Monatsh Chem (2011) 142:67–80
DOI 10.1007/s00706-010-0419-9

ORIGINAL PAPER

Design and synthesis of 3-acyl-2(3*H*)-benzoxazolone and 3-acyl-2(3*H*)-benzothiazolone derivatives

Faouzi Guenadil · Hocine Aichaoui · Coco N. Kapanda · Didier M. Lambert · Christopher R. McCurdy · Jacques H. Poupaert

Received: 13 October 2008 / Accepted: 7 November 2010 / Published online: 2 December 2010

Abstract A simpler and efficient "green" method using solid sodium hydroxide in a solvent mixture of acetone/water was found to catalyze N-acylation of 2(3*H*)-benzoxazolones and 2(3*H*)-benzothiazolones for facile and rapid synthesis of *N*-acyl derivatives in excellent yields. This method was applied to the synthesis of a series of 132 compounds employing a variety of acyl chlorides.

Keywords 2(3*H*)-Benzoxazolone · 2(3*H*)-Benzothiazolone · N-Acylation reaction · Acyl chlorides

Introduction

The 2(3*H*)-benzoxazolone heterocyclic template has long been known as a bioisostere of catechol and can be considered a "privileged scaffold" in the design of new pharmacophores [1]. Therapeutic applications of this platform are very broad and range from analgesic anti-inflammatory compounds to anticocaine and neuroprotective anticonvulsant agents [2–7]. In particular, 6-benzoyl-2(3*H*)-benzoxazolone (CERM 10194) and its sulfur surrogate (S-14080) underwent clinical trials as anti-inflammatory analgesics [6–10]. They were found to inhibit not only the arachidonic inflammatory cascade, but also to induce the release of an opioid peptide (possibly endomorphin) in the periphery [1]. Thus, in an effort aimed at developing mild and flexible strategies to design new 2(3*H*)-benzoxazolone libraries and synthesize heterocyclic scaffolds to prepare new valuable building blocks in medicinal chemistry, we devised an efficient and green method to get access to a collection of 3-acyl-2(3*H*)-benzoxazolones and their corresponding sulfur bioisosters, which are regioisomeric analogs of the prototypic CERM 10194 and S-14080 (Fig. 1).

Results and discussion

The synthesis of 3-acyl-2(3*H*)-benzoxazolones and 3-acyl-2(3*H*)-benzothiazolones is well documented in the literature and makes use of a base-catalyzed acyl transfer process using either acid halides or anhydrides as acylating agents and various bases as catalysts, such as pyridine, TEA, potassium carbonate, etc., in various organic solvents (i.e., acetone, THF, DMF) [11–16]. While in our hands these processes led effectively to the desired acylated species in fair to good yields, none of them were judged satisfactory to elaborate a library of the title compounds. All of them required a certain degree of labor and workup (heating for several hours, dilution in large volume of water, neutralization, extraction, etc.). We therefore searched for a simpler alternative method that would fit in the concept of green chemistry. We were pleased to observe that the reaction of 2(3*H*)-benzoxazolone with benzoyl chloride proceeded to completion at room temperature within 30 min when run in

F. Guenadil · H. Aichaoui
Laboratoire de Chimie Pharmaceutique, Département de Chimie, Faculté des Sciences, Université de Badji-Mokhtar, 23000, BP 12, Annaba, Algeria

C. N. Kapanda · D. M. Lambert · J. H. Poupaert (✉)
Louvain Drug Research Institute, Département de Chimie Pharmaceutique (CMFA-Cannabinoid and Endocannabinoid Research Group), Université Catholique de Louvain, 1200 Brussels, Belgium
e-mail: jacques.poupaert@uclouvain.be

C. R. McCurdy
Department of Medicinal Chemistry, School of Pharmacy, University of Mississippi, University, MS 38677, USA

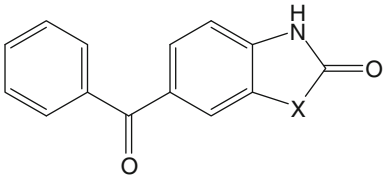

Fig. 1 Structure of CERM 10194 (X=O) and S-14080 (X=S)

an acetone:water mixture (90:10, v/v) upon addition of solid sodium hydroxide. The optimum amount of sodium hydroxide and the acetone:water ratio was tuned by incremental modifications. The recovery of the product was extremely simple as the final product precipitates. It was easily filtered off, and this operation could eventually be automated. To our surprise, use of a phase transfer catalyst (PEG600 or benzyltrimethylammonium chloride) [17–19] in typical conditions of solid liquid phase transfer catalysis gave results similar to those obtained with the simpler acetone:water system, and substitution of NaOH by KOH or LiOH or changes of acetone by other classical solvents were found slightly detrimental. A possible explanation of these special features can be presented: it has been reported indeed that 4-hydroxy-4-methylpentane-2-one (known as diacetone alcohol), i.e., the product arising from acetone aldolization, can coordinate a sodium cation. This species is thus likely to work as a solid-liquid phase transfer catalyst and can promote the N-acylation [20, 21]. To expand the diversity at the 6-position of 2(3*H*)-benzoxazolone and 2(3*H*)-benzothiazolone, a first step consisted of the synthesis of the key structural elements, and Scheme 1 illustrates the reactions finally adopted.

The classical nitration procedure using nitric acid yielded the corresponding 6-nitro-2(3*H*)-benzoxazolone and 6-nitro-2(3*H*)-benzothiazolone in 79 and 78% yields [22]. The aromatic bromination reaction of 2(3*H*)-benzoxazolone and 2(3*H*)-benzothiazolone with bromine in the presence of sodium acetate in glacial acetic acid offers one of the most direct and viable methods for the synthesis of 6-bromo derivatives in satisfactory yields after 24 h [23]. To improve the yield, an alternative bromination reaction was employed using NBS in water acidified with sulfuric acid affording **1b** and **2b** with an improved yield (83–85%) and a shorter reaction time of 8 h [24]. The Friedel-Crafts acylation reaction taking advantage of the $AlCl_3$–DMF complex as catalyst is well known and provides ready access to substituted 6-acyl-2(3*H*)-benzoxazolones and 6-acyl-2(3*H*)-benzothiazolones in high yields [25–27]. According to the synthetic procedure shown in Scheme 1, we synthesized a library of 132 compounds, employing 11 acid chlorides, 2(3*H*)-benzoxazolone, 2(3*H*)-benzothiazolone, and 10 of their derivatives (Fig. 2); 28 of them have been described previously [11–16, 28–46].

All these compounds were characterized for purity by thin-layer and HPLC chromatography conditions. In 118 samples, the purity exceeded 92%. Their structure was ascertained by proton and carbon-13 nuclear magnetic resonance.

Conclusion

In conclusion we developed and optimized a practical green protocol of N-acylation of 2(3*H*)-benzoxazolone and 2(3*H*)-benzothiazolone derivatives. The synthesis route employed provided ready access to a library of 132 derivatives of 3-acyl-2(3*H*)-benzoxazolones and 3-acyl-2(3*H*)-benzothiazolones with diversification in two different positions.

Experimental

Melting points were determined in open capillary tubes using an Electrothermal melting point apparatus. IR spectra were recorded using a dispersion of the product in KBr disks by means of a Perkin-Elmer 457 spectrometer. ^{1}H and ^{13}C NMR spectra were recorded in 3-mm tubes at ambient temperature on a Bruker Avance 400 MHz spectrometer. Compounds were dissolved in $CDCl_3$ or DMSO-d_6 with TMS as internal reference. Thin-layer chromatography analyses were performed on Merck TLC plates (silica gel, 60 F 254, E. Merck, Darmstadt, Germany, ref. 5735). All compounds reported here were routinely checked in two standard solvents, i.e., ethyl acetate:acetone:cyclohexane (solvent A, 5:2:3, v/v/v), and purity reverse-phase thin-layer chromatography conditions were: HPTLC plates RP-18 F-254 S (Merck), methanol:water (75:25, v/v). All compounds reported were found homogenous under such TLC and HPLC conditions. All reagents were obtained commercially from Aldrich and were used as received. All solvents were of the ACS.

Procedure for the nitration reaction

To 1 mmol of 2(3*H*)-benzoxazolone or 2(3*H*)-benzothiazolone was added 10 cm^3 HNO_3 (68%) under stirring. The reaction mixture was heated at 50 °C for 30 min and kept at room temperature for 2 h. After that, 50 cm^3 H_2O was added to the reaction mixture, stirred for 15 min, filtered, washed, dried and crystallized from ethanol. The physical properties (m.p., IR, ^{1}H NMR) are in accordance with published data [22, 47].

Procedure for the bromination reaction in water

To a suspension of 1 mmol of 2(3*H*)-benzoxazolone or 2(3*H*)-benzothiazolone in 10 cm^3 H_2O, 1 mmol of NBS

Scheme 1

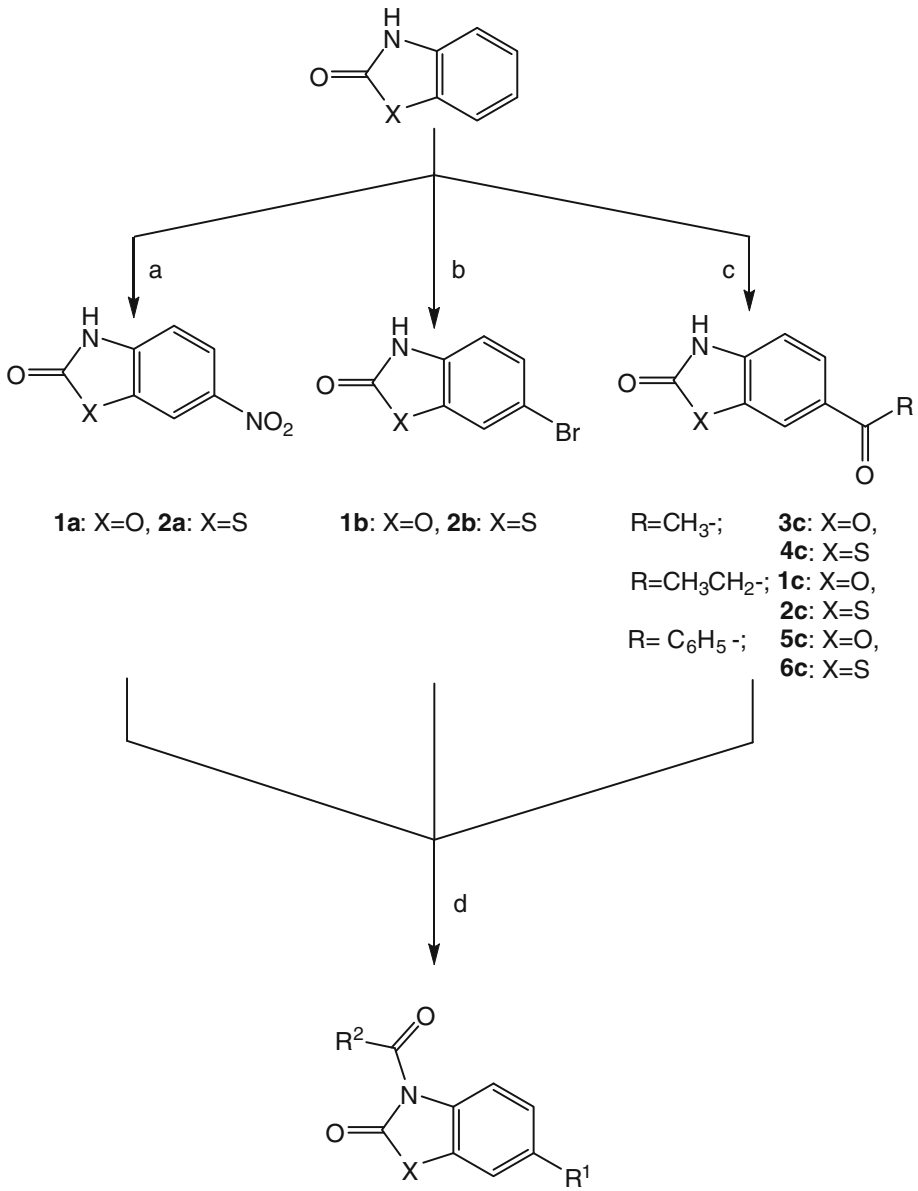

Fig. 2 Structural diversity of the 3-acyl-2(3*H*)-benzoxazolone and 3-acyl-2(3*H*)-benzothiazolone derivatives

