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Synthesis of 17β-Isoxazolyl-28-nor-lupan-3β Derivatives

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Betulin 1 is a naturally occurring triterpene found in the bark of birch trees. Its derivatives possess a wide range of biological activity and are therefore studied as potential antiviral and anticancer drugs.^{1,2} The aim of our project is to obtain biologically active isoxazoles 3 starting from betulin 1. For this purpose, betulin is first acylated, then hydrogenated to form a product without the C(20)-C(29) double bond. It is then selectively deacylated and oxidized to obtain an aldehyde, which is converted into an oxime 2. Compound 2 is then used to obtain the corresponding nitrile oxide in a reaction with *N*-chlorosuccinimide in the presence of pyridine.³ Finally, using 1,3-dipolar cycloaddition with alkynes, the nitrile oxide is converted into the respective isoxazole derivatives 3 (Scheme 1). These products are now being studied as potential anticancer medicine.

Scheme 1. Synthesis of isoxazole derivatives 3

Supervisor: Dr. chem. J. Luginina.

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