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18 November 1964

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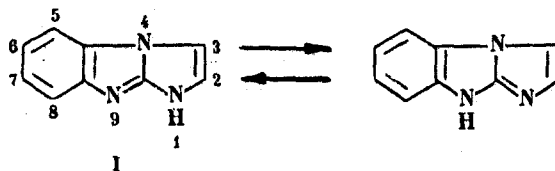
UDC 547.78+542.95

SYNTHESIS OF IMIDAZO[1,2-a]BENZIMIDAZOLE AND  
 IMIDAZOLINO[1,2-a]-BENZIMIDAZOLE DERIVATIVES

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Khimiya Geterotsiklicheskikh Soedinenii, Vol. 1, No. 2, pp. 316-317, 1965

The imidazo[1,2-a]benzimidazole (I) system is practically unexplored. The preparation of only two compounds



2,3-diphenylimidazo[1,2-a]benzimidazole (structure not precisely ascertained) [1] and 1-ethyl-7-nitro-2,3-dihydroimidazo[1,2-a]benzimidazole [2], has been described. Using the literature methods of closing imidazole [3-5] and imidazolone [6, 7] rings, and applying them to 2-amino-heterocyclics we synthesized a number of substituted derivatives of the tricyclic system I and its 2,3-dihydro- derivatives. The reaction of 1-alkyl-2-aminobenzimidazoles with  $\alpha$ -halogenoketones and  $\alpha$ -halogenoalcohols led to the preparation of the corresponding 1,3-disubstituted 2-iminobenzimidazolines. On treatment with dehydrating agents, the latter, by heating with mineral or organic acids, are made to split off a molecule of water and cyclize to derivatives I or the corresponding 2,3-dihydro- compounds.

1-Ethyl-3-phenacyl-2-iminobenzimidazoline. Mp 120.5° (from aqueous CH<sub>3</sub>OH). Found: C 73.35; H 6.02; N 15.08%. Calculated for C<sub>17</sub>H<sub>17</sub>N<sub>3</sub>O: C 73.10; H 6.14; N 15.04%.

Hydrobromide. Mp 222-222.5° (decomp., from CH<sub>3</sub>OH). Found: Br 22.06%. Calculated for C<sub>17</sub>H<sub>17</sub>N<sub>3</sub>O: Br 22.19%.

2-Phenyl-9-ethylimidazo(1,2-a)benzimidazole. Mp 93-93.5° (from aqueous alcohol). Found: C 77.93; H 5.57; N 16.19%. Calculated for C<sub>17</sub>H<sub>15</sub>N<sub>3</sub>: C 78.13; H 5.79; N 16.08%.

Picrate. Mp 238-240° (decomp., from alcohol). Found: C 56.57; H 3.73; N 17.02%. Calculated for C<sub>17</sub>H<sub>15</sub>N<sub>3</sub>·C<sub>6</sub>H<sub>3</sub>N<sub>3</sub>O<sub>7</sub>: C 56.33; H 3.70; N 17.14%.

1-Ethyl-3- $\beta$ -hydroxyethyl-2-iminobenzimidazoline. Mp 122.5-123° (from dichloroethane). Found: C 65.08; H 7.47; N 20.28%. Calculated for C<sub>11</sub>H<sub>15</sub>N<sub>3</sub>O: C 64.37; H 7.37; N 20.47%.

Hydrobromide. Mp 226.5-227° (decomp., from alcohol). Found: Br 28.15%. Calculated for C<sub>11</sub>H<sub>15</sub>N<sub>3</sub>O·HBr: Br 27.92%.

Picrate. Mp 182-183° (from water). Found: N 19.33%. Calculated for C<sub>11</sub>H<sub>15</sub>N<sub>3</sub>O·C<sub>6</sub>H<sub>3</sub>N<sub>3</sub>O<sub>7</sub>: N 19.35%.

9-Ethylimidazolino(1,2-a)benzimidazole. Picrate, mp 267-268° (decomp., from CH<sub>3</sub>COOH). Found: C 48.96; H 3.90; N 20.02%. Calculated for C<sub>11</sub>H<sub>13</sub>N<sub>3</sub>·C<sub>6</sub>H<sub>3</sub>N<sub>3</sub>O<sub>7</sub>: C 49.04; H 3.87; N 20.19%.

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12 December 1964

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