

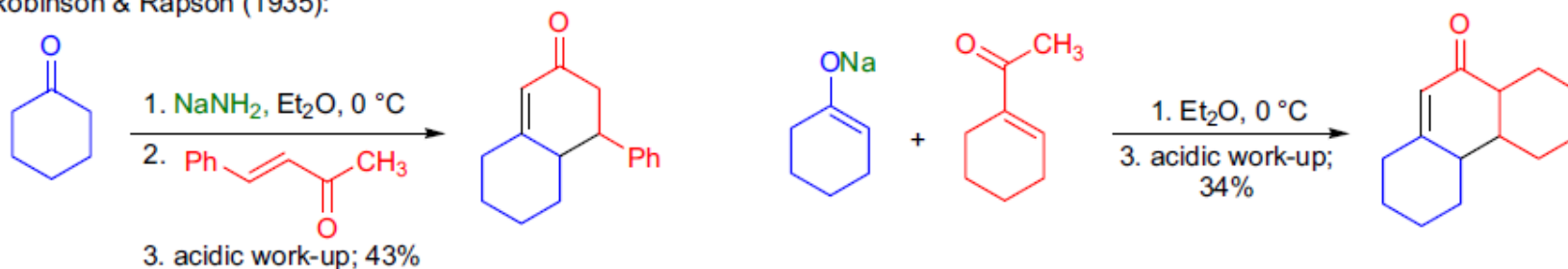
# ROBINSON ANNULATION

Zhou Guanshen

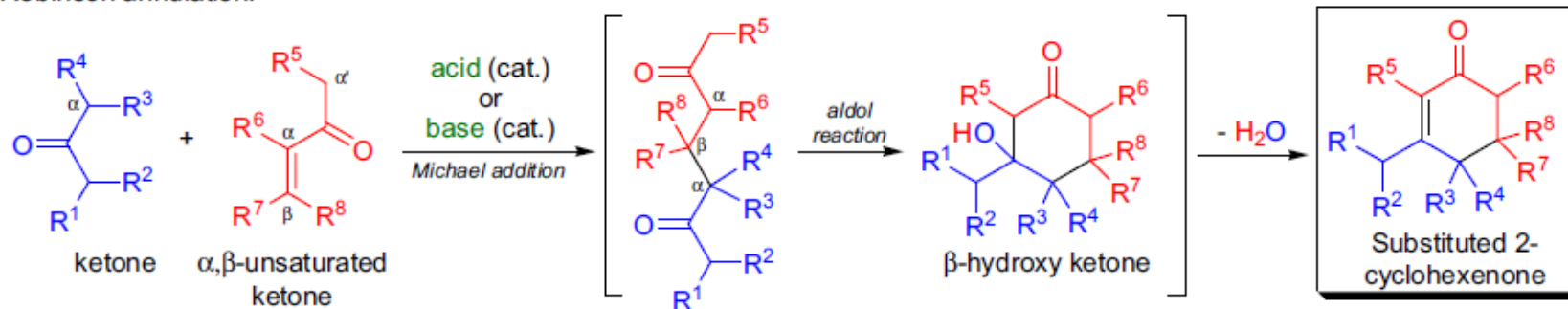
2017.3.14

# Discovery

Robinson & Rapson (1935):

