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BENZAZOLES. 3*. SYNTHESIS AND ARYLSULFONYLATION OF 2-SUBSTITUTED BENZIMIDAZOLES

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2-Alkylbenzimidazoles have been obtained from o-nitroaniline and aliphatic carboxylic acids by reductive cyclization. Interaction of the former with arenesulfonyl chlorides led to the synthesis of 2-alkyl-1-arylsulfonylbenzimidazoles, the yield of which depended on the structure of the substituent in position 2.

Keywords: 2-alkyl-1-arylsulfonylbenzimidazoles, 2-alkylbenzimidazoles, arylsulfonylation, reductive cyclization.

The high biological activity and broad spectrum of action of benzimidazole derivatives [2-8] has caused increased interest in them. Among 2-substituted benzimidazoles are found substances possessing antitumor, hypotensive, spasmolytic, neuroleptic, and antibacterial action [2-6], and also herbicidal, fungicidal, and growth stimulating activity [7, 8].

Communications have appeared recently on the synthesis of 2-cycloalkyl(aralkyl)benzimidazoles and sulfonylation of the latter with methane- and benzenesulfonyl chlorides [9]. Similar reactions for 2-alkylbenzimidazoles have not been studied. Continuing our investigations on the synthesis and conversion of benzazoles [1], in this work we have synthesized 2-alkylbenzimidazoles **2a-e** and have studied their interaction with arene sulfonyl chlorides.

2-Alkylbenzimidazoles were obtained previously from *o*-phenylenediamine and the appropriate acids [10].

Compounds **2a-e** were synthesized by us from *o*-nitroaniline (**1**) and aliphatic acids by reductive cyclization using iron powder and hydrochloric acid. The interaction of products **2a-e** with arene sulfonyl chlorides in the presence of triethylamine at room temperature led to 2-alkyl-1-arylsulfonyl benzimidazoles **3a-e** and **8a-e** (Table 1).

It should be noted that with the lengthening of the substituent R the yields of compounds **3a-e** and **8a-e** were reduced. This is probably explained by the action of steric factors. Substitution in Ar did not have a significant influence on the course of the reaction.

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* For Communication 2, see [1].

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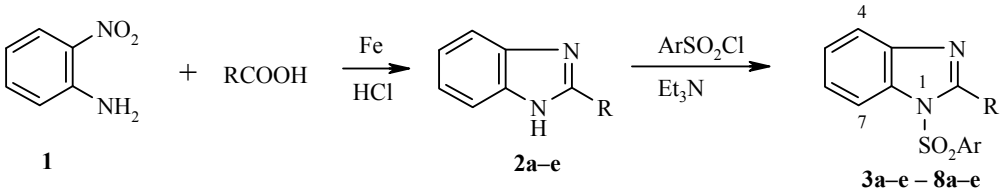


1 **2a–e** **3a–e – 8a–e**

2–8 a R = H, **b** R = Me, **c** R = Et, **d** R = Pr, **e** R = Bu; **3a–e** Ar = 4-MeC_6H_4; **4a–e** Ar = 4-$MeOC_6H_4$; **5a–e** Ar = 4-ClC_6H_4; **6a–e** Ar = 4-*t*-BuC_6H_4; **7a–e** Ar = 3,4-$Me_2C_6H_3$; **8a–e** Ar = 2,4,6-$Me_3C_6H_2$

TABLE 1. Physicochemical Characteristics of the Synthesized Compounds **3a-e – 8a-e**

