

PROTECTION IN PEPTIDE SYNTHESIS

REPORTER: ZHENGNING WANG

CONTENT

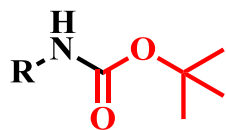
Introduction of Protecting Group

Protection of Amine Group

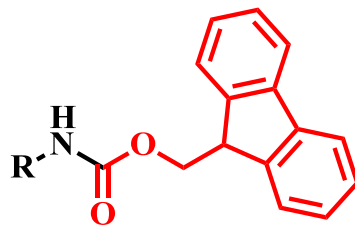
Protection of Carboxyl Group

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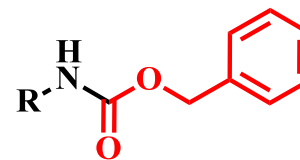
INTRODUCTION OF PROTECTING GROUP



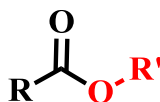
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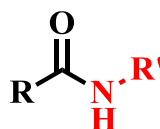
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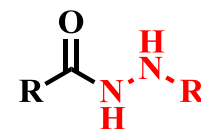
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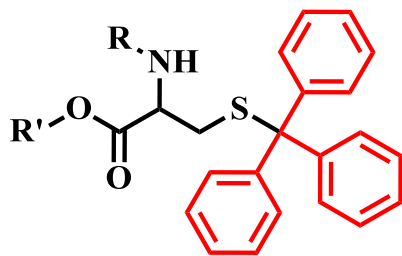
Ester



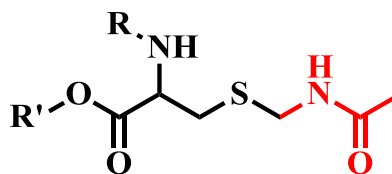
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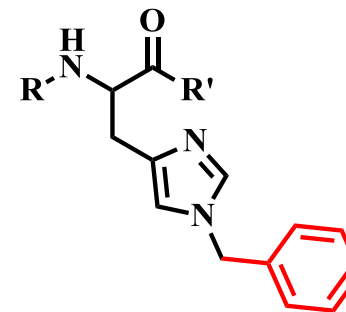
Hydrazone



Trt



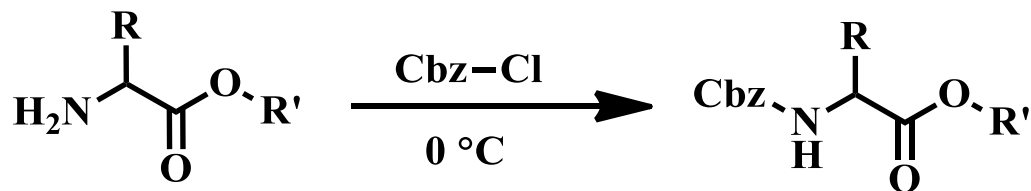
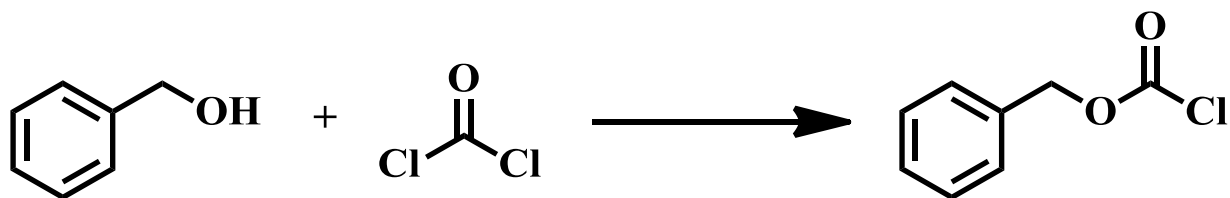
Acm



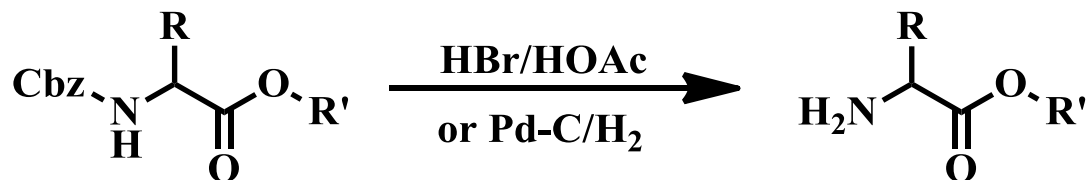
Bzl

PROTECTION OF AMINE GROUP

Cbz



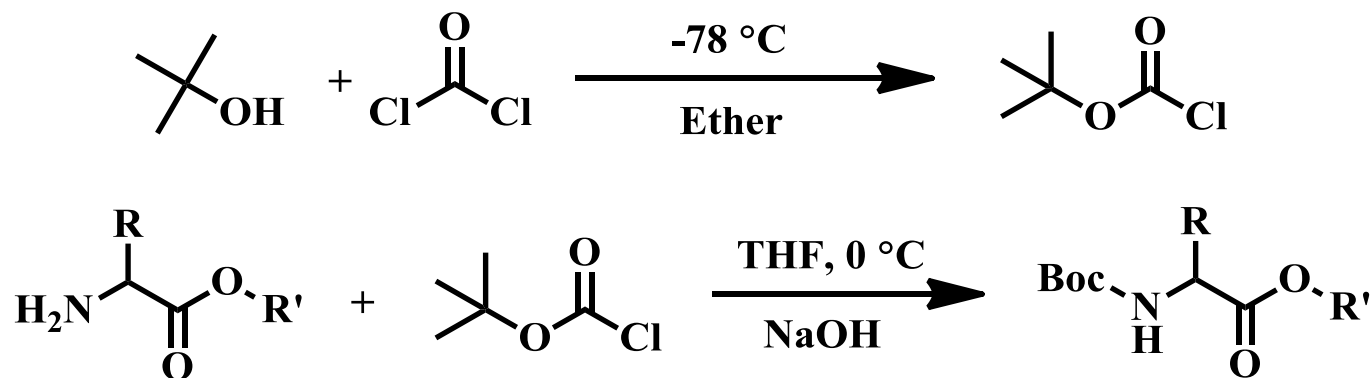
M. Bergmann and L. Zervas, *Chem. Ber.*, **65**, 1192(1932)



