

Electronic Supplementary Information

A catalyst-free rapid, practical and general synthesis of 2-substituted quinazolin-4(3H)-ones leading to Luotonin B and E, Bouchardatine and 8-Norrutaecarpine

K. Raghavendra Rao,^a Ramamohan Mekala,^a Akula Raghunadh,^a Suresh Babu Meruva,^a S. Praveen Kumar,^a Dipak Kalita,^a Eppakayala Laxminarayana,^b Bagineni Prasad,^c and Manojit Pal^{c,*}

^a*Technology Development Centre, Custom Pharmaceutical Services, Dr. Reddy's Laboratories Ltd, Miyapur, Hyderabad, 500 049, India*

^b*Department of Physics and Chemistry, Mahatma Gandhi Institute of Technology, Chaitanya Bharati, Gandipet, Hyderabad, 500 075, India*

^c*Dr. Reddy's Institute of Life Sciences, University of Hyderabad Campus, Gachibowli, Hyderabad 500 046, India*

E-mail: manojitpal@rediffmail.com; Tel: +91 40 6657 1500

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General method

All reagents were used as received from commercial sources without further purification or prepared as described in the literature. Reactions were stirred using Teflon-coated magnetic stirring bars. TLC plates were visualized by ultraviolet light or by treatment with a spray of Pancaldi reagent $\{(NH_4)_6MoO_4, Ce(SO_4)_2, H_2SO_4, H_2O\}$. Chromatographic purification of products was carried out by flash column chromatography on silica gel (230-400 mesh). Melting points were determined using an electro thermal melting point apparatus and are uncorrected. Infrared spectra were recorded on a Perkin-Elmer 1650 Fourier transform spectrometer. NMR spectra were measured in $CDCl_3$, acetone, $DMSO-d_6$ (all with TMS as internal standard) on a Varian Gemini 400 MHz FT NMR spectrometer magnetic resonance spectrometer. Chemical shifts (δ) are reported in ppm, and coupling constants (J) are in Hz. The following abbreviations were used to explain the multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet. Mass spectra were recorded on an HP-5989A quadrupole mass spectrometer

General procedure for the preparation of compound (3)

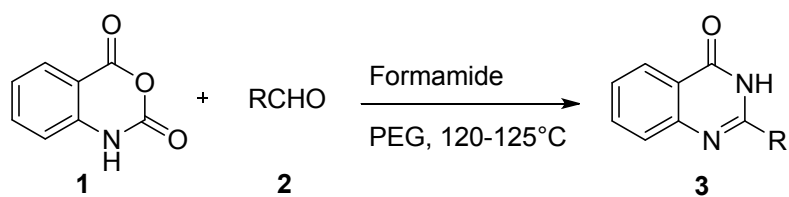
A solution of isatoic anhydride (1.0 mmol), formamide (1.0 mmol) and an appropriate aldehyde (1.0 mmol) in PEG 400 (4 mL) was stirred at 120-125 °C for 15-60 min (see Table S-1). The progress of the reaction was monitored by TLC. After completion of the reaction the mixture was cooled to room temperature and diluted with DM water (8 vol or 1.3 mL) and extracted with ethyl acetate (3 x 6 vol or 3 x 1 mL). The organic layers were collected, combined washed with brine (20 mL), dried over anhydrous sodium sulfate, filtered, and concentrated under a reduced pressure. The residue was purified by column chromatography over silica gel using ethyl acetate–hexane to give the desired product **3**.

Recovery of PEG-400

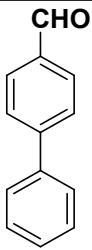
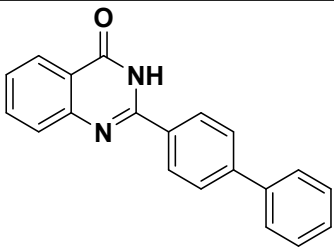

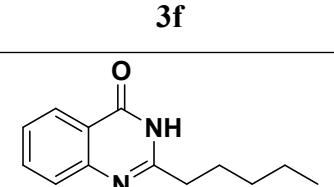
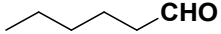
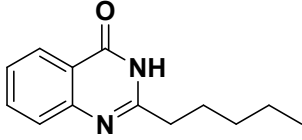
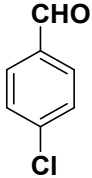
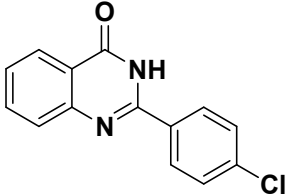
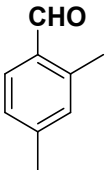
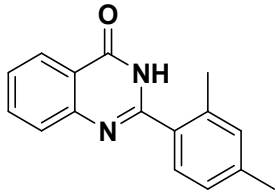
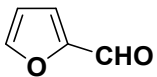
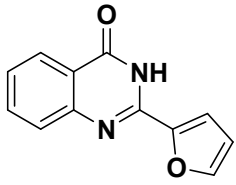
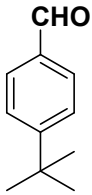
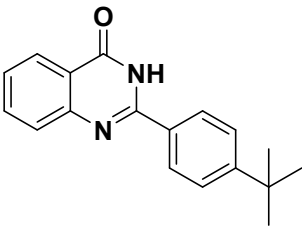
After extracting the reaction mixture with EtOAc as mentioned above, the separated aqueous layer (mainly the mixture of PEG-400 and water) was distilled at 100-120°C under high vacuum (pressure 700 mm Hg) for over 3h to remove water. Then the solution was passed through the silica gel bed (60-120 mesh) and finally dried over molecular sieves (4Å). The recovered PEG-400 was isolated as a colorless solvent; overall recovery of solvent ~ 68%.

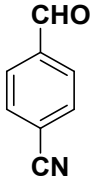
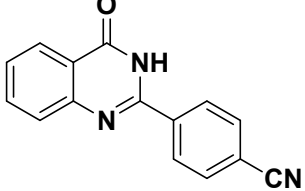
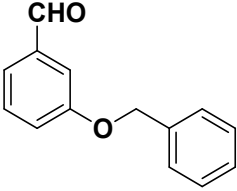
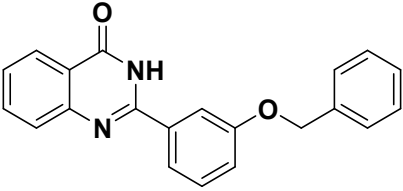
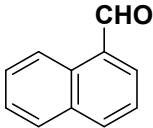
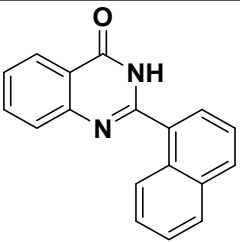
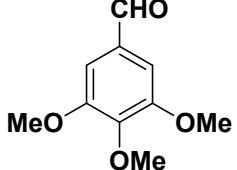
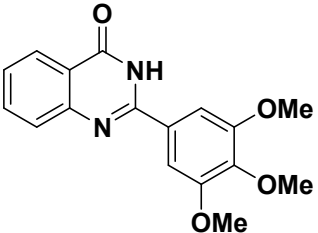
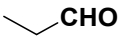
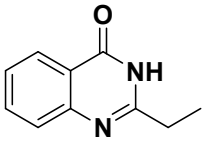
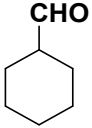
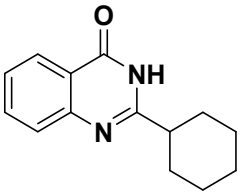
After reuse of recovered PEG-400 this method was repeated with overall recovery of solvent ~ 65%.

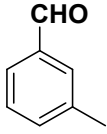
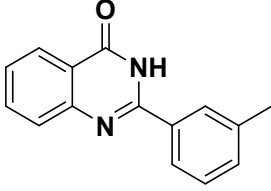
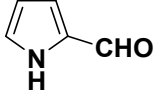
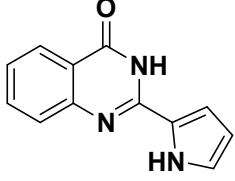
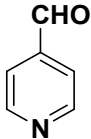
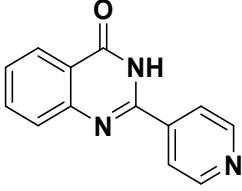
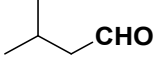
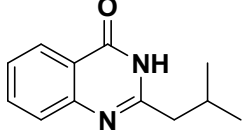
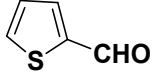
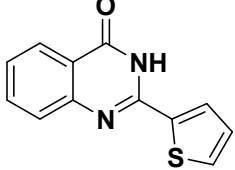
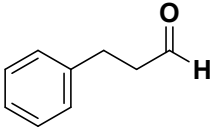
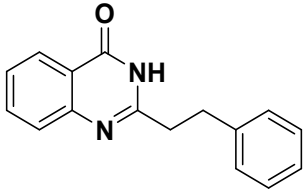
Table S-1. Catalyst-free rapid synthesis of 2-substituted quinazolin-4(3*H*)-one derivatives (**3**).

