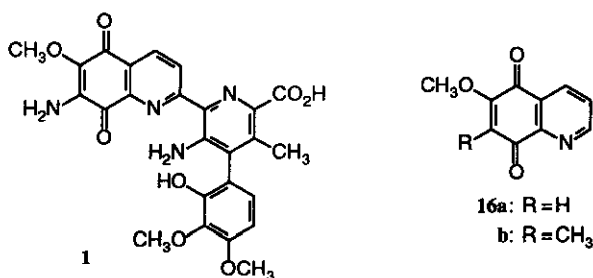


SYNTHESIS OF 6-METHOXY-5,8-QUINOLINEDIONES AND 8-METHOXY-5,6-QUINOLINEDIONES USING OXIDATIVE DEMETHYLATION WITH CERIUM (IV) AMMONIUM NITRATE

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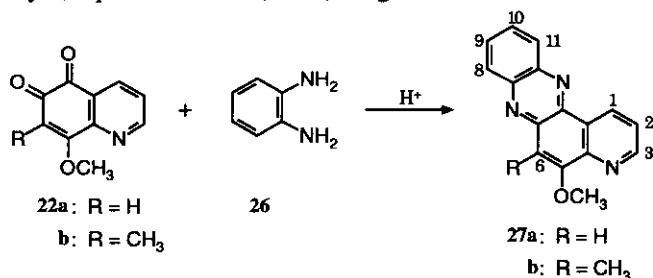
Abstract — 6-Methoxy-5,8-quinolinediones (**14-19**) and 8-methoxy-5,6-quinolinediones (**20-25**) were synthesized by oxidative demethylation of the corresponding 5,6,8-trimethoxyquinolines (**5**, **7-9**, **12**, **13**) with cerium (IV) ammonium nitrate.

Streptonigrin (**1**), a highly substituted 5,8-quinolinedione, was first reported as an antitumor antibiotic produced by *Streptomyces flocculus*.¹ It was later found to be one of the most potent inhibitors of avian myeloblastosis virus reverse transcriptase (AMV-RT), but its remarkable cytotoxic activity seemed to be disadvantageous with respect to a specific inhibitor of retrovirus.² The 7-amino-6-methoxy-5,8-quinolinedione moiety in **1** was proved to be the minimum entity to show the inhibition of AMV-RT. We observed that 6-methoxy-5,8-quinolinedione (**16a**) and 6-methoxy-7-methyl-5,8-quinolinedione (**16b**) were much less toxic than **1**, while the activity of **16a**, **b** against AMV-RT was comparable to that of **1**.^{2b} Furthermore, we examined the inhibitory activities against AMV-RT and cytotoxic activities against mouse lymphoblastoma L5178Y cells by a series of synthetic heterocyclic quinones, consisting of (6-methoxy-)5,8-quinolinediones, (8-methoxy-)5,6-quinolinediones, 5,8-isoquinolinediones, 5,6-isoquinolinediones, 7,8-isoquinolinediones, and 5,8-quinoxalinediones.³ We wish to report here the synthetic details of 6-methoxy-5,8-quinolinediones and 8-methoxy-5,6-quinolinediones.



2,4,5-Trimethoxyanilines (**4a-i**) prepared from the corresponding 1,2,4-trimethoxybenzenes (**2a-i**), were heated with crotonaldehyde in 6 N hydrochloric acid to give 5,6,8-trimethoxy-2-methylquinolines (**5a-i**) in 51-88%

Oxidative demethylation of 7-unsubstituted 5,6,8-trimethoxyquinolines (**5a**, **7a**, **8a**, **9a**) with cerium (IV) ammonium nitrate (CAN) in aqueous acetonitrile containing pyridine-2,6-dicarboxylic acid *N*-oxide⁴ afforded the corresponding *p*-quinones (**14a**, **15a**, **16a**, **17a**; 32-54% yields) and *o*-quinones (**20a**, **21a**, **22a**, **23a**; 22-60% yields). 7-Alkyl-5,6,8-trimethoxy-2-methylquinolines (**5b-h**), 7-alkyl-5,6,8-trimethoxyquinolines (**8b**, **c**), 7-alkyl-5,6,8-trimethoxy-4-methylquinolines (**9b-h**) and 2-chloro-5,6,8-trimethoxy(-4-methyl)quinolines (**12a**, **13b-d**, **g**) were oxidized with CAN to give the corresponding *p*-quinones (**14b-h**, **16b**, **c**, **17b-h**, **18a**, **19b-d**, **g**; major products, 31-84% yields) and *o*-quinones (**20b-h**, **22b**, **c**, **23b-h**, **24a**, **25b-d**, **g**; minor products, 6-30% yields). In contrast, oxidative demethylation of other 5,6,8-trimethoxyquinolines (**5i**, **7b-f**, **h**, **8d-i**, **12b-h**, **13a**, **e**, **f**, **h**) afforded the corresponding *p*-quinones (**14i**, **15b-f**, **h**, **16d-i**, **18b-h**, **19a**, **e**, **f**, **h**) in 34-91% yields; but no *o*-quinones. The *o*-quinone structures for **22a**, **b** were further characterized by way of the *o*-phenylenediamine condensation products, *i.e.* pyridophenazines (**27a**, **b**). The spectral data of 6-methoxy-5,8-quinolinediones (**14-19**) and 8-methoxy-5,6-quinolinediones (**20-25**) are given in Table I.



EXPERIMENTAL

All melting points were determined on a Yanagimoto micromelting point apparatus and are uncorrected. ¹H-Nmr spectra were measured at 270 (or 400) MHz in CDCl₃ (unless otherwise noted) with tetramethylsilane as an internal standard. All reactions were run with magnetic stirring. Anhydrous sodium sulfate was used for drying organic solvent extracts, and the solvent was removed with a rotary evaporator and finally under high vacuum. Column chromatography (flash chromatography) was performed with silica gel 60 (230-400 mesh). 1,2,4-Trimethoxybenzenes (**2a-c**, **e**, **g**, **h**), 1,2,4-trimethoxy-5-nitrobenzenes (**3a-c**), 2,4,5-trimethoxyanilines (**4a-c**), 5,6,8-trimethoxyquinolines (**8a-c**), and 5,6,8-trimethoxyquinoline *N*-oxides (**10a-c**) were prepared as described.⁵

3-Alkyl-1,2,4-trimethoxybenzenes (2d, f, i) *n*-Butyllithium (1.5 M hexane solution, 16 ml) was added dropwise to a solution of 1,2,4-trimethoxybenzene (**2a**) (3.36 g, 20 mmol) in dry THF (30 ml) at 0–5°C. The whole was kept at 0–5°C for 1 h, then 1-iodopropane (or 1-iodopentane, 1-iodododecane) (22 mmol) was added dropwise at 0–5°C. The mixture was allowed to warm to room temperature for 30 min, kept for 1.5 h, quenched with water (150 ml), and extracted with ether (3 x 80 ml). The extract was washed with brine, dried, and evaporated. The residue was chromatographed (eluting with ethyl acetate–hexane 1:9) to afford **2d, f, i** as an oil.

2d: Yield 77%. Ms *m/z* (%): 210 (M⁺, 100), 195 (28), 181 (65), 166 (49). High-resolution ms Calcd for C₁₂H₁₈O₃: 210.1256. Found: 210.1237. ¹H-Nmr (400 MHz) δ: 0.96 (3H, t, *J* = 7.3 Hz, CH₂CH₃), 1.53 (2H, sextet, *J* = 7.3 Hz, CH₂CH₃), 2.61 (2H, t, *J* = 7.3 Hz, CH₂CH₂CH₃), 3.77 (3H, s, OCH₃), 3.82 (6H, s, 2OCH₃), 6.54 (1H, d, *J* = 8.9 Hz, C₅-H), 6.70 (1H, d, *J* = 8.9 Hz, C₆-H).

TABLE I. 5,8-Quinolinediones and 5,6-Quinolinediones

Yield (%)	Appearance (Recrystn. solv.)	mp (°C)	Formula	Analysis or Hrms ^{a)} Calcd (Found) C H N			Ms m/z (%)	Ir (KBr) ν _{C=O} (cm ⁻¹)	¹ H-Nmr (400 MHz) ^{b)} δ (CDCl ₃ , J = Hz)
5,8-Quinolinediones									
14a	42 Yellow needles (CH ₂ Cl ₂ -hexane)	204-206 ^{c)}	C ₁₁ H ₉ NO ₃	65.02 (64.91)	4.46 4.40	6.89 6.69)	203 (M ⁺ , 100)	1680 1664	2.79 (3H, s, C ₂ -CH ₃), 3.93 (3H, s, OCH ₃), 6.33 (1H, s, C ₇ -H), 7.52 (1H, d, J = 7.9, C ₃ -H), 8.35 (1H, d, J = 7.9, C ₄ -H)
14b	45 Yellow needles (CH ₂ Cl ₂ -hexane)	146-148	C ₁₂ H ₁₁ NO ₃	66.35 (66.41)	5.10 5.00	6.45 6.44)	217 (M ⁺ , 100) 202 (98)	1666	2.15 (3H, s, C ₇ -CH ₃), 2.76 (3H, s, C ₂ -CH ₃), 4.15 (3H, s, OCH ₃), 7.48 (1H, d, J = 8.2, C ₃ -H), 8.26 (1H, d, J = 8.2, C ₄ -H)
14c	57 Yellow needles (hexane)	111-114	C ₁₃ H ₁₃ NO ₃	67.52 (67.29)	5.67 5.72	6.06 5.95)	231 (M ⁺ , 81) 216 (100) 188 (34)	1668	1.13 (3H, t, J = 7.6, CH ₂ CH ₃), 2.67 (2H, q, J = 7.6, CH ₂ CH ₃), 2.77 (3H, s, C ₂ -CH ₃), 4.15 (3H, s, OCH ₃), 7.49 (1H, d, J = 7.9, C ₃ -H), 8.27 (1H, d, J = 7.9, C ₄ -H)
14d	49 Yellow needles (hexane)	57-60	C ₁₄ H ₁₅ NO ₃	68.56 (68.37)	6.16 6.17	5.71 5.65)	245 (M ⁺ , 65) 230 (100)	1668	0.98 (3H, t, J = 7.6, CH ₂ CH ₃), 1.54 (2H, sextet, J = 7.6, CH ₂ CH ₃), 2.63 (2H, t, J = 7.6, CH ₂ CH ₂ CH ₃), 2.77 (3H, s, C ₂ -CH ₃), 4.14 (3H, s, OCH ₃), 7.48 (1H, d, J = 7.9, C ₃ -H), 8.26 (1H, d, J = 7.9, C ₄ -H)
14e	51 Yellow needles (ether-hexane)	40-41	C ₁₅ H ₁₇ NO ₃	69.48 (69.73)	6.61 6.59	5.40 5.39)	259 (M ⁺ , 100) 244 (79) 230 (61) 202 (88)	1666	0.93 (3H, t, J = 7.3, CH ₂ CH ₃), 1.39 (2H, sextet, J = 7.3, CH ₂ CH ₃), 1.44-1.54 (2H, m, CH ₂ CH ₂ CH ₃), 2.65 (2H, t, J = 7.6, CH ₂ (CH ₂) ₂ -CH ₃), 2.76 (3H, s, C ₂ -CH ₃), 4.14 (3H, s, OCH ₃), 7.47 (1H, d, J = 7.9, C ₃ -H), 8.25 (1H, d, J = 7.9, C ₄ -H)
14f	57 Yellow needles (hexane)	38-41	C ₁₆ H ₁₉ NO ₃	273.1365 (273.1354)			273 (M ⁺ , 100) 258 (58) 230 (51) 202 (73)	1670	0.89 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.6 (6H, m, CH ₂ (CH ₂) ₃ CH ₃), 2.64 (2H, t, J = 7.6, CH ₂ (CH ₂) ₃ CH ₃), 2.76 (3H, s, C ₂ -CH ₃), 4.14 (3H, s, OCH ₃), 7.48 (1H, d, J = 7.9, C ₃ -H), 8.25 (1H, d, J = 7.9, C ₄ -H)
14g	55 Yellow oil		C ₁₇ H ₂₁ NO ₃	287.1521 (287.1510)			287 (M ⁺ , 98) 272 (59) 230 (68) 202 (100)	1668	0.88 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.55 (8H, m, CH ₂ (CH ₂) ₄ CH ₃), 2.64 (2H, t, J = 7.6, CH ₂ (CH ₂) ₄ CH ₃), 2.76 (3H, s, C ₂ -CH ₃), 4.14 (3H, s, OCH ₃), 7.47 (1H, d, J = 7.9, C ₃ -H), 8.26 (1H, d, J = 7.9, C ₄ -H)
14h	34 Yellow needles (hexane)	46-49	C ₁₉ H ₂₅ NO ₃	72.35 (72.16)	7.99 8.00	4.44 4.32)	315 (M ⁺ , 100) 300 (40) 230 (55) 202 (70)	1666	0.87 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.55 (12H, m, CH ₂ (CH ₂) ₆ CH ₃), 2.63 (2H, t, J = 7.6, CH ₂ (CH ₂) ₆ CH ₃), 2.76 (3H, s, C ₂ -CH ₃), 4.14 (3H, s, OCH ₃), 7.47 (1H, d, J = 7.9, C ₃ -H), 8.25 (1H, d, J = 7.9, C ₄ -H)
14i	34 Yellow needles (hexane)	65-66	C ₂₃ H ₃₃ NO ₃ · 1/10 H ₂ O	74.00 (73.90)	8.96 8.88	3.75 3.70)	371 (M ⁺ , 100) 356 (22) 230 (31) 202 (28)	1666	0.88 (3H, t, J = 7.0, CH ₂ CH ₃), 1.15-1.6 (20H, m, CH ₂ (CH ₂) ₁₀ CH ₃), 2.63 (2H, t, J = 7.6, CH ₂ (CH ₂) ₁₀ CH ₃), 2.76 (3H, s, C ₂ -CH ₃), 4.14 (3H, s, OCH ₃), 7.47 (1H, d, J = 7.9, C ₃ -H), 8.26 (1H, d, J = 7.9, C ₄ -H)
15a	54 Yellow needles (CH ₂ Cl ₂ -hexane)	181-182	C ₁₃ H ₁₁ NO ₅ · 1/10 H ₂ O	59.36 (59.09)	4.29 4.06	5.33 5.24)	261 (M ⁺ , 2) 219 (100) 218 (43)	1734 1686 1666	2.22 (3H, s, COCH ₃), 3.95 (3H, s, OCH ₃), 5.44 (2H, s, CH ₂ O), 6.37 (1H, s, C ₇ -H), 7.71 (1H, d, J = 8.3, C ₃ -H), 8.48 (1H, d, J = 8.3, C ₄ -H)
15b	53 Yellow needles (ether-hexane)	119-122	C ₁₄ H ₁₃ NO ₅	61.09 (60.88)	4.76 4.78	5.09 4.98)	275 (M ⁺ , 70) 233 (100) 218 (69)	1738 1672	2.16 (3H, s, C ₇ -CH ₃), 2.21 (3H, s, COCH ₃), 4.17 (3H, s, OCH ₃), 5.42 (2H, s, CH ₂ O), 7.68 (1H, d, J = 8.2, C ₃ -H), 8.40 (1H, d, J = 8.2, C ₄ -H)