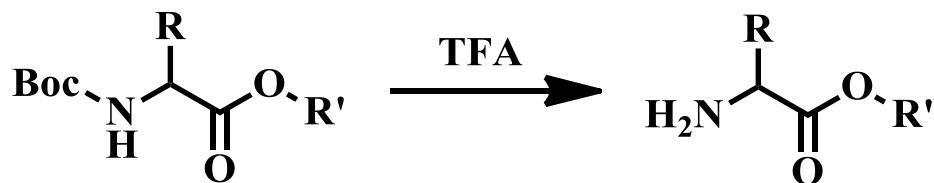
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PROTECTION OF AMINE GROUP

Boc



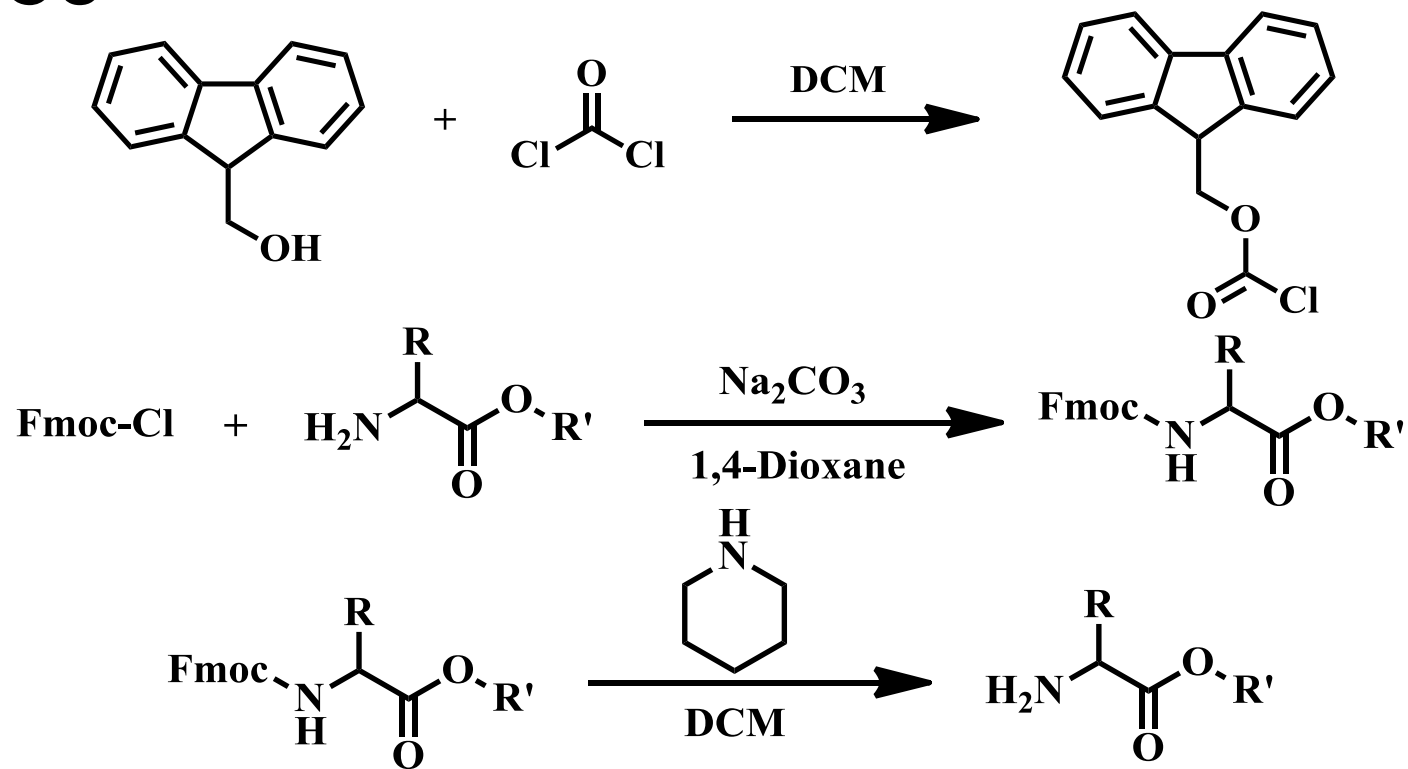
F. C. McKay and N. F. Abertson, *J. Am. Chem. Soc.*, **79**, 4686(1957)



C. H. Schneider and W. Wirz, *Helv. Chem.*, **79**, 4686(1957)

PROTECTION OF AMINE GROUP

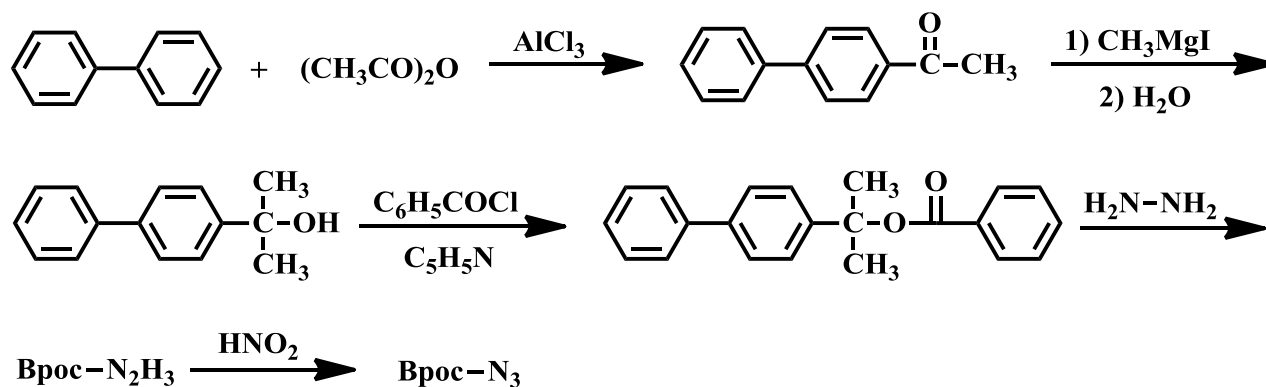
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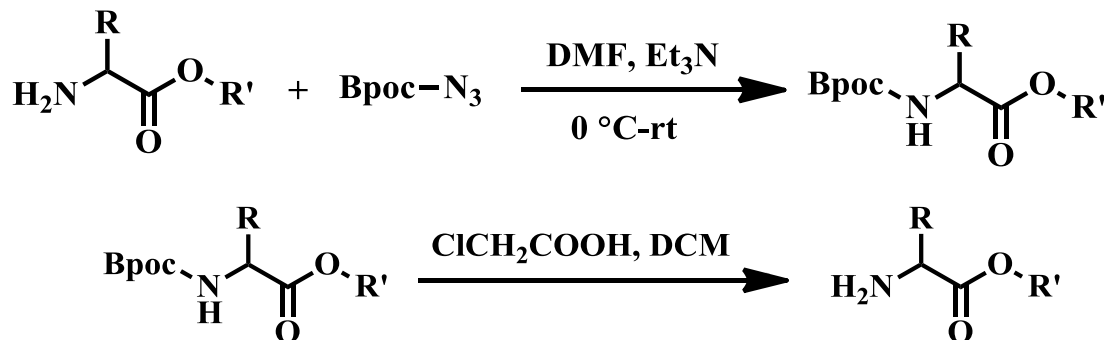
L. A. Carpino, G. Y. Han, *J. Am. Chem. Soc.*, **92**, 5748(1970)

