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Polynuclear Heterocyclic Systems Based on Naphthalene-1,5-diol: I. Reaction of Naphthalene-1,5-diol and Its Derivatives with β-Dicarbonyl and α,β-Unsaturated Carbonyl Compounds

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Abstract—Reactions of naphthalene-1,5-diol and its derivatives (5-methoxynaphthalen-1-ol, 2-bromo-5-methoxynaphthalen-1-ol, and 2,6-di-*tert*-butylnaphthalene-1,5-diol) with ethyl acetoacetate, acetylacetone, and *p*-methoxycinnamic acid under acidic conditions (HCl, $HClO_4$, CF_3CO_2H) gave substituted benzo[*h*]-chromenes, naphtho[1,2-*b*]pyrylium salts, and 3,4-dihydrobenzo[*h*]chromenes, respectively. Possible mechanisms were proposed for the observed acid-catalyzed heterocyclizations.

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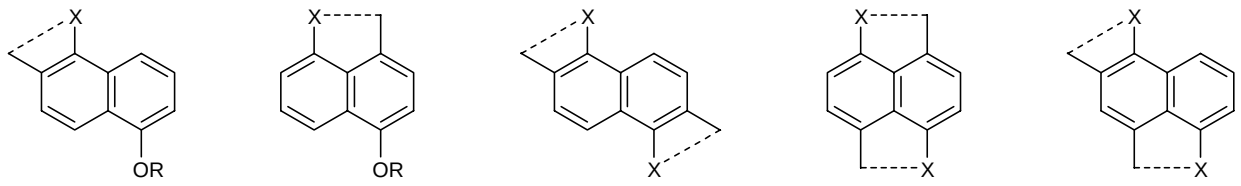
Polynuclear *ortho*- and *peri*-fused heterocyclic compounds possess specific properties which make them interesting from the viewpoints of both studying fundamental problems of organic chemistry and searching for new luminescent, photochromic, and other materials for data storage devices, molecular switches, chemosensors, etc. Naphthalene-1,5-diol may be regarded as a singular template for building up various derivatives due to specific arrangement of the hydroxy groups in its molecule: the *para* position with respect to one hydroxy group is simultaneously the *peri* position with respect to the other. As a result, reactions of naphthalene-1,5-diol with electrophilic reagents could give rise to *ortho*- or *peri*-fused heterocyclic systems or their *ortho*- or *peri*-hydroxy-substituted carbonyl precursors. Thus, assembly of *ortho*-, *peri*-, *ortho–ortho*-, *peri–peri*-, and mixed *ortho–peri*-fused heterocyclic systems **1**–**5** becomes possible on the basis of the naphthalene-1,5-diol skeleton.