15c	47	Yellow needles (ether-hexane)	106-107	C ₁₅ H ₁₅ NO ₅	62.28 (62.19)	5.23 5.27	4.84 4.79	289 (M ⁺ , 60) 232 (61) 229 (100)	1744 1676 1664	1.13 (3H, t, <i>J</i> = 7.6, CH ₂ CH ₃), 2.21 (3H, s, COCH ₃), 2.68 (2H, q, <i>J</i> = 7.6, CH ₂ CH ₃), 4.17 (3H, s, OCH ₃), 5.42 (2H, s, CH ₂ O), 7.68 (1H, d, <i>J</i> = 7.9, C ₃ -H), 8.40 (1H, d, <i>J</i> = 7.9, C ₄ -H)
15d	62	Yellow needles (CH ₂ Cl ₂ -hexane)	67-68	C ₁₆ H ₁₇ NO ₅	63.36 (63.19)	5.65 5.75	4.62 4.47	303 (M ⁺ , 46) 246 (44) 243 (100) 228 (52)	1752 1672	0.98 (3H, t, <i>J</i> = 7.6, CH ₂ CH ₃), 1.55 (2H, sextet, <i>J</i> = 7.6, CH ₂ CH ₃), 2.21 (3H, s, COCH ₃), 2.64 (2H, t, <i>J</i> = 7.6, CH ₂ CH ₂ CH ₃), 4.16 (3H, s, OCH ₃), 5.42 (2H, s, CH ₂ O), 7.67 (1H, d, <i>J</i> = 7.9, C ₃ -H), 8.39 (1H, d, <i>J</i> = 7.9, C ₄ -H)
15e	52	Yellow needles (ether-hexane)	56-57	C ₁₇ H ₁₉ NO ₅	64.34 (64.04)	6.04 5.99	4.41 4.38	317 (M ⁺ , 70) 260 (44) 257 (100) 228 (40)	1746 1676	0.93 (3H, t, <i>J</i> = 7.3, CH ₂ CH ₃), 1.39 (2H, sextet, <i>J</i> = 7.3, CH ₂ CH ₃), 1.45-1.55 (2H, m, CH ₂ CH ₂ CH ₃), 2.21 (3H, s, COCH ₃), 2.66 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₂ CH ₃), 4.16 (3H, s, OCH ₃), 5.41 (2H, s, CH ₂ O), 7.67 (1H, d, <i>J</i> = 7.9, C ₃ -H), 8.39 (1H, d, <i>J</i> = 7.9, C ₄ -H)
15f	50	Yellow needles (hexane)	64-65	C ₁₈ H ₂₁ NO ₅	65.24 (65.12)	6.39 6.40	4.23 4.19	331 (M ⁺ , 100) 274 (34) 271 (74)	1744 1670	0.89 (3H, t, <i>J</i> = 7.0, CH ₂ CH ₃), 1.2-1.6 (6H, m, CH ₂ (CH ₂) ₃ CH ₃), 2.21 (3H, s, COCH ₃), 2.65 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₃ CH ₃), 4.15 (3H, s, OCH ₃), 5.42 (2H, s, CH ₂ O), 7.67 (1H, d, <i>J</i> = 7.9, C ₃ -H), 8.39 (1H, d, <i>J</i> = 7.9, C ₄ -H)
15h	41	Yellow needles (hexane)	84-85	C ₂₁ H ₂₇ NO ₅ · 1/10 H ₂ O	67.22 (67.13)	7.31 7.27	3.73 3.59	373 (M ⁺ , 100) 316 (29) 313 (55)	1732 1674	0.87 (3H, t, <i>J</i> = 7.0, CH ₂ CH ₃), 1.2-1.6 (12H, m, CH ₂ (CH ₂) ₆ CH ₃), 2.21 (3H, s, COCH ₃), 2.64 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₆ CH ₃), 4.15 (3H, s, OCH ₃), 5.42 (2H, s, CH ₂ O), 7.67 (1H, d, <i>J</i> = 7.9, C ₃ -H), 8.39 (1H, d, <i>J</i> = 7.9, C ₄ -H)
16a	32	Yellow needles (CH ₂ Cl ₂ -ether)	245-248 ^d (decomp.)	C ₁₀ H ₇ NO ₃	63.49 (63.22)	3.73 3.63	7.40 7.26	189 (M ⁺ , 100) 174 (19)	1685 1670	3.96 (3H, s, OCH ₃), 6.38 (1H, s, C ₇ -H), 7.68 (1H, dd, <i>J</i> = 7.9, 4.6, C ₃ -H), 8.48 (1H, dd, <i>J</i> = 7.9, 1.6, C ₄ -H), 9.06 (1H, dd, <i>J</i> = 4.6, 1.6, C ₂ -H)
16b	39	Yellow needles (ether-hexane)	173-174	C ₁₁ H ₉ NO ₃	65.02 (64.92)	4.46 4.26	6.89 6.76	203 (M ⁺ , 100) 188 (73) 160 (38)	1665	2.18 (3H, s, C ₇ -CH ₃), 4.17 (3H, s, OCH ₃), 7.64 (1H, dd, <i>J</i> = 7.9, 4.6, C ₃ -H), 8.40 (1H, dd, <i>J</i> = 7.9, 1.7, C ₄ -H), 9.01 (1H, dd, <i>J</i> = 4.6, 1.7, C ₂ -H)
16c	46	Yellow needles (ether-hexane)	98-100	C ₁₂ H ₁₁ NO ₃	66.35 (66.13)	5.10 5.12	6.45 6.29	217 (M ⁺ , 79) 202 (100) 174 (36)	1672	1.14 (3H, t, <i>J</i> = 7.6, CH ₂ CH ₃), 2.69 (2H, q, <i>J</i> = 7.6, CH ₂ CH ₃), 4.17 (3H, s, OCH ₃), 7.64 (1H, dd, <i>J</i> = 7.9, 4.6, C ₃ -H), 8.39 (1H, dd, <i>J</i> = 7.9, 1.7, C ₄ -H), 9.01 (1H, dd, <i>J</i> = 4.6, 1.7, C ₂ -H)
16d	38	Yellow needles (hexane)	73-76	C ₁₃ H ₁₃ NO ₃	67.52 (67.28)	5.67 5.67	6.06 5.96	231 (M ⁺ , 49) 216 (100) 188 (29)	1670 1660	0.99 (3H, t, <i>J</i> = 7.6, CH ₂ CH ₃), 1.56 (2H, sextet, <i>J</i> = 7.6, CH ₂ CH ₃), 2.65 (2H, t, <i>J</i> = 7.6, CH ₂ CH ₂ CH ₃), 4.16 (3H, s, OCH ₃), 7.63 (1H, dd, <i>J</i> = 7.9, 4.6, C ₃ -H), 8.39 (1H, dd, <i>J</i> = 7.9, 1.8, C ₄ -H), 9.00 (1H, dd, <i>J</i> = 4.6, 1.8, C ₂ -H)
16e	47	Yellow needles (ether-hexane)	63-64	C ₁₄ H ₁₅ NO ₃	68.56 (68.33)	6.16 6.16	5.71 5.63	245 (M ⁺ , 69) 230 (67) 216 (77) 188 (100)	1668	0.94 (3H, t, <i>J</i> = 7.3, CH ₂ CH ₃), 1.40 (2H, sextet, <i>J</i> = 7.3, CH ₂ CH ₃), 1.45-1.55 (2H, m, CH ₂ CH ₂ CH ₃), 2.67 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₂ -CH ₃), 4.16 (3H, s, OCH ₃), 7.63 (1H, dd, <i>J</i> = 7.9, 4.6, C ₃ -H), 8.39 (1H, dd, <i>J</i> = 7.9, 1.8, C ₄ -H), 9.00 (1H, dd, <i>J</i> = 4.6, 1.8, C ₂ -H)
16f	53	Yellow plates (hexane)	77-78	C ₁₅ H ₁₇ NO ₃	69.48 (69.34)	6.61 6.73	5.40 5.32	259 (M ⁺ , 100) 244 (61) 216 (65) 188 (98)	1668	0.90 (3H, t, <i>J</i> = 7.0, CH ₂ CH ₃), 1.3-1.6 (6H, m, CH ₂ (CH ₂) ₃ CH ₃), 2.66 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₃ CH ₃), 4.15 (3H, s, OCH ₃), 7.64 (1H, dd, <i>J</i> = 7.9, 4.6, C ₃ -H), 8.39 (1H, dd, <i>J</i> = 7.9, 1.8, C ₄ -H), 9.00 (1H, dd, <i>J</i> = 4.6, 1.8, C ₂ -H)

TABLE I. (Continued)

	Yield (%)	Appearance (Recrystn. solv.)	mp (°C)	Formula	Analysis or Hrms ^{a)}			Ms m/z (%)	Ir (KBr) $\nu_{C=O}$ (cm ⁻¹)	¹ H-Nmr (400 MHz) ^{b)} δ (CDCl ₃ , J = Hz)
					Calcd	Found	N			
					C	H				
16g	55	Yellow needles (hexane)	47-50	C ₁₆ H ₁₉ NO ₃	70.31 (70.13)	7.01 (7.01)	5.12 (5.01)	273 (M ⁺ , 89) 258 (46) 216 (76) 188 (100)	1672	0.89 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.6 (8H, m, CH ₂ (CH ₂) ₄ CH ₃), 2.66 (2H, t, J = 7.6, CH ₂ (CH ₂) ₄ CH ₃), 4.15 (3H, s, OCH ₃), 7.63 (1H, dd, J = 7.9, 4.6, C ₃ -H), 8.38 (1H, dd, J = 7.9, 1.8, C ₄ -H), 9.00 (1H, dd, J = 4.6, 1.8, C ₂ -H)
16h	51	Yellow needles (hexane)	52-54	C ₁₈ H ₂₃ NO ₃	71.73 (71.61)	7.69 (7.72)	4.65 (4.55)	301 (M ⁺ , 100) 286 (33) 216 (66) 188 (68)	1672	0.88 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.55 (12H, m, CH ₂ (CH ₂) ₆ CH ₃), 2.65 (2H, t, J = 7.6, CH ₂ (CH ₂) ₆ CH ₃), 4.15 (3H, s, OCH ₃), 7.63 (1H, dd, J = 7.9, 4.6, C ₃ -H), 8.38 (1H, dd, J = 7.9, 1.8, C ₄ -H), 9.00 (1H, dd, J = 4.6, 1.8, C ₂ -H)
16i	36	Yellow needles (hexane)	69-71	C ₂₂ H ₃₁ NO ₃ · 1/10 H ₂ O	73.54 (73.52)	8.75 (8.65)	3.90 (3.82)	357 (M ⁺ , 100) 342 (35) 216 (59) 188 (68)	1664	0.88 (3H, t, J = 7.0, CH ₂ CH ₃), 1.15-1.6 (20H, m, CH ₂ (CH ₂) ₁₀ CH ₃), 2.66 (2H, t, J = 7.6, CH ₂ (CH ₂) ₁₀ CH ₃), 4.15 (3H, s, OCH ₃), 7.63 (1H, dd, J = 7.9, 4.6, C ₃ -H), 8.39 (1H, dd, J = 7.9, 1.8, C ₄ -H), 9.00 (1H, dd, J = 4.6, 1.8, C ₂ -H)
17a	41	Yellow needles (CH ₂ Cl ₂ -hexane)	198-200	C ₁₁ H ₉ NO ₃	65.02 (64.95)	4.46 (4.23)	6.89 (6.69)	203 (M ⁺ , 100) 188 (37)	1677	2.82 (3H, s, C ₄ -CH ₃), 3.93 (3H, s, OCH ₃), 6.32 (1H, s, C ₇ -H), 7.43 (1H, d, J = 4.9, C ₃ -H), 8.83 (1H, d, J = 4.9, C ₂ -H)
17b	31	Yellow needles (CH ₂ Cl ₂ -hexane)	176-179	C ₁₂ H ₁₁ NO ₃	66.35 (66.09)	5.10 (5.12)	6.45 (6.28)	217 (M ⁺ , 100) 202 (81), 174 (44)	1666	2.14 (3H, s, C ₇ -CH ₃), 2.80 (3H, s, C ₄ -CH ₃), 4.11 (3H, s, OCH ₃), 7.40 (1H, d, J = 5.2, C ₃ -H), 8.79 (1H, d, J = 5.2, C ₂ -H)
17c	53	Yellow needles (CH ₂ Cl ₂ -hexane)	107-108	C ₁₃ H ₁₃ NO ₃	67.52 (67.27)	5.67 (5.63)	6.06 (5.97)	231 (M ⁺ , 74) 216 (100) 188 (39)	1668	1.13 (3H, t, J = 7.6, CH ₂ CH ₃), 2.65 (2H, q, J = 7.6, CH ₂ CH ₃), 2.80 (3H, s, C ₄ -CH ₃), 4.12 (3H, s, OCH ₃), 7.41 (1H, d, J = 5.0, C ₃ -H), 8.80 (1H, d, J = 5.0, C ₂ -H)
17d	56	Yellow needles (CH ₂ Cl ₂ -hexane)	115-117	C ₁₄ H ₁₅ NO ₃	68.56 (68.30)	6.16 (6.19)	5.71 (5.56)	245 (M ⁺ , 52) 230 (100) 202 (25)	1668	0.98 (3H, t, J = 7.6, CH ₂ CH ₃), 1.55 (2H, sextet, J = 7.6, CH ₂ CH ₃), 2.61 (2H, t, J = 7.6, CH ₂ CH ₂ CH ₃), 2.79 (3H, s, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.39 (1H, d, J = 4.9, C ₃ -H), 8.79 (1H, d, J = 4.9, C ₂ -H)
17e	52	Yellow needles (ether-hexane)	100-101	C ₁₅ H ₁₇ NO ₃	69.48 (69.41)	6.61 (6.57)	5.40 (5.38)	259 (M ⁺ , 90) 244 (91) 230 (52) 202 (100)	1666	0.94 (3H, t, J = 7.3, CH ₂ CH ₃), 1.39 (2H, sextet, J = 7.3, CH ₂ CH ₃), 1.45-1.55 (2H, m, CH ₂ CH ₂ CH ₃), 2.63 (2H, t, J = 7.6, CH ₂ (CH ₂) ₂ -CH ₃), 2.80 (3H, s, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.40 (1H, d, J = 4.9, C ₃ -H), 8.79 (1H, d, J = 4.9, C ₂ -H)
17f	43	Yellow needles (hexane)	82-83	C ₁₆ H ₁₉ NO ₃	70.31 (70.09)	7.01 (7.01)	5.12 (4.97)	273 (M ⁺ , 100) 258 (76) 230 (42) 202 (87)	1670	0.89 (3H, t, J = 7.0, CH ₂ CH ₃), 1.3-1.6 (6H, m, CH ₂ (CH ₂) ₃ CH ₃), 2.62 (2H, t, J = 7.6, CH ₂ (CH ₂) ₃ CH ₃), 2.80 (3H, s, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.40 (1H, d, J = 4.9, C ₃ -H), 8.79 (1H, d, J = 4.9, C ₂ -H)
17g	37	Yellow needles (hexane)	66-69	C ₁₇ H ₂₁ NO ₃	71.06 (71.20)	7.37 (7.42)	4.87 (4.82)	287 (M ⁺ , 100) 272 (58) 230 (38) 202 (79)	1670	0.88 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.55 (8H, m, CH ₂ (CH ₂) ₄ CH ₃), 2.62 (2H, t, J = 7.6, CH ₂ (CH ₂) ₄ CH ₃), 2.80 (3H, s, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.40 (1H, d, J = 4.9, C ₃ -H), 8.79 (1H, d, J = 4.9, C ₂ -H)
17h	53	Yellow needles (hexane)	63-64	C ₁₉ H ₂₅ NO ₃	72.35 (72.11)	7.99 (8.18)	4.44 (4.37)	315 (M ⁺ , 100) 300 (59) 230 (48)	1666	0.87 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.55 (12H, m, CH ₂ (CH ₂) ₆ CH ₃), 2.62 (2H, t, J = 7.6, CH ₂ (CH ₂) ₆ CH ₃), 2.79 (3H, s, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.39 (1H, d, J = 4.9, C ₃ -H), 8.79 (1H, d, J = 4.9, C ₂ -H)

