

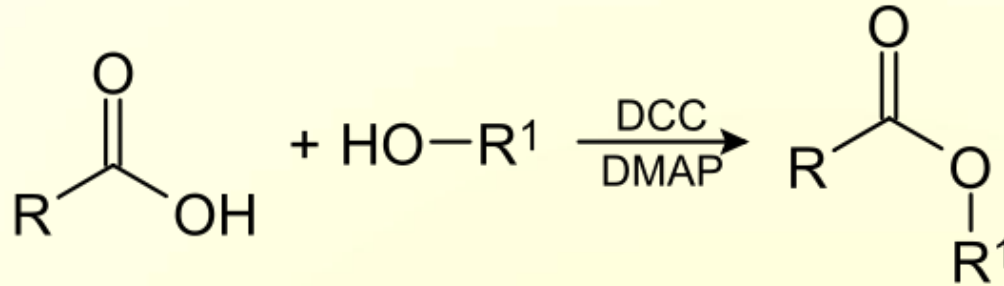
Coupling Reagents (part 1.)

2015-7-14

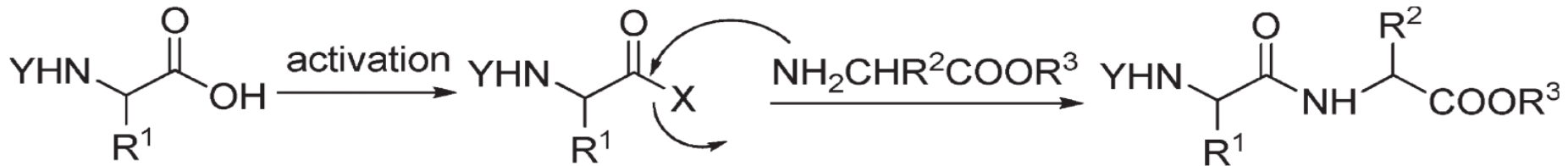
WZQ

Applications

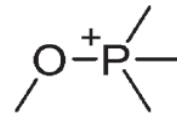
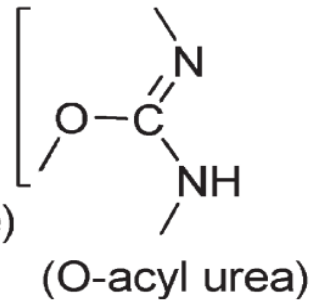
Esterification



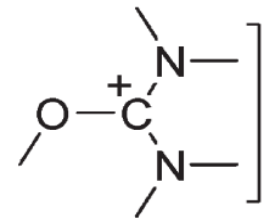
Peptide Bond Formation



X = halide, N₃,
OR (active ester),
OCOR (mixed or
symmetric anhydride)

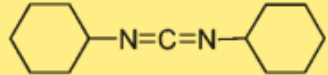
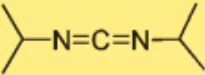
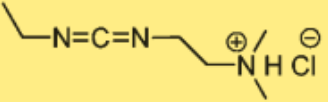
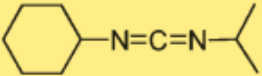
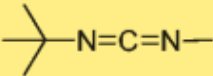
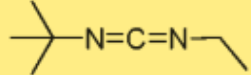
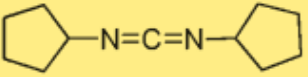
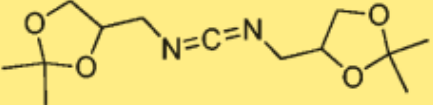
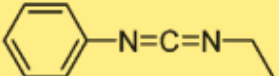


(acyl phosphonium)



(acyl uronium)

