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LETTERS TO THE EDITOR

STABILITY OF THE FURAN RING DURING BROMINATION

V. I. Bozhanov and S. P. Ivonin

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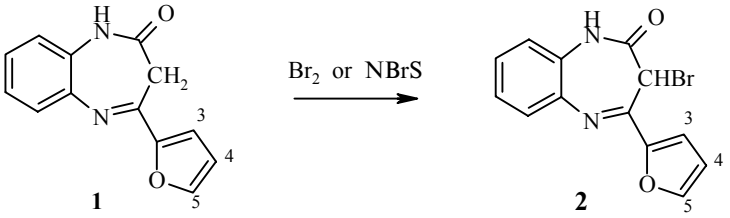
Furan and its derivatives are highly reactive in reactions with electrophilic reagents even under mild conditions [1]. We have found that 4-(2-furyl)-2,3-dihydro-1H-1,5-benzodiazepinone-2 (**1**), which contains a furan ring as a substituent, forms the 3-bromo derivative **2** in high yield on reaction with 1:1 bromine-acetic acid at room temperature [2]. An analogous result was obtained with N-bromosuccinimide in equimolar amounts, and the furan ring was unaffected by a 50% excess of the brominating agent.

Thus the high activity of position 3 in the diazepine ring leads to regioselective bromination.



1 **2**

The composition and structure of compound **2** was confirmed by elemental analysis and spectroscopic methods. The ^{1}H NMR spectrum of compound **2** demonstrates that the introduction of the bromine atom has a major effect on the position of the signals of the 3H proton of the furan ring and the amide proton.

3-Bromo-4-(2-furyl)-2,3-dihydro-1H-1,5-benzodiazepinone-2 (2). A. Bromine (0.64 g, 4 mmol) in acetic acid (15 ml) was added dropwise to compound **1** (0.45 g, 2 mmol) in acetic acid (5 ml), the mixture was stirred for 1 h, the precipitate was filtered off, washed with 50% acetic acid, water, and ammonia solution to give compound **2** (0.44 g, 73%).

B. A mixture of compound **1** (1.13 g, 5 mmol) and N-bromosuccinimide (0.87 g, 5 mmol) in CCl_4 (50 ml) was refluxed for 3 h. The precipitate of succinimide was filtered off and the filtrate was evaporated to give compound **2** (1.07 g, 70%); mp 140-142°C (dec., from ethanol). ^{1}H NMR spectrum (DMSO-d_6), δ, ppm, *J*, Hz: 5.91 (1H, d, J = 1.5, CH); 6.75 (1H, dd, J_1 = 1.5, J_2 = 3.5, 4-H_{fur}); 7.22-7.37 (3H, m, Ar); 7.43 (1H, d, J = 7.5, Ar); 7.67 (1H, d, J = 3.5, 3-H_{fur}); 8.02 (1H, d, J = 1.5, 5-H_{fur}); 11.12 (1H, s, NH).

Dnepropetrovsk National State University, Dnepropetrovsk 49625, Ukraine; e-mail: cf@ff.dsu.dp.ua.
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