R^1=	-H	$-NO_2$	-Br	CH_3CO-	CH_3CH_2CO-	C_6H_5CO-
X=O	**a1-a11**	**1a1-1a11**	**1b1-1b11**	**1c1-1c11**	**3c1-3c11**	**5c1-5c11**
X=S	**b1-b11**	**2a1-2a11**	**2b1-2b11**	**2c1-2c11**	**4c1-4c11**	**6c1-6c11**

R^2 = CH_3- **1**; CH_3CH_2- **2**; $(CH_3)_2CH$- **3**; $(CH_3)_3C$- **4**; C_6H_5-CH_2- **5**; C_6H_5-CH_2CH_2- **6**; C_6H_5- **7**; *m*-CH_3-C_6H_4- **8**; *p*-CH_3-C_6H_4- **9**; *o*-Cl-C_6H_4- **10**; *p*-NO_2-C_6H_4- **11**

was added and the reaction mixture heated to 60 °C while stirring. H_2SO_4 (40% aq solution, 2 mmol) was then added and stirring continued for 8 h. After that, the reaction mixture was cooled, and 30 cm^3 of water was added and stirred for 15 min, filtered, washed, dried and crystallized from ethanol. The physical properties (m.p., IR, ^{1}H NMR) are in accordance with published data [23, 48].

General procedure for the Friedel-Crafts acylation using $AlCl_3$-DMF

In a three-neck round-bottom flask (250 cm^3), 53.3 g $AlCl_3$ (0.4 mol) was placed. The flask was then equipped with a reflux condenser with a $CaCl_2$ tube and a magnetic stirrer. Dimethylformamide (8.6 cm^3, 0.115 mol) was added dropwise over 10 min. The flask was then placed in an oil bath at 45 °C, and the substrate 2(3*H*)-benzoxazolone or 2(3*H*)-benzothiazolone (0.04 mol) was added in portions over 5 min. Care was taken during this addition to ensure the formation of a homogeneous paste. The acylating agent (0.06 mol) was then added dropwise over 10 min. The temperature was subsequently raised to 85 °C. After cooling, the products were isolated by addition of ice. The precipitate was stirred for 1 h, collected on a Buchner funnel, dried, and crystallized from ethanol. The physical properties (m.p., IR, ^{1}H NMR) are in accordance with published data [9, 17].

General procedure for the N-acylation reaction in acetone-water mixture

To a solution of 0.6 g NaOH (0.015 mol) in 5 cm^3 water was added under stirring 0.012 mol of 2(3*H*)-benzoxazolone or 2(3*H*)-benzothiazolone or their derivatives, then 45 cm^3 of acetone was added to this solution. After stirring for 15 min, 0.015 mol acylating was added, and the resulting mixture was stirred for 30 min at room temperature. The reaction mixture was concentrated under reduced pressure and the residue triturated with water, filtered, dried, and crystallized from ethanol to afford good purity of the compounds.

3-(2,2-Dimethylpropionyl)-2(3H)-benzoxazolone (**a4**, $C_{12}H_{13}NO_3$)
Yield 95%; m.p.: 62 °C; IR (KBr): $\bar{\nu}$ = 2,974, 1,797, 1,716, 1,630, 1,599 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 1.49 (s, $3CH_3$), 7.30–7.00 (m, 3Ar-H), 7.90 (m, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 26.22, 42.80, 109.75, 116.45, 124.47, 124.87, 129.38, 142.07, 150.12, 178.34 ppm.

3-(Phenylacetyl)-2(3H)-benzoxazolone (**a5**, $C_{15}H_{11}NO_3$)
Yield 97%; m.p.: 156 °C; IR (KBr): $\bar{\nu}$ = 2,929, 1,798, 1,725, 1,627, 1,604 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 4.45 (s, $1CH_2$), 7.21–7.10 (m, 3Ar-H), 7.40–7.26 (m, 5Ar-H), 8.04 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 42.87, 109.88, 116.25, 124.90, 125.43, 127.57, 127.88, 128.74, 129.85, 132.57, 142.31, 151.30, 170.55 ppm.

3-(3-Phenylpropionyl)-2(3H)-benzoxazolone (**a6**, $C_{16}H_{13}NO_3$)
Yield 96%; m.p.: 119 °C; IR (KBr): $\bar{\nu}$ = 2,936, 1,796, 1,728, 1,625, 1,602 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 3.08 (t, $1CH_2$), 3.42 (t, $1CH_2$), 7.31–7.10 (m, 8Ar-H), 8.04 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 29.94, 38.47, 109.89, 116.07, 124.86, 125.35, 126.47, 127.80, 128.60, 128.62, 140.10, 142.32, 151.35, 171.74 ppm.

3-(3-Methylbenzoyl)-2(3H)-benzoxazolone (**a8**, $C_{15}H_{11}NO_3$)
Yield 97%; m.p.: 130–132 °C; IR (KBr): $\bar{\nu}$ = 2,924, 1,796, 1,701, 1,618, 1,603 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 2.43 (3H, s, $1CH_3$), 7.20–7.70 (7H, m, 7Ar-H), 7.98 (1H, d, J = 8.02 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 21.35, 110.14, 114.28, 124.40, 126.75, 128.24, 130.04, 132.05, 134.13, 138.34, 142.76, 151.01, 167.99 ppm.

3-(2,2-Dimethylpropionyl)-2(3H)-benzothiazolone (**b4**, $C_{12}H_{13}NO_2S$)
Yield 77%; m.p.: 54 °C; IR (KBr): $\bar{\nu}$ = 2,928, 1,718, 1,660, 1,618 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 1.69 (s, $3CH_3$), 7.39–7.28 (m, 3Ar-H), 8.06 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 25.29, 41.17, 117.63, 122.57, 123.27, 126.50, 134.70, 138.83, 170.21, 172.94 ppm.

3-(3-Phenylpropionyl)-2(3H)-benzothiazolone (**b6**, $C_{16}H_{13}NO_2S$)
Yield 95%; m.p.: 84 °C; IR (KBr): $\bar{\nu}$ = 2,962, 2,917, 1,706, 1,681, 1,618, 1,578 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 3.08 (t, $1CH_2$), 3.45 (t, $1CH_2$), 7.40–7.10 (m, 8Ar-H), 8.22 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 29.09, 39.31, 116.46, 120.48, 121.24, 121.32, 124.13, 124.99, 125.66, 127.20, 127.22, 127.76, 133.39, 138.94, 169.66, 172.00 ppm.

3-(3-Methylbenzoyl)-2(3H)-benzothiazolone (**b8**, $C_{15}H_{11}NO_2S$)
Yield 90%; m.p.: 138–140 °C; IR (KBr): $\bar{\nu}$ = 2,919, 1,715, 1,670, 1,604 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 2.33 (3H, s, $1CH_3$), 7.20–7.66 (7H, m, 7Ar-H), 7.98 (1H, m, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 21.29, 114.82, 122.13, 122.67, 124.90, 127.39, 128.58, 130.55, 132.65, 134.85, 135.12, 138.71,168.34, 169.39 ppm.

3-(4-Methylbenzoyl)-2(3H)-benzothiazolone (**b9**, $C_{15}H_{11}NO_2S$)
Yield 89%; m.p.: 88 °C; IR (KBr): $\bar{\nu}$ = 2,933, 1,702, 1,667, 1,588 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 2.44 (s, $1CH_3$), 7. 12–7. 30 (m, 3Ar-H), 7.51–7.38 (m, 2Ar-H), 7.76 (m, 2Ar-H), 7.98 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 20.41, 113.27, 120.95, 121.22, 123.34, 125.92,

128.05, 128.11, 128.30, 129.03, 129.17, 133.53, 144.19, 167.19, 167.95 ppm.

3-(2-Chlorobenzoyl)-2(3H)-benzothiazolone
(**b10**, $C_{14}H_8ClNO_2S$)
Yield 96%; m.p.: 80–81 °C; IR (KBr): $\bar{\nu}$ = 1,706, 1,683, 1,618, 1,593 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 7.19–7.65 (7H, m, 7Ar-H), 8.14 (1H, d, J = 7.98 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 116.28, 121.80, 121.95, 125.42, 126.84, 129.08, 129.51, 130.59, 131.01, 131.91, 133.65, 134.41, 166.45, 169.30 ppm.

3-(2-Methylpropionyl)-6-nitro-2(3H)-benzoxazolone
(**1a3**, $C_{11}H_{10}BrN_2O_5$)
Yield 75%; m.p.: 134–136 °C; IR (KBr): $\bar{\nu}$ = 2,925, 1,793, 1,712, 1,615, 1,601 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.36 (6H, d, $2CH_3$), 3.84 (1H, m, 1CH), 8.09 (1H, d, J = 7.91 Hz, 1Ar-H), 8.27 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 18.63, 34.38, 105.87, 116.48, 121.72, 133.22, 142.02, 145.07, 150.90, 176.58 ppm.

3-(2,2-Dimethylpropionyl)-6-nitro-2(3H)-benzoxazolone
(**1a4**, $C_{12}H_{12}N_2O_5$)
Yield 73%; m.p.: 226–227 °C; IR (KBr): $\bar{\nu}$ = 2,929, 1,760, 1,725, 1,610, 1,599 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.29 (9H, s, $3CH_3$), 8.10 (1H, d, J = 7.88 Hz, 1Ar-H), 8.26 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 26.97, 39.27, 105.47, 109.41, 114.97, 120.83, 136.93, 142.83, 154.28, 172.28 ppm.

6-Nitro-3-(phenylacetyl)-2(3H)-benzoxazolone
(**1a5**, $C_{15}H_{10}N_2O_5$)
Yield 89%; m.p.: 161–163 °C; IR (KBr): $\bar{\nu}$ = 2,918, 1,795, 1,736, 1,611 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 4.43 (2H, s, $1CH_2$), 7.20–7.45 (5H, m, 5Ar-H), 8.12 (1H, d, J = 7.78 Hz, 1Ar-H), 8.26–8.30 (2H, m, 2 Ar–H) ppm; ^{13}C NMR ($CDCl_3$): δ = 42.16, 109.41, 115.09, 121.03, 127.65, 128.30, 129.32, 130.63, 133.62, 141.61, 142.62, 150.57, 170.74 ppm.

6-Nitro-3-(3-phenylpropionyl)-2(3H)-benzoxazolone
(**1a6**, $C_{16}H_{12}N_2O_5$)
Yield 91%; m.p.: 149 °C; IR (KBr): $\bar{\nu}$ = 2,931, 1,806, 1,735, 1,615 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 2.98 (t, $2CH_2$), 3.33 (t, $2CH_2$), 7.30–7.10 (m, 5Ar-H), 8.10 (d, 1Ar-H), 8.25 (m, 1Ar-H), 8.37 (s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 28.93, 37.79, 105.83, 115.00, 120.99, 126.13, 128.38, 133.39, 140.29, 141.80, 144.07, 150.62, 171.64 ppm.

