A REGIOSPECIFIC SYNTHESIS OF HIGHLY SUBSTITUTED ACRIDONES

Colette Mongrain and Paul Brassard*

Département de chimie, Université Laval, Québec (Québec), Canada G1K 7P4

Abstract - The regiospecific substitution of isomeric halogenoquinones by the appropriate sulfonamide can be carried in the presence of fluoride ions and affords the corresponding *o*-methoxycarbonylanilinoquinones of definite structure. After reductive methylation, saponification and cyclization, these substrates provide ready access to highly substituted acridones and benz[*b*]acridones some of which are difficultly obtained by other means.

Acridones bearing numerous oxygenated substituents are currently coming to light with increasing frequency.[1] The synthesis of some such substances for structural confirmation as well as for various biological evaluations is possible but impractical by existing procedures. Indeed, the excellent methods[2] proposed until now are generally advantageous only if relatively few substituents are present. A simple regiospecific approach applicable to substrates showing large numbers of oxygenated or other groups arranged in particular patterns is therefore urgently required.

Methods involving anthranilic acids or their derivatives, for which many appropriately substituted examples are commercially available or readily accessible,[3] in conjunction with highly oxygenated electrophiles such as

quinones, provide the desired criteria. Thus, the recent observation[4] that regiospecific substitutions of halogenated benzo- and naphthoquinones can be carried out in dipolar aprotic solvents and in the presence of fluoride ions, now affords the effective basis for such a strategy.

In most substitutions of quinones, a regiospecific process is none the less usually conditioned by the electronic effects of other groups in the molecule. As expected, this influence is greater the closer it intervenes to the substitution site and could be seen to be more important in monocyclic than in bicyclic quinones. Thus, in substrates where unfavorable electron effects prevail, such as 2,6-disubstituted benzoquinones (i.e. **1a**), yields do not exceed 35% whereas an efficiency of 60% is recorded in the case of 2-chlorojuglone ethers (i.e. **4c**).

The various functions on the nucleophile were also shown to play determining roles in the substitution process. As noted earlier,[4] the desired reaction requires the presence of an electron-withdrawing group on the aromatic ring of the sulfonanilide (**2a-d**) however the exact nature of the sulfonyl group seems to be largely irrelevant. The delicate balance of electronic effects required for the conversion to take place is emphasized by the observation that electron-releasing groups ortho or para to the amide increase the efficiency of the process. Methoxyl substituents meta to the same function have the opposite effect and in the case of quinone (**1a**) and sulfonamide (**2d**) give only the reoxidized addition product. Possibly the most surprising aspect of the reaction however concerns the apparent lack of untoward steric inhibition. This is stressed by the fact that even substitutions with 2,6-disubstituted sulfonanilides such as (**2b**) occur in very high yield.

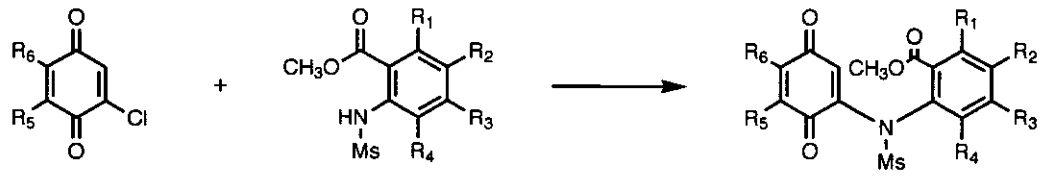
Displacement reactions that proceed only with modest yields, as in the case of quinone (**1a**) were found upon careful chromatographic analysis to provide very little in the way of by-products. The fairly vigorous experimental conditions favor extensive decomposition and as a rule the reaction mixture provide only one other product in a 5-8% proportion. All attempts to minimize formation of the latter by the usual means (changes in temperature, concentration, nature of solvent or addition of interactive agents) remained unsuccessful. This compound is easily separated from the desired product but could not be obtained completely pure by

chromatography or recrystallization. From the nmr data, it seems to result from the combination of one molecule of the amide and three of the quinone but a precise structure cannot be proposed at present.

Of the numerous parameters examined for this reaction, the nature of the solvent seemed to show the greatest effect. DMF was found to be superior to CH_3CN particularly for the more critical substrates since it allowed a wider range of conditions. Anhydrous CsF deposited on celite was preferred to KF since it seemed to enhance the desired reaction at the expense of decomposition. Various catalysts or additives such as Ag_2O, CuO, Cu_2O, NaN_3, $MgCl_2$, $CuCl_2$, CH_3CO_2Ag, $Pd(PPh_3)_4$, montmorillonite and 13X molecular sieves were also closely examined and rejected. However the use of 18-crown-6 ether which increased the solubility and nucleophilicity[5] of the fluoride was eventually retained. In all cases, reaction times must be carefully monitored since under optimal conditions degradation of the product also sets in (Schemes I and II).

Cyclization of the foregoing substrates can in principle be carried out directly,[6] but yields are then usually mediocre giving products that must subsequently be reduced. Naturally occurring polyoxygenated acridones tend to occur as methyl or methylene ethers. In consequence, the quinones were systematically reduced and methylated simultaneously under phase-transfer conditions. The process generally proceeds in high yield but the intermediate hydroquinone was observed to be particularly sensitive, even in the absence of oxygen. Efficiency therefore suffers accordingly if the reduction step is unavoidably protracted or if the addition of dimethyl sulfate is unduly delayed.

