

Supporting Information

One-pot, two-step conversion of alkynes to α -amino (α,α -diamino) Ketones with a DMF-activated N-bromoimides strategy

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I. General

All reagents were purchased from commercial sources and used without treatment, unless otherwise indicated. The products were purified by column chromatography over silica gel. ^1H NMR and ^{13}C NMR spectra were recorded at 25 °C on a Varian 500 MHz and 125 MHz, respectively, and TMS as internal standard. High resolution mass spectra (HRMS) were recorded on Bruck microTof by using ESI method.

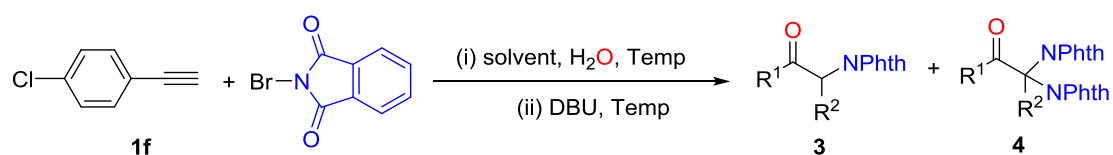
II. Synthesis and analytical data of 2-7

1. Reactions of Alkynes with NBS

General procedure for the preparation of **2** (**2a** as an example): To a solution of phenylacetylene **1a** (0.110 mL, 1.0 mmol) in DMF (2.0 mL) was added NBS (391.6 mg, 2.2 mmol) and H_2O (27 μL , 1.5 mmol). The mixture was stirred at room temperature for 1 h. Finally DBU (0.159 mL, 2.2 mmol) was added at room temperature for 5 min. The reaction mixture was poured into water and then extracted with CH_2Cl_2 (3 \times 10 mL). The combined organic phase was washed with water (3 \times 10 mL), filtered and concentrated under reduced pressure. The crude product was purified by flash chromatography (silica gel, petroleum ether as eluent) to give **2a** (193 mg, 89%) as a white solid.

2. Reactions of Alkynes with NBP

Table S1. Optimization of conditions for the Reactions of Alkynes with NBP^{a,b}.



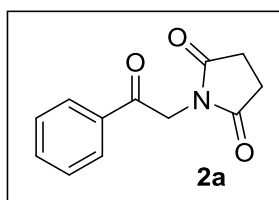
Step 1				Step 2				
entry	solvent	H_2O (equiv)	t (h)	base (equiv)	temp (°C)	t (min)	yield (%) ^b	
1	DMF	1.5	1	DBU (2.2)	rt	5	3 21	4 69
2	DMF	3.0	2	DBU (2.2)	rt	30	20	64

3	DMF	1.1	1	DBU (2.2)	rt	5	16	58
4	DMF	1.5	1	DBU (2.2)	80	30	19	68
5 ^c	DMF	1.5	6	DBU (3.0)	rt	5	18	69
6	NMP	1.5	3	DBU (2.2)	5	60	11	35
7	DMF	1.5	1	TMG (2.2)	5	5	15	56
8	DMF	1.5	1	NaOH (2.2)	5	5	17	61
9	DMF	1.5	1	K ₂ CO ₃ (2.2)	30	5	18	62

^aReactions were carried out with **1f** (1.0 mmol), NBP (2.2 equiv), H₂O, base in solvent (2.0 mL) at room temperature. ^b Isolated yield. ^c NBP (3.0 equiv).

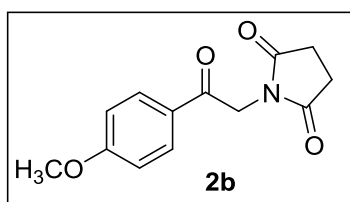
Synthesis and analytical data of 2-7

1-(2-oxo-2-phenylethyl)pyrrolidine-2,5-dione (**2a**)



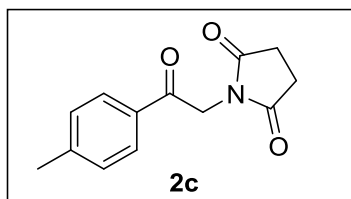
White solid. m.p. 157-158 °C. ¹H NMR (500 MHz, CDCl₃): δ = 2.85 (s, 4H), 4.94 (s, 2H), 7.49 (t, *J* = 8.0 Hz, 2H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.95 (d, *J* = 7.5 Hz, 2H); ¹³C NMR (CDCl₃, 125 MHz): δ = 28.3, 44.7, 128.0, 128.8, 134.0, 134.2, 176.7, 190.2; HRMS (ESI) *m/z* calcd for C₁₂H₁₁NO₃ [M+H]⁺: 218.0817; found: 218.0811.