3-(3-Methylbenzoyl)-6-nitro-2(3H)-benzoxazolone
(**1a8**, $C_{15}H_{10}N_2O_5$)
Yield 90%; m.p.: 167–169 °C; IR (KBr): $\bar{\nu}$ = 2,923, 1,785, 1,715, 1,609 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 2.48 (3H, s, $1CH_3$), 7.36–7.79 (4H, m, 4Ar-H), 7.95 (1H, d, J = 7.89 Hz, 1Ar-H), 8.25–8.32 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 20.71, 105.90, 114.27, 120.75, 126.92, 128.06, 129.92, 131.73, 134.16, 137.64, 142.30, 144.05, 150.28, 167.18 ppm.

3-(4-Methylbenzoyl)-6-nitro-2(3H)-benzoxazolone
(**1a9**, $C_{15}H_{10}N_2O_5$)
Yield 92%; m.p.: 191–193 °C; IR (KBr): $\bar{\nu}$ = 2,926, 1,799, 1,694, 1,610 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 2.44 (3H, s, $1CH_3$), 7.35–7.80 (4H, m, 4Ar-H), 7.98 (1H, d, J = 7.99 Hz, 1Ar-H), 8.20–8.32 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 21.27, 105.89, 114.21, 120.71, 127.87, 128.75, 129.28, 130.05, 134.24, 137.59, 142.27, 150.27, 167.27 ppm.

3-(2-Chlorobenzoyl)-6-nitro-2(3H)-benzoxazolone
(**1a10**, $C_{14}H_7ClN_2O_5$)
Yield 92%; m.p.: 133–135 °C; IR (KBr): $\bar{\nu}$ = 1,750, 1,712, 1,614 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 7.63–7.82 (4H, m, 4Ar-H), 8.08 (1H, d, J = 7.89 Hz, 1Ar-H), 8.27 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 109.56, 113.38, 118.89, 120.65, 126.88, 128.16, 130.35, 137.59, 139.39, 142.39, 150.87, 167.64 ppm.

6-Nitro-3-(4-nitrobenzoyl)-2(3H)-benzoxazolone
(**1a11**, $C_{14}H_7N_3O_7$)
Yield 76%; m.p.: 232–234 °C; IR (KBr): $\bar{\nu}$ = 1,760, 1,699, 1,602 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 7.83–8.09 (3H, m, 3Ar-H), 8.24–8.38 (4H, m, 4Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 114.34, 116.63, 118.74, 123.58, 123.98, 128.22, 130.78, 137.41, 142.68, 150.18, 167.73 ppm.

6-Bromo-3-propionyl-2(3H)-benzoxazolone
(**1b2**, $C_{10}H_8BrNO_3$)
Yield 97%; m.p.: 145 °C; IR (KBr): $\bar{\nu}$ = 2,922, 1,803, 1,725, 1,618, 1,603 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.29 (t, $1CH_3$), 3.10 (q, $1CH_2$), 7.38 (m, 2Ar-H), 7.93 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 7.99, 30.53, 113.33, 117.14, 117.89, 127.65, 127.86, 142.75, 151.25, 173.19 ppm.

6-Bromo-3-(2-methylpropionyl)-2(3H)-benzoxazolone
(**1b3**, $C_{11}H_{10}BrNO_3$)
Yield 93%; m.p.: 84 °C; IR (KBr): $\bar{\nu}$ = 2,973, 1,803, 1,719, 1,600 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.31 (d, $2CH_3$), 3.81 (m, 1CH), 7.38 (m, 2Ar-H), 7.97 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 18.59, 34.02, 113.35, 117.26, 117.77, 127.70, 127.79, 142.64, 151.26, 176.66 ppm.

6-Bromo-3-(2,2-dimethylpropionyl)-2(3H)-benzoxazolone
(**1b4**, $C_{12}H_{12}BrNO_3$)
Yield 87%; m.p.: 74–76 °C; IR (KBr): $\bar{\nu}$ = 2,926, 1,780, 1,732, 1,619, 1,599 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.31 (9H, s, $3CH_3$), 7.38 (2H, m, 2Ar-H), 7.97 (1H, d, J = 7.79 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 17.24, 32.67, 112.01, 115.92, 116.43, 125.86, 126.44, 152.20, 175.31 ppm.

6-Bromo-3-(phenylacetyl)-2(3H)-benzoxazolone
(**1b5**, $C_{15}H_{10}BrNO_3$)
Yield 90%; m.p.: 175–177 °C; IR (KBr): $\bar{\nu}$ = 2,922, 1,755, 1,729, 1,620, 1,602 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 4.46 (2H, s, $1CH_2$), 7.26–7.46 (7H, m, 7Ar-H), 7.94 (1H, d, J = 7.89 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 40.34, 111.06, 114.72, 115.62, 124.19, 125.21, 125.53, 126.31, 127.32, 129.72, 140.18, 148.21, 167.85 ppm.

6-Bromo-3-(3-phenylpropionyl)-2(3H)-benzoxazolone
(**1b6**, $C_{16}H_{12}BrNO_3$)
Yield 91%; m.p.: 125–127 °C; IR (KBr): $\bar{\nu}$ = 2,927, 1,798, 1,725, 1,621, 1,604 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 3.13 (2H, t, $2CH_2$), 3.42 (2H, t, $2CH_2$), 7.18–7.47 (7H, m, 7Ar-H), 7.96 (1H, d, J = 7.98 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 29.80, 38.38, 113.49, 117.11, 117.61, 126.30, 126.37, 127.90, 128.35, 128.46, 139.86, 142.64, 150.49, 171.44 ppm.

6-Bromo-3-(3-methylbenzoyl)-2(3H)-benzoxazolone
(**1b8**, $C_{15}H_{10}BrNO_3$)
Yield 87%; m.p.: 147–150 °C; IR (KBr): $\bar{\nu}$ = 2,923, 1,797, 1,711, 1,618 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.48 (3H, s, $1CH_3$), 7.20–7.60 (6H, m, 6Ar-H), 7.97 (1H, d, J = 7.89 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 19.46, 111.28, 113.69, 115.26, 125.24, 126.28, 126.73, 127.17, 127.62, 128.25, 140.59, 142.62, 143.13, 150.49, 164.85 ppm.

6-Bromo-3-(4-methylbenzoyl)-2(3H)-benzoxazolone
(**1b9**, $C_{15}H_{10}BrNO_3$)
Yield 93%; m.p.: 142–144 °C; IR (KBr): $\bar{\nu}$ = 2,923, 1,776, 1,693, 1,610, 1,600 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.46 (3H, s, $1CH_3$), 7.20–7.50 (6H, m, 6Ar-H), 7.95 (1H, d, J = 7.88 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 21.85, 113.62, 116.98, 117.66, 127.70, 128.66, 129.14, 129.91, 143.09, 145.86, 152.19, 170.19 ppm.

6-Bromo-3-(2-chlorobenzoyl)-2(3H)-benzoxazolone
(**1b10**, $C_{14}H_7BrClNO_3$)
Yield 89%; m.p.: 90–92 °C; IR (KBr): $\bar{\nu}$ = 1,750, 1,708, 1,618, 1,590 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 7.29–7.48 (2H, m, 2Ar-H), 7.64–7.85 (4H, m, 4Ar-H), 8.07 (1H, d, J = 8.10 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 113.63, 116.89, 117.23, 127.78, 128.67, 129.43, 129.70, 130.14, 132.81, 142.89, 149.26, 167.92 ppm.

3,6-Diacetyl-2(3H)-benzoxazolone (**1c1**, $C_{11}H_9NO_4$)
Yield 90%; m.p.: 158 °C; IR (KBr): $\bar{\nu}$ = 2,927, 1,802, 1,731, 1,677, 1,618, 1,604 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.65 (s, $1CH_3$), 2.89 (s, $1CH_3$), 7.79 (s, 1Ar-H), 7.90 (d, 1Ar-H), 8.16 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 22.98, 24.59, 107.48, 113.58, 124.05, 129.76, 132.37, 142.18, 150.82, 173.72, 198.68 ppm.

6-Acetyl-3-propionyl-2(3H)-benzoxazolone
(**1c2**, $C_{12}H_{11}NO_4$)
Yield 90%; m.p.: 167–169 °C; IR (KBr): $\bar{\nu}$ = 2,924, 1,775, 1,721, 1,680, 1,626 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.30 (3H, t, $1CH_3$), 2.62 (3H, s, $1CH_3$), 3.17 (2H, q, $1CH_2$), 7.82 (1H, s, 1Ar-H), 7.93 (1H, d, J = 7.78 Hz, 1Ar-H), 8.16 (1H, d, J = 7.78 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 7.91, 28.43, 30.58, 107.27, 113.40, 123.85, 129.43, 132.50, 141.98, 151.43, 172.35, 198.46 ppm.

6-Acetyl-3-(2-methylpropionyl)-2(3H)-benzoxazolone
(**1c3**, $C_{13}H_{13}NO_4$)
Yield 84%; m.p.: 127 °C; IR (KBr): $\bar{\nu}$ = 2,981, 1,805, 1,727, 1,680, 1,618, 1,600 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.45 (d, $2CH_3$), 2.62 (s, $1CH_3$), 3.86 (m, 1CH), 7.80 (s, 1Ar-H), 7.87 (d, 1Ar-H), 8.12 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 16.57, 24.48, 32.10, 107.26, 113.70, 123.86, 129.76, 132.39, 142.21, 150.75, 174.72, 198.59 ppm.

6-Acetyl-3-(2,2-dimethylpropionyl)-2(3H)-benzoxazolone
(**1c4**, $C_{14}H_{15}NO_4$)
Yield 84%; m.p.: 142–143 °C; IR (KBr): $\bar{\nu}$ = 2,929, 1,760, 1,720, 1,670, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.32 (9H, s, $3CH_3$), 2.52 (3H, s, $1CH_3$), 7.82 (1H, s, 1Ar-H), 7.84 (1H, d, J = 7.85 Hz, 1Ar-H), 8.13 (1H, d, J = 7.85 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 24.95, 24.37, 38.37, 107.41, 107.71, 123.42, 129.61, 132.18, 141.66, 152.79, 174.20, 194.71 ppm.

6-Acetyl-3-(phenylacetyl)-2(3H)-benzoxazolone
(**1c5**, $C_{17}H_{13}NO_4$)
Yield 91%; m.p.: 108–110 °C; IR (KBr): $\bar{\nu}$ = 2,930, 1,785, 1,700, 1,676, 1,618 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.56 (3H, s, $1CH_3$), 4.44 (2H, s, $1CH_2$), 7.24–7.39 (5H, m, 5Ar-H), 7.78–7.90 (2H, m, 2Ar-H), 8.10 (1H, d, J = 8.02 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 24.16, 36.08, 107.95, 113.21, 123.81, 126.24, 128.44, 128.91, 129.44, 132.17, 137.42, 140.02, 148.62, 169.17, 193.62 ppm.

6-Acetyl-3-(3-phenylpropionyl)-2(3H)-benzoxazolone
(**1c6**, $C_{18}H_{15}NO_4$)
Yield 93%; m.p.: 147–148 °C; IR (KBr): $\bar{\nu}$ = 2,938, 1,760, 1,699, 1,680, 1,603 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 2.61 (3H, s, $1CH_3$), 3.05 (2H, t, $1CH_2$), 3.29 (2H, t, $1CH_2$), 7.11–7.32 (5H, m, 5Ar-H), 7.83–7.94 (2H, s, 2Ar-H), 8.11 (1H, d, J = 7.99 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 21.86, 28.89, 37.82, 108.21, 115.22, 120.79, 124.13, 126.11, 128.19, 129.69, 132.88, 133.19, 142.29, 152.20, 171.96, 196.99 ppm.