Although the cyclization of these esters can also be envisioned under thermal conditions,[7] most methods use the corresponding free acids which can be obtained, generally in high yield, by conventional saponification. Acridones have long been obtained from *N*-phenylanthranilic acids using a variety of condensing agents.[2a] Warming compounds of this type in conc. H_2SO_4,[8] formic acid,[2e] polyphosphoric acid[9] and even trifluoroacetic and heptafluorobutyric acids[2e] were initially unsuccessful. It rapidly became clear that polymethoxylated acridones were sensitive under these conditions and in particular prone to ether cleavage. This eventuality



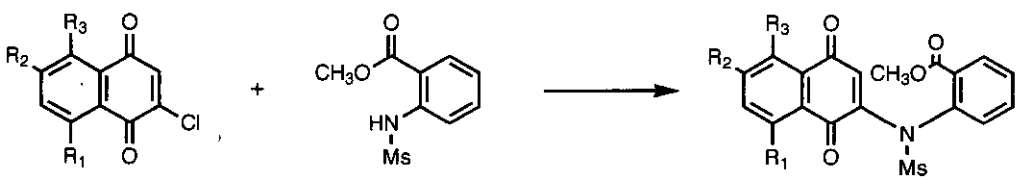
1 **2** **3**

	R_5	R_6
a	OCH_3	H
b	H	OCH_3
c	OCH_3	OCH_3

	R_1	R_2	R_3	R_4
a	H	H	H	H
b	H	H	H	OCH_3
c	H	OCH_3	OCH_3	OCH_3
d	OCH_3	OCH_3	OCH_3	H

	R_1	R_2	R_3	R_4	R_5	R_6
a	H	H	H	H	OCH_3	H
b	H	H	H	H	H	OCH_3
c	H	H	H	H	OCH_3	OCH_3
d	H	H	H	OCH_3	OCH_3	H
e	H	H	H	OCH_3	H	OCH_3
f	H	H	H	OCH_3	OCH_3	OCH_3
g	H	OCH_3	OCH_3	OCH_3	OCH_3	H
h	H	OCH_3	OCH_3	OCH_3	H	OCH_3
i	OCH_3	OCH_3	OCH_3	H	H	OCH_3

Scheme I



4 **2a** **5**

	R_1	R_2	R_3
a	OCH_3	H	H
b	OCH_3	OCH_3	H
c	H	H	OCH_3

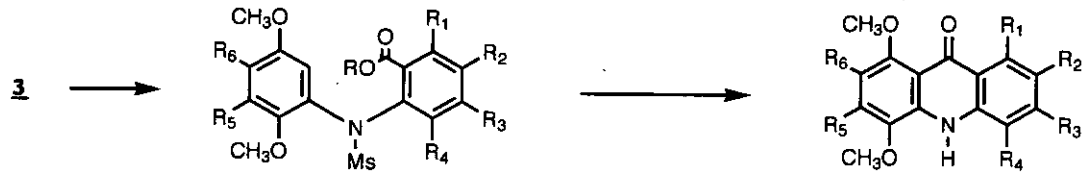
Scheme II

suggested recourse to a classic approach using $POCl_3$[10] which involves less reactive intermediates, the 9-chloroacridines (the method also affords the advantage of simultaneously eliminating the henceforth useless sulfonyl group). Even under ideal conditions, a compound such as (**8c**) is demethylated in the 1 position to an extent of 14% when mild acid hydrolysis is extended to 1.5 hours. Finally, this approach proved inadequate for the cyclization of sulfonamides (**10a-c**), benzacridones being produced in only 14 and 9% respectively from acids (**10a**) and (**10b**). The tetracyclic analogues of bikaverin (**11a-c**) could eventually be obtained by conducting the reactions in trifluoroacetic anhydride[11] but in this event the labile methanesulfonyl group is of course retained (Schemes III and IV).

In establishing this methodology occasion has also been provided to synthesize several natural products or previously described acridones. Comparison of unambiguously obtained substances with the former thus provides invaluable verification of structures for which very different physical characteristics, mainly mps have at times been ascribed. 1,2,3,4-Tetramethoxyacridone (**8c**) was found to be indistinguishable from the natural product[12] by mmp and direct comparison although the published mp is much higher. A minor by-product of the preparation of acridone (**8c**), 1-hydroxy-2,3,4-trimethoxyacridone was obviously identical to the natural product recently so identified;[13] it also appears to be the same as the substance described[14] as the tetramethylether (**8c**) since the latter has been found to be partially demethylated under the conditions used. The identities of acridones (**8a**) and (**8b**), in spite of meager characterization,[14] seem to be confirmed by the present exercise while the properties of the *N*-methyl derivative of (**8a**) fully corroborate the structure proposed for the natural product.[14,15]

EXPERIMENTAL

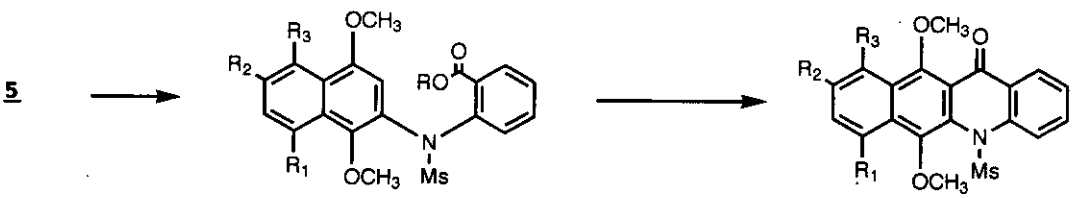
All melting points were taken for samples in capillary tubes with a Thomas-Hoover apparatus and are not corrected. The ir spectra were determined on a Perkin Elmer Model 1600 FT-IR spectrophotometer and nmr spectra were recorded with a Varian XL-200 spectrometer using tetramethylsilane as internal standard. Mass spectra were obtained with a Hewlett-Packard 5995A spectrometer. ICN SiliTech 32-63 60A for flash



	R
6	CH_3
7	H

	R_1	R_2	R_3	R_4	R_5	R_6
a	H	H	H	H	OCH_3	H
b	H	H	H	H	H	OCH_3
c	H	H	H	H	OCH_3	OCH_3
d	H	H	H	OCH_3	OCH_3	H
e	H	H	H	OCH_3	H	OCH_3
f	H	H	H	OCH_3	OCH_3	OCH_3
g	H	OCH_3	OCH_3	OCH_3	OCH_3	H
h	H	OCH_3	OCH_3	OCH_3	H	OCH_3
i	OCH_3	OCH_3	OCH_3	H	H	OCH_3

Scheme III



	R
9	CH_3
10	H

	R_1	R_2	R_3
a	OCH_3	H	H
b	OCH_3	OCH_3	H
c	H	H	OCH_3

Scheme IV

chromatography was used throughout in a product-to-adsorbent ration of 1:50-100. Elemental analyses were carried out by Galbraith Laboratories, Inc., Knoxville, TN. Exact masses were provided by the Laboratoire de spectrométrie de masse, Université de Montréal, Qué.

I Preparation of *N*-mesyl-2-(2-methoxycarbonylanilino)quinones (3, 5).