PROTECTION OF AMINE GROUP

Bpoc



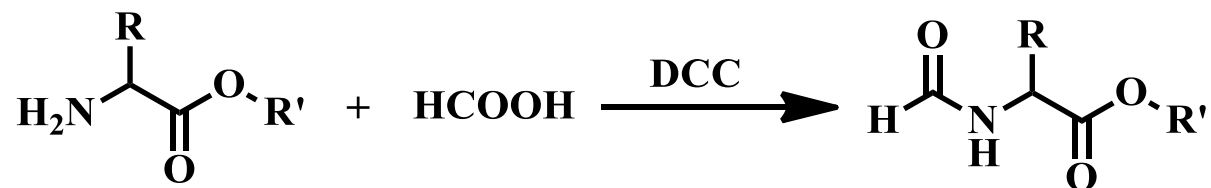
P. Sieber, and B. Iselin, *Helv. Chim Acta.*, **55**, 614(1968)



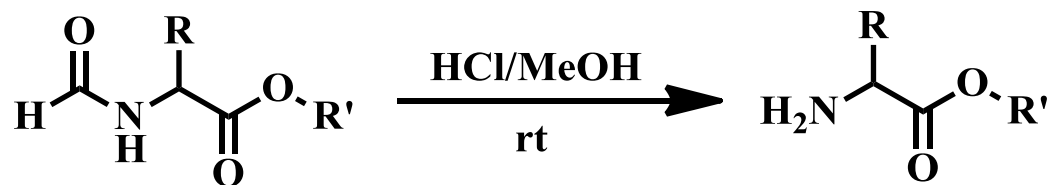
P. Sieber, and B. Iselin, *Helv. Chim Acta.*, **55**, 622(1968)

PROTECTION OF AMINE GROUP

Formyl



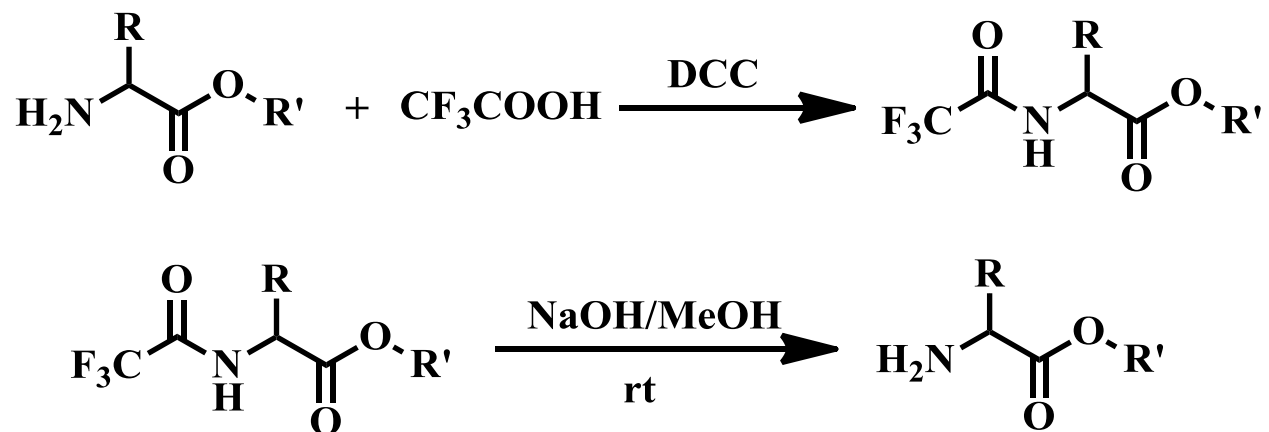
E. J. Corey and R. L. Dawson, *J. Am. Chem. Soc.*, **84**, 4899(1962)



J. C. Sheehan and D. D. H. Young, *J. Am. Chem. Soc.*, **80**, 1154(1958)

PROTECTION OF AMINE GROUP

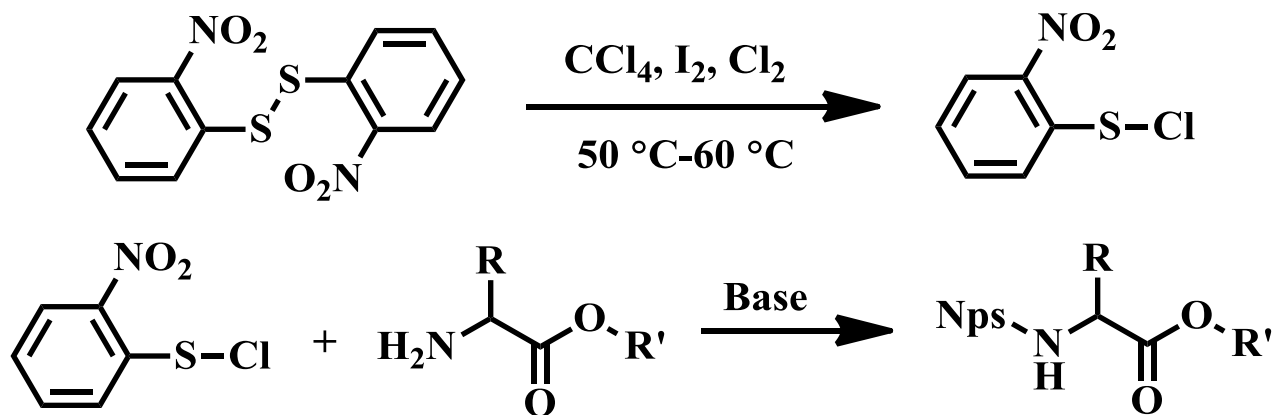
Tfa



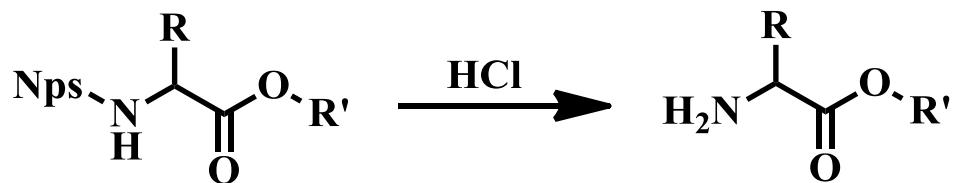
F. Weygand and E. Csendes, *Angew. Chem.*, **64**, 136(1952)