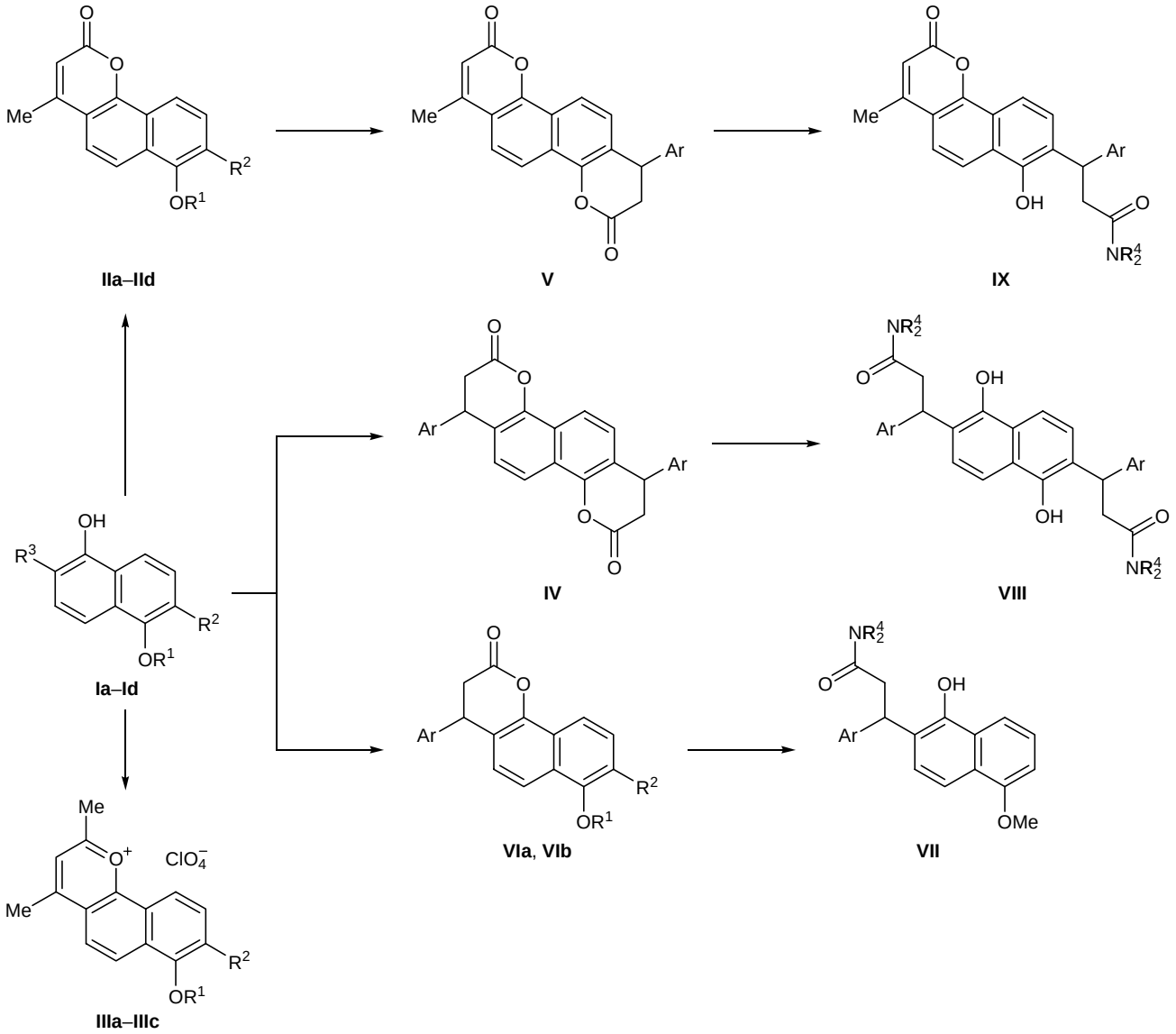
In the present work we examined acid-catalyzed reactions of 1,5-dihydroxynaphthalene and some its derivatives with ethyl acetoacetate, acetylacetone, and *p*-methoxycinnamic acid as typical representatives of β-dicarbonyl and α,β-unsaturated carbonyl compounds. By passing dry hydrogen chloride through a solution of ethyl acetoacetate and naphthalene-1,5-diol (**Ia**) or its monomethyl ether **Ib** we obtained 2*H*-benzo[*h*]-chromen-2-ones derivatives **IIa** and **IIb**, respectively (Scheme 1). Electron-acceptor effect of the α-pyrone ring in molecule **IIa** hampers the second heterocyclization with participation of the hydroxy group in position *7*; however, it is known [1] that such heterocyclization does occur under more severe conditions.

Likewise, naphthalene-1,5-diol (**Ia**) and 5-methoxynaphthalen-1-ol (**Ib**) reacted with acetylacetone in acetic acid in the presence of perchloric acid to give benzo[*h*]chromenium perchlorates **IIIa** and **IIIb**. In this case, the electron-withdrawing effect of the cationic center is so strong that closure of the second heteroring with formation of the corresponding dication is impossible even on prolonged heating of compound **Ia**,



1 **2** **3** **4** **5**

Scheme 1.



I, $R^1 = R^2 = R^3 = H$ (**a**); $R^1 = Me$, $R^2 = R^3 = H$ (**b**); $R^1 = H$, $R^2 = R^3 = t$-Bu (**c**); $R^1 = Me$, $R^2 = H$, $R^3 = Br$ (**d**); **II**, $R^1 = R^2 = H$ (**a**); $R^1 = Me$, $R^2 = H$ (**b**); $R^1 = H$, $R^2 = t$-Bu (**c**); $R^1 = Ac$, $R^2 = H$ (**d**); **III**, $R^1 = R^2 = H$ (**a**); $R^1 = Me$, $R^2 = H$ (**b**); $R^1 = H$, $R^2 = t$-Bu (**c**); **VI**, $R^1 = Me$, $R^2 = H$ (**a**); $R^1 = H$, $R^2 = t$-Bu (**b**); Ar = 4-$MeOC_6H_4$; **VII**–**IX**, R^4_2N = morpholino.

acetylacetone, and perchloric acid at a ratio of 1:2:2 in boiling acetic acid.

