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Synthesis of new acyl derivatives of dihydroquercetin and catechin

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Abstract

Previously, it was shown that peracyl derivatives of dihydroquercetin (DHQ, taxifolin), including residues of aliphatic, aromatic and heterocyclic acids, had biological activity. In this work, peratsillirovanny dihydroquercetin containing nicotine fragment was synthesized.

Along with this, in order to expand the potential medicamentous effect, esters of DHA were obtained, simultaneously containing several different acyl pharmacophore residues. To this end, a tetraacylated DHA synthesized by a modified procedure containing a free hydroxyl group in the fifth position of the flavonoid fragment was synthesized. Subsequent acylation with acetylsalicylic acid and nicotinic acid chloride produced esters of various structures.

Also, in order to preserve the antioxidant activity, monoacyl substituted derivatives were synthesized. To accomplish this task, the previously synthesized acylated benzyl derivatives of catechin and the 3-isoxazolylbenzyl derivative obtained in this work were subjected to hydrogenation on a palladium catalyst. In this case, removal of benzyl protections was observed. It should be noted that acylation of tetrabenzyl catechin with isoxazolecarboxylic acid chloride was carried out under rather mild conditions. It should be emphasized that previously, the acylation with a reagent of tetrabenzylated dihydroquercetin under these conditions was not possible. Thus, presumably, the activity of the catechol fragment is related to the lack of an intramolecular hydrogen bond. After removal by hydrogenation of benzyl protecting protections, mono-derivatives of catechol containing an acyl residue of heterocyclic carboxylic acid in the third position of the flavonoid structure were synthesized.

As a result, the spectrum of biological activity was expanded while maintaining antioxidant properties. The structure of the obtained compounds was proved by NMR spectroscopy on ^{13}C, ^{1}H nuclei and elemental analysis.

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