



Grundlagen der organischen Chemie II

Peptide

Tim Clark

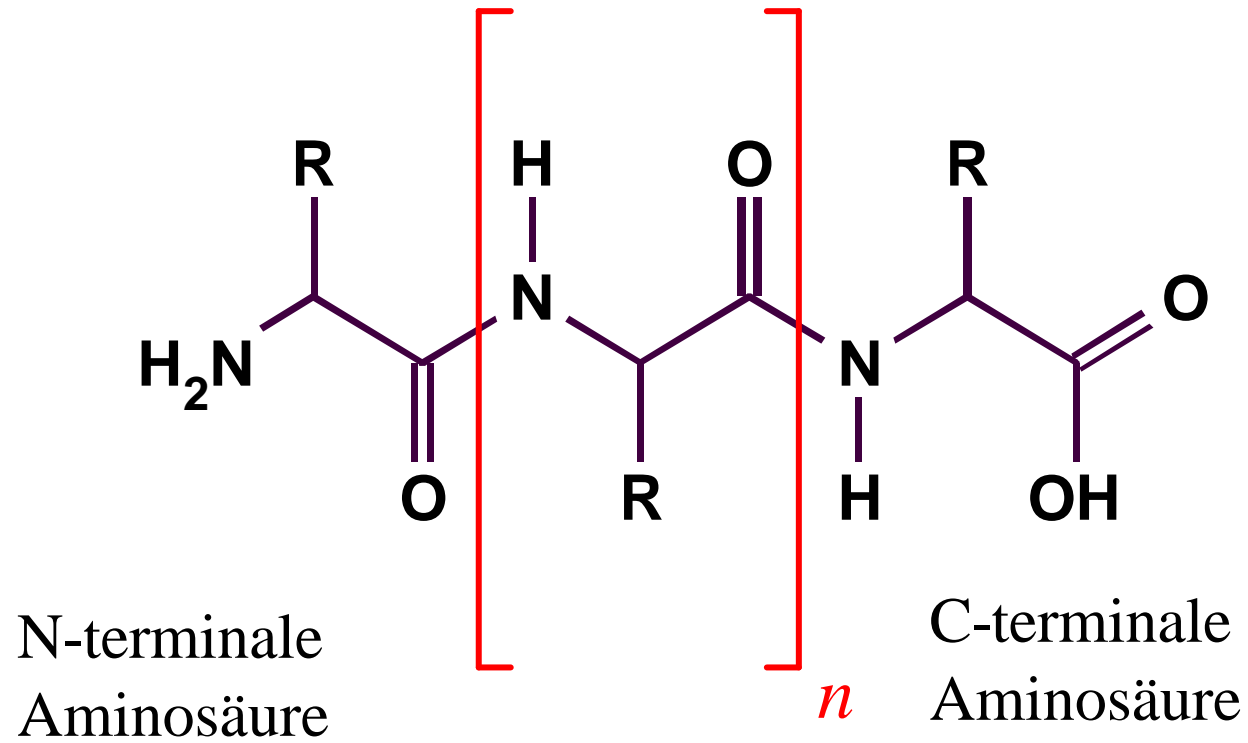
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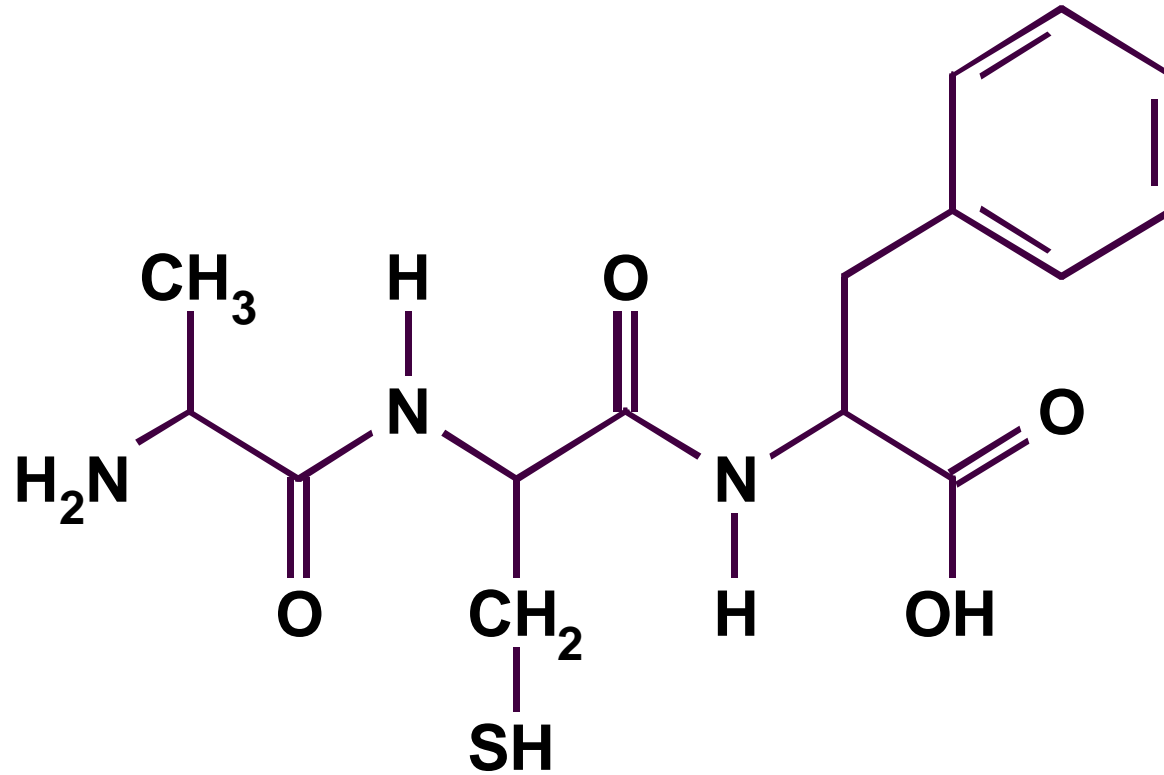