PROTECTION OF AMINE GROUP

Nps



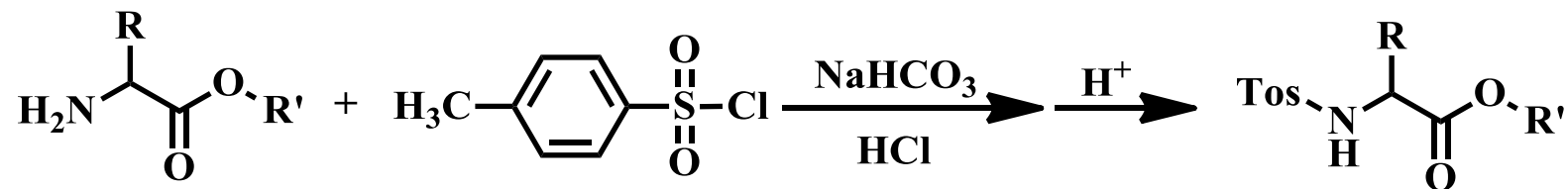
L. Zervas, D. Borovas, E. Gazis, *J. Am. Chem. Soc.*, **85**, 3660(1967)



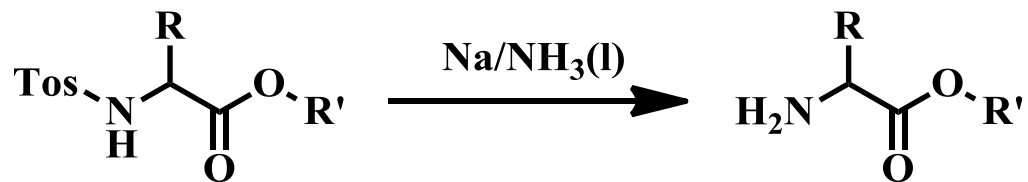
B. J. Johnson, *J. Org. Chem.*, (C), 1412(1969)

PROTECTION OF AMINE GROUP

Tos



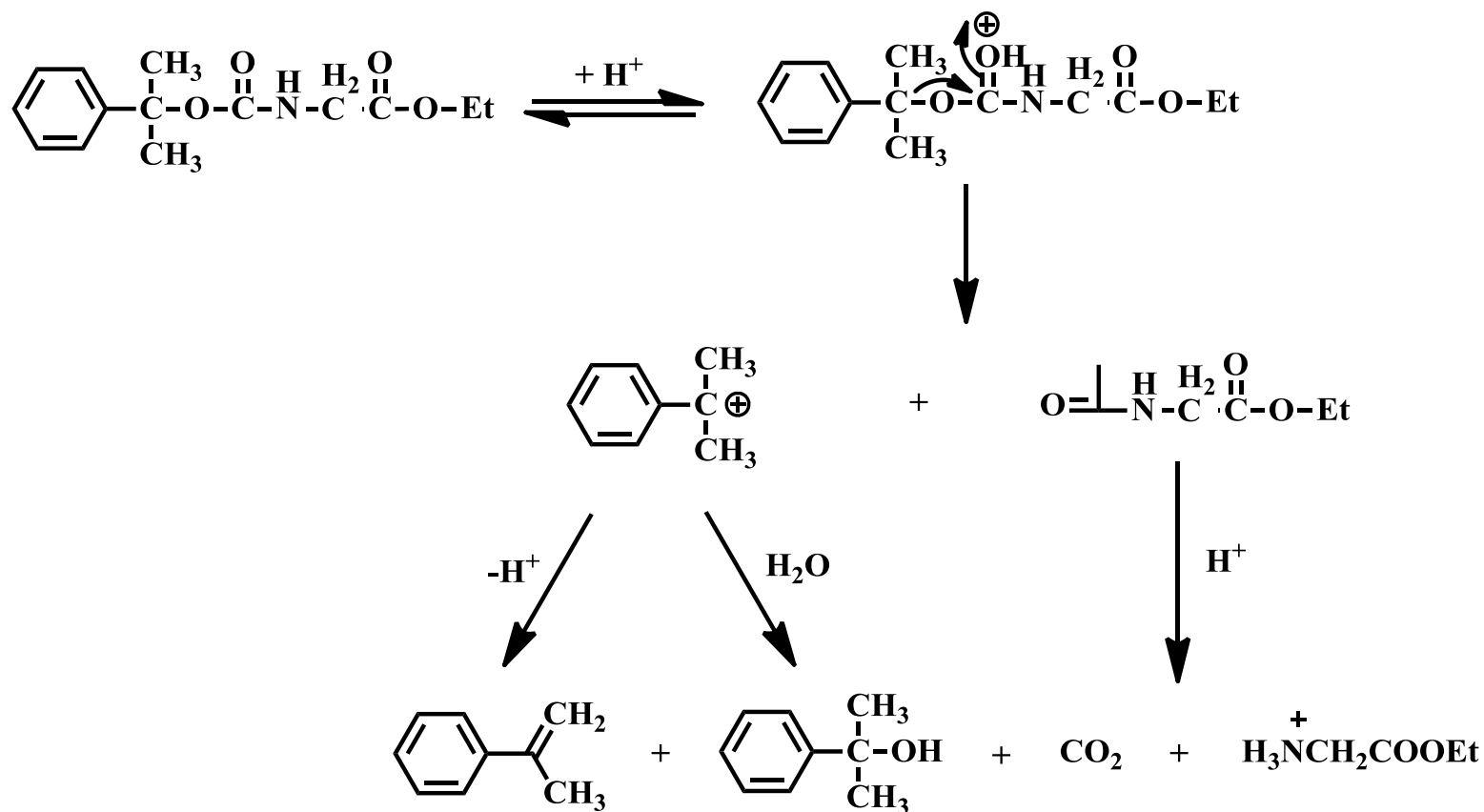
E. Fischer and E. Lipschitz, *Chem. Ber.*, **48**, 360(1915)



