

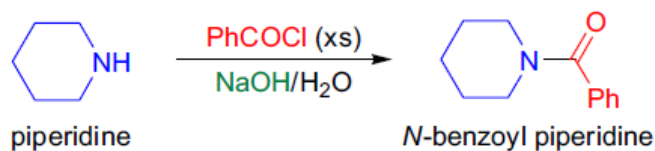
SCHOTTEN-BAUMANN REACTION

Zhou Guanshen

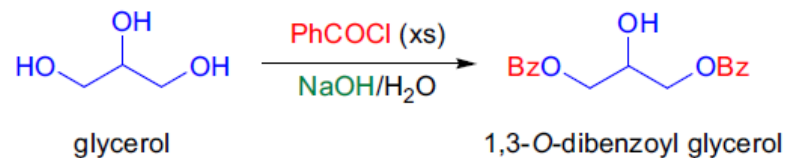
2017.6.20

Introduction

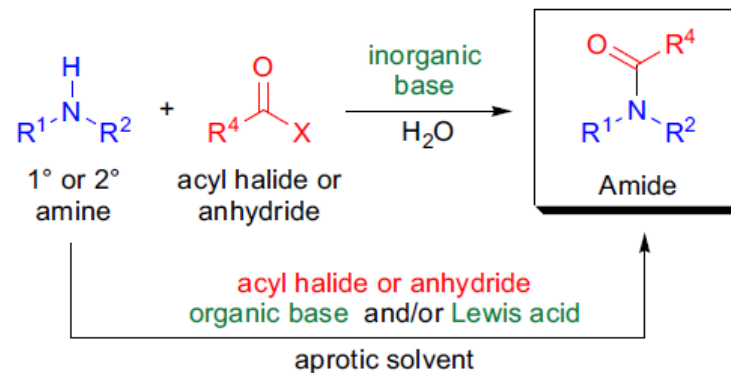
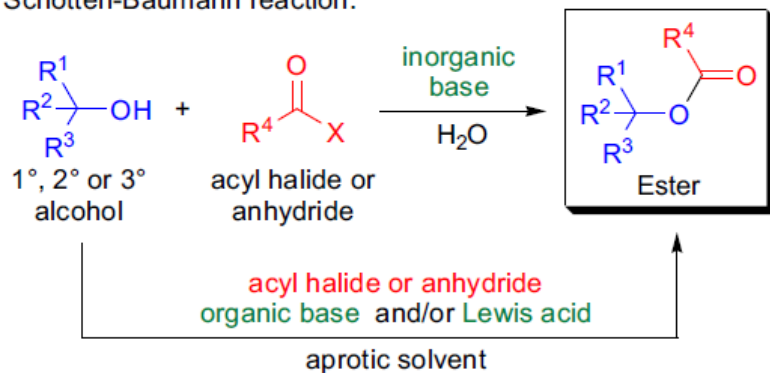
Schotten (1884):



Baumann (1886):



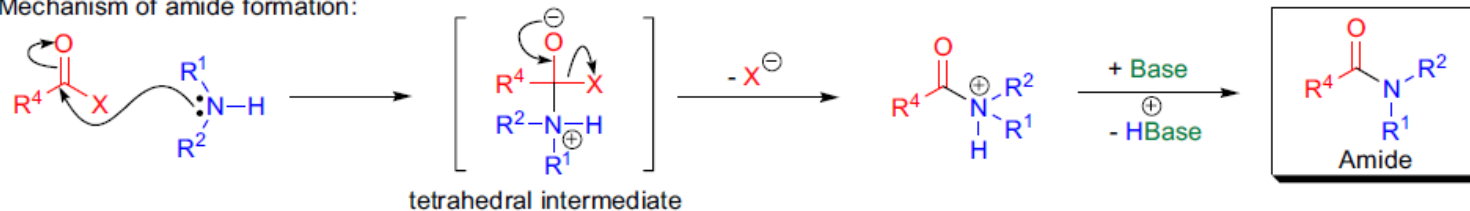
Schotten-Baumann reaction:



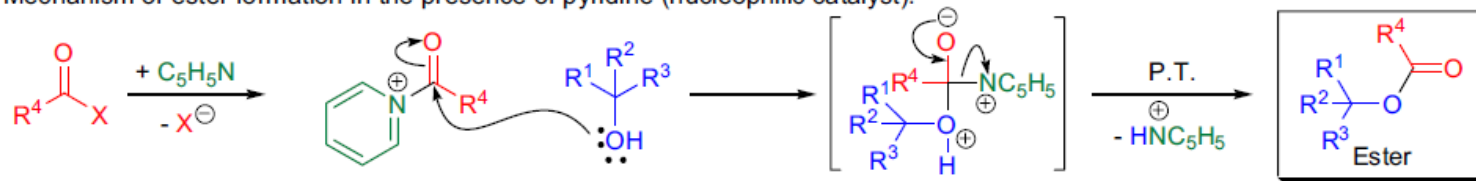
R^{1-3} = H, alkyl, aryl, heteroaryl; R^4 = alkyl, aryl; X = F, Cl, Br, OCOR^4 ; inorganic base: NaOH, KOH, Na_2CO_3 , NaHCO_3 ;
organic base: pyridine, DMAP, Et_3N , $(i\text{-Pr})_2\text{NEt}$, PPh_3 ; Lewis acid: MgBr_2 , $\text{Sc}(\text{OTf})_3$, $\text{Yb}(\text{OTf})_3$, TMSOTf

Mechanism

Mechanism of amide formation:



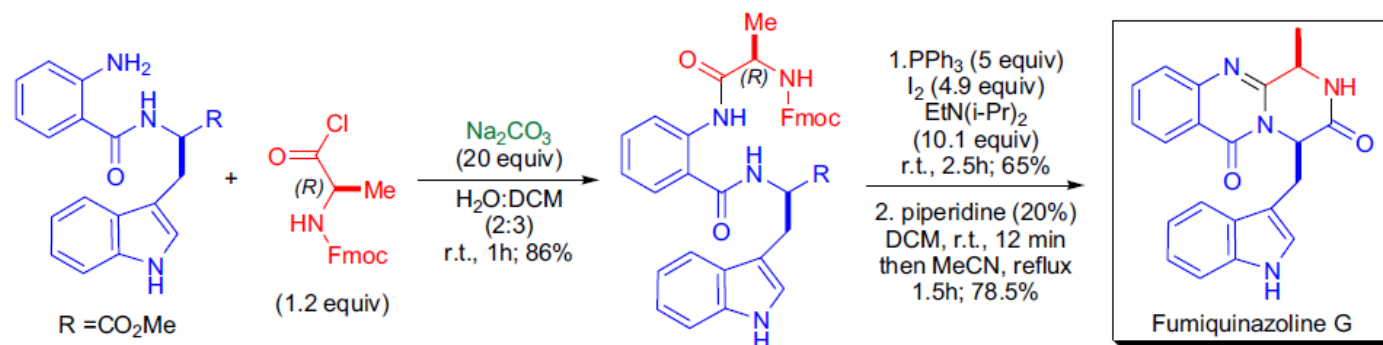
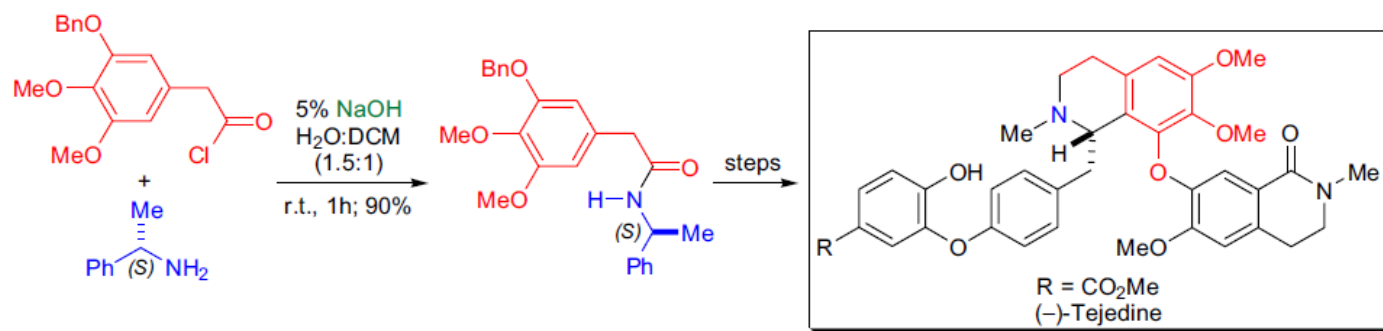
Mechanism of ester formation in the presence of pyridine (nucleophilic catalyst):



General features

- Excess acyl halide or anhydride with aqueous sodium hydroxide or saturated aqueous sodium bicarbonate under vigorous stirring;
- The order of reactivity for alcohols is: $1^\circ > 2^\circ > 3^\circ$, and of the amines is determined by their basicity;
- Less reactive acyl halides give higher yields of the product;
- The use of a base is not required for primary alcohols, and is necessary for secondary and tertiary alcohols and amines.

Synthetic Applications



Synthetic Applications