Peptide





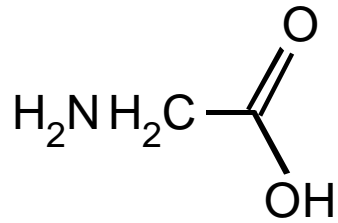
Peptide



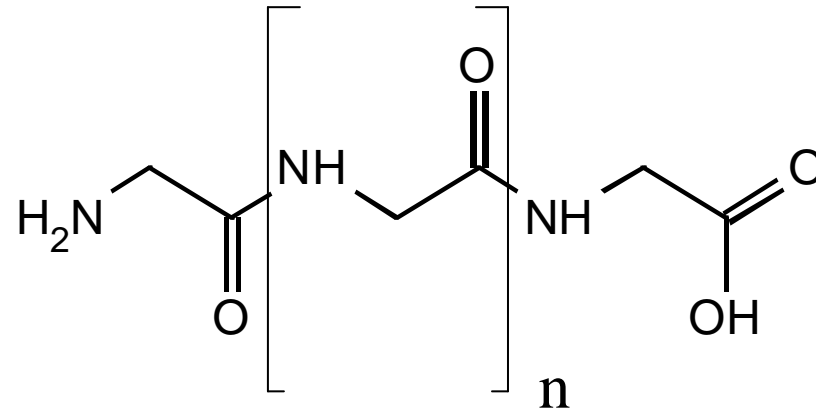
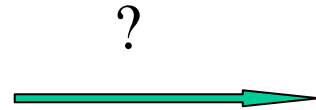
Ala-Cys-Phe



Peptid-Synthese: Homopolymere



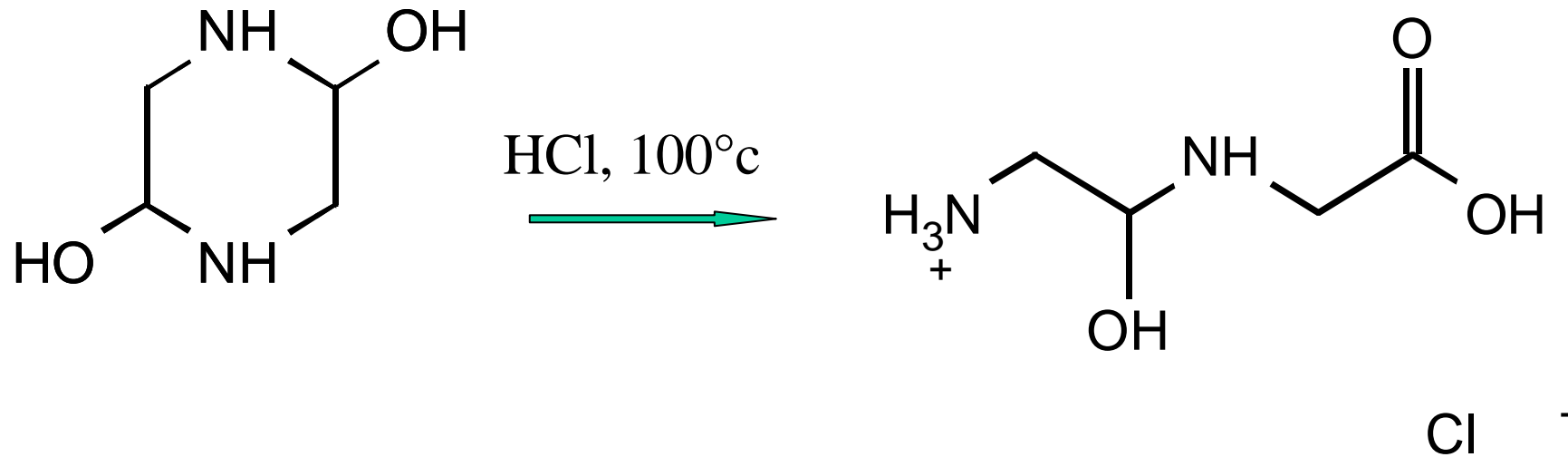
Glycin



Polyglycin



Peptid-Synthese: Homopolymere



2,5-Diketopiperazin
(„Glycinanhydrid“)

Gly-Gly Hydrochlorid



Rationale Synthese

- Problem -NH_2 und -COOH Gruppen sind Reaktiv:
- Gly + Ala ?
 - Gly-Gly
 - Gly-Ala
 - Ala-Gly
 - Ala-Ala
- Eine Gruppe pro Aminosäure muß ausgeschaltet werden : Schutzgruppen.

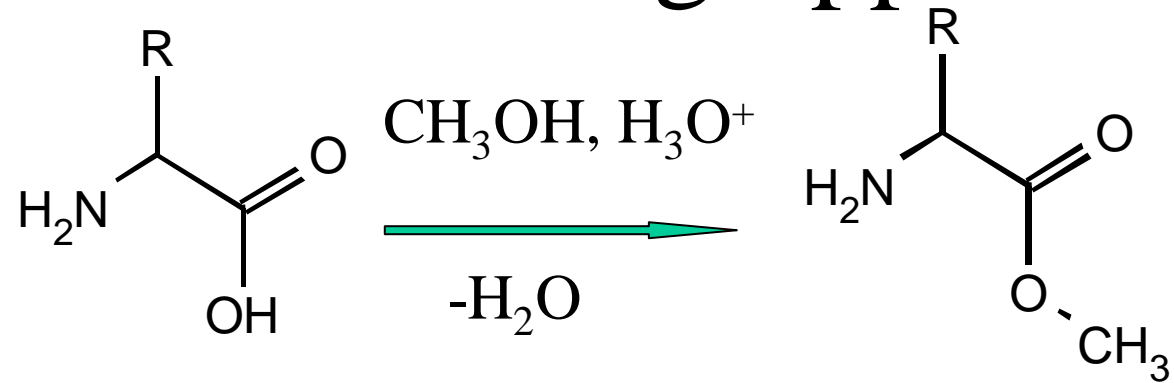


Schutzgruppen

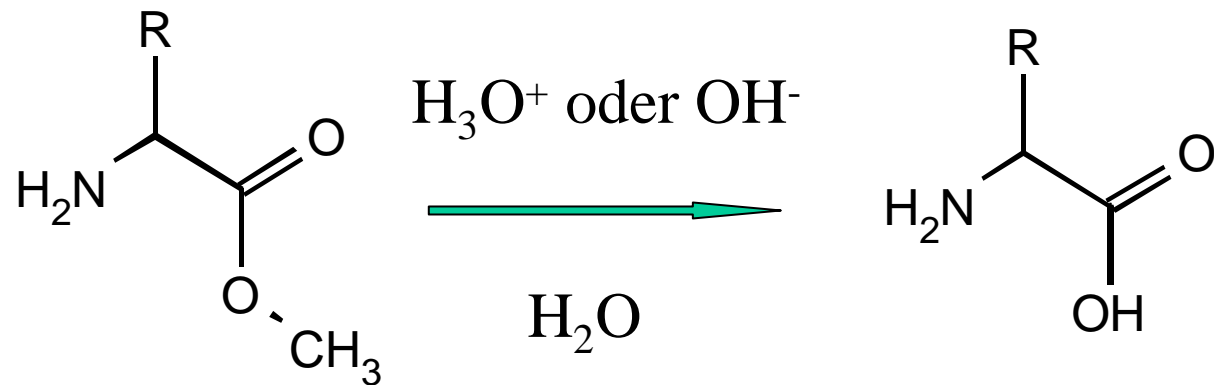
- Anforderungen:
 - Leicht anzubringen in hoher Ausbeute
 - Soll die Reaktivität ausschalten
 - Leicht abzuspalten in hoher Ausbeute ohne, das produkt anzugreifen
- Säure-Schutzgruppen : Ester
- Amino-Schutzgruppen : Amide



