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Synthesis of 3-acyl derivatives of dihydroquercetin

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Abstract

Over half a century ago from the bark of Douglas fir, and then from the Siberian larch was isolated and characterized flavonoid dihydroquercetin (taxifolin) analogue quercetin hydrogenated at positions 2 and 3, having a high *P*-vitamin activity and, moreover, has a number of other important and useful properties that are absent in most bioflavonoids such mutagenic activity and toxicity. A particularly important property of naturally occurring flavonoids is their ability to bind free radicals and protecting plants against adverse conditions, including the effects of ultraviolet radiation. At the same time, great attention is paid to the study of the mechanism of action and the comparative effectiveness of substances that inhibit reactions involving radicals. This was shown, and the mechanism is set that the antioxidant activity of many substances, in particular flavonoids, due to the presence of phenolic hydroxyl groups. These properties create the preconditions for the modification of flavonoid dihydroquercetin and applying it as a carrier of pharmaceutically active groups. Thus, its chemical modification was performed to broaden the spectrum of its biological effect and antioxidant activity. In particular, acyl derivatives of 3-dihydroquercetin were synthesized. The study of this process on a finer level required synthesis of novel reaction intermediates of this flavonoid and the final product was obtaining in several steps. It was originally synthesized 5,7,3',4'-tetrabenzyl dihydroquercetin. The study of the acylation chlorides its various carboxylic acids on the remaining third hydroxyl group free was then carried out. Thus, the derivatives containing both benzyl and acyl substituents are derived. It was then accomplished by hydrogenation over a palladium catalyst and removal of the benzyl protection obtained by a third monoacylated derivatives of hydroxyl group containing four free hydroxyl moieties. After this first synthesized pentacylated ester of 3-acetyl-5,7,3',4'-(2-chloronicotinoyl)dihydroquercetin. The structure of the obtained compounds was confirmed by ^{1}H, ^{13}C NMR spectroscopy and elemental analysis.

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