

LETTERS
TO THE EDITOR

Synthesis of 3-[(Acrid-9-one-10-yl)methyl]-5-R,6-R-1,2,4-triazines

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Acridone acetic acid derivatives [1] and 1,2,4-triazines [2, 3] exhibit pharmacological activity. With a view to obtain new biologically active compounds containing acridine and triazine moieties we performed the reactions of (acrid-9-one-10-yl)acetic acid hydrazide with some methylene compounds. The reactions occurred in glacial acetic acid in the presence of ammonium acetate to give 3-[(acrid-9-one-10-yl)methyl]-5-R,6-R-1,2,4-triazines **2** and **3** in 45 and 36% yield respectively. (Acrid-9-one-10-yl)acetic acid hydrazide **1** was prepared by reacting hydrazine hydrate with *n*-butyl (acrid-9-one-10-yl)acetate under microwave irradiation [4]. The structures of compounds **2** and **3** were confirmed by elemental analysis, IR, UV, and ¹H NMR spectroscopy (Scheme 1).

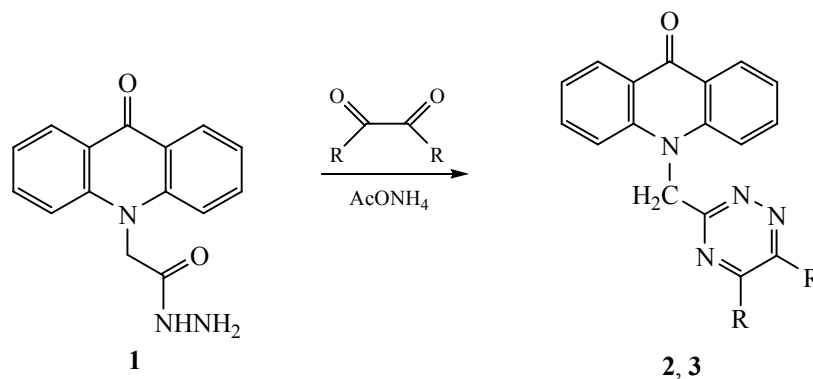
Compound **1** (mp 297°C) was obtained by procedure described in [4].

3-[(Acrid-9-one-10-yl)methyl]-5,6-dimethyl-1,2,4-triazine (2). A mixture of 0.53 g (2 mmol) of (acrid-9-

one-10-yl)acetic acid hydrazide, 0.23 g (3 mmol) of ammonium acetate, 0.44 mL (5 mmol) of diacetyl and 7 mL of glacial acetic acid was refluxed for 3 h. After cooling, the precipitate was filtered off, dried and recrystallized from 1,4-dioxane. Yield 0.28 g (45%), pale brown crystals, mp 245°C. IR spectrum, ν , cm⁻¹: 3202, 1687 (C=O), 1626, 1594, 1493, 1464, 1377, 1294, 1259, 1181, 1142, 1104, 1064, 855, 752, 669. UV spectrum, λ_{\max} (log ϵ), nm: 255(0.991). ¹H NMR spectrum, δ , ppm: 1.9 s (3H, CH₃), 2.1 s (3H, CH₃), 5.75 s (2H, CH₂), 7.3–8.4 m (8H, Ph). Mass spectrum, m/z : 317.1397 [$M + H$]⁺, 339.1216 [$M + Na$]⁺. Found, %: C 72.14, 72.16; H 5.08, 5.07; N 17.75, 17.73. C₁₉H₁₆N₄O. Calculated, %: C 72.15; H 5.06; N 17.72.

3-[(Acrid-9-one-10-yl)methyl]-5,6-diphenyl-1,2,4-triazine (3) was prepared similarly. Yield 0.32 g (36%), beige crystals, mp 290–291°C. IR spectrum, ν , cm⁻¹: 3196, 3060, 1685 (C=O), 1632, 1597, 1488, 1374, 1293, 1266, 1180, 1064, 1007, 935, 757 (Ph),

Scheme 1.



R = Me (**2**), Ph (**3**).

695 (Ph). UV spectrum, λ_{\max} (log ϵ), nm: 249 (0.442). ^1H NMR spectrum, δ , ppm: 6.3 s (2H, CH_2), 7.1–7.5 m (8H, Ph), 7.6–8.4 m (10H, Ph). Found, %: C 79.07, 79.10; H 4.56, 4.58; N 12.71, 12.73. $\text{C}_{29}\text{H}_{20}\text{N}_4\text{O}$. Calculated, %: C 79.09; H 4.54; N 12.72.

IR spectra were recorded on a FTIR spectrometer Agilent Cary 660 FTIR. Electronic spectra were taken on a Shimadzu UV-1800 spectrophotometer. ^1H NMR spectra ($\text{DMSO}-d_6$) spectra were obtained on a Bruker AM-300 (300 MHz), internal reference HMDS. Mass spectra were recorded on a mass spectrometer Bruker micrOTOF II. The purity of the isolated compounds was checked by TLC on Silufol UV-254 plates; eluent toluene–acetone–ethanol (10 : 3 : 2), detection under UV light.

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