Säure-Schutzgruppen

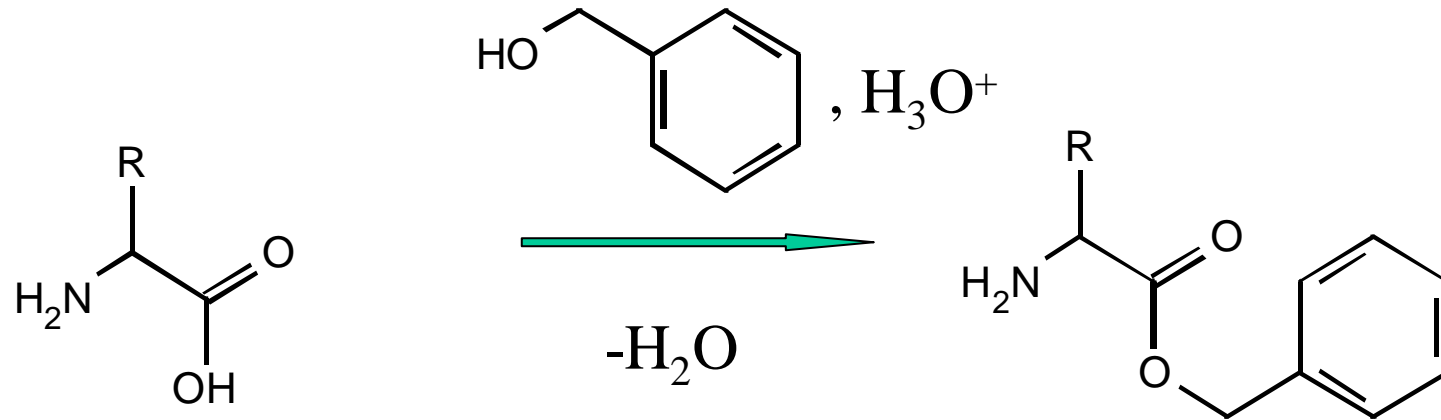


Ester hydrolysieren leichter als Amide

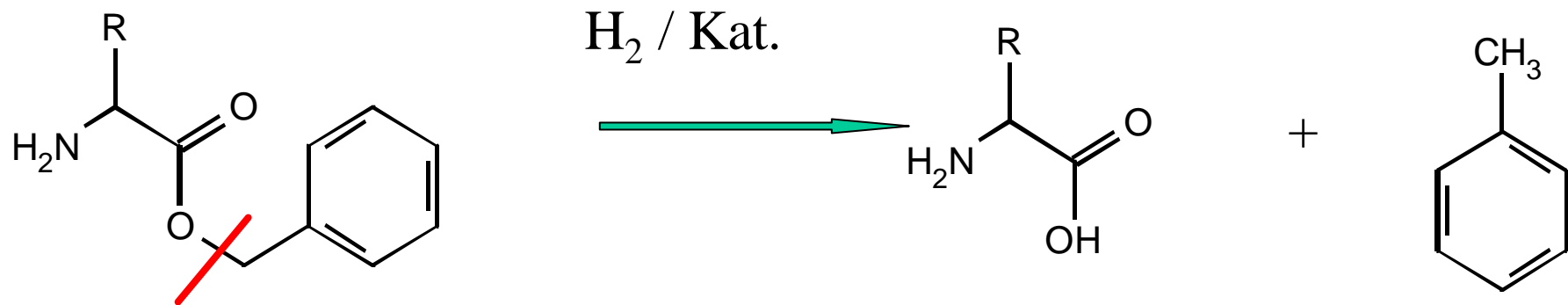




Säure-Schutzgruppen

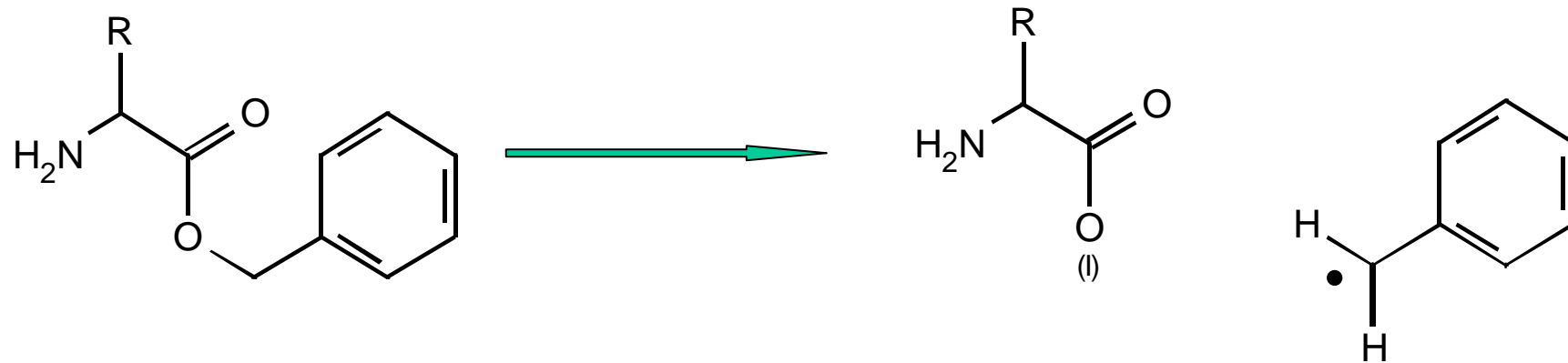


Aminosäure-benzylester