Compound	Empirical formula	Found, % / Calculated, % N	mp, °C*	Yield, %
1	2	3	4	5
3a	$C_{14}H_{12}N_2O_2S$	10.58 / 10.29	86-88	86
3b	$C_{15}H_{14}N_2O_2S$	10.01 / 9.79	122-124	77
3c	$C_{16}H_{16}N_2O_2S$	9.03 / 9.33	148-150	68
3d	$C_{17}H_{18}N_2O_2S$	9.26 / 8.91	102-104	54
3e	$C_{18}H_{20}N_2O_2S$	8.17 / 8.53	118-120	32
4a	$C_{14}H_{12}N_2O_3S$	10.11 / 9.72	92-94	97
4b	$C_{15}H_{14}N_2O_3S$	8.83 / 9.24	132-134	85
4c	$C_{16}H_{16}N_2O_3S$	9.12 / 8.86	138-140	76
4d	$C_{17}H_{18}N_2O_3S$	8.64 / 8.48	84-86	67
4e	$C_{18}H_{20}N_2O_3S$	8.51 / 8.13	86-88	62
5a	$C_{14}H_{11}ClN_2O_2S$	9.26 / 9.58	125-127	96
5b	$C_{15}H_{13}ClN_2O_2S$	8.83 / 9.12	152-153	79
5c	$C_{16}H_{15}ClN_2O_2S$	8.38 / 8.75	172-174	68
5d	$C_{17}H_{17}ClN_2O_2S$	8.13 / 8.38	140-142	61
5e	$C_{18}H_{19}ClN_2O_2S$	7.78 / 8.04	154-156	56
6a	$C_{17}H_{18}N_2O_2S$	9.25 / 8.91	127-128	76
6b	$C_{18}H_{20}N_2O_2S$	8.17 / 8.53	132-134	70
6c	$C_{19}H_{22}N_2O_2S$	8.51 / 8.18	137-138	63
6d	$C_{20}H_{24}N_2O_2S$	8.09 / 7.86	111-113	58
6e	$C_{21}H_{26}N_2O_2S$	7.67 / 7.56	115-116	52
7a	$C_{15}H_{14}N_2O_2S$	10.02 / 9.79	115-117	89
7b	$C_{16}H_{16}N_2O_2S$	9.12 / 9.33	82-84	80
7c	$C_{17}H_{18}N_2O_2S$	9.32 / 8.91	73-75	75

TABLE 1 (continued)

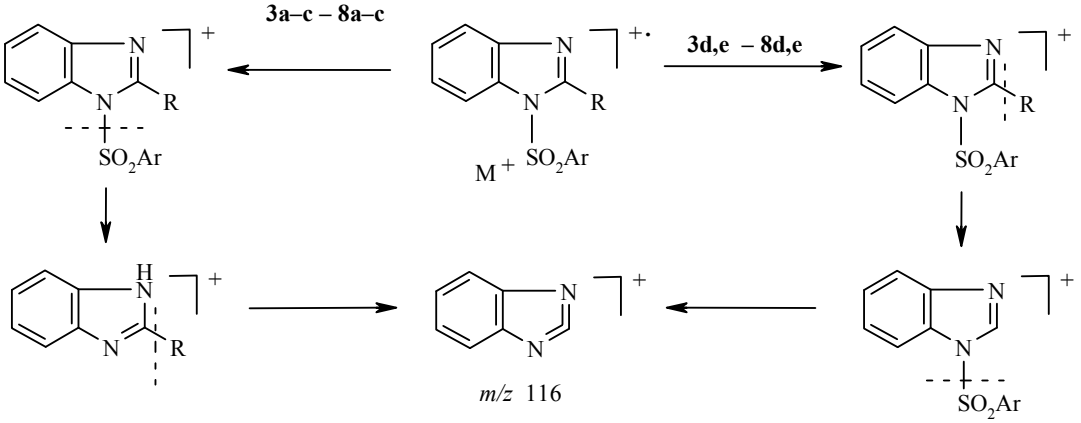
1	2	3	4	5
7d	$C_{18}H_{20}N_2O_2S$	8.26 / 8.53	86-88	64
7e	$C_{19}H_{22}N_2O_2S$	8.42 / 8.18	98-100	58
8a	$C_{16}H_{16}N_2O_2S$	8.98 / 9.33	120-122	72
8b	$C_{17}H_{18}N_2O_2S$	9.17 / 8.91	121-122	64
8c	$C_{18}H_{20}N_2O_2S$	8.81 / 8.53	126-127	58
8d	$C_{19}H_{20}N_2O_2S$	7.86 / 8.18	96-98	53
8e	$C_{20}H_{20}N_2O_2S$	8.19 / 7.86	66-68	47

* Solvents for recrystallization: ethanol (compounds **3a-e**, **4a-e**, **5a**,**b**,**d**,**e**, **6e**, **7a**,**c-e**, **8a-e**), aqueous ethanol (compound **5c**), benzene (compounds **6a-d**), petroleum ether (compound **7b**).