6-Acetyl-3-benzoyl-2(3H)-benzoxazolone
(**1c7**, $C_{16}H_{11}NO_4$)
Yield 83%; m.p.: 63–65 °C; IR (KBr): $\bar{\nu}$ = 2,924, 1,790, 1,724, 1,670, 1,599 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.60 (3H, s, $1CH_3$), 7.40–7.70 (5H, m, 5Ar-H), 7.78–7.90 (2H,

m, 2Ar-H), 8.06 (1H, d, $J = 7.92$ Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 24.37$, 107.50, 112.36, 123.69, 126.92, 127.46, 128.10, 128.36, 129.95, 132.37, 148.48, 165.21, 193.90 ppm.

6-Acetyl-3-(3-methylbenzoyl)-2(3H)-benzoxazolone
(**1c8**, $C_{17}H_{13}NO_4$)
Yield 81%; m.p.: 69–70 °C; IR (KBr): $\bar{\nu} = 2{,}922$, 1,785, 1,717, 1,671, 1,618 cm^{-1}; ^{1}H NMR ($CDCl_3$): $\delta = 2.50$ (3H, s, $1CH_3$), 2.59 (3H, s, $1CH_3$), 7.20–7.39 (4H, m, 4Ar-H), 7.78–7.91 (2H, m, 2Ar-H), 8.04 (1H, d, $J = 7.88$ Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 21.28$, 26.55, 107.90, 112.63, 124.41, 127.39, 128.54, 128.85, 133.33, 133.54, 142.27, 150.69, 165.38, 193.95 ppm.

6-Acetyl-3-(4-methylbenzoyl)-2(3H)-benzoxazolone
(**1c9**, $C_{17}H_{13}NO_4$)
Yield 89%; m.p.: 89–91 °C; IR (KBr): $\bar{\nu} = 2{,}924$, 1,775, 1,712, 1,677, 1,609 cm^{-1}; ^{1}H NMR ($CDCl_3$): $\delta = 2.49$ (3H, s, $1CH_3$), 2.58 (3H, s, $1CH_3$), 7.21–7.38 (4H, m, 4Ar-H), 7.76–7.90 (2H, m, 2Ar-H), 8.02 (1H, d, $J = 7.89$ Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 20.07$, 24.82, 107.92, 112.65, 124.46, 127.43, 127.81, 128.56, 128.86, 133.34, 133.58, 142.29, 150.72, 165.41, 194.21 ppm.

6-Acetyl-3-(2-chlorobenzoyl)-2(3H)-benzoxazolone
(**1c10**, $C_{16}H_{10}ClNO_4$)
Yield 87%; m.p.: 70–71 °C; IR (KBr): $\bar{\nu} = 2{,}939$, 1,780, 1,718, 1,680, 1,619 cm^{-1}; ^{1}H NMR ($CDCl_3$): $\delta = 2.62$ (3H, s, $1CH_3$), 7.34–7.63 (4H, m, 4Ar-H), 7.80–7.94 (2H, m, 2Ar-H), 8.09 (1H, d, $J = 8.00$ Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 26.82$, 109.91, 115.34, 127.17, 128.15, 129.01, 130.04, 131.89, 132.82, 133.75, 134.42, 135.46, 142.29, 150.67, 160.61, 196.15 ppm.

6-Acetyl-3-(4-nitrobenzoyl)-2(3H)-benzoxazolone
(**1c11**, $C_{16}H_{10}N_2O_6$)
Yield 78%; m.p.: 111–113 °C; IR (KBr): $\bar{\nu} = 2{,}926$, 1,760, 1,700, 1,670, 1,618 cm^{-1}; ^{1}H NMR (DMSO-d_6): $\delta = 2.64$ (3H, s, $1CH_3$), 7.79–7.99 (4H, m, 4Ar-H), 8.12 (1H, d, $J = 8.09$ Hz, 1Ar-H), 8.23–8.32 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 21.23$, 108.28, 121.25, 123.60, 124.37, 128.40, 131.73, 136.08, 139.41, 142.99, 156.04, 165.63, 195.17 ppm.

3-(2-Methylpropionyl)-6-nitro-2(3H)-benzothiazolone
(**2a3**, $C_{11}H_{10}N_2O_4S$)
Yield 88%; m.p.: 142 °C; IR (KBr): $\bar{\nu} = 2{,}955$, 2,877, 1,710, 1,675, 1,617 cm^{-1}; ^{1}H NMR ($CDCl_3$): $\delta = 1.30$ (d, $2CH_3$), 3.85 (m, 1CH), 8.18–8.23 (m, 2Ar-H), 8.31 (s, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 18.71$, 36.19, 117.19, 117.60, 122.58, 123.44, 139.46, 144.79, 169.83, 178.32 ppm.

3-(2,2-Dimethylpropionyl)-6-nitro-2(3H)-benzothiazolone
(**2a4**, $C_{12}H_{12}N_2O_4S$)
Yield 79%; m.p.: 218–219 °C; IR (KBr): $\bar{\nu} = 2{,}927$, 1,709, 1,675, 1,602 cm^{-1}; ^{1}H NMR (DMSO-d_6): $\delta = 1.46$ (9H, s, $3CH_3$), 8.15 (1H, d, $J = 7.98$ Hz, 1Ar-H), 8.34 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 27.23$, 44.75, 112.58, 118.70, 122.74, 124.66, 139.61, 142.36, 169.66, 172.91 ppm.

6-Nitro-3-(phenylacetyl)-2(3H)-benzothiazolone
(**2a5**, $C_{15}H_{10}N_2O_4S$)
Yield 91%; m.p.: 236–238 °C; IR (KBr): $\bar{\nu} = 2{,}929$, 1,709, 1,670, 1,619, 1,606 cm^{-1}; ^{1}H NMR (DMSO-d_6): $\delta = 4.46$ (2H, s, $1CH_2$), 7.16-7.50 (5H, m, 5Ar-H), 8.18 (1H, d, $J = 7.75$ Hz, 1Ar-H), 8.28–8.39 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 40.96$, 116.82, 121.92, 123.42, 127.85, 128.81, 129.34, 129.68, 132.26, 139.12, 143.92, 169.53, 171.84 ppm.

6-Nitro-3-(3-phenylpropionyl)-2(3H)-benzothiazolone
(**2a6**, $C_{16}H_{12}N_2O_4S$)
Yield 91%; m.p.: 158 °C; IR (KBr): $\bar{\nu} = 2{,}944$, 1,706, 1,668, 1,590 cm^{-1}; ^{1}H NMR ($CDCl_3$): $\delta = 3.15$ (t, $1CH_2$), 3.50 (t, $1CH_2$), 7.10–7.34 (m, 5Ar-H), 8.09 (d, 1Ar-H), 8.34 (s, 1Ar-H), 8.43 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 30.29$, 40.66, 117.65, 117.78, 122.73, 123.44, 126.55, 128.52, 128.64, 139.11, 139.77, 144.80, 169.84, 173.14 ppm.

3-(3-Methylbenzoyl)-6-nitro-2(3H)-benzothiazolone
(**2a8**, $C_{15}H_{10}N_2O_4S$)
Yield 89%; m.p.: 107–108 °C; IR (KBr): $\bar{\nu} = 2{,}929$, 1,709, 1,660, 1,610 cm^{-1}; ^{1}H NMR (DMSO-d_6): $\delta = 2.46$ (3H, s, $1CH_3$), 7.27–7.75 (4H, m, 4Ar-H), 7.98 (1H, d, $J = 7.92$ Hz, 1Ar-H), 8.26–8.34 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 21.31$, 114.21, 118.43, 122.64, 124.04, 127.67, 128.48, 130.80, 131.63, 135.34, 135.99, 139.13, 144.18, 168.34, 169.39 ppm.

3-(4-Methylbenzoyl)-6-nitro-2(3H)-benzothiazolone
(**2a9**, $C_{15}H_{10}N_2O_4S$)
Yield 94%; m.p.: 142–144 °C; IR (KBr): $\bar{\nu} = 2{,}929$, 1,711, 1,660, 1,616 cm^{-1}; ^{1}H NMR ($CDCl_3$): $\delta = 2.48$ (3H, s, $1CH_3$), 7.29–7.79 (4H, m, 4Ar-H), 8.04 (1H, d, $J = 7.98$ Hz, 1Ar-H), 8.22–8.30 (2H, m, 2Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 21.99$, 114.41, 118.41, 122.13, 124.02, 126.24, 128.74, 129.95, 130.75, 131.50, 135.78, 139.64, 145.58, 167.83, 169.87 ppm.

3-(2-Chlorobenzoyl)-6-nitro-2(3H)-benzothiazolone
(**2a10**, $C_{14}H_7ClN_2O_4S$)
Yield 92%; m.p.: 73–74 °C; IR (KBr): $\bar{\nu} = 1{,}719$, 1,680, 1,618, 1,590 cm^{-1}; ^{1}H NMR (DMSO-d_6): $\delta = 7.24$–7.60 (4H, m, 4Ar-H), 8.05 (1H, d, $J = 8.05$ Hz, 1Ar-H), 8.28–8.30 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6):

$\delta = 116.40$, 118.14, 123.03, 123.81, 126.35, 127.50, 130.05, 131.69, 133.67, 135.18, 138.22, 145.10, 168.45, 171.73 ppm.

6-Nitro-3-(4-nitrobenzoyl)-2(3H)-benzothiazolone (**2a11**, $C_{14}H_7N_3O_6S$)
Yield 75%; m.p.: 273–275 °C; IR (KBr): $\bar{\nu} = 1{,}689$, 1,670, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): $\delta = 7.81$–8.10 (3H, m, 3Ar-H), 8.22–8.32 (4H, m, 4Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 114.93$, 115.56, 121.24, 123.86, 124.87, 126.36, 134.52, 137.79, 142.23, 144.22, 165.86, 168.95 ppm.

6-Bromo-3-(2,2-dimethylpropionyl)-2(3H)-benzothiazolone (**2b4**, $C_{12}H_{12}BrNO_2S$)
Yield 78%; m.p.: 218–219 °C; IR (KBr): $\bar{\nu} = 2{,}934$, 1,690, 1,678, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): $\delta = 1.30$ (9H, s, $3CH_3$), 7.36–7.58 (2H, m, 2Ar-H), 7.93 (1H, d, $J = 7.97$ Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 25.24$, 42.51, 111.40, 112.18, 122.98, 123.24, 127.40, 133.99, 167.85, 177.59 ppm.

6-Bromo-3-(phenylacetyl)-2(3H)-benzothiazolone (**2b5**, $C_{15}H_{10}BrNO_2S$)
Yield 93%; m.p.: 73–75 °C; IR (KBr): $\bar{\nu} = 2{,}935$, 1,700, 1,675, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): $\delta = 3.58$ (2H, s, $1CH_2$), 7.20–7.54 (7H, m, 7Ar-H), 7.97 (1H, d, $J = 7.98$ Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 41.83$, 114.29, 115.12, 126.19, 127.70, 129.16, 130.34, 130.49, 130.79, 136.16, 136.77, 170.54, 173.80 ppm.

6-Bromo-3-(3-phenylpropionyl)-2(3H)-benzothiazolone (**2b6**, $C_{16}H_{12}BrNO_2S$)
Yield 95%; m.p.: 120–122 °C; IR (KBr): $\bar{\nu} = 2{,}929$, 1,697, 1,670, 1,618 cm^{-1}; ^1H NMR ($CDCl_3$): $\delta = 3.04$ (2H, t, $2CH_2$), 3.46 (2H, t, $2CH_2$), 7.17–7.35 (5H, m, 5Ar-H), 7.40–7.50 (2H, m, 2Ar-H), 7.97 (1H, d, $J = 8.00$ Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 30.35$, 40.63, 118.26, 119.21, 123.31, 124.48, 126.41, 128.55, 130.07, 133.66, 140.11, 142.77, 170.16, 173.13 ppm.