Säure-Schutzgruppen

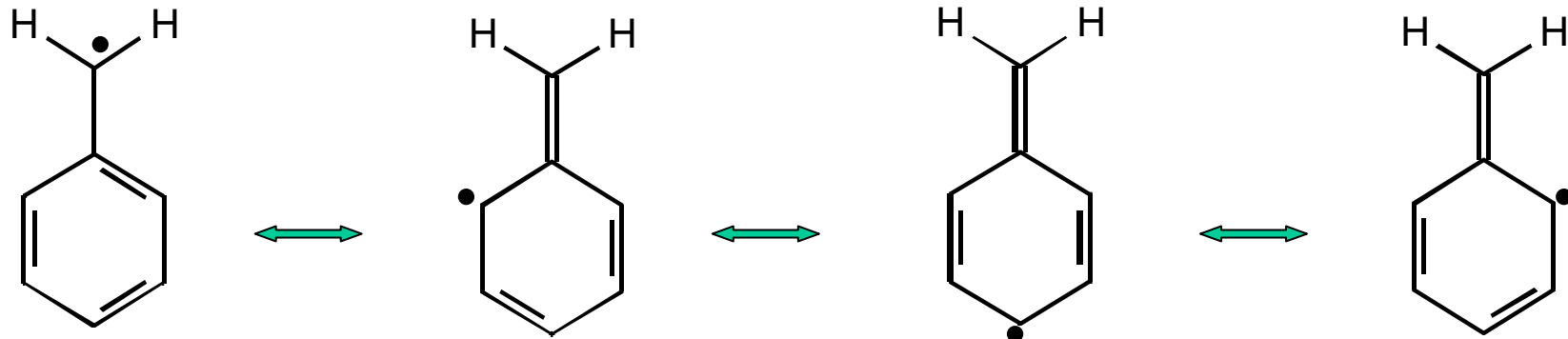
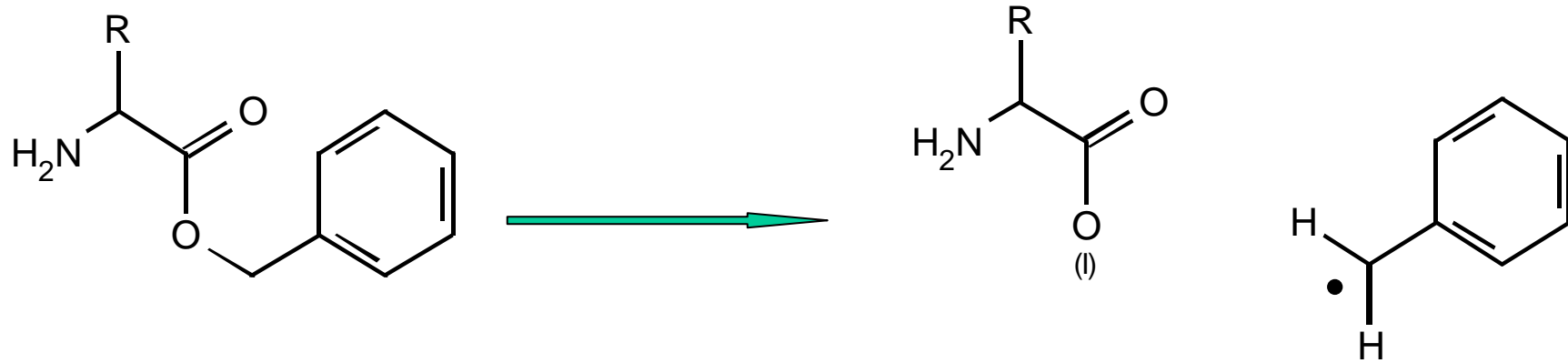


COO-Benzyl Bindung schwach

Benzyl-Radikal stabilisiert

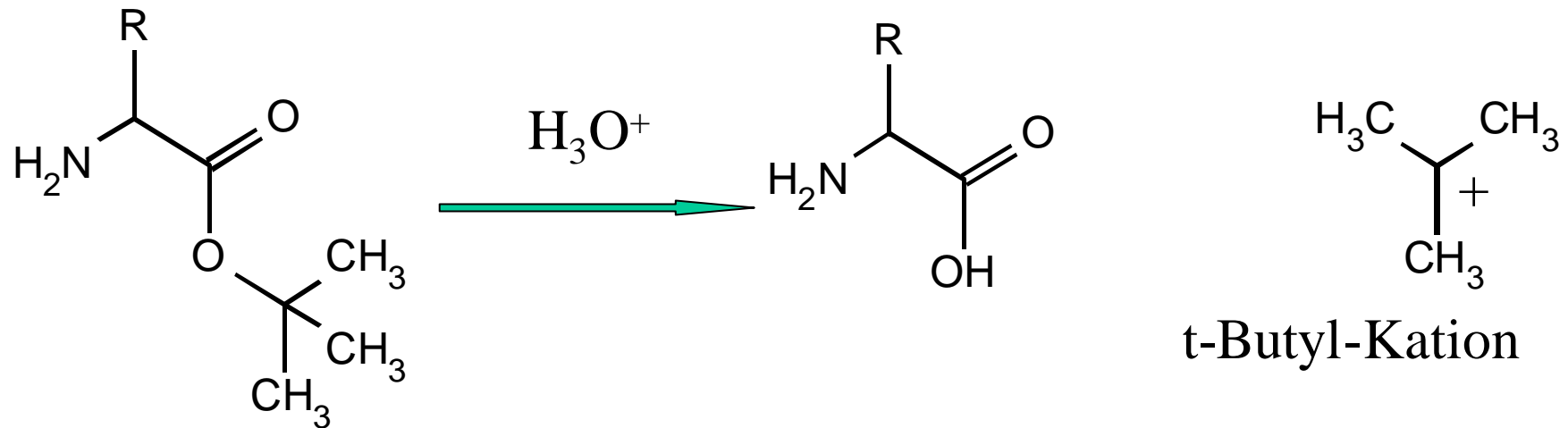
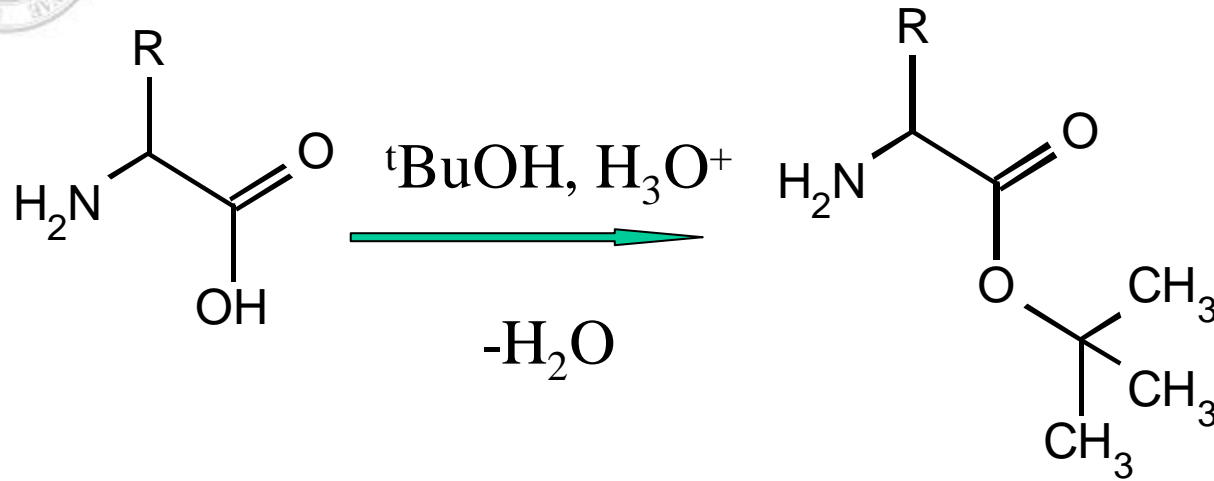