V. du Vigneaud and O. K. Behrens, *J. Biol. Chem.*, **117**, 27(1937)

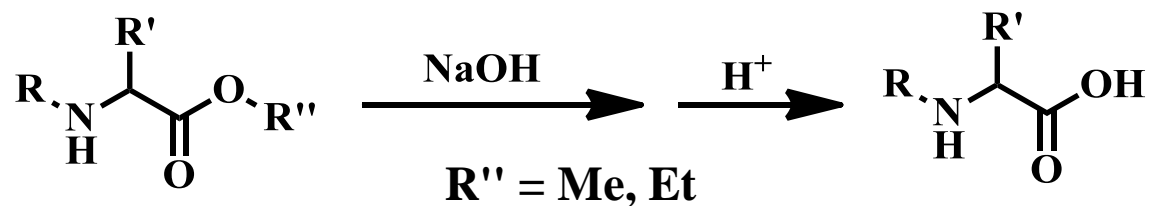
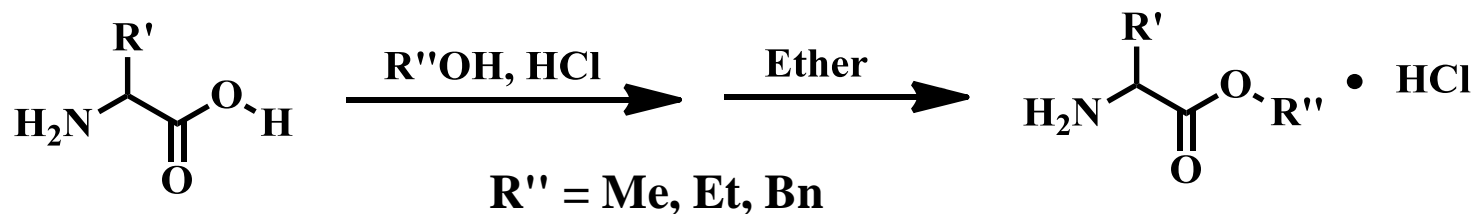
PROTECTION OF AMINE GROUP

Mechanism

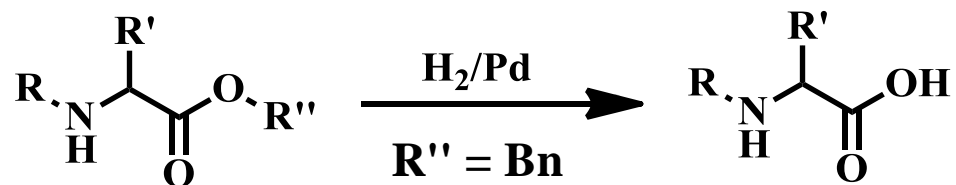


PROTECTION OF CARBOXYL GROUP

Dehydration

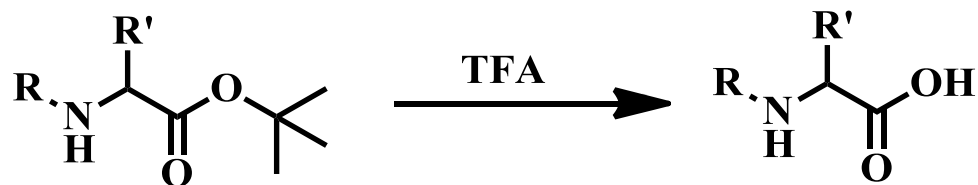
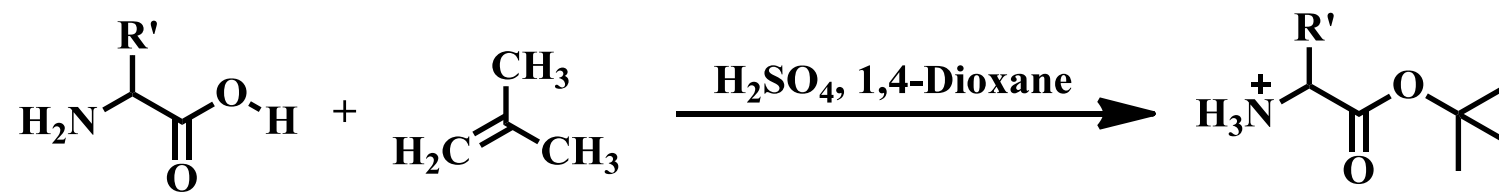


T. Curtius and F. Goebel, *J. Prakt. Chem.*, **37**, 150(1880)



PROTECTION OF CARBOXYL GROUP

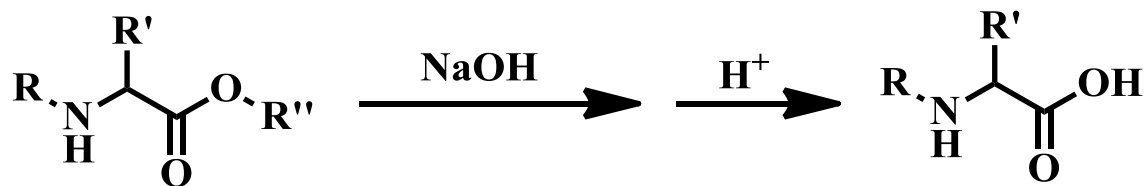
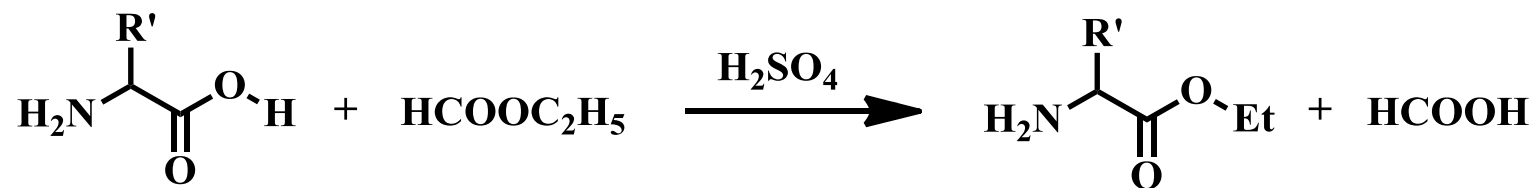
Addition with Olefin



