Thermal Cyclization of Ketene Dithioacetals: A Convenient Synthetic Route to Substituted 2(1*H*)-Quinolinones and 2(1*H*)-Pyridones

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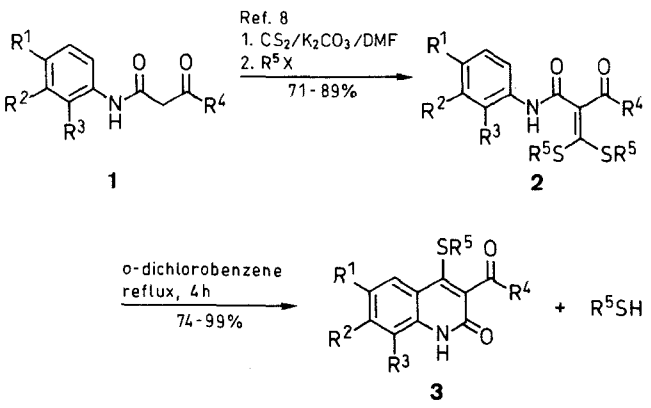
Acyl(arylcarbamoyl)ketene dithioacetals **2** and acyl(1-alkenylcarbamoyl)ketene dithioacetals **5** obtained from the corresponding β-oxo amides **1**, **4** were thermally cyclized to give various 3-acyl-4-alkylthio-2(1*H*)-quinolinones **3**, and 3-acyl-4-alkylthio-5-aryl-2(1*H*)-pyridones **6**, respectively, depending on the substituent of the amide group.

Diverse approaches to provide substituted 2(1*H*)-pyridone **6**[1,2] and its fused analogs, 2(1*H*)-quinolinone **3**[3,4] have been reported. Among them, acid- or base-catalyzed intramolecular cyclization reactions of appropriate amides have been encountered most frequently. For example malondianilides prepared by condensing anilines with malonates were cyclized in the presence of methanesulfonic acid to provide 4-hydroxy-2(1*H*)-quinolinone.[3] The β-oxo anilide obtained from condensation of 2-aminobenzonitrile with diketene was cyclized in the presence of tin(IV) chloride to give 3-acetyl-4-amino-2(1*H*)-quinolinone.[5] 1,4-Addition of ynamines to phenyl isocyanates have also provided 3-substituted-4-amino-2(1*H*)-quinolinones.[6] Junjappa et al. reported[2] that either substituted 3-cyano-4-methylthio-2(1*H*)-pyridone or substituted 4-amino-3-cyano-2(1*H*)-pyridone can be prepared by condensation of cyanoketene *S,S*-acetals or cyanoketene *N,S*-acetals, respectively, with cyanoacetamide in the presence of sodium isopropoxide. Recently, Tominaga also reported[1] a method to prepare the substituted 3-cyano-4-methylthio-2(1*H*)-pyridones employing bis-(methylthio)methylenemalonitrile and bis(methylthio)-methylenecyanoacetamide. The ketene dithioacetals were condensed with appropriate ketones in the presence of potassium hydroxide in dimethyl sulfoxide. Each of these reactions described above was employed to prepare 2(1*H*)-quinolinone and 2(1*H*)-pyridone skeletons with limitations on structural flexibility.

Here we report a simple and general synthetic method to construct the skeletons **3** and **6** with structural flexibility *in one synthetic route*. *β-Oxo anilides* **1** were prepared either via condensation of diketene and anilines or exchange reaction of β-oxo esters with anilines. It can be also prepared conveniently by aminolysis of acyl Meldrum's acid.[7] Subsequently, β-oxo amides **1** were transformed into acyl(arylcarbamoyl)ketene dithioacetals **2** in high yields by using carbon disulfide and alkyl halide in the presence of potassium carbonate as described previously[8] (Table 1). Thermal cyclization took place smoothly either by heating ketene dithioacetals **2** in an inert solvent like *o*-dichlorobenzene at reflux temperature for 2–4 h or by direct thermolysis at temperatures slightly above its melting point with elimination of an alkyl mercaptan (Scheme 1).



