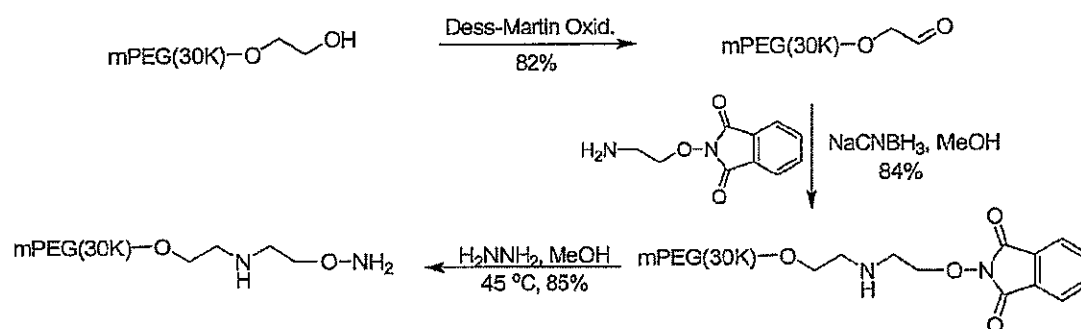


FIG. 54

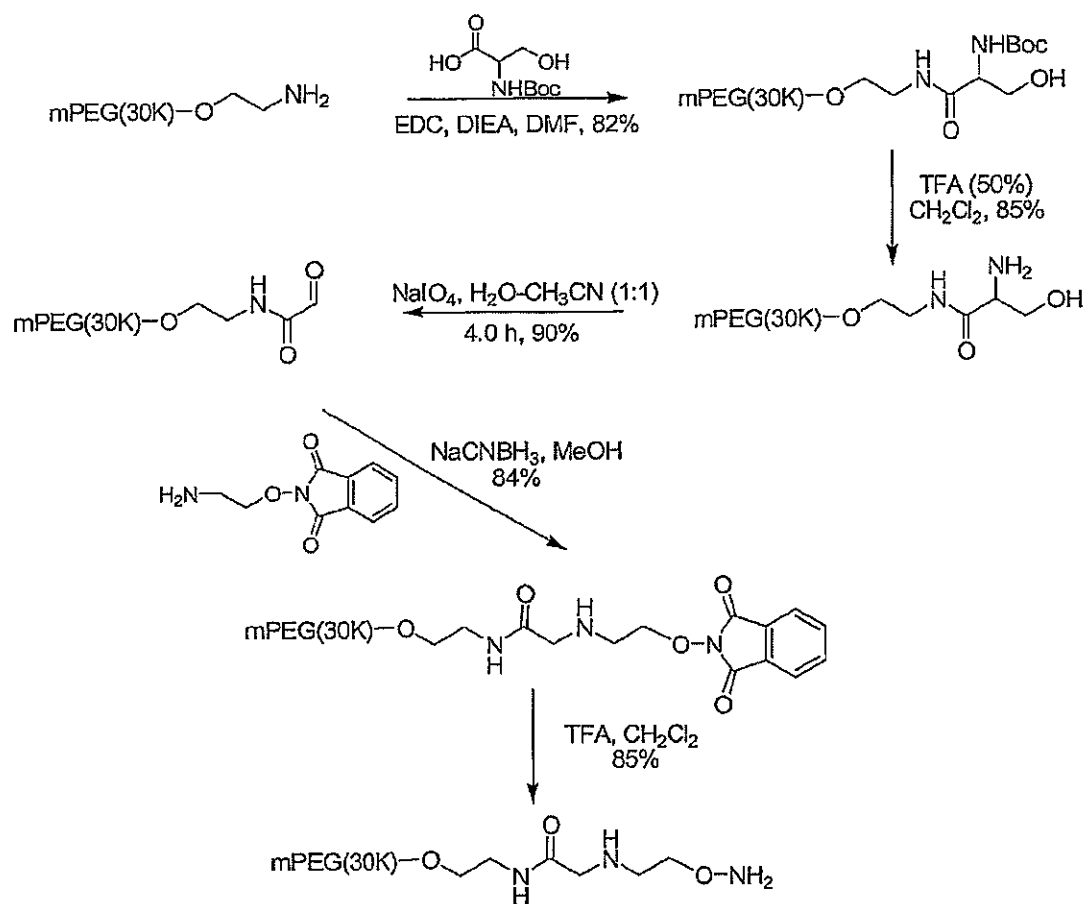
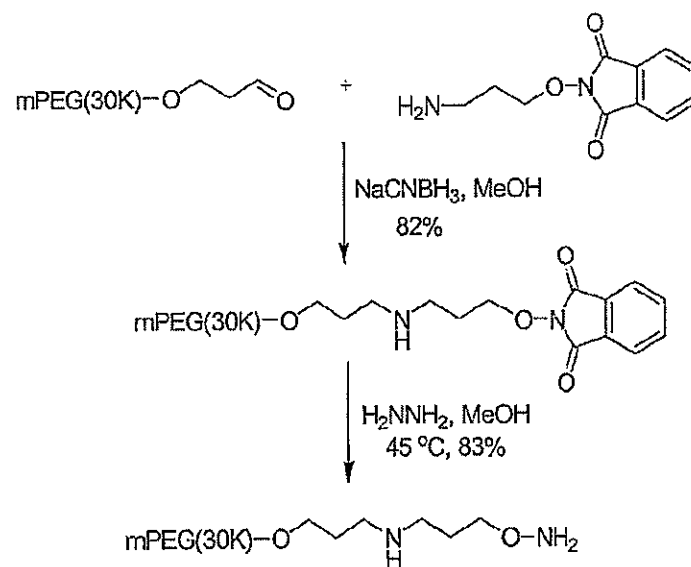