Säure-Schutzgruppen



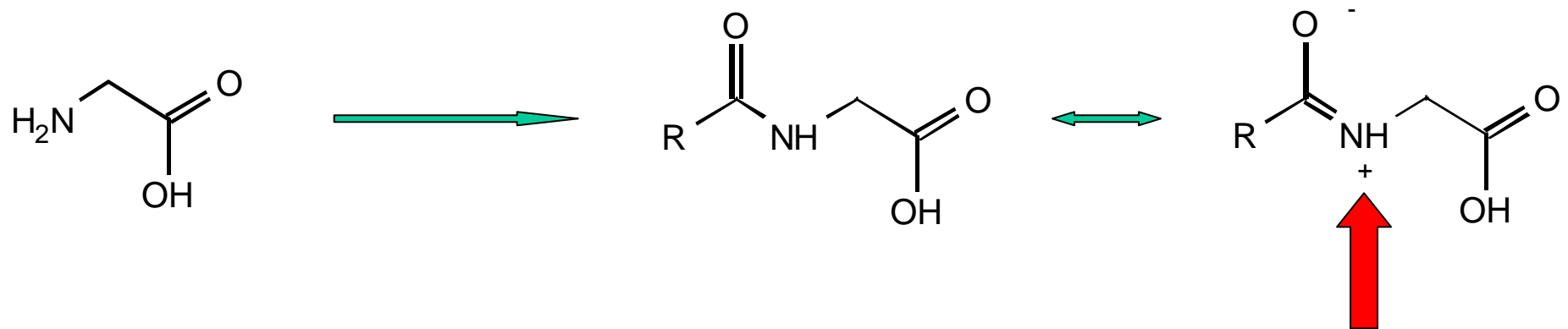


Säure-Schutzgruppen





Amino-Schutzgruppen

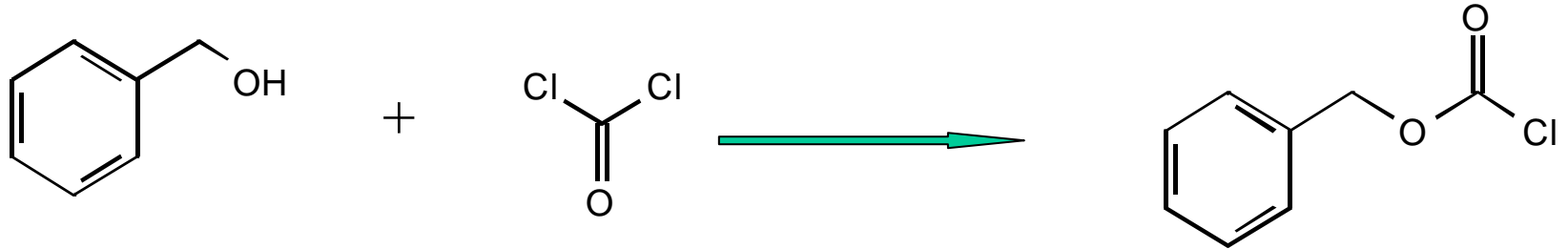


Nicht mehr basisch

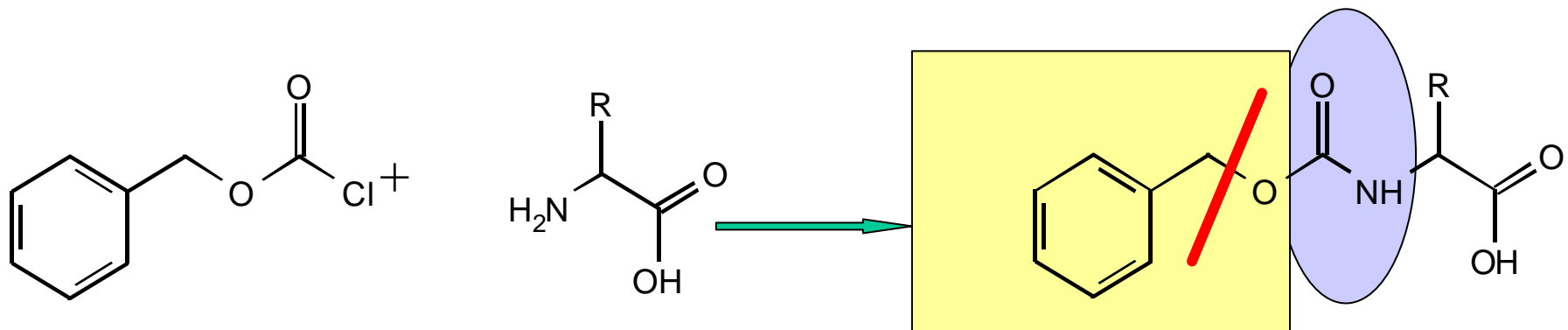
Wie entfernen wir die Schutzgruppe?



Amino-Schutzgruppen: Cbz



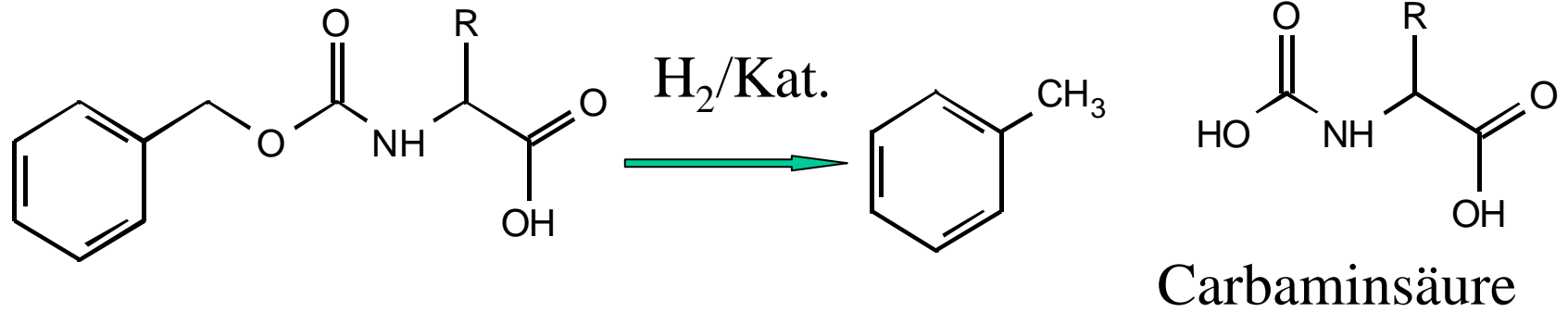
Benzylchloroformiat



Carbobenzoxy (Cbz)

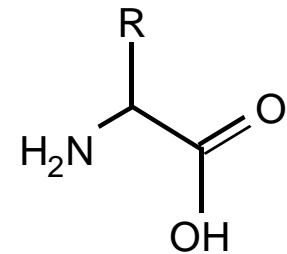


Carbobenzoxy (Cbz)