1-(2-(4-methoxyphenyl)-2-oxoethyl)pyrrolidine-2,5-dione (**2b**)



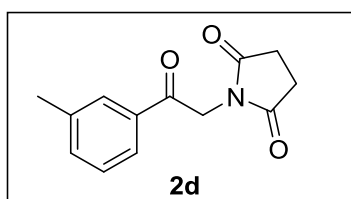
White solid. m.p. 109-110 °C. ¹H NMR (500 MHz, CDCl₃): δ = 2.86 (s, 4H), 3.88 (s, 3H), 4.90 (s, 2H), 6.96 (d, *J* = 8.0 Hz, 2H), 7.94 (d, *J* = 8.5 Hz, 2H); ¹³C NMR (CDCl₃, 125 MHz): δ = 28.3, 44.4, 55.5, 114.0, 127.3, 130.4, 164.1, 176.7, 188.5; HRMS (ESI) *m/z* calcd for C₁₃H₁₃NO₄ [M+H]⁺: 248.0923; found: 248.0928.

1-(2-oxo-2-(p-tolyl)ethyl)pyrrolidine-2,5-dione (**2c**)



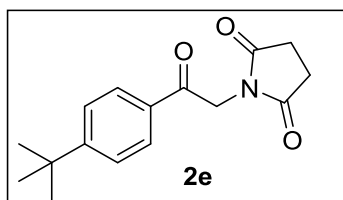
White solid. m.p. 172-173 °C. ¹H NMR (500 MHz, CDCl₃): δ = 2.43 (s, 3H), 2.86 (s, 4H), 4.92 (s, 2H), 7.29 (d, *J* = 8.0 Hz, 2H), 7.86 (d, *J* = 7.5 Hz, 2H); ¹³C NMR (CDCl₃, 125 MHz): δ = 21.7, 28.3, 44.6, 128.1, 129.5, 131.7, 145.0, 176.7, 189.7; HRMS (ESI) *m/z* calcd for C₁₃H₁₃NO₃ [M+H]⁺: 232.0974; found: 232.0969.

1-(2-oxo-2-(*m*-tolyl)ethyl)pyrrolidine-2,5-dione (2d)



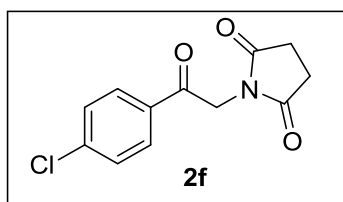
White solid. m.p. 170-171 °C. ¹H NMR (500 MHz, CDCl₃): δ = 2.42 (s, 3H), 2.86 (s, 4H), 4.93 (s, 2H), 7.37-7.44 (m, 2H), 7.76 (d, *J* = 8.5 Hz 2H); ¹³C NMR (CDCl₃, 125 MHz): δ = 21.3, 28.3, 44.7, 125.2, 128.6, 128.7, 134.3, 134.8, 138.7, 176.7, 190.3; HRMS (ESI) *m/z* calcd for C₁₃H₁₃NO₃ [M+H]⁺: 232.0974; found: 232.0979.

1-(2-(4-(*tert*-butyl)phenyl)-2-oxoethyl)pyrrolidine-2,5-dione (2e)



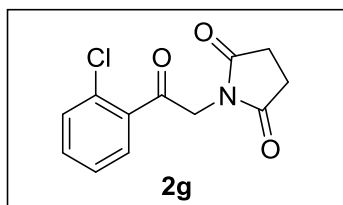
White solid. m.p. 132-133 °C. ¹H NMR (500 MHz, CDCl₃): δ = 1.35 (s, 9H), 2.86 (s, 4H), 4.94 (s, 2H), 7.51 (d, *J* = 8.0 Hz, 2H), 7.91 (d, *J* = 8.0 Hz, 2H); ¹³C NMR (CDCl₃, 125 MHz): δ = 28.3, 31.0, 35.2, 44.6, 125.8, 128.0, 131.7, 158.0, 176.7, 189.8; HRMS (ESI) *m/z* calcd for C₁₆H₁₉NO₃ [M+H]⁺: 274.1443; found: 274.1439.

1-(2-(4-chlorophenyl)-2-oxoethyl)pyrrolidine-2,5-dione (2f)



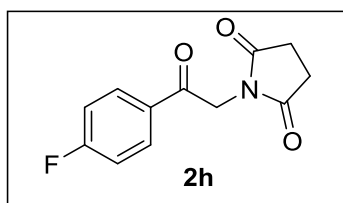
White solid. m.p. 147-148 °C. ^1H NMR (500 MHz, CDCl_3): δ = 2.87 (s, 4H), 4.91 (s, 2H), 7.48 (d, J = 8.5 Hz, 2H), 7.91 (d, J = 8.0 Hz, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): δ = 28.3, 44.6, 129.2, 129.4, 132.6, 140.6, 176.6, 189.1; HRMS (ESI) m/z calcd for $\text{C}_{12}\text{H}_{10}\text{ClNO}_3$ $[\text{M}+\text{H}]^+$: 252.0427; found: 252.0421.