								202 (88)		$J = 4.9, C_2-H$
18a	55	Pale yellow needles (CH ₂ Cl ₂ -hexane)	230-231	C ₁₀ H ₆ NO ₃ Cl	53.71 (53.82)	2.70 2.51	6.26 6.28	225 (M ⁺ +2, 35) 223 (M ⁺ , 100) 195 (45), 193 (38)	1688 1668	3.95 (3H, s, OCH ₃), 6.37 (1H, s, C ₇ -H), 7.68 (1H, d, $J = 8.2$, C ₃ -H), 8.41 (1H, d, $J = 8.2$, C ₄ -H)
18b	91	Yellow needles (ether-hexane)	152-154	C ₁₁ H ₈ NO ₃ Cl	55.60 (55.52)	3.39 3.42	5.89 5.87	239 (M ⁺ +2, 39) 237 (M ⁺ , 100) 224 (32), 222 (76)	1668	2.16 (3H, s, C ₇ -CH ₃), 4.17 (3H, s, OCH ₃), 7.64 (1H, d, $J = 8.3$, C ₃ -H), 8.33 (1H, d, $J = 8.3$, C ₄ -H)
18c	88	Yellow needles (CH ₂ Cl ₂ -hexane)	106-107	C ₁₂ H ₁₀ NO ₃ Cl	57.27 (57.24)	4.01 4.00	5.57 5.55	253 (M ⁺ +2, 35) 251 (M ⁺ , 82) 238 (40), 236 (100)	1672	1.12 (3H, t, $J = 7.6$, CH ₂ CH ₃), 2.67 (2H, q, $J = 7.6$, CH ₂ CH ₃), 4.17 (3H, s, OCH ₃), 7.64 (1H, d, $J = 8.3$, C ₃ -H), 8.33 (1H, d, $J = 8.3$, C ₄ -H)
18d	79	Yellow needles (hexane)	69-71	C ₁₃ H ₁₂ NO ₃ Cl	58.77 (58.62)	4.55 4.62	5.27 5.21	267 (M ⁺ +2, 21) 265 (M ⁺ , 43) 252 (35), 250 (100)	1670	0.98 (3H, t, $J = 7.3$, CH ₂ CH ₃), 1.54 (2H, sextet, $J = 7.3$, CH ₂ CH ₃), 2.63 (2H, t, $J = 7.3$, CH ₂ CH ₂ CH ₃), 4.16 (3H, s, OCH ₃), 7.64 (1H, d, $J = 8.2$, C ₃ -H), 8.32 (1H, d, $J = 8.2$, C ₄ -H)
18e	59	Yellow needles (ether-hexane)	65-66	C ₁₄ H ₁₄ NO ₃ Cl	60.11 (60.00)	5.04 4.94	5.01 4.97	281 (M ⁺ +2, 31) 279 (M ⁺ , 80) 252 (36), 250 (90) 224 (45), 222 (100)	1668	0.93 (3H, t, $J = 7.3$, CH ₂ CH ₃), 1.38 (2H, sextet, $J = 7.3$, CH ₂ CH ₃), 1.45-1.55 (2H, m, CH ₂ CH ₂ CH ₃), 2.65 (2H, t, $J = 7.6$, CH ₂ -CH ₂ CH ₃), 4.16 (3H, s, OCH ₃), 7.64 (1H, d, $J = 8.2$, C ₃ -H), 8.32 (1H, d, $J = 8.2$, C ₄ -H)
18f	63	Yellow needles (hexane)	57-59	C ₁₅ H ₁₆ NO ₃ Cl	61.33 (61.43)	5.49 5.60	4.77 4.65	295 (M ⁺ +2, 40) 293 (M ⁺ , 100) 252 (26), 250 (67) 224 (41), 222 (82)	1670	0.89 (3H, t, $J = 7.0$, CH ₂ CH ₃), 1.2-1.6 (6H, m, CH ₂ (CH ₂) ₃ CH ₃), 2.64 (2H, t, $J = 7.6$, CH ₂ (CH ₂) ₃ CH ₃), 4.16 (3H, s, OCH ₃), 7.64 (1H, d, $J = 8.2$, C ₃ -H), 8.32 (1H, d, $J = 8.2$, C ₄ -H)
18g	57	Yellow needles (hexane)	70-73	C ₁₆ H ₁₈ NO ₃ Cl	62.44 (62.51)	5.90 6.00	4.55 4.46	309 (M ⁺ +2, 42) 307 (M ⁺ , 100) 252 (33), 250 (74) 224 (53), 222 (91)	1670	0.88 (3H, t, $J = 6.9$, CH ₂ CH ₃), 1.2-1.6 (8H, m, CH ₂ (CH ₂) ₄ CH ₃), 2.64 (2H, t, $J = 7.6$, CH ₂ (CH ₂) ₄ CH ₃), 4.16 (3H, s, OCH ₃), 7.64 (1H, d, $J = 8.3$, C ₃ -H), 8.32 (1H, d, $J = 8.3$, C ₄ -H)
18h	59	Yellow needles (CH ₂ Cl ₂ -hexane)	71-73	C ₁₈ H ₂₂ NO ₃ Cl	64.38 (64.38)	6.60 6.72	4.17 4.09	337 (M ⁺ +2, 46) 335 (M ⁺ , 100) 252 (29), 250 (61) 224 (42), 222 (63)	1672	0.88 (3H, t, $J = 7.0$, CH ₂ CH ₃), 1.2-1.6 (12H, m, CH ₂ (CH ₂) ₆ CH ₃), 2.63 (2H, t, $J = 7.6$, CH ₂ (CH ₂) ₆ CH ₃), 4.16 (3H, s, OCH ₃), 7.64 (1H, d, $J = 8.2$, C ₃ -H), 8.32 (1H, d, $J = 8.2$, C ₄ -H)
19a	77	Yellow needles (CH ₂ Cl ₂ -hexane)	260-262	C ₁₁ H ₈ NO ₃ Cl	55.60 (55.46)	3.39 3.18	5.89 5.86	239 (M ⁺ +2, 40) 237 (M ⁺ , 100) 224 (17), 222 (41)	1686 1658	2.80 (3H, s, C ₄ -CH ₃), 3.93 (3H, s, OCH ₃), 6.31 (1H, s, C ₇ -H), 7.47 (1H, s, C ₃ -H)
19b	84	Yellow needles (ether-hexane)	175-179	C ₁₂ H ₁₀ NO ₃ Cl	57.27 (57.08)	4.01 4.01	5.57 5.52	253 (M ⁺ +2, 40) 251 (M ⁺ , 100) 238 (27), 236 (66)	1666	2.12 (3H, s, C ₇ -CH ₃), 2.77 (3H, d, $J = 0.7$, C ₄ -CH ₃), 4.11 (3H, s, OCH ₃), 7.43 (1H, q, $J = 0.7$, C ₃ -H)
19c	69	Yellow needles (hexane)	125-127	C ₁₃ H ₁₂ NO ₃ Cl	58.77 (58.59)	4.55 4.53	5.27 5.19	267 (M ⁺ +2, 26) 265 (M ⁺ , 71) 252 (35), 250 (100)	1668	1.11 (3H, t, $J = 7.6$, CH ₂ CH ₃), 2.63 (2H, q, $J = 7.6$, CH ₂ CH ₃), 2.77 (3H, d, $J = 0.7$, C ₄ -CH ₃), 4.11 (3H, s, OCH ₃), 7.43 (1H, q, $J = 0.7$, C ₃ -H)
19d	65	Yellow needles (CH ₂ Cl ₂ -hexane)	135-136	C ₁₄ H ₁₄ NO ₃ Cl	60.11 (59.97)	5.04 5.05	5.01 4.94	281 (M ⁺ +2, 23) 279 (M ⁺ , 64) 266 (35), 264 (100)	1666	0.97 (3H, t, $J = 7.6$, CH ₂ CH ₃), 1.53 (2H, sextet, $J = 7.6$, CH ₂ CH ₃), 2.59 (2H, t, $J = 7.6$, CH ₂ CH ₂ CH ₃), 2.77 (3H, s, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.43 (1H, s, C ₃ -H)

TABLE I. (Continued)

Yield (%)	Appearance (Recrystn. solv.)	mp (°C)	Formula	Analysis or Hrms ^a Calcd (Found) C H N	Ms m/z (%)	Ir (KBr) ν _{C=O} (cm ⁻¹)	¹ H-Nmr (400 MHz) ^b δ (CDCl ₃ , J = Hz)
19e 61	Yellow needles (ether-hexane)	104-105	C ₁₅ H ₁₆ NO ₃ Cl	61.33 5.49 4.77 (61.08 5.43 4.72)	295 (M ⁺ +2, 33) 293 (M ⁺ , 86) 280 (24), 278 (69) 266 (20), 264 (61) 238 (42), 236 (100)	1666	0.92 (3H, t, J = 7.3, CH ₂ CH ₃), 1.37 (2H, sextet, J = 7.3, CH ₂ CH ₃), 1.45-1.55 (2H, m, CH ₂ CH ₂ CH ₃), 2.61 (2H, t, J = 7.6, CH ₂ (CH ₂) ₂ -CH ₃), 2.77 (3H, d, J = 0.6, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.43 (1H, q, J = 0.6, C ₃ -H)
19f 61	Yellow needles (hexane)	85-86	C ₁₆ H ₁₈ NO ₃ Cl	62.44 5.90 4.55 (62.15 5.88 4.48)	309 (M ⁺ +2, 40) 307 (M ⁺ , 100) 294 (21), 292 (59) 266 (19), 264 (49) 238 (42), 236 (89)	1672	0.89 (3H, t, J = 7.0, CH ₂ CH ₃), 1.25-1.55 (6H, m, CH ₂ (CH ₂) ₃ CH ₃), 2.60 (2H, t, J = 7.6, CH ₂ (CH ₂) ₃ CH ₃), 2.77 (3H, d, J = 0.6, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.43 (1H, q, J = 0.6, C ₃ -H)
19g 62	Yellow needles (hexane)	84-85	C ₁₇ H ₂₀ NO ₃ Cl	63.45 6.26 4.35 (63.17 6.16 4.38)	323 (M ⁺ +2, 37) 321 (M ⁺ , 100) 308 (15), 306 (45) 266 (20), 264 (46) 238 (40), 236 (74)	1668	0.88 (3H, t, J = 6.9, CH ₂ CH ₃), 1.2-1.5 (8H, m, CH ₂ (CH ₂) ₄ CH ₃), 2.60 (2H, t, J = 7.6, CH ₂ (CH ₂) ₄ CH ₃), 2.77 (3H, d, J = 0.7, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.42 (1H, q, J = 0.7, C ₃ -H)
19h 57	Yellow needles (hexane)	58-59	C ₁₉ H ₂₄ NO ₃ Cl	65.23 6.91 4.00 (65.11 6.88 3.94)	351 (M ⁺ +2, 50) 349 (M ⁺ , 100) 336 (14), 334 (38) 266 (28), 264 (54) 238 (48), 236 (71)	1670	0.87 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.6 (12H, m, CH ₂ (CH ₂) ₆ CH ₃), 2.60 (2H, t, J = 7.3, CH ₂ (CH ₂) ₆ CH ₃), 2.77 (3H, s, C ₄ -CH ₃), 4.10 (3H, s, OCH ₃), 7.43 (1H, s, C ₃ -H)
5,6-Quinolinediones							
20a 41	Yellow needles (CH ₂ Cl ₂ -hexane)	221-224	C ₁₁ H ₉ NO ₃	65.02 4.46 6.89 (64.93 4.27 6.89)	203 (M ⁺ , 19) 175 (M ⁺ -CO, 65) 174 (68), 146 (100)	1700 1648	2.75 (3H, s, C ₂ -CH ₃), 4.09 (3H, s, OCH ₃), 6.15 (1H, s, C ₇ -H), 7.41 (1H, d, J = 7.9, C ₃ -H), 8.29 (1H, d, J = 7.9, C ₄ -H)
20b 20	Orange needles (CH ₂ Cl ₂ -hexane)	134-137	C ₁₂ H ₁₁ NO ₃	66.35 5.10 6.45 (66.34 5.14 6.41)	217 (M ⁺ , 5) 189 (M ⁺ -CO, 72) 188 (59), 160 (100)	1702 1654	2.07 (3H, s, C ₇ -CH ₃), 2.69 (3H, s, C ₂ -CH ₃), 4.23 (3H, s, OCH ₃), 7.26 (1H, d, J = 7.9, C ₃ -H), 8.18 (1H, d, J = 7.9, C ₄ -H)
20c 17	Orange needles (hexane)	66-68	C ₁₃ H ₁₃ NO ₃	231.0895 (231.0923)	231 (M ⁺ , 19) 203 (M ⁺ -CO, 54) 188 (100), 174 (36)	1696 1648	1.11 (3H, t, J = 7.6, CH ₂ CH ₃), 2.58 (2H, q, J = 7.6, CH ₂ CH ₃), 2.69 (3H, s, C ₂ -CH ₃), 4.25 (3H, s, OCH ₃), 7.26 (1H, d, J = 7.9, C ₃ -H), 8.18 (1H, d, J = 7.9, C ₄ -H)
20d 27	Orange needles (hexane)	44-47	C ₁₄ H ₁₅ NO ₃	68.56 6.16 5.71 (68.44 6.34 5.59)	245 (M ⁺ , 21) 217 (M ⁺ -CO, 44) 202 (66), 188 (100)	1700 1656	0.97 (3H, t, J = 7.6, CH ₂ CH ₃), 1.53 (2H, sextet, J = 7.6, CH ₂ CH ₃), 2.53 (2H, t, J = 7.6, CH ₂ CH ₂ CH ₃), 2.69 (3H, s, C ₂ -CH ₃), 4.24 (3H, s, OCH ₃), 7.26 (1H, d, J = 7.9, C ₃ -H), 8.18 (1H, d, J = 7.9, C ₄ -H)
20e 29	Orange needles (hexane)	45-47	C ₁₅ H ₁₇ NO ₃	259.1208 (259.1207)	259 (M ⁺ , 24) 231 (M ⁺ -CO, 39) 216 (59), 202 (43) 188 (100), 174 (50)	1698 1652	0.93 (3H, t, J = 6.9, CH ₂ CH ₃), 1.3-1.55 (4H, m, CH ₂ (CH ₂) ₂ CH ₃), 2.55 (2H, t, J = 7.9, CH ₂ (CH ₂) ₂ CH ₃), 2.69 (3H, s, C ₂ -CH ₃), 4.24 (3H, s, OCH ₃), 7.26 (1H, d, J = 7.9, C ₃ -H), 8.18 (1H, d, J = 7.9, C ₄ -H)
20f 24	Orange needles (hexane)	54-56	C ₁₆ H ₁₉ NO ₃	70.31 7.01 5.12 (70.15 7.01 5.35)	273 (M ⁺ , 23) 245 (M ⁺ -CO, 30)	1698 1656	0.89 (3H, t, J = 6.9, CH ₂ CH ₃), 1.25-1.55 (6H, m, CH ₂ (CH ₂) ₃ CH ₃), 2.54 (2H, t, J = 7.6, CH ₂ (CH ₂) ₃ CH ₃), 2.69 (3H, s, C ₂ -CH ₃),

