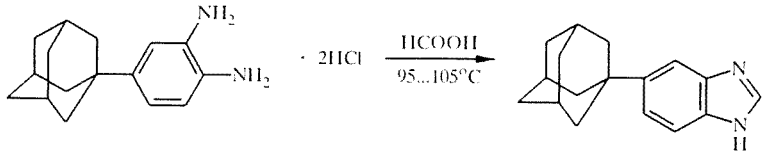
LETTERS TO THE EDITOR

NEW METHOD FOR PREPARING 5(6)-(1-ADAMANTYL)-BENZIMIDAZOLE

M. O. Lomidze, D. S. Zurabishvili and Sh. A. Samsoniya

Thanks to their biological activity derivatives of 5(6)-(1-adamantyl)benzimidazole are widely used in medicine and veterinary medicine. A method for the synthesis of compound I by the interaction of benzimidazole with hexamethyldisilazane followed by alkylation of the trimethylsilylbenzimidazole with 1-chloroadamantane in the presence of aluminum chloride in chloroform at 0°C is known [1].

We have developed the following method for the preparation of compound I:



II I

A mixture of 4-(1-adamantyl)-1,2-diaminobenzene dihydrochloride (II) (12 g, 0.038 mol) and formic acid (13.8 g, 0.3 mol) was heated at 95-105°C for 7 h. Water (20 cm^3) was added to the reaction mixture which was then cooled and neutralised to pH 8 with 10% NaOH solution. The precipitate was filtered off, washed with water and dried to give 5(6)-(1-adamantyl)benzimidazole (I, $C_{17}H_{20}N_2$) (9.4 g, 98%). mp 187-189°C (from aqueous ethanol). IR Spectrum (in hexachlorobutadiene, cm^{-1}): 3510...2800 (NH), 3070, 3020 ($C-H_{arom}$), 2920, 2890, 2830 (C-H adamantyl). ^1H NMR spectrum (relative to hexamethyldisiloxane, in $CDCl_3$, 100 MHz): 9.9 (1H, s, NH), 8.0 (1H, s, 2-H), 7.57 (1H, d, $J_{6,7}$ = 8.58 Hz, C_7H), 7.54 (1H, d, $J_{4,6}$ = 1.4 Hz, 4-H), 7.29 ppm (1H, q, $J_{6,4}$ = 1.4 Hz, $J_{6,7}$ = 8.57 Hz, 6-H). M^+ 252. Hydrochloride: mp 249-251°C.

Elemental analyses for C, H and N agreed with calculated values. The yield of compound I by the previous method was 49% [1].

REFERENCES

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I. Dzhavakhishvili Tbilisi State University, Tbilisi 380028. Georgia State Zootechnical and Veterinary Educational and Research Institute, Tbilisi 38017. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 6, p. 843, June, 1994. Original article submitted June 7, 1994.

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