1-(2-(2-chlorophenyl)-2-oxoethyl)pyrrolidine-2,5-dione (2g)



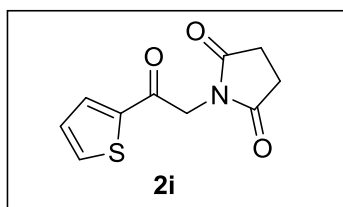
White solid. m.p. 113-114 °C. ^1H NMR (500 MHz, CDCl_3): δ = 2.86 (s, 4H), 4.91 (s, 2H), 7.37-7.40 (m, 1H), 7.47 (d, J = 9.0 Hz, 2H), 7.70 (d, J = 7.5 Hz, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): δ = 28.3, 47.6, 127.1, 130.3, 130.9, 132.0, 133.1, 135.5, 176.5, 192.7; HRMS (ESI) m/z calcd for $\text{C}_{12}\text{H}_{10}\text{ClNO}_3$ $[\text{M}+\text{H}]^+$: 252.0427; found: 252.0431.

1-(2-(4-fluorophenyl)-2-oxoethyl)pyrrolidine-2,5-dione (2h)



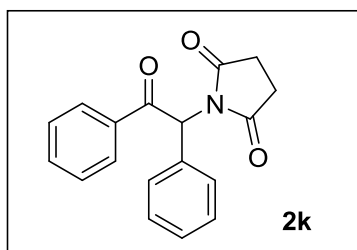
White solid. m.p. 149-150 °C. ^1H NMR (500 MHz, CDCl_3): δ = 2.87 (s, 4H), 4.92 (s, 2H), 7.17-7.20 (m, 2H), 7.99-8.02 (m, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): δ = 28.3, 44.5, 116.0, 116.2, 130.7, 130.8, 165.2, 167.2, 176.6, 188.7; HRMS (ESI) m/z calcd for $\text{C}_{12}\text{H}_{10}\text{FNO}_3$ $[\text{M}+\text{H}]^+$: 236.0723; found: 236.0729.

1-(2-oxo-2-(thiophen-2-yl)ethyl)pyrrolidine-2,5-dione (2i)



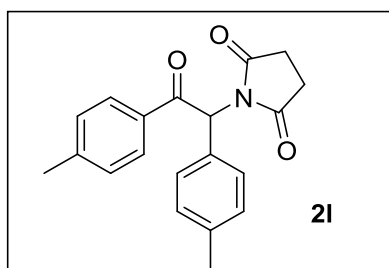
White solid. m.p. 165-166 °C. ^1H NMR (500 MHz, CDCl_3): δ = 2.86 (s, 4H), 4.89 (s, 2H), 7.18 (t, J = 4.5 Hz, 1H), 7.73 (d, J = 5.0 Hz, 1H), 7.82 (d, J = 4.0 Hz, 1H); ^{13}C NMR (CDCl_3 , 125 MHz): δ = 28.3, 44.6, 128.3, 132.5, 134.7, 140.5, 176.5, 183.2; HRMS (ESI) m/z calcd for $\text{C}_{10}\text{H}_9\text{NO}_3\text{S}$ $[\text{M}+\text{H}]^+$: 224.0381; found: 224.0387.

1-(2-oxo-1,2-diphenylethyl)pyrrolidine-2,5-dione (2k)



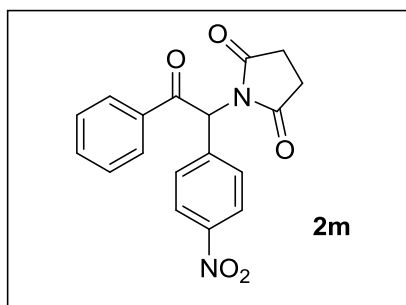
White solid. m.p. 112-113 °C. ¹H NMR (500 MHz, CDCl₃): δ = 2.72 (s, 4H), 6.61 (s, 1H), 7.31-7.33 (m, 3H), 7.37 (t, *J* = 7.5 Hz, 2H), 7.42-7.44 (m, 2H), 7.50 (t, *J* = 7.5 Hz, 1H), 7.78 (d, *J* = 7.5 Hz, 2H); ¹³C NMR (CDCl₃, 125 MHz): δ = 28.1, 60.8, 128.55, 128.58, 128.68, 128.70, 130.5, 133.2, 133.9, 134.8, 176.2, 192.6; HRMS (ESI) *m/z* calcd for C₁₈H₁₅NO₃ [M+H]⁺: 294.1130; found: 294.1134.