1–3	R^1	R^2	R^3	R^4	R^5
a	H	H	H	Me	Me
b	H	H	H	Me	Pr
c	H	H	H	Me	Bn
d	H	H	H	Ph	Me
e	H	H	Cl	Et	Me
f	H	H	Cl	Pr	Me
g	Me	H	Me	Me	Me
h	Cl	H	H	Me	Me
i	OMe	H	H	Me	Me
j	H	OMe	H	Me	Me
k	H	Cl	H	Me	Me
l	H	CF_3	H	Me	Me

Scheme 1

After cooling the reaction mixture, the crystalline solid was filtered to give 3-acyl-4-alkylthio-2(1*H*)-quinolinones **3a–l** in respectable yields as in (Table 2). No thermal

Table 1. Compounds **2** Prepared

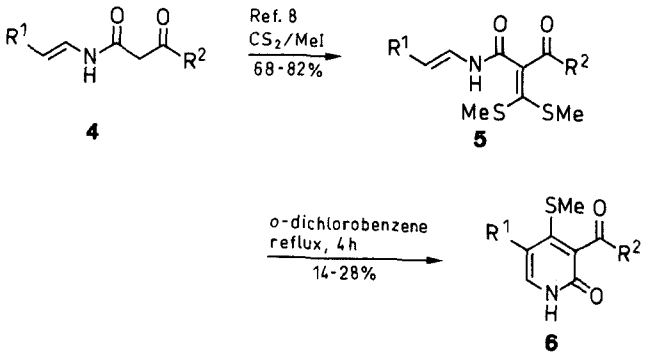
Product	Yield[a] (%)	mp (°C)	Molecular Formula[b]	IR (KBr) ν (cm^{-1})	^1H NMR ($CDCl_3$/TMS) δ, J (Hz)	MS (20 eV) m/z (%)
2a	73	161–163	$C_{13}H_{15}NO_2S_2$ (281.4)	3300, 1660, 1640, 1600, 1540, 1480	2.43 (s, 3H), 2.46 (s, 6H), 7.14 (t, 1H, J = 7.4), 7.36 (t, 2H, J = 7.6), 7.68 (d, 2H, J = 7.6), 8.65 (s, 1H)	281 (M^+, 12)
2b	83	105–107	$C_{17}H_{23}NO_2S_2$ (337.5)	3200, 1660, 1630, 1590, 1560, 1470	0.98 (t, 6H, J = 7.3), 1.67 (m, 4H, J = 7.3), 2.46 (s, 3H), 2.90 (t, 4H, J = 7.3), 7.13 (t, 1H, J = 7.4), 7.35 (t, 2H, J = 7.7, 8.1), 3.61 (d, 2H, J = 7.8), 8.62 (s, 1H)	337 (M^+, 4)
2c	88	143–145	$C_{25}H_{23}NO_2S_2$ (433.5)	3300, 1660, 1630, 1590, 1550, 1450	2.20 (s, 3H), 4.18 (s, 2H), 4.24 (s, 2H), 7.09 (t, 1H, J = 7.3), 7.25–7.36 (m, 12H), 7.69 (d, 2H, J = 8.1), 10.44 (s, 1H)	434 (M^+ + 1, 6)
2d	86	131–133	$C_{18}H_{17}NO_2S_2$ (343.5)	3200, 1660, 1640, 1590, 1480	2.06 (s, 3H), 2.43 (s, 3H), 7.04–7.60 (m, 8H), 7.96 (m, 2H), 8.78 (s, 1H)	343 (M^+, 1)
2e	89	128–130	$C_{14}H_{16}ClNO_2S_2$ (329.9)	3300, 1660, 1580, 1500, 1480	1.19 (t, 3H, J = 7.2), 2.47 (s, 6H), 2.82 (q, 2H, J = 7.2), 7.06 (td, 1H, J = 8.1, 1.5), 7.27 (td, 1H, J = 7.7, 1.2), 7.40 (dd, 1H, J = 8.0, 1.4), 8.47 (d, 1H, J = 8.3), 8.81 (s, 1H)	329 (M^+, 3)
2f	89	123–124	$C_{15}H_{18}ClNO_2S_2$ (343.9)	3300, 1650, 1590, 1510, 1480	0.96 (t, 3H, J = 7.4), 1.74 (m, 2H, J = 7.3), 2.47 (s, 6H), 2.78 (t, 2H, J = 7.3), 7.07 (td, 1H, J = 7.8, 1.5), 7.28 (td, 1H, J = 7.8, 1.5), 7.39 (dd, 1H, J = 8.0, 1.5), 8.46 (d, 1H, J = 8.2), 8.79 (s, 1H)	343 (M^+, 8)
2g	82	94–97	$C_{15}H_{19}NO_2S_2$ (309.5)	3350, 1670, 1640, 1600, 1510, 1470	2.24 (s, 3H), 2.26 (s, 3H), 2.42 (s, 6H), 2.45 (s, 3H), 6.95–7.10 (m, 2H), 7.75 (d, 1H, J = 8.8), 8.17 (s, 1H)	309 (M^+, 4)
2h	76	156–158	$C_{13}H_{14}ClNO_2S_2$ (315.8)	3200, 1660, 1630, 1600, 1520, 1480	2.42 (s, 3H), 2.46 (s, 6H), 7.31 (d, 2H, J = 8.8), 7.65 (d, 2H, J = 8.8), 8.85 (s, 1H)	315 (M^+, 12)
2i	73	137–139	$C_{14}H_{17}NO_3S_2$ (311.4)	3300, 1660, 1640, 1500, 1460	2.43 (s, 3H), 2.44 (s, 6H), 3.80 (s, 3H), 6.89 (dd, 2H, J = 6.9, 2.2), 7.56 (dd, 2H, J = 6.9, 2.2), 8.42 (s, 1H)	311 (M^+, 22)
2j	78	110–111	$C_{14}H_{17}NO_3S_2$ (311.4)	3300, 1670, 1640, 1590, 1530, 1470	2.43 (s, 3H), 2.45 (s, 6H), 3.81 (s, 3H), 6.68–6.71 (m, 1H), 7.16–7.28 (m, 2H), 7.42 (s, 1H), 8.68 (s, 1H)	312 (M^+ + 1, 1)
2k	71	136–137	$C_{13}H_{14}ClNO_2S_2$ (315.8)	3300, 1670, 1640, 1580, 1520, 1470	2.27 (s, 3H), 2.45 (s, 6H), 7.11 (dt, 1H, J = 8.0, 0.9), 7.33 (t, 1H, J = 8.1), 7.52 (dt, 1H, J = 7.3, 0.9), 7.87 (t, 1H, J = 2.0), 10.64 (s, 1H)	315 (M^+, 3)
2l	72	118–120	$C_{14}H_{14}F_3NO_2S_2$ (349.4)	3260, 1670, 1650, 1600, 1540, 1490	2.44 (s, 3H), 2.47 (s, 6H), 7.40 (d, 1H, J = 7.7), 7.47 (t, 1H, J = 7.9), 7.89 (d, 1H, J = 8.0), 8.04 (s, 1H), 9.14 (s, 1H)	349 (M^+, 4)