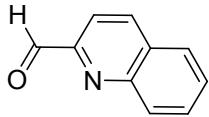
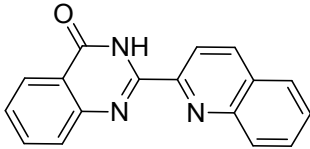
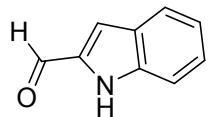
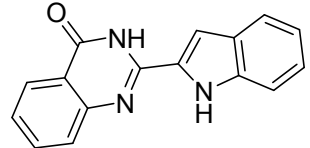
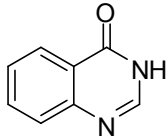


Entry	Aldehyde	Product	Time (min)	Yield (%)
1	<p>2a</p>	<p>3a</p>	35	91
2	<p>2b</p>	<p>3b</p>	43	84
3	<p>2c</p>	<p>3c</p>	30	87
4	<p>2d</p>	<p>3d</p>	42	85
5	<p>F</p>	<p>F</p>	45	92

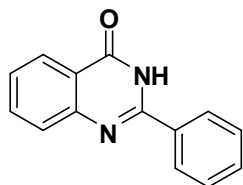
	2e 	3e 		
6	2f 	3f 	56	81
7	2g 	3g 	18	88
8	2h 	3h 	40	83
9	2i 	3i 	42	89
10	2j 	3j 	55	74
11	2k 	3k 	27	84

12	 <p>CHO CN 2l</p>	 <p>O NH N CN 3l</p>	50	81
13	 <p>CHO O 2m</p>	 <p>O NH N O 3m</p>	47	87
14	 <p>CHO 2n</p>	 <p>O NH N 3n</p>	32	88
15	 <p>CHO MeO OMe OMe 2o</p>	 <p>O NH N OMe OMe OMe 3o</p>	40	79
16	 <p>CHO 2p</p>	 <p>O NH N 3p</p>	15	93
17	 <p>CHO 2q</p>	 <p>O NH N 3q</p>	20	92

18	 <p>2r</p>	 <p>3r</p>	32	85
19	 <p>2s</p>	 <p>3s</p>	60	76
20	 <p>2t</p>	 <p>3t</p>	55	85
21	 <p>2u</p>	 <p>3u</p>	20	91
22	 <p>2v</p>	 <p>3v</p>	46	78
23	 <p>2w</p>	 <p>3w</p>	55	76

24	 2x	 3x	45	74
25	 2y	 3y	32	80
26	No aldehyde	 3z	90	81

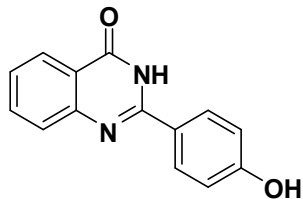
2-Phenylquinazolin-4(3H)-one (3a)



The compound **3a** was prepared *via* the reaction of **1**, **2a** and formamide according to the general procedure as mentioned above.

White solid; mp: 238-240 °C; Yield: 91%; $R_f = 0.44$ (hexane/ethyl acetate, 6:4 v/v); $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ : 7.51-7.59 (m, 4H), 7.74-7.76 (m, 1H), 7.82-7.87 (m, 1H), 8.14-8.19 (m, 3H), 12.54 (s, 1H); $^{13}\text{C NMR}$ (100 MHz, $\text{DMSO-}d_6$) δ : 120.9, 125.8, 126.5, 127.4, 127.7 (2C), 128.5 (2C), 131.3, 132.7, 134.5, 148.7, 152.3, 162.2; IR (KBr): 3420, 1677 cm^{-1} ; MS: m/z 223.30 ($\text{M}+1$); HRMS: m/z calcd. for $\text{C}_{14}\text{H}_{11}\text{N}_3\text{O}$ [$\text{M} + \text{H}$] $^+$ 223.0871, found 223.0870.

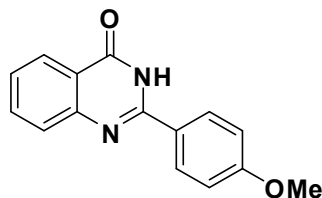
2-(4-Hydroxyphenyl)quinazolin-4(3H)-one (3b)



The compound **3b** was prepared *via* the reaction of **1**, **2b** and formamide according to the general procedure as mentioned above.

Off white solid; mp: 256-258 °C; Yield: 84.5%; R_f = 0.40 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 6.88 (d, J = 8.8 Hz, 2H), 7.46 (t, J = 7.6 Hz, 1H), 7.62 (d, J = 8.4 Hz, 1H), 7.80 (t, J = 8.0 Hz, 1H), 8.07-8.12 (m, 3H), 10.15 (s, 1H), 12.30 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 115.3 (2C), 120.5, 123.2, 125.8, 125.8, 127.1, 129.5 (2C), 134.4, 149.0, 152.1, 160.5, 162.3; IR (KBr): 3445, 3059, 1675 cm^{-1} ; MS: m/z 239.30 (M+1); HRMS: m/z calcd. for $\text{C}_{14}\text{H}_{11}\text{N}_2\text{O}_2$ [M + H] $^+$ 239.0821, found 239.0816.

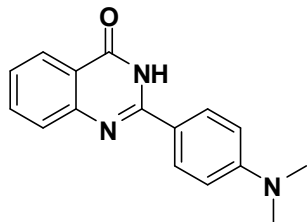
2-(4-Methoxyphenyl)quinazolin-4(3H)-one (3c)



The compound **3c** was prepared *via* the reaction of **1**, **2c** and formamide according to the general procedure as mentioned above.

Off white solid; mp: 242-244 °C; Yield: 87%; R_f = 0.42 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 3.85 (s, 3H); 7.08 (d, J = 8.8 Hz, 2H), 7.48 (t, J = 7.6 Hz, 1H), 7.71 (d, J = 8.0 Hz, 1H), 7.81 (t, J = 6.8 Hz, 1H), 8.12-8.20 (m, 3H), 12.40 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 55.4, 113.9 (2C), 120.6, 124.8, 125.8, 126.0, 127.1, 129.4 (2C), 134.4, 148.8, 151.9, 161.8, 162.4; IR (KBr): 3421, 1676 cm^{-1} ; MS: m/z 253.20 (M+1); HRMS: m/z calcd. for $\text{C}_{15}\text{H}_{13}\text{N}_2\text{O}_2$ [M + H] $^+$ 253.0977, found 253.0987.

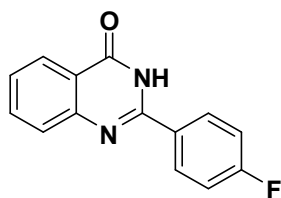
2-(4-(Dimethylamino)phenyl)quinazolin-4(3H)-one (3d)



The compound **3d** was prepared *via* the reaction of **1**, **2d** and formamide according to the general procedure as mentioned above.

Yellow solid; mp: 237-239 °C; Yield: 85%; R_f = 0.39 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, CDCl_3) δ : 3.08 (s, 6H); 6.78 (d, J = 6.8 Hz, 2H), 7.39-7.43 (m, 1H), 7.74-7.75 (m, 2H), 7.97-8.00 (m, 2H), 8.27 (d, J = 8.0 Hz, 1H), 9.87 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ : 40.1 (2C), 117.7 (2C), 119.2, 120.4, 125.6, 126.3, 127.4, 128.3 (2C), 134.6, 150.0, 151.6, 152.5, 163.3; IR (KBr): 3440, 1667 cm^{-1} ; MS: m/z 266.40(M+1); HRMS: m/z calcd. for $\text{C}_{16}\text{H}_{16}\text{N}_3\text{O}$ [M + H] $^+$ 266.1293, found 266.1289.