1-(2-oxo-1,2-di-*p*-tolylethyl)pyrrolidine-2,5-dione (2l)



White solid. m.p. 123-124 °C. ¹H NMR (500 MHz, CDCl₃): δ = 2.30 (s, 3H), 2.35 (s, 3H), 2.70 (s, 4H), 6.58 (s, 1H), 7.11 (d, *J* = 8.0 Hz, 2H), 7.15 (d, *J* = 8.0 Hz, 2H), 7.30 (d, *J* = 7.5 Hz, 1H), 7.69 (t, *J* = 8.0 Hz, 1H); ¹³C NMR (CDCl₃, 125 MHz): δ = 21.2, 21.7, 28.1, 60.6, 128.9, 129.20, 129.23, 130.4, 131.1, 132.2, 138.5, 144.1, 176.3, 192.1; HRMS (ESI) *m/z* calcd for C₂₀H₁₉NO₃ [M+H]⁺: 322.1443; found: 322.1448.

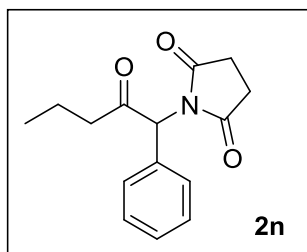
1-(1-(4-nitrophenyl)-2-oxo-2-phenylethyl)pyrrolidine-2,5-dione (2m)



White solid. m.p. 196-197 °C. ¹H NMR (500 MHz, CDCl₃): δ = 2.74 (s, 4H), 6.62 (s, 1H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.62 (d, *J* = 8.5 Hz, 2H), 7.75

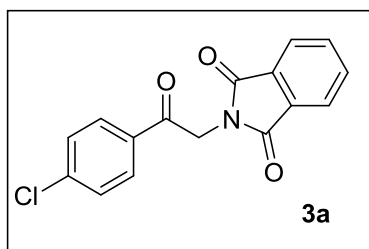
(d, $J = 8.0$ Hz, 2H), 8.17 (d, $J = 7.5$ Hz, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): $\delta = 28.1$, 59.4, 123.7, 128.3, 128.8, 131.4, 133.7, 134.5, 140.8, 147.8, 175.9, 191.6; HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_5$ $[\text{M}+\text{H}]^+$: 339.0981; found: 339.0976.

1-(2-oxo-1-phenylpentyl)pyrrolidine-2,5-dione (2n)



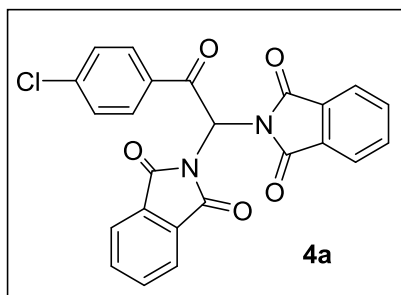
White solid. m.p. 106-107 °C. ^1H NMR (500 MHz, CDCl_3): $\delta = 0.98$ (t, $J = 7.5$ Hz, 3H), 1.32-1.38 (m, 2H), 2.11-2.20 (m, 2H), 2.65-2.69 (m, 4H), 5.40-5.43 (m, 1H), 7.42-7.45 (m, 2H), 7.53-7.56 (m, 1H), 7.74-7.76 (m, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): $\delta = 13.5$, 19.5, 27.9, 29.4, 56.1, 127.7, 128.6, 133.0, 135.4, 176.6, 195.7; HRMS (ESI) m/z calcd for $\text{C}_{15}\text{H}_{17}\text{NO}_3$ $[\text{M}+\text{H}]^+$: 260.1287; found: 260.1283.

2-(2-(4-chlorophenyl)-2-oxoethyl)isoindoline-1,3-dione (3a)



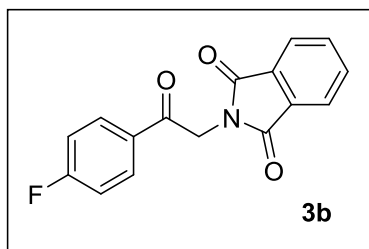
White solid. m.p. 201-202 °C. ^1H NMR (500 MHz, CDCl_3): $\delta = 5.09$ (s, 2H), 7.50 (d, $J = 8.0$ Hz, 2H), 7.76 (dd, $J = 5.5$, 3.0 Hz, 2H), 7.91 (dd, $J = 5.5$, 3.0 Hz, 2H), 7.95 (d, $J = 8.0$ Hz, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): $\delta = 44.1$, 123.6, 129.3, 129.5, 132.2, 132.7, 134.2, 140.6, 167.8, 190.0; HRMS (ESI) m/z calcd for $\text{C}_{16}\text{H}_{10}\text{ClNO}_3$ $[\text{M}+\text{H}]^+$: 300.0427; found: 300.0422.