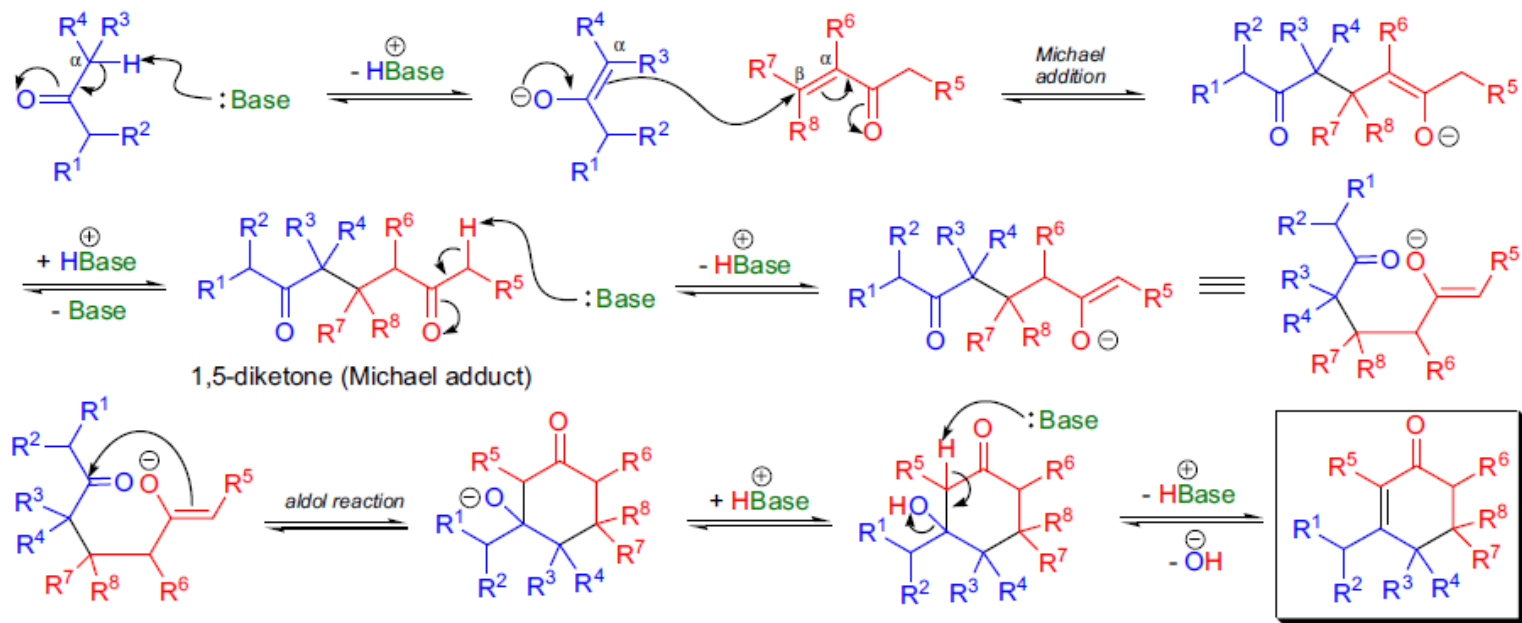


Robinson annulation:



$\text{R}^{1-4}$  = H, alkyl, aryl;  $\text{R}^5$  = H, alkyl, aryl;  $\text{R}^6$  = H, alkyl, aryl,  $\text{SiR}_3$ ;  $\text{R}^{7-8}$  = H, alkyl, aryl

# Mechanism

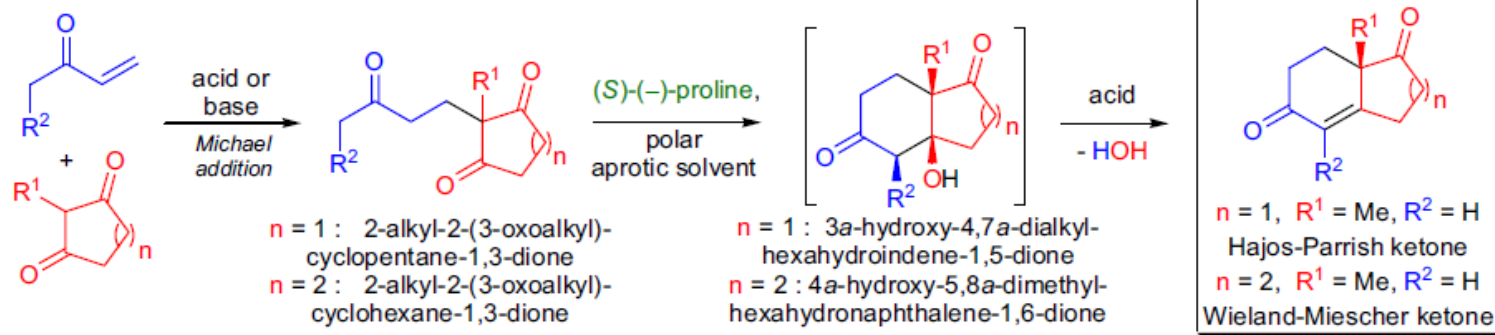


# General features

- Both acid- and base-catalyzed, but predominantly conducted under basic conditions;
- Acyclic enones and cyclic ketones afford bicyclic enones, whereas cyclic enones and cyclic ketones give rise to polycyclic fused enones;
- Methyl vinyl ketone (MVK) and its various derivatives and surrogates are used most often as the enone component;

# General features

- The alkylation of an unsymmetrical ketone occurs regioselectively at the most substituted  $\alpha$ -position unless severe steric interference dictates otherwise
- The enantioselective version is known as the *Hajos-Parrish reaction*



# Synthetic applications

