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NOTE

Synthesis and Antibacterial Activities of 2-Triazolinyl Quinoxalines

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Reaction of 2-(aryliminomethyl) quinoxalines (**1a–d**) with diazomethane gave 2-(aryl-1,2,3-triazolin-5-yl) quinoxaline (**2a–d**) in good yield.

Key Words: Synthesis, Antibacterial Activities, 2-Triazolinyl quinoxalines.

Considering the report of immense biological importance of quinoxalines and triazolines[1, 2], it was thought to synthesize new compounds incorporating both the types of ring systems. Kadaba and Edward[3] reported the synthesis of substituted triazolines by the addition of diazomethane across —C═N of Schiff's bases. Herein, the application of the above reaction on the synthesis of new triazolinyl quinoxalines is reported.

Treatment of 2-(aryliminomethyl) quinoxalines (**1a–d**) with freshly prepared diazomethane in dioxane for several hours under room temperature gave 2-(1-aryl-1,2,3-triazolin-5-yl) quinoxalines (**2a–d**) in good yield.

The above reactions have been presumed to consist of two steps, a slow rate determining step in which a nucleophilic attack by the carbon in diazomethane on the double bonded carbon of the anil takes place to give the intermediate **1e**. The subsequent step is a rapid ring closure to form triazoline ring. It is pertinent to note here that the carbon of diazomethane has often been postulated to have nucleophilic character[3].

The spectral data and elemental analysis were in agreement with the proposed structures[4]. The mass spectra of the triazolines were all characteristic of (M^+-42) peaks. This peak accounted for the easy loss of CH_2N_2 from triazolines. The NMR spectrum of the compounds showed triplet at δ 5.2 (—^{4}CH), doublet at δ 4.7 (—$^5CH_2$) and multiplet at δ 7.5–8.5 for the aromatic portions. The infrared spectra of all the compounds showed bands at 3060 cm^{-1} for the CH stretching and characteristic bands at 990 and 950 cm^{-1} for triazolines[4].

Antibacterial Activities

The compounds **2a–d** were screened for their activities against *Pseudomonas aeruginosa* (P.a), *Vibrio parahaemolyticus* (V.p.) and *Bacillus cereus* (B.c.) following the turbidity measurement methods. The samples of strengths 10, 50 and 100 ppm were used for the tests. The triazolinylquinoxalines showed considerable growth inhibition properties (> 80%), particularly at higher concentrations.

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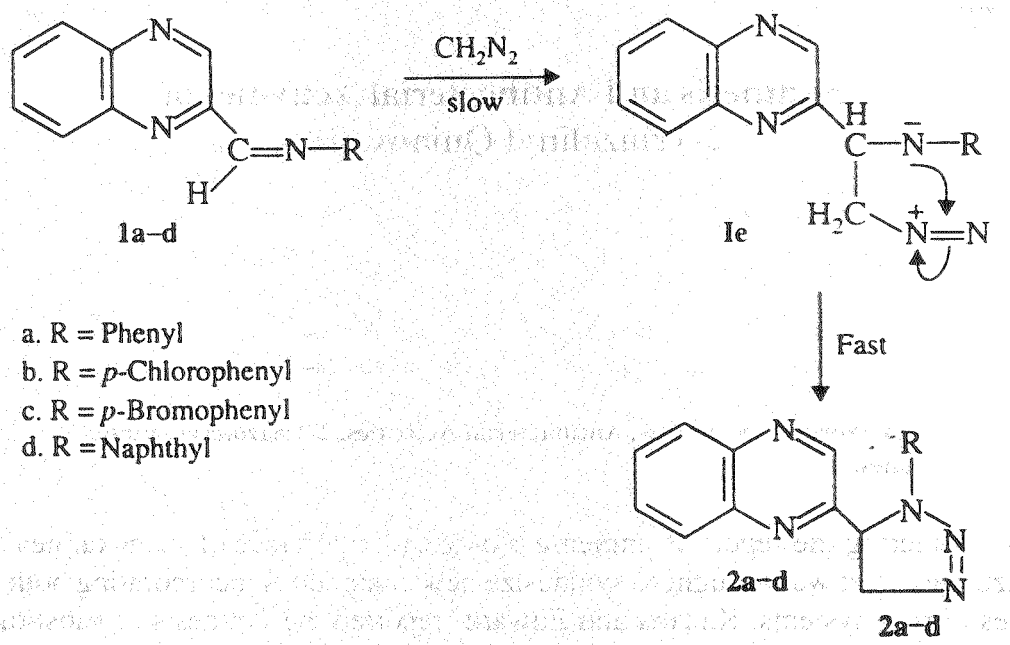

a. R = Phenyl
b. R = *p*-Chlorophenyl
c. R = *p*-Bromophenyl
d. R = Naphthyl

General procedure: 2-(1-Aryl-1,2,3-triazolin-5-yl)quinoxalines (2a–d): To a solution of the Schiff's bases of quinoxaline-2-carboxaldehyde[6,7] (**1a–d**; 0.0025 mol) in 15 mL of dioxane was added a solution of 1 g of diazomethane in 25 mL moist dioxane. The reaction mixture was kept tightly corked at room temperature for 120 h. After the completion of the reaction as monitored by TLC, 50 mL of cold water was added to it and cooled again. The yellow crystals that separated were filtered and recrystallized from hexane to get triazolinyl quinoxalines **(2a–d).**

2a: m.p. 120–22°C, yield 58%. IR (KBr): 3067 (CH), 981, 955 cm^{-1}. ^{1}HNMR ($CDCl_3$): δ 8.7 [1H, s], 8.3–7.3, δ 5.2 [1H, t], δ 4.7 (2H, d). MS: m/z 275 (M^+), 247 (M^+ , —N_2, 232 (M^+, —CH_2). UV (MeOH) α_{max} 315 nm, 280 nm. Anal., found: C, 69.82; H, 4.63; N, 25.4 for $C_{16}H_{13}N_5$.

2b: m.p. 82–84°C, yield 77%, MS: m/z 309 (M^+, —CH_2), 142.

2c: m.p. 86°C, yield 62%. MS: m/z 359 (M^+), 316 (M^+, —N_2).

2d: m.p. 12°C, yield 75%. MS: m/z 325 (M^+), 297 (M^+, —N_2), 282 (M^+, 1H —CH_2N_2).

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