2,2'-(2-(4-chlorophenyl)-2-oxoethane-1,1-diyl)bis(isoindoline-1,3-dione) (4a)



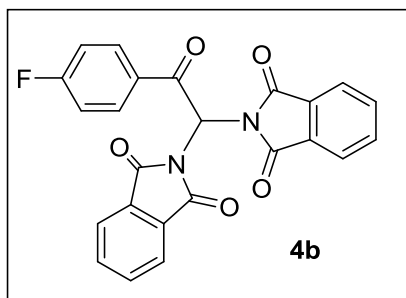
White solid. m.p. 184-185 °C. ¹H NMR (500 MHz, CDCl₃): δ = 7.36 (s, 1H), 7.42 (d, *J* = 8.0 Hz, 2H), 7.75 (dd, *J* = 5.5, 3.0 Hz, 4H), 7.84-7.90 (m, 6H); δ = 58.8, 124.0, 129.2, 129.4, 131.5, 132.4, 134.6, 140.2, 166.4, 186.4; HRMS (ESI) *m/z* calcd for C₂₄H₁₃ClN₂O₅ [M+H]⁺: 445.0591; found: 445.0596.

2-(2-(4-fluorophenyl)-2-oxoethyl)isoindoline-1,3-dione (3b)



White solid. m.p. 137-138 °C. ¹H NMR (500 MHz, CDCl₃): δ = 5.10 (s, 2H), 7.20 (t, *J* = 8.5 Hz, 2H), 7.76 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.91 (dd, *J* = 5.5, 3.0 Hz, 2H), 8.05 (dd, *J* = 8.5, 5.0 Hz, 2H); ¹³C NMR (CDCl₃, 125 MHz): δ = 44.0, 116.1, 116.2, 123.6, 130.8, 130.9, 132.2, 134.2, 165.2, 167.3, 167.8, 189.4; HRMS (ESI) *m/z* calcd for C₁₆H₁₀FNO₃ [M+H]⁺: 284.0723; found: 284.0728.

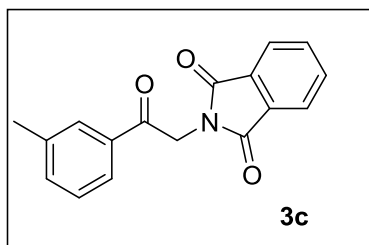
2,2'-(2-(4-fluorophenyl)-2-oxoethane-1,1-diyl)bis(isoindoline-1,3-dione) (4b)



White solid. m.p. 173-174 °C. ¹H NMR (500 MHz, CDCl₃): δ = 7.12 (t, *J* = 8.5 Hz, 2H), 7.37 (s, 1H), 7.75 (dd, *J* = 5.5, 3.0 Hz, 4H), 7.87 (dd, *J* = 5.5, 3.0 Hz, 4H), 7.97

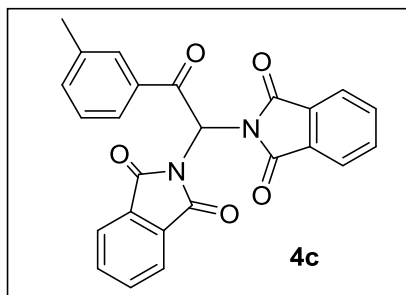
(dd, $J = 8.0, 5.0$ Hz, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): $\delta = 58.8, 116.2, 116.4, 124.0, 130.5, 130.6, 131.5, 134.6, 164.9, 166.4, 167.0, 185.9$; HRMS (ESI) m/z calcd for $\text{C}_{24}\text{H}_{13}\text{FN}_2\text{O}_5$ $[\text{M}+\text{H}]^+$: 429.0887; found: 429.0880.

2-(2-oxo-2-(*m*-tolyl)ethyl)isoindoline-1,3-dione (3c)



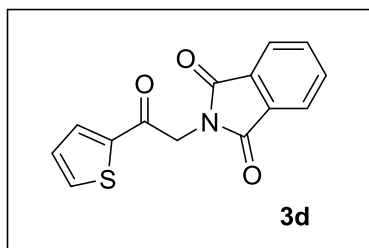
White solid. m.p. 172-173 °C. ^1H NMR (500 MHz, CDCl_3): $\delta = 2.44$ (s, 3H), 5.12 (s, 2H), 7.38-7.47 (m, 2H), 7.76 (dd, $J = 5.5, 3.0$ Hz, 2H), 7.81 (d, $J = 7.5$ Hz, 2H), 7.91 (dd, $J = 5.5, 3.0$ Hz, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): $\delta = 21.3, 44.2, 123.5, 125.3, 128.6, 128.7, 132.2, 134.0, 134.4, 134.7, 138.7, 167.9, 191.1$; HRMS (ESI) m/z calcd for $\text{C}_{17}\text{H}_{13}\text{NO}_3$ $[\text{M}+\text{H}]^+$: 280.0974; found: 280.0979.