FIG. 55

(A)



(B)

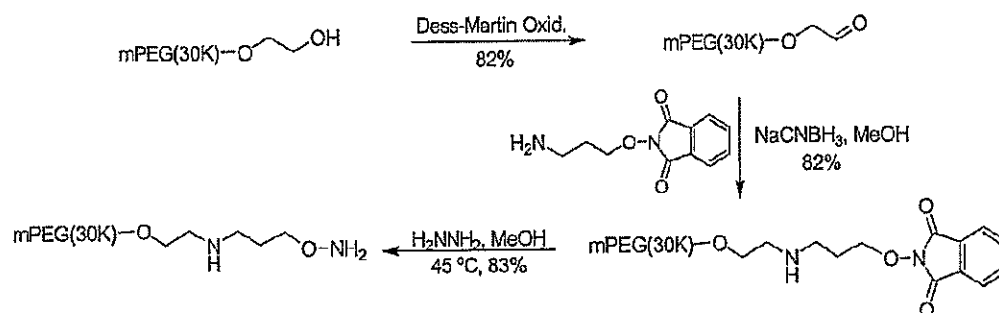


FIG. 56

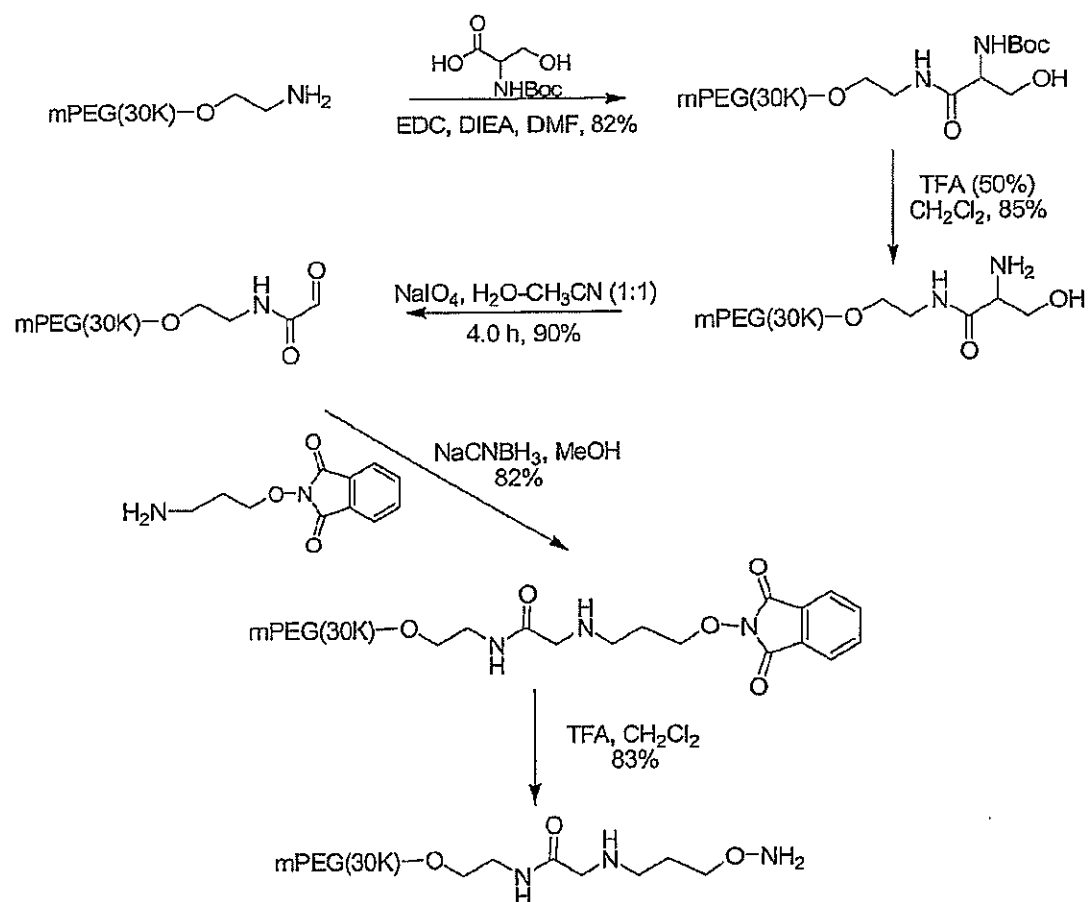
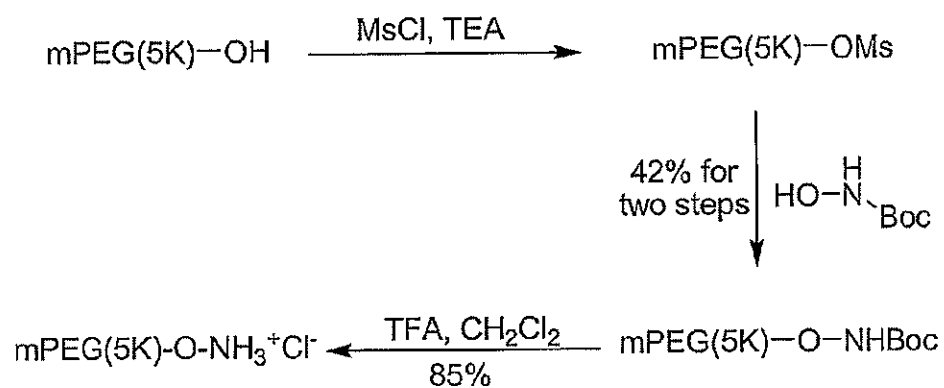


FIG. 57

(A)



(B)