								230 (59), 202 (31) 188 (100), 174 (58)		4.23 (3H, s, OCH ₃), 7.26 (1H, d, <i>J</i> = 7.9, C ₃ -H), 8.18 (1H, d, <i>J</i> = 7.9, C ₄ -H)
20g	15	Orange needles (hexane)	58-61	C ₁₇ H ₂₁ NO ₃	71.06 (70.84)	7.37 7.45	4.87 4.75	287 (M ⁺ , 17) 259 (M ⁺ -CO, 27) 244 (60), 189 (92) 188 (100), 174 (72)	1700 1656	0.88 (3H, t, <i>J</i> = 6.9, CH ₂ CH ₃), 1.2-1.55 (8H, m, CH ₂ (CH ₂) ₄ CH ₃), 2.54 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₄ CH ₃), 2.68 (3H, s, C ₂ -CH ₃), 4.23 (3H, s, OCH ₃), 7.26 (1H, d, <i>J</i> = 7.9, C ₃ -H), 8.17 (1H, d, <i>J</i> = 7.9, C ₄ -H)
20h	11	Red oil		C ₁₉ H ₂₅ NO ₃	315.1834 (315.1809)			315 (M ⁺ , 11) 287 (M ⁺ -CO, 22) 272 (46), 189 (100) 188 (83)	1700 1656	0.87 (3H, t, <i>J</i> = 7.0, CH ₂ CH ₃), 1.2-1.55 (12H, m, CH ₂ (CH ₂) ₆ CH ₃), 2.54 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₆ CH ₃), 2.68 (3H, s, C ₂ -CH ₃), 4.23 (3H, s, OCH ₃), 7.25 (1H, d, <i>J</i> = 7.9, C ₃ -H), 8.17 (1H, d, <i>J</i> = 7.9, C ₄ -H)
21a	22	Yellow needles (CH ₂ Cl ₂ -hexane)	182-183	C ₁₃ H ₁₁ NO ₅	261.0637 (261.0623)			261 (M ⁺ , 12) 233 (M ⁺ -CO, 56) 204 (42), 190 (100)	1750 1700 1644	2.22 (3H, s, COCH ₃), 4.10 (3H, s, OCH ₃), 5.38 (2H, s, CH ₂ O), 6.18 (1H, s, C ₇ -H), 7.60 (1H, d, <i>J</i> = 8.3, C ₃ -H), 8.41 (1H, d, <i>J</i> = 8.3, C ₄ -H)
22a	60	Yellow needles (CH ₂ Cl ₂ -ether)	218-220 (decomp.)	C ₁₀ H ₇ NO ₃	63.49 (63.53)	3.73 3.62	7.40 7.32	189 (M ⁺ , 9) 161 (M ⁺ -CO, 66) 160 (54), 132 (100)	1700 1642	4.11 (3H, s, OCH ₃), 6.19 (1H, s, C ₇ -H), 7.58 (1H, dd, <i>J</i> = 7.9, 5.0, C ₃ -H), 8.41 (1H, dd, <i>J</i> = 7.9, 1.7, C ₄ -H), 8.95 (1H, dd, <i>J</i> = 5.0, 1.7, C ₂ -H)
22b	22	Orange needles (ether-hexane)	165-166	C ₁₁ H ₉ NO ₃	65.02 (64.96)	4.46 4.24	6.89 6.78	203 (M ⁺ , 9) 175 (M ⁺ -CO, 68) 160 (57), 146 (100)	1697 1647	2.10 (3H, s, C ₇ -CH ₃), 4.22 (3H, s, OCH ₃), 7.44 (1H, dd, <i>J</i> = 7.9, 5.0, C ₃ -H), 8.31 (1H, dd, <i>J</i> = 7.9, 1.7, C ₄ -H), 8.87 (1H, dd, <i>J</i> = 5.0, 1.7, C ₂ -H)
22c	13	Orange needles (CH ₂ Cl ₂ -hexane)	98-100	C ₁₂ H ₁₁ NO ₃	217.0739 (217.0761)			217 (M ⁺ , 12) 189 (M ⁺ -CO, 42) 174 (100)	1698 1642	1.12 (3H, t, <i>J</i> = 7.6, CH ₂ CH ₃), 2.60 (2H, q, <i>J</i> = 7.6, CH ₂ CH ₃), 4.24 (3H, s, OCH ₃), 7.43 (1H, dd, <i>J</i> = 7.9, 5.0, C ₃ -H), 8.30 (1H, dd, <i>J</i> = 7.9, 1.7, C ₄ -H), 8.86 (1H, dd, <i>J</i> = 5.0, 1.7, C ₂ -H)
23a	35	Yellow needles (CH ₂ Cl ₂ -hexane)	193-195	C ₁₁ H ₉ NO ₃	65.02 (64.80)	4.46 4.37	6.89 6.79	203 (M ⁺ , 15) 175 (M ⁺ -CO, 48) 174 (62), 146 (100)	1695 1655	2.78 (3H, s, C ₄ -CH ₃), 4.09 (3H, s, OCH ₃), 6.16 (1H, s, C ₇ -H), 7.34 (1H, d, <i>J</i> = 5.2, C ₃ -H), 8.73 (1H, d, <i>J</i> = 5.2, C ₂ -H)
23b	30	Orange needles (CH ₂ Cl ₂ -hexane)	162-165	C ₁₂ H ₁₁ NO ₃ · 1/10 H ₂ O	65.81 (65.79)	5.15 5.34	6.40 6.01	217 (M ⁺ , 5) 189 (M ⁺ -CO, 68) 174 (52), 160 (100)	1694 1650	2.09 (3H, s, C ₇ -CH ₃), 2.72 (3H, s, C ₄ -CH ₃), 4.16 (3H, s, OCH ₃), 7.21 (1H, d, <i>J</i> = 5.2, C ₃ -H), 8.66 (1H, d, <i>J</i> = 5.2, C ₂ -H)
23c	29	Orange needles (CH ₂ Cl ₂ -hexane)	107-109	C ₁₃ H ₁₃ NO ₃	67.52 (67.36)	5.67 5.68	6.06 5.80	231 (M ⁺ , 8) 203 (M ⁺ -CO, 50) 188 (100), 174 (34)	1694 1648	1.12 (3H, t, <i>J</i> = 7.6, CH ₂ CH ₃), 2.58 (2H, q, <i>J</i> = 7.6, CH ₂ CH ₃), 2.72 (3H, s, C ₄ -CH ₃), 4.17 (3H, s, OCH ₃), 7.20 (1H, d, <i>J</i> = 5.0, C ₃ -H), 8.66 (1H, d, <i>J</i> = 5.0, C ₂ -H)
23d	29	Orange needles (CH ₂ Cl ₂ -hexane)	80-82	C ₁₄ H ₁₅ NO ₃	68.56 (68.47)	6.16 6.18	5.71 5.56	245 (M ⁺ , 23) 217 (M ⁺ -CO, 45) 202 (78), 188 (100)	1696 1648	0.98 (3H, t, <i>J</i> = 7.6, CH ₂ CH ₃), 1.55 (2H, sextet, <i>J</i> = 7.6, CH ₂ CH ₃), 2.54 (2H, t, <i>J</i> = 7.6, CH ₂ CH ₂ CH ₃), 2.72 (3H, s, C ₄ -CH ₃), 4.16 (3H, s, OCH ₃), 7.20 (1H, d, <i>J</i> = 5.2, C ₃ -H), 8.65 (1H, d, <i>J</i> = 5.2, C ₂ -H)
23e	27	Orange needles (ether-hexane)	71-73	C ₁₅ H ₁₇ NO ₃	69.48 (69.21)	6.61 6.64	5.40 5.09	259 (M ⁺ , 20) 231 (M ⁺ -CO, 58) 216 (64), 202 (52) 188 (100), 174 (51)	1700 1650	0.93 (3H, t, <i>J</i> = 7.3, CH ₂ CH ₃), 1.39 (2H, sextet, <i>J</i> = 7.3, CH ₂ CH ₃), 1.45-1.55 (2H, m, CH ₂ CH ₂ CH ₃), 2.56 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₂ -CH ₃), 2.71 (3H, s, C ₄ -CH ₃), 4.16 (3H, s, OCH ₃), 7.20 (1H, d, <i>J</i> = 5.2, C ₃ -H), 8.65 (1H, d, <i>J</i> = 5.2, C ₂ -H)
23f	28	Orange needles (hexane)	63-64	C ₁₆ H ₁₉ NO ₃	70.31 (70.17)	7.01 7.06	5.12 4.86	273 (M ⁺ , 24) 245 (M ⁺ -CO, 38) 230 (64), 202 (38) 188 (100), 174 (63)	1694 1648	0.89 (3H, t, <i>J</i> = 7.0, CH ₂ CH ₃), 1.25-1.55 (6H, m, CH ₂ (CH ₂) ₃ CH ₃), 2.55 (2H, t, <i>J</i> = 7.6, CH ₂ (CH ₂) ₃ CH ₃), 2.72 (3H, s, C ₄ -CH ₃), 4.16 (3H, s, OCH ₃), 7.20 (1H, d, <i>J</i> = 5.2, C ₃ -H), 8.66 (1H, d, <i>J</i> = 5.2, C ₂ -H)

TABLE I. (Continued)

Yield (%)	Appearance (Recrystn. solv.)	mp (°C)	Formula	Analysis or Hrms ^{a)}			Ms m/z (%)	Ir (KBr) $\nu_{C=O}$ (cm ⁻¹)	¹ H-Nmr (400 MHz) ^{b)} δ (CDCl ₃ , J = Hz)
				Calcd	Found				
				C	H	N			
23g	19 Orange needles (hexane)	57-59	C ₁₇ H ₂₁ NO ₃	71.06 (70.81)	7.37 7.39	4.87 4.76)	287 (M ⁺ , 28) 259 (M ⁺ -CO, 36) 244 (57), 189 (100) 188 (82), 174 (61)	1702 1656	0.88 (3H, t, J = 7.0, CH ₂ CH ₃), 1.25-1.55 (8H, m, CH ₂ (CH ₂) ₄ CH ₃), 2.55 (2H, t, J = 7.6, CH ₂ (CH ₂) ₄ CH ₃), 2.72 (3H, s, C ₄ -CH ₃), 4.16 (3H, s, OCH ₃), 7.21 (1H, d, J = 5.2, C ₃ -H), 8.66 (1H, d, J = 5.2, C ₂ -H)
23h	19 Orange needles (hexane)	66-68	C ₁₉ H ₂₅ NO ₃	72.35 (72.27)	7.99 8.06	4.44 4.29)	315 (M ⁺ , 4) 287 (M ⁺ -CO, 21) 272 (45), 189 (100) 188 (87), 174 (69)	1702 1656	0.87 (3H, t, J = 7.0, CH ₂ CH ₃), 1.2-1.55 (12H, m, CH ₂ (CH ₂) ₆ CH ₃), 2.54 (2H, t, J = 7.6, CH ₂ (CH ₂) ₆ CH ₃), 2.72 (3H, s, C ₄ -CH ₃), 4.16 (3H, s, OCH ₃), 7.20 (1H, d, J = 5.2, C ₃ -H), 8.65 (1H, d, J = 5.2, C ₂ -H)
24a	6 Yellow prisms (CH ₂ Cl ₂ -hexane)	234-236	C ₁₀ H ₆ NO ₃ Cl	223.0036 (223.0055)			225 (M ⁺ +2, 20) 223 (M ⁺ , 33) 197 (M ⁺ +2-CO, 32) 195 (M ⁺ -CO, 91) 168 (37), 166 (100) 139 (62), 137 (84)	1706 1646	4.09 (3H, s, OCH ₃), 6.18 (1H, s, C ₇ -H), 7.59 (1H, d, J = 8.2, C ₃ -H), 8.34 (1H, d, J = 8.2, C ₄ -H)
25b	10 Orange needles (ether-hexane)	158-162	C ₁₂ H ₁₀ NO ₃ Cl	251.0349 (251.0342)			253 (M ⁺ +2, 5) 251 (M ⁺ , 15) 225 (M ⁺ +2-CO, 23) 223 (M ⁺ -CO, 68) 210 (14), 208 (41) 196 (34), 194 (100)	1696 1662	2.07 (3H, s, C ₇ -CH ₃), 2.70 (3H, s, C ₄ -CH ₃), 4.17 (3H, s, OCH ₃), 7.25 (1H, s, C ₃ -H)
25c	9 Orange needles (ether-hexane)	141-144	C ₁₃ H ₁₂ NO ₃ Cl	265.0506 (265.0500)			267 (M ⁺ +2, 3) 265 (M ⁺ , 7) 239 (M ⁺ +2-CO, 16) 237 (M ⁺ -CO, 47) 224 (34), 222 (100) 210 (14), 208 (40)	1694 1656	1.11 (3H, t, J = 7.6, CH ₂ CH ₃), 2.57 (2H, q, J = 7.6, CH ₂ CH ₃), 2.70 (3H, s, C ₄ -CH ₃), 4.18 (3H, s, OCH ₃), 7.25 (1H, s, C ₃ -H)
25d	8 Orange needles (hexane)	144-146	C ₁₄ H ₁₄ NO ₃ Cl	60.11 (59.96)	5.04 4.99	5.01 4.85)	281 (M ⁺ +2, 3) 279 (M ⁺ , 10) 253 (M ⁺ +2-CO, 11) 251 (M ⁺ -CO, 35) 224 (34), 222 (100)	1694 1652	0.97 (3H, t, J = 7.6, CH ₂ CH ₃), 1.51 (2H, sextet, J = 7.6, CH ₂ CH ₃), 2.53 (2H, t, J = 7.6, CH ₂ CH ₂ CH ₃), 2.70 (3H, s, C ₄ -CH ₃), 4.17 (3H, s, OCH ₃), 7.25 (1H, s, C ₃ -H)
25g	14 Orange needles (hexane)	88-89	C ₁₇ H ₂₀ NO ₃ Cl	63.45 (63.26)	6.26 6.24	4.35 4.62)	323 (M ⁺ +2, 9) 321 (M ⁺ , 22) 295 (M ⁺ +2-CO, 13) 293 (M ⁺ -CO, 37) 224 (47), 222 (100)	1696 1652	0.88 (3H, t, J = 6.9, CH ₂ CH ₃), 1.2-1.55 (8H, m, CH ₂ (CH ₂) ₄ CH ₃), 2.53 (2H, t, J = 7.6, CH ₂ (CH ₂) ₄ CH ₃), 2.70 (3H, s, C ₄ -CH ₃), 4.17 (3H, s, OCH ₃), 7.24 (1H, s, C ₃ -H)

a) High-resolution ms. b) Measured at 270 MHz (15a, c, 16a-c, 17c, 18b, c, g, 19a-d, g, 20c-g, 21a, 22a-c, 23c, 25b-d, g). c) Lit.,⁶ mp 204-205°C. d) Lit.,⁷ mp 250-251°C.

2f: Yield 80%. Ms m/z (%): 238 (M^+ , 100), 223 (18), 181 (63), 166 (43). High-resolution ms Calcd for $C_{14}H_{22}O_3$: 238.1569. Found: 238.1574. 1H -Nmr (270 MHz) δ : 0.89 (3H, t, $J = 6.9$ Hz, CH_2CH_3), 1.3-1.6 (6H, m, $(CH_2)_3CH_3$), 2.62 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_3CH_3$), 3.77 (3H, s, OCH₃), 3.81 (6H, s, 2OCH₃), 6.54 (1H, d, $J = 8.9$ Hz, C₅-H), 6.70 (1H, d, $J = 8.9$ Hz, C₆-H).

2i: Yield 74%. Ms m/z (%): 336 (M^+ , 100), 181 (35). High-resolution ms Calcd for $C_{21}H_{36}O_3$: 336.2664. Found: 336.2665. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.6 (20H, m, $(CH_2)_{10}CH_3$), 2.62 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_{10}CH_3$), 3.76 (3H, s, OCH₃), 3.81 (6H, s, 2OCH₃), 6.54 (1H, d, $J = 8.9$ Hz, C₅-H), 6.69 (1H, d, $J = 8.9$ Hz, C₆-H).

3-Alkyl-1,2,4-trimethoxy-5-nitrobenzenes (3d-i) Concentrated HNO_3 (20 ml) was added dropwise to a solution of 3-alkyl-1,2,4-trimethoxybenzene (**2d-i**) (50 mmol) in acetic acid (200 ml) for 5 min. The resulting solution was left for 1 h, poured into water (1000 ml), and extracted with CH_2Cl_2 (3 x 300 ml). The extract was washed with saturated aqueous $NaHCO_3$ solution and water, dried, and evaporated. The residue was chromatographed (eluting with CH_2Cl_2) to afford **3d-i**.

3d: Yield 96%. oil. Ms m/z (%): 255 (M^+ , 100), 226 (31). 1H -Nmr (400 MHz) δ : 0.99 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.56 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 2.65 (2H, t, $J = 7.3$ Hz, $CH_2CH_2CH_3$), 3.85, 3.89, 3.92 (each 3H, s, 3OCH₃), 7.36 (1H, s, C₆-H).