Method A. The halogenated quinone (1.0 mmol) and methyl *N*-mesylanthranilate (1.0 mmol) in dry DMF (10 ml) were added to a suspension of 50% CsF (0.228 g, 1.5 mmol) on celite and 18-crown-6 ether (0.026 g, 0.1 mmol) in the same medium (10 ml) which had previously been heated to 45-65°C for 1 h. The mixture was stirred at 45-65°C for 2-9 h, cooled, poured into saturated aqueous NaCl and extracted with ether (3 × 100 ml). The residue from the dried ($MgSO_4$) extracts was purified by flash chromatography using CH_2Cl_2 - EtOAc 10:1 as eluent.

N-Mesyl-6-methoxy-2-(6-methoxy-2-methoxycarbonylanilino)-*p*-benzoquinone (3d).

Application of method A to 2-chloro-6-methoxybenzoquinone[16] (**1a**) and *N*-mesylanthranilate (**2b**) (65°C, 5 h) afforded *N*-mesylanilinoquinone (**3d**) (34%), mp 195.5-196.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1737, 1699, 1641, 1592, 1367 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.41 (3H, s, SO_2CH_3), 3.83, 3.85 and 3.87 (3 × 3H, 3s, 6,6'-OCH_3 and 2'-CO_2CH_3), 5.86 (1H, d, J = 2.2 Hz, 5-H), 6.37 (1H, d, J = 2.0 Hz, 3-H), 7.09 (1H, dd, J = 6.0; 3.8 Hz, 5'-H), 7.36-7.45 (2H, m, 3', 4'-H); ms (m/z) 395 (15) $(M)^+$, 316 (100). Anal. Calcd for $C_{17}H_{17}NO_8S$: C, 51.64; H, 4.33; N, 3.54. Found: C, 51.76; H, 4.56; N, 3.39.

N-Mesyl-5-methoxy-2-(6-methoxy-2-methoxycarbonylanilino)-*p*-benzoquinone (3e).

The reaction of 2-chloro-5-methoxybenzoquinone[16] (**1b**) with sulfonamide (**2b**), according to method A (45°C, 4 h), gave quinone (**3e**) (84%), mp 195°C (decomp) (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1733, 1668, 1629, 1590, 1364 cm^{-1}; ^{1}H-nmr (400 MHz, $CDCl_3$) δ 3.46 (3H, s, SO_2CH_3), 3.82, 3.83 and 3.86 (3 × 3H, 3s, 5,6'-OCH_3 and 2'-CO_2CH_3), 5.91 (1H, s, 6-H), 6.20 (1H, s, 3-H), 7.14 (1H, dd, J = 8.0; 1.7 Hz, 5'-H), 7.46 (1H, t, J = 7.9 Hz, 4'-H), 7.50 (1H, dd, J = 7.8; 2.5 Hz, 3'-H); ms (m/z) 395 (< 1) $(M)^+$, 79 (100). Anal. Calcd for

$C_{17}H_{17}NO_8S$: C, 51.64; H, 4.33; N, 3.54. Found: C, 51.67; H, 4.33; N, 3.58.

***N*-Mesyl-5,6-dimethoxy-2-(6-methoxy-2-methoxycarbonylanilino)-*p*-benzoquinone (3f).**

The substitution of 2-chloro-5,6-dimethoxybenzoquinone[17] (**1c**) by sulfonamide (**2b**) (method A) (65°C, 5 h) provided quinone (**3f**) (67%), mp 129.0-129.5°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1735, 1689, 1642, 1595, 1356 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.43 (3H, s, SO_2CH_3), 3.82, 3.86, 3.99 and 4.03 (4 × 3H, 4s, 5,6,6'-OCH_3 and 2'-CO_2CH_3), 6.07 (1H, s, 3-H), 7.10 (1H, dd, J = 6.7; 3.1 Hz, 5'-H), 7.41-7.50 (2H, m, 3', 4'-H); ms (m/z) 425 (30) $(M)^+$, 200 (100). Anal. Calcd for $C_{18}H_{19}NO_9S$: C, 50.82; H, 4.50; N, 3.29. Found: C, 50.75; H, 4.72; N, 3.16.

***N*-Mesyl-6-methoxy-2-(4,5,6-trimethoxy-2-methoxycarbonylanilino)-*p*-benzoquinone (3g)**

When applied to quinone (**1a**) and sulfonamide (**2c**) (65°C, 4 h) method A yielded substituted quinone (**3g**) (34%), mp 190.5-191.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1732, 1702, 1644, 1592, 1354 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.45 (3H, s, SO_2CH_3), 3.86, 3.90, 3.91 and 3.94 (6H, 3H, 3H, 3H, 4s, 4',5',6,6'-OCH_3 and 2'-CO_2CH_3), 5.88 (1H, d, J = 2.3 Hz, 5-H), 6.35 (1H, d, J = 2.4 Hz, 3-H), 7.20 (1H, s, 3'-H); ms (m/z) 455 (33) $(M)^+$, 69 (100). Anal. Calcd for $C_{19}H_{21}NO_{10}S$: C, 50.11; H, 4.65; N, 3.08. Found: C, 50.44; H, 4.64; N, 2.96.

***N*-Mesyl-5-methoxy-2-(4,5,6-trimethoxy-2-methoxycarbonylanilino)-*p*-benzoquinone (3h)**

In a reaction similar to the foregoing, quinone (**1b**) and sulfonamide (**2c**) (45°C, 2.5 h) gave quinone (**3h**) (85%), mp 155.0-156.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1726, 1676, 1668, 1598, 1356 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.49 (3H, s, SO_2CH_3), 3.85, 3.91 and 3.95 (6H, 6H, 3H, 3s, 4',5,5',6'-OCH_3 and 2'-CO_2CH_3), 5.94 (1H, s, 6-H), 6.22 (1H, s, 3-H), 7.23 (1H, s, 3'-H); ms (m/z) 455 (27) $(M)^+$, 376 (100). Anal. Calcd for $C_{19}H_{21}NO_{10}S$: C, 50.11; H, 4.65; N, 3.08. Found: C, 50.42; H, 4.59; N, 2.99.