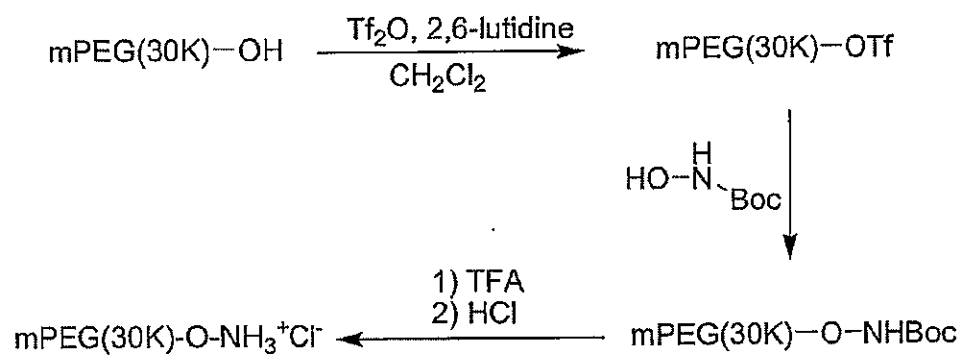
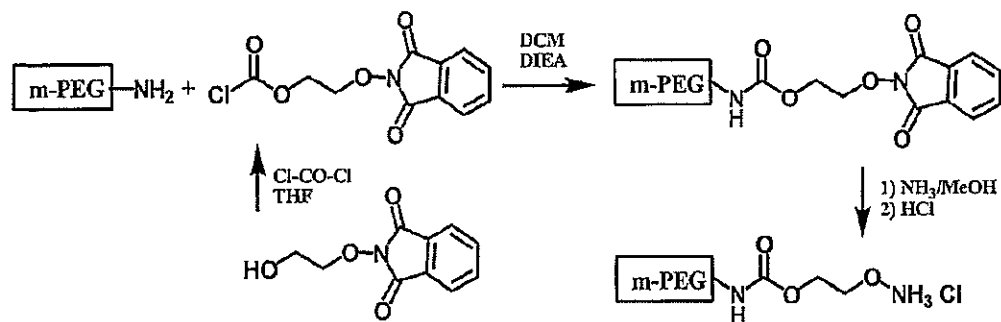


FIG. 58

(A)



(B)

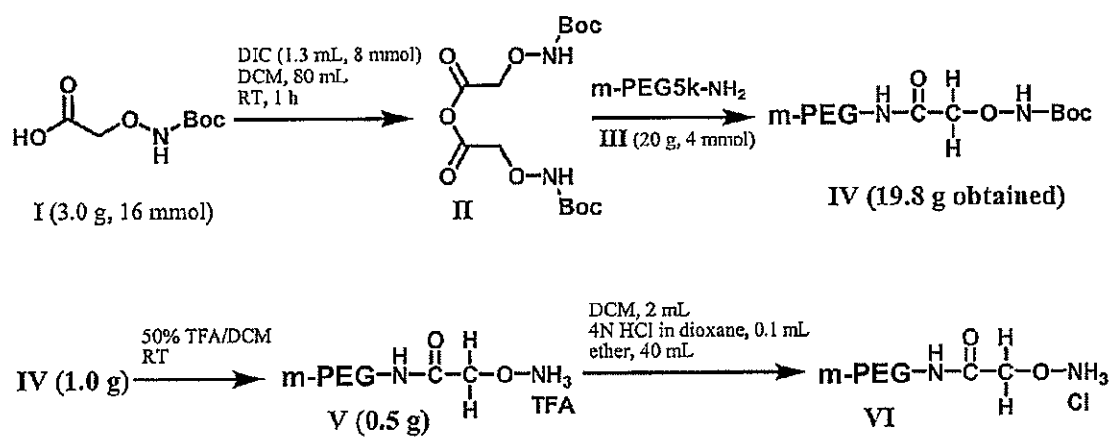
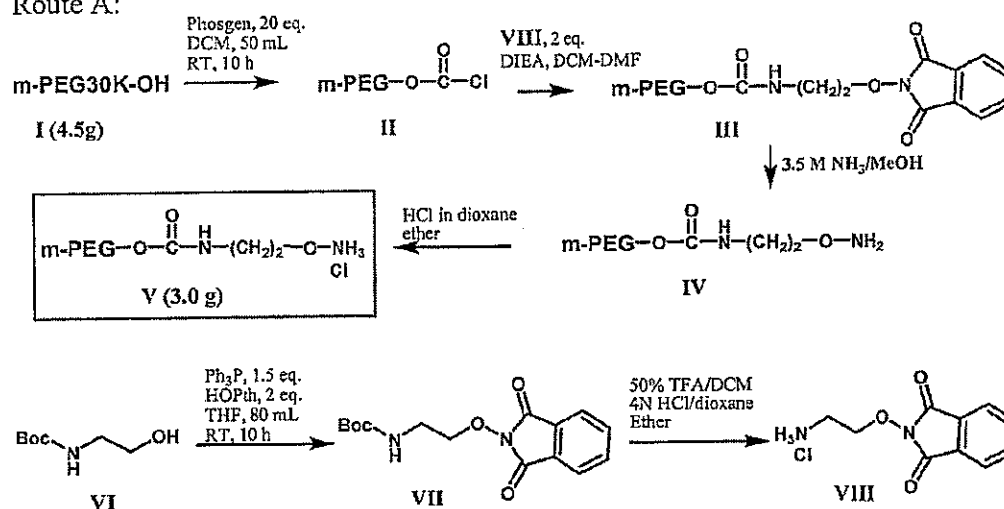