3e: Yield 92%. oil. Ms m/z (%): 269 (M^+ , 100), 226 (26). 1H -Nmr (400 MHz) δ : 0.94 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.40 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.45-1.6 (2H, m, $CH_2CH_2CH_3$), 2.67 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_2CH_3$), 3.86, 3.89, 3.92 (each 3H, s, 3OCH₃), 7.36 (1H, s, C₆-H).

3f: Yield 96%. oil. Ms m/z (%): 283 (M^+ , 100), 226 (37). 1H -Nmr (270 MHz) δ : 0.90 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.6 (6H, m, $(CH_2)_3CH_3$), 2.66 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_3CH_3$), 3.86, 3.89, 3.92 (each 3H, s, 3OCH₃), 7.36 (1H, s, C₆-H).

3g: Yield 95%. oil. Ms m/z (%): 297 (M^+ , 100), 226 (28). 1H -Nmr (400 MHz) δ : 0.89 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.6 (8H, m, $(CH_2)_4CH_3$), 2.66 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_4CH_3$), 3.85, 3.89, 3.91 (each 3H, s, 3OCH₃), 7.36 (1H, s, C₆-H).

3h: Yield 98%. oil. Ms m/z (%): 325 (M^+ , 100), 226 (24). 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.6 (12H, m, $(CH_2)_6CH_3$), 2.65 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_6CH_3$), 3.85, 3.89, 3.91 (each 3H, s, 3OCH₃), 7.36 (1H, s, C₆-H).

3i: Yield 79%. mp 40-43°C (hexane). Ms m/z (%): 381 (M^+ , 100), 226 (26). Anal. Calcd for $C_{21}H_{35}NO_5$: C, 66.11; H, 9.25; N, 3.67. Found: C, 66.03; H, 9.13; N, 3.63. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.6 (20H, m, $(CH_2)_{10}CH_3$), 2.65 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_{10}CH_3$), 3.85, 3.88, 3.91 (each 3H, s, 3OCH₃), 7.36 (1H, s, C₆-H).

3-Alkyl-2,4,5-trimethoxyanilines (4d-i) A mixture of **3d-i** (25 mmol), Sn (23.7 g, 0.2 mol) and concentrated HCl (60 ml) was heated at 60-70°C for 2 h. The reaction mixture was cooled, adjusted to pH 9-10 with 10% NaOH solution, and extracted with CH_2Cl_2 (3 x 100 ml). The extract was washed with water, dried, and evaporated. The residue was chromatographed (eluting with ethyl acetate-hexane 1:9-1:4) to afford **4d-i** as an oil.

4d: Yield 54%. Ms m/z (%): 225 (M^+ , 55), 210 (100). High-resolution ms Calcd for $C_{12}H_{19}NO_3$: 225.1365. Found: 225.1374. 1H -Nmr (400 MHz) δ : 0.99 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.59 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 2.58 (2H, t, $J = 7.3$ Hz, $CH_2CH_2CH_3$), 3.71, 3.75, 3.79 (each 3H, s, 3OCH₃), 6.23 (1H, s, C₆-H).

4e: Yield 64%. Ms m/z (%): 239 (M^+ , 77), 224 (100). High-resolution ms Calcd for $C_{13}H_{21}NO_3$: 239.1521. Found: 239.1533. 1H -Nmr (400 MHz) δ : 0.94 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.41 (2H, sextet, $J = 7.3$ Hz,

CH_2CH_3), 1.45-1.6 (2H, m, $\text{CH}_2\text{CH}_2\text{CH}_3$), 2.60 (2H, t, $J = 7.6$ Hz, $\text{CH}_2(\text{CH}_2)_2\text{CH}_3$), 3.72, 3.75, 3.79 (each 3H, s, 3OCH_3), 6.24 (1H, s, $\text{C}_6\text{-H}$).

4f: Yield 72%. $\text{Ms } m/z$ (%): 253 (M^+ , 78), 238 (100). High-resolution ms Calcd for $\text{C}_{14}\text{H}_{23}\text{NO}_3$: 253.1678. Found: 253.1681. $^1\text{H-Nmr}$ (270 MHz) δ : 0.90 (3H, t, $J = 6.9$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(\text{CH}_2)_3\text{CH}_3$), 2.59 (2H, t, $J = 7.9$ Hz, $\text{CH}_2(\text{CH}_2)_3\text{CH}_3$), 3.73, 3.75, 3.79 (each 3H, s, 3OCH_3), 6.29 (1H, s, $\text{C}_6\text{-H}$).

4g: Yield 96%. $\text{Ms } m/z$ (%): 267 (M^+ , 89), 252 (100). High-resolution ms Calcd for $\text{C}_{15}\text{H}_{25}\text{NO}_3$: 267.1834. Found: 267.1812. $^1\text{H-Nmr}$ (400 MHz) δ : 0.89 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.6 (8H, m, $(\text{CH}_2)_4\text{CH}_3$), 2.58 (2H, t, $J = 7.9$ Hz, $\text{CH}_2(\text{CH}_2)_4\text{CH}_3$), 3.71, 3.75, 3.79 (each 3H, s, 3OCH_3), 6.23 (1H, s, $\text{C}_6\text{-H}$).

4h: Yield 78%. $\text{Ms } m/z$ (%): 295 (M^+ , 100), 280 (82). High-resolution ms Calcd for $\text{C}_{17}\text{H}_{29}\text{NO}_3$: 295.2147. Found: 295.2119. $^1\text{H-Nmr}$ (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.6 (12H, m, $(\text{CH}_2)_6\text{CH}_3$), 2.58 (2H, t, $J = 7.6$ Hz, $\text{CH}_2(\text{CH}_2)_6\text{CH}_3$), 3.71, 3.75, 3.78 (each 3H, s, 3OCH_3), 6.23 (1H, s, $\text{C}_6\text{-H}$).

4i: Yield 80%. $\text{Ms } m/z$ (%): 351 (M^+ , 100), 336 (72). High-resolution ms Calcd for $\text{C}_{21}\text{H}_{37}\text{NO}_3$: 351.2773. Found: 351.2789. $^1\text{H-Nmr}$ (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.6 (20H, m, $(\text{CH}_2)_{10}\text{-CH}_3$), 2.58 (2H, t, $J = 7.6$ Hz, $\text{CH}_2(\text{CH}_2)_{10}\text{CH}_3$), 3.71, 3.75, 3.78 (each 3H, s, 3OCH_3), 6.22 (1H, s, $\text{C}_6\text{-H}$).
(7-Alkyl)-5,6,8-trimethoxy-2-methylquinolines (5a-i) Crotonaldehyde (2.80 g, 40 mmol) was added dropwise to a refluxing solution of trimethoxyaniline (**4a-i**) (10 mmol) in 6 N HCl (100 ml), and the resulting solution was refluxed for an additional 30 min. The reaction mixture was cooled, diluted with water (100 ml), washed with ether (2 x 100 ml), basified with 10% NaOH solution, and extracted with CH_2Cl_2 (3 x 100 ml). The extract was washed with water, dried, and evaporated. The residue was chromatographed (eluting with ethyl acetate-hexane 1:9-1:4) to afford **5a-i**.

5a: Yield 51%. mp 119-121°C (ethyl acetate-hexane). $\text{Ms } m/z$ (%): 233 (M^+ , 47), 218 (100). *Anal.* Calcd for $\text{C}_{13}\text{H}_{15}\text{NO}_3$: C, 66.94; H, 6.48; N, 6.00. Found: C, 66.95; H, 6.45; N, 5.93. $^1\text{H-Nmr}$ (400 MHz) δ : 2.76 (3H, s, $\text{C}_2\text{-CH}_3$), 3.92, 4.02, 4.07 (each 3H, s, 3OCH_3), 6.84 (1H, s, $\text{C}_7\text{-H}$), 7.30 (1H, d, $J = 8.5$ Hz, $\text{C}_3\text{-H}$), 8.30 (1H, d, $J = 8.5$ Hz, $\text{C}_4\text{-H}$).

5b: Yield 53%. oil. $\text{Ms } m/z$ (%): 247 (M^+ , 32), 232 (100). High-resolution ms Calcd for $\text{C}_{14}\text{H}_{17}\text{NO}_3$: 247.1208. Found: 247.1208. $^1\text{H-Nmr}$ (270 MHz) δ : 2.39 (3H, s, $\text{C}_7\text{-CH}_3$), 2.75 (3H, s, $\text{C}_2\text{-CH}_3$), 3.94, 3.96, 4.05 (each 3H, s, 3OCH_3), 7.22 (1H, d, $J = 8.6$ Hz, $\text{C}_3\text{-H}$), 8.28 (1H, d, $J = 8.6$ Hz, $\text{C}_4\text{-H}$).

5c: Yield 62%. oil. $\text{Ms } m/z$ (%): 261 (M^+ , 34), 246 (100). High-resolution ms Calcd for $\text{C}_{15}\text{H}_{19}\text{NO}_3$: 261.1365. Found: 261.1391. $^1\text{H-Nmr}$ (400 MHz) δ : 1.24 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 2.75 (3H, s, $\text{C}_2\text{-CH}_3$), 2.87 (2H, q, $J = 7.3$ Hz, CH_2CH_3), 3.95, 3.99, 4.11 (each 3H, s, 3OCH_3), 7.22 (1H, d, $J = 8.6$ Hz, $\text{C}_3\text{-H}$), 8.28 (1H, d, $J = 8.6$ Hz, $\text{C}_4\text{-H}$).

5d: Yield 68%. mp 49-50°C (hexane). $\text{Ms } m/z$ (%): 275 (M^+ , 29), 260 (100). *Anal.* Calcd for $\text{C}_{16}\text{H}_{21}\text{NO}_3$: C, 69.79; H, 7.69; N, 5.09. Found: C, 69.66; H, 7.69; N, 5.08. $^1\text{H-Nmr}$ (400 MHz) δ : 1.02 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.64 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 2.75 (3H, s, $\text{C}_2\text{-CH}_3$), 2.81 (2H, t, $J = 7.3$ Hz, $\text{CH}_2\text{CH}_2\text{CH}_3$), 3.95, 3.98, 4.10 (each 3H, s, 3OCH_3), 7.22 (1H, d, $J = 8.6$ Hz, $\text{C}_3\text{-H}$), 8.28 (1H, d, $J = 8.6$ Hz, $\text{C}_4\text{-H}$).

5e: Yield 78%. oil. $\text{Ms } m/z$ (%): 289 (M^+ , 57), 274 (100), 260 (37). High-resolution ms Calcd for $\text{C}_{17}\text{H}_{23}\text{NO}_3$: 289.1678. Found: 289.1696. $^1\text{H-Nmr}$ (400 MHz) δ : 0.96 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.45 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.5-1.65 (2H, m, $\text{CH}_2\text{CH}_2\text{CH}_3$), 2.74 (3H, s, $\text{C}_2\text{-CH}_3$), 2.83 (2H, t, $J = 7.6$ Hz, $\text{CH}_2(\text{CH}_2)_2\text{CH}_3$), 3.95, 3.98, 4.10 (each 3H, s, 3OCH_3), 7.22 (1H, d, $J = 8.6$ Hz, $\text{C}_3\text{-H}$), 8.27 (1H, d, $J = 8.6$ Hz, $\text{C}_4\text{-H}$).

5f: Yield 64%. oil. Ms m/z (%): 303 (M^+ , 80), 288 (100), 260 (38). High-resolution ms Calcd for $C_{18}H_{25}NO_3$: 303.1834. Found: 303.1862. 1H -Nmr (400 MHz) δ : 0.90 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(CH_2)_3CH_3$), 2.74 (3H, s, C_2-CH_3), 2.82 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_3CH_3$), 3.95, 3.98, 4.10 (each 3H, s, $3OCH_3$), 7.22 (1H, d, $J = 8.6$ Hz, C_3-H), 8.27 (1H, d, $J = 8.6$ Hz, C_4-H).

5g: Yield 82%. oil. Ms m/z (%): 317 (M^+ , 44), 302 (100), 260 (46). High-resolution ms Calcd for $C_{19}H_{27}NO_3$: 317.1991. Found: 317.2019. 1H -Nmr (400 MHz) δ : 0.89 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (8H, m, $(CH_2)_4CH_3$), 2.75 (3H, s, C_2-CH_3), 2.82 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_4CH_3$), 3.95, 3.97, 4.10 (each 3H, s, $3OCH_3$), 7.22 (1H, d, $J = 8.5$ Hz, C_3-H), 8.28 (1H, d, $J = 8.5$ Hz, C_4-H).

5h: Yield 88%. oil. Ms m/z (%): 345 (M^+ , 47), 330 (100), 260 (51). High-resolution ms Calcd for $C_{21}H_{31}NO_3$: 345.2304. Found: 345.2302. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (12H, m, $(CH_2)_6CH_3$), 2.74 (3H, s, C_2-CH_3), 2.82 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_6CH_3$), 3.95, 3.97, 4.10 (each 3H, s, $3OCH_3$), 7.21 (1H, d, $J = 8.6$ Hz, C_3-H), 8.27 (1H, d, $J = 8.6$ Hz, C_4-H).

5i: Yield 70%. oil. Ms m/z (%): 401 (M^+ , 41), 386 (100), 260 (59). High-resolution ms Calcd for $C_{25}H_{39}NO_3$: 401.2930. Found: 401.2914. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (20H, m, $(CH_2)_{10}CH_3$), 2.77 (3H, s, C_2-CH_3), 2.82 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_{10}CH_3$), 3.95, 3.98, 4.10 (each 3H, s, $3OCH_3$), 7.23 (1H, d, $J = 8.5$ Hz, C_3-H), 8.29 (1H, d, $J = 8.5$ Hz, C_4-H).

(7-Alkyl)-5,6,8-trimethoxy-2-methylquinoline N-Oxides (6a-f, h) *m*-Chloroperoxybenzoic acid (80% purity, 270 mg, 1.25 mmol) was added to a solution of quinoline (5a-f, h) (1 mmol) in CH_2Cl_2 (10 ml). The resulting mixture was left for 16 h, and the precipitated crystals were filtered off. The filtrate was washed with saturated aqueous $NaHCO_3$ solution (3 x 10 ml) and water, dried, concentrated, and chromatographed. Elution with ethyl acetate was discarded, and further elution with ethyl acetate- CH_3OH (100:1-7:3) afforded *N*-oxide (6a-f, h).

6a: Yield 44%. Ms m/z (%): 249 (M^+ , 48), 233 (50), 232 (84), 218 (100). High-resolution ms Calcd for $C_{13}H_{15}NO_4$: 249.1001. Found: 249.1016. 1H -Nmr (270 MHz) δ : 2.59 (3H, s, C_2-CH_3), 3.91, 4.01, 4.03 (each 3H, s, $3OCH_3$), 6.87 (1H, s, C_7-H), 7.23 (1H, d, $J = 8.9$ Hz, C_3-H), 7.82 (1H, d, $J = 8.9$ Hz, C_4-H).

6b: Yield 61%. Ms m/z (%): 263 (M^+ , 68), 247 (49), 246 (100), 232 (77). High-resolution ms Calcd for $C_{14}H_{17}NO_4$: 263.1157. Found: 263.1145. 1H -Nmr (270 MHz) δ : 2.40 (3H, s, C_7-CH_3), 2.63 (3H, s, C_2-CH_3), 3.94, 3.95, 3.98 (each 3H, s, $3OCH_3$), 7.21 (1H, d, $J = 8.6$ Hz, C_3-H), 7.82 (1H, d, $J = 8.6$ Hz, C_4-H).

6c: Yield 68%. Ms m/z (%): 277 (M^+ , 49), 260 (100), 230 (50). High-resolution ms Calcd for $C_{15}H_{19}NO_4$: 277.1314. Found: 277.1315. 1H -Nmr (270 MHz) δ : 1.23 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 2.63 (3H, s, C_2-CH_3), 2.87 (2H, q, $J = 7.6$ Hz, CH_2CH_3), 3.94, 3.99, 4.00 (each 3H, s, $3OCH_3$), 7.21 (1H, d, $J = 8.6$ Hz, C_3-H), 7.81 (1H, d, $J = 8.6$ Hz, C_4-H).

