

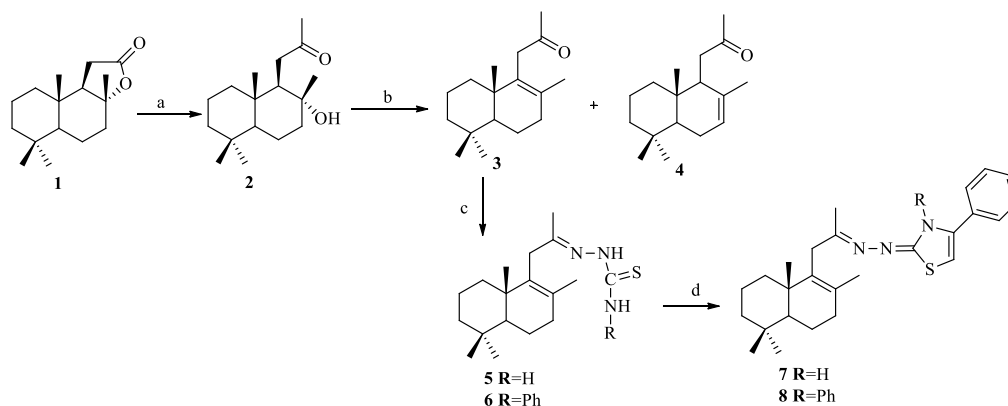
SYNTHESIS OF NEW POTENTIAL ACTIVE TRINORLABDANE COMPOUNDS WITH 1,3-THIAZOLE UNITS

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Thiazoles and their derivatives is an important class of biologically active compounds, widespread in nature (e.g. alkaloids) or prepared synthetically (e.g. pharmaceuticals)¹. The results of investigations devoted to the synthesis of new trinorlabdane compounds containing thiazole structural units are reported.

The key strengths of this research are: accessible starting material, which is commercially available sclareolide **1**, obtained from natural labdane diterpenoids (-)-sclareol, extracted from renewable resources and high probability of biological activities and low toxicity of the title compounds, due to their natural origin. The target trinorlabdanederivatives **7** and **8** containing thiazole units were prepared by interaction of intermediate thiosemicarbazones **5** and **6** with 2-bromoacetophenone under depicted conditions². In turn, the thiosemicarbazones **5** and **6**, were prepared from sclareolide **1**, in 3 steps³ (Scheme).



Reagents: a. $\text{CH}_3\text{Li}/\text{Et}_2\text{O}$, 65%; b. $\text{CH}_3\text{SO}_2\text{OSiMe}_3$, MeCN, 80% (in 80:20 ratio);
c. $\text{NH}_2\text{NHCSNH}_2$ or $\text{NH}_2\text{NHCSNHC}_6\text{H}_5$, EtOH, 71-85%; d. $\text{C}_6\text{H}_5\text{COCH}_2\text{Br}$, EtOH, 75-83%.

Scheme

The structure of all synthesized compounds have been established using modern methods of analysis (^1H , ^{13}C and ^{15}N NMR and MS spectrometry).

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