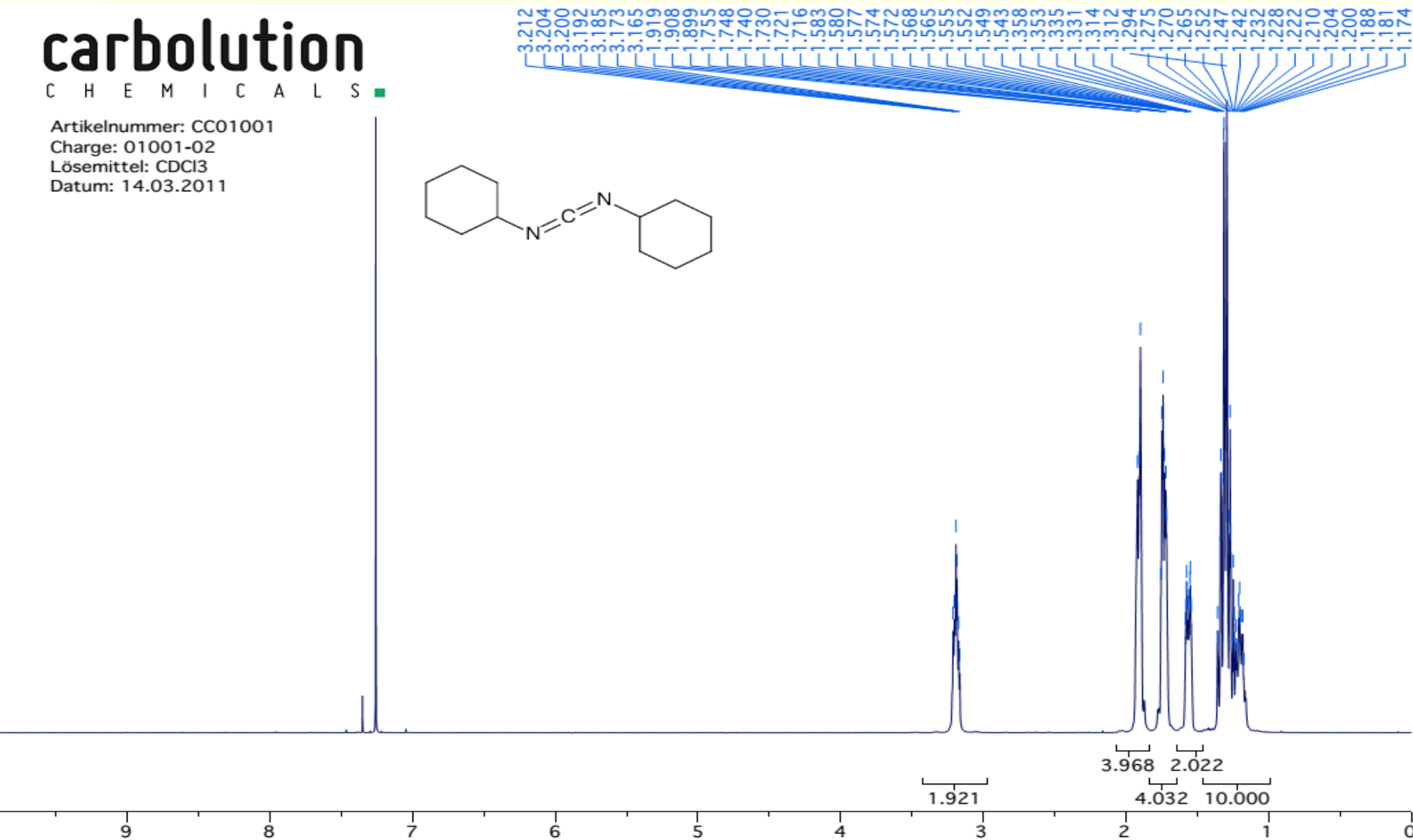
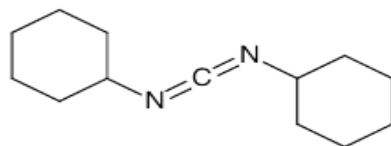
Carbodiimides

Abbreviation	Name and Structure
DCC	<i>N,N'</i> -dicyclohexylcarbodiimide 
DIPCDI, DIC	<i>N,N'</i> -diisopropylcarbodiimide 
EDC, WSC	<i>N</i> -ethyl- <i>N'</i> (3-dimethylaminopropyl)carbodiimidehydrochloride 
CIC	<i>N</i> -cyclohexyl, <i>N'</i> -isopropylcarbodiimide 
BMC	<i>N</i> - <i>tert</i> -butyl, <i>N'</i> -methylcarbodiimide 
BEC	<i>N</i> - <i>tert</i> -butyl, <i>N'</i> -ethylcarbodiimide 
CPC	<i>N,N'</i> -dicyclopentylcarbodiimide 
BDDC	<i>bis</i> [[4-(2,2-dimethyl-1,3-dioxolyl)methyl]carbodiimide 
PEC	<i>N</i> -ethyl, <i>N</i> -phenylcarbodiimide 