FIG. 59

(A) Route A:



(B)

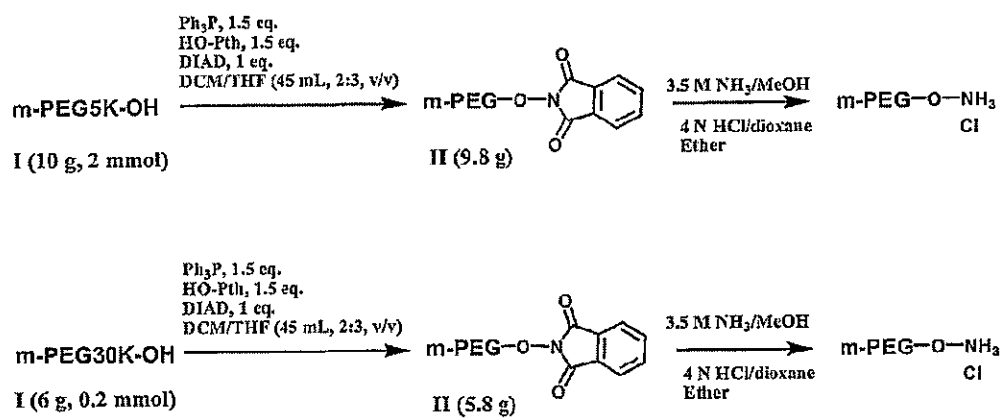
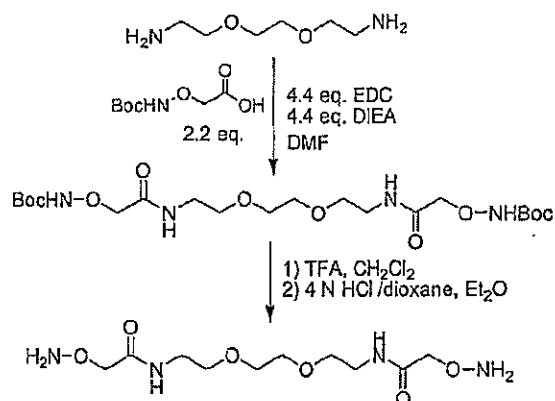
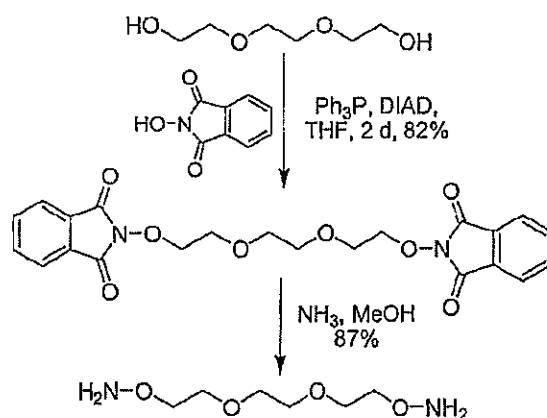


FIG. 60

(A)



(B)



(C)