The composition and structure of the synthesized compounds **3a-e** and **8a-e** were confirmed by the results of elemental analysis, data of IR, mass, and ^{1}H NMR spectra.

There were characteristic absorption bands in the IR spectra of compounds **3-8** for the asymmetric and symmetric stretching vibrations of the SO_2 group in the 1100-1400 cm^{-1} region (Table 2).

Peaks were observed in the mass spectra of compounds **3a-e** to **8a-e** for molecular ions and fragments confirming completely the structures proposed. The direction of fragmentation of the molecular ions of compounds **3a-e** to **8a-e** depended on the nature of the substituent R and did not depend on the character of the substitution in Ar. The mass spectra of compounds **3a-c** to **8a-c** showed a single type of fragmentation with cleavage of the $ArSO_2$-Het bond, leading to $[M\text{-}ArSO_2]^+$ and $[M\text{-}Het]^+$ fragments with the former possessing maximal intensity. In the mass spectra of compounds **3d**,**e** to **8d**,**e** (R = Pr, Bu) cleavage of the substituent R occurs first and then $ArSO_2$.



The ^{1}H NMR spectra of compounds **3a-e** to **8a-e** (Table 2) contain the following signals characteristic of the benzimidazole fragment: signals of the H-4 and H-7 protons as two doublets (in the 7.55-8.01 ppm region), multiplet signals of the H-5 and H-6 protons (at 7.22-8.81 ppm), and a low field singlet for the H-2 proton (8.30-8.61 ppm). There were also signals in the spectra for the H_{Ar} protons (6.85-7.45), and for H_R protons, and for alkyl substituents in Ar (0.78-3.74 ppm).