2,2'-(2-oxo-2-(*m*-tolyl)ethane-1,1-diyl)bis(isoindoline-1,3-dione) (4c)



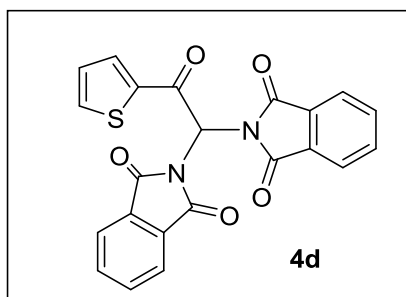
White solid. m.p. 195-196 °C. ^1H NMR (500 MHz, CDCl_3): $\delta = 2.37$ (s, 3H), 7.30 (t, $J = 7.5$ Hz, 1H), 7.36 (d, $J = 7.5$ Hz, 1H), 7.41 (s, 1H), 7.68 (d, $J = 7.8$ Hz, 1H), 7.74 (dd, $J = 5.5, 3.0$ Hz, 4H), 7.79 (s, 1H), 7.87 (dd, $J = 5.5, 3.0$ Hz, 4H); ^{13}C NMR (CDCl_3 , 125 MHz): $\delta = 21.4, 58.9, 124.0, 124.9, 128.6, 128.8, 131.5, 134.0, 134.5, 134.7, 139.0, 166.5, 187.5$; HRMS (ESI) m/z calcd for $\text{C}_{25}\text{H}_{16}\text{N}_2\text{O}_5$ $[\text{M}+\text{H}]^+$: 425.1137; found: 425.1132.

2-(2-oxo-2-(thiophen-2-yl)ethyl)isoindoline-1,3-dione (3d)



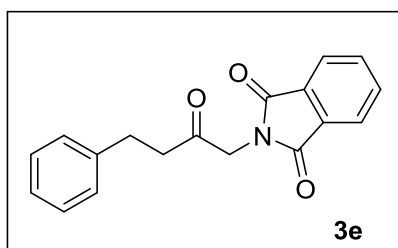
White solid. m.p. 167-168 °C. ^1H NMR (500 MHz, CDCl_3): δ = 5.06 (s, 2H), 7.20 (dd, J = 5.0, 4.0 Hz, 1H), 7.74 (dd, J = 5.0, 1.0 Hz, 1H), 7.76 (dd, J = 5.5, 3.0 Hz, 2H), 7.87 (dd, J = 4.0, 1.0 Hz, 1H), 7.90 (dd, J = 5.5, 3.0 Hz, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): δ = 44.1, 123.6, 128.3, 132.2, 132.4, 134.2, 134.6, 140.6, 167.7, 184.0; HRMS (ESI) m/z calcd for $\text{C}_{14}\text{H}_9\text{NO}_3\text{S}$ $[\text{M}+\text{H}]^+$: 271.0303; found: 271.0309.

2,2'-(2-oxo-2-(*m*-tolyl)ethane-1,1-diyl)bis(isoindoline-1,3-dione) (4d)



White solid. m.p. 184-185 °C. ^1H NMR (500 MHz, CDCl_3): δ = 7.07 (dd, J = 5.0, 4.0 Hz, 1H), 7.27 (s, 1H), 7.66 (dd, J = 5.0, 1.0 Hz, 1H), 7.69 (dd, J = 4.0, 1.0 Hz, 1H), 7.76 (dd, J = 5.5, 3.0 Hz, 4H), 7.88 (dd, J = 5.5, 3.0 Hz, 4H); ^{13}C NMR (CDCl_3 , 125 MHz): δ = 59.5, 124.0, 128.2, 131.5, 131.9, 134.5, 134.6, 139.4, 166.4, 179.9; HRMS (ESI) m/z calcd for $\text{C}_{22}\text{H}_{12}\text{N}_2\text{O}_5\text{S}$ $[\text{M}+\text{H}]^+$: 417.0545; found: 417.0539.