6d: Yield 57%. Ms m/z (%): 291 (M^+ , 18), 275 (53), 274 (48), 260 (100). High-resolution ms Calcd for $C_{16}H_{21}NO_4$: 291.1470. Found: 291.1477. 1H -Nmr (270 MHz) δ : 1.02 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 1.63 (2H, sextet, $J = 7.6$ Hz, CH_2CH_3), 2.63 (3H, s, C_2-CH_3), 2.81 (2H, t, $J = 7.6$ Hz, $CH_2CH_2CH_3$), 3.93 (3H, s, OCH_3), 3.98 (6H, s, $2OCH_3$), 7.21 (1H, d, $J = 8.6$ Hz, C_3-H), 7.82 (1H, d, $J = 8.6$ Hz, C_4-H).

6e: Yield 70%. Ms m/z (%): 305 (M^+ , 31), 289 (46), 288 (100), 274 (61), 260 (27). High-resolution ms Calcd for $C_{17}H_{23}NO_4$: 305.1627. Found: 305.1631. 1H -Nmr (270 MHz) δ : 0.96 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.44 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.5-1.65 (2H, m, $CH_2CH_2CH_3$), 2.63 (3H, s, C_2-CH_3), 2.82 (2H, t, $J = 7.7$ Hz, $CH_2(CH_2)_2CH_3$), 3.93 (3H, s, OCH_3), 3.98 (6H, s, $2OCH_3$), 7.21 (1H, d, $J = 8.6$ Hz, C_3-H), 7.81 (1H, d, $J = 8.6$ Hz, C_4-H).

6f: Yield 72%. Ms m/z (%): 319 (M^+ , 35), 303 (38), 302 (100), 288 (35). High-resolution ms Calcd for $C_{18}H_{25}NO_4$: 319.1783. Found: 319.1786. 1H -Nmr (270 MHz) δ : 0.91 (3H, t, $J = 6.9$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(CH_2)_3CH_3$), 2.71 (3H, s, C_2-CH_3), 2.82 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_3CH_3$), 3.94, 3.99, 4.00 (each 3H, s, $3OCH_3$), 7.26 (1H, d, $J = 8.3$ Hz, C_3-H), 7.95 (1H, d, $J = 8.3$ Hz, C_4-H).

6h: Yield 45%. Ms m/z (%): 361 (M^+ , 24), 345 (70), 344 (100), 330 (61), 260 (26). High-resolution ms Calcd for $C_{21}H_{31}NO_4$: 361.2253. Found: 361.2263. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (12H, m, $(CH_2)_6CH_3$), 2.66 (3H, s, C_2-CH_3), 2.81 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_6CH_3$), 3.94, 3.98, 3.99 (each 3H, s, $3OCH_3$), 7.23 (1H, d, $J = 8.5$ Hz, C_3-H), 7.87 (1H, d, $J = 8.5$ Hz, C_4-H).

2-Acetoxymethyl-(7-alkyl)-5,6,8-trimethoxyquinolines (7a-f, h) A mixture of *N*-oxide (**6a-f, h**) (1 mmol) and acetic anhydride (5 ml, 53 mmol) was refluxed for 1 h, and then evaporated. The residue was chromatographed (eluting with ethyl acetate-hexane 1:9-3:2) to afford **7a-f, h**.

7a: Yield 54%. mp 73-75°C (ether-hexane). Ms m/z (%): 291 (M^+ , 96), 276 (96), 231 (100), 216 (52), 202 (57). Anal. Calcd for $C_{15}H_{17}NO_5$: C, 61.85; H, 5.88; N, 4.81. Found: C, 61.83; H, 5.89; N, 4.85. 1H -Nmr (270 MHz) δ : 2.18 (3H, s, $COCH_3$), 3.93, 4.04, 4.09 (each 3H, s, $3OCH_3$), 5.43 (2H, s, CH_2O), 6.88 (1H, s, C_7-H), 7.50 (1H, d, $J = 8.6$ Hz, C_3-H), 8.43 (1H, d, $J = 8.6$ Hz, C_4-H).

7b: Yield 87%. mp 67-68°C (hexane). Ms m/z (%): 305 (M^+ , 91), 290 (68), 245 (100), 230 (82), 216 (38). Anal. Calcd for $C_{16}H_{19}NO_5$: C, 62.94; H, 6.27; N, 4.59. Found: 62.91; H, 6.29; N, 4.47. 1H -Nmr (270 MHz) δ : 2.20 (3H, s, $COCH_3$), 2.40 (3H, s, C_7-CH_3), 3.96, 3.97, 4.06 (each 3H, s, $3OCH_3$), 5.42 (2H, s, CH_2O), 7.40 (1H, d, $J = 8.6$ Hz, C_3-H), 8.41 (1H, d, $J = 8.6$ Hz, C_4-H).

7c: Yield 78%. oil. Ms m/z (%): 319 (M^+ , 100), 304 (83), 259 (59), 244 (62). High-resolution ms Calcd for $C_{17}H_{21}NO_5$: 319.1419. Found: 319.1403. 1H -Nmr (270 MHz) δ : 1.24 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 2.20 (3H, s, $COCH_3$), 2.88 (2H, q, $J = 7.6$ Hz, CH_2CH_3), 3.96, 4.00, 4.12 (each 3H, s, $3OCH_3$), 5.42 (2H, s, CH_2O), 7.40 (1H, d, $J = 8.9$ Hz, C_3-H), 8.41 (1H, d, $J = 8.9$ Hz, C_4-H).

7d: Yield 88%. oil. Ms m/z (%): 333 (M^+ , 98), 318 (100), 273 (71). High-resolution ms Calcd for $C_{18}H_{23}NO_5$: 333.1576. Found: 333.1593. 1H -Nmr (400 MHz) δ : 1.02 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.64 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 2.20 (3H, s, $COCH_3$), 2.82 (2H, t, $J = 7.3$ Hz, $CH_2CH_2CH_3$), 3.95, 3.99, 4.11 (each 3H, s, $3OCH_3$), 5.42 (2H, s, CH_2O), 7.40 (1H, d, $J = 8.9$ Hz, C_3-H), 8.41 (1H, d, $J = 8.9$ Hz, C_4-H).

7e: Yield 81%. oil. Ms m/z (%): 347 (M^+ , 100), 332 (91), 318 (44), 287 (65). High-resolution ms Calcd for $C_{19}H_{25}NO_5$: 347.1732. Found: 347.1750. 1H -Nmr (400 MHz) δ : 0.96 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.45 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.5-1.65 (2H, m, $CH_2CH_2CH_3$), 2.20 (3H, s, $COCH_3$), 2.84 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_2CH_3$), 3.95, 4.00, 4.11 (each 3H, s, $3OCH_3$), 5.45 (2H, s, CH_2O), 7.41 (1H, d, $J = 8.6$ Hz, C_3-H), 8.43 (1H, d, $J = 8.6$ Hz, C_4-H).

7f: Yield 76%. oil. Ms m/z (%): 361 (M^+ , 100), 346 (66), 318 (35), 301 (50). High-resolution ms Calcd for $C_{20}H_{27}NO_5$: 361.1889. Found: 361.1884. 1H -Nmr (400 MHz) δ : 0.91 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(CH_2)_3CH_3$), 2.20 (3H, s, $COCH_3$), 2.83 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_3CH_3$), 3.95, 3.99, 4.11 (each 3H, s, $3OCH_3$), 5.44 (2H, s, CH_2O), 7.40 (1H, d, $J = 8.6$ Hz, C_3-H), 8.42 (1H, d, $J = 8.6$ Hz, C_4-H).

7h: Yield 76%. oil. Ms m/z (%): 403 (M^+ , 100), 388 (62), 343 (48), 318 (37). High-resolution ms Calcd for $C_{23}H_{33}NO_5$: 403.2358. Found: 403.2343. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (12H, m, $(CH_2)_6CH_3$), 2.20 (3H, s, $COCH_3$), 2.82 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_6CH_3$), 3.95, 3.99, 4.10 (each 3H, s, $3OCH_3$), 5.41 (2H, s, CH_2O), 7.39 (1H, d, $J = 8.6$ Hz, C_3-H), 8.40 (1H, d, $J = 8.6$ Hz, C_4-H).

7-Alkyl-5,6,8-trimethoxyquinolines (8d-i) Acroreïn (3.36 g, 60 mmol) was added dropwise to a refluxing solution of 3-alkyl-2,4,5-trimethoxyaniline (**4d-i**) (10 mmol) in 6 N HCl (100 ml), and the resulting solution was refluxed for an additional 20 min. The reaction mixture was cooled, diluted with water (100 ml), washed with ether (2 x 100 ml), basified with 10% NaOH solution, and extracted with CH₂Cl₂ (3 x 100 ml). The extract was washed with water, dried, and evaporated. The residue was chromatographed (eluting with ethyl acetate-hexane 1:9-3:7) to afford **8d-i**.

8d: Yield 54%. oil. Ms *m/z* (%): 261 (M⁺, 47), 246 (100). High-resolution ms Calcd for C₁₅H₁₉NO₃: 261.1365. Found: 261.1348. ¹H-Nmr (400 MHz) δ: 1.04 (3H, t, *J* = 7.3 Hz, CH₂CH₃), 1.65 (2H, sextet, *J* = 7.3 Hz, CH₂CH₃), 2.83 (2H, t, *J* = 7.3 Hz, CH₂CH₂CH₃), 3.96, 4.00, 4.10 (each 3H, s, 3OCH₃), 7.36 (1H, dd, *J* = 8.5, 4.3 Hz, C₃-H), 8.41 (1H, dd, *J* = 8.5, 1.8 Hz, C₄-H), 8.85 (1H, dd, *J* = 4.3, 1.8 Hz, C₂-H).

8e: Yield 60%. oil. Ms *m/z* (%): 275 (M⁺, 60), 260 (100), 246 (38). High-resolution ms Calcd for C₁₆H₂₁NO₃: 275.1521. Found: 275.1533. ¹H-Nmr (400 MHz) δ: 0.98 (3H, t, *J* = 7.3 Hz, CH₂CH₃), 1.47 (2H, sextet, *J* = 7.3 Hz, CH₂CH₃), 1.55-1.65 (2H, m, CH₂CH₂CH₃), 2.85 (2H, t, *J* = 7.6 Hz, CH₂(CH₂)₂CH₃), 3.96, 4.00, 4.10 (each 3H, s, 3OCH₃), 7.35 (1H, dd, *J* = 8.6, 4.3 Hz, C₃-H), 8.40 (1H, dd, *J* = 8.6, 1.8 Hz, C₄-H), 8.84 (1H, dd, *J* = 4.3, 1.8 Hz, C₂-H).

8f: Yield 49%. oil. Ms *m/z* (%): 289 (M⁺, 67), 274 (100), 246 (39). High-resolution ms Calcd for C₁₇H₂₃NO₃: 289.1678. Found: 289.1686. ¹H-Nmr (400 MHz) δ: 0.92 (3H, t, *J* = 7.0 Hz, CH₂CH₃), 1.3-1.7 (6H, m, (CH₂)₃CH₃), 2.84 (2H, t, *J* = 7.9 Hz, CH₂(CH₂)₃CH₃), 3.96, 4.00, 4.10 (each 3H, s, 3OCH₃), 7.35 (1H, dd, *J* = 8.6, 4.3 Hz, C₃-H), 8.41 (1H, dd, *J* = 8.6, 1.8 Hz, C₄-H), 8.84 (1H, dd, *J* = 4.3, 1.8 Hz, C₂-H).

8g: Yield 44%. oil. Ms *m/z* (%): 303 (M⁺, 62), 288 (100), 246 (39). High-resolution ms Calcd for C₁₈H₂₅NO₃: 303.1834. Found: 303.1849. ¹H-Nmr (400 MHz) δ: 0.90 (3H, t, *J* = 7.0 Hz, CH₂CH₃), 1.2-1.7 (8H, m, (CH₂)₄CH₃), 2.84 (2H, t, *J* = 7.9 Hz, CH₂(CH₂)₄CH₃), 3.96, 4.00, 4.10 (each 3H, s, 3OCH₃), 7.35 (1H, dd, *J* = 8.5, 4.3 Hz, C₃-H), 8.40 (1H, dd, *J* = 8.5, 1.8 Hz, C₄-H), 8.84 (1H, dd, *J* = 4.3, 1.8 Hz, C₂-H).

8h: Yield 66%. oil. Ms *m/z* (%): 331 (M⁺, 44), 316 (100), 246 (48). High-resolution ms Calcd for C₂₀H₂₉NO₃: 331.2147. Found: 331.2142. ¹H-Nmr (400 MHz) δ: 0.88 (3H, t, *J* = 7.0 Hz, CH₂CH₃), 1.2-1.7 (12H, m, (CH₂)₆CH₃), 2.84 (2H, t, *J* = 7.9 Hz, CH₂(CH₂)₆CH₃), 3.96, 4.00, 4.10 (each 3H, s, 3OCH₃), 7.35 (1H, dd, *J* = 8.5, 4.3 Hz, C₃-H), 8.40 (1H, dd, *J* = 8.5, 1.8 Hz, C₄-H), 8.84 (1H, dd, *J* = 4.3, 1.8 Hz, C₂-H).

8i: Yield 42%. oil. Ms *m/z* (%): 387 (M⁺, 41), 372 (100), 246 (58). High-resolution ms Calcd for C₂₄H₃₇NO₃: 387.2773. Found: 387.2795. ¹H-Nmr (400 MHz) δ: 0.88 (3H, t, *J* = 7.0 Hz, CH₂CH₃), 1.2-1.7 (20H, m, (CH₂)₁₀CH₃), 2.84 (2H, t, *J* = 7.9 Hz, CH₂(CH₂)₁₀CH₃), 3.96, 4.00, 4.10 (each 3H, s, 3OCH₃), 7.36 (1H, dd, *J* = 8.6, 4.3 Hz, C₃-H), 8.42 (1H, dd, *J* = 8.6, 1.8 Hz, C₄-H), 8.85 (1H, dd, *J* = 4.3, 1.8 Hz, C₂-H).

(7-Alkyl-5,6,8-trimethoxy-4-methylquinolines (9a-f) Methyl vinyl ketone (1.40 g, 20 mmol) was added dropwise to a refluxing solution of (3-alkyl-2,4,5-trimethoxyaniline (**4a-f**) (10 mmol) in 6 N HCl (100 ml), and the resulting solution was refluxed for an additional 90 min. The reaction mixture was cooled, diluted with water (100 ml), washed with ether (2 x 100 ml), basified with 10% NaOH solution, and extracted with CH₂Cl₂ (3 x 100 ml). The extract was washed with water, dried, and evaporated. The residue was chromatographed (eluting with ethyl acetate-hexane 1:1-4:1) to afford **9a-f**.

9a: Yield 22%. mp 47-49°C (ether-hexane). Ms *m/z* (%): 233 (M⁺, 35), 218 (100). Anal. Calcd for C₁₃H₁₅NO₃: C, 66.94; H, 6.48; N, 6.00. Found: C, 67.11; H, 6.52; N, 5.97. ¹H-Nmr (400 MHz) δ: 2.89 (3H, s, C₄-CH₃), 3.85, 4.03, 4.08 (each 3H, s, 3OCH₃), 6.89 (1H, s, C₇-H), 7.16 (1H, d, *J* = 4.3 Hz, C₃-H), 8.62 (1H, d, *J* = 4.3 Hz, C₂-H).

9b: Yield 32%. oil. Ms m/z (%): 247 (M^+ , 25), 232 (100). High-resolution ms Calcd for $C_{14}H_{17}NO_3$: 247.1208. Found: 247.1212. 1H -Nmr (270 MHz) δ : 2.42 (3H, s, C_7-CH_3), 2.89 (3H, s, C_4-CH_3), 3.91, 3.93, 4.02 (each 3H, s, $3OCH_3$), 7.12 (1H, d, $J = 4.3$ Hz, C_3-H), 8.69 (1H, d, $J = 4.3$ Hz, C_2-H).