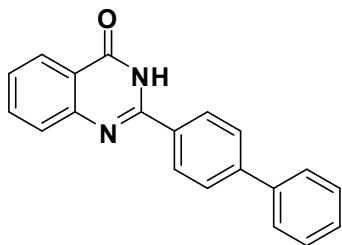
2-(4-Fluorophenyl)quinazolin-4(3H)-one (**3e**)



The compound **3e** was prepared *via* the reaction of **1**, **2e** and formamide according to the general procedure as mentioned above.

White solid; mp: 287-289 °C; Yield: 92%; R_f = 0.45 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ : 7.40 (t, J = 8.8 Hz, 2H), 7.52 (t, J = 7.5 Hz, 1H), 7.73 (d, J = 8.4 Hz, 1H), 7.82-7.86 (m, 1H), 8.14 (d, J = 8.0 Hz, 1H), 8.23-8.27 (m, 2H), 12.57 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ : 115.2 (d, C-F J = 17.5 Hz) (2C), 120.9, 125.9, 126.6, 127.4, 129.2, 130.3 (d, C-F J = 7.3 Hz) (2C), 134.6, 148.6, 151.4, 162.2 (d, C-F J = 280.0 Hz), 163.1; IR (KBr): 3175, 1678 cm^{-1} ; MS: m/z 241.30 (M+1); HRMS: m/z calcd. for $\text{C}_{14}\text{H}_{10}\text{FN}_2\text{O}$ [M + H] $^+$ 241.0777, found 241.0769.

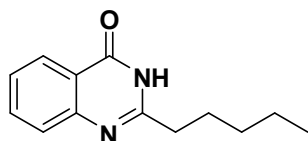
2-([1,1'-Biphenyl]-4-yl)quinazolin-4(3H)-one (**3f**)



The compound **3f** was prepared *via* the reaction of **1**, **2f** and formamide according to the general procedure as mentioned above.

Pale yellow solid; mp: 258-260 °C; Yield: 81%; $R_f = 0.43$ (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 7.43-7.55 (m, 4H), 7.76-7.84 (m, 4H), 7.88 (d, $J = 8.8$ Hz, 2H), 8.16 (d, $J = 8.0$ Hz, 1H), 8.29 (d, $J = 8.8$ Hz, 2H), 12.57 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 121.0, 125.8, 126.6, 126.7 (2C), 126.8 (2C), 127.5, 128.2, 128.3 (2C), 129.0 (2C), 131.5, 134.6, 138.9, 142.8, 148.7, 151.9, 162.2; IR (KBr): 3410, 1677 cm^{-1} ; MS: m/z 299.40 (M+1); HRMS: m/z calcd. For $\text{C}_{20}\text{H}_{15}\text{N}_2\text{O}$ [M + H] $^+$ 299.1184, found 299.1177.

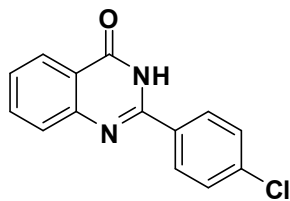
2-Pentylquinazolin-4(3H)-one (**3g**)



The compound **3g** was prepared *via* the reaction of **1**, **2g** and formamide according to the general procedure as mentioned above.

White solid; mp: 238-240 °C; Yield: 88%; $R_f = 0.44$ (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 0.87 (t, $J = 5.6$ Hz, 3H), 1.29-1.32 (m, 4H), 1.72 (t, $J = 6.0$ Hz, 2H), 2.58 (t, $J = 6.2$ Hz, 2H), 7.45 (t, $J = 6.8$ Hz, 1H), 7.58 (d, $J = 6.8$ Hz, 1H), 7.76-7.79 (m, 1H), 8.06 (d, $J = 8.4$ Hz, 1H), 12.18 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 13.7, 21.8, 26.4, 30.7, 34.4, 120.7, 125.6, 125.7, 126.7, 134.1, 148.9, 157.4, 161.8; IR (KBr): 3170, 1670 cm^{-1} ; MS: m/z 217.20 (M+1); HRMS: m/z calcd. for $\text{C}_{13}\text{H}_{17}\text{N}_2\text{O}$ [M + H] $^+$ 217.1341, found 217.1370.

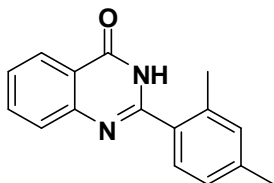
2-(4-Chlorophenyl)quinazolin-4(3H)-one (**3h**)



The compound **3h** was prepared *via* the reaction of **1**, **2h** and formamide according to the general procedure as mentioned above.

White solid; mp: 296-298 °C; Yield: 83%; R_f = 0.41 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, CDCl_3) δ : 7.50-7.53 (m, 3H), 7.81 (d, J = 7.2 Hz, 2H), 8.07 (d, J = 8.4 Hz, 2H), 8.31 (d, J = 7.6 Hz, 1H), 10.25 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ : 121.0, 126.1, 127.1, 127.6, 128.9 (2C), 129.8 (2C), 131.7, 135.0, 136.5, 148.6, 151.7, 162.5; IR (KBr): 3137, 1672 cm^{-1} ; MS: m/z 257.30 (M+1); HRMS: m/z calcd. for $\text{C}_{14}\text{H}_{10}\text{ClN}_2\text{O}$ [M + H] $^+$ 257.0482, found 257.0461.

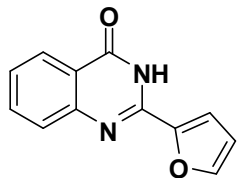
2-(2,4-Dimethylphenyl)quinazolin-4(3H)-one (3i)



The compound **3i** was prepared *via* the reaction of **1**, **2i** and formamide according to the general procedure as mentioned above.

Light brown solid; mp: 160-162 °C; Yield: 89%; R_f = 0.49 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ : 2.34 (s, 3H), 2.36 (s, 3H), 7.12-7.16 (m, 2H), 7.39 (d, J = 8.0 Hz, 1H), 7.53 (t, J = 7.8 Hz, 1H), 7.66 (d, J = 8.0 Hz, 1H), 7.82 (t, J = 7.1 Hz, 1H), 8.14 (d, J = 8.0 Hz, 1H), 12.37 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ : 19.5, 20.8, 125.7, 126.2, 126.3, 127.2, 129.1, 130.6, 131.1, 132.7, 134.3, 136.0, 139.4, 148.7, 154.4, 161.9; IR (KBr): 3211, 1677 cm^{-1} ; MS: m/z 251.20 (M+1); HRMS: m/z calcd. for $\text{C}_{16}\text{H}_{15}\text{N}_2\text{O}$ [M + H] $^+$ 251.1184 found 251.1187.

2-(Furan-2-yl)quinazolin-4(3H)-one (3j)

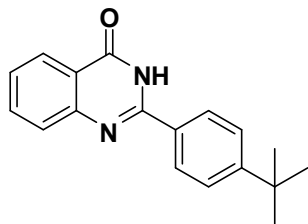


The compound **3j** was prepared *via* the reaction of **1**, **2j** and formamide according to the general procedure as mentioned above.

White solid; mp: 210-212 °C; Yield: 74%; R_f = 0.45 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, DMSO- d_6) δ : 6.74-6.76 (m, 1H), 7.48-7.51 (m, 1H), 7.62 (d, J = 3.6 Hz, 1H), 7.68 (d, J = 8.2 Hz, 1H), 7.80-7.84 (m, 1H), 8.00 (s, 1H), 8.11 (d, J = 7.2 Hz, 1H), 12.50 (s, 1H); ^{13}C NMR (100 MHz, DMSO- d_6) δ : 112.5, 114.4, 121.1, 125.9, 126.4, 127.2, 134.6, 143.9, 146.0, 146.5, 148.6, 161.5; IR (KBr): 3124, 1665 cm^{-1} ; MS: m/z 213.10 (M+1); HRMS: m/z calcd. for $\text{C}_{12}\text{H}_9\text{N}_2\text{O}_2$ [M + H] $^+$ 213.0664, found 213.0667.

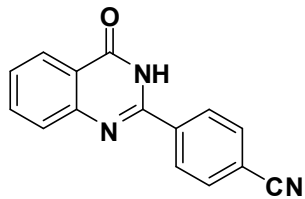
2-(4-(*tert*-Butyl)phenyl)quinazolin-4(3H)-one (3k)

The compound **3k** was prepared *via* the reaction of **1**, **2k** and formamide according to the general procedure as mentioned above.