***N*-Mesyl-5-methoxy-2-(3,4,5-trimethoxy-2-methoxycarbonylanilino)-*p*-benzoquinone (3i)**

When quinone (**1b**) reacted with amide (**2d**) as per method A (65°C, 9 h), there resulted benzoquinone (**3i**)

(70%), mp 138.5-139.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1737, 1682, 1676, 1602, 1361 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.48 (3H, s, SO_2CH_3), 3.77, 3.86, 3.90, 3.91 and 3.92 (5 × 3H, 5s, 3',4',5,5'-OCH_3 and 2'-CO_2CH_3), 5.96 (1H, s, 6-H), 6.26 (1H, s, 3-H), 6.95 (1H, s, 6'-H); ms (m/z) 455 (36) $(M)^+$, 344 (100). Anal. Calcd for $C_{19}H_{21}NO_{10}S$: C, 50.11; H, 4.65; N, 3.08. Found: C, 50.16; H, 4.90; N, 2.98.

***N*-Mesyl-6,8-dimethoxy-2-(2-methoxycarbonylanilino)-*p*-naphthoquinone (5b).**

According to method A (65°C, 5 h), naphthoquinone[18] (**4b**) and sulfonamide (**2a**) provided quinone (**5b**) (81%), mp 171.0-171.5°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1720, 1671, 1652, 1590, 1351 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.45 (3H, s, SO_2CH_3), 3.82, 3.86 and 3.92 (3 × 3H, 3s, 5,7-OCH_3 and 2'-CO_2CH_3), 6.46 (1H, s, 2-H), 6.68 (1H, d, J = 2.4 Hz, 6-H), 7.10 (1H, d, J = 2.4 Hz, 8-H), 7.38-7.58 (3H, m, 4',5',6'-H), 7.87 (1H, dd, J = 7.2; 2.0 Hz, 3'-H); ms (m/z) 445 (17) $(M)^+$, 366 (100); *hmrs calcd for* $C_{21}H_{19}NO_8S$, 445.0831, found: 445.0829.

II Preparation of N-mesyl-2-(2-methoxycarbonylanilino)hydroquinone dimethyl ethers (6, 9) and of the corresponding carboxylic acids (7, 10)

Method B. *A solution of N-mesylanilinoquinone* (**3, 5**) (1.0 mmol) and cetyltrimethylammonium bromide (0.048 g, 0.13 mmol) in THF (8.0 ml) was diluted with H_2O (2.2 ml), treated with $Na_2S_2O_4$ (1.1 g, 6.0 mmol) in H_2O (4.0 ml) and vigorously stirred under N_2 until the color disappeared. After addition of KOH (1.25 g, 22.3 mmol) in H_2O (3.2 ml) and dimethyl sulfate (1.05 ml, 11.1 mmol), the mixture was again stirred at room temperature for 14 h, concentrated under vacuum and diluted with H_2O (20 ml). The crude product obtained by extraction of the aqueous suspension with CH_2Cl_2 (3 × 100 ml) ($MgSO_4$) was purified by flash chromatography using CH_2Cl_2 as eluent.

Method C. To a solution of 2N KOH (20 ml) and ethanol (30 ml) was added the methyl ester (**3, 5**) (1.0 mmol). The mixture was stirred at room temperature for 24 h, concentrated under vacuum and acidified with 2N HCl. The precipitate was filtered off, washed with H_2O, dried and purified by crystallization.

***N*-Mesyl-1,4,6-trimethoxy-2-(2-methoxycarbonylanilino)benzene (6a)**

Reductive methylation of quinone[4] (**3a**) (method B) gave triether (**6a**) in nearly quantitative yield, mp 149.0-149.5°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1729, 1597, 1501, 1340 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.18 (3H, s, SO_2CH_3), 3.74, 3.80, 3.81 and 3.90 (4 × 3H, 4s 1,4,6-OCH_3 and 2'-CO_2CH_3), 6.45 (1H, d, J = 2.9 Hz, 5-H), 7.00 (1H, d, J = 2.9 Hz, 3-H), 7.32 (1H, td, J = 7.5; 1.3 Hz, 4'-H), 7.46 (1H, td, J = 7.4; 1.7 Hz, 5'-H), 7.68-7.72 (2H, m, 3',6'-H); ms (m/z) 395 (61) $(M)^+$, 155 (100). Anal. Calcd for $C_{18}H_{21}NO_7S$: C, 54.67; H, 5.35; N, 3.54. Found: C, 54.42; H, 5.30; N, 3.35. Acid (**7a**) (method C; 88%), mp 186.0°C decomp. (from C_6H_6).

***N*-Mesyl-1,4,5-trimethoxy-2-(2-methoxycarbonylanilino)benzene (6b)**

Application of method B to quinone[4] (**3b**) afforded triether (**6b**) (76%), mp 144.0-144.5°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1729, 1598, 1518, 1333 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.07 (3H, s, SO_2CH_3), 3.79, 3.83, 3.89 and 3.92 (4 × 3H, 4s, 1,4,5-OCH_3 and 2'-CO_2CH_3), 6.52 (1H, s, 6-H), 7.29 (1H, td, J = 7.6; 1.3 Hz, 4'-H), 7.42 (1H, td, J = 7.9; 1.8 Hz, 5'-H), 7.63 (1H, s, 3-H), 7.69 (1H, dd, J = 7.9; 1.4 Hz, 6'-H), 7.71 (1H, dd, J = 7.7; 1.8 Hz, 3'-H); ms (m/z) 395 (19) $(M)^+$, 316 (100). Anal. Calcd for $C_{18}H_{21}NO_7S$: C, 54.67; H, 5.35; N, 3.54. Found: C, 54.55; H, 5.30; N, 3.28. Acid (**7b**) (method C; in nearly quantitative yield), mp 193.0°C decomp (from EtOH–H_2O).

***N*-Mesyl-1,4,5,6-tetramethoxy-2-(2-methoxycarbonylanilino)benzene (6c)**

Quinone[4] (**3c**) was converted by method B into ether (**6c**) in nearly quantitative yield, mp 117.0-117.5°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1722, 1592, 1489, 1344 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.17 (3H, s, SO_2CH_3), 3.81, 3.87, 3.89, 3.91 and 3.92 (5 × 3H, 5s, 1,4,5,6-OCH_3 and 2'-CO_2CH_3), 7.33 (1H, s, 3-H), 7.34 (1H, td, J = 7.6; 1.3 Hz, 4'-H), 7.50 (1H, td, J = 7.9; 1.8 Hz, 5'-H), 7.72 (1H, dd, J = 8.0; 1.3 Hz, 6'-H), 7.74 (1H, dd, J = 7.7; 1.8 Hz, 3'-H); ms (m/z) 425 (43) $(M)^+$, 346 (100). Anal. Calcd for $C_{19}H_{23}NO_8S$: C, 53.64; H, 5.45; N, 3.29. Found: C, 53.64; H, 5.38; N, 3.04. Acid (**7c**) (method C; in nearly quantitative yield), mp 128.5-129.0°C (from C_6H_6 - hexanes).