By contrast, the reaction of naphthalene-1,5-diol (**Ia**) with *p*-methoxycinnamic acid in trifluoroacetic acid cannot be stopped at the first heterocyclization stage. Regardless of the reactant ratio, the product was chromeno[8,7-*h*]chromene **IV**. Moreover, the second pyran ring was formed in the reaction of *p*-methoxycinnamic acid with benzo[*h*]chromene **IIa**, despite the presence of electron-acceptor α-pyron ring in the latter. Methoxynaphthol **Ib** reacted with *p*-methoxycinnamic acid to give dihydrobenzo[*h*]chromene **VIa**.

Under analogous conditions, the reactions of 2,6-di-*tert*-butylnaphthalene-1,5-diol (**Ic**) with ethyl acetoacetate and acetylacetone were accompanied by elimination of one *tert*-butyl group, and they led to the formation of mono-*tert*-butyl-substituted benzo[*h*]chromene **IIc** and benzo[*h*]chromenium perchlorate **IIIc**, respectively. In the reaction of compound **Ic** with 2 equiv of *p*-methoxycinnamic acid in trifluoroacetic acid replacement of both *tert*-butyl groups occurred to produce the same product **IV** as that obtained from naphthalene-1,5-diol (**Ia**). The reaction of equimolar amounts of **Ic** and *p*-methoxycinnamic acid gave com-

pound **VIb** as a result of elimination of only one *tert*-butyl group. The bromine atom in the *ortho* position with respect to the hydroxy group (compound **Id**) behaved in a similar way. 2-Bromo-5-methoxynaphthalen-1-ol (**Id**) reacted with ethyl acetoacetate and acetylacetone with formation of the same products (**IIb** and **IIIb**, respectively) as in the reaction with methoxynaphthol **Ib**, but their yields were considerably lower. It is interesting that 2,6-di-*tert*-butylnaphthalene-1,5-diol (**Ic**) remained unchanged in blank experiments (without carbonyl component) in HCl–EtOH and $HClO_4$–AcOH, while elimination of both *tert*-butyl groups occurred in trifluoroacetic acid. Obviously, the reason is higher nucleophilicity of trifluoroacetate ion compared to Cl^- and ClO_4^-.

Our experimental results allowed us to define heterocyclization paths, confirm the product structure, and propose probable mechanisms for the observed transformations. Assuming that the reactions under study begin with attack on the oxygen atom of naphthol **Ia**–**Id** by O-protonated form of the carbonyl reagent (**E** or **G**; Scheme 2), generated in acid medium, cationic intermediate **C** or **D** thus formed may undergo heterocyclization along two pathways with closure of six-membered (**B**→**II**, **IV**, **VI** or **D**→**III**) or seven-membered ring (**B**→**J** or **D**→**K**). However, detailed analysis of these hypothetical mechanisms shows that the electron-donor *ortho*-orienting effect of the oxygen atom in cationic intermediate **B** or **D** is completely eliminated; therefore, intramolecular *ortho*-heterocyclization with closure of six-membered ring seems to be hardly probable. This path becomes even less probable if the *ortho* position is occupied by a substituent (R^3) which creates steric hindrances to the ring closure. The *peri* position seems to be more favorable for intramolecular electrophilic attack, for it possesses a negative π-charge due to electron-donor effect of the hydroxy (or methoxy) group in the *para* position and is not sterically shielded. As a result, naphtho[1,8-*bc*]-oxepine derivative **J** or **K** would be formed; in fact, this path is not operative.

Taking into account our experimental data and the above considerations, more reasonable is intermolecular heterocyclization mechanism which involves initial attack by electrophile on the carbon atom in the *ortho* position to the hydroxy group (rather than on the oxygen atom of the hydroxy group) with formation of a new C–C bond. Presumably, in this case the electrophilic species is not O-protonated form of carbonyl compound (**E** or **G**) but more reactive cation like **F** (X = Cl, CF_3COO) or **H** whose enhanced electrophilicity ensures the process to follow intermolecular mechanism.

The intermolecular mechanism of formation of bis-dihydrocoumarins **IV** and **V** in trifluoroacetic acid was also noted by Li et al. [2]. The authors found that compounds **IV** and **V** are formed from cinnamic acids and phenols at a higher rate and with a larger yield than from the corresponding phenyl cinnamates; moreover, the latter were shown to decompose into initial components (cinnamic acid and phenol) under these conditions (the reaction was carried out in an NMR ampule).