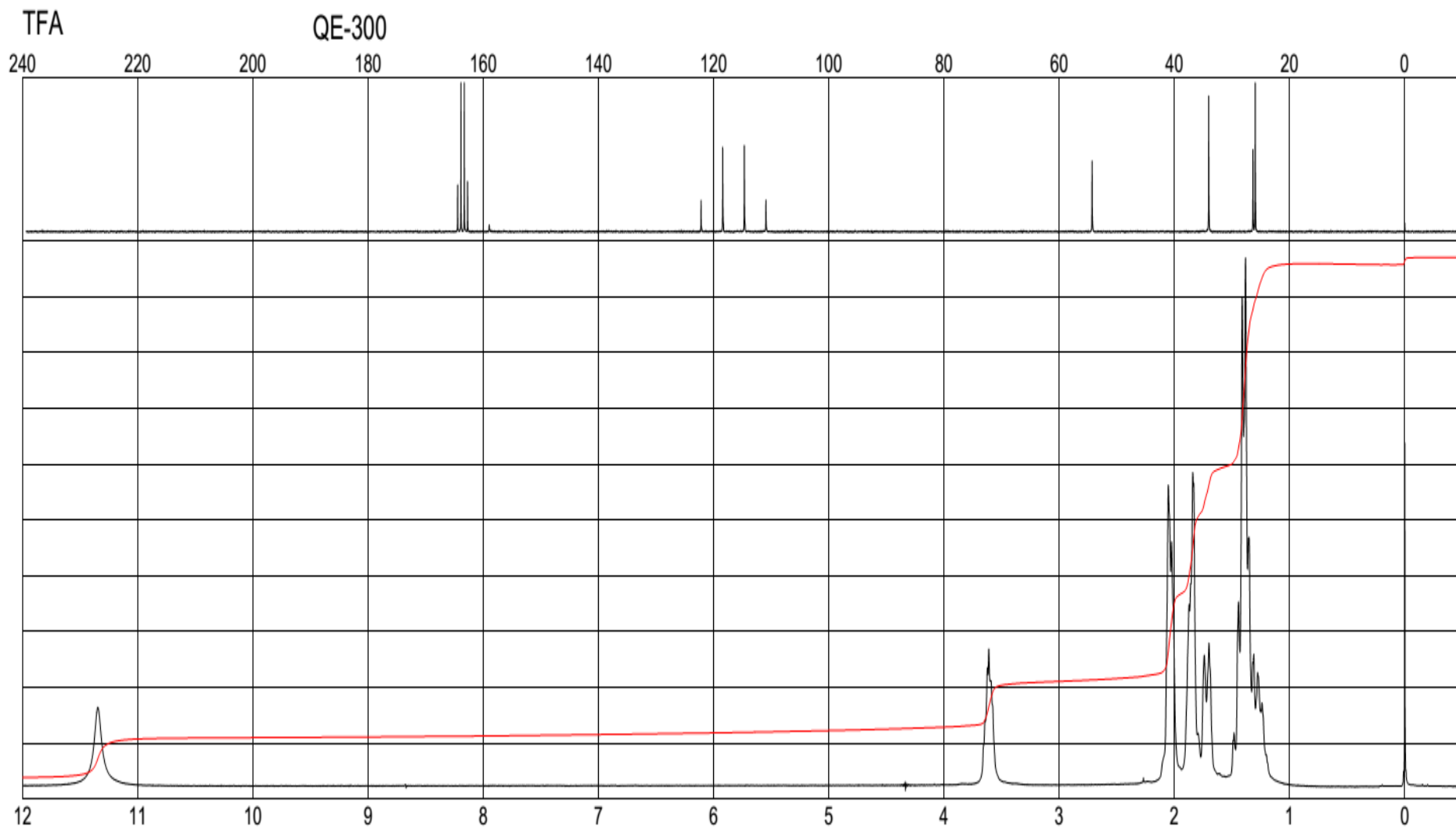
Dicyclohexylcarbodiimide (DCC)

carbolution
C H E M I C A L S

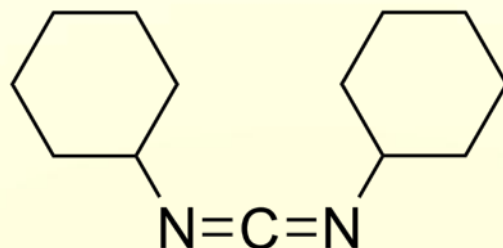
Artikelnummer: CC01001
Charge: 01001-02
Lösungsmittel: CDCl₃
Datum: 14.03.2011



