Full Paper _____ *Thematic Section:* Preparative Research.
Reference Object Identifier – ROI: jbc-01/17-50-6-18 *Subsection:* Organic Chemistry.
The Digital Object Identifier – DOI: 10.37952/ROI-jbc-01/17-50-6-18
Submitted on June 12, 2017.

Directed synthesis of glycosides of iridoid type on the basis of levoglucosenone

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Keywords: glycosides, levoglucosenone, iridoids, Diels-Alder adducts.

Abstract

Iridoids are bioactive substances produced by plants for their protection from infections and negative influences. Located in many medicinal plants, iridoids, often are the basis of their pharmacological action. They have a wide range of biological activity and have cardiovascular, antioxidant, antihepatotoxic, choleretic, hypoglycemic, analgesic, anti-inflammatory, antimutagenic, antispasmodic, antitumor, antiviral, immunomodulatory, laxative and many other types of activity. Due to their bactericidal and antioxidant properties, iridoids can work even as natural preservatives.

The basis of the structure of iridoids is a bicyclic skeleton consisting of cyclopentane annelated with a six-membered oxygen-containing heterocycle-tetrahydropyran. In plants iridoids are contained in the form of glycosides and are most often associated with glucose.

Despite the apparent availability, the content of iridoids in natural objects is small, so the development of a method for the synthesis of iridoids and analogs based on available compounds is an urgent task. Synthetically accessible Diels-Alder adducts of levoglucosenone and 1,3-dienes are close in structure to iridoids. Taking into account the fact that information on new iridoids with a diverse structure is regularly published in the literature, it is possible to assume a high probability of biological activity in compounds possessing glycosylated *cis*-2-oxabicyclo[4.3.0]nonane skeleton.

At the same time, the facts of the influence of the size of the lactol cycle on the biological activity of iridoids are not known in the literature. For the purpose of studying the structure-activity relationship, we have been developed a short way of modifying the carbohydrate residue of Diels-Alder adduct of levoglucosenone and butadiene 1 into the glycosylated γ-lactol fragment of iridoid topology.

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