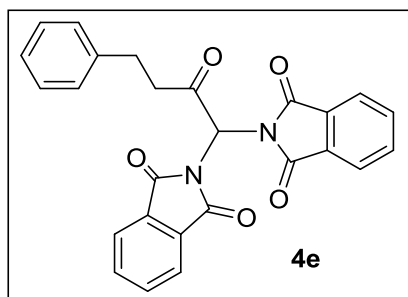
2-(2-oxo-4-phenylbutyl)isoindoline-1,3-dione (3e)



White solid. m.p. 103-104 °C. ^1H NMR (500 MHz, CDCl_3): δ = 2.85 (t, J = 7.5 Hz, 2H), 2.96 (t, J = 7.5 Hz, 2H), 4.46 (s, 2H), 7.17-7.23 (m, 3H), 7.30 (t, J = 7.5 Hz, 2H), 7.74 (dd, J = 5.5, 3.0 Hz, 2H), 7.87 (dd, J = 5.5, 3.0 Hz, 2H); ^{13}C NMR (CDCl_3 , 125

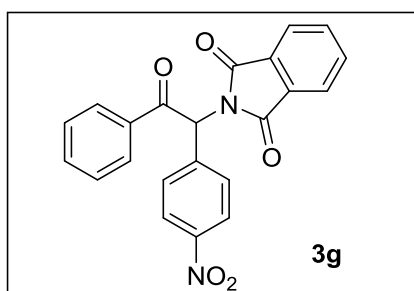
MHz): $\delta = 29.4, 41.6, 46.6, 123.6, 126.3, 128.3, 128.6, 132.0, 134.2, 140.3, 167.6, 201.3$; HRMS (ESI) m/z calcd for $C_{18}H_{15}NO_3$ $[M+H]^+$: 294.1130; found: 294.1134.

2,2'-(2-oxo-2-(m-tolyl)ethane-1,1-diyl)bis(isoindoline-1,3-dione) (4e)



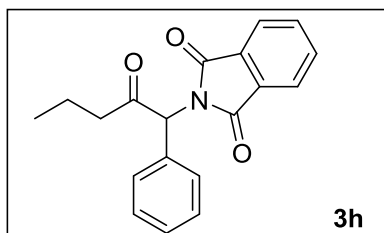
White solid. m.p. 183-184 °C. 1H NMR (500 MHz, $CDCl_3$): $\delta = 3.45$ (dd, $J = 14.5, 11.0$ Hz, 1H), 3.59 (dd, $J = 14.5, 5.0$ Hz, 1H), 4.58 (d, $J = 2.0$ Hz, 2H), 5.20 (dd, $J = 11.0, 5.0$ Hz, 1H), 7.11-7.16 (m, 5H), 7.71-7.74 (m, 4H), 7.79 (dd, $J = 5.5, 3.0$ Hz, 2H), 7.84 (dd, $J = 5.5, 3.0$ Hz, 2H); ^{13}C NMR ($CDCl_3$, 125 MHz): $\delta = 33.6, 44.6, 58.4, 123.5, 123.6, 126.9, 128.6, 128.9, 131.3, 134.2, 134.3, 136.0, 167.3, 197.3$; HRMS (ESI) m/z calcd for $C_{26}H_{18}N_2O_5$ $[M+H]^+$: 439.1294; found: 439.1298.

2-(1-(4-nitrophenyl)-2-oxo-2-phenylethyl)isoindoline-1,3-dione (3g)



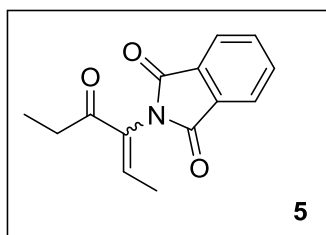
White solid. m.p. 169-170 °C. 1H NMR (500 MHz, $CDCl_3$): $\delta = 6.80$ (s, 1H), 7.40 (t, $J = 7.5$ Hz, 2H), 7.53 (t, $J = 7.5$ Hz, 1H), 7.68 (d, $J = 8.5$ Hz, 2H), 7.74 (dd, $J = 5.5, 3.0$ Hz, 2H), 7.82-7.85 (m, 4H), 8.20-8.22 (m, 2H); ^{13}C NMR ($CDCl_3$, 125 MHz): $\delta = 58.8, 123.7, 123.8, 128.5, 128.9, 131.2, 131.5, 133.7, 134.5, 134.6, 141.5, 147.9, 167.1, 192.0$; HRMS (ESI) m/z calcd for $C_{22}H_{14}N_2O_5$ $[M+H]^+$: 387.0981; found: 387.0987.