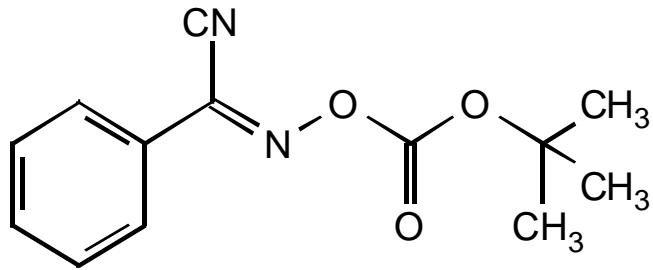
Carbamat

Carbaminsäure

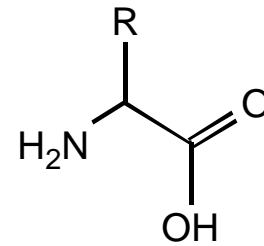




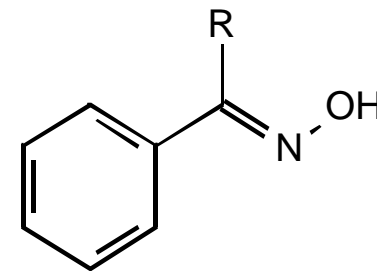
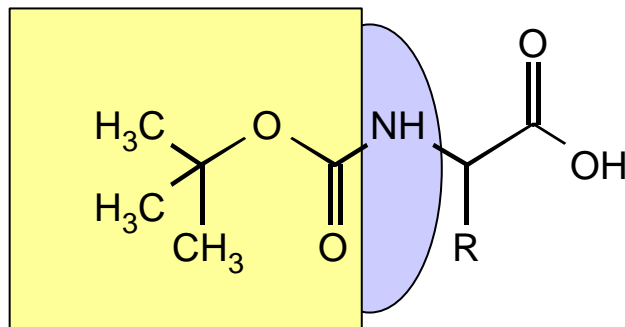
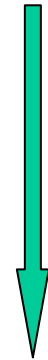
Amino-Schutzgruppen: t-Butoxycarbonyl (Boc)



+

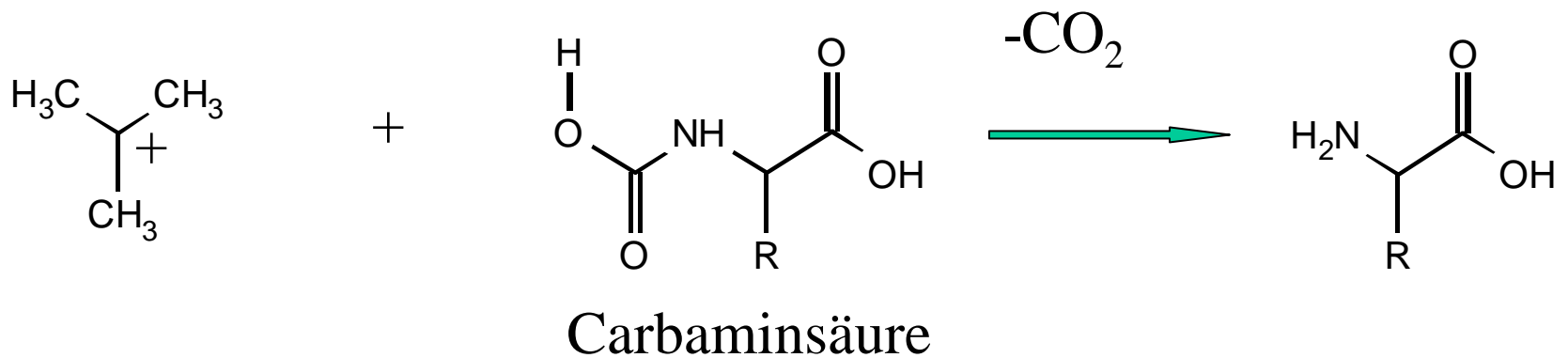
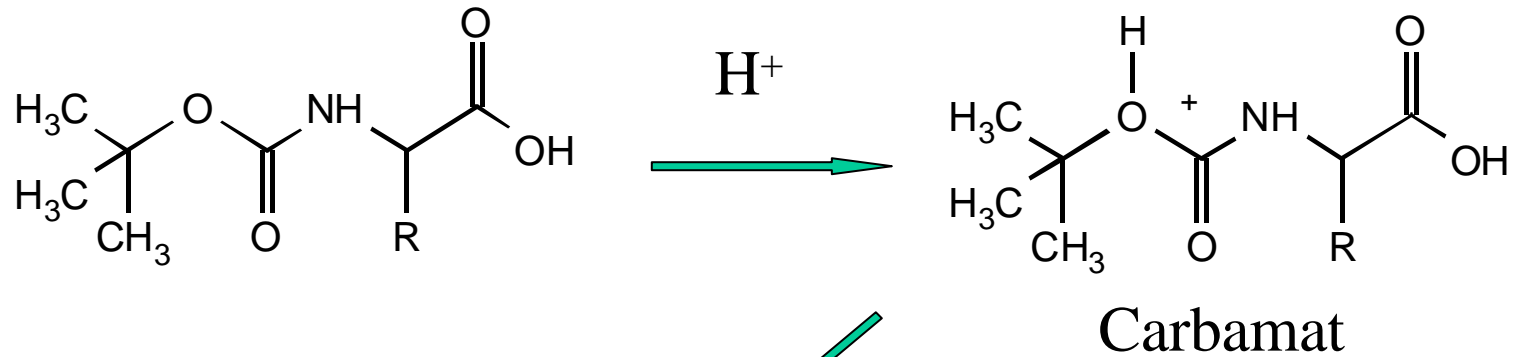


t-Butoxycarbonyloximino-
Phenylacetonitril („Boc-on“)