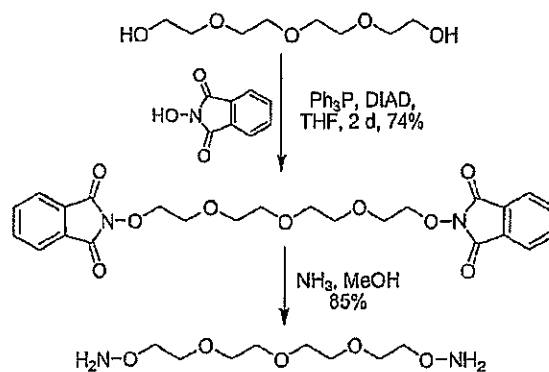
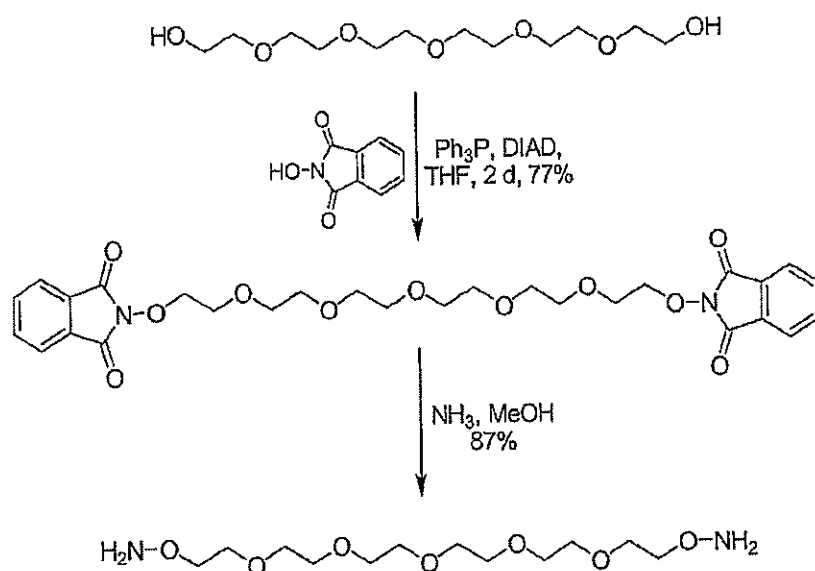


FIG. 61

(A)



(B)