2-(2-oxo-1-phenylpentyl)isoindoline-1,3-dione (3h)



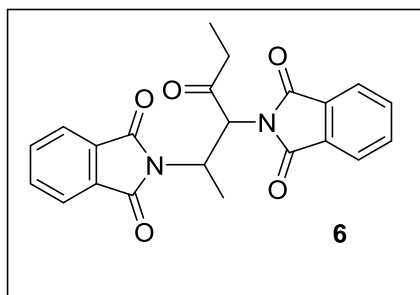
Colorless oil. ^1H NMR (500 MHz, CDCl_3): δ = 0.99 (t, J = 7.5 Hz, 3H), 1.37-1.46 (m, 2H), 2.15-2.17 (m, 1H), 2.27-2.35 (m, 1H), 5.58-5.61 (m, 1H), 7.40 (dd, J = 8.5, 7.0 Hz, 2H), 7.46-7.53 (m, 1H), 7.70 (dd, J = 5.5, 3.0 Hz, 2H), 7.81-7.85 (m, 4H); ^{13}C NMR (CDCl_3 , 125 MHz): δ = 13.6, 19.6, 30.3, 55.5, 123.5, 128.0, 128.7, 131.6, 133.0, 134.1, 135.5, 167.8, 196.0; HRMS (ESI) m/z calcd for $\text{C}_{19}\text{H}_{17}\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 308.1287; found: 308.1282.

2-(4-oxohex-2-en-3-yl)isoindoline-1,3-dione (5)



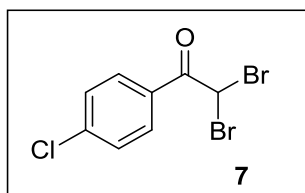
White solid. *E/Z* mixture with the ratio of 1:5. ^1H NMR (500 MHz, CDCl_3): δ = 1.08 (t, J = 7.5 Hz, 3H, *Z* form), 1.12 (t, J = 7.5 Hz, 3H, *E* form), 1.80 (d, J = 7.0 Hz, 3H, *Z* form), 1.94 (d, J = 7.0 Hz, 3H, *E* form), 2.36 (q, J = 7.5 Hz, 2H, *Z* form), 2.49 (q, J = 7.5 Hz, 2H, *E* form), 5.95 (q, J = 7.5 Hz, 1H, *Z* form), 6.62 (q, J = 7.5 Hz, 1H, *E* form), 7.82 (dd, J = 5.5, 3.0 Hz, 2H, *E* form), 7.84 (dd, J = 5.5, 3.0 Hz, 2H, *Z* form), 7.93 (dd, J = 5.5, 3.0 Hz, 2H, *E* form), 7.97 (dd, J = 5.5, 3.0 Hz, 2H, *Z* form). ^{13}C NMR (CDCl_3 , 125 MHz): δ = 12.7, 13.0, 14.7, 14.9, 19.7, 27.0, 124.1, 124.3, 131.1, 131.4, 131.7, 134.9, 135.3, 139.3, 143.5, 143.6, 164.9, 165.8, 167.8, 168.3; HRMS (ESI) m/z calcd for $\text{C}_{14}\text{H}_{13}\text{NO}_3$ $[\text{M}+\text{H}]^+$: 244.0974; found: 244.0968.

2,2'-(4-oxohexane-2,3-diyl)bis(isoindoline-1,3-dione) (6)



White solid. m.p. 163-165 °C. ^1H NMR (400 MHz, CDCl_3): δ = 0.79 (t, J = 7.2 Hz, 3H). 1.51 (d, J = 6.8 Hz, 3H), 1.94-2.02 (m, 1H), 2.24-2.29 (m, 1H), 4.64 (dd, J = 10.0, 4.4 Hz, 1H), 4.83 (q, J = 7.1 Hz, 1H), 7.77 (s, 8H). ^{13}C NMR (CDCl_3 , 125 MHz): δ = 10.1, 14.1, 21.1, 50.66, 50.70, 56.42, 56.46, 123.3, 123.4, 131.4, 131.6, 134.3, 134.4, 167.3, 167.6, 200.5; HRMS (ESI) m/z calcd for $\text{C}_{22}\text{H}_{18}\text{N}_2\text{O}_5$ $[\text{M}+\text{H}]^+$: 391.1294; found: 391.1299.

2,2-dibromo-1-(4-chlorophenyl)ethan-1-one (7)



White solid. m.p. 91-92 °C. ^1H NMR (500 MHz, CDCl_3): δ = 6.60 (s, 1H), 7.49 (d, J = 7.5 Hz, 2H), 8.06 (d, J = 8.0 Hz, 2H); ^{13}C NMR (CDCl_3 , 125 MHz): δ = 39.3, 129.0, 129.2, 131.1, 141.0, 184.8; HRMS (ESI) m/z calcd for $\text{C}_8\text{H}_5\text{Br}_2\text{ClO}$ $[\text{M}+\text{H}]^+$: 310.8474; found: 310.8479.

III. Copies of ^1H and ^{13}C NMR spectra for compounds 2-7