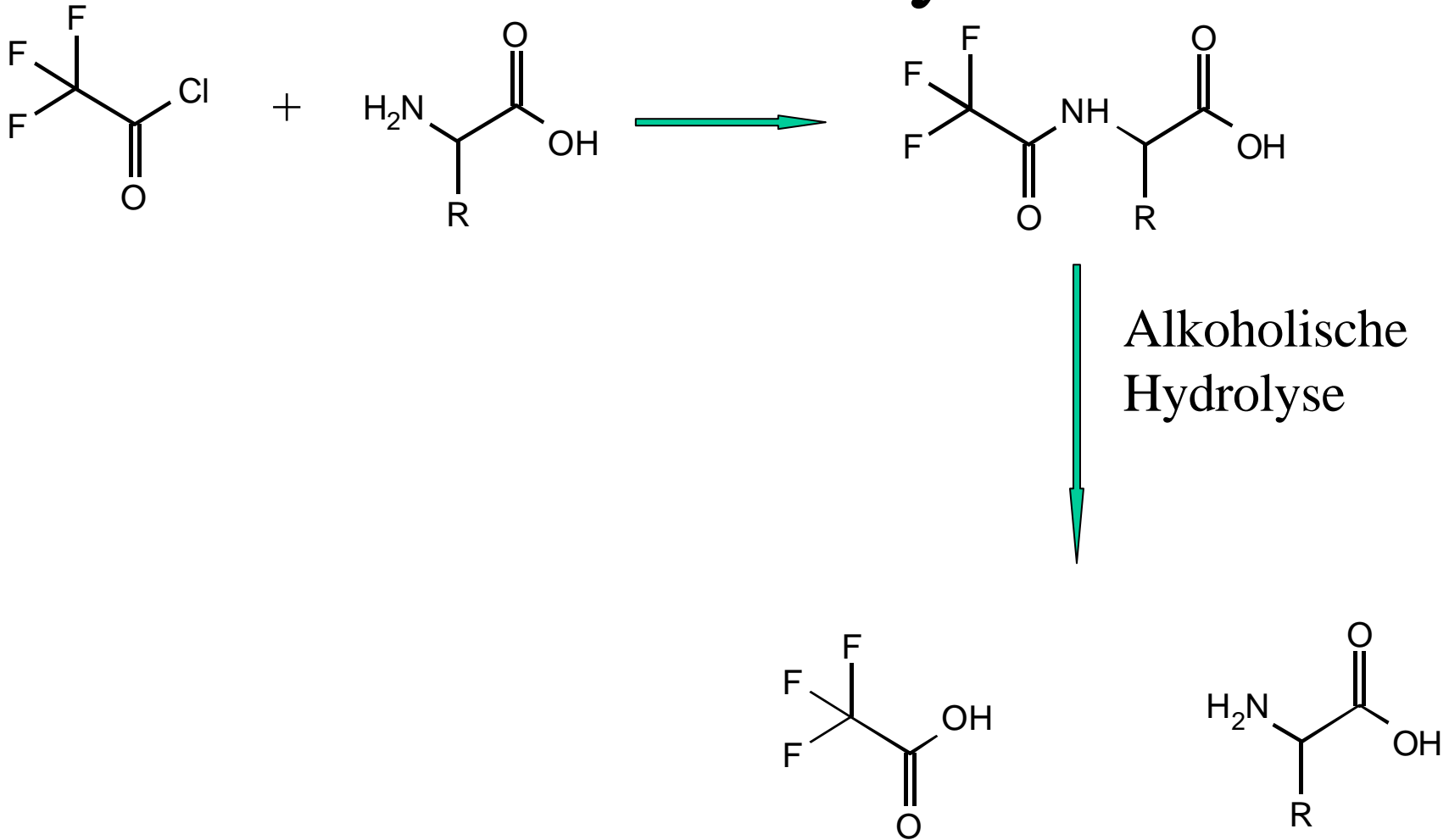


t-Butoxycarbonyl (Boc)



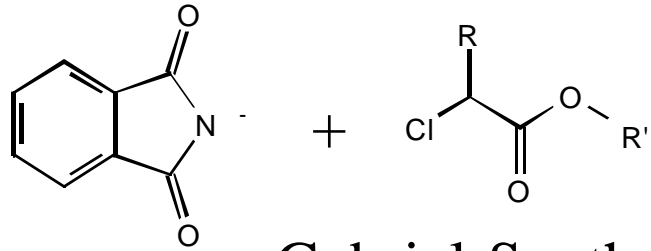


Amino-Schutzgruppen: Trifluoracetyl

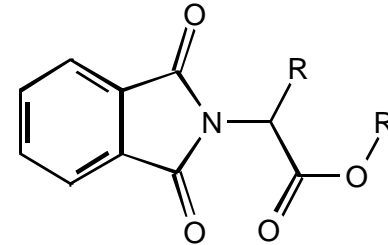
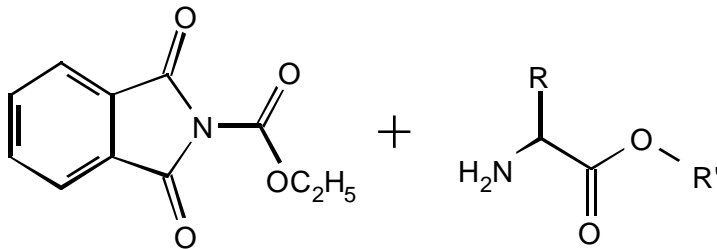
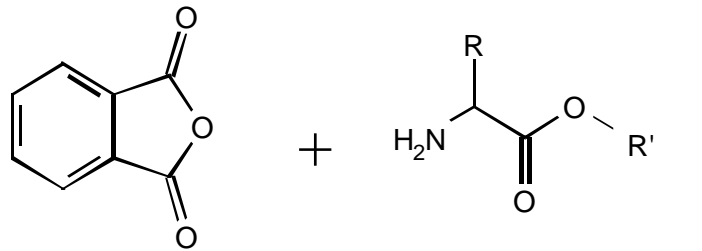