[a] Yield of isolated product.

[b] Satisfactory microanalyses obtained: C ±0.25, H ±0.11, N ±0.34.

cyclization took place in the case of acyl (aryl-*N*,*N*-disubstituted) ketene dithioacetals. The lack of enolizable proton plausibly prohibited the *N*,*N*-disubstituted anilide from forming an enol form which could be the precursor for the cyclization. When ketene dithioacetal derivatives of meta-substituted anilide **2j–l** were cyclized, ring closure occurred mainly at para position to the substituent to give 7-substituted 2(1*H*)-quinolinones **3j–l** as the major product. While *m*-OCH_3 derivative **2j** gave the corresponding quinolinone **3j** exclusively, *m*-Cl and *m*-CF_3 derivatives **2k, l** afforded a mixture of 7- and 5-substituted isomers **3k, k'** and **3l, l'**, respectively, in the same ratio of 85:15 as determined by NMR. The isomer ratio was identical with that of the product derived from condensation of 3-chloroaniline and acetyl malonic acid ester.[9] Steric factors may have played a critical role to give the 7-isomer as the major product. In an effort to expand its scope, we utilized this reaction to prepare 2(1*H*)-pyridones (Scheme 2).

Thus β-oxo *N*-vinylamides **4a–f** were prepared by addition of appropriate β-oxo ester enolate to the substituted *trans* vinyl isocyanate[10] which was followed by heating the adduct in dimethyl sulfoxide to eliminate the alkoxycarbonyl group.[11] Subsequently the ketene dithioacetals



4–6	R^1	R^2
a	Ph	Me
b	Ph	Pr
c	4-ClC_6H_4	Me
d	2,4-$Cl_2C_6H_3$	Me
e	4-$MeOC_6H_4$	Me
f	Me	Me

Scheme 2

5 were prepared in decent yields according to the previous method[8] (Table 3). The cyclization took place however with modest yields to give 2(1*H*)-pyridone **6** as the sole