TABLE 2. Spectral Characteristics of Compounds **3a-e** – **8a-e**

Compound	IR spectrum, ν, cm^{-1}		^1H NMR spectrum, δ, ppm (*J*, Hz)*	Mass spectrum, *m/z*, $[M]^+$ (I_{rel}, %)
	SO_2 (as)	SO_2 (s)		
1	2	3	4	5
3a	1352	1165	8.32 (1H, s, H-2); 7.85 (3H, m, H-4,5,6); 7.70 (1H, dd, $J_{7,5}$ = 8.3, $J_{7,6}$ = 3.6, H-7); 7.45 (4H, m, C_6H_4); 2.30 (3H, s, $C_6H_4C\underline{H}_3$)	272 (71)
3b	1372	1173	7.95 (1H, dd, $J_{4,5}$ = 8.3, $J_{4,6}$ = 2.1, H-4); 7.74 (2H, m, H-5,6); 7.55 (1H, dd, $J_{7,5}$ = 2.1, $J_{7,6}$ = 8.3, H-7); 7.25 (4H, m, C_6H_4); 2.75 (3H, s, 2-CH_3); 2.30 (3H, s, $C_6H_4C\underline{H}_3$)	286 (69)
3c	1375	1168	7.99 (1H, dd, $J_{4,5}$ = 8.3, $J_{4,6}$ = 2.2, H-4); 7.72 (2H, m, H-5,6); 7.61 (1H, dd, $J_{7,5}$ = 2.2, $J_{7,6}$ = 8.3, H-7); 7.25 (4H, m, C_6H_4); 3.15 (2H, q, *J* = 7.1; 2-$C\underline{H}_2CH_3$); 2.30 (3H, s, $C_6H_4C\underline{H}_3$); 1.38 (3H, t, *J* = 7.1, 2-$CH_2C\underline{H}_3$)	300 (58)
3d	1369	1171	7.97 (1H, dd, $J_{4,6}$ = 2.2, $J_{4,5}$ = 8.3, H-4); 7.72 (2H, m, H-5,6); 7.59 (1H, dd, $J_{7,5}$ = 2.2, $J_{7,6}$ = 8.3, H-7); 7.24 (4H, m, C_6H_4); 3.11 (2H, q, *J* = 7.3, 2-CH_2); 2.30 (3H, s, $C_6H_4C\underline{H}_3$); 1.85 (2H, q, *J* = 7.3, 2-$CH_2C\underline{H}_2$); 0.95 (3H, t, *J* = 7.3, 2-$C_2H_4C\underline{H}_3$)	314 (67)
3e	1367	1163	7.98 (1H, dd, $J_{4,6}$ = 2.3, $J_{4,5}$ = 8.1, H-4); 7.75 (2H, m, H-5,6); 7.60 (1H, dd, $J_{7,5}$ = 2.3, $J_{7,6}$ = 8.1, H-7); 7.25 (4H, m, C_6H_4); 3.10 (2H, t, *J* = 7.3, 2-CH_2); 2.30 (3H, s, $C_6H_4C\underline{H}_3$); 1.82 (2H, m, 2-$CH_2C\underline{H}_2$); 1.40 (2H, m, 2-$C_2H_4C\underline{H}_2$); 0.89 (3H, t, *J* = 7.3, 2-$C_3H_6C\underline{H}_3$)	328 (63)
4a	1378	1162	8.32 (1H, s, H-2); 7.87 (2H, m, H-4,5); 7.79 (1H, dd, $J_{6,4}$ = 2.2, $J_{6,7}$ = 7.5, H-6); 7.70 (1H, d, $J_{7,6}$ = 7.5, H-7); 7.32 (2H, m, C_6H_4); 6.88 (2H, m, C_6H_4); 3.79 (3H, s, OCH_3)	288 (69)
4b	1373	1166	7.95 (1H, dd, $J_{4,6}$ = 2.9, $J_{4,5}$ = 7.9; H-4); 7.79 (2H, m, H-5,6); 7.55 (1H, dd, $J_{7,5}$ = 2.9, $J_{7,6}$ = 7.9; H-7); 7.25 (2H, m, C_6H_4); 6.87 (2H, m, C_6H_4); 3.78 (3H, s, OCH_3); 2.78 (3H, s, 2-CH_3)	302 (72)