An alternative version is electrocyclic [4π+2π]-cyclization mechanism involving the C=C–C=O fragment of the carbonyl compound (diene) and 1,2-double bond in naphthol **Ia**–**Id** (dienophile). In this case, it is easy to rationalize facile elimination of the R^3 substituent from the 2-position of naphthalenediol, and it becomes clear why no naphtho[1,8-*bc*]oxepine derivative **J** or **K** is formed. However, the role of acid catalysis and its necessity *per se* are difficult to understand.

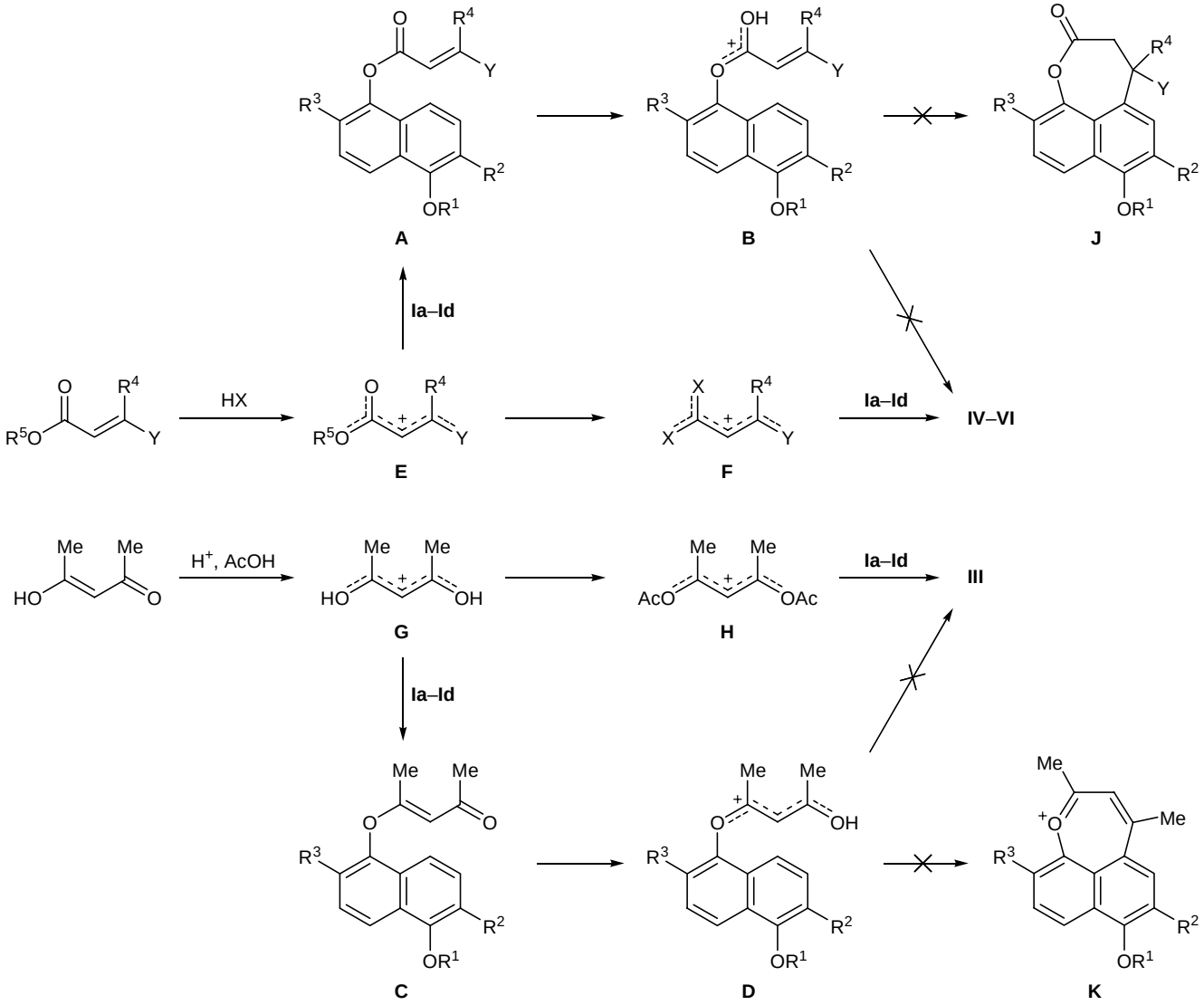
The two mechanisms are also well suited for the formation of coumarins from β-keto esters and methyl phenyl ethers [3], where intermediates like **A–D** could not be formed.