R. W. Roeske, *J. Org. Chem.*, **28**, 1251(1963)

PROTECTION OF CARBOXYL GROUP

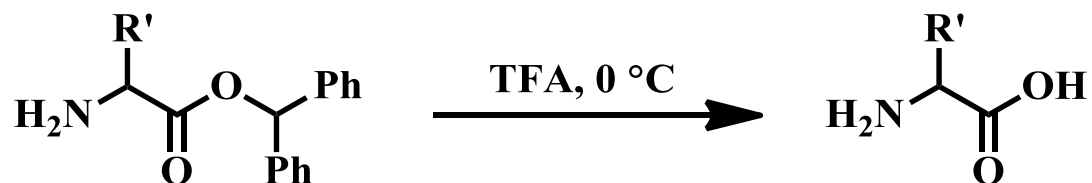
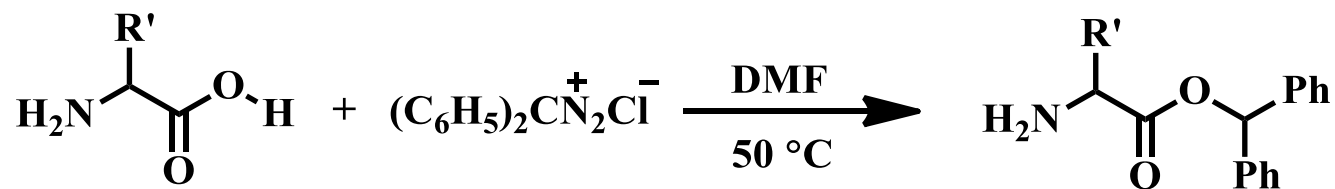
Ester Exchange Reaction



E. Taschnet, *Ann. Chem.*, **640**, 142(1961)

PROTECTION OF CARBOXYL GROUP

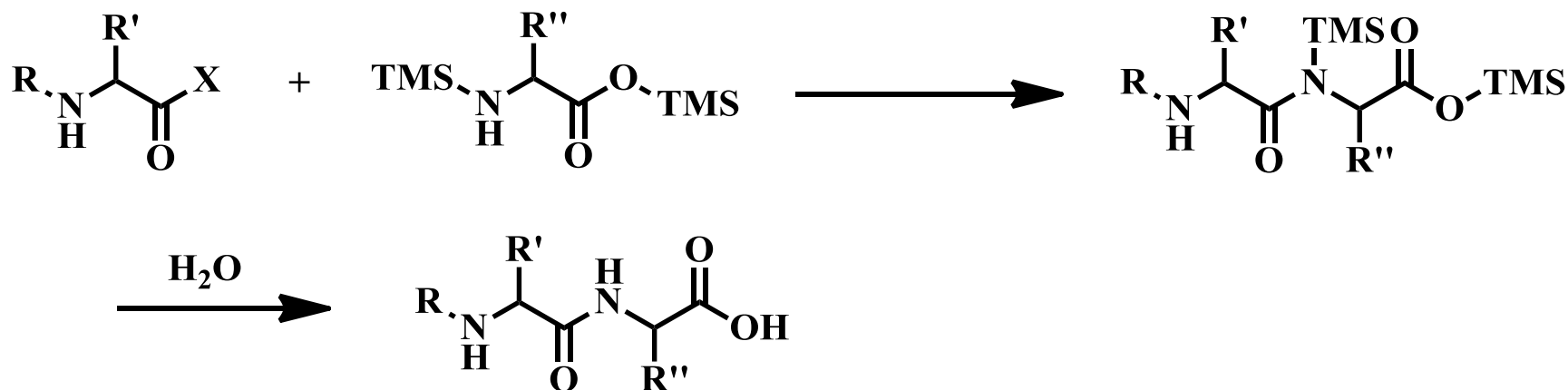
Esterification with Diazonium Salt



A. A. Aboderin, G. R. Delierre, J. S. Fruton, *J. Am. Chem. Soc.*, **87**, 5469(1965)

PROTECTION OF CARBOXYL GROUP

Reaction with TMS-Cl

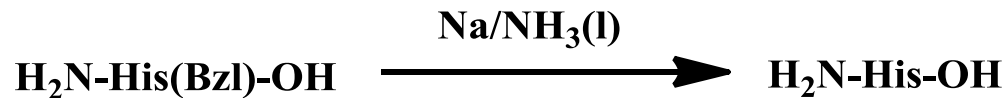
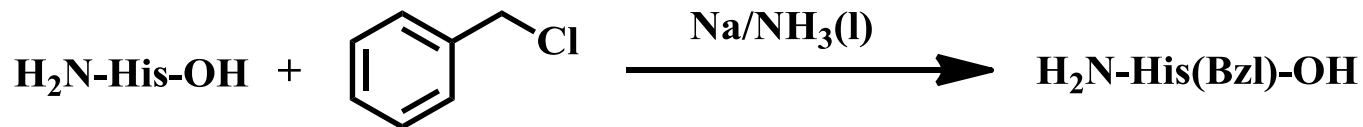


