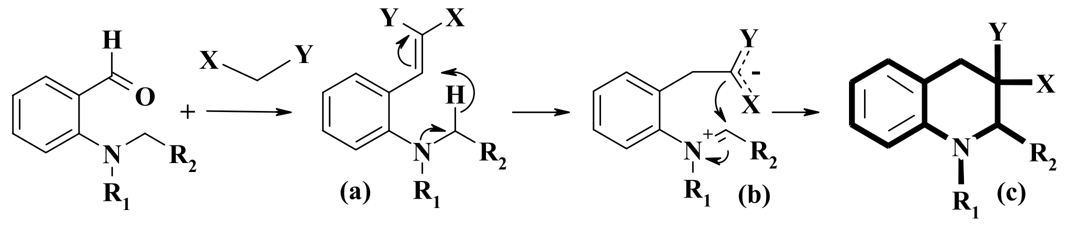
3rd EuroAsian Heterocyclic Meeting "Heterocycles in Organic and Combinatorial Chemistry" (EAHM-2004)
September 12-17, 2004, Novosibirsk, Russia
Poster Presentation

Relative Reactivity of CH-Acids in T-Reactions

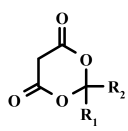
V.G. Kartsev[1], A.B. Serov[1], K.A. Krasnov[2]

[1]InterBioScreen Ltd., Institutskii pr. 7a, Chernogolovka, Moscow, Russia;
[2]Mechnikov State Medical Academy, Piskarevskii pr. 47, St. Petersburg, 195067 Russia

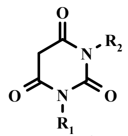
Since recently, the heterocyclizations based on the so-called *o-tert*-amino effect (termed by the authors as the T-reactions) have been actively explored as an elegant and convenient method for preparation of new heterocyclic systems [1]. These reactions proceed through the formation of *o*-aminoarylidene intermediates (**a**) bearing two polar groups: e-donating (NR_1R_2) and e-accepting (ArCH=CXY) ones. The mechanism may be assumed to involve the capture of the hydride ion by the e-accepting double bond leading to formation of stabilized betaine intermediate (**b**) followed by recombination of charges yielding T-products (**c**).



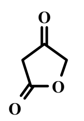
We explored the relative reactivity of the following CH acids in their T-reactions with *o*-dimethylaminobenzaldehyde:



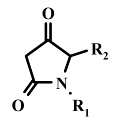
1



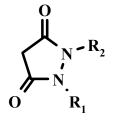
2



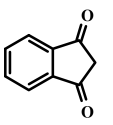
3



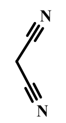
4



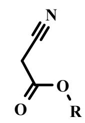
5



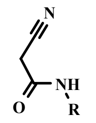
6



7



8



9

The obtained data allow us to classify the CH acids into two groups:

Group 1 (acids **1**–**5**). In reaction of these acids, rate-controlling is the formation of arylidenes (**a**), while their transformation into T-products (**c**) proceeds spontaneously. In this case, intermediate arylidenes cannot be isolated.

Group 2 (acids **6**–**9**). In reaction of these acids, rate-controlling is the transformation of arylidenes a into T-products (**c**). In this case, intermediate arylidenes can be isolated, and their transformation into cyclic T-products normally requires additional treatment.

For the acids under study, the rate for formation of T-products was found to increase in the order: **1** >~ **2** > **3** > **4** > **5** > **6** > **7** > **8** > **9**.

[1] Krasnov K.A., Kartsev V.G., Khrustalev V.N., *Russ. Chem. Bull.* 2002, vol. 51, p. 1540.