Off white solid; mp: 160-162 °C; Yield: 84%; R_f = 0.33 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, DMSO- d_6) δ : 1.33 (s, 9H), 7.49-7.52 (m, 1H), 7.56 (d, J = 7.2 Hz, 2H), 7.72 (d, J = 7.0 Hz, 1H), 7.81-7.85 (m, 1H), 8.14 (d, J = 8.0 Hz, 3H), 12.47 (s, 1H); ^{13}C NMR (100 MHz, DMSO- d_6) δ : 30.8 (3C), 34.6, 120.9, 125.4 (2C), 125.8, 126.4, 127.4, 127.5 (2C), 129.9, 134.5, 148.8, 152.1, 154.2, 162.2; IR (KBr): 3154, 1671 cm^{-1} ; MS: m/z 279.20 (M+1); HRMS: m/z calcd. for $\text{C}_{18}\text{H}_{19}\text{N}_2\text{O}$ [M + H] $^+$ 279.1497, found 279.1487.

4-(4-Oxo-3,4-dihydroquinazolin-2-yl)benzotrile (3l)

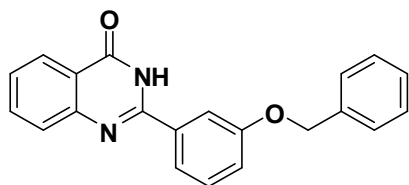


The compound **3l** was prepared *via* the reaction of **1**, **2l** and formamide according to the general procedure as mentioned above.

Pale yellow solid; mp: 252-254 °C; Yield: 81%; $R_f = 0.34$ (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 7.57 (*t*, $J = 8.0$ Hz, 1H), 7.77 (*d*, $J = 8.0$ Hz, 1H), 7.84-7.86 (*m*, 1H), 8.03 (*d*, $J = 8.4$ Hz, 2H), 8.17 (*d*, $J = 8.0$ Hz, 1H), 8.33 (*d*, $J = 8.0$ Hz, 2H), 12.75 (*s*, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 113.5, 118.3, 121.2, 125.8, 127.2, 127.7, 128.6 (2C), 132.5 (2C), 134.7, 136.8, 148.3, 150.9, 162.0; MS: m/z 248.20 (M+1); HRMS: m/z calcd. for $\text{C}_{15}\text{H}_{10}\text{N}_3\text{O}$ [M + H] $^+$ 248.0824, found 248.0816.

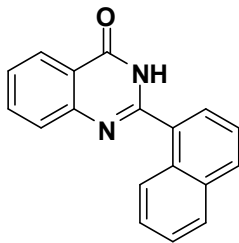
2-(3-(Benzyloxy)phenyl)quinazolin-4(3H)-one (3m)

The compound **3m** was prepared *via* the reaction of **1**, **2m** and formamide according to the general procedure as mentioned above.



Light brown solid; mp: 253-255 °C; Yield: 87%; $R_f = 0.31$ (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 5.22 (*s*, 2H), 7.21 (*d*, $J = 8.4$ Hz, 1H), 7.35-7.55 (*m*, 7H), 7.73-7.87 (*m*, 4H), 8.14 (*d*, $J = 8.4$ Hz, 1H), 12.53 (*s*, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 69.5, 113.6, 118.2, 120.3, 121.0, 125.8, 126.6, 127.7, 127.9 (2C), 128.4, 128.5 (2C), 129.7, 134.0, 134.5, 136.8, 148.5, 151.9, 158.4, 162.2; IR (KBr): 3155, 1666 cm^{-1} ; MS: m/z 329.20 (M+1); HRMS: m/z calcd. for $\text{C}_{21}\text{H}_{17}\text{N}_2\text{O}_2$ [M + H] $^+$ 329.1290, found 329.1303.

2-(Naphthalen-1-yl)quinazolin-4(3H)-one (3n)

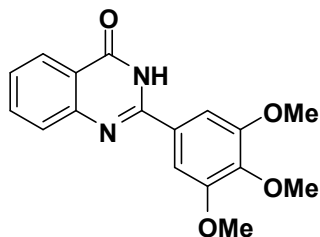


The compound **3n** was prepared *via* the reaction of **1**, **2n** and formamide according to the general procedure as mentioned above.

White solid; mp: 290-292 °C; Yield: 88%; R_f = 0.48 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, CDCl_3) δ : 7.53-7.67 (m, 3H), 7.79-7.89 (m, 2H), 8.01-8.09 (m, 3H), 8.18 (d, J = 7.6 Hz, 1H), 8.30 (d, J = 8.8 Hz, 1H), 8.82 (s, 1H), 12.67 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ : 121.0, 124.4, 125.9, 126.6, 126.9, 127.6, 127.9, 128.0, 128.1, 128.3, 128.9, 129.9, 132.2, 134.1, 134.6, 148.7, 152.2, 162.2; IR (KBr): 3305, 1667 cm^{-1} ; MS: m/z 273.10(M+1); HRMS: m/z calcd. for $\text{C}_{18}\text{H}_{13}\text{N}_2\text{O}$ [M + H] $^+$ 273.1028, found 273.1033.

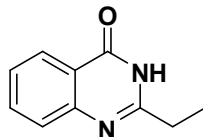
2-(3,4,5-Trimethoxyphenyl)quinazolin-4(3H)-one (3o)

The compound **3o** was prepared *via* the reaction of **1**, **2o** and formamide according to the general procedure as mentioned above.



Off white solid; mp: 258-260 °C; Yield: 79%; R_f = 0.37 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, CDCl_3) δ : 3.95 (s, 3H), 4.03 (s, 6H), 7.41 (s, 2H), 7.50 (t, J = 6.8 Hz, 1H), 7.81-7.85 (m, 2H), 8.27 (d, J = 8.0 Hz, 1H), 10.92 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ : 56.4 (2C), 60.9, 104.9 (2C), 105.2, 125.5, 126.0, 126.6, 127.9, 134.9, 141.0, 149.5, 151.7, 153.5, 153.6, 164.4; IR (KBr): 3205, 1670 cm^{-1} ; MS: m/z 313.10 (M+1); HRMS: m/z calcd. for $\text{C}_{17}\text{H}_{17}\text{N}_2\text{O}_4$ [M + H] $^+$ 313.1188, found 313.1192.

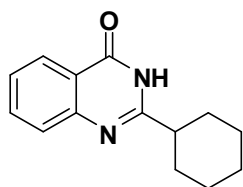
2-Ethylquinazolin-4(3H)-one (3p)



The compound **3p** was prepared *via* the reaction of **1**, **2p** and formamide according to the general procedure as mentioned above.

White solid; mp: 230-232 °C; Yield: 93%; R_f = 0.34 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 1.24 (*t*, J = 7.6 Hz, 3H), 2.63 (*q*, J = 7.4 Hz, 2H), 7.45 (*t*, J = 7.6 Hz, 1H), 7.59 (*d*, J = 5.6 Hz, 1H), 7.61-7.79 (*m*, 1H), 8.07 (*dd*, J = 8.4, 1.6 Hz, 1H), 12.16 (*s*, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 11.2, 27.8, 120.7, 125.6, 125.8, 126.7, 134.1, 148.8, 158.3, 161.8; IR (KBr): 3178, 1667 cm^{-1} ; MS: m/z 175.10 ($\text{M}+1$); HRMS: m/z calcd. for $\text{C}_{10}\text{H}_{11}\text{N}_2\text{O}$ [$\text{M} + \text{H}$] $^+$ 175.0871, found 175.0880.

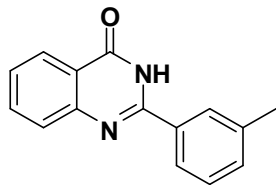
2-Cyclohexylquinazolin-4(3H)-one (3q)



The compound **3q** was prepared *via* the reaction of **1**, **2q** and formamide according to the general procedure as mentioned above.