Table 2. Compounds **3** Prepared

Product	Yield[a] (%)	mp (°C)	Molecular Formula[b]	IR (KBr) ν (cm^{-1})	^1H NMR ($CDCl_3$/TMS) δ, J (Hz)	MS (20 eV) m/z (%)
3a	83	230–232	$C_{12}H_{11}NO_2S$ (233.3)	3300, 1645, 1620, 1605, 1580, 1525	2.59 (s, 3H), 2.66 (s, 3H), 7.35 (t, 1H, J = 7.8), 7.63 (t, 1H, J = 8.3), 7.79 (d, 1H, J = 8.3), 8.17 (dd, 1H, J = 8.0, 1.1), 10.8 (s, 1H)	233 (M^+, 7)
3b	88	154–155	$C_{14}H_{15}NO_2S$ (261.4)	3240, 1670, 1600, 1560, 1520, 1420	1.03 (t, 3H, J = 7.3), 1.67 (m, 2H, J = 7.3), 2.62 (s, 3H), 3.19 (t, 2H, J = 7.3), 7.34 (td, 1H, J = 8.1, 7.0, 1.0), 7.61 (td, 1H, J = 8.2, 7.0, 1.5), 7.76 (dd, 1H, J = 8.0, 0.5), 8.20 (dd, 1H, J = 8.2, 1.4), 11.23 (s, 1H)	261 (M^+, 5)
3c	80	159–160	$C_{18}H_{15}NO_2S$ (309.4)	3000, 1680, 1610, 1540, 1500, 1420	2.39 (s, 3H), 4.48 (s, 2H), 7.19–7.36 (m, 6H), 7.65 (t, 1H, J = 8.2), 7.77 (d, 1H, J = 8.1), 8.14 (d, 1H, J = 7.3), 11.45 (s, 1H)	309 (M^+, 22)
3d	78	265–268	$C_{17}H_{13}NO_2S$ (295.4)	3100, 1660, 1620, 1600, 1560, 1500	2.58 (s, 3H), 7.31–7.89 (m, 8H), 8.17 (dd, 1H, J = 8.1, 1.1), 11.6 (s, 1H)	295 (M^+, 17)
3e	87	130–131	$C_{13}H_{12}ClNO_2S$ (281.8)	3400, 1630, 1600, 1570, 1520, 1420	1.17 (t, 1.8H, J = 7.2), 1.27 (t, 1.2H, J = 7.0), 2.57 (s, 1.8H), 2.74 (s, 1.2H), 3.18 (q, 1.2H, J = 7.2), 3.31 (q, 0.8H, J = 7.0), 7.23–7.30 (m, 1H), 7.61 (dd, 0.6H, J = 8.0, 1.3), 7.75 (dd, 0.4H, J = 7.5, 1.3), 8.08 (dd, 0.4H, J = 8.2, 1.3), 8.18 (dd, 0.6H, J = 8.0, 0.8), 8.56 (s, 0.6H), 15.66 (s, 0.4H)	281 (M^+, 11)
3f	88	110–112	$C_{14}H_{14}ClNO_2S$ (295.8)	3400, 1620, 1600, 1570, 1520, 1430	0.99 (t, 1.8H, J = 7.4), 1.06 (t, 1.2H, J = 7.4), 1.65–1.89 (m, 2H), 2.58 (s, 1.8H), 2.74 (s, 1.2H), 3.15 (t, 1.2H, J = 7.3), 3.28 (t, 0.8H, J = 7.2), 7.24–7.31 (m, 1H), 7.63 (dd, 0.6H, J = 7.8, 1.2), 7.77 (dd, 0.4H, J = 7.5, 1.1), 8.10 (dd, 0.4H, J = 8.2, 1.1), 8.20 (d, 0.6H, J = 8.0), 8.59 (s, 0.6H), 15.6 (s, 0.4H)	296 (M^+ + 1, 21)
