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INTRAMOLECULAR CYCLIZATION OF 2-THIAZOLYLAMIDES OF 2-ARYL-2-HYDROXY-2-OXO-*Z*-2-BUTENOIC ACIDS TO IMIDAZO[2,1-*b*]THIAZOLES

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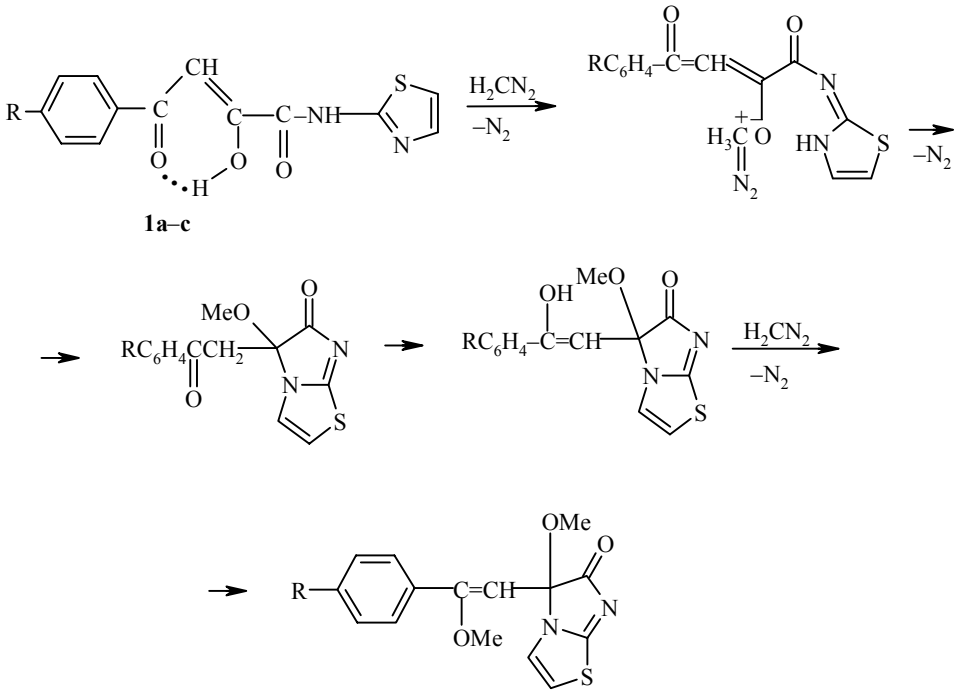
The action of a solution of diazomethane in ether on 2-thiazolylamides of 4-aryl-2-hydroxy-4-oxo-*Z*-2-butenoic acids **1a-c** leads to cyclization to give 5-(2-aryl-2-methoxyethenyl)-5-methoxy-6-oxo-5,6-dihydroimidazo[2,1-*b*]thiazoles **2a-c**.

Thin-layer chromatography indicated the presence of at least four compounds in the reaction mixture but **2a-c** are the major products obtained in 30-47% yield. The mechanism of this reaction requires special study.



1a–c

2a–c

a R = H, **b** R = Cl, **c** R = Br

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Similar cyclization to give derivatives of imidazo[1,2-*a*]pyridines was observed in the reaction of 2-pyridylamides of aroylpyruvic acids with diazoalkanes [1].

The IR spectra were taken on a UR-20 spectrometer as vaseline mulls. The ^{1}H NMR spectra were taken on a Bruker WP80 SY spectrometer at 80 MHz in DMSO-d_6 with TMS as the internal standard. The UV spectra were taken on an SF-46 spectrophotometer in ethanol. The thin-layer chromatography was carried out on Silufol UV-254 plates using 10:9:1 ether–benzene–acetone as the eluent.

5-(2-Aryl-2-methoxyethenyl)-5-methoxy-6-oxo-5,6-dihydroimidazo[2,1-*b*]thiazoles (2a-c). A sample of diazomethane (0.04 mol) in diethyl ether (30 ml) was added to a solution of 2-thiazolylamide of 4-aryl-2-hydroxy-4-oxo-*Z*-2-butenoic acid (0.01 mol) in dioxane (25 ml) maintained at from -5 to 0°C. After 24 h, the solvent was evaporated at 20-25°C. The residue was recrystallized from 1:1 hexane–benzene.

Thiazole 2a was obtained in 30% yield; mp 159-161°C, R_f 0.51. IR spectrum, ν, cm^{-1}: 1645 (C=O). UV spectrum, λmax (log ε): 274 nm. ^{1}H NMR spectrum, δ, ppm: 3.57 (3H, s, CH_3O); 4.03 (3H, s, CH_3O); 6.78 (1H, s, CH=C); 7.50 (5H, 1H, C^2H=C^3), 1H, C^3H=C^2, m, C_6H_5); 8.04 (2H, d, C_6H_5). Found, %: C 59.40; H 4.67; N 9.30; S 10.68. $C_{15}H_{14}N_2O_3S$. Calculated, %: C 59.60; H 4.64; N 9.27; S 10.60.

Thiazole 2b was obtained in 47% yield; mp 122-123°C, R_f 0.40. IR spectrum, ν, cm^{-1}: 1645 (C=O). UV spectrum, λ_{max} (log ε): 280 nm (4.65). ^{1}H NMR spectrum, δ, ppm: 3.57 (3H, s, CH_3O); 4.05 (3H, s, CH_3O); 6.78 (1H, s, CH=C); 7.28 (1H, s, C^2H=C^3); 7.58 (1H, s, C^3H=C^2); 8.08 (4H, d, C_6H_4). Found, %: C 53.60; H 3.77; N 8.38; Cl 10.80; S 9.68. $C_{15}H_{13}ClN_2O_3S$. Calculated, %: C 53.49; H 3.86; Cl 10.55; N 8.32; S 9.51.

Thiazole 2c was obtained in 40% yield; mp 108-110°C, R_f 0.52. IR spectrum, ν, cm^{-1}: 1668 (C=O). UV spectrum, λ_{max} (log ε): 208 nm (3.93). ^{1}H NMR spectrum, δ, ppm: 3.50 (3H, s, CH_3O); 4.03 (3H, s, CH_3O); 6.80 (1H, s, CH=C); 7.40 (1H, d, C^2H=C^3); 7.63 (1H, d, C^3H=C^2); 8.00 (4H, d, C_6H_4). Found, %: C 47.40; H 3.50; Br 20.85; N 7.38; S 8.67. $C_{15}H_{13}BrN_2O_3S$. Calculated, %: C47.26; H 3.41; Br 20.98; N 7.35; S 8.40.

REFERENCES

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