White solid; mp: 223-225 °C; Yield: 92%; R_f = 0.48 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 1.23-1.32 (*m*, 3H), 1.53-1.92 (*m*, 7H), 2.54-2.60 (*m*, 1H), 7.45 (*t*, J = 7.2 Hz, 1H), 7.58 (*d*, J = 8.0 Hz, 1H), 7.74-7.78 (*m*, 1H), 8.06 (*d*, J = 8.0 Hz, 1H), 12.08 (*s*, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 25.3, 25.4 (2C), 30.1 (2C), 42.8, 120.9, 125.6, 125.8, 126.8, 134.1, 148.8, 160.7, 161.9; IR (KBr): 3172, 1676 cm^{-1} ; MS: m/z 229.20 ($\text{M}+1$); HRMS: m/z calcd. for $\text{C}_{14}\text{H}_{17}\text{N}_2\text{O}$ [$\text{M} + \text{H}$] $^+$ 229.1341, found 229.1342.

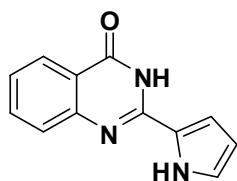
2-(*m*-Tolyl)quinazolin-4(3H)-one (3r)



The compound **3r** was prepared *via* the reaction of **1**, **2r** and formamide according to the general procedure as mentioned above.

Yellow solid; mp: 210-212 °C; Yield: 85%; R_f = 0.51 (hexane/ethyl acetate, 5:5 v/v); ^1H NMR (400 MHz, DMSO- d_6) δ : 2.49 (s, 3H), 7.41-7.54 (m, 3H), 7.73 (d, J = 7.6 Hz, 1H), 7.82-7.86 (m, 1H), 7.96-8.03 (m, 2H), 8.15 (dd, J = 8.0, 1.2 Hz, 1H), 12.47 (s, 1H); ^{13}C NMR (100 MHz, DMSO- d_6) δ : 20.9, 120.9, 124.8, 125.8, 126.5, 127.3, 128.2, 128.4, 131.9, 132.6, 134.5, 137.8, 148.6, 152.4, 162.2; IR (KBr): 3300, 1667 cm^{-1} ; MS: m/z 237.10 (M+1); HRMS: m/z calcd. for $\text{C}_{15}\text{H}_{13}\text{N}_2\text{O}$ [$\text{M} + \text{H}$] $^+$ 237.1028, found 237.1035.

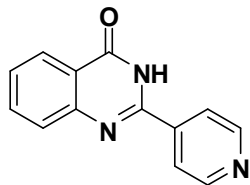
2-(1H-Pyrrol-2-yl)quinazolin-4(3H)-one (3s)



The compound **3s** was prepared *via* the reaction of **1**, **2s** and formamide according to the general procedure as mentioned above.

Yellow solid; mp: 242-244 °C; Yield: 76%; R_f = 0.36 (hexane/ethyl acetate, 5:5 v/v); ^1H NMR (400 MHz, DMSO- d_6) δ : 6.20-6.22 (m, 1H), 7.03-7.05 (m, 1H), 7.30-7.39 (m, 1H), 7.41-7.43 (m, 1H), 7.60 (d, J = 8.4 Hz, 1H), 7.75-7.79 (m, 1H), 8.07 (dd, J = 7.6, 0.8 Hz, 1H), 11.74 (s, 1H), 12.20 (s, 1H); ^{13}C NMR (100 MHz, DMSO- d_6) δ : 109.8, 112.5, 120.5, 123.9, 124.3, 125.2, 126.0, 126.3, 134.4, 146.5, 149.2, 162.0; MS: m/z 212.10 (M+1); HRMS: m/z calcd. for $\text{C}_{12}\text{H}_{10}\text{N}_3\text{O}$ [$\text{M} + \text{H}$] $^+$ 212.0824, found 210.853.

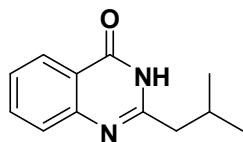
2-(Pyridin-4-yl)quinazolin-4(3H)-one (3t)



The compound **3t** was prepared *via* the reaction of **1**, **2t** and formamide according to the general procedure as mentioned above.

Yellow solid; mp: 270-272 °C; Yield: 85%; $R_f = 0.41$ (hexane/ethyl acetate, 5:5 v/v); $^1\text{H NMR}$ (400 MHz, DMSO- d_6) δ : 7.57-7.61 (m, 1H), 7.79 (d, $J = 7.6$ Hz, 1H), 7.86-7.91 (m, 1H), 8.11-8.20 (m, 3H), 8.78 (d, $J = 5.6$ Hz, 2H), 12.77 (s, 1H); $^{13}\text{C NMR}$ (100 MHz, DMSO- d_6) δ : 121.4, 121.5 (2C), 125.9, 127.3, 127.7, 134.7, 139.9, 148.2, 150.2 (2C), 150.4, 162.0; IR (KBr): 3440, 1675 cm^{-1} ; MS: m/z 224.10 (M+1); HRMS: m/z calcd. for $\text{C}_{13}\text{H}_{10}\text{N}_3\text{O}$ [M + H] $^+$ 224.0824, found 224.0848.

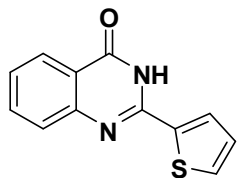
2-Isobutylquinazolin-4(3H)-one (3u)



The compound **3u** was prepared *via* the reaction of **1**, **2u** and formamide according to the general procedure as mentioned above.

Yellow solid; mp: 193-194 °C; Yield: 91%; $R_f = 0.38$ (hexane/ethyl acetate, 5:5 v/v); $^1\text{H NMR}$ (400 MHz, DMSO- d_6) δ : 0.92 (d, $J = 6.8$ Hz, 6H), 2.1-2.2 (m, 1H), 2.46 (d, $J = 7.2$ Hz, 2H), 7.45 (t, $J = 7.6$ Hz, 1H), 7.60 (d, $J = 8.0$ Hz, 1H), 7.74-7.79 (m, 1H), 8.06 (d, $J = 8.4$ Hz, 1H), 12.15 (s, 1H); $^{13}\text{C NMR}$ (100 MHz, DMSO- d_6) δ : 22.0 (2C), 27.0, 43.3, 120.7, 125.6, 125.8, 126.7, 134.1, 148.8, 156.7, 161.8; MS: m/z 203.3 (M+1); HRMS: m/z calcd. for $\text{C}_{12}\text{H}_{15}\text{N}_2\text{O}$ [M + H] $^+$ 203.1184, found 203.1187.

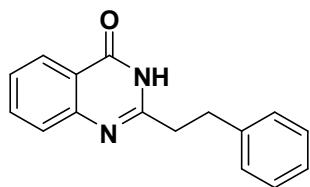
2-(Thiophen-2-yl)quinazolin-4(3H)-one (3v)



The compound **3v** was prepared *via* the reaction of **1**, **2v** and formamide according to the general procedure as mentioned above.

Pale yellow solid; mp: 246-248 °C; Yield: 78%; R_f = 0.54 (hexane/ethyl acetate, 5:5 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 7.23-7.25 (m, 1H), 7.47-7.51 (m, 1H), 7.64 (d, J = 8.4 Hz, 1H), 7.78-7.88 (m, 2H), 8.11 (d, J = 7.6 Hz, 1H), 8.23 (d, J = 5.2 Hz, 1H), 12.65 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 120.88, 126.01, 126.36, 126.90, 128.52, 129.42, 132.17, 134.71, 137.38, 147.88, 148.60, 161.87; IR (KBr): 3441, 1669 cm^{-1} ; MS: m/z 229.10 (M+1); HRMS: m/z calcd for $\text{C}_{12}\text{H}_9\text{N}_2\text{OS}$ [M + H] $^+$ 229.0436, found 229.0440.

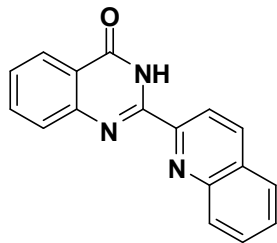
2-Phenethylquinazolin-4(3H)-one (3w)



The compound **3w** was prepared *via* the reaction of **1**, **2w** and formamide according to the general procedure as mentioned above.

Yellow solid; mp: 206-208 °C; Yield: 76%; R_f = 0.44 (hexane/ethyl acetate, 6:4 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 2.87-2.91 (m, 2H), 3.03-3.07 (m, 2H), 7.14-7.34 (m, 5H), 7.47 (t, J = 7.6 Hz, 1H), 7.61 (d, J = 8.0 Hz, 1H), 7.78 (t, J = 7.8 Hz, 1H), 8.07 (d, J = 8.4 Hz, 1H), 12.25 (s, 1H); MS: m/z 251.10 (M+1); HRMS: m/z calcd. for $\text{C}_{16}\text{H}_{16}\text{N}_3\text{O}$ [M + H] $^+$ 251.1184, found 251.1180.