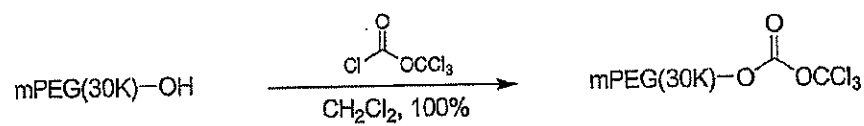


FIG. 62

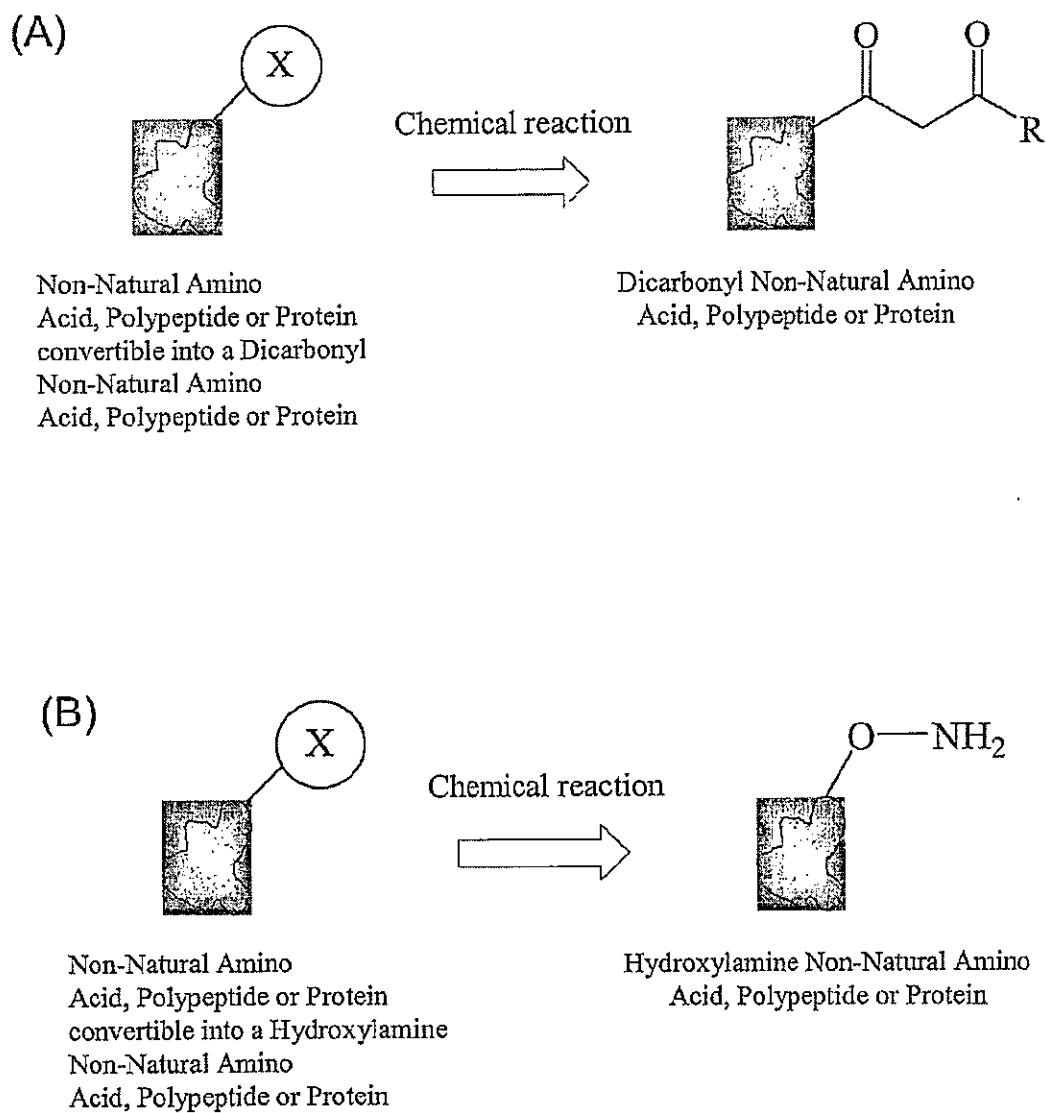