4c	1375	1167	7.96 (1H, dd, $J_{4,6}$ = 2.9, $J_{4,5}$ = 7.9, H-4); 7.78 (2H, m, H-5,6); 7.61 (1H, dd, $J_{7,5}$ = 2.9, $J_{7,6}$ = 7.9, H-7); 7.25 (2H, m, C_6H_4); 6.86 (2H, m, C_6H_4); 3.74 (3H, s, OCH_3); 3.12 (2H, q, *J* = 7.1, 2-CH_2); 1.39 (3H, t, *J* = 7.1, 2-$CH_2C\underline{H}_3$)	316 (59)
4d	1380	1169	7.96 (1H, dd, $J_{4,6}$ = 2.9, $J_{4,5}$ = 7.6, H-4); 7.77 (2H, m, H-5,6); 7.59 (1H, dd, $J_{7,5}$ = 2.9, $J_{7,6}$ = 8.3, H-7); 7.25 (2H, m, C_6H_4); 6.87 (2H, m, C_6H_4); 3.73 (3H, s, OCH_3); 3.06 (2H, t, *J* = 7.5, 2-CH_2); 1.91 (2H, q, *J* = 7.5, 2-$CH_2C\underline{H}_2$); 0.99 (3H, t, *J* = 7.5, 2-$C_2H_4C\underline{H}_3$)	330 (42)
4e	1382	1179	7.97 (1H, dd, $J_{4,6}$ = 2.5, $J_{4,6}$ = 8.7, H-4); 7.78 (2H, m, H-5,6); 7.61 (1H, dd, $J_{7,5}$ = 2.5, $J_{7,6}$ = 8.7, H-7); 7.25 (2H, m, C_6H_4); 6.85 (2H, m, C_6H_4); 3.73 (3H, s, OCH_3); 3.09 (2H, t, *J* = 7.5, 2-CH_2); 1.83 (2H, m, 2-$CH_2C\underline{H}_2$); 1.44 (2H, m, 2-$C_2H_4C\underline{H}_2$); 0.93 (3H, t, *J* = 7.5, 2-$C_3H_6C\underline{H}_3$)	344 (11)
5a	1365	1162	8.63 (1H, s, H-2); 8.05 (2H, m, H-4,5); 7.85 (1H, dd, $J_{6,4}$ = 2.1, $J_{6,7}$ = 8.1, H-6); 7.65 (1H, dd, $J_{7,5}$ = 2.1, $J_{7,6}$ = 8.1, H-7); 7.55 (2H, m, C_6H_4); 7.35 (2H, m, C_6H_4)	292 (25)
5b	1377	1172	7.97 (1H, dd, $J_{4,6}$ = 2.2, $J_{4,5}$ = 8.2, H-4); 7.81 (2H, m, H-5,6); 7.60 (1H, dd, $J_{7,5}$ = 2.2, $J_{7,6}$ = 8.2, H-7); 7.41 (2H, m, C_6H_4); 7.15 (2H, m, C_6H_4), 2.76 (3H, s, 2-CH_3)	306 (100)
5c	1362	1166	7.99 (1H, dd, $J_{4,6}$ = 2.2, $J_{4,5}$ = 8.2, H-4); 7.80 (2H, m, H-5,6); 7.65 (1H, dd, $J_{7,5}$ = 2.2, $J_{7,6}$ = 8.2, H-7); 7.36 (2H, m, C_6H_4); 7.16 (2H, m, C_6H_4), 3.21 (2H, q, *J* = 7.3, 2-CH_2); 1.39 (3H, t, *J* = 7.3, 2-$CH_2C\underline{H}_3$)	320 (68)
5d	1377	1164	7.98 (1H, dd, $J_{4,6}$ = 2.1, $J_{4,5}$ = 8.2, H-4); 7.81 (2H, m, H-5,6); 7.61 (1H, dd, $J_{7,5}$ = 2.1, $J_{7,6}$ = 8.2, H-7); 7.35 (2H, m, C_6H_4); 7.17 (2H, m, C_6H_4), 3.05 (2H, t, *J* = 7.5, 2-CH_2); 1.84 (2H, q, *J* = 7.5, 2-$CH_2C\underline{H}_2$); 0.98 (3H, t, *J* = 7.5, 2-$C_2H_4C\underline{H}_3$)	334 (34)
6a	1381	1171	8.33 (1H, s, H-2); 7.85 (3H, m, H-4,5,6); 7.71 (1H, dd, $J_{7,5}$ = 2.1, $J_{7,6}$ = 8.2, H-7); 7.45 (2H, m, C_6H_4); 7.32 (2H, m, C_6H_4); 1.20 (9H, s, $C(CH_3)_3$)	314 (68)