3g	86	108–109	$C_{14}H_{15}NO_2S$ (261.4)	2900, 1600, 1560, 1480, 1410	2.46 (s, 3H), 2.65 (s, 3H), 2.70 (s, 3H), 2.95 (s, 3H), 7.43 (s, 1H), 7.87 (s, 1H), 15.49 (s, 1H)	261 (M^+, 9)
3h	81	197–198	$C_{12}H_{10}ClNO_2S$ (267.7)	3350, 1630, 1610, 1570, 1520, 1440	2.69 (s, 3H), 2.95 (s, 3H), 7.63 (dd, 1H, J = 8.9, 2.3), 7.71 (d, 1H, J = 8.9), 8.19 (d, 1H, J = 2.3), 15.54 (s, 1H)	267 (M^+, 7)
3i	88	235–236	$C_{13}H_{13}NO_3S$ (263.3)	3180, 1650, 1550, 1460	2.60 (s, 3H), 2.64 (s, 3H), 3.78 (s, 3H), 6.92 (dd, 1H, J = 8.9, 2.2), 7.20 (d, 1H, J = 2.2), 8.15 (d, 1H, J = 8.9), 10.43 (s, 1H)	263 (M^+, 41)
3j	99	210–211	$C_{13}H_{13}NO_3S$ (263.3)	3200, 1650, 1630, 1550, 1450	2.55 (s, 3H), 2.65 (s, 3H), 3.86 (s, 3H), 7.33 (dd, 1H, J = 9.0, 2.8), 7.53 (d, 1H, J = 2.8), 7.77 (d, 1H, J = 9.0), 10.83 (s, 1H)	263 (M^+, 5)
3k	92	181–183	$C_{12}H_{10}ClNO_2S$ (267.7)	3350, 1640, 1610, 1560, 1430	2.58 (s, 3H), 2.65 (s, 3H), 7.29 (dd, 1H, J = 8.6, 1.8), 7.88 (d, 1H, J = 2.2), 8.15 (d, 1H, J = 8.6), 10.71 (s, 1H)	267 (M^+, 5)
3k'	–	174–175	$C_{12}H_{10}ClNO_2S$ (267.7)	3400, 1640, 1600, 1560, 1450, 1410	2.57 (s, 3H), 2.65 (s, 3H), 7.29 (d, 1H, J = 7.7), 7.47 (t, 1H, J = 8.0), 7.70 (dd, 1H, J = 8.2, 1.0), 10.77 (s, 1H)	267 (M^+, 13)
3l	74	145–146	$C_{13}H_{10}F_3NO_2S$ (301.3)	3240, 1610, 1570, 1540, 1490, 1430	2.64 (s, 3H), 2.67 (s, 3H), 7.30 (dd, 1H, J = 8.6, 1.8), 7.84 (d, 1H, J = 1.8), 8.20 (d, 1H, J = 8.6), 10.55 (s, 1H)	301 (M^+, 3)
3l'	–	189–191	$C_{13}H_{10}F_3NO_2S$ (301.3)	3300, 1610, 1540, 1470, 1420	2.59 (s, 3H), 2.67 (s, 3H), 7.70 (m, 2H), 8.04 (dd, 1H, J = 8.0, 1.6), 10.95 (s, 1H)	301 (M^+, 5)

[a] Yield of isolated product. Analytical samples of 5-isomers **3k'** and **3l'** were prepared by column chromatography of the corresponding product mixture.