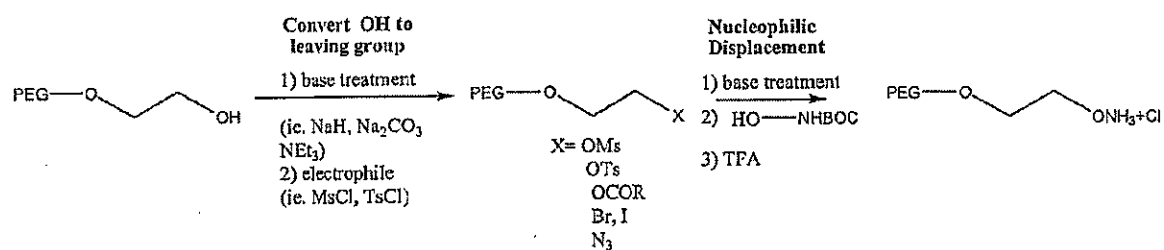
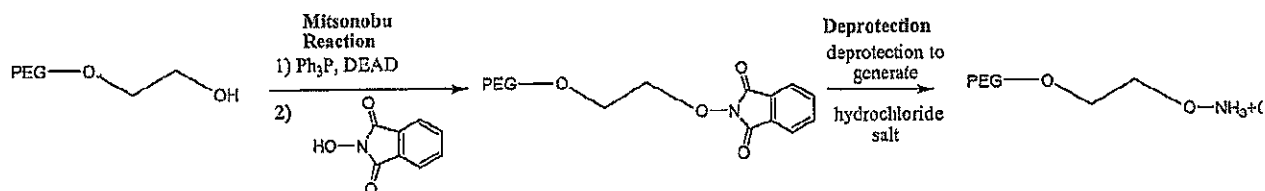