9c: Yield 50%. oil. Ms m/z (%): 261 (M^+ , 43), 246 (100). High-resolution ms Calcd for $C_{15}H_{19}NO_3$: 261.1365. Found: 261.1374. 1H -Nmr (270 MHz) δ : 1.27 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 2.89 (2H, q, $J = 7.6$ Hz, CH_2CH_3), 2.91 (3H, s, C_4-CH_3), 3.90, 3.98, 4.08 (each 3H, s, $3OCH_3$), 7.15 (1H, d, $J = 4.6$ Hz, C_3-H), 8.71 (1H, d, $J = 4.6$ Hz, C_2-H).

9d: Yield 68%. oil. Ms m/z (%): 275 (M^+ , 39), 260 (100). High-resolution ms Calcd for $C_{16}H_{21}NO_3$: 275.1521. Found: 275.1545. 1H -Nmr (400 MHz) δ : 1.04 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.66 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 2.82 (2H, t, $J = 7.3$ Hz, $CH_2CH_2CH_3$), 2.87 (3H, s, C_4-CH_3), 3.88, 3.96, 4.05 (each 3H, s, $3OCH_3$), 7.09 (1H, d, $J = 4.3$ Hz, C_3-H), 8.65 (1H, d, $J = 4.3$ Hz, C_2-H).

9e: Yield 67%. oil. Ms m/z (%): 289 (M^+ , 46), 274 (100), 260 (27). High-resolution ms Calcd for $C_{17}H_{23}NO_3$: 289.1678. Found: 289.1704. 1H -Nmr (270 MHz) δ : 0.97 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.46 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.55-1.7 (2H, m, $CH_2CH_2CH_3$), 2.84 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_2-CH_3$), 2.88 (3H, s, C_4-CH_3), 3.89, 3.97, 4.06 (each 3H, s, $3OCH_3$), 7.11 (1H, d, $J = 4.3$ Hz, C_3-H), 8.67 (1H, d, $J = 4.3$ Hz, C_2-H).

9f: Yield 59%. oil. Ms m/z (%): 303 (M^+ , 63), 288 (100), 260 (27). High-resolution ms Calcd for $C_{18}H_{25}NO_3$: 303.1834. Found: 303.1839. 1H -Nmr (400 MHz) δ : 0.92 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(CH_2)_3CH_3$), 2.83 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_3CH_3$), 2.87 (3H, s, C_4-CH_3), 3.88, 3.96, 4.05 (each 3H, s, $3OCH_3$), 7.08 (1H, d, $J = 4.3$ Hz, C_3-H), 8.63 (1H, d, $J = 4.3$ Hz, C_2-H).

7-Hexyl- (or 7-Octyl)-5,6,8-trimethoxy-4-methylquinoline (9g, h) Methyl vinyl ketone (2.50 g, 35 mmol), *m*-nitrobenzenesulfonic acid (1.88 g, 9 mmol), $ZnCl_2$ (0.18 g, 1.3 mmol), and concentrated HCl (1.5 ml) were added to a solution of 3-hexyl- (or 3-octyl)-2,4,5-trimethoxyaniline (**4g, h**) (10 mmol) in ethanol (200 ml). The resulting solution was refluxed for 3 h, and evaporated. The residue was diluted with water (100 ml), basified with 10% NaOH solution, and extracted with CH_2Cl_2 (3 x 100 ml). The extract was washed with water, dried, concentrated, and chromatographed (eluting with ethyl acetate-hexane 1:9-3:7) to afford **9g, h**.

9g: Yield 69%. oil. Ms m/z (%): 317 (M^+ , 47), 302 (100), 260 (28). High-resolution ms Calcd for $C_{19}H_{27}NO_3$: 317.1991. Found: 317.1996. 1H -Nmr (400 MHz) δ : 0.90 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (8H, m, $(CH_2)_4CH_3$), 2.83 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_4CH_3$), 2.87 (3H, s, C_4-CH_3), 3.88, 3.96, 4.05 (each 3H, s, $3OCH_3$), 7.08 (1H, d, $J = 4.6$ Hz, C_3-H), 8.64 (1H, d, $J = 4.6$ Hz, C_2-H).

9h: Yield 75%. oil. Ms m/z (%): 345 (M^+ , 61), 330 (100), 260 (28). High-resolution ms Calcd for $C_{21}H_{31}NO_3$: 345.2304. Found: 345.2320. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (12H, m, $(CH_2)_6CH_3$), 2.83 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_6CH_3$), 2.87 (3H, s, C_4-CH_3), 3.88, 3.96, 4.05 (each 3H, s, $3OCH_3$), 7.08 (1H, d, $J = 4.6$ Hz, C_3-H), 8.64 (1H, d, $J = 4.6$ Hz, C_2-H).

(7-Alkyl)-5,6,8-trimethoxy-(4-methyl)quinoline N-Oxides (10d-h, 11a-h) *m*-Chloroperoxybenzoic acid (80% purity, 270 mg, 1.25 mmol) was added to a solution of quinoline (**8d-h, 9a-h**) (1 mmol) in CH_2Cl_2 (10 ml). The resulting mixture was left for 16 h, and the precipitated crystals were filtered off. The filtrate was washed with saturated aqueous $NaHCO_3$ solution (3 x 10 ml) and water, dried, concentrated, and chromatographed. Elution with ethyl acetate was discarded, and further elution with ethyl acetate- CH_3OH (100:1-7:3) afforded *N*-oxide (**10d-h, 11a-h**).

10d: Yield 72%. Ms m/z (%): 277 (M^+ , 15), 261 (53), 260 (38), 246 (100). High-resolution ms Calcd for $C_{15}H_{19}NO_4$: 277.1314. Found: 277.1314. 1H -Nmr (270 MHz) δ : 1.03 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 1.64 (2H, sextet, $J = 7.6$ Hz, CH_2CH_3), 2.82 (2H, t, $J = 7.6$ Hz, $CH_2CH_2CH_3$), 3.94, 3.97, 4.00 (each 3H, s, $3OCH_3$), 7.17 (1H, dd, $J = 8.6, 6.3$ Hz, C_3 -H), 7.98 (1H, dd, $J = 8.6, 1.0$ Hz, C_4 -H), 8.44 (1H, dd, $J = 6.3, 1.0$ Hz, C_2 -H).

10e: Yield 95%. Ms m/z (%): 291 (M^+ , 31), 275 (41), 274 (100), 260 (45). High-resolution ms Calcd for $C_{16}H_{21}NO_4$: 291.1470. Found: 291.1463. 1H -Nmr (400 MHz) δ : 0.97 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.46 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.5-1.65 (2H, m, $CH_2CH_2CH_3$), 2.84 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_2CH_3$), 3.95, 3.97, 4.01 (each 3H, s, $3OCH_3$), 7.23 (1H, dd, $J = 8.6, 6.1$ Hz, C_3 -H), 8.06 (1H, d, $J = 8.6$ Hz, C_4 -H), 8.52 (1H, d, $J = 6.1$ Hz, C_2 -H).

10f: Yield 75%. Ms m/z (%): 305 (M^+ , 31), 289 (45), 288 (100), 274 (45). High-resolution ms Calcd for $C_{17}H_{23}NO_4$: 305.1627. Found: 305.1623. 1H -Nmr (270 MHz) δ : 0.92 (3H, t, $J = 6.9$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(CH_2)_3CH_3$), 2.82 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_3CH_3$), 3.94, 3.97, 4.00 (each 3H, s, $3OCH_3$), 7.18 (1H, dd, $J = 8.6, 6.3$ Hz, C_3 -H), 7.97 (1H, d, $J = 8.6$ Hz, C_4 -H), 8.43 (1H, d, $J = 6.3$ Hz, C_2 -H).

10g: Yield 71%. Ms m/z (%): 319 (M^+ , 5), 303 (64), 288 (100), 246 (38). High-resolution ms Calcd for $C_{18}H_{25}NO_4$: 319.1783. Found: 319.1787. 1H -Nmr (270 MHz) δ : 0.90 (3H, t, $J = 6.9$ Hz, CH_2CH_3), 1.2-1.7 (8H, m, $(CH_2)_4CH_3$), 2.81 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_4CH_3$), 3.94, 3.96, 4.00 (each 3H, s, $3OCH_3$), 7.15 (1H, dd, $J = 8.6, 5.9$ Hz, C_3 -H), 7.91 (1H, dd, $J = 8.6, 1.3$ Hz, C_4 -H), 8.36 (1H, dd, $J = 5.9, 1.3$ Hz, C_2 -H).

10h: Yield 80%. Ms m/z (%): 347 (M^+ , 25), 331 (77), 330 (100), 316 (56). High-resolution ms Calcd for $C_{20}H_{29}NO_4$: 347.2096. Found: 347.2098. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (12H, m, $(CH_2)_6CH_3$), 2.81 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_6CH_3$), 3.94, 3.96, 4.00 (each 3H, s, $3OCH_3$), 7.16 (1H, dd, $J = 8.6, 6.1$ Hz, C_3 -H), 7.94 (1H, dd, $J = 8.6, 1.2$ Hz, C_4 -H), 8.39 (1H, dd, $J = 6.1, 1.2$ Hz, C_2 -H).

11a: Yield 31%. Ms m/z (%): 249 (M^+ , 17), 233 (45), 218 (100). High-resolution ms Calcd for $C_{13}H_{15}NO_4$: 249.1001. Found: 249.0993. 1H -Nmr (270 MHz) δ : 2.79 (3H, s, C_4 -CH₃), 3.83, 4.01, 4.02 (each 3H, s, $3OCH_3$), 6.89 (1H, d, $J = 6.3$ Hz, C_3 -H), 6.92 (1H, s, C_7 -H), 8.16 (1H, d, $J = 6.3$ Hz, C_2 -H).

11b: Yield 67%. Ms m/z (%): 263 (M^+ , 16), 247 (45), 246 (31), 232 (100). High-resolution ms Calcd for $C_{14}H_{17}NO_4$: 263.1157. Found: 263.1143. 1H -Nmr (270 MHz) δ : 2.39 (3H, s, C_7 -CH₃), 2.79 (3H, s, C_4 -CH₃), 3.87, 3.92, 3.93 (each 3H, s, $3OCH_3$), 6.88 (1H, d, $J = 6.3$ Hz, C_3 -H), 8.24 (1H, d, $J = 4.3$ Hz, C_2 -H).

11c: Yield 66%. Ms m/z (%): 277 (M^+ , 16), 261 (35), 260 (27), 246 (100). High-resolution ms Calcd for $C_{15}H_{19}NO_4$: 277.1314. Found: 277.1323. 1H -Nmr (270 MHz) δ : 1.24 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 2.79 (3H, s, C_4 -CH₃), 2.87 (2H, q, $J = 7.6$ Hz, CH_2CH_3), 3.87, 3.94, 3.97 (each 3H, s, $3OCH_3$), 6.88 (1H, d, $J = 6.3$ Hz, C_3 -H), 8.22 (1H, d, $J = 6.3$ Hz, C_2 -H).

11d: Yield 60%. Ms m/z (%): 291 (M^+ , 5), 275 (38), 274 (19), 260 (100). High-resolution ms Calcd for $C_{16}H_{21}NO_4$: 291.1470. Found: 291.1469. 1H -Nmr (270 MHz) δ : 1.03 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 1.63 (2H, sextet, $J = 7.6$ Hz, CH_2CH_3), 2.79 (3H, s, C_4 -CH₃), 2.80 (2H, t, $J = 7.6$ Hz, $CH_2CH_2CH_3$), 3.86, 3.93, 3.95 (each 3H, s, $3OCH_3$), 6.88 (1H, d, $J = 6.3$ Hz, C_3 -H), 8.22 (1H, d, $J = 6.3$ Hz, C_2 -H).

11e: Yield 63%. Ms m/z (%): 305 (M^+ , 45), 289 (54), 288 (82), 274 (100), 260 (33). High-resolution ms Calcd for $C_{17}H_{23}NO_4$: 305.1627. Found: 305.1631. 1H -Nmr (270 MHz) δ : 0.96 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.45 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.5-1.7 (2H, m, $CH_2CH_2CH_3$), 2.79 (3H, s, C_4 -CH₃), 2.82 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_2CH_3$), 3.86, 3.93, 3.95 (each 3H, s, $3OCH_3$), 6.87 (1H, d, $J = 6.3$ Hz, C_3 -H), 8.22 (1H, d, $J = 6.3$ Hz, C_2 -H).

11f: Yield 60%. Ms m/z (%): 319 (M^+ , 28), 303 (36), 302 (100), 288 (36). High-resolution ms Calcd for $C_{18}H_{25}NO_4$: 319.1783. Found: 319.1757. 1H -Nmr (400 MHz) δ : 0.92 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(CH_2)_3CH_3$), 2.79 (3H, s, C_4-CH_3), 2.81 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_3CH_3$), 3.86, 3.93, 3.95 (each 3H, s, $3OCH_3$), 6.88 (1H, d, $J = 6.4$ Hz, C_3-H), 8.24 (1H, d, $J = 6.4$ Hz, C_2-H).

11g: Yield 59%. Ms m/z (%): 333 (M^+ , 19), 317 (60), 316 (51), 302 (100), 260 (29). High-resolution ms Calcd for $C_{19}H_{27}NO_4$: 333.1940. Found: 333.1941. 1H -Nmr (270 MHz) δ : 0.90 (3H, t, $J = 6.9$ Hz, CH_2CH_3), 1.3-1.7 (8H, m, $(CH_2)_4CH_3$), 2.79 (3H, s, C_4-CH_3), 2.81 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_4CH_3$), 3.86, 3.93, 3.95 (each 3H, s, $3OCH_3$), 6.88 (1H, d, $J = 6.3$ Hz, C_3-H), 8.22 (1H, d, $J = 6.3$ Hz, C_2-H).

11h: Yield 62%. Ms m/z (%): 361 (M^+ , 20), 345 (73), 344 (57), 330 (100), 260 (31). High-resolution ms Calcd for $C_{21}H_{31}NO_4$: 361.2253. Found: 361.2246. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (12H, m, $(CH_2)_6CH_3$), 2.80 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_6CH_3$), 2.80 (3H, s, C_4-CH_3), 3.86, 3.93, 3.95 (each 3H, s, $3OCH_3$), 6.90 (1H, d, $J = 6.4$ Hz, C_3-H), 8.27 (1H, d, $J = 6.4$ Hz, C_2-H).

(7-Alkyl)-2-chloro-5,6,8-trimethoxy(-4-methyl)quinolines (12a-h, 13a-h) A mixture of *N*-oxide (10a-h, 11a-h) (1 mmol) and phosphorous oxychloride (4 ml, 43 mmol) was heated at 90–100°C for 10 min. The reaction mixture was cooled, poured into ice-water (40 ml), and extracted with CH_2Cl_2 (3 x 40 ml). The extract was washed with water, dried, and evaporated. The residue was chromatographed (eluting with ethyl acetate-hexane 3:7) to afford 2-chloroquinoline (12a-h, 13a-h).

12a: Yield 77%. mp 146–147°C (ether-hexane). Ms m/z (%): 255 ($M^+ + 2$, 20), 253 (M^+ , 61), 240 (34), 238 (100). Anal. Calcd for $C_{12}H_{12}NO_3Cl$: C, 56.82; H, 4.77; N, 5.52. Found: C, 56.96; H, 4.70; N, 5.46. 1H -Nmr (270 MHz) δ : 3.92, 4.03, 4.06 (each 3H, s, $3OCH_3$), 6.88 (1H, s, C_7-H), 7.38 (1H, d, $J = 8.9$ Hz, C_3-H), 8.34 (1H, d, $J = 8.9$ Hz, C_4-H).

12b: Yield 83%. mp 47–51°C (CH_2Cl_2 -hexane). Ms m/z (%): 269 ($M^+ + 2$, 20), 267 (M^+ , 59), 254 (36), 252 (100). Anal. Calcd for $C_{13}H_{14}NO_3Cl$: C, 58.32; H, 5.27; N, 5.23. Found: C, 58.14; H, 5.30; N, 5.18. 1H -Nmr (270 MHz) δ : 2.39 (3H, s, C_7-CH_3), 3.95, 3.97, 4.06 (each 3H, s, $3OCH_3$), 7.31 (1H, d, $J = 8.9$ Hz, C_3-H), 8.33 (1H, d, $J = 8.5$ Hz, C_4-H).