6-Bromo-3-(3-methylbenzoyl)-2(3H)-benzothiazolone (**2b8**, $C_{15}H_{10}BrNO_2S$)
Yield 91%; m.p.: 93–95 °C; IR (KBr): $\bar{\nu} = 2{,}924$, 1,705, 1,660, 1,604 cm^{-1}; ^1H NMR ($CDCl_3$): $\delta = 2.49$ (3H, s, $1CH_3$), 7.29–7.69 (6H, m, 6Ar-H), 8.03 (1H, d, $J = 7.99$ Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 19.78$, 116.28, 117.66, 124.51, 125.15, 127.75, 128.64, 129.49, 130.54, 133.84, 138.81, 168.63, 168.76 ppm.

6-Bromo-3-(4-methylbenzoyl)-2(3H)-benzothiazolone (**2b9**, $C_{15}H_{10}BrNO_2S$)
Yield 90%; m.p.: 156 °C; IR (KBr): $\bar{\nu} = 2{,}923$, 1,690, 1,678, 1,608 cm^{-1}; ^1H NMR ($CDCl_3$): $\delta = 2.50$ (s, $1CH_3$), 7.36 (m, 3Ar-H), 7.54 (d, 1Ar-H), 7.83 (m, 2Ar-H), 8.08 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 21.33$, 116.17, 116.55, 124.31, 125.48, 129.21, 129.44, 129.65, 129.88, 130.50, 133.92, 145.50, 168.08, 168.58 ppm.

6-Bromo-3-(2-chlorobenzoyl)-2(3H)-benzothiazolone (**2b10**, $C_{14}H_7BrClNO_2S$)
Yield 95%; m.p.: 118–119 °C; IR (KBr): $\bar{\nu} = 1{,}703$, 1,660, 1,618, 1,590 cm^{-1}; ^1H NMR (DMSO-d_6): $\delta = 7.28$–7.59 (6H, m, 6Ar-H), 8.10 (1H, d, $J = 7.88$ Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 116.91$, 117.61, 123.09, 123.85, 126.26, 128.45, 128.85, 129.23, 129.86, 131.33, 131.87, 133.35, 165.59, 167.76 ppm.

6-Bromo-3-(4-nitrobenzoyl)-2(3H)-benzothiazolone (**2b11**, $C_{14}H_7BrN_2O_4S$)
Yield 71%; m.p.: 219–221 °C; IR (KBr): $\bar{\nu} = 1{,}690$, 1,660, 1,604 cm^{-1}; ^1H NMR (DMSO-d_6): $\delta = 7.42$ (2H, m, 2Ar-H), 7.82–7.98 (3H, m, 3Ar-H), 8.23–8.32 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 114.42$, 116.62, 118.79, 123.82, 123.89, 128.32, 130.87, 137.49, 142.65, 167.83, 169.81 ppm.

3,6-Diacetyl-2(3H)-benzothiazolone (**2c1**, $C_{11}H_9NO_3S$)
Yield 89%; m.p.: 138 °C; IR (KBr): $\bar{\nu} = 2{,}973$, 1,716, 1,675, 1,618, 1,595 cm^{-1}; ^1H NMR ($CDCl_3$): $\delta = 2.59$ (s, $1CH_3$), 2.76 (s, $1CH_3$), 7.87 (s, 1Ar-H), 8.04 (d, 1Ar-H), 8.38 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 23.98$, 24.83, 115.01, 119.51, 125.21, 131.80, 134.67, 138.78, 171.16, 175.62, 196.74 ppm.

6-Acetyl-3-propionyl-2(3H)-benzothiazolone (**2c2**, $C_{12}H_{11}NO_3S$)
Yield 87%; m.p.: 115 °C; IR (KBr): $\bar{\nu} = 2{,}983$, 2,924, 1,725, 1,682, 1,679, 1,617 cm^{-1}; ^1H NMR ($CDCl_3$): $\delta = 1.30$ (t, $1CH_3$), 2.60 (s, $1CH_3$), 3.12 (q, $1CH_2$), 7.83 (s, 1Ar-H), 8.03 (d, 1Ar-H), 8.34 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta = 9.15$, 27.12, 33.38, 118.06, 122.66, 123.41, 128.30, 134.80, 138.90, 171.28, 175.63, 196.76 ppm.

6-Acetyl-3-(2-methylpropionyl)-2(3H)-benzothiazolone (**2c3**, $C_{13}H_{13}NO_3S$)
Yield 76%; m.p.: 90–91 °C; IR (KBr): $\bar{\nu} = 2{,}936$, 1,708, 1,685, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): $\delta = 1.31$ (6H, d, $2CH_3$), 2.68 (3H, s, $1CH_3$), 3.83 (1H, m, 1CH), 7.94–8.00 (2H, m, 2Ar-H), 8.14 (1H, d, $J = 7.88$ Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 19.88$, 26.48, 37.20, 117.89, 123.10, 123.87, 128.59, 135.06, 139.50, 170.48, 179.66, 197.10 ppm.

6-Acetyl-3-(2,2-dimethylpropionyl)-2(3H)-benzothiazolone (**2c4**, $C_{14}H_{15}NO_3S$)
Yield 78%; m.p.: 179–180 °C; IR (KBr): $\bar{\nu} = 2{,}930$, 1,713, 1,668, 1,618, 1,597 cm^{-1}; ^1H NMR (DMSO-d_6): $\delta = 1.38$ (9H, s, $3CH_3$), 2.58 (3H, s, $1CH_3$), 7.89–7.96 (2H, m, 2Ar-H), 8.13 (1H, d, $J = 7.98$ Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): $\delta = 24.86$, 25.57, 39.42, 117.79, 123.16, 123.85, 128.49, 135.26, 139.45, 169.87, 173.96, 196.89 ppm.

6-Acetyl-3-(phenylacetyl)-2(3H)-benzothiazolone
(**2c5**, $C_{17}H_{13}NO_3S$)
Yield 83%; m.p.: 72–74 °C; IR (KBr): $\bar{\nu}$ = 2,940, 1,700, 1,670, 1,618 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.58 (3H, s, $1CH_3$), 4.46 (2H, s, $1CH_2$), 7.23–7.42 (5H, m, 5Ar-H), 7.84–7.92 (2H, m, 2Ar-H), 8.09 (1H, d, J = 7.65 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 23.96, 36.86, 114.34, 119.59, 120.52, 124.13, 125.19, 126.09, 126.28, 131.72, 135.74, 138.16, 167.87, 169.76, 194.54 ppm.

6-Acetyl-3-(3-phenylpropionyl)-2(3H)-benzothiazolone
(**2c6**, $C_{18}H_{15}NO_3S$)
Yield 82%; m.p.: 118–119 °C; IR (KBr): $\bar{\nu}$ = 2,934, 1,698, 1,675, 1,601 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.60 (3H, s, $1CH_3$), 3.09 (2H, t, $1CH_2$), 3.47 (2H, t, $1CH_2$), 7.20–7.29 (5H, m, 5Ar-H), 7.89–7.99 (2H, m, 2Ar-H), 8.13 (1H, d, J = 7.89 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 24.04, 27.95, 38.23, 114.37, 119.61, 120.32, 124.03, 125.21, 126.12, 126.18, 131.81, 135.86, 137.60, 168.57, 170.86, 193.64 ppm.

6-Acetyl-3-benzoyl-2(3H)-benzothiazolone
(**2c7**, $C_{16}H_{11}NO_3S$)
Yield 69%; m.p.: 68–70 °C; IR (KBr): $\bar{\nu}$ = 2,934, 1,710, 1,685, 1,600 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.62 (3H, s, $1CH_3$), 7.43–7.79 (5H, m, 5Ar-H), 7.81–7.98 (2H, m, 2Ar-H), 8.09 (1H, d, J = 7.88 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 23.97, 107.85, 112.46, 123.67, 126.66, 127.13, 128.00, 128.35, 129.85, 131.39, 132.31, 169.78, 170.16, 194.35 ppm.

6-Acetyl-3-(3-methylbenzoyl)-2(3H)-benzothiazolone
(**2c8**, $C_{17}H_{13}NO_3S$)
Yield 84%; m.p.: 79–80 °C; IR (KBr): $\bar{\nu}$ = 2,929, 1,698, 1,672, 1,618, 1,604 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.50 (3H, s, $1CH_3$), 2.61 (3H, s, $1CH_3$), 7.21–7.38 (4H, m, 4Ar-H), 7.80–7.90 (2H, m, 2Ar-H), 8.06 (1H, d, J = 7.89 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 20.05, 25.19, 110.73, 112.69, 124.45, 127.52, 127.63, 128.07, 129.48, 133.32, 134.10, 137.89, 166.57, 170.91, 194.25 ppm.

6-Acetyl-3-(4-methylbenzoyl)-2(3H)-benzothiazolone
(**2c9**, $C_{17}H_{13}NO_3S$)
Yield 91%; m.p.: 85–86 °C; IR (KBr): $\bar{\nu}$ = 2,924, 1,712, 1,677, 1,608 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 2.47 (3H, s, $1CH_3$), 2.61 (3H, s, $1CH_3$), 7.30–7.68 (4H, m, 4Ar-H), 7.77–7.96 (2H, m, 2Ar-H), 8.05 (1H, d, J = 8.10 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 21.35, 26.48, 114.30, 122.77, 126.74, 127.52, 129.59, 129.67, 130.15, 130.64, 145.58, 146.24, 162.87, 169.89, 194.42 ppm.

6-Acetyl-3-(2-chlorobenzoyl)-2(3H)-benzothiazolone
(**2c10**, $C_{16}H_{10}ClNO_3S$)
Yield 89%; m.p.: 89–90 °C; IR (KBr): $\bar{\nu}$ = 2,934, 1,719, 1,675, 1,619 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 2.54 (3H, s, $1CH_3$), 7.37–7.68 (4H, m, 4Ar-H), 7.76–7.92 (2H, m, 2Ar-H), 8.11 (1H, d, J = 7.89 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 25.98, 110.19, 114.89, 127.19, 128.33, 129.10, 130.83, 131.94, 132.71, 133.84, 134.58, 135.44, 136.87, 165.84, 167.76, 194.85 ppm.

6-Acetyl-3-(4-nitrobenzoyl)-2(3H)-benzothiazolone
(**2c11**, $C_{16}H_{10}N_2O_5S$)
Yield 70%; m.p.: 117–119 °C; IR (KBr): $\bar{\nu}$ = 2,959, 1,718, 1,670, 1,610 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 2.59 (3H, s, $1CH_3$), 7.81–7.98 (4H, m, 4Ar-H), 8.08 (1H, d, J = 8.01 Hz, 1Ar-H), 8.20–8.27 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 24.23, 115.42, 118.75, 123.79, 125.32, 128.39, 130.82, 131.78, 137.59, 139.53, 142.45, 166.23, 168.57, 195.17 ppm.

3-Acetyl-6-propionyl-2(3H)-benzoxazolone
(**3c1**, $C_{12}H_{11}NO_4$)
Yield 86%; m.p.: 180–182 °C; IR (KBr): $\bar{\nu}$ = 2,938, 1,785, 1,732, 1,678, 1,598 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.24 (3H, t, $1CH_3$), 2.80 (3H, s, $1CH_3$), 2.98 (2H, q, $1CH_2$), 7.80 (1H, s, 1Ar-H), 7.90 (1H, d, J = 7.98 Hz, 1Ar-H), 8.14 (1H, d, J = 7.98 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.18, 24.97, 31.85, 109.29, 115.56, 124.49, 131.13, 134.43, 142.35, 151.36, 169.26, 198.67 ppm.

3,6-Dipropionyl-2(3H)-benzoxazolone
(**3c2**, $C_{13}H_{13}NO_4$)
Yield 89%; m.p.: 174 °C; IR (KBr): $\bar{\nu}$ = 2,977, 2,939, 1,802, 1,730, 1,678, 1,618, 1,601 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.25 (t, $1CH_3$), 1.35 (t, $1CH_3$), 3.05 (q, $1CH_2$), 3.85 (q, $1CH_2$), 7.80 (s, 1Ar-H), 7.90 (d, 1Ar-H), 8.18 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 7.93, 8.20, 30.58, 31.85, 109.27, 115.56, 125.48, 131.67, 134.29, 142.81, 150.73, 173.24, 198.68 ppm.