The structure of the newly synthesized compounds was proved by spectral methods and (in some cases) by chemical transformations. Thus the presence of a hydroxy group in molecule **IIa** was confirmed by O-acylation with formation of ester **IId**. The *ortho*-fusion with closure of six-membered heteroring (**I**→**II**, **I**→**III**) follows from the disappearance of one *tert*-butyl group or bromine atom (^{1}H NMR and mass spectra). Treatment of dihydropyran-2-ones **IV**–**VI** with morpholine gave amides **VII**–**IX**, indicating the presence of a lactone moiety in molecules **IV**–**VI**. Interestingly, in the reaction of morpholine with heterocyclic system **V** containing α-pyrone and dihydro-α-pyrone rings, only the latter was cleaved.

EXPERIMENTAL

The IR spectra were recorded on a Specord 71IR spectrometer from samples dispersed in mineral oil. The ^{1}H NMR spectra were obtained on Bruker Avance DPX-250 and Varian Unity-300 spectrometers from solutions in $CDCl_3$ and DMSO-d_6 using HMDS as internal reference. The mass spectra (electron impact, 70 eV) were run on a Kratos instrument with direct sample admission into the ion source (control voltage 1.75 kV).

Scheme 2.



R^4 = Me, Ar; R^5 = H, Et; Y = H, OH.

2*H*-Benzo[*h*]chromen-2-ones IIa–IIc (*general procedure*). A solution of compound **Ia**–**Ic** and ethyl acetoacetate (molar ratio 1:2.5) in alcohol was cooled to 5–10°C, and hydrogen chloride was passed through the solution over a period of 5 h. The precipitate (almost pure compound **II**) was filtered off, the filtrate was diluted with water, and the precipitate was purified by chromatography on aluminum oxide using chloroform as eluent to isolate an additional amount of the product.

7-Hydroxy-4-methyl-2*H*-benzo[*h*]chromen-2-one (IIa). Yield 85%, colorless crystals, mp 298–300°C (from acetic acid); published data [1]: mp 299–301°C. IR spectrum, ν, cm^{-1}: 3167 (OH), 1687, 1673 (C=O), 1630, 1600. ^{1}H NMR spectrum (DMSO-d_6–CCl_4), δ, ppm: 2.53 s (3H, CH_3), 6.35 s (1H, 3-H), 7.0 d (1H, H_{arom}), 7.2 t (1H, H_{arom}), 7.6 d (1H, H_{arom}), 7.85 d (1H, H_{arom}), 8.0 d (1H, H_{arom}), 10.2 s (1H, OH). Found, %: C 74.64; H 4.60. $C_{14}H_{10}O_3$. Calculated, %: C 74.34; H 4.42.

7-Methoxy-4-methyl-2*H*-benzo[*h*]chromen-2-one (IIb). Yield 52% (from **Ib**), 7% (from **Id**), colorless crystals, mp 188–189°C (from alcohol). IR spectrum, ν, cm^{-1}: 1710 (C=O), 1630, 1615. ^{1}H NMR spectrum ($CDCl_3$), δ, ppm: 2.5 d (3H, CCH_3, J = 1.16 Hz), 4.0 s (3H, OCH_3), 6.3 d (1H, 3-H, J = 1.16 Hz), 6.9–8.1 m (5H, H_{arom}). Found, %: C 75.41; H 4.83. $C_{15}H_{12}O_3$. Calculated, %: C 75.00; H 5.00.

8-*tert*-Butyl-7-hydroxy-4-methyl-2*H*-benzo[*h*]-chromen-2-one (IIc). Yield 27%, colorless crystals, mp 200–201°C (from alcohol). IR spectrum, ν, cm^{-1}: 3160 (OH), 1700, 1687 (C=O), 1600. ^1H NMR spectrum ($CDCl_3$), δ, ppm: 1.5 s (9H, *t*-Bu), 2.5 d (3H, 4-CH_3, *J* = 1.15 Hz), 5.6 s (1H, OH), 6.35 d (1H, 3-H, *J* = 1.15 Hz), 7.5–7.6 m (2H, H_{arom}), 7.9–8.0 d (1H, H_{arom}), 8.05–8.1 d (1H, H_{arom}). Found, %: C 76.28; H 6.53. $C_{18}H_{18}O_3$. Calculated, %: C 76.60; H 6.38.