Amino-Schutzgruppen: Phthaloyl

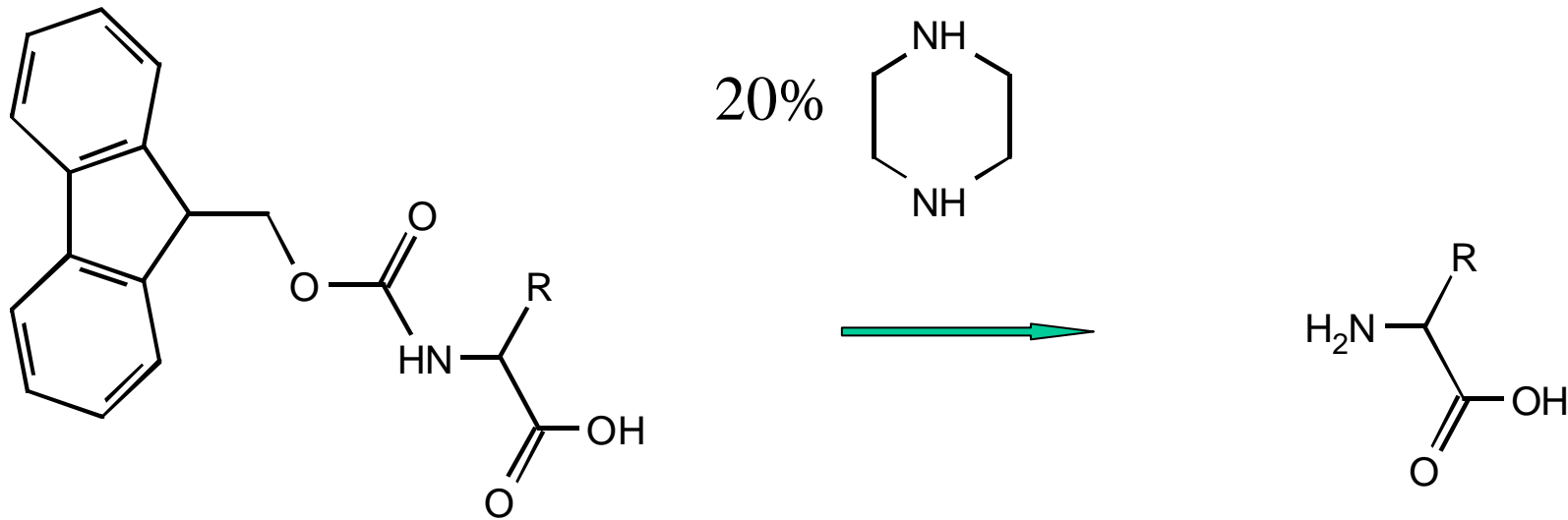


Gabriel-Synthese



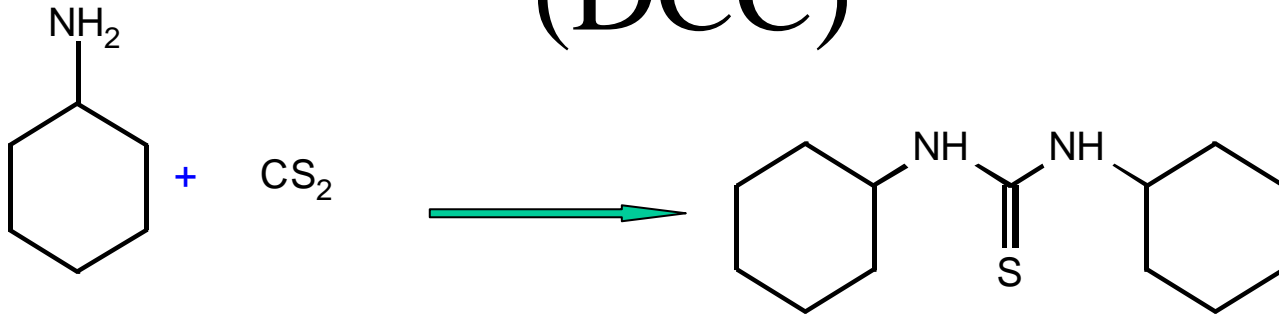


Amino-Schutzgruppen: Fmoc

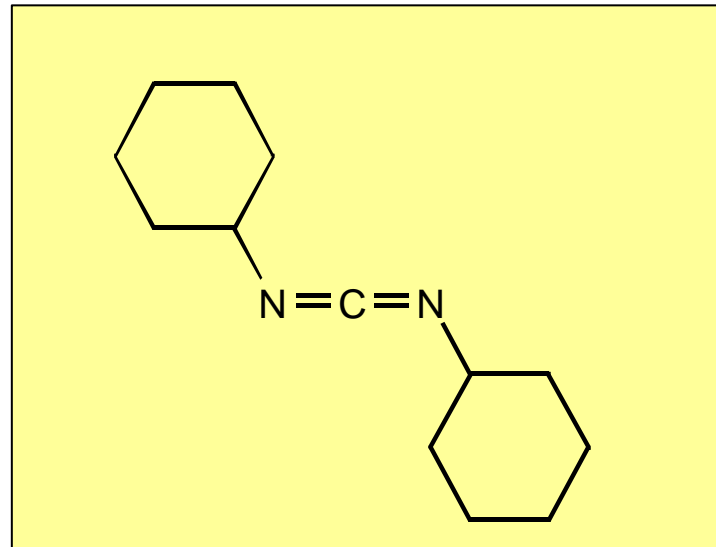




Kopplung: Dicyclohexylcarbodiimid (DCC)

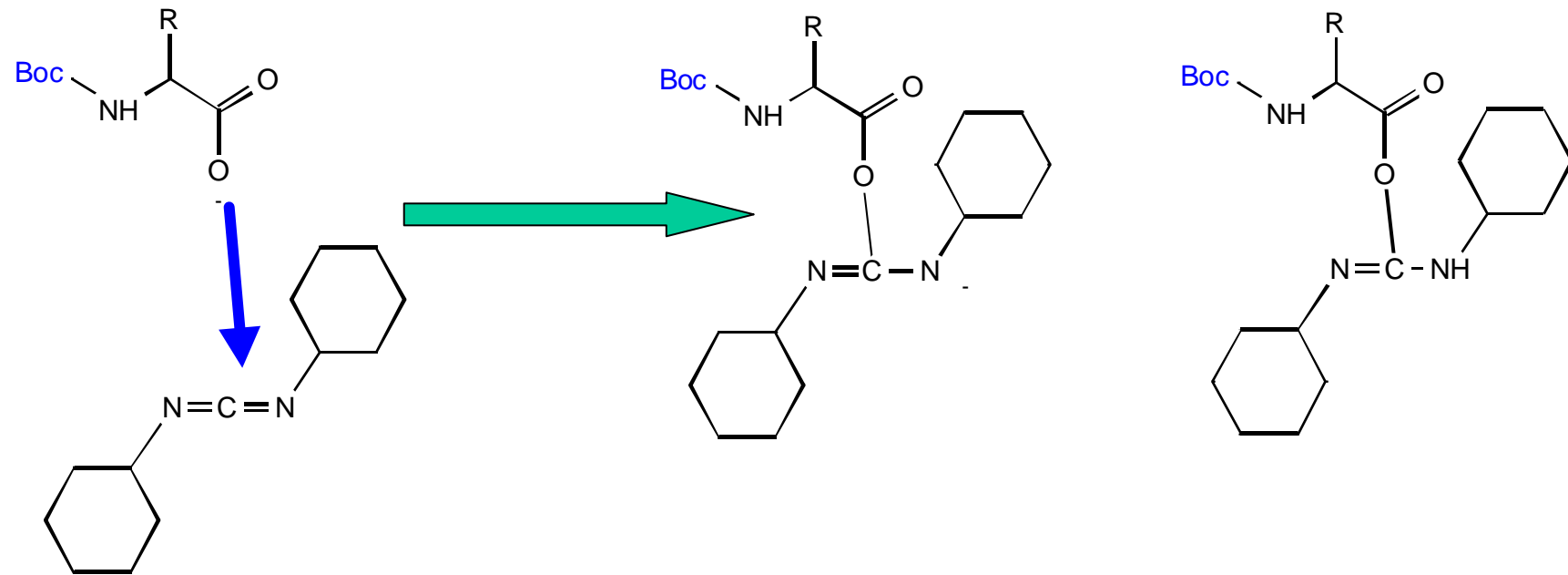


$\xrightarrow{\text{HgO, -H}_2\text{S}}$





Kopplung mit DCC





Kopplung mit DCC