[b] Satisfactory microanalyses obtained: C ± 0.31, H ± 0.29, N ± 0.36.

product, while *N*-vinylamides tend to polymerize under the cyclization condition (Table 4). The equilibrium between 2(1*H*)-pyridone and 2-pyridol is solvent dependent. In DMSO-d_6 (insoluble in $CDCl_3$) **6a** exists as the keto form to give N–H peak at δ = 11.36, while **6b–f** are present as enol forms exhibiting OH peak at δ = 14.02–14.22 (Table 4).

In conclusion thermal cyclization of ketene dithioacetal can serve as a versatile method to prepare 2(1*H*)-quinolinones **3** and 2(1*H*)-pyridones **6**.

The ketene dithioacetals **2** and **5** were prepared adapting the literature procedure reported[8] for acyl(alkoxycarbonyl)ketene dithioacetals.

Melting points were measured using a Thomas-Hoover melting point apparatus and are uncorrected. IR spectra were recorded on a Shimadzu IR-435 spectrophotometer, ^1H NMR on a Bruker AM 300 spectrometer and mass spectra on a Shimadzu QP 1000 spectrometer.

2(1*H*)-Quinolinones 3; General Procedure:

A solution of ketene dithioacetal **2** (0.1 mol) in *o*-dichlorobenzene (100 mL) was refluxed (180 °C) for 4 h until evolution of alkyl mercaptan ceased. After cooling to r.t., the crystalline solid was collected by filtration and dried under vacuum to give 2(1*H*)-quinolinones **3** (Table 2).

2(1*H*)-Pyridones 6; General Procedure:

A solution of ketene dithioacetal **5** (0.1 mol) in *o*-dichlorobenzene (100 mL) was refluxed (180 °C) for 4 h until the starting material had disappeared completely by (TLC). After evaporation of the solvent,

Table 3. Compounds **5** Prepared

Product	Yield[a] (%)	mp (°C)	Molecular Formula[b]	IR (KBr) ν (cm^{-1})	^1H NMR ($CDCl_3$/TMS) δ, J (Hz)	MS (20 eV) m/z (%)
5a	72	147–148	$C_{15}H_{17}NO_2S_2$ (307.4)	3180, 1650, 1620, 1480	2.45 (s, 3H), 2.46 (s, 6H), 6.25 (d, 1H, J = 14.6), 7.16–7.36 (m, 5H), 7.58 (dd, 1H, J = 14.6, 10.8), 8.62 (br d, 1H, J = 10.8)	307 (M^+, 10)
5b	82	158–159	$C_{17}H_{21}NO_2S_2$ (335.5)	2980, 1640, 1620, 1480	0.95 (t, 3H, J = 7.4), 1.70 (m, 2H, J = 7.4), 2.45 (s, 6H), 2.73 (t, 2H, J = 7.4), 6.25 (d, 1H, J = 14.6), 7.15–7.35 (m, 5H), 7.56 (dd, 1H, J = 14.6, 10.8), 8.52 (br d, 1H, J = 10.8)	335 (M^+, 10)
5c	68	165–166	$C_{15}H_{16}ClNO_2S_2$ (341.9)	3190, 1650, 1620, 1480	2.44 (s, 9H), 6.15 (d, 1H, J = 14.7), 7.23 (s, 4H), 7.51 (dd, 1H, J = 14.7, 10.7), 8.55 (br d, 1H, J = 10.7)	341 (M^+, 9)
5d	77	155–156	$C_{15}H_{15}Cl_2NO_2S_2$ (376.3)	3210, 1650, 1620, 1480	2.46 (s, 3H), 2.47 (s, 6H), 6.55 (d, 1H, J = 14.6), 7.18 (m, 1H), 7.35 (d, 1H, J = 2.1), 7.48 (d, 1H, J = 8.5), 7.57 (dd, 1H, J = 14.6, 10.9), 8.88 (br d, 1H, J = 10.9)	375 (M^+, 4)
5e	73	147–149	$C_{16}H_{19}NO_3S_2$ (337.5)	3290, 1650, 1620, 1490	2.45 (s, 3H), 2.46 (s, 6H), 3.80 (s, 3H), 6.19 (d, 1H, J = 14.6), 6.84 (m, 2H), 7.28 (m, 2H), 7.44 (dd, 1H, J = 14.6, 10.7), 8.46 (br d, 1H, J = 10.7)	337 (M^+, 30)
5f	73	119–121	$C_{10}H_{15}NO_2S_2$ (245.4)	3210, 1650, 1610, 1470	1.71 (dd, 3H, J = 6.8, 1.7), 2.38 (s, 3H), 2.44 (s, 6H), 5.33 (m, J = 6.8, 1H), 6.75–6.85 (m, 1H), 8.28 (br d, 1H, J = 10.3)	245 (M^+, 1)

