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Poster Presentation

The Synthesis and Antimicrobial Activity of Juglon's Thioglycosides and Their Condensed Tetracyclic Derivatives

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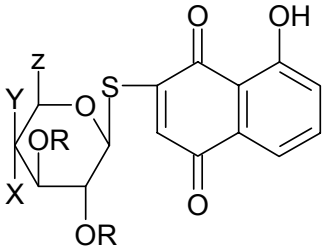
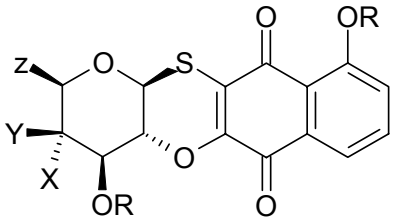
Recently [1], we described antitumor and immunostimulative activities of O-and S-acetylglucosides of 5-hidroxy-1,4-naphthoquinone (juglone). To study the influence of carbohydrate radicals on the antimicrobial activity we synthesized several acetylated thioglycosides **1 a-e** (R=Ac) by regioselective condensation of acetylated derivatives of 1-thio D-glucose, D-galactose, D-xylose, L-arabinose and D-maltose with juglone.

Saponification of acetylglycosides **1 a-e** (R=Ac) by MeONa/MeOH afforded expected water soluble glycosides **1 a-e** (R=H) and their poorly soluble tetracyclic derivatives **2 a,c,e** (R=H), as orange precipitates from reaction media. Acetates **2 a,c,e** (R=Ac) was obtained by acetylation of **2 a,c,e** (R=H) with Ac_2O/Py.





1 a-e (R=H, Ac)
a) X=OR; Y=H; Z=CH_2OR
b) X=H; Y=OR; Z= CH_2OR
c) X=OR; Y=H; Z=H

2 a,c,e (R=H, Ac)
d) X=H; Y=OR; Z=H
e) X=O-α-D-Glc$(OR)_4$; Y=H; Z= CH_2OR

One can assume, that thiol condensation with juglone, and intramolecular cyclisation **1 a,c,e** (R=H) in tertracycles **2 a,c,e**, proceed as Michael 1,4-addition, aromatization followed by oxidation of the hydroquinone intermediate.

The antimicrobial effect of some synthesized glycosides was studied on the cultures of *Staphylococcus aureus, E.coli, Yersinia pseudotuberculosis, Salmonella tiphimurium, Listeria monocytogenes.*

[1] S.G.Polonik, N.G.Prokof'eva, I.G.Agafonova, N.I.Uvarova. *Khim.-Farm. Z.* (In Russian). **2003**, V.37, № 8, P. 3-4.