3-(2-Methylpropionyl)-6-propionyl-2(3H)-benzoxazolone
(**3c3**, $C_{14}H_{15}NO_4$)
Yield 88%; m.p.: 115 °C; IR (KBr): $\bar{\nu}$ = 2,975, 2,939, 1,809, 1,725, 1,683, 1,618, 1,599 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.25 (t, $1CH_3$), 1.35 (d, $2CH_3$), 3.05 (q, $1CH_2$), 3.85 (m, 1CH), 7.80 (s, 1Ar-H), 7.90 (d, 1Ar-H), 8.18 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 8.20, 18.68, 31.85, 34.19, 109.20, 115.80, 125.42, 131.68, 134.30, 142.80, 150.72, 176.82, 198.68 ppm.

3-(2,2-Dimethylpropionyl)-6-propionyl-2(3H)-benzoxazolone (**3c4**, $C_{15}H_{17}NO_4$)
Yield 79%; m.p.: 111–113 °C; IR (KBr): $\bar{\nu}$ = 2,930, 1,775, 1,720, 1,670, 1,618, 1,598 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.23 (3H, t, $1CH_3$), 1.50 (9H, s, $3CH_3$), 3.00 (2H, q, $1CH_2$), 7.79 (1H, s, 1Ar-H), 7.88 (1H, d, J = 7.88 Hz, 1Ar-H), 8.14 (1H, d, J = 7.88 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 8.21, 26.17, 31.83, 37.98, 109.17, 115.72,

125.11, 132.94, 133.97, 142.22, 150.21, 178.17, 198.73 ppm.

3-(Phenylacetyl)-6-propionyl-2(3H)-benzoxazolone (**3c5**, $C_{18}H_{15}NO_4$)
Yield 89%; m.p.: 101–102 °C; IR (KBr): $\bar{\nu}$ = 2,943, 1,785, 1,725, 1,662, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.24 (3H, t, $1CH_3$), 2.95 (2H, q, $1CH_2$), 4.43 (2H, s, $1CH_2$), 7.19–7.51 (5H, m, 5Ar-H), 7.81 (1H, s, 1Ar-H), 8.00–8.20 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.19, 30.81, 42.81, 109.95, 111.18, 116.26, 123.28, 123.37, 125.40, 126.74, 128.76, 131.24, 141.10, 151.43, 170.43, 198.71 ppm.

3-(3-Phenylpropionyl)-6-propionyl-2(3H)-benzoxazolone (**3c6**, $C_{19}H_{17}NO_4$)
Yield 88%; m.p.: 177–179 °C; IR (KBr): $\bar{\nu}$ = 2,939, 1,785, 1,729, 1,677, 1,618 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.23 (3H, t, $1CH_3$), 2.93 (2H, q, $1CH_2$), 3.13 (2H, t, $1CH_2$), 3.44 (2H, t, $1CH_2$), 7.19–7.36 (5H, m, 5Ar-H), 7.80 (1H, s, 1Ar-H), 8.00–8.15 (2H, m, 2Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 8.00, 29.62, 31.67, 38.29, 109.10, 115.42, 125.28, 126.34, 128.36, 128.45, 131.04, 134.21, 139.64, 142.25, 150.87, 171.98, 198.48 ppm.

3-Benzoyl-6-propionyl-2(3H)-benzoxazolone (**3c7**, $C_{17}H_{13}NO_4$)
Yield 83%; m.p.: 118–120 °C; IR (KBr): $\bar{\nu}$ = 2,928, 1,784, 1,698, 1,663, 1,617 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.19 (3H, t, $1CH_3$), 3.03 (2H, q, $2CH_2$), 7.39–7.61 (5H, m, 5Ar-H), 7.79–7.96 (2H, m, 2Ar-H), 8.15 (1H, d, J = 8.02 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 9.09, 31.28, 109.11, 114.48, 124.64, 126.32, 128.43, 128.45, 129.41, 133.19, 135.68, 142.40, 150.40, 167.56, 198.87 ppm.

3-(3-Methylbenzoyl)-6-propionyl-2(3H)-benzoxazolone (**3c8**, $C_{18}H_{15}NO_4$)
Yield 84%; m.p.: 87–89 °C; IR (KBr): $\bar{\nu}$ = 2,925, 1,787, 1,703, 1,675, 1,603, 1,590 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.25 (3H, t, $1CH_3$), 2.47 (3H, s, $1CH_3$), 2.97 (2H, q, $1CH_2$), 7.19–7.40 (2H, m, 2Ar-H), 7.71–7.92 (4H, m, 4Ar-H), 8.12 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 7.79, 21.43, 30.98, 109.14, 114.42, 124.22, 128.79, 129.85, 130.24, 133.69, 134.27, 139.44, 142.41, 150.23, 166.74, 198.34 ppm.

3-(4-Methylbenzoyl)-6-propionyl-2(3H)-benzoxazolone (**3c9**, $C_{18}H_{15}NO_4$)
Yield 93%; m.p.: 160–162 °C; IR (KBr): $\bar{\nu}$ = 2,920, 1,772, 1,695, 1,670, 1,610 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.26 (3H, t, $1CH_3$), 2.46 (3H, s, $1CH_3$), 2.98 (2H, q, $1CH_2$), 7.20–7.39 (2H, m, 2Ar-H), 7.70–7.90 (4H, m, 4Ar-H), 8.09 (1H, s, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 7.84, 21.46, 31.47, 109.16, 114.45, 124.23, 128.83, 129.87, 130.26, 133.79, 134.28, 139.54, 142.46, 150.25, 166.84, 198.36 ppm.

3-(2-Chlorobenzoyl)-6-propionyl-2(3H)-benzoxazolone (**3c10**, $C_{17}H_{12}ClNO_4$)
Yield 92%; m.p.: 82–84 °C; IR (KBr): $\bar{\nu}$ = 2,927, 1,781, 1,718, 1,670, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.21 (3H, t, $1CH_3$), 2.93 (2H, q, $1CH_2$), 7.30–7.93 (6H, m, 6Ar-H), 8.03 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.20, 31.89, 109.53, 115.13, 125.59, 126.61, 129.78, 130.82, 131.39, 132.61, 134.74, 142.73, 149.79, 165.49, 198.67 ppm.

3-(4-Nitrobenzoyl)-6-propionyl-2(3H)-benzoxazolone (**3c11**, $C_{17}H_{12}N_2O_6$)
Yield 75%; m.p.: 153–155 °C; IR (KBr): $\bar{\nu}$ = 2,938, 1,766, 1,710, 1,680, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.21 (3H, t, $1CH_3$), 2.98 (2H, q, $1CH_2$), 7.80–7.98 (4H, m, 4Ar-H), 8.09 (1H, d, J = 8.02 Hz, 1Ar-H), 8.21–8.29 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.13, 31.49, 108.38, 121.54, 123.56, 124.35, 128.42, 131.69, 136.18, 139.43, 142.89, 147.98, 153.24, 166.36, 194.27 ppm.

3-Acetyl-6-propionyl-2(3H)-benzothiazolone (**4c1**, $C_{12}H_{11}NO_3S$)
Yield 92%; m.p.: 119–120 °C; IR (KBr): $\bar{\nu}$ = 2,942, 1,717, 1,670, 1,618 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.29 (3H, t, $1CH_3$), 2.76 (3H, s, $1CH_3$), 2.98 (2H, q, $2CH_2$), 7.90–7.98 (2H, m, 2Ar-H), 8.03 (1H, d, J = 7.97 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.04, 27.20, 31.67, 117.37, 121.56, 122.44, 127.41, 133.86, 140.56, 170.18, 173.25, 194.35 ppm.

3-(2-Methylpropionyl)-6-propionyl-2(3H)-benzothiazolone (**4c3**, $C_{14}H_{15}NO_3S$)
Yield 84%; m.p.: 119 °C; IR (KBr): $\bar{\nu}$ = 2,977, 2,936, 2,910, 1,729, 1,682, 1,677, 1,618, 1,592 cm^{-1}; ^1H NMR ($CDCl_3$): δ = 1.20–1.40 (m, $3CH_3$), 2.96 (q, $1CH_2$), 3.87 (m, 1CH), 7.93 (s, 1Ar-H), 8.04 (d, 1Ar-H), 8.16 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 8.01, 18.69, 31.56, 36.00, 116.70, 121.68, 122.65, 126.95, 133.67, 138.14, 170.01, 173.23, 198.29 ppm.

3-(2,2-Dimethylpropionyl)-6-propionyl-2(3H)-benzothiazolone (**4c4**, $C_{15}H_{17}NO_3S$)
Yield 83%; m.p.: 103–104 °C; IR (KBr): $\bar{\nu}$ = 2,940, 1,704, 1,676, 1,618, 1,599 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.17 (9H, m, $3CH_3$), 1.38 (3H, t, $1CH_3$), 2.96 (2H, q, $1CH_2$), 7.80–7.95 (2H, m, 2Ar-H), 8.12 (1H, d, J = 7.78 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 5.92, 24.67, 28.61, 37.83, 108.89, 114.97, 120.79, 124.46, 129.63, 138.12, 168.15, 175.18, 197.39 ppm.

3-(Phenylacetyl)-6-propionyl-2(3H)-benzothiazolone (**4c5**, $C_{18}H_{15}NO_3S$)
Yield 90%; m.p.: 164–166 °C; IR (KBr): $\bar{\nu}$ = 2,942, 1,701, 1,661, 1,592 cm^{-1}; ^1H NMR (DMSO-d_6): δ = 1.27 (3H, t, $1CH_3$), 2.89 (2H, q, $2CH_2$), 4.46 (2H, s, $1CH_2$), 7.21–7.49

(5H, m, 5Ar-H), 7.81–8.00 (1H, m, 1Ar-H), 8.14 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 7.95, 28.58, 41.98, 117.58, 121.63, 122.87, 125.98, 127.29, 128.23, 128.72, 134.34, 137.56, 139.76, 169.57, 172.39, 198.18 ppm.

3-(3-Phenylpropionyl)-6-propionyl-2(3H)-benzothiazolone (**4c6**, $C_{19}H_{17}NO_3S$)
Yield 91%; m.p.: 100–103 °C; IR (KBr): $\bar{\nu}$ = 2,939, 1,699, 1,674, 1,618 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 1.24 (3H, t, CH_3), 2.93 (2H, q, CH_2), 3.10 (2H, t, CH_2), 3.47 (2H, t, 1CH_2), 7.20–7.40 (5H, m, 5Ar-H), 7.86–7.98 (2H, m, 2Ar-H), 8.14 (1H, m, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 8.18, 30.42, 31.76, 40.71, 117.42, 121.32, 122.74, 126.47, 127.19, 128.37, 128.62, 134.16, 137.36, 140.06, 169.85, 173.29, 198.27 ppm.

3-Benzoyl-6-propionyl-2(3H)-benzothiazolone (**4c7**, $C_{17}H_{13}NO_3S$)
Yield 78%; m.p.: 19–21 °C; IR (KBr): $\bar{\nu}$ = 2,934, 1,698, 1,670, 1,617 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 1.19 (3H, t, CH_3), 3.02 (2H, q, CH_2), 7.36–7.62 (5H, m, Ar–H), 7.78–7.96 (2H, m, Ar–H), 8.15 (1H, d, J = 7.99 Hz, Ar–H) ppm; ^{13}C NMR (DMSO-d_6): δ = 7.27, 30.78, 113.23, 121.16, 126.19, 127.90, 128.16, 129.25, 131.20, 132.77, 133.69, 137.19, 167.62, 168.22, 197.79 ppm.

