

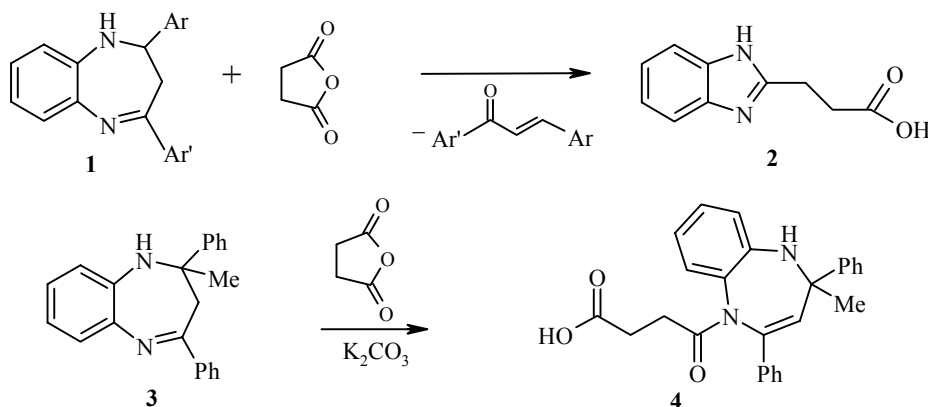
**ACYLATION OF 2-METHYL-2,4-DIPHENYL-  
2,5-DIHYDRO-1H-1,5-BENZODIAZEPINE  
BY SUCCINIC ANHYDRIDE**

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**Keywords:** 2-methyl-2,4-diphenyl-2,3-dihydro-1H-1,5-benzodiazepine, succinic anhydride, acylation.

In an attempt to acylate 2,4-diaryl-2,3-dihydro-1H-1,5-benzodiazepines using succinic anhydride, Orlov et al. [1] discovered a rearrangement to give 3-(1H-benzimidazol-2-yl)propionic acid **2**.

We have found that heating equimolar amounts of succinic anhydride and 2-methyl-2,4-diphenyl-2,3-dihydro-1H-1,5-benzodiazepine (**3**), obtained by Orlov [2] by the reaction of two equivalents of acetophenone with *o*-phenylenediamine in toluene at reflux for 2 h in the presence of potassium carbonate leads to 4-(2-methyl-2,4-diphenyl-2,5-dihydro-1H-1,5-benzodiazepin-5-yl)-4-oxobutanoic acid **4** in 70% yield.



The acylation of 2,3-dihydro-1H-1,5-diazepines may proceed through two different pathways [1]: 1) for 2,4-disubstituted derivatives with involvement of the NH group and 2) for 2,2,4-trisubstituted derivatives at the azomethine nitrogen atom. Comparison of the  $^1H$  NMR spectra of starting benzodiazepine **3** and product **4** showed that the spectrum of **4** lacks the signal corresponding to the methylene group but displays a signal for the ethylene proton at 6.41 ppm. Thus, the acylation occurs at the imine nitrogen atom.

**4-(2-Methyl-2,4-diphenyl-2,5-dihydro-1H-1,5-benzodiazepin-5-yl)-4-oxobutanoic Acid (4).** A sample of succinic anhydride (0.1 g, 1 mmol) and a catalytic amount of potassium carbonate were added to a solution of 2-methyl-2,4-diphenyl-2,3-dihydro-1H-1,5-benzodiazepine **3** (0.312 g, 1 mmol) in toluene (20 ml). The mixture obtained was heated at reflux for 2 h. Potassium carbonate was filtered off and hexane (10 ml) was added to the solution. The precipitate formed was separated and washed with hexane to give **4** in 70% yield;

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mp 140°C.  $^1\text{H}$  NMR spectrum on a Varian Mercury VX-200 spectrometer (200 MHz, DMSO- $\text{d}_6$ ),  $\delta$ , ppm: 1.77 (3H, s,  $\text{CH}_3$ ); 2.85-2.56 (4H, m,  $2\text{CH}_2$ ); 6.41 (1H, s, CH); 7.82-6.91 (15H, m, CH arom + NH). Found, %: N 6.70.  $\text{C}_{26}\text{H}_{24}\text{N}_2\text{O}_3$ . Calculated, %: N 6.79.

## REFERENCES

1. V. D. Orlov, N. N. Kolos, S. M. Desenko, and V. F. Lavrushin, *Khim. Geterotsikl. Soedin.*, 830 (1982).
2. S. M. Desenko and V. D. Orlov, *Azaheterocycles From Aromatic Unsaturated Ketones* [in Russian], Folio, Kharkov (1998).