# 1-DIFLUOROMETHYLBENZIMIDAZOLES

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It is known [1, 2] that the reaction of indole and pyrrole with dihalocarbenes leads to 3H-indole derivatives or is accompanied by ring expansion to form 3-halopyridines. Imidazole and its derivatives react with dichlorocarbene to give 5-chloropyrimidines [3, 4]. We have established that benzimidazole and its 2-methyl derivative react with difluorocarbene to give the corresponding 1-difluoromethyl-substituted derivatives (Ia, b).

Ia,b

a R = H; b R = $CH_3$

The difluoromethylation of benzimidazole was carried out in aqueous dioxane solution at 50-55°C. Difluorochloromethane in an alkaline medium served as the source of difluorocarbene. 1-Difluoromethylbenzimidazole (Ia), with mp 41-42°C and bp 103-104°C (2 mm), was obtained in 62% yield. IR spectrum: 1622 (C=N); 1110, 1155 $cm^{-1}$ (C–F). PMR spectrum ($CCl_4$): 7.32 ppm (1H, t, $CHF_2$, J = 61.5 Hz). Mass spectrum, m/z (in percent relative to the intensity of the maximum peak): 168 (100), 149 (9.9), 118 (67.6), 103 (2.0), 91 (20.8), 90 (10.8), 82 (50.9), 81 (3.1), 77 (3.1), 64 (23.5), 51 (11.8), 50 (8.5).

A similar procedure was used to obtain 1-difluoromethyl-2-methylbenzimidazole (Ib) in 70% yield from 2-methylbenzimidazole; the product had mp 62-63°C and bp 112-113°C (2 mm). IR spectrum: 1621 (C=N); 1115, 1160 $cm^{-1}$ (C–F). PMR spectrum ($CCl_4$): 7.22 (1H, t, $CHF_2$, J = 58.2 Hz), and 2.52 ppm (3H, s, $CH_3$). Mass spectrum, m/z (in percent relative to the intensity of the maximum peak): 182 (100), 168 (36.7), 167 (27.6), 163 (8.3), 162 (14.0), 132 (40.2), 131 (67.8), 118 (39.4), 117 (4.5), 104 (6.8), 103 (3.0), 91 (18.2), 90 (25.4), 82 (8.7), 77 (10.9), 64 (28.4), 51 (26.9), 50 (16.6).

The purity of the compounds obtained was monitored by thin-layer chromatography. The results of elementary analysis were in agreement with the calculated values.

## LITERATURE CITED

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