12c: Yield 86%. mp 53–55°C (hexane). Ms m/z (%): 283 ($M^+ + 2$, 14), 281 (M^+ , 41), 268 (35), 266 (100). Anal. Calcd for $C_{14}H_{16}NO_3Cl$: C, 59.68; H, 5.72; N, 4.97. Found: C, 59.62; H, 5.73; N, 4.92. 1H -Nmr (270 MHz) δ : 1.23 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 2.87 (2H, q, $J = 7.6$ Hz, CH_2CH_3), 3.95, 3.99, 4.11 (each 3H, s, $3OCH_3$), 7.31 (1H, d, $J = 8.9$ Hz, C_3-H), 8.33 (1H, d, $J = 8.9$ Hz, C_4-H).

12d: Yield 74%. mp 65–66°C (hexane). Ms m/z (%): 297 ($M^+ + 2$, 23), 295 (M^+ , 58), 284 (34), 280 (100). Anal. Calcd for $C_{15}H_{18}NO_3Cl$: C, 60.91; H, 6.13; N, 4.74. Found: C, 60.75; H, 6.11; N, 4.69. 1H -Nmr (400 MHz) δ : 1.02 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.63 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 2.81 (2H, t, $J = 7.3$ Hz, $CH_2CH_2CH_3$), 3.95, 3.98, 4.10 (each 3H, s, $3OCH_3$), 7.30 (1H, d, $J = 8.6$ Hz, C_3-H), 8.33 (1H, d, $J = 8.6$ Hz, C_4-H).

12e: Yield 84%. oil. Ms m/z (%): 311 ($M^+ + 2$, 25), 309 (M^+ , 68), 296 (35), 294 (100), 282 (11), 280 (35). High-resolution ms Calcd for $C_{16}H_{20}NO_3Cl$: 309.1131. Found: 309.1129. 1H -Nmr (400 MHz) δ : 0.96 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.45 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.5-1.65 (2H, m, $CH_2CH_2CH_3$), 2.83 (2H, t, $J = 7.6$ Hz, $CH_2(CH_2)_2CH_3$), 3.95, 3.98, 4.10 (each 3H, s, $3OCH_3$), 7.30 (1H, d, $J = 8.6$ Hz, C_3-H), 8.32 (1H, d, $J = 8.6$ Hz, C_4-H).

12f: Yield 87%. oil. Ms m/z (%): 325 ($M^+ + 2$, 31), 323 (M^+ , 89), 310 (35), 308 (100), 282 (12), 280 (36). High-resolution ms Calcd for $C_{17}H_{22}NO_3Cl$: 323.1288. Found: 323.1312. 1H -Nmr (270 MHz) δ : 0.91 (3H, t,

$J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(\text{CH}_2)_3\text{CH}_3$), 2.82 (2H, t, $J = 7.6$ Hz, $\text{CH}_2(\text{CH}_2)_3\text{CH}_3$), 3.95, 3.98, 4.10 (each 3H, s, 3OCH_3), 7.30 (1H, d, $J = 8.6$ Hz, $\text{C}_3\text{-H}$), 8.32 (1H, d, $J = 8.6$ Hz, $\text{C}_4\text{-H}$).

12g: Yield 84%. oil. Ms m/z (%): 339 ($\text{M}^+ + 2$, 29), 337 (M^+ , 78), 324 (36), 322 (100), 282 (12), 280 (35). High-resolution ms Calcd for $\text{C}_{18}\text{H}_{24}\text{NO}_3\text{Cl}$: 337.1444. Found: 337.1449. $^1\text{H-Nmr}$ (400 MHz) δ : 0.90 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (8H, m, $(\text{CH}_2)_4\text{CH}_3$), 2.82 (2H, t, $J = 7.9$ Hz, $\text{CH}_2(\text{CH}_2)_4\text{CH}_3$), 3.95, 3.98, 4.10 (each 3H, s, 3OCH_3), 7.30 (1H, d, $J = 8.6$ Hz, $\text{C}_3\text{-H}$), 8.32 (1H, d, $J = 8.6$ Hz, $\text{C}_4\text{-H}$).

12h: Yield 75%. oil. Ms m/z (%): 367 ($\text{M}^+ + 2$, 36), 365 (M^+ , 100), 352 (30), 350 (80), 282 (12), 280 (35). High-resolution ms Calcd for $\text{C}_{20}\text{H}_{28}\text{NO}_3\text{Cl}$: 365.1757. Found: 365.1734. $^1\text{H-Nmr}$ (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.2-1.7 (12H, m, $(\text{CH}_2)_6\text{CH}_3$), 2.81 (2H, t, $J = 7.6$ Hz, $\text{CH}_2(\text{CH}_2)_6\text{CH}_3$), 3.95, 3.98, 4.10 (each 3H, s, 3OCH_3), 7.30 (1H, d, $J = 8.9$ Hz, $\text{C}_3\text{-H}$), 8.32 (1H, d, $J = 8.9$ Hz, $\text{C}_4\text{-H}$).

13a: Yield 63%. mp 148-149°C (CH_2Cl_2 -ether). Ms m/z (%): 269 ($\text{M}^+ + 2$, 20), 267 (M^+ , 57), 254 (35), 252 (100). Anal. Calcd for $\text{C}_{13}\text{H}_{14}\text{NO}_3\text{Cl}$: C, 58.32; H, 5.27; N, 5.23. Found: C, 58.32; H, 5.28; N, 5.19. $^1\text{H-Nmr}$ (270 MHz) δ : 2.85 (3H, d, $J = 0.7$ Hz, $\text{C}_4\text{-CH}_3$), 3.85, 4.02, 4.05 (each 3H, s, 3OCH_3), 6.89 (1H, s, $\text{C}_7\text{-H}$), 7.14 (1H, q, $J = 0.7$ Hz, $\text{C}_3\text{-H}$).

13b: Yield 86%. mp 68-72°C (CH_2Cl_2 -hexane). Ms m/z (%): 283 ($\text{M}^+ + 2$, 17), 281 (M^+ , 50), 268 (33), 266 (100). Anal. Calcd for $\text{C}_{14}\text{H}_{16}\text{NO}_3\text{Cl}$: C, 59.68; H, 5.72; N, 4.97. Found: 59.45; H, 5.71; N, 4.89. $^1\text{H-Nmr}$ (270 MHz) δ : 2.39 (3H, s, $\text{C}_7\text{-CH}_3$), 2.83 (3H, d, $J = 0.7$ Hz, $\text{C}_4\text{-CH}_3$), 3.89, 3.91, 4.01 (each 3H, s, 3OCH_3), 7.08 (1H, q, $J = 0.7$ Hz, $\text{C}_3\text{-H}$).

13c: Yield 71%. mp 82-84°C (hexane). Ms m/z (%): 297 ($\text{M}^+ + 2$, 17), 295 (M^+ , 48), 282 (34), 280 (100). Anal. Calcd for $\text{C}_{15}\text{H}_{18}\text{NO}_3\text{Cl}$: C, 60.91; H, 6.13; N, 4.74. Found: C, 60.90; H, 6.23; N, 4.67. $^1\text{H-Nmr}$ (270 MHz) δ : 1.23 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 2.83 (3H, s, $\text{C}_4\text{-CH}_3$), 2.86 (2H, q, $J = 7.6$ Hz, CH_2CH_3), 3.88, 3.96, 4.06 (each 3H, s, 3OCH_3), 7.07 (1H, s, $\text{C}_3\text{-H}$).

13d: Yield 84%. mp 41-43°C (hexane). Ms m/z (%): 311 ($\text{M}^+ + 2$, 16), 309 (M^+ , 46), 296 (35), 294 (100). Anal. Calcd for $\text{C}_{16}\text{H}_{20}\text{NO}_3\text{Cl}$: C, 62.03; H, 6.51; N, 4.52. Found: 61.93; H, 6.56; N, 4.49. $^1\text{H-Nmr}$ (270 MHz) δ : 1.02 (3H, t, $J = 7.6$ Hz, CH_2CH_3), 1.63 (2H, sextet, $J = 7.6$ Hz, CH_2CH_3), 2.79 (2H, t, $J = 7.6$ Hz, $\text{CH}_2\text{CH}_2\text{CH}_3$), 2.83 (3H, d, $J = 1.0$ Hz, $\text{C}_4\text{-CH}_3$), 3.87, 3.94, 4.05 (each 3H, s, 3OCH_3), 7.07 (1H, q, $J = 1.0$ Hz, $\text{C}_3\text{-H}$).

13e: Yield 84%. oil. Ms m/z (%): 325 ($\text{M}^+ + 2$, 17), 323 (M^+ , 50), 310 (35), 308 (100). High-resolution ms Calcd for $\text{C}_{17}\text{H}_{22}\text{NO}_3\text{Cl}$: 323.1288. Found: 323.1288. $^1\text{H-Nmr}$ (270 MHz) δ : 0.96 (3H, t, $J = 7.3$ Hz, CH_2CH_3), 1.44 (2H, sextet, $J = 7.3$ Hz, CH_2CH_3), 1.5-1.65 (2H, m, $\text{CH}_2\text{CH}_2\text{CH}_3$), 2.81 (2H, t, $J = 7.9$ Hz, $\text{CH}_2(\text{CH}_2)_2\text{CH}_3$), 2.83 (3H, d, $J = 1.0$ Hz, $\text{C}_4\text{-CH}_3$), 3.87, 3.95, 4.05 (each 3H, s, 3OCH_3), 7.07 (1H, q, $J = 1.0$ Hz, $\text{C}_3\text{-H}$).

13f: Yield 85%. oil. Ms m/z (%): 339 ($\text{M}^+ + 2$, 24), 337 (M^+ , 68), 324 (36), 322 (100), 296 (9), 294 (27). High-resolution ms Calcd for $\text{C}_{18}\text{H}_{24}\text{NO}_3\text{Cl}$: 337.1444. Found: 337.1437. $^1\text{H-Nmr}$ (400 MHz) δ : 0.91 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (6H, m, $(\text{CH}_2)_3\text{CH}_3$), 2.80 (2H, t, $J = 7.9$ Hz, $\text{CH}_2(\text{CH}_2)_3\text{CH}_3$), 2.83 (3H, d, $J = 0.6$ Hz, $\text{C}_4\text{-CH}_3$), 3.87, 3.94, 4.05 (each 3H, s, 3OCH_3), 7.07 (1H, q, $J = 0.6$ Hz, $\text{C}_3\text{-H}$).

13g: Yield 89%. oil. Ms m/z (%): 353 ($\text{M}^+ + 2$, 20), 351 (M^+ , 55), 338 (36), 336 (100), 296 (9), 294 (26). High-resolution ms Calcd for $\text{C}_{19}\text{H}_{26}\text{NO}_3\text{Cl}$: 351.1601. Found: 351.1608. $^1\text{H-Nmr}$ (400 MHz) δ : 0.89 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (8H, m, $(\text{CH}_2)_4\text{CH}_3$), 2.80 (2H, t, $J = 7.9$ Hz, $\text{CH}_2(\text{CH}_2)_4\text{CH}_3$), 2.83 (3H, d, $J = 0.9$ Hz, $\text{C}_4\text{-CH}_3$), 3.87, 3.94, 4.05 (each 3H, s, 3OCH_3), 7.07 (1H, q, $J = 0.9$ Hz, $\text{C}_3\text{-H}$).

13h: Yield 86%. oil. Ms m/z (%): 381 ($M^{+}+2$, 37), 379 (M^{+} , 100), 366 (34), 364 (96), 296 (9), 294 (28). High-resolution ms Calcd for $C_{21}H_{30}NO_3Cl$: 379.1914. Found: 379.1909. 1H -Nmr (400 MHz) δ : 0.88 (3H, t, $J = 7.0$ Hz, CH_2CH_3), 1.3-1.7 (12H, m, $(CH_2)_6CH_3$), 2.80 (2H, t, $J = 7.9$ Hz, $CH_2(CH_2)_6CH_3$), 2.83 (3H, d, $J = 0.9$ Hz, C_4-CH_3), 3.87, 3.94, 4.05 (each 3H, s, $3OCH_3$), 7.07 (1H, q, $J = 0.9$ Hz, C_3-H).

Oxidative Demethylation of 5,6,8-Trimethoxyquinolinones (5, 7-9, 12, 13) A solution of CAN (1370 mg, 2.5 mmol) in acetonitrile-water (1:1, 5 ml) was added dropwise to 5,6,8-trimethoxyquinoline (5, 7-9, 12, 13) (0.5 mmol) dissolved in acetonitrile-water (3:1, 10 ml) (or acetonitrile, 10 ml) containing (suspended) pyridine-2,6-dicarboxylic acid *N*-oxide (458 mg, 2.5 mmol) at 0-5°C. The mixture was kept at 0-5°C for 30 min, diluted with water (50 ml), and extracted with CH_2Cl_2 (3 x 25 ml). The extract was washed with brine, dried and evaporated. The residue was chromatographed (eluting with ethyl acetate-hexane, ethyl acetate-methanol, or ethyl acetate- CH_2Cl_2) to afford the corresponding *p*-quinone (14-19) and/or *o*-quinone (20-25).

Condensation of *o*-Quinones (22a, b) with *o*-Phenylenediamine (26) A mixture of *o*-quinone (22a, b) (0.2 mmol) and *o*-phenylenediamine (26) (22 mg, 0.2 mmol) in ethanol (8 ml) containing acetic acid (0.2 ml) was refluxed for 30 min, and then evaporated. The residue was dissolved in CH_2Cl_2 (20 ml). The solution was washed with 10% $NaHCO_3$ solution (20 ml) and water, dried, concentrated, and chromatographed (eluting with CH_2Cl_2 -ethanol (19:1) or ethyl acetate-benzene (3:17)) to afford the corresponding pyridophenazine (27a, b).

27a: Yield 86%. mp 184-186°C (CH_2Cl_2 -hexane). Ms m/z (%): 261 (M^{+} , 100), 260 (83), 232 (95), 231 (45), 230 (33). Anal. Calcd for $C_{16}H_{11}N_3O \cdot 1/4 H_2O$: C, 72.30; H, 4.36; N, 15.81. Found: C, 72.25; H, 4.63; N, 15.90. 1H -Nmr (400 MHz) δ : 4.25 (3H, s, OCH_3), 7.39 (1H, s, C_6-H), 7.74 (1H, dd, $J = 8.1, 4.4$ Hz, C_2-H), 7.77-7.90 (2H, m, C_9-H, C_{10-H}), 8.18 (1H, dd, $J = 8.4, 1.1$ Hz, C_8-H), 8.27 (1H, dd, $J = 8.4, 1.1$ Hz, C_{11-H}), 9.13 (1H, dd, $J = 4.4, 1.8$ Hz, C_3-H), 9.58 (1H, dd, $J = 8.1, 1.8$ Hz, C_1-H).

27b: Yield 82%. mp 187-189°C (ether-hexane). Ms m/z (%): 275 (M^{+} , 100), 260 (69), 246 (64). Anal. Calcd for $C_{17}H_{13}N_3O$: C, 74.17; H, 4.76; N, 15.26. Found: C, 74.12; H, 4.55; N, 15.24. 1H -Nmr (270 MHz) δ : 2.91 (3H, s, C_6-CH_3), 4.23 (3H, s, OCH_3), 7.69 (1H, dd, $J = 7.9, 4.3$ Hz, C_2-H), 7.82-7.94 (2H, m, C_9-H, C_{10-H}), 8.28-8.39 (2H, m, C_8-H, C_{11-H}), 9.12 (1H, dd, $J = 4.3, 1.7$ Hz, C_3-H), 9.64 (1H, dd, $J = 7.9, 1.7$ Hz, C_1-H).

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Received, 15th November, 1993