The anti-tuberculosis properties of synthesized substances were performed at the National Institute of Allergic and Infectious Diseases of the United States under the TAACF (Tuberculosis Antimicrobial Facility) & Coordinating Program.

Results and discussion. 5-nitroso-thiazolyl-2-amides of 1-R-2-oxo-4-hydroxyquinoline-3-carboxylic acids were synthesized and their antituberculosis activity was studied.

Conclusions. During investigation of antituberculosis activity two substances showed high level of antimicrobial action against Micobacterium tuberculosis – compounds 2a and 2h.

TRANSFORMATION OF BENZYLIC ACID DERIVATIVES: KNOWN AND UNEXPECTED OUTCOMES

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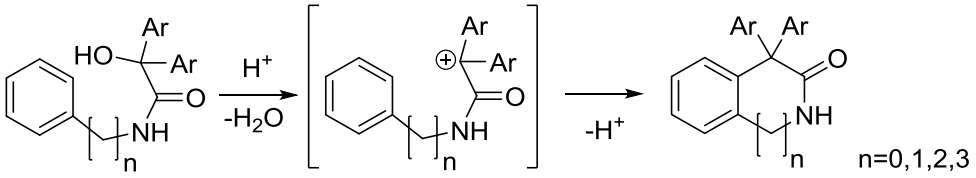
Introduction. So-called acidochromic condensation of diarylglycolic acids amides have been in focus of scientists of National University of Pharmacy for a long time. Some of the results turned out to be unusual and this fact stimulated us to give a brief overview of known transformations of benzylic acid and its derivatives.

Aim. To make a short overview of reactions of benzylic acid derivatives and to investigate the issue of how conditions applied to these interactions (catalyst nature, temperature mode, duration etc.) affect their outcome.

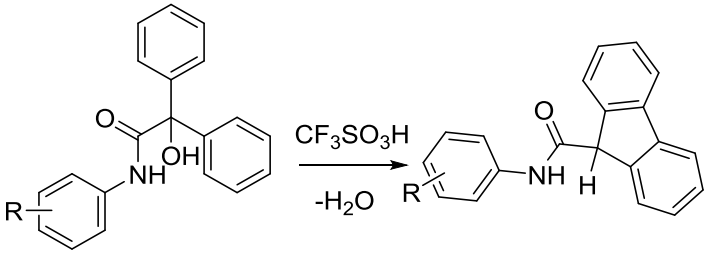
Materials and methods. Literature data dedicated to transformations of benzylic acid derivatives; systematization of the information found.

Results and discussion. Analysis of literature data revealed many possible ways of how benzylic acid derivatives can be transformed. Acidochromic condensation is one of possible reactions of benzylic acid amides. It results into benzolactames with various size of lactame cycle (Scheme 1). The latter display wide range of pharmacological activities.



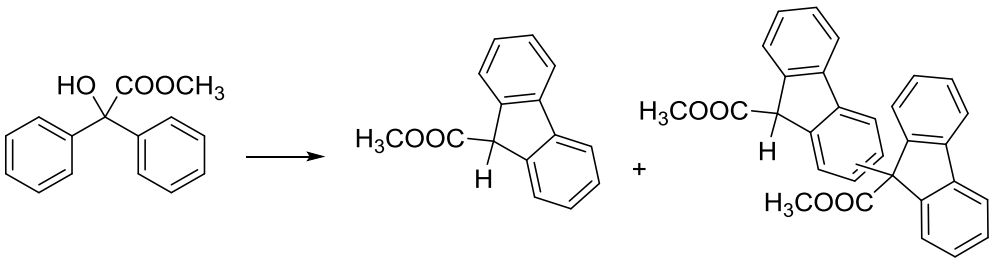
Scheme 1

When CF_3SO_3H (TFSA) is used as a catalyst condensation proceeds giving another product – fluorene-9-carboxylic acid amides (Scheme 2).



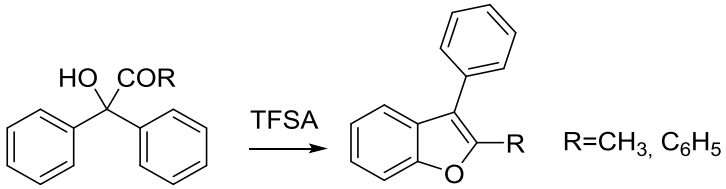
Scheme 2

TFSA catalyzed transformation of (□-methoxycarbonyl)diphenylmethanol at 50°C also leads to a fluorene-9-carboxylic acid derivative, namely its methyl ester. When the reaction is conducted in a more concentrated solution (TFSA, 100 equiv, 50°C) the products are abovementioned methyl ester (41%) and the fluorene dimer (37%) (Scheme 3).



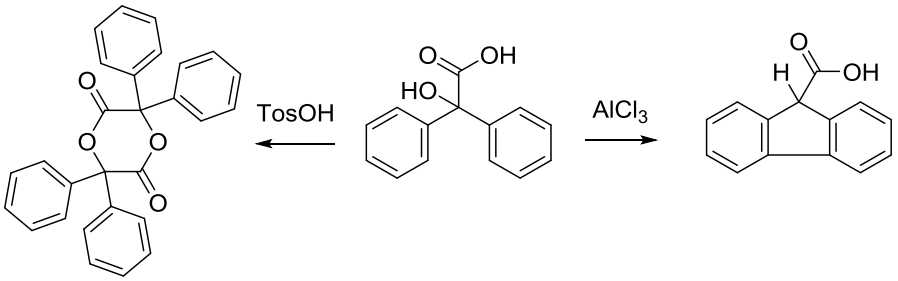
Scheme 3

TFSA is an effective catalyst for synthesis of 2,3-disubstituted benzofuranes using benzoyl- or acetyldiphenylmethanol as starting compounds (Scheme 4).



Scheme 4

Benzylic acid in the presence of aluminium chloride gives fluorene-9-carboxylic acid with almost quantitative yield. When boiled in xylene with *p*-toluenesulfonic acid benzylic acid gives benzylide (benzylic acid lactide) in place of fluorene derivatives (Scheme 5).



Scheme 5

Conclusions. Literature data show that benzylic acid can give various products depending on conditions applied. Analysis performed is useful for planning synthetic approaches towards novel bioactive compounds starting from benzylic acid.

INVESTIGATIONS ABOUT SYNTHESIS AND ANALYSIS IN THE RANGE OF POTENTIAL ANALGESICS

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Introduction. Probably, from ancient times, the problem of pain, more precisely, its relief, worried people. One careless movement - and acute traumatic pain can affect a healthy body. Or chronic pain, which over time suppresses, suppresses all vital functions of the body, and requires relief. On the one hand, pain is a sign that something is wrong in the human body that requires attention. On the other hand, the pain itself can cause very serious complications of the course of certain diseases. Traumatic, acute or prolonged pain can cause functional changes in peripheral and central nociceptive neurons, which can lead to sensitization, structural modification and prolonged potentiation.

On the other hand, pain is a sign that something is broken in the body: the more pain, the more dysfunctional, and the body signals that something is going wrong. Thus, the issue of reducing and