L. Birkhofer and A. Ritter, *Angew. Chem. Inter. Ed.*, **4**, 417(1965)

PROTECTION OF SIDE CHAIN GROUPS

Protection of Side Chain of Histidine

1) N^{im}-Bzl

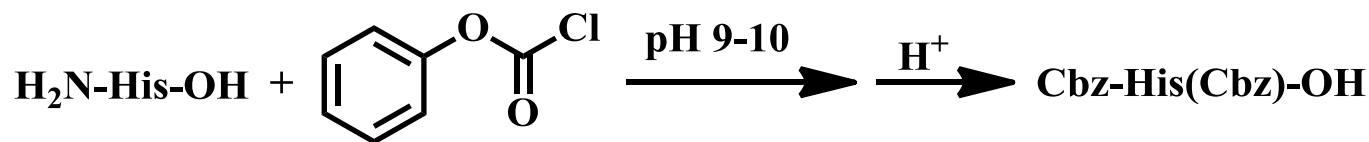


V. du Vigneaud and O. K. Behrens, *J. Biol. Chem.*, **117**, 27(1937)

PROTECTION OF SIDE CHAIN GROUPS

Protection of Side Chain of Histidine

2) N^{im}-Alkoxy carbonyl

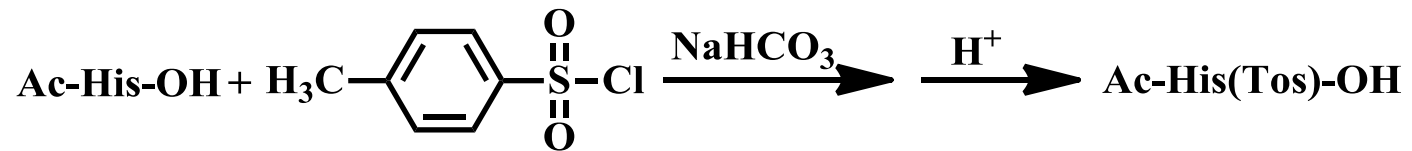


A. Patchornik et al., *J. Am. Chem. Soc.*, **79**, 6416(1957)

PROTECTION OF SIDE CHAIN GROUPS

Protection of Side Chain of Histidine

3) N^{im}-Tos

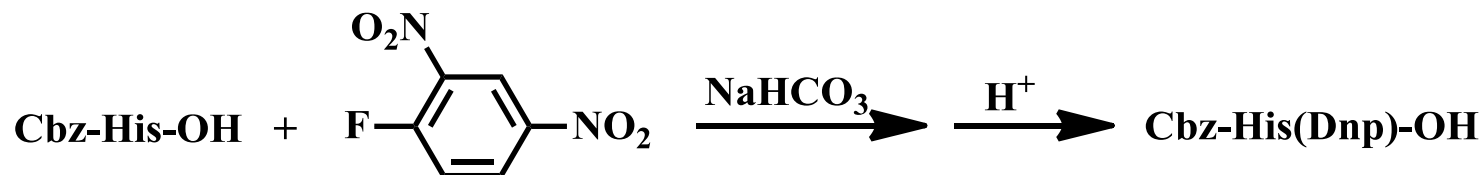


J. M. Stewart et al., in "Progress in Peptide Research", 59(1972)

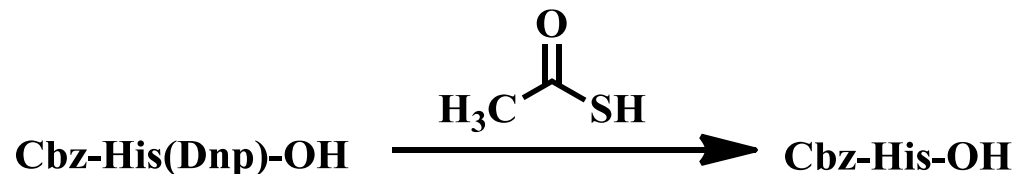
PROTECTION OF SIDE CHAIN GROUPS

Protection of Side Chain of Histidine

4) N^{im}-Dnp



K. Kitagawa et al., *J. Chem. Soc. Chem. Commun.*, 955(1978)

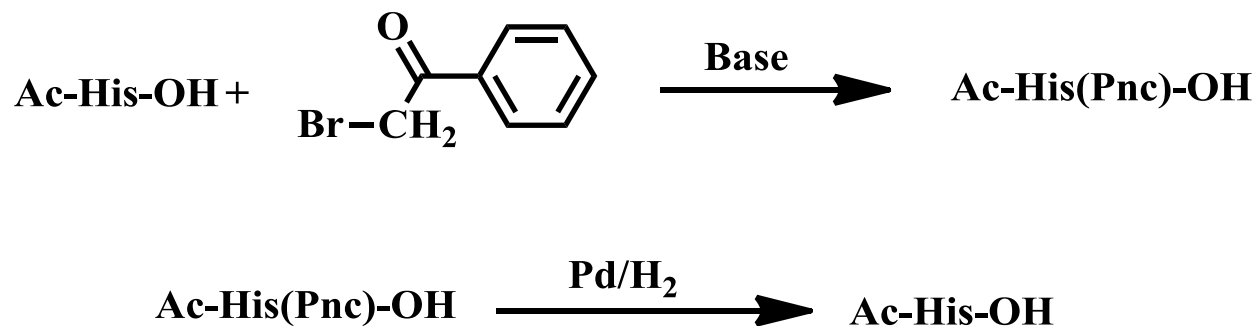


S. Shaltiel and M. Fridkin, *Biochemistry*, **9**, 5122(1970)

PROTECTION OF SIDE CHAIN GROUPS

Protection of Side Chain of Histidine

5) N^{im}-Pnc

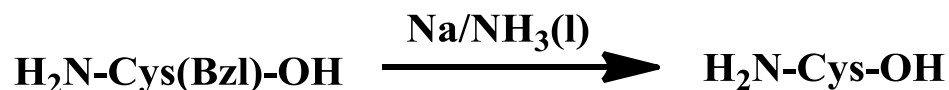
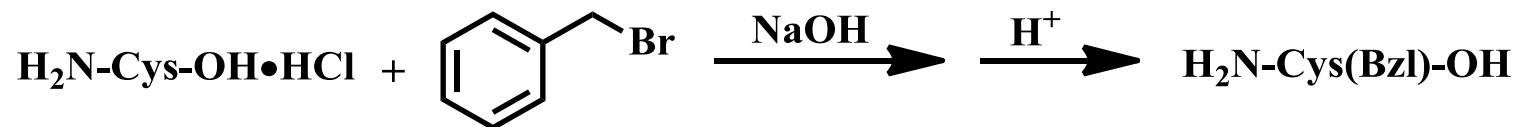