3-(3-Methylbenzoyl)-6-propionyl-2(3H)-benzothiazolone (**4c8**, $C_{18}H_{15}NO_3S$)
Yield 86%; m.p.: 39–41 °C; IR (KBr): $\bar{\nu}$ = 2,925, 1,714, 1,675, 1,618 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 1.23 (3H, t, 1CH_3), 2.42 (3H, s, 1CH_3), 2.95 (2H, q, 1CH_2), 7.41–7.63 (4H, m, 4Ar-H), 7.82–7.98 (2H, m, 2Ar-H), 8.10 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.90, 22.61, 32.40, 114.87, 123.17, 124.63, 126.91, 127.76, 130.25, 132.79, 134.26, 139.39, 142.32, 163.23, 169.82, 197.84 ppm.

3-(4-Methylbenzoyl)-6-propionyl-2(3H)-benzothiazolone (**4c9**, $C_{18}H_{15}NO_3S$)
Yield 89%; m.p.: 101–103 °C; IR (KBr): $\bar{\nu}$ = 2,922, 1,712, 1,675, 1,609 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 1.26 (3H, t, 1CH_3), 2.48 (3H, s, 1CH_3), 2.99 (2H, q, 1CH_2), 7.40–7.62 (4H, m, 4Ar-H), 7.80–7.96 (2H, m, 2Ar-H), 8.11 (1H, s, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 8.34, 21.28, 31.74, 114.29, 122.64, 126.82, 127.56, 128.14, 130.69, 132.13, 133.72, 137.96, 138.62, 162.77, 170.14, 198.64 ppm.

3-(2-Chlorobenzoyl)-6-propionyl-2(3H)-benzothiazolone (**4c10**, $C_{17}H_{12}ClNO_3S$)
Yield 90%; m.p.: 85–87 °C; IR (KBr): $\bar{\nu}$ = 2,937, 1,701, 1,679, 1,619 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 1.24 (3H, t, 1CH_3), 2.96 (2H, q, 1CH_2), 7.39–7.93 (6H, m, 6Ar-H), 8.16 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.12, 31.70, 116.00, 122.15, 126.88, 127.34, 127.90, 129.90, 130.96, 132.65, 132.94, 134.24, 135.08, 137.69, 166.66, 169.19, 198.70 ppm.

3-(4-Nitrobenzoyl)-6-propionyl-2(3H)-benzothiazolone (**4c11**, $C_{17}H_{12}N_2O_5S$)
Yield 57%; m.p.: 138–140 °C; IR (KBr): $\bar{\nu}$ = 2,939, 1,681, 1,673, 1,618, 1,602 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 1.22 (3H, t, 1CH_3), 2.97 (2H, q, 1CH_2), 7.83–7.99 (4H, m, 4Ar-H), 8.12 (1H, d, J = 7.85 Hz, 1Ar-H), 8.20–8.28 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.32, 31.38, 116.32, 119.45, 123.69, 124.93, 128.29, 130.85, 131.68, 137.57, 139.73, 142.58, 166.32, 169.67, 194.85 ppm.

6-Benzoyl-3-propionyl-2(3H)-benzoxazolone (**5c2**, $C_{17}H_{13}NO_4$)
Yield 82%; m.p.: 189–191 °C; IR (KBr): $\bar{\nu}$ = 2,947, 1,800, 1,732, 1,645, 1,597 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 1.30 (3H, t, 1CH_3), 3.20 (2H, q, 1CH_2), 7.39–7.82 (7H, m, 7Ar-H), 8.16 (1H, d, J = 7.94 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 7.94, 30.59, 111.71, 115.34, 127.78, 128.90, 129.92, 131.10, 132.75, 134.76, 137.13, 142.16, 151.12, 173.25, 194.75 ppm.

6-Benzoyl-3-(2-methylpropionyl)-2(3H)-benzoxazolone (**5c3**, $C_{18}H_{15}NO_4$)
Yield 85%; m.p.: 137 °C; IR (KBr): $\bar{\nu}$ = 2,936, 1,790, 1,724, 1,652, 1,597, 1,578 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 1.48 (d, 2CH_3), 3.86 (m, 1CH), 7.36–7.48 (m, 2Ar-H), 7.54 (d, 1Ar-H), 7.76–7.68 (m, 4Ar-H), 8.14 (d, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 18.57, 34.09, 111.13, 115.46, 127.62, 128.38, 129.81, 131.32, 132.63, 133.44, 134.62, 137.03, 142.08, 150.58, 176.72, 194.68 ppm.

6-Benzoyl-3-(2,2-dimethylpropionyl)-2(3H)-benzoxazolone (**5c4**, $C_{19}H_{17}NO_4$)
Yield 73%; m.p.: 103–105 °C; IR (KBr): $\bar{\nu}$ = 2,936, 1,790, 1,725, 1,652, 1,598 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 1.50 (9H, s, 3CH_3), 7.40–7.85 (7H, s, 7Ar-H), 7.98 (1H, d, J = 8.02 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 26.19, 42.95, 109.37, 111.38, 111.49, 115.82, 127.70, 129.85, 132.52, 137.52, 142.45, 152.37, 167.72, 195.17 ppm.

6-Benzoyl-3-(phenylacetyl)-2(3H)-benzoxazolone (**5c5**, $C_{22}H_{15}NO_4$)
Yield 85%; m.p.: 133–136 °C; IR (KBr): $\bar{\nu}$ = 2,914, 1,753, 1,700, 1,651, 1,615, 1,598 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 4.49 (2H, s, 1CH_2), 7.30–7.80 (12H, m, 12Ar-H), 8.14 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 42.77, 111.41, 115.32, 127.59, 127.69, 128.38, 128.68, 129.69, 129.79, 130.95, 132.01, 132.64, 134.83, 136.95, 142.03, 150.88, 170.33, 194.54 ppm.

6-Benzoyl-3-(3-phenylpropionyl)-2(3H)-benzoxazolone (**5c6**, $C_{23}H_{17}NO_4$)
Yield 87%; m.p.: 139–140 °C; IR (KBr): $\bar{\nu}$ = 2,931, 1,775, 1,724, 1,650, 1,597 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 3.11 (2H, t, 1CH_2), 3.45 (2H, t, 1CH_2), 7.20–7.38 (5H, m, 5Ar-

H), 7.60–7.80 (7H, m, 7Ar-H), 8.14 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 29.85, 38.51, 111.17, 115.43, 126.59, 127.80, 128.34, 128.67, 129.96, 131.02, 132.80, 134.69, 137.14, 139.85, 142.17, 151.66, 171.24, 194.24 ppm.

6-Benzoyl-3-(3-methylbenzoyl)-2(3H)-benzoxazolone (**5c8**, $C_{22}H_{15}NO_4$)
Yield 82%; m.p.: 164–166 °C; IR (KBr): $\bar{\nu}$ = 2,917, 1,754, 1,705, 1,640, 1,594 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 2.43 (3H, s, 1CH_3), 7.30–7.50 (4H, m, 4Ar-H), 7.62–7.85 (7H, m, 7Ar-H), 7.94 (1H, s, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 21.32, 111.53, 114.28, 126.62, 127.65, 128.35, 128.51, 129.95, 130.18, 131.79, 132.76, 134.74, 137.18, 138.50, 142.68, 150.72, 167.63, 194.76 ppm.

6-Benzoyl-3-(4-methylbenzoyl)-2(3H)-benzoxazolone (**5c9**, $C_{22}H_{15}NO_4$)
Yield 89%; m.p.: 185–187 °C; IR (KBr): $\bar{\nu}$ = 2,921, 1,785, 1,712, 1,645, 1,609 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 2.42 (3H, s, 1CH_3), 7.29–7.49 (4H, m, 4Ar-H), 7.61–7.83 (7H, m, 7Ar-H), 7.93 (1H, d, J = 7.98 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 21.11, 110.83, 113.44, 126.84, 127.72, 128.11, 128.45, 129.16, 129.31, 131.95, 132.35, 134.68, 137.20, 138.52, 142.58, 151.12, 167.60, 194.69 ppm.

6-Benzoyl-3-(2-chlorobenzoyl)-2(3H)-benzoxazolone (**5c10**, $C_{21}H_{12}ClNO_4$)
Yield 85%; m.p.: 182–184 °C; IR (KBr): $\bar{\nu}$ = 1,775, 1,703, 1,651, 1,597 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 7.34–7.85 (11H, m, 11Ar-H), 7.96 (1H, s, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 111.60, 114.24, 127.25, 127.85, 128.54, 128.61, 129.97, 130.04, 131.16, 132.53, 132.91, 133.13, 135.31, 142.62, 151.24, 165.64, 194.38 ppm.

6-Benzoyl-3-(4-nitrobenzoyl)-2(3H)-benzoxazolone (**5c11**, $C_{21}H_{12}N_2O_6$)
Yield 68%; m.p.: 155–158 °C; IR (KBr): $\bar{\nu}$ = 1,760, 1,704, 1,650, 1,617 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 7.42–7.84 (7H, m, 7Ar-H), 8.10–8.24 (3H, m, 3Ar-H), 8.31 (2H, m, 2Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 111.63, 114.68, 123.80, 125.59, 128.65, 128.98, 129.58, 131.48, 132.04, 132.58, 134.24, 136.85, 142.73, 150.92, 167.29, 194.27 ppm.

6-Benzoyl-3-propionyl-2(3H)-benzothiazolone (**6c2**, $C_{17}H_{13}NO_3S$)
Yield 76%; m.p.: 104–106 °C; IR (KBr): $\bar{\nu}$ = 2,946, 1,698, 1,660, 1,645, 1,597 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 1.32 (3H, t, 1CH_3), 3.16 (2H, q, 1CH_2), 7.43–7.82 (7H, m, 7Ar-H), 8.13 (1H, d, J = 7.88 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 8.18, 30.42, 117.42, 121.32, 122.74, 126.47, 127.19, 128.37, 128.62, 134.16, 137.36, 140.06, 169.85, 173.29, 198.27 ppm.

6-Benzoyl-3-(2-methylpropionyl)-2(3H)-benzoxazolone (**6c3**, $C_{18}H_{15}NO_3S$)
Yield 70%; m.p.: 110–111 °C; IR (KBr): $\bar{\nu}$ = 2,934, 1,698, 1,670, 1,648, 1,618, 1,595 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 1.28 (6H, m, 2CH_3), 3.87 (1H, m, 1CH), 7.43–7.83 (7H, m, 7Ar-H), 8.15 (1H, d, J = 8.01 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 18.86, 36.19, 116.60, 123.83, 127.59, 128.47, 129.32, 129.91, 132.70, 134.20, 137.26, 139.86, 169.98, 172.19, 196.37 ppm.

6-Benzoyl-3-(2,2-dimethylpropionyl)-2(3H)-benzothiazolone (**6c4**, $C_{19}H_{17}NO_3S$)
Yield 72%; m.p.: 191–193 °C; IR (KBr): $\bar{\nu}$ = 2,939, 1,699, 1,684, 1,640, 1,619 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 1.48 (9H, s, 3CH_3), 7.42–7.85 (7H, m, 7Ar-H), 8.09 (1H, d, J = 7.98 Hz, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 25.98, 42.83, 111.14, 123.80, 124.81, 128.49, 128.94, 129.41, 131.32, 132.31, 137.36, 140.20, 168.78, 170.63, 194.42 ppm.