[a] Yield of isolated product.

[b] Satisfactory microanalyses obtained: C ±0.26, H ±0.08, N ±0.24.

Table 4. Compounds **6** Prepared

Product	Yield[a] (%)	mp (°C)	Molecular Formula[b]	IR (KBr) ν (cm^{-1})	^1H NMR ($CDCl_3$/TMS) δ, J (Hz)	MS (20 eV) m/z (%)
6a	28	199–200	$C_{14}H_{13}NO_2S$ (259.3)	3160, 1620, 1550, 1500, 1430	2.49 (s, 3H), 2.52 (s, 3H), 7.29–7.60 (m, 5H), 7.73 (br s, 1H), 11.36 (br s, 1H)[c]	259 (M^+, 21)
6b	25	126–127	$C_{16}H_{17}NO_2S$ (287.4)	3120, 1640, 1610, 1560, 1500, 1440	1.03 (t, 3H, J = 7.3), 1.81 (m, 2H, J = 7.3), 2.62 (s, 3H), 3.23 (br m, 2H), 7.35–7.53 (m, 5H), 8.28 (br s, 1H), 14.11 (br s, 1H)	287 (M^+, 14)
6c	20	151–154	$C_{14}H_{12}ClNO_2S$ (293.8)	3180, 1620, 1560, 1530, 1450	2.63 (s, 3H), 2.91 (s, 3H), 7.42 (m, 4H), 8.28 (s, 1H), 14.37 (br s, 1H)	293 (M^+, 14)
6d	22	157–159	$C_{14}H_{11}Cl_2NO_2S$ (328.2)	2950, 1630, 1560, 1520, 1490	2.66 (s, 3H), 2.89 (s, 3H), 7.27–7.34 (m, 2H), 7.52 (d, 1H, J = 2.0), 8.19 (s, 1H), 14.21 (br s, 1H)	328 (M^+, 5)
6e	27	171–173	$C_{15}H_{15}NO_3S$ (289.4)	2930, 1600, 1560, 1490, 1370	2.64 (s, 3H), 2.91 (s, 3H), 3.84 (s, 3H), 6.98 (m, 2H), 7.48 (m, 2H), 8.29 (s, 1H), 14.22 (br s, 1H)	289 (M^+, 48)
6f	14	154–155	$C_9H_{11}NO_2S$ (197.3)	3160, 1630, 1560, 1530, 1500, 1440	2.13 (s, 3H), 2.59 (s, 3H), 2.87 (s, 3H), 8.11 (s, 1H), 14.02 (br s, 1H)	197 (M^+, 5)

[a] Yield of isolated product.

[b] Satisfactory microanalyses obtained: C ±0.28, H ±0.23, N ±0.25.

[c] Measured in DMSO-d_6.

the crude product was subjected to column chromatograph (silica gel, Merck Kiesel 60, 70–220 mesh ASTM, hexane/EtOAc, 6:1) to give 2(1*H*)-pyridones **6** (Table 4).

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