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Poster Presentation

Chemical Modification of Labdanoids

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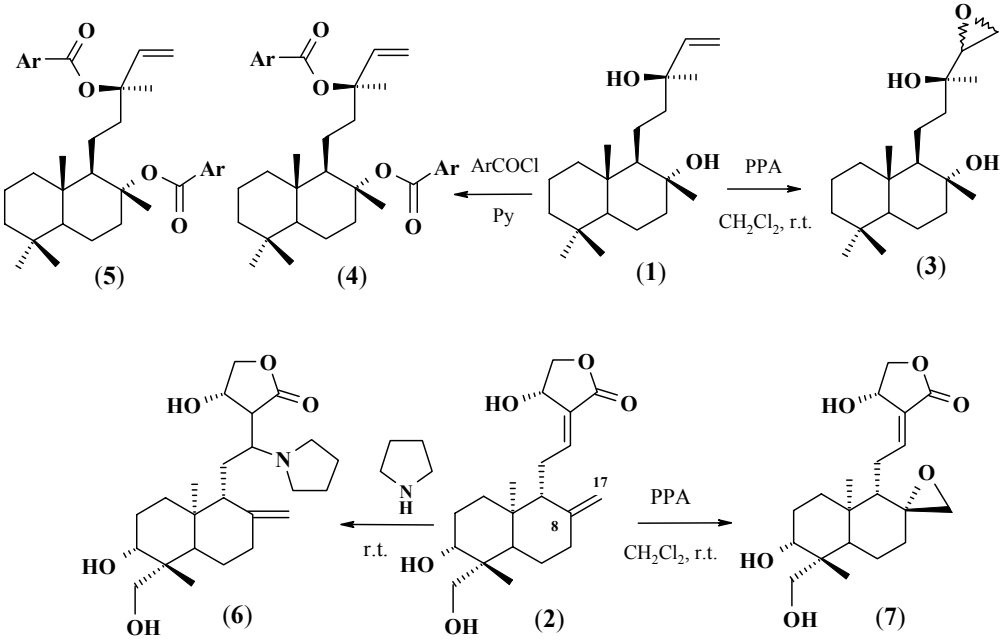
The labdanoids sclareol (**1**) and andrographolide (**2**) extracted from *Salvia sclarea* and *Andrographis paniculata*, respectively, are known to exhibit high antifungal and antibacterial activity [1–4]. We prepared new functionalized derivatives of **1** and **2** by O-acylation (**1**→**4**, **1**→**5**), epoxidation (**1**→**3**, **2**→**7**), and amination at the activated double bond (**2**→**6**):



Ar= Ph, p-ClPh; PPA=Perphtalic acid

The epoxidation of **1** yields a 3:2 mixture of α- and β-stereoisomers while that of **2** gives a 4:1 mixture. Recrystallization from acetone affords the predominant stereoisomer, (17)α-epoxyandrographolide, in up to 70% yield. The structure of synthesized compounds was confirmed by ^{1}H NMR and mass spectra.

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[3] Gerke Th., Saettler A., Muelner S., PTC Int. Appl. WO2002030385 A2, 18 Apr 2002.
[4] Ulubelen A. *et al., Phytochemistry* 1994 **36** 971.