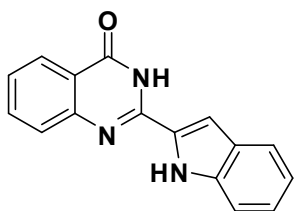
2-(Quinolin-2-yl)quinazolin-4(3H)-one (3x)



The compound **3x** was prepared *via* the reaction of **1**, **2x** and formamide according to the general procedure as mentioned above.

White solid; mp: 186-187 °C; Yield: 74%; R_f = 0.43 (hexane/ethyl acetate, 5:5 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 7.53-7.56 (m, 1H), 7.57-7.66 (m, 1H), 7.80-7.85 (m, 2H), 7.88-7.93 (m, 2H), 8.17 (d, J = 8.4 Hz, 1H), 8.36-8.40 (m, 2H), 8.66 (d, J = 8.4 Hz, 1H), 11.23 (s, 1H); ^{13}C NMR (100 MHz, CDCl_3) δ : 118.4, 122.6, 126.7, 127.5, 127.7, 128.2 (2C), 129.2, 129.6, 130.4, 134.5, 137.5, 146.7, 148.0, 148.9, 149.1, 161.4; IR (KBr): 3318, 1675 cm^{-1} ; MS: m/z 274.4 (M+1); HRMS: m/z calcd. for $\text{C}_{18}\text{H}_{16}\text{N}_3\text{O}$ [M + H] $^+$ 274.0980, found 274.0976.

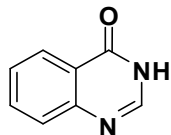
2-(1H-Indol-2-yl)quinazolin-4(3H)-one (3y)



The compound **3y** was prepared *via* the reaction of **1**, **2y** and formamide according to the general procedure as mentioned above.

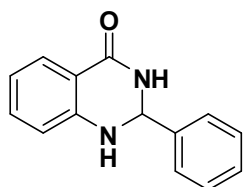
Pale yellow solid; mp: 302-304 °C; Yield: 80%; R_f = 0.41 (hexane/ethyl acetate, 5:5 v/v); ^1H NMR (400 MHz, $\text{DMSO-}d_6$) δ : 7.06 (t, J = 7.6 Hz, 1H), 7.23 (dd, J = 8.0, 0.9 Hz, 1H), 7.49-7.55 (m, 2H), 7.63-7.67 (m, 2H), 7.73 (d, J = 8.4 Hz, 1H), 7.83-7.87 (m, 1H), 8.15 (dd, J = 7.6, 0.8 Hz, 1H), 11.79 (s, 1H), 12.60 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO-}d_6$) δ : 104.9, 112.3, 119.9, 121.1, 121.5, 124.0, 126.0, 126.2, 126.8, 127.4, 130.0, 134.6, 137.6, 146.5, 148.7, 161.7; IR (KBr): 3173, 1660 cm^{-1} ; MS: m/z 262.10 (M+1); HRMS: m/z calcd. for $\text{C}_{16}\text{H}_{12}\text{N}_3\text{O}$ [M + H] $^+$ 262.0980, found 262.0970.

Quinazolin-4(3H)-one (3z)



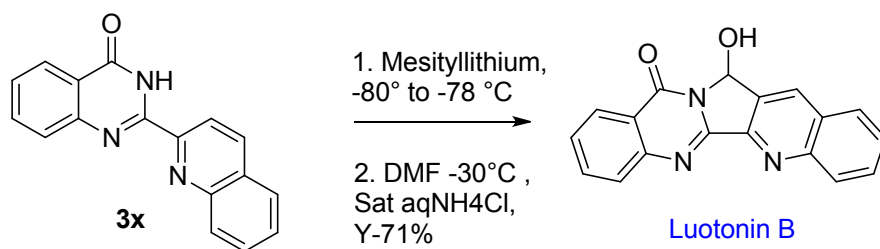
White color solid; mp: 212-214 °C; Yield: 81%; $R_f = 0.5$ (hexane/ethyl acetate, 6:4 v/v); $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ : 7.52 (t, $J = 8.0$ Hz, 1H), 7.65 (d, $J = 8.4$ Hz, 1H), 7.80 (t, $J = 8.0$ Hz, 1H), 8.09-8.13 (m, 2H), 12.2 (s, 1H); MS: m/z 147.1 (M+1).

2-Phenyl-2,3-dihydroquinazolin-4(1H)-one (4a)



Off white solid; mp: 122-124 °C; Yield: 43%; $R_f = 0.34$ (hexane/ethyl acetate, 6:4 v/v); $^1\text{H NMR}$ (400 MHz, $\text{DMSO-}d_6$) δ : 5.74 (s, 1H), 6.66 (t, $J = 7.1$ Hz, 1H), 6.75 (d, $J = 8.2$ Hz, 1H), 7.10 (s, 1H), 7.21-7.25 (m, 1H), 7.37-7.41 (m, 3H), 7.44-7.49 (m, 2H), 7.61 (dd, $J = 6.3, 2.2$ Hz, 1H), 8.27 (s, 1H); MS: m/z 225.09 (M+1); HRMS: m/z calcd. for $\text{C}_{14}\text{H}_{13}\text{N}_2\text{O}$ $[\text{M} + \text{H}]^+$ 225.1028, found 225.1019.

Procedure for the preparation of Luotonin B:

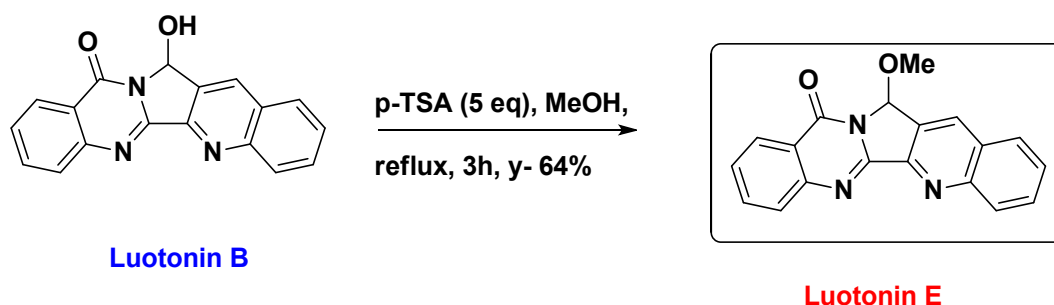


To a solution of *t*-BuLi (1.7M in pentane, 2.3 equiv) in THF (4 vol.) at -78°C to -80°C was added a solution of 2-bromomesitylene (2.3 equiv) in THF (2 vol.) and the reaction mixture was stirred for 30-45 min at the same temperature. A solution of quinazolinone in THF (5 vol) was added to this mixture and the mixture was stirred for 30-45 min at -78 °C. The temp of the mixture was then allowed to reach to -30°C and a solution of DMF (6.0 Eq.) in THF(2 vol) was added to it. The mixture was then stirred at -30°C for 30-45 min. The reaction was quenched

with saturated NH_4Cl solution (4 vol) and the product was extracted with DCM (2 x 20 vol). The organic layers were collected, combined washed with brine (20 mL), dried over anhydrous sodium sulfate, filtered, and concentrated under a reduced pressure. The residue was purified by column chromatography over silica gel (230-400 mesh) using ethyl acetate–methanol (9:1) to furnish Luotonin B.

White solid; mp: 272-274 °C; Yield: 71%; $R_f = 0.41$ (hexane/ethyl acetate, 5:5 v/v); ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ : 6.97 (d, $J = 8.2$ Hz, 1H), 7.62-7.65 (m, 2H), 7.78 (t, $J = 7.1$ Hz, 1H), 7.92-7.96 (m, 3H), 8.22 (d, $J = 7.9$ Hz, 1H), 8.28 (dd, $J = 8.3, 2.4$ Hz, 2H), 8.8 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ : 80.4, 122.2, 126.1, 127.5, 128.1, 128.3, 128.7, 128.9, 129.7, 131.0, 132.8, 133.9, 134.7, 148.7, 149.1, 150.3, 151.5, 159.4; IR (KBr): 3430, 1674 cm^{-1} ; MS: m/z 302.1 (M+1); HRMS: m/z calcd. for $\text{C}_{18}\text{H}_{12}\text{N}_3\text{O}_2$ [M + H] $^+$ 302.0930, found 302.0920.

