

PLENARY PRESENTATIONS

NEW COMPOUNDS BASED ON NATURAL SESQUITERPENE LACTONES. STRUCTURE AND BIOLOGICAL ACTIVITY

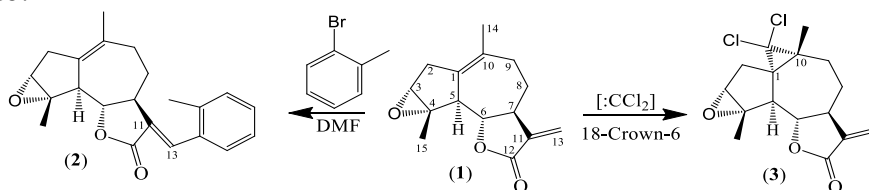
S.M. Adekenov

JSC “Research and Production Center “Phytochemistry”, 100009, Karaganda,
Republic of Kazakhstan, e-mail: info@phyto.kz

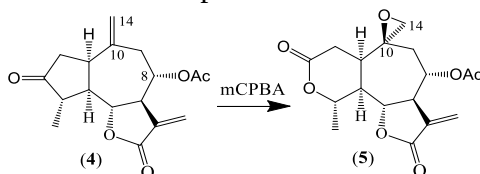
Plant sesquiterpene lactones are widely used as a renewable substrate for the synthesis of new biologically active compounds and for the development, on their basis, of original medicinal preparations with a broad spectrum of action – antitumor, anti-inflammatory, antiparasitic, antimicrobial and hypolipidemic.

This report presents the results of the synthesis of new aryl, halogen and epoxy derivatives of sesquiterpene lactones isolated from readily available plant raw material.

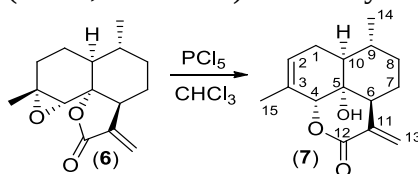
Thus, on the basis of the guaianolide ludartin (**1**), isolated from *Artemisia filatovae* Kupr., the Heck reaction with bromotoluene was carried out in the $\text{Pd}(\text{OAc})_2$ -(*o*-Tol) $_3$ P catalytic system in DMF solution, in the presence of caesium carbonate with the addition of tetrabutylammonium bromide at a temperature of 90–100 °C for 20 h, with the subsequent formation of the 13-aryl derivative (**2**). Upon interaction of ludartin (**1**) with dichlorocarbene $[:\text{CCl}_2]$ in 18-crown-6 ether, its cyclopropane derivative (**3**) was synthesized.



On the basis of the acetate derivative of grossheimin (**4**), isolated from *Chartolepis intermedia* Boiss., a new 10 β ,14-epoxy derivative with a δ -lactone fragment (**5**) was synthesized by interaction with *m*-chloroperbenzoic acid in methylene chloride.



Based on arteannuin (**6**), isolated along with artemisinin from *Artemisia annua* L., we synthesized 5 α -hydroxy-cadinan-2(3),11(13)-dien-12,4-olide (**7**), a product of the lactone ring rearrangement, which is a crystalline substance. Its structure was established by spectral data (NMR, ^{13}C -NMR) and X-ray structural analysis.



The structures of the molecules of the synthesized compounds were established on the basis of IR, ^1H NMR, ^{13}C NMR and mass spectral data, as well as by X-ray diffraction analysis. Samples of the new compounds were studied experimentally for antiparasitic and antitumor activity.