TABLE 2 (continued)

1	2	3	4	5
6b	1366	1177	7.99 (1H, dd, $J_{4,6}$ = 2.1, $J_{4,5}$ = 8.6, H-4); 7.78 (2H, m, H-5,6); 7.57 (1H, dd, $J_{7,5}$ = 2.1, $J_{7,6}$ = 8.2, H-7); 7.43 (2H, m, C_6H_4); 7.26 (2H, m, C_6H_4); 2.75 (3H, s, 2-CH_3); 1.20 (9H, s, $C(CH_3)_3$)	328 (76)
6c	1375	1170	8.01 (1H, dd, $J_{4,6}$ = 2.2, $J_{4,5}$ = 8.2, H-4); 7.76 (2H, m, H-5,6); 7.61 (1H, dd, $J_{7,5}$ = 2.2, $J_{7,6}$ = 8.2, H-7); 7.42 (2H, m, C_6H_4); 7.26 (2H, m, C_6H_4); 3.15 (2H, q, J = 7.3, 2-CH_2); 1.39 (3H, t, J = 7.3, 2-$CH_2C\underline{H}_3$); 1.21 (9H, s, $C(CH_3)_3$)	342 (56)
6d	1376	1169	7.98 (1H, dd, $J_{4,6}$ = 2.4, $J_{4,5}$ = 8.5, H-4); 7.75 (2H, m, H-5,6); 7.60 (1H, dd, $J_{7,5}$ = 2.4, $J_{7,6}$ = 8.5, H-7); 7.42 (2H, m, C_6H_4); 7.26 (2H, m, C_6H_4); 3.08 (2H, t, J = 7.5, 2-CH_2); 1.95 (2H, q, J = 7.5, 2-$CH_2C\underline{H}_2$); 1.21 (9H, s, $C(CH_3)_3$); 0.99 (3H, t, J = 7.5, 2-$C_2H_4C\underline{H}_3$)	356 (41)
6e	1372	1165	8.01 (1H, dd, $J_{4,6}$ = 2.3, $J_{4,5}$ = 8.8, H-4); 7.75 (2H, m, H-5,6); 7.61 (1H, dd, $J_{7,5}$ = 2.3, $J_{7,6}$ = 8.8, H-7); 7.42 (2H, m, C_6H_4); 7.27 (2H, m, C_6H_4); 3.12 (2H, t, J = 7.3, 2-CH_2); 1.81 (2H, m, 2-$CH_2C\underline{H}_2$); 1.41 (2H, m, 2-$C_2H_4C\underline{H}_2$); 1.21 (9H, s, $C(CH_3)_3$); 0.89 (3H, t, J = 7.3, 2-$C_3H_6C\underline{H}_3$)	370 (12)
7a	1375	1167	8.61 (1H, s, H-2); 7.81 (2H, m, H-4,5); 7.78 (1H, d, $J_{6,4}$ = 2.1, H-6); 7.74 (1H, dd, $J_{7,5}$ = 2.1, $J_{7,6}$ = 8.5, H-7); 7.32 (3H, m, C_6H_3); 2.02 (6H, s, $C_6H_3(C\underline{H}_3)_2$)	286 (63)
7b	1369	1164	7.92 (1H, dd, $J_{4,6}$ = 2.1, $J_{4,5}$ = 8.4, H-4); 7.64 (2H, m, H-5,6); 7.45 (1H, dd, $J_{7,5}$ = 2.2, $J_{7,6}$ = 8.4, H-7); 7.25 (3H, m, C_6H_3); 2.57 (3H, s, 2-CH_3); 2.15 (6H, s, $C_6H_3(C\underline{H}_3)_2$)	300 (71)
7c	1372	1159	7.97 (1H, dd, $J_{4,6}$ = 2.3, $J_{4,5}$ = 8.7, H-4); 7.59 (3H, m, H-5,6,7); 7.28 (3H, m, C_6H_3); 3.18 (2H, q, J = 7.4, 2-CH_2); 2.20 (6H, s, $C_6H_3(C\underline{H}_3)_2$); 1.33 (3H, t, J = 7.4, 2-$CH_2C\underline{H}_3$)	314 (52)
7d	1365	1165	7.96 (1H, dd, $J_{4,6}$ = 2.1, $J_{4,5}$ = 8.4, H-4); 7.60 (3H, m, H-5,6,7); 7.23 (3H, m, C_6H_3); 3.12 (2H, t, J = 7.4, 2-CH_2); 2.21 (6H, s, $C_6H_3(C\underline{H}_3)_2$); 1.81 (2H, q, J = 7.4, 2-$CH_2C\underline{H}_2$); 0.96 (3H, t, J = 7.4, 2-$C_2H_4C\underline{H}_3$)	328 (39)
7e	1368	1163	7.98 (1H, dd, $J_{4,6}$ = 2.6, $J_{4,5}$ = 8.7, H-4); 7.58 (3H, m, H-5,6,7); 7.31 (3H, m, C_6H_3); 3.13 (2H, t, J = 7.4, 2-CH_2); 2.24 (6H, s, $C_6H_5(C\underline{H}_3)_2$); 1.75 (2H, m, 2-$CH_2C\underline{H}_2$); 1.40 (2H, m, 2-$C_2H_4C\underline{H}_2$); 0.90 (3H, t, J = 7.4, 2-$C_3H_6C\underline{H}_3$)	342 (17)
8a	1352	1165	8.63 (1H, s, H-2); 7.68 (1H, dd, $J_{4,6}$ = 2.1, $J_{4,5}$ = 8.9, H-4); 7.25 (3H, m, H-5,6,7); 7.06 (2H, s, C_6H_2); 2.45 (6H, s, 2.6-$C_6H_2(C\underline{H}_3)_2$); 2.23 (3H, s, 4-$C_6H_2C\underline{H}_3$)	300 (68)
8b	1359	1169	7.57 (2H, m, H-4,5); 7.25 (2H, m, H-6,7); 7.05 (2H, s, C_6H_2); 2.43 (3H, s, 2-CH_3); 2.35 (6H, s, 2.6-$C_6H_2(C\underline{H}_3)_2$); 2.26 (3H, s, 4-$C_6H_2C\underline{H}_3$)	314 (73)
8c	1367	1160	7.61 (2H, m, H-4,5); 7.26 (2H, m, H-6,7); 7.05 (2H, s, C_6H_2); 2.80 (2H, q, J = 7.4, 2-CH_2); 2.34 (6H, s, 2.6-$C_6H_2(C\underline{H}_3)_2$); 2.26 (3H, s, 4-$C_6H_2C\underline{H}_3$); 1.17 (3H, t, J = 7.4, 2-$CH_2C\underline{H}_3$)	328 (61)
8d	1366	1162	7.63 (2H, m, H-4,5); 7.28 (2H, m, H-6,7); 7.07 (2H, s, C_6H_2); 2.78 (2H, t, J = 7.3, 2-CH_2); 2.35 (6H, s, 2.6-$C_6H_2(C\underline{H}_3)_2$); 2.27 (3H, 4-$C_6H_2C\underline{H}_3$); 1.61 (2H, q, J = 7.3, 2-$CH_2C\underline{H}_2$); 0.81 (3H, t, J = 7.3, 2-$C_2H_4C\underline{H}_3$)	342 (38)
8e	1364	1165	7.65 (2H, m, H-4,5); 7.27 (2H, m, H-6,7); 7.08 (2H, s, C_6H_2); 2.77 (2H, t, J = 7.3, 2-CH_2); 2.36 (6H, s, 2.6-$C_6H_2(C\underline{H}_3)_2$); 2.27 (3H, s, 4-$C_6H_2C\underline{H}_3$); 1.51 (2H, m, 2-$CH_2C\underline{H}_2$); 1.22 (2H, m, 2-$C_2H_4C\underline{H}_2$); 0.78 (3H, t, J = 7.4, 2-$C_3H_6C\underline{H}_3$)	356 (18)