FIG. 63

1) Synthesis based upon nucleophilic displacement



2) Synthesis based upon Mitsunobu reaction



3) Synthesis starting with PEG oxirane

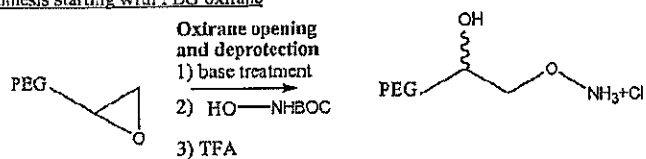
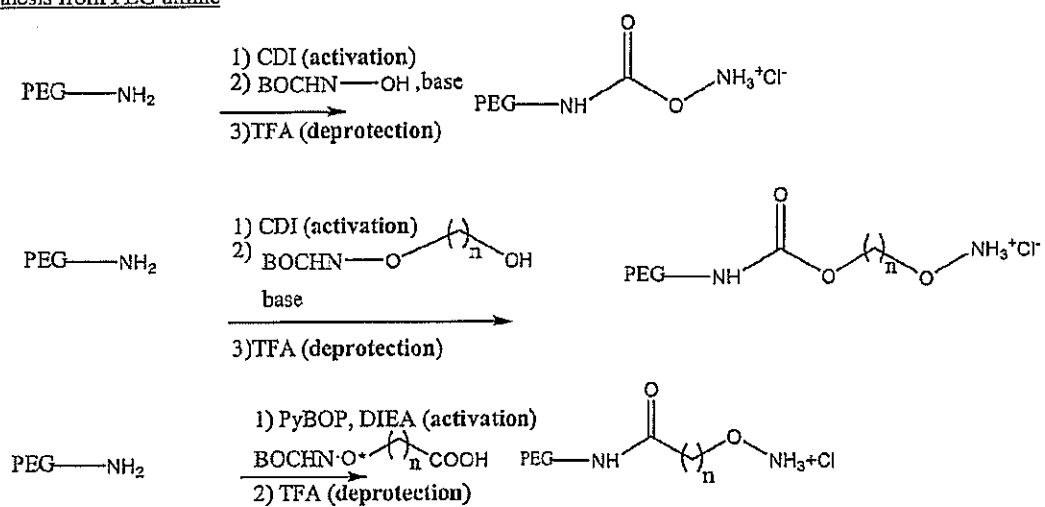


FIG. 64

4) Synthesis from PEG amine



5) Synthesis from PEG aldehyde

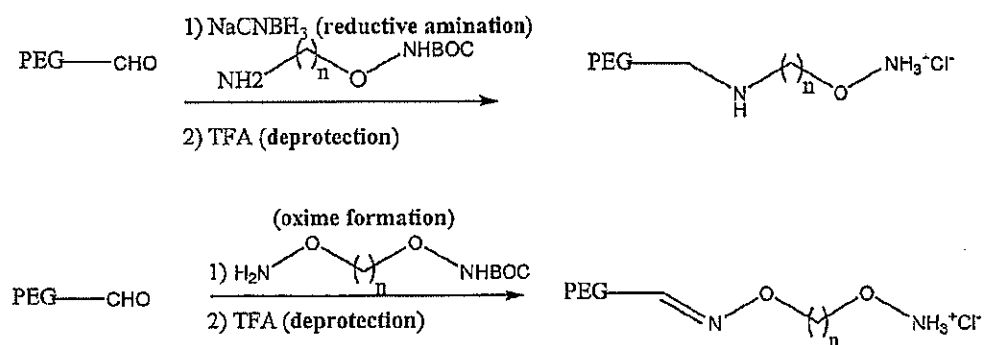


FIG. 65