6-Benzoyl-3-(phenylacetyl)-2(3H)-benzothiazolone (**6c5**, $C_{22}H_{15}NO_3S$)
Yield 90%; m.p.: 53–55 °C; IR (KBr): $\bar{\nu}$ = 2,926, 1,699, 1,670, 1,647, 1,618, 1,598 cm^{-1}; ^{1}H NMR (DMSO-d_6): δ = 4.46 (2H, s, 1CH_2), 7.14–7.39 (4H, m, 4Ar-H), 7.43–7.80 (7H, m, 7Ar-H), 7.98 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 41.14, 116.39, 120.93, 122.68, 124.37, 127.34, 128.44, 128.75, 129.32, 129.39, 130.18, 132.30, 133.49, 136.75, 137.34, 139.92, 169.34, 171.23, 194.53 ppm.

6-Benzoyl-3-(3-phenylpropionyl)-2(3H)-benzothiazolone (**6c6**, $C_{23}H_{17}NO_3S$)
Yield 91%; m.p.: 163–165 °C; IR (KBr): $\bar{\nu}$ = 2,929, 1,699, 1,670, 1,640, 1,590 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 3.09 (2H, t, 1CH_2), 3.36 (2H, t, 1CH_2), 7.12–7.37 (5H, m, 5Ar-H), 7.43–7.83 (7H, m, 7Ar-H), 7.98 (1H, s, 1Ar-H) ppm; ^{13}C NMR (DMSO-d_6): δ = 29.67, 35.21, 111.43, 116.11, 124.32, 124.64, 125.93, 128.19, 128.37, 129.43, 131.12, 132.68, 133.96, 137.21, 140.16, 141.07, 170.16, 171.32, 194.35 ppm.

3,6-Dibenzoyl-2(3H)-benzothiazolone (**6c7**, $C_{21}H_{13}NO_3S$)
Yield 72%; m.p.: 65–67 °C; IR (KBr): $\bar{\nu}$ = 1,694, 1,660, 1,647, 1,597 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 7.39–7.86 (12H, m, 12Ar-H), 7.96 (1H, d, J = 7.77 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): δ = 112.03, 114.92, 125.49, 128.74, 129.03, 129.47, 129.80, 131.19, 131.49, 132.81, 133.80, 134.38, 136.07, 138.67, 168.14, 169.34, 194.42 ppm.

6-Benzoyl-3-(3-methylbenzoyl)-2(3H)-benzothiazolone (**6c8**, $C_{22}H_{15}NO_3S$)
Yield 71%; m.p.: 60–62 °C; IR (KBr): $\bar{\nu}$ = 2,923, 1,717, 1,680, 1,639, 1,605 cm^{-1}; ^{1}H NMR ($CDCl_3$): δ = 2.41

(3H, s, $1CH_3$), 7.32–7.49 (4H, m, 4Ar-H), 7.58–7.93 (7H, m, 7Ar-H), 7.95 (1H, s, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta =$ 18.85, 112.04, 125.48, 126.69, 127.72, 128.55, 128.89, 129.87, 130.28, 131.67, 132.65, 133.50, 135.92, 136.38, 138.98, 166.75, 169.52, 194.66 ppm.

6-Benzoyl-3-(4-methylbenzoyl)-2(3H)-benzothiazolone (**6c9**, $C_{22}H_{15}NO_3S$)
Yield 79%; m.p.: 65–66 °C; IR (KBr): $\bar{\nu} =$ 2,923, 1,713, 1,682, 1,638, 1,610 cm^{-1}; ^1H NMR ($CDCl_3$): $\delta =$ 2.43 (3H, s, $1CH_3$), 7.28–7.47 (4H, m, 4Ar-H), 7.59–7.82 (7H, m, 7Ar-H), 7.99 (1H, d, $J =$ 7.78 Hz, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta =$ 19.76, 112.24, 124.15, 126.77, 127.82, 128.36, 128.85, 129.85, 130.24, 131.64, 132.59, 133.49, 135.72, 136.82, 138.87, 167.59, 170.15, 194.75 ppm.

6-Benzoyl-3-(2-chlorobenzoyl)-2(3H)-benzothiazolone (**6c10**, $C_{21}H_{12}ClNO_3S$)
Yield 89%; m.p.: 119–120 °C; IR (KBr): $\bar{\nu} =$ 1,703, 1,675, 1,652, 1,614, 1,595 cm^{-1}; ^1H NMR ($CDCl_3$): $\delta =$ 7.33–7.84 (11H, m, 1Ar-H), 7.93 (1H, s, 1Ar-H) ppm; ^{13}C NMR ($CDCl_3$): $\delta =$ 115.60, 122.72, 124.02, 126.61, 127.85, 128.73, 129.65, 129.84, 130.58, 131.54, 132.64, 133.91, 135.72, 136.99, 139.24, 166.67, 168.78, 194.48 ppm.

6-Benzoyl-3-(4-nitrobenzoyl)-2(3H)-benzothiazolone (**6c11**, $C_{21}H_{12}N_2O_5S$)
Yield 65%; m.p.: 165–167 °C; IR (KBr): $\bar{\nu} =$ 1,702, 1,675, 1,658, 1,618, 1,597 cm^{-1}; ^1H NMR (DMSO-d_6): $\delta =$ 7.44–7.86 (7H, m, Ar–H), 8.06–8.23 (3H, m, Ar–H), 8.28 (2H, m, Ar–H) ppm; ^{13}C NMR (DMSO-d_6): $\delta =$ 112.65, 114.58, 123.89, 125.63, 128.75, 128.83, 129.98, 131.28, 132.34, 132.62, 134.69, 137.81, 141.98, 142.45, 167.32, 168.78, 194.48 ppm.

References

1. Poupaert JH, Carato P, Colacino E (2005) Curr Med Chem 12:877
2. Yous S, Poupaert JH, Chavatte P, Espiard J-GB, Caignard DH, Lesieur D (2001) Drug Des Discov 17:331
3. Yous S, Lebegue N, Poupaert JH, Chavatte P, Berthelot P (2005) J Enzym Inhib Med Chem 20:525
4. Moussavi Z, Lesieur D, Lespagnol C, Sauzieres J, Olivier P (1989) Eur J Med Chem 24:55
5. Blanc Delmas E, Lebegue N, Wallez V, Leclerc V, Yous S, Carato P, Farce A, Bennejean C, Renard P, Caignard DH, Audinot Bouchez V, Chomarat P, Boutin J, Hennuyer N, Louche K, Carmona MC, Staels B, Penicaud L, Casteilla L, Lonchampt M, Dacquet C, Chavatte P, Berthelot P, Lesieur D (2006) Bioorg Med Chem 14:7377
6. Renard P, Lesieur D, Lespagnol C, Cazin M, Brunet C, Cazin JC (1980) Eur J Med Chem 15:453
7. Mésangeau C, Narayanan S, Green AM, Shaikh J, Kaushal N, Viard E, Yan TX, Fishback JA, Poupaert JH, Matsumoto Rae R, McCurdy CR (2008) J Med Chem 51:1482
8. Bonte JP, Lesieur D, Plat M, Cazin JC, Cazin M, Lespagnol C (1974) Eur J Med Chem 9:491
9. Mairesse G, Boivin JC, Thomas DJ, Bermann MC, Bonte JP, Lesieur D (1984) Acta Crystallogr C 40:1019
10. Follet C, Boivin JC, Bonte JP, Lesieur D (1991) Acta Crystallogr C 47:882
11. Diouf O, Depreux P, Lesieur D, Poupaert JH, Caignard DH (1995) Heterocycles 41:1219
12. Ucar H, Van derpoorten K, Depovere P, Lesieur D, Isa M, Masereel B, Delarge J, Poupaert JH (1998) Tetrahedron 54:1763
13. Cotelle N, Cotelle P, Lesieur D (1989) Synth Comm 19:3259
14. Ucar H, Van derpoorten K, Cacciaguerra S, Spampinato S, Stables JP, Depovere P, Isa M, Masereel B, Delarge J, Poupaert JH (1998) Med Chem 41:3102
15. Ishida S, Hashida Y, Shizuka H, Matsui K (1979) Bull Chem Soc Jpn 52:1135
16. Ucar H, Van derpoorten K, Kanyonyo M, Lambert D, Isa M, Lesieur D, Poupaert JH (1996) Bull Soc Chim Belg 105:773
17. Ouyang X, Han J, Kang R, Zhen X (2001) Cuihua Xuebao 22:487
18. Zheng E, Zheng X (2006) J Nat Gas Chem 15:70
19. Yusuf MM, Imai H, Hirashima H (2001) J Non-Cryst Solids 285:90
20. Belciug MP, Modro AM, Modro TA, Wessels PL (1996) Bull Magn Reson 18:135
21. Belciug MP, Modro Agnes M, Modro Tomasza A, Wessels Philippus L (1995) J Phys Org Chem 8:605
22. Lespagnol Ch (1955) Bull Soc Pharmacie Lille 71
23. Baine NH, Clark Jr WM, Eldridge A (2000) USA Patent WO 2000012468
24. Igor P, Marko Z, Stojan S (2006) Tetrahedron Lett 47:4707
25. Aichaoui H, Poupaert JH, Lesieur D, Henichart JP (1991) Tetrahedron 47:6649
26. Aichaoui H, Lesieur D, Henichart JP (1992) J Heterocycl Chem 29:171
27. Yous S, Poupaert JH, Lesieur I, Depreux P, Lesieur D (1994) J Org Chem 59:1574
28. Carato P, Yous S, Sellier D, Poupaert JH, Lebegue N, Berthelot P (2004) Tetrahedron 60:10321
29. Ucar H, Van derpoorten K, Cacciaguerra S, Spampinato S, Stables JP, Depovere P, Isa M, Masereel B, Delarge J, Poupaert JH (1998) Med Chem 41:3102
30. Ucar H, Van derpoorten K, Depovere P, Lesieur D, Isa M, Masereel B, Delarge J, Poupaert JH (1998) Tetrahedron 54:1763
31. Guenadil F, Aichaoui H (2003) Phosphorus. Sulfur Silicon Relat Elem 178:1703
32. Ashley GW, Burlingame M, Desai R, Fu H, Leaf T, Licari PJ, Tran C, Abbanat D, Bush K, Macielag M (2006) J Antibiot 59:392
33. Ishida S, Hashida Y, Shizuka H, Matsui K (1979) Bull Chem Soc Jpn 52:1135
34. Lacova M, Sidoova E (1987) Acta Fac Rerum Nat Univ Comenianae Chimia 35:125
35. Ucar H, Van Derpoorten K, Kanyonyo M, Isa M, Lambert D, Lesieur D, Poupaert JH (1996) Bull Soc Chim Belg 105:773
36. Cotelle N, Cotelle Ph, Lesieur D (1989) Synth Comm 19:3259
37. Benzothiazolones as fungicides (1985) Japan Patent 60105604
38. Linner H, Herbig H, Wistup I, Wigert H (1959) Chem Ber 92:407
39. Benzothiazolinones (1985) Japan Patent 6010567
40. Loffelmann FF, Misner RE (1973) US Patent 3775333
41. Dushamov DA, Mukhamedov NS, Aliev NA, Bobokulov KM, Levkovich MG, Abdullaev ND, Zhonkhozhaeva FB (2002) O'zbekiston Kimyo Jurnali 2:13
42. Sidoova E, Gvozdjakova A, Kralova K, Mitterhauszerova L (1992) Chem Pap 46:112
43. Eckstein Z, Zukowski Ed (1958) Przemysl Chem 37:418

44. Van derpoorten K, Ucar H, Andrei G, Snoeck R, Balzarini J, De Clercq E, Poupaert JH (1999) Antiviral Chem Chemother 10:87
45. Erdogan H, Yulug N (1988) FABAD Farm Bilimler Dergisi 13:423
46. Erdogan H, Yulug N (1986) Hacettepe Universitesi Eczacilik Fakultesi Dergisi 6:1
47. Hashihayata T, Mandai H, Mukaiyama T (2004) Bull Chem Soc Jpn 77:169
48. Carato P, Cherry K, Lebegue N, Berthelot P, Yous S (2007) Heterocycles 71:2041