J. H. Jones and W. I. Ramage, *J. Chem. Soc. Chem. Commun.*, 472(1978)

PROTECTION OF SIDE CHAIN GROUPS

Protection of Sulphydryl in the Side Chain of Cysteine

1) S-Bzl

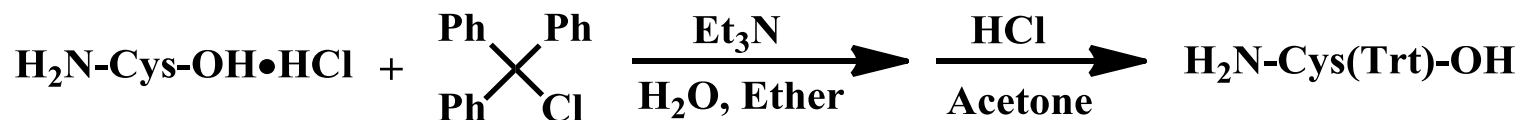


J. L. Wood and V. du Vigneaud, *J. Biol. Chem.*, **130**, 109(1939)

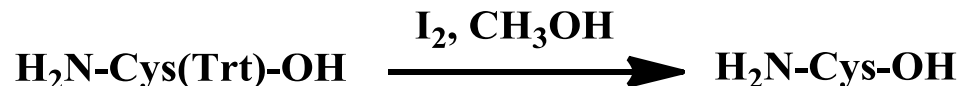
PROTECTION OF SIDE CHAIN GROUPS

Protection of Sulphydryl in the Side Chain of Cysteine

2) S-Trt



B. Kamber et al., *Helv. Chem. Acta*, **53**, 556(1970)

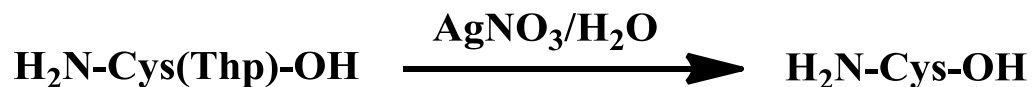
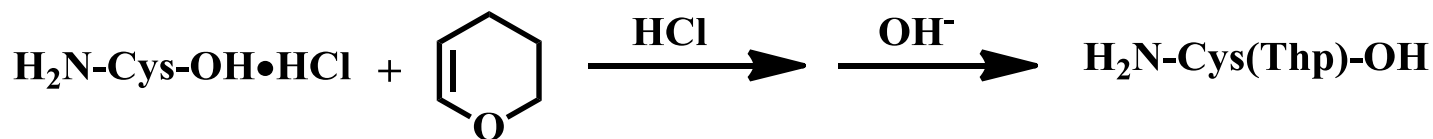


B. Kamber and W. Rittel, *Helv. Chem. Acta*, **51**, 2061(1968)

PROTECTION OF SIDE CHAIN GROUPS

Protection of Sulphydryl in the Side Chain of Cysteine

3) S-Thp

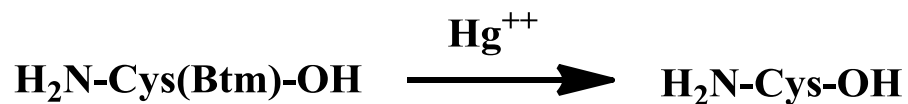
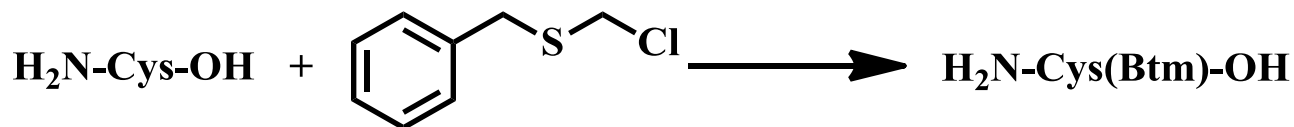


G. F. Holland and L. A. Cohen, *J. Am. Chem. Soc.*, **80**, 3795(1958)

PROTECTION OF SIDE CHAIN GROUPS

Protection of Sulphydryl in the Side Chain of Cysteine

4) S-Btm



P. J. E. Brownlee et al., *J. Chem. Soc.*, 3832(1964)

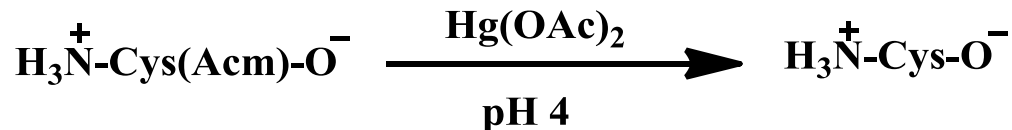
PROTECTION OF SIDE CHAIN GROUPS

Protection of Sulphydryl in the Side Chain of Cysteine

5) S-Acm



R. G. Hiskey and W. P. Tucker, *J. Am. Chem. Soc.*, **84**, 4789(1962)

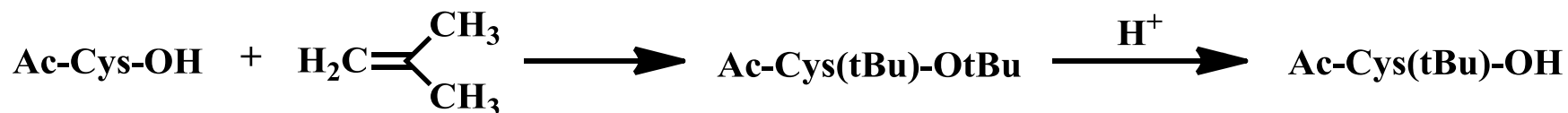


D. F. Veber et al., *J. Am. Chem. Soc.*, **94**, 5456(1972)

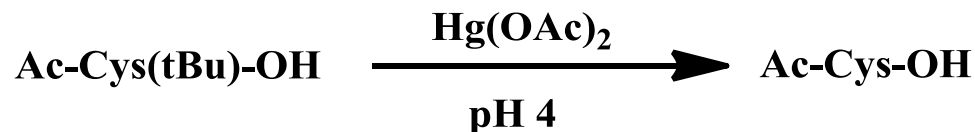
PROTECTION OF SIDE CHAIN GROUPS

Protection of Sulphydryl in the Side Chain of Cysteine

6) S-tBu



F. M. Callahan et al., *J. Am. Chem. Soc.*, **85**, 201(1963)

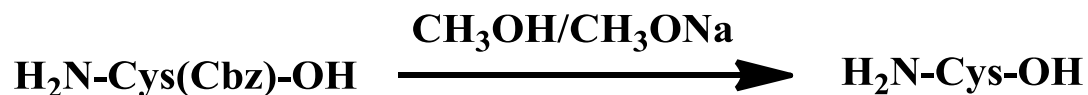
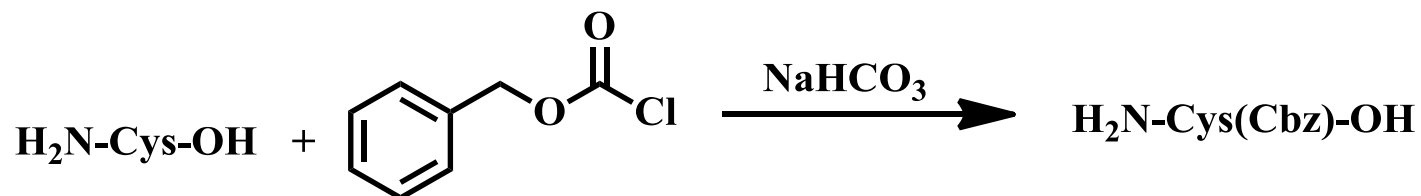


A. M. Felix, M. H. Jimenez, J. Meienhofer, in "Peptides", Proc. 5th Am. Peptide Symp. Ed. by M. Goodman and J. Meienhofer, John Wiley and Sons Inc., 532(1977)

PROTECTION OF SIDE CHAIN GROUPS

Protection of Sulphydryl in the Side Chain of Cysteine

7) S-Cbz



A. Berger, J. Noguchi, E. Katchalski, *J. Am. Chem. Soc.*, **78**, 4483(1956)

THANK YOU!