***N*-Mesyl-1,4,6-trimethoxy-2-(6-methoxy-2-methoxycarbonylanilino)benzene (6d)**

As per method B, quinone (**3d**) gave polyether (**6d**) (75%), mp 153.0-153.5°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1732, 1591, 1499, 1335 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.19 (3H, s, SO_2CH_3), 3.62, 3.70, 3.79, 3.83 and 3.87 (5 × 3H, 5s, 1,4,6,6'-OCH_3 and 2'-CO_2CH_3), 6.40 (1H, d, J = 2.9 Hz, 5-H), 6.97 (1H, d, J = 2.9 Hz, 3-H), 7.08 (1H, ~t, J = 4.9 Hz, 5'-H), 7.26-7.33 (2H, m, 3',4'-H); ms (m/z) 425 (100) $(M)^+$; hmrs calcd for *$C_{19}H_{23}NO_8S$: 425.1144, found: 425.1136. Acid (**7d**) (method C; 90%), mp* 191.5-192.0°C (from C_6H_6 - hexanes).

***N*-Mesyl-1,4,5-trimethoxy-2-(6-methoxy-2-methoxycarbonylanilino)benzene (6e)**

As in the foregoing procedures, quinone (**3e**) was converted to ether (**6e**) (83%), mp 138.5-139.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1740, 1606, 1582, 1522, 1330 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.15 (3H, s, SO_2CH_3), 3.78, 3.79, 3.85 and 3.93 (3H, 3H, 6H, 3H, 4s, 1,4,5,6'-OCH_3 and 2'-CO_2CH_3), 6.49 (1H, s, 6-H), 7.06 (1H, dd, J = 6.6; 3.3 Hz, 5'-H), 7.25-7.35 (2H, m, 3',4'-H); ms (m/z) 425 (29) $(M)^+$, 346 (100). Anal. Calcd for $C_{19}H_{23}NO_8S$: C, 53.64; H, 5.45; N, 3.29. Found: C, 53.58; H, 5.42; N, 3.18. Acid (**7e**) (method C; in nearly quantitative yield), mp 186.5-187.0°C (decomp) (from C_6H_6).

***N*-Mesyl-1,4,5,6-tetramethoxy-2-(6-methoxy-2-methoxycarbonylanilino)benzene (6f)**

Method B, when applied to quinone (**3f**), gave pentaether (**6f**) (74%), mp 141.0-141.5°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1735, 1583, 1497, 1330 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.19 (3H, s, SO_2CH_3), 3.74, 3.78, 3.84, 3.87, 3.88 and 3.91 (6 × 3H, 6s 1,4,5,6,6'-OCH_3 and 2'-CO_2CH_3), 7.09 (1H, dd, J = 5.7; 4.1 Hz, 5'-H), 7.22 (1H, s, 3-H), 7.31-7.40 (2H, m, 3',4'-H); ms (m/z) 455 (27) $(M)^+$, 376 (100). Anal. Calcd for $C_{20}H_{25}NO_9S$: C, 52.74; H, 5.53; N, 3.08. Found: C, 52.62; H, 5.46; N, 2.98. Acid (**7f**) (method C; 92%), mp 75.0-76.0°C (from C_6H_6 - hexanes).

***N*-Mesyl-1,4,6-trimethoxy-2-(4,5,6-trimethoxy-2-methoxycarbonylanilino)benzene (6g)**

Via method B, quinone (**3g**) provided hexaether (**6g**) (54%), mp 117.0-118.8°C (from C_6H_6 - hexanes); ir ν_{max}

(KBr) 1721, 1586, 1498, 1332 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.23 (3H, s, SO_2CH_3), 3.69, 3.70, 3.74, 3.82, 3.87 and 3.89 (3H, 3H, 3H, 3H, 3H, 6H, 6s, 1,4,4',5',6,6'-OCH_3 and 2'-CO_2CH_3), 6.40 (1H, d, J = 2.9 Hz, 5-H), 6.95 (1H, d, J = 2.9 Hz, 3-H), 7.13 (1H, s, 3'-H); ms (m/z) 485 (38) $(M)^+$, 155 (100). Anal. Calcd for $C_{21}H_{27}NO_{10}S$: C, 51.95; H, 5.61; N, 2.88. Found: C, 52.29; H, 5.58; N, 2.82. Acid (**7g**) (method C; 87%), mp 179.0°C (from C_6H_6 - hexanes).

***N*-Mesyl-1,4,5-trimethoxy-2-(4,5,6-trimethoxy-2-methoxycarbonylanilino)benzene (6h)**

Applying method B to quinone (**3h**) provided polyether (**6h**) (65%), mp 137.5-138.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1729, 1588, 1525, 1328 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.17 (3H, s, SO_2CH_3), 3.78, 3.79, 3.85, 3.87, 3.89 and 3.94 (3H, 3H, 3H, 6H, 3H, 3H, 6s, 1,4,4',5,5',6'-OCH_3 and 2'-CO_2CH_3), 6.53 (1H, s, 6-H), 7.05 (1H, s, 3-H), 7.58 (1H, s, 3'-H); ms (m/z) 485 (14) $(M)^+$, 206 (100); hmrs calcd for $C_{21}H_{27}NO_{10}S$; 485.1355, found; 485.1367. Acid (**7h**) (method C; 81%), mp 168.5-169.0°C (decomp) (from C_6H_6 - hexanes).

***N*-Mesyl-1,4,5-trimethoxy-2-(3,4,5-trimethoxy-2-methoxycarbonylanilino)benzene (6i)**

Method B also allowed the conversion of quinone (**3i**) to hexaether (**6i**) (94%), mp 158.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1723, 1594, 1518, 1338 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.08 (3H, s, SO_2CH_3), 3.77, 3.78, 3.81 and 3.83 (6H, 6H, 3H, 6H, 4s, 1,3',4,4',5,5'-OCH_3 and 2'-CO_2CH_3), 6.48 (1H, s, 6-H), 7.14 (1H, s, 3-H), 7.26 (1H, s, 6'-H); ms (m/z) 485 (18) $(M)^+$, 374 (100). Anal. Calcd for $C_{21}H_{27}NO_{10}S$: C, 51.95; H, 5.61; N, 2.88. Found: C, 52.36; H, 5.51; N, 2.55. Acid (**7i**) (method C; 94%), mp 139.0-139.5°C (from C_6H_6 - hexanes).

