Compounds III and IV have satisfactory analytical characteristics.

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STEREO- AND REGIOSPECIFIC CYCLOADDITION OF NITRILE OXIDE TO 8-syn-DIMETHOXYMETHYL-3-OXO-2-OXABICYCLO[3.2.1]OCT-6-ENE

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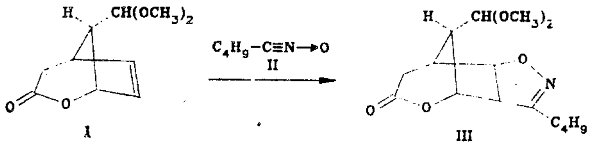
2-Isoquazoline intermediates, which are obtainable by cycloaddition of nitrile oxides to olefins, recently gained a wide synthetic application through the variant of a selective transformation of the heterocycle into different functional open-chain derivatives, developed for these compounds [1, 2]. The cycloaddition of nitrile oxides to substituted bicycloheptenes proceeds stereospecifically into an exo position, but with the formation of regio-isomers, the ratio of which is not much dependent on the character of the substituents in the dipolarophile and in dipole [3].

In the course of study of the isoxazole variant of the introduction of side chains into the bicyclic precursors of prostanoids, we have found that the cycloaddition of nitrile oxide II to the unsaturated lactone I proceeds regiospecifically with the formation of adduct III:



Triethylamine (4 drops) was added to a mixture of 0.47 g (4 mmoles) of nitropentane, 0.16 g (0.8 mmole) of bicycloalkene I, and 0.95 g (8 mmoles) of phenyl isocyanate in 6 ml of benzene, and the reaction mixture was stirred for 48 h at room temperature. The precipitate was filtered, the solvent was removed on a rotary evaporator, and the residue was chromatographed on a silica gel with a gradient elution by a mixture of ether and hexane. The yield of 11-syn-dimethoxymethyl 5-butyl-9-oxo-3,8-dioxa-4-azatricyclo[5.3.1.0^{3,5}]undec-4-ene (III) was 0.18 g (75%), colorless crystals, mp 89°C. IR spectrum (thin layer): 1040, 1065, 1100, 1140, 1190, 1630, 1755, 2835 cm^{-1}. PMR spectrum ($CDCl_3$): 0.96 (t, 3H, J = 7.2 Hz, CH_3), 1.41 (sext., 2H, CH_2); 1.53-1.77 (m, 2H, CH_2); 2.30 (m, 1H, $CH_2C{=}N$) ; 2.45-2.56 (m, 2H, 11-H, CH_2-$C{=}N$); 2.65 (d, 1H, J_{gem} = 18 Hz, 10-H_{endo}); 2.88 (d, 1H, J = 6.2, Hz, 1-H); 2.94 (d.d, 1H, J_{gem} = 18 Hz, J = 6.2 Hz, 10-H_{exo}); 3.32 (s, 3H, CH_3O), 3.44 (s, 3H, CH_3O), 4.00 (d, 1H, J = 9.0 Hz, 6-H); 4.32 [d, 1H, J = 8.6 Hz $CH(OCH_3)_2$]: 4.84 (br. d, 1H, 7-H); 4.97 (d, 1H, J = 9.0 Hz, 2-H). M 297 (mass spectrometrically).

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