Procedure for the preparation of Luotonin E:

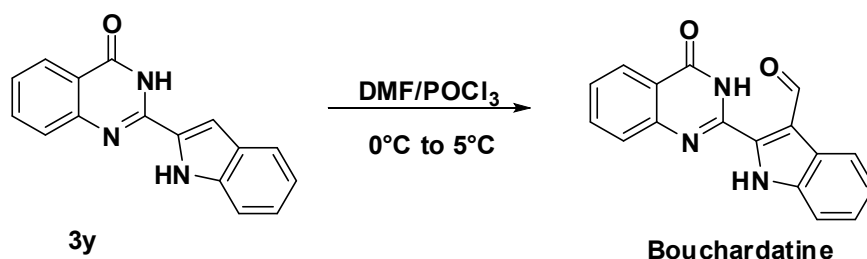


To the solution of Luotonin B in methanol (20 vol) was added *para*-toluene sulfonic acid (5 equiv) and the solution was refluxed for 3h. The progress of the reaction was monitored by TLC. Upon completion of the reaction, the mixture was concentrated under reduced pressure. The crude product was diluted with EtOAc (40 vol) and washed with 10% NaHCO_3 solution (20 vol), DM water (20 vol) and followed by brine solution (20 vol). The EtOAc layer was collected, dried over anhydrous Na_2SO_4 , filtered and concentrated under reduced pressure. The crude product was purified by column chromatography over silica gel (230-400 mesh) using ethyl acetate/n-hexane (0 to 70%) to give Luotonin E.

Off white solid; mp: 221-223 °C; Yield: 64%; $R_f = 0.44$ (hexane/ethyl acetate, 5:5 v/v); ^1H NMR (400 MHz, CDCl_3) δ : 3.61 (s, 3H), 6.95 (s, 1H), 7.57-7.70 (m, 1H), 7.72 (t, $J = 7.1$ Hz, 1H), 7.83-7.90 (m, 2H), 7.99 (d, $J = 8.4$ Hz, 1H), 8.09 (d, $J = 8.0$ Hz, 1H), 8.41-8.43 (m, 1H), 8.47 (d, $J = 8.4$ Hz, 1H), 8.52 (s, 1H); ^{13}C NMR (100 MHz, $\text{DMSO}-d_6$) δ : 56.3, 87.0, 122.2, 126.3, 126.8,

127.8, 128.4, 128.6, 128.8, 130.0, 130.7, 131.3, 133.0, 134.8, 148.1, 148.9, 150.4, 151.3, 160.7; MS: m/z 316.1 (M+1); HRMS: m/z calcd. for $C_{19}H_{14}N_3O_2$ [M + H]⁺ 316.1086, found 316.1081.

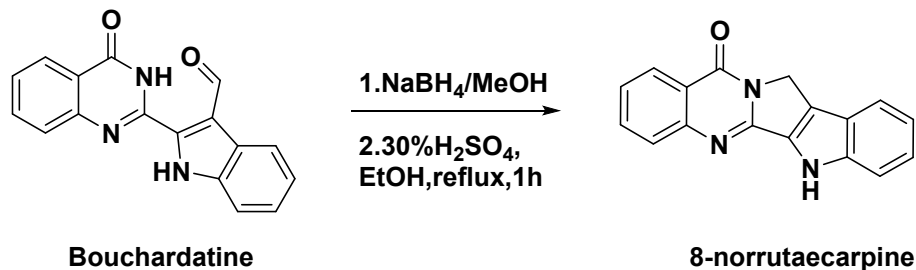
Procedure for the preparation of Bouchardatine:



To a freshly distilled phosphoryl chloride (10.0 equiv) was added anhydrous dimethyl formamide (20 vol) followed by a solution of 2-(2-indolyl)-quinazolone in dimethyl formamide (25 vol) drop wise at 0-5 °C. The mixture was stirred at 0-5 °C for 22 to 24 h under a nitrogen atmosphere. After completion of the reaction (monitored by TLC) a saturated solution of $NaHCO_3$ was added drop wise to the reaction mixture followed by the addition of 10% NaOH solution. The reaction mass was stirred for 1-2 h at 0-5 °C and the precipitated solid was filtered and washed with water (20 vol). To this solid was added 1% sulphuric acid / ethanol solution (20 vol) and the mixture was refluxed for 20-30 min. The reaction mixture was cooled to RT. The reaction mass was filtered, and the solid was washed with DM water (5 vol), ethanol (2 vol) and dried at 65-70 °C for 5-6 h under vacuum to provide the desired product.

Yellow solid; mp: 294-296 °C; Yield: 84%; R_f = 0.37 (hexane/ethyl acetate, 5:5 v/v); 1H NMR (400 MHz, $DMSO-d_6$) δ : 7.35 (t, J = 7.4 Hz, 1H), 7.42 (t, J = 7.5 Hz, 1H), 7.61 (t, J = 6.8 Hz, 1H), 7.68 (d, J = 8.0 Hz, 1H), 7.85 (d, J = 8.0 Hz, 1H), 7.90-7.92(m, 1H), 8.21 (d, J = 7.2 Hz, 1H), 8.26 (d, J = 7.6 Hz, 1H), 10.48 (s, 1H), 13.10 (s, 1H), 13.62 (s, 1H); ^{13}C NMR (100 MHz, $DMSO-d_6$) δ : 113.2, 115.0, 120.1, 121.8, 123.7, 125.4 (2C), 126.1, 127.4, 127.5, 134.9, 135.7, 135.8, 145.2, 148.3, 161.2, 187.5; MS: m/z 290.10 (M+1); HRMS: m/z calcd. for $C_{17}H_{12}N_3O_2$ [M + H]⁺ 290.0930, found 290.0927.

Procedure for the preparation of 8-Norrutaecarpine:



To the solution of aldehyde (Bouchardatine) in methanol (20 vol) was added slowly NaBH₄ (2.0 equiv) at 0-5 °C and the mixture was stirred for 10-12 h at RT. After completion of the reaction, methanol was removed under reduced pressure and the residue quenched with 0.1N HCl (3vol), and the solution was stirred for 30 min. To this was added DM water (20 vol) and DCM (25 vol) and layers were separated. The aqueous layer was extracted with DCM (3x10 vol). The combined organic layers were washed with brine and then dried over anhydrous Na₂SO₄, filtered and concentrated under reduced pressure. The crude product was dissolved in 40% ethanolic H₂SO₄ solution (20 vol) and the solution was stirred at 110-115 °C for 2-3 h. Then the reaction mass was cooled to 0-5 °C and treated with saturated NaHCO₃ solution at 0-5 °C. The progress of the reaction was monitored by TLC. Upon completion of the reaction, the mixture was diluted with DM water (20 vol) and extracted with dichloromethane (3 x 25 vol). The organic layers were collected, combined, washed with brine (20 mL), dried over anhydrous Na₂SO₄, filtered and concentrated under reduced pressure. The crude product was purified by the column chromatography over silica gel (230-400 mesh), using (9:1) ethyl acetate–hexane to afford 8-Norrutaecarpine in 52% yield.

Pale yellow solid; mp: 307-309 °C; Yield: 44%; R_f = 0.41 (hexane/ethyl acetate, 5:5 v/v); ¹H NMR (400 MHz, DMSO-*d*₆) δ: 5.03 (s, 2H), 7.13 (*t*, *J* = 7.6 Hz, 1H), 7.27 (*t*, *J* = 7.6 Hz, 1H), 7.52 (*d*, *J* = 7.2 Hz, 2H), 7.76-7.81(*m*, 2H), 7.79-7.87(*m*, 1H), 8.16 (*d*, *J* = 7.2 Hz, 1H), 11.95 (s, 1H); ¹³C NMR (100 MHz, DMSO-*d*₆) δ: 57.0, 112.3, 114.0, 119.6, 120.1, 120.8, 124.2, 126.0, 126.5, 127.1, 127.6, 128.5, 134.8, 136.0, 146.8, 148.8, 161.2; MS: *m/z* 274.10(M+1); HRMS: *m/z* calcd. for C₁₇H₁₂N₃O [M + H]⁺ 274.0980, found 274.1004.

Table S-2. Comparison of spectra data of synthesized alkaloids **A-D** with the reported data.