4-Methyl-2-oxo-2*H*-benzo[*h*]chromen-7-yl acetate (IId). Compound **IIa**, 0.226 g (1 mmol), was dispersed in 1 ml of acetic acid, the suspension was cooled to 0°C, 5 drops of 72% perchloric acid were added, and the mixture was kept for 5 min. The precipitate was filtered off and washed with ethanol. Yield 67%, colorless crystals, mp 159–160°C (from alcohol). IR spectrum, ν, cm^{-1}: 1747 (C=O), 1727 (C=O), 1607. ^1H NMR spectrum (DMSO-d_6–CCl_4), δ, ppm: 2.4 s (3H, $COCH_3$), 2.55 d (3H, 4-CH_3, *J* = 1.15 Hz), 6.4 d (1H, 3-H, *J* = 1.15 Hz), 7.4 d (1H, H_{arom}), 7.6–7.8 m (3H, H_{arom}), 8.4 d (1H, H_{arom}). Found, %: C 71.68; H 4.53. $C_{16}H_{12}O_4$. Calculated, %: C 71.64; H 4.48.

7-Hydroxy-2,4-dimethylbenzo[*h*]chromenium perchlorate (IIIa). Five drops of 72% perchloric acid were added to a solution of 0.2 g (1.25 mmol) of naphthalene-1,5-diol (**Ia**) and 0.25 ml of acetylacetone in 4 ml of acetic acid, and the mixture was heated for 10 min under reflux. The solution was cooled, and the precipitate was filtered off. Yield 0.223 g (55%), orange crystals, mp 281–282°C (from nitromethane). IR spectrum, ν, cm^{-1}: 3247 (OH), 1630 (C=O), 1100 (ClO_4^-). Mass spectrum, *m*/*z* (I_{rel}, %): 324 (100) $[M - 1]^+$, 209 (5), 181 (12), 165 (15), 152 (20), 139 (10), 15 (9), 89 (9), 75 (10), 63 (17), 51 (19), 39 (23). Found, %: C 55.24; H 3.95; Cl 11.21. $C_{15}H_{13}ClO_6$. Calculated, %: C 55.47; N 4.01; Sl 10.94.

Compounds **IIIb** and **IIIc** were synthesized in a similar way.

7-Methoxy-2,4-dimethylbenzo[*h*]chromenium perchlorate (IIIb). Orange crystals. Yield 45% (from **Ib**), 10% (from **Id**), mp 197–199°C (from acetic acid). IR spectrum, ν, cm^{-1}: 1630 (C=O), 1100 (ClO_4^-). Found, %: C 56.42; H 4.95; Cl 10.01. $C_{16}H_{15}ClO_6$. Calculated, %: C 56.72; H 4.43; Cl 10.49.

8-*tert*-Butyl-7-hydroxy-2,4-dimethylbenzo[*h*]-chromenium perchlorate (IIIc). Yield 15%, orange crystals, mp 260–261°C (from acetic acid). IR spectrum, ν, cm^{-1}: 1630 (C=O), 1100 (ClO_4^-). ^1H NMR spectrum ($CDCl_3$), δ, ppm: 1.6 s (9H, *t*-Bu), 3.0 s (3H, Me), 3.2 s (3H, Me), 7.0 br.s (1H, OH), 7.75 d (1H, H_{arom}), 7.85 d (1H, H_{arom}), 8.0 s (1H, H_{arom}), 8.3 d (1H, H_{arom}), 8.5 d (1H, H_{arom}). Found, %: C 59.49; H 5.71; Cl 9.47. $C_{19}H_{21}ClO_6$. Calculated, %: C 59.92; H 5.52; Cl 9.33.