***N*-Mesyl-1,4,8-trimethoxy-2-(2-methoxycarbonylanilino)naphthalene (9a)**

Reductive methylation (method B) of naphthoquinone[4] (**5a**) gave triether (**9a**), in nearly quantitative yield, mp 222.5-223.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1718, 1593, 1580, 1508, 1336 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.31 (3H, s, SO_2CH_3), 3.80, 3.83, 3.94 and 3.99 (4 × 3H, 4s, 1,4,8-OCH_3 and 2'-CO_2CH_3), 6.88 (1H, d, J = 7.7 Hz, 7-H), 7.30 (1H, s, 3-H), 7.33-7.41 (2H, m, 5',6-H), 7.50 (1H, td, J = 8.0; 1.8 Hz, 4'-H), 7.65 (1H,

dd, J = 7.6; 1.8 Hz, 6'-H), 7.82 (1H, dd, J = 8.4; 1.0 Hz, 5-H), 7.90 (1H, dd, J = 8.1; 1.1 Hz, 3'-H); ms (m/z) 445 (23) $(M)^+$, 205 (100). Anal. Calcd for $C_{22}H_{23}NO_7S$: C, 59.31; H, 5.20; N, 3.14. Found: C, 59.26; H, 5.27; N, 3.14. Acid (**10a**) (method C; 72%), mp 198°C (decomp) (from C_6H_6).

N-Mesyl-1,4,6,8-tetramethoxy-2-(2-methoxycarbonylanilino)naphthalene (9b)

In a reaction similar to the foregoing (method B), naphthoquinone (**5b**) afforded tetraether (**9b**) in nearly quantitative yield, mp 179.0°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1718, 1622, 1600, 1500, 1336 cm^{-1}; ^1H-nmr (200 MHz, $CDCl_3$) δ 3.30 (3H, s, SO_2CH_3), 3.78, 3.84, 3.90, 3.91 and 3.99 (5 × 3H, 5s, 1,4,6,8-OCH_3 and 2'-CO_2CH_3), 6.59 (1H, d, J = 2.5 Hz, 7-H), 7.14 (1H, d, J = 2.5 Hz, 5-H), 7.25-7.33 (2H, m, 3,5'-H), 7.50 (1H, td, J = 8.1; 1.8 Hz, 4'-H), 7.64 (1H, dd, J = 7.6; 1.8 Hz, 6'-H), 7.89 (1H, dd, J = 8.1; 1.0 Hz, 3'-H); ms (m/z) 475 (16) $(M)^+$, 235 (100). Anal. Calcd for $C_{23}H_{25}NO_8S$: C, 58.10; H, 5.30; N, 2.95. Found: C, 58.27; H, 5.44; N, 3.01. Acid (**10b**) (method C; 95%), mp 190.0-191.0°C (decomp) (from C_6H_6).

N-Mesyl-1,4,5-trimethoxy-2-(2-methoxycarbonylanilino)naphthalene (9c)

Quinone[4] (**5c**) was likewise converted to triether (**9c**) (64%), mp 178.0-178.5°C (from C_6H_6 - hexanes); ir ν_{max} (KBr) 1735, 1622, 1593, 1504, 1330 cm^{-1}; ^1H-nmr (200 MHz, $CDCl_3$) δ 3.34 (3H, s, SO_2CH_3), 3.80, 3.87, 3.94 and 3.98 (4 × 3H, 4s, 1,4,5-OCH_3 and 2'-CO_2CH_3), 6.87 (1H, dd, J = 8.6; 1.0 Hz, 6-H), 7.24 (1H, s 3-H), 7.28-7.40 (2H, m, 5',7-H), 7.53 (1H, td, J = 8.1; 1.7 Hz, 4'-H), 7.58 (1H, dd, J = 8.5; 1.0 Hz, 8-H), 7.67 (1H, dd, J = 7.7; 1.7 Hz, 6'-H), 7.90 (1H, dd, J = 8.1; 1.1 Hz, 3'-H); hmrs calcd for $C_{22}H_{23}NO_7S$: 445.1195, found; 445.1198. Acid (**11c**) (method C; 93%), mp 209.5-210.0°C (decomp) (from C_6H_6 - $CHCl_3$).

III Preparation of acridones (8) and benzo[*b*]acridones (11)

Method D. A mixture of carboxylic acid (**7**) (0.5 mmol) and freshly distilled $POCl_3$ (6.0 ml, 64.4 mmol) was heated to reflux for 1.0 - 1.5 h and concentrated under vacuum. The solution of the residue in 1N aqueous HCl (15 ml) was boiled for 0.5 - 1.5 h, cooled, neutralized with saturated aqueous Na_2CO_3 and extracted with $CHCl_3$ (3 × 100 ml) (Na_2SO_4). Finally, the crude product was purified by flash chromatography using a 3:1 mixture

of CH_2Cl_2 - EtOAc as eluent.

Method E. A mixture of carboxylic acid (**10**) (0.5 mmol) and trifluoroacetic anhydride (0.15 ml, 1.0 mmol) in dry CH_2Cl_2 (10 ml) was stirred at room temperature for 15-45 min and concentrated under vacuum. The residue was purified by flash chromatography using a 10:1 mixture of CH_2Cl_2 - EtOAc as eluent.

1,3,4-trimethoxyacridone (8a)

Cyclization of carboxylic acid (**7a**) by method D (1 h; 1 h) gave acridone **8a** (90%), mp 215.5-216.0°C (lit.,[14] 221-223°C) (from $CHCl_3$ - hexanes). *N*-Methyl derivative of (**8a**) (Me_2SO_4, K_2CO_3, Me_2CO)(76%), mp 142.5-143.0°C (from EtOAc) (lit.,[14] mp 141-142°C).

1,2,4-Trimethoxyacridone (8b)

Application of Method D (1.5.h; 1.5 h) to acid (**7b**) afforded acridone (**8b**) (38%), mp 165.0-165.5°C (lit.,[14] 162-163°C) (from $CHCl_3$ - hexanes).

