2. M. F. Grenier-Loustalot, A. Lectard, A. Lichanot, and F. Metras, Org. Magn. Reson., 10, 86 (1977).
3. M. M. A. Hassan and A. F. Casy, Tetrahedron, 26, 4517 (1970).
4. N. S. Porstakov, A. A. Fomichev, N. I. Golovtsov, V. A. Rezakov, and A. V. Varlamov, Zh. Org. Khim., 21, 2313 (1985).

CONDENSATION OF 2-AMINOBENZIMIDAZOLES WITH o-SUBSTITUTED BENZOYL CHLORIDES

I. I. Popov, S. L. Boroshko, B. A. Tertov, and
E. V. Tyukavina

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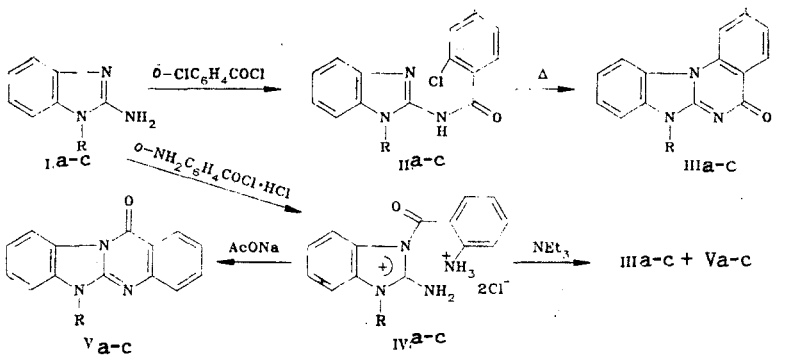
We have found novel routes for the synthesis of benzimidazoles, which include highly active immunodepressants [1].

It has been estabished that intramolecular thermal condensation of the o-chlorobenzamides (IIa-c) at 200-210°C affords derivatives of the novel heterocyclic system benzimidazo [2,1-c]quinazolin-5-one (IIIa-c).

The structures of (IIIa-c) were confirmed by their elemental analyses, and IR, PMR, and mass spectra.



I—V a R=H, b R=CH_3, c R=C_2H_5

Given are: compound, yield (%), mp (°C) (solvent for recrystallization): (IIIa), 53; 348-350 (DMF); (IIIb), 48; 254-256 (aqueous DMF); (IIIb), 45; 220-221 (ethanol).

The amides (IIa-c) were obtained by acylating the 2-aminobenzimidazoles (Ia-c) with o-chlorobenzoyl chloride in the presence of 10% sodium carbonate solution.

It has also been shown that the acylium salts (IVa-c), obtained by reacting the amines (Ia-c) with anthraniloyl chloride in acetone, on heating in the presence of triethylamine are converted into mixtures of (IIIa-c) and the benzimidazolo[2,1-b]quinazolin-12(6H)-ones (Va-c) in yields of 8-12 and 30-35% respectively. If the reaction is carried out in the presence of sodium acetate (Va-c) are formed exclusively in yields of 42-48%. The melting points and other constants of (Va-c) are in agreement with the literature values [2].

LITERATURE CITED

1. W. H. W. Lunn, J. Med. Chem., 14, 1069 (1971).
2. W. H. W. Lunn and R. W. Harper, J. Heterocycl. Chem., 8, 141 (1971).

M. A. Suslov Rostov State University, Rostov-on-Don 344090. Translated from Khimiya Geterotsiklicheksikh Soedinenii, No. 2, pp. 272-273, February, 1989. Original article submitted June 6, 1988.

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