1,7-Bis(4-methoxyphenyl)-1,2,3,7,8,9-hexahydrochromeno[8,7-*h*]chromene-3,9-dione (IV). A mixture of 0.5 g (3.125 mmol) of naphthalene-1,5-diol (**Ia**) and 1.113 g (6.25 mmol) of 4-methoxycinnamic acid in 5 ml of trifluoroacetic acid was heated for 5 h under reflux. The mixture was cooled and diluted with water, and the precipitate was filtered off, dried, and purified by chromatography on aluminum oxide using chloroform as eluent. Yield 1.3 g (87%), colorless crystals, mp 255–257°C. IR spectrum, ν, cm^{-1}: 1767 (C=O). ^1H NMR spectrum ($CDCl_3$), δ, ppm: 3.05–3.25 d.d (4H, C**H**$_2$CH, *J* = 6.5 Hz), 3.75 s (6H, OMe), 4.45 t (2H, CH_2C**H**, *J* = 6.5 Hz), 6.85 d (4H, C_6H_4), 7.05 d (4H, C_6H_4), 7.15 d (2H, naphthalene), 8.0 d (2H, naphthalene). Found, %: C 74.85; H 4.7. $C_{30}H_{24}O_6$. Calculated, %: C 75.0; H 5.0.

1-(4-Methoxyphenyl)-7-methyl-1,2,3,9-tetrahydrochromeno[8,7-*h*]chromene-3,9-dione (V). A mixture of 0.2 g (0.885 mmol) of compound **IIa** and 0.157 g (0.885 mmol) of 4-methoxycinnamic acid in 5 ml of trifluoroacetic acid was heated for 48 h under reflux. The mixture was cooled and diluted with water, and the precipitate was filtered off, dried, and purified by chromatography on aluminum oxide using chloroform as eluent. Yield 0.27 g (79%), colorless crystals, mp 129–130°C. IR spectrum, ν, cm^{-1}: 1770 (C=O), 1715 (C=O). ^1H NMR spectrum ($CDCl_3$), δ, ppm: 2.55 d (3H, 7-CH_3, *J* = 1.16 Hz), 3.05–3.25 d.d (2H, 2-H, *J* = 6.95 Hz), 3.75 s (3H, OMe), 4.45 t (1H, 1-H, *J* = 6.95 Hz), 6.4 d (1H, 8-H, *J* = 1.16 Hz), 6.85 d (2H, C_6H_4), 7.05 d (2H, C_6H_4), 7.24 d (1H, naphthalene), 7.7 d (1H, naphthalene), 8.15 d (1H, naphthalene), 8.25 d (1H, naphthalene). Found, %: C 74.41; H 4.71. $C_{24}H_{18}O_5$. Calculated, %: C 74.61; H 4.66.

7-Methoxy-4-(4-methoxyphenyl)-3,4-dihydro-2*H*-benzo[*h*]chromen-2-one (VIa). A mixture of 0.32 g (1.84 mmol) of 5-methoxynaphthol **Ib** and 0.327 g (1.84 mmol) of 4-methoxycinnamic acid in 5 ml of trifluoroacetic acid was kept for 48 h at room temperature. It was then cooled and diluted with water, and the precipitate was filtered off, dried, and subjected to chromatography on aluminum oxide using chloroform as eluent, the first fraction being collected. Yield 0.59 g (96%), colorless solid, mp 114–115°C. IR spectrum, ν, cm^{-1}: 1770 (C=O). ^1H NMR spectrum ($CDCl_3$), δ, ppm: 2.9–3.2 d.d (2H, 3-H, *J* = 6.5 Hz), 3.75 s (3H, OMe), 4.0 s (3H, OMe), 4.4 t (1H, 4-H, *J* =

6.5 Hz), 6.8–6.9 m (3H, H_{arom}), 7.0–7.1 m (3H, H_{arom}), 7.4–7.5 t (1H, H_{arom}), 7.8–7.85 d (1H, H_{arom}), 7.95–8.0 d (1H, H_{arom}). Found, %: C 75.85; H 5.35. $C_{21}H_{18}O_4$. Calculated, %: C 75.45; H 5.39.

8-*tert*-Butyl-7-hydroxy-4-(4-methoxyphenyl)-3,4-dihydro-2*H*-benzo[*h*]chromen-2-one (VIb). A mixture of 0.272 g (1 mmol) of compound **Ic** and 0.178 g (1 mmol) of 4-methoxycinnamic acid in 5 ml of trifluoroacetic acid was heated for 5 h under reflux. The mixture was cooled and diluted with water, and the precipitate was filtered off and dried. Fractional crystallization from isooctane gave 0.057 g (15%) of compound **VIb** as a colorless solid with mp 117–120°C. IR spectrum, ν, cm^{-1}: 1767 (C=O). ^1H NMR spectrum ($CDCl_3$), δ, ppm: 1.3 s (9H, *t*-Bu), 3.0–3.2 d.d (2H, 3-H, *J* = 6.4 Hz), 3.6 s (3H, OMe), 4.65 s (1H, OH), 4.4–4.5 t (1H, 4-H, *J* = 6.4 Hz), 6.6–6.8 m (3H, H_{arom}), 7.0–7.1 d (2H, H_{arom}), 7.15–7.23 d (1H, H_{arom}), 7.25–7.34 d (1H, H_{arom}), 8.0 d (1H, H_{arom}). Found, %: C 76.85; H 6.45. $C_{24}H_{24}O_4$. Calculated, %: C 76.6; H 6.38.