1,2,3,4-Tetramethoxyacridone (8c)

Acid (**7c**) was converted as per method D (1 h; 1 h) to acridone (**8c**) (70%), mp 113.5-114.0°C (lit.,[12] 238-240°C) (from MeOH - H_2O).

The chromatographic separation had provided a first zone that consisted of 1-hydroxy-2,3,4-trimethoxyacridone (5%), mp 204.0-204.5°C (from EtOAc - hexanes) (lit.,[13] mp 200°C).

1,3,4,5-Tetramethoxyacridone (8d)

In a similar way (method D; 1 h; 0.5 h), acid (**7d**) gave acridone (**8d**) (74%), mp 185.0°C (from $CHCl_3$ - hexanes); uv λ_{max} (MeOH) (log ε) 211 (4.31), 253 (4.81), 301 (4.25), 384 (3.86) nm; ir ν_{max} (KBr) 3422, 1620, 1613, 1594, 1532 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.92 and 3.95 (3H, 9H, 2s 1,3,4,5-OCH_3), 6.23 (1H, s, 2-H), 6.94-7.09 (2H, m, 6,7-H), 7.93 (1H, dd, J = 7.9; 1.6 Hz, 8-H), 8.74 (1H, br s, NH); ^{13}C-nmr (50.3 MHz,

$CDCl_3$) δ 55.81, 55.81, 56.12, 60.78, 89.05, 106.99, 110.97, 118.20, 120.25, 122.97, 127.88, 130.11, 136.38, 146.58, 154.29, 158.19, 176.84; ms (m/z) 315 (87) $(M)^+$, 300 (100); Anal. Calcd for $C_{17}H_{17}NO_5$: C, 64.75; H, 5.43; N, 4.44. Found: C, 64.38; H, 5.60; N, 4.22.

1,2,4,5-Tetramethoxyacridone (8e)

Method D (1.5 h; 1 h) when applied to acid (**7e**), afforded acridone (**8e**) (49%), mp 202.0°C (from $CHCl_3$ - hexanes); uv λ_{max} (MeOH) (log ε) 225 (4.25), 256 (4.74), 326 (3.99), 410 (3.90) nm; ir ν_{max} (KBr) 3545, 3483, 1628, 1594, 1532 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.90, 3.95 and 3.98 (3H, 3H, 6H, 3s, 1,2,4,5-OCH_3), 6.82 (1H, s, 3-H), 6.95-7.11 (2H, m, 6,7-H), 7.95 (1H, d, J = 8.1 Hz, 8-H), 8.75 (1H, br s, NH); ^{13}C-nmr (50.3 MHz, $CDCl_3$) δ 55,89, 56.11, 58.47, 61.74, 102.97, 110.74, 116.48, 118.16, 120.25, 122.36, 127.19, 130.31, 142.36, 143.29, 146.11, 147.04, 177.14; ms (m/z) 315 (62) $(M)^+$, 300 (100); Anal. Calcd for $C_{17}H_{17}NO_5$: C, 64.75; H, 5.43; N, 4.44. Found: C, 64.74; H, 5.58; N, 4.28.

1,2,3,4,5-Pentamethoxyacridone (8f)

According to method D (1 h; 0.5 h), acid (**7f**) provided acridone **8f** (52%), mp 126.5-127.0°C (from $CHCl_3$ - hexanes); uv λ_{max} (MeOH) (log ε) 264 (4.56), 280 (4.46), 414 (3.82) nm; ir ν_{max} (KBr) 3428, 1642, 1619, 1599, 1528 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.92, 3.97, 3.98, 4.02 and 4.05 (5 × 3H, 5s, 1,2,3,4,5-OCH_3), 7.04-7.12 (2H, m, 6,7-H), 7.93 (1H, d, J = 7.9 Hz, 8-H), 8.78 (1H, br s, NH); ^{13}C-nmr (50.3 MHz, $CDCl_3$) δ 55.93, 61.22, 61.36, 61.74, 61.92, 110.96, 112.23, 118.15, 120.22, 122.39, 130.27, 132.18, 135.41, 141.27, 146.84, 149.72, 149.83, 176.58; ms (m/z) 345 (36) $(M)^+$, 330 (100); Anal. Calcd for $C_{18}H_{19}NO_6$: C, 62.60; H, 5.55; N, 4.06. Found: C, 62.66; H, 5.69; N, 4.13.

1,3,4,5,6,7-Hexamethoxyacridone (8g)

Use of method D (1 h; 0.5 h) with acid (**7g**) led to acridone (**8g**) (73%), mp 160.5-161.0°C (from $CHCl_3$ - hexanes); uv λ_{max} (MeOH) (log ε) 220 (4.30), 268 (4.90), 394 (3.91) nm; ir ν_{max} (KBr) 3423, 1615, 1593, 1539 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.90, 3.94, 3.95 and 4.04 (6H, 6H, 3H, 3H, 4s, 1,3,4,5,6,7-OCH_3), 6.22 (1H,

s, 2-H), 7.55 (1H, s, 8-H), 8.59 (1H, br s, NH); ^{13}C-nmr (50.3 MHz, $CDCl_3$) δ 55.87, 55.95, 56.24, 60.77, 60.96, 61.20, 89.15, 101.90, 106.55, 118.13, 127.75, 128.74, 136.45, 139.46, 145.38, 148.68, 153.99, 158.17, 175.96; ms (m/z) 375 (99) $(M)^+$, 360 (100); Anal. Calcd for $C_{19}H_{21}NO_7$: C, 60.79; H, 5.64; N, 3.73. Found: C, 60.52; H, 5.87; N, 3.41.

1,2,4,5,6,7-Hexamethoxyacridone (8h)

With method D (1.5 h; 1.5 h), acid (**7h**) was converted to acridone (**8h**) (41%), mp 164.0-164.5°C (from $CHCl_3$ - hexanes); uv λ_{max} (MeOH) (log ε) 225 (4.31), 259 (4.87), 317 (3.81), 389 (3.97) nm; ir ν_{max} (KBr) 3429, 1632, 1607, 1595, 1532 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.93, 3.94, 3.95, 4.00, 4.02 and 4.09 (6 × 3H, 6s, 1,2,4,5,6,7-OCH_3), 6.88 (1H, s, 3-H), 7.60 (1H, s, 8-H), 8.71 (1H, br s, NH); ^{13}C-nmr (50.3 MHz, $CDCl_3$) δ 56.03, 56.19, 58.76, 61.13, 61.35, 61.81, 101.29, 103.08, 115.82, 117.16, 127.47, 129.03, 142.50, 143.20, 145.65, 145.78, 149.01, 159.61, 176.16; ms (m/z) 375 (99) $(M)^+$, 360 (100); Anal. Calcd for $C_{19}H_{21}NO_7$: C, 60.79; H, 5.64; N, 3.73. Found: C, 60.70; H, 5.83; N, 3.64.