Dicyclohexylcarbodiimide (DCC)



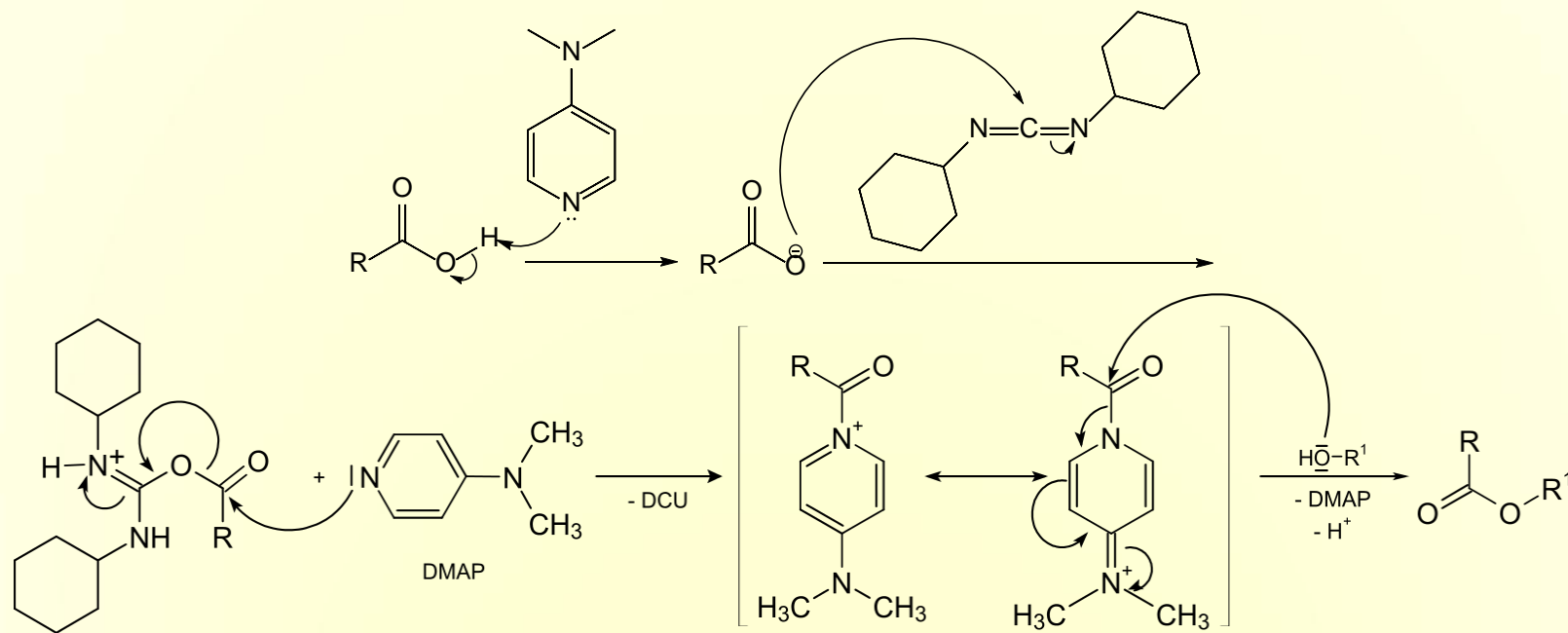
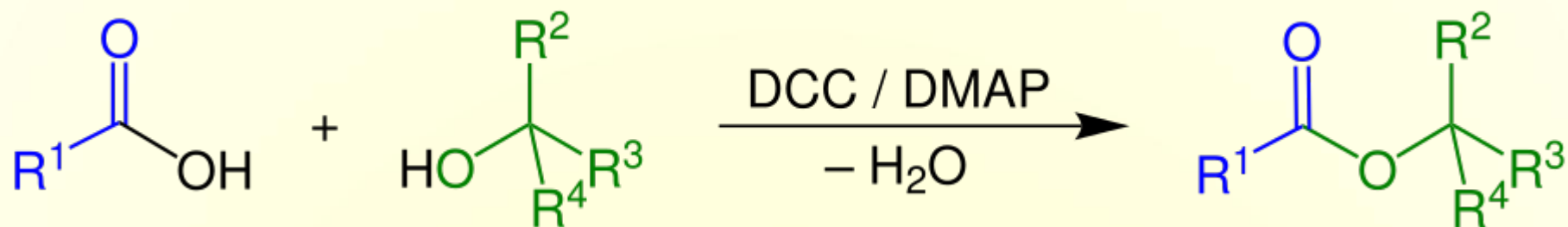
Dicyclohexylcarbodiimide (DCC)