Data generated	Reported data
<p>Luotonin B (A): mp: 272-274 °C; ¹H NMR (400 MHz, DMSO-<i>d</i>₆) δ: 6.97 (d, <i>J</i> = 8.2 Hz, 1H), 7.62-7.65 (m, 2H), 7.78 (t, <i>J</i> = 7.1 Hz, 1H), 7.92-7.96 (m, 3H), 8.22 (d, <i>J</i> = 7.9 Hz, 1H), 8.28 (dd, <i>J</i> = 8.3, 2.4 Hz, 2H), 8.8 (s, 1H); ¹³C NMR (100 MHz, DMSO-<i>d</i>₆) δ: 80.4, 122.2, 126.1, 127.5, 128.1, 128.3, 128.7, 128.9, 129.7, 131.0, 132.8, 133.9, 134.7, 148.7, 149.1, 150.3, 151.5, 159.4.</p>	<p>Luotonin B:¹ mp: 273–275 °C; ¹H NMR (400 MHz, DMSO-<i>d</i>₆) δ: 6.98 (d, <i>J</i> = 8.4 Hz, 1H), 7.62-7.66 (m, 2 H), 7.78 (t, <i>J</i> = 7.2 Hz, 1H), 7.92-7.96 (m, 3 H), 8.23 (d, <i>J</i> = 8.0 Hz, 1 H), 8.28 (dd, <i>J</i> = 3.6 Hz, 8.4 Hz, 2H), 8.8 (s, 1H); ¹³C NMR (100 MHz, DMSO-<i>d</i>₆) δ: 80.5, 122.2, 126.1, 127.5, 128.2, 128.3, 128.7, 128.8, 129.6, 131.0, 132.8, 133.8, 134.7, 148.7, 149.1, 150.3, 151.5, 159.4.</p>
<p>Luotonin E (B): mp: 221-223 °C; ¹H NMR (400 MHz, CDCl₃) δ: 3.61 (s, 3H), 6.95 (s, 1H), 7.57-7.70 (m, 1H), 7.72 (t, <i>J</i> = 7.1 Hz, 1H), 7.83-7.90 (m, 2H), 7.99 (d, <i>J</i> = 8.4 Hz, 1H), 8.09 (d, <i>J</i> = 8.0 Hz, 1H), 8.41-8.43 (m, 1H), 8.47 (d, <i>J</i> = 8.4 Hz, 1H), 8.52 (s, 1H); ¹³C NMR (100 MHz, DMSO-<i>d</i>₆) δ: 56.3, 87.0, 122.2, 126.3, 126.8, 127.8, 128.4, 128.6, 128.8, 130.0, 130.7, 131.3, 133.0, 134.8, 148.1, 148.9, 150.4, 151.3, 160.7.</p>	<p>Luotonin E:¹ mp: 222–224 °C; ¹H NMR (400 MHz, CDCl₃) δ: 3.60 (s, 3H), 6.90 (s, 1H), 7.58 (dt, <i>J</i> = 0.8, 7.6, 11.2 Hz, 1H), 7.71 (t, <i>J</i> = 6.8 Hz, 1H), 7.82–7.88 (m, 2H), 7.99 (d, <i>J</i> = 8.4 Hz, 1H), 8.09 (d, <i>J</i> = 8.0 Hz, 1H), 8.41 (dd, <i>J</i> = 1.2, 8.0 Hz, 1H), 8.47 (d, <i>J</i> = 8.4 Hz, 1H), 8.5 (s, 1 H); ¹³C NMR (400 MHz, CDCl₃) δ: 56.3, 87.0, 122.2, 126.8, 127.8, 128.4, 128.6, 128.8, 130.0, 130.7, 131.3, 133.0, 134.8, 148.9, 150.4, 151.3, 160.7.</p>
<p>Bouchardatine (C): mp: 294-296 °C; ¹H NMR (400 MHz, DMSO-<i>d</i>₆) δ: 7.35 (t, <i>J</i> = 7.4 Hz, 1H), 7.42 (t, <i>J</i> = 7.5 Hz, 1H), 7.61 (t, <i>J</i> = 6.8 Hz, 1H), 7.68 (d, <i>J</i> = 8.0 Hz, 1H), 7.85 (d, <i>J</i> = 8.0 Hz, 1H), 7.90-7.92 (m, 1H), 8.21 (d, <i>J</i> = 7.2 Hz, 1H), 8.26 (d, <i>J</i> = 7.6 Hz, 1H), 10.48 (s, 1H), 13.10 (s, 1H), 13.62 (s, 1H);</p>	<p>Bouchardatine:² mp: over 260 °C; ¹H NMR (600 MHz, DMSO-<i>d</i>₆) δ: 7.35 (ddd, <i>J</i> = 1.10, 7.10, 8.18 Hz, 1H), 7.43 (ddd, <i>J</i> = 1.08, 7.02, 8.18 Hz, 1H), 7.61 (ddd, <i>J</i> = 1.53, 7.11, 8.47 Hz, 1H), 7.69 (d, <i>J</i> = 8.19 Hz, 1H), 7.86 (d, <i>J</i> = 7.65 Hz, 1H), 7.92 (ddd, <i>J</i> = 1.53, 7.18, 8.39 Hz, 1H), 8.22 (dd, <i>J</i> = 1.24, 7.61 Hz, 1H), 8.27 (d, <i>J</i> = 8.14 Hz,</p>

<p>¹³C NMR (100 MHz, DMSO-<i>d</i>₆) δ: 113.2, 115.0, 120.1, 121.8, 123.7, 125.4 (2C), 126.1, 127.4, 127.5, 134.9, 135.7, 135.8, 145.2, 148.3, 161.2, 187.5.</p> <p>8-Norrutaecarpine (D): mp: 307-309 °C; ¹H NMR (400 MHz, DMSO-<i>d</i>₆) δ: 5.03 (s, 2H), 7.13 (<i>t</i>, <i>J</i> = 7.6 Hz, 1H), 7.27 (<i>t</i>, <i>J</i> = 7.6 Hz, 1H), 7.52 (<i>d</i>, <i>J</i> = 7.2 Hz, 2H), 7.76-7.81(m, 2H), 7.79-7.87(m, 1H), 8.16 (<i>d</i>, <i>J</i> = 7.2 Hz, 1H), 11.95 (s, 1H);</p> <p>¹³C NMR (100 MHz, DMSO-<i>d</i>₆) δ: 57.0, 112.3, 114.0, 119.6, 120.1, 120.8, 124.2, 126.0, 126.5, 127.1, 127.6, 128.5, 134.8, 136.0, 146.8, 148.8, 161.2.</p>	<p>1H), 10.43 (s, 1H), 13.12 (s, 1H), 13.63 (s, 1H);</p> <p>¹³C NMR (150 MHz, DMSO-<i>d</i>₆) δ: 113.3, 115.1, 120.2, 121.8, 123.3, 125.4, 125.5, 126.1, 127.4, 127.6, 134.9, 135.8, 135.8, 145.3, 148.3, 161.1, 187.5.</p> <p>8-Norrutaecarpine:² mp:305 °C; ¹H NMR (400MHz, DMSO-<i>d</i>₆) δ: 5.12 (s, 2H), 7.19 (ddd, <i>J</i> = 0.80, 7.13, 7.92 Hz, 1H), 7.34 (ddd, <i>J</i> = 1.07, 7.03, 8.17 Hz, 1H), 7.52 (td, <i>J</i> = 0.72, 8.26 Hz, 2H), 7.74 (<i>d</i>, <i>J</i> = 7.64 Hz, 1H), 7.80 (<i>d</i>, <i>J</i> = 7.99 Hz, 1H), 7.85 (ddd, <i>J</i> = 1.55, 7.15, 8.28 Hz, 1H), 8.24 (dd, <i>J</i> = 1.31, 7.80 Hz, 1H), 12.41 (s, 1H).</p> <p>¹³C NMR (150 MHz, DMSO-<i>d</i>₆) δ: 45.7, 113.3, 119.7, 120.4, 120.5, 121.5, 124.9, 125.0, 125.8, 126.0, 126.7, 133.7, 134.2, 142.4, 149.0, 149.1, 159.6.</p>
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References

1. M. B. Wagh, R. Shankar, U. K. S. Kumar, and C. H. Gill, *Synlett* 2011, 84.
2. M. Bubenyák, M. Pálfi, M. Takács, S. Béni, É. Szöko, B. Noszál, J. Kökösi, *Tetrahedron Lett.* 2008, **49**, 4937.