1,2,4,6,7,8-Hexamethoxyacridone (8i)

Cyclodehydration of acid (**7i**) (method D; 1.5 h; 0.5 h) furnished acridone (**8i**) (30%), mp 166.5-167.0°C (from $CHCl_3$ - hexanes); uv λ_{max} (MeOH) (log ε) 261 (4.59), 283 (4.63) nm; ir ν_{max} (KBr) 3439, 3216, 1627, 1610, 1523 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 3.84, 3.87, 3.90, 3.91 and 3.98 (3H, 6H, 3H, 3H, 3H, 5s, 1,2,4,6,7,8-OCH_3), 6.46 (1H, s, 3-H), 6.76 (1H, s, 5-H), 8.33 (1H, br s, NH); ^{13}C-nmr (50.3 MHz, $CDCl_3$) δ 55.77, 55.94, 58.41, 61.29, 61.67, 61.72, 93.06, 102.50, 111.02, 116.85, 126.64, 137.59, 138.09, 142.20, 142.50, 145.94, 154.07, 157.47, 175.96; ms (m/z) 395 (31) $(M)^+$, 360 (100); Anal. Calcd for $C_{19}H_{21}NO_7$; C, 60.79; H, 5.64; N, 3.73. Found: C, 60.57; H, 5.86; N, 3.53.

***N*-Mesyl-6,7,11-trimethoxybenz[*b*]acridone (11a)**

Treatment of acid (**10a**) by $(CF_3CO)_2O$ (Method E; 45 min) gave benz[*b*]acridone (**11a**) (87%), mp 180.0-181.0°C (decomp) (from C_6H_6); ir ν_{max} (KBr) 1665, 1595, 1580, 1555, 1380 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$)

δ 3.00 (3H, s, SO_2CH_3), 3.86, 3.97 and 4.13 (3 × 3H, 3s, 6,7,11-OCH_3), 7.02 (1H, dd, J = 7.9; 0.9 Hz, 8-H), 7.39-7.51 (2H, m, 2,9-H), 7.62 (1H, td, J = 8.1; 1.7 Hz, 3-H), 7.80 (1H, dd, J = 8.1; 1.0 Hz, 4-H), 7.91 (1H, dd, J = 8.5; 1.0 Hz, 10-H), 8.07 (1H, dd, J = 7.8; 1.7 Hz, 1-H); ^{13}C-nmr (50.3 MHz, $CDCl_3$) δ 39.29, 56.36, 61.77, 64.22, 109.73, 116.57, 121.00, 123.28, 124.60, 127.15, 127.22, 127.63, 128.25, 131.02, 131.73, 133.21, 139.98, 148.83, 153.56, 156.60, 180.55; ms (m/z) 413 (2) $(M)^+$, 334 (100); hmrs calcd for $C_{21}H_{19}NO_6S$: 413.0933, *found*: 413.0913.

_N_-Mesyl-6,7,9,11-tetramethoxybenz[_b_]acridone (11b)

Applying method E (45 min) to acid (**10b**) procured benz[*b*]acridone (**11b**) (80%), mp 174.5-175.5°C (decomp.) (from C_6H_6); ir ν_{max} (KBr) 1665, 1605, 1585, 1560, 1345 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 2.97 (3H, s, SO_2CH_3), 3.87, 3.94, 3.96 and 4.14 (4 × 3H, 4s, 6,7,9,11-OCH_3), 6.68 (1H, d, J = 2.2 Hz, 8-H), 7.22 (1H, d, J = 2,2 Hz, 10-H), 7.45 (1H, td, J = 7.5; 1.1 Hz, 2-H), 7.63 (1H, td, J = 8.0; 1.7 Hz, 3-H), 7.83 (1H, dd, J = 8.0; 1.1 Hz, 4-H), 8.10 (1H, dd, J = 7.7; 1.7 Hz, 1-H); ^{13}C-nmr (50.3 MHz, $CDCl_3$) δ 39.44, 56.07, 56.95, 62.46, 64.33, 95.09, 103.14, 119.89, 122.04, 125.42, 126.97, 127.81, 127.88, 132.52, 132.60, 133.83, 140.69, 149.86, 152.83, 158.56, 160.04, 181.42; ms (m/z) 443 (5) $(M)^+$, 350 (100); hmrs calcd for $C_{22}H_{21}NO_7S$: 443.1038, found: 443.1026.

_N_-Mesyl-6,10,11-trimethoxybenz[_b_]acridone (11c)

Cyclization of acid (**10c**) by method E (15 min) gave benz[*b*]acridone (**11c**) (94%), mp 178.5-179.0°C (decomp.) (from C_6H_6); ir ν_{max} (KBr) 1665, 1605, 1590, 1550, 1360 cm^{-1}; ^{1}H-nmr (200 MHz, $CDCl_3$) δ 2.77 (3H, s, SO_2CH_3), 4.03, 4.08 and 4.09 (3 × 3H, 3s, 6,10,11-OCH_3), 6.98 (1H, dd, J = 7.9; 0.9 Hz, 9-H), 7.48 (1H, td, J = 7.6; 1.2 Hz, 2-H), 7.55-7.69 (2H, m, 3,8-H), 7.86-7.94 (2H, m, 4,7-H), 8.10 (1H, dd, J = 7.7; 1.7 Hz, 1-H); ^{13}C-nmr (50.3 MHz, $CDCl_3$) δ 36.86, 56.44, 61.24, 64.21, 108.04, 115.42, 120.66, 121.52, 125.04, 126.01, 127.30, 127.62, 130.28, 132.55, 133.10, 133.85, 138.86, 148.34, 155.35, 158.54, 180.60; ms (m/z) 413 (6) $(M)^+$, 334 (100); hmrs calcd for $C_{21}H_{19}NO_6S$: 413.0933, found: 413.0922.

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