1. Applied for coupling since 1955 and is still much in use today.
2. The reaction does not require additional base, so that racemization can be kept minimal.
3. Additives such as HOBt or HOSu is needed in order to reduce epimerization in the case of peptides or racemization in the case of amino acids.
4. N,N'-dicyclohexylurea (DCU) is soluble only in TFA , thus DCC is compatible with solid-phase synthesis only –Boc chemistry , not –Fmoc chemistry.
5. NOT compatible with DMSO!(Pfitzner-Moffatt oxidation).

applications (DCC)

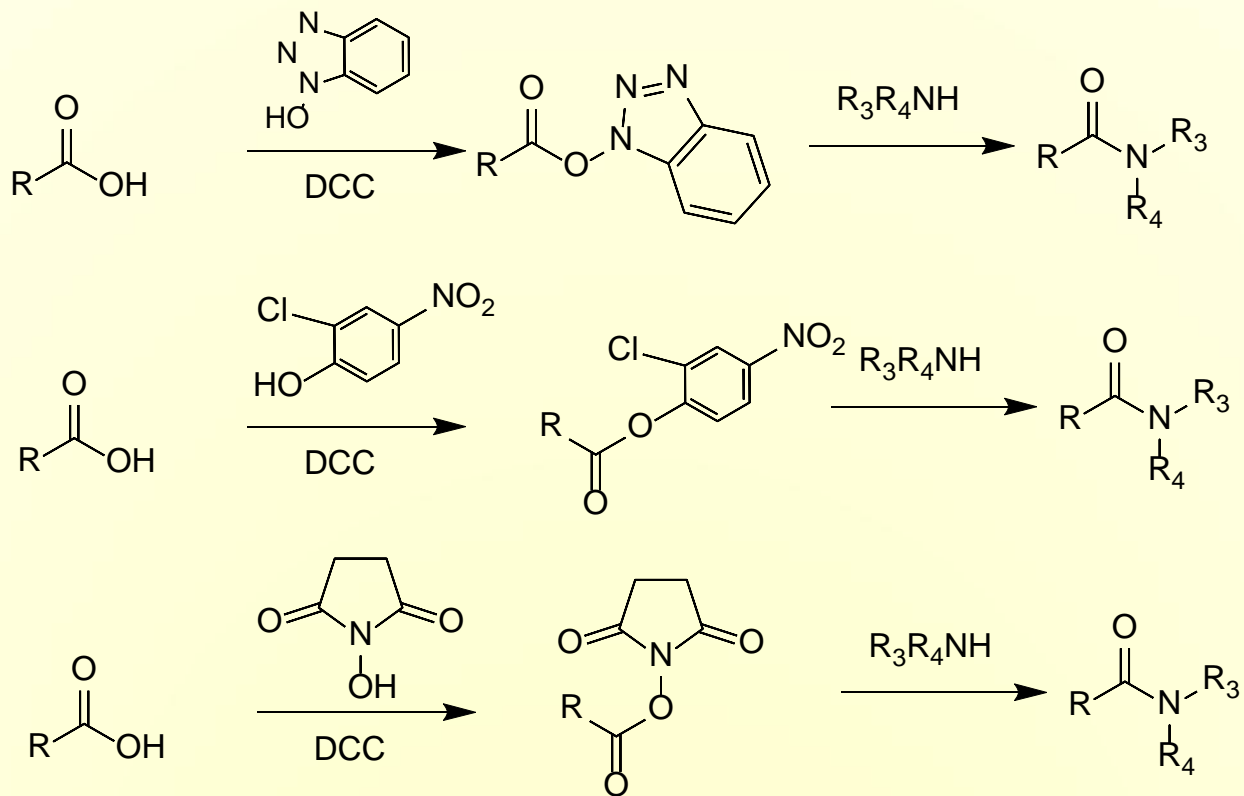
Steglich esterification



applications (DCC)

Manufacture of active esters---

The low solubility of DCU turns into an advantage of this activation method.



applications (DCC)

to be continued