3-(7-Hydroxy-4-methyl-2-oxo-2*H*-benzo[*h*]-chromen-8-yl)-3-(4-methoxyphenyl)-1-morpholinopropan-1-one (IX). A solution of 0.386 g (1 mmol) of compound **V** in 2 ml of morpholine was heated for 5 min under reflux. The mixture was cooled and diluted with water, and the precipitate was filtered off, dried, and purified by chromatography on aluminum oxide using chloroform as eluent, the first fraction being collected. Yield 0.4 g (84.5%), colorless solid, mp 153–155°C. IR spectrum, ν, cm^{-1}: 1720 (C=O), 3500 (OH). ^1H NMR spectrum ($CDCl_3$), δ, ppm: 2.5 s (3H, 4-CH_3, *J* = 1.16 Hz), 3.2 d (2H, CH_2CO, *J* = 6.5 Hz), 3.5–3.7 m (8H, NCH_2CH_2O), 3.8 s (3H, OMe), 5.2 t (1H, 8-CH, *J* = 6.5 Hz), 6.3 d (1H, 3-H, *J* 1.16 Hz), 6.85 d (2H, C_6H_4), 7.1 d (1H, naphthalene), 7.2 d (2H, C_6H_4), 7.6 d (1H, naphthalene), 7.95 d (1H, naphthalene), 8.25 d (1H, naphthalene), 10.05 s (1H, OH). Found, %: C 71.25; H 5.55; N 3.0. $C_{28}H_{27}NO_6$. Calculated, %: C 71.04; H 5.71; N 2.96.

Compounds **VII** and **VIII** were synthesized in a similar way.

3-(1-Hydroxy-5-methoxynaphthalen-2-yl)-3-(4-methoxyphenyl)-1-morpholinopropan-1-one (VII) was obtained from 0.11 g (0.33 mmol) of compound **VIa**. Yield 0.13 g (93.5%), colorless solid, mp 65–69°C. IR spectrum, ν, cm^{-1}: 1600–1620 d (C=O), 3000–3200 sh (OH). ^1H NMR spectrum ($CDCl_3$), δ, ppm: 3.2 m (2H, CH_2CO), 3.4–3.6 m (8H, NCH_2CH_2O), 3.8 s (3H, OMe), 3.95 s (3H, OMe), 5.15 d.t (1H, 2-CH), 6.75–6.95 m (4H, H_{arom}), 7.2 d (2H, C_6H_4), 7.4 t (1H, naphthalene), 7.6 d (1H, naphthalene), 8.0 d (1H, naphthalene), 9.6 br.s (1H, OH). Found, %: C 70.97; H 6.54; N 3.19. $C_{25}H_{27}NO_5$. Calculated, %: C 71.26; H 6.42; N 3.33.

3-{1,5-Dihydroxy-6-[1-(4-methoxyphenyl)-3-morpholino-3-oxopropyl]naphthalen-2-yl}-3-(4-methoxyphenyl)-1-morpholinopropan-1-one (VIII) was obtained from 0.224 g (0.5 mmol) of compound **IV**. Yield 0.3 g (92%), colorless solid, mp 165–170°C. IR spectrum, ν, cm^{-1}: 1600 (C=O), 3100 sh (OH). ^1H NMR spectrum ($CDCl_3$), δ, ppm: 3.2 d (4H, CH_2CO), 3.4–3.6 m (16H, NCH_2CH_2O), 3.75 s (6H, OMe), 5.1 t (2H, 2-CH), 6.7–6.8 d (4H, C_6H_4), 6.9 d (2H, naphthalene), 7.15 d (4H, C_6H_4), 7.8 d (2H, naphthalene), 9.45 s (2H, OH). Found, %: C 69.7; H 6.54; N 4.09. $C_{38}H_{42}N_2O_8$. Calculated, %: C 69.73; H 6.42; N 4.28.

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