*^{1}H NMR spectra were taken in CD_3OD (compounds **5a-e**, **7a-e**, **8a-e**) or $CDCl_3$ (compounds **3a-e**, **4a-e**, **6a-e**).

EXPERIMENTAL

The IR spectra of nujol suspensions of compounds were taken on a Perkin-Elmer 2000 Fourier spectrometer, and the ^{1}H NMR spectra on a Unity 400$^+$ (400 MHz) spectrometer, internal standard was TMS. The mass spectra were recorded on a Kratos MS-30 instrument with direct insertion of sample into the ion source (ionization energy 70 eV). A check on the progress of reactions and the homogeneity of the synthesized compounds was effected by TLC on Silufol UV-254 plates in the solvent system benzene–acetone, 10:1, the developer was a solution of $KMnO_4$ (1 g) in H_2SO_4 (4 ml) and H_2O (96 ml).

2-Alkylbenzimidazoles 2a-e (General Method). A mixture of compound **1** (1.38 g, 10 mmol), the appropriate carboxylic acid (60 mmol), iron powder (1.68 g, 30 mmol) and 32% HCl (10 ml) was heated to 70^{o}C. After the end of the vigorous evolution of hydrogen the mixture was maintained at the same temperature for 1 h, and then at 90-100^{o}C for 2 h. The reaction mixture was cooled, 20% NaOH solution was added to pH 9-10, the precipitated solid was filtered off, and washed with water until neutral reaction. Alcohol (20 ml) was added to the washed solid, the mixture was boiled for 1 h, and filtered without cooling. Alcohol (~10 ml) was distilled from the filtrate, the residue was diluted with three volumes of water, the resulting product **2** was filtered off, and recrystallized from the appropriate solvent. The melting points of the synthesized compounds **2a-e**, and of samples of them obtained by cyclization of *o*-phenylenediamine with carboxylic acids [10], coincided.

2-Alkyl-1-arylsulfonylbenzimidazoles 3a-e to 8a-e (General Method). A solution of compound **2** (10 mmol) and triethylamine (1.11 g, 11 mmol) in acetone (30 ml) was added dropwise to a solution of the appropriate arene sulfonyl chloride (11 mmol) in acetone (20 ml). The reaction mixture was stirred at room temperature for 4 h, the acetone was then distilled, and water (50 ml) was added to the residue. The solid product obtained of **3a-e** to **8a-e** was filtered off and recrystallized from the appropriate solvent.

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