

Supporting Information

High selectivity in the addition of hydride and organolithium nucleophiles to helical carbenium ions

*Joyram Guin, Céline Besnard, Philip Pattison and Jérôme Lacour**

General Remarks:

NMR spectra were recorded on 400 or 500 MHz apparatus at room temperature (20 °C). ¹H-NMR: chemical shifts were given in ppm relative to Me₄Si with the solvent resonance used as the internal standard (CD₂Cl₂ δ = 5.32 ppm; CDCl₃ δ = 7.26 ppm and CD₃CN δ = 1.94 ppm). ¹³C-NMR (125 MHz): chemical shifts were given in ppm relative to Me₄Si with the solvent resonance used as the internal standard (CD₂Cl₂ δ = 53.8 ppm; CDCl₃ = 77.1 ppm and CD₃CN δ = 118.2 ppm). IR spectra were recorded using a diamond ATR Golden Gate sampling and are reported in wave numbers (cm⁻¹). Melting points (M.P.) were measured in open capillary tubes and were uncorrected. Electrospray mass spectra (MS-ESI) were obtained with ionizing voltage 70eV; *m/z* (intensity in %) by the department of Mass Spectroscopy of the University of Geneva.

General procedure I for the synthesis of acridinium tetrafluoroborate salts [3a-e][BF₄].

To a dark purple solution of tris(2,6-dimethoxyphenyl)carbenium tetrafluoroborate salt [2][BF₄] (prepared according to literature procedure)^[1] in N-methyl-2-pyrrolidone (NMP), alkyl- or aryl- primary amine was added at room temperature (20 °C) in ambient condition. The reaction mixture was allowed to stir for 12 h at room temperature (20 °C) for alkyl amines and for aryl amines the reaction was stirred at 50 °C for 4 h. The purple solution became dark red. After complete consumption of starting cation (monitor by ESI-MS analysis of crude sample), the crude mixture was poured in to a stirred solution of Et₂O that provided the red precipitate of desired acridinium salts. The crude precipitate was dissolved in CH₂Cl₂ and further purified by selectively precipitation with Et₂O. After repetition of such process analytically pure desired acridinium salt was obtained.

General procedure II for the synthesis of dimethoxyquinacridinium tetrafluoroborate salts [1a-e][BF₄].

Red acridinium salt and appropriate amount of NMP were taken in a cold water circulating condenser fitted flask. To the dark red solution, propylamine was added at room temperature (20 °C). The dark red solution became spontaneously light red. The reaction mixture was allowed to stir at 90 °C for 6 to 8 h in ambient condition. After complete consumption of starting acridinium salt (monitor by ESI-MS analysis of crude sample), the dark green reaction mixture was cool to room temperature and poured in to acidified (50 % aq. HBF₄) ice cooled water. The green precipitate of corresponding dimethoxyquinacridinium salt was obtained. The precipitated was filtered over Buchner funnel and washed several times with water and Et₂O. The crude product was further purified by selective precipitation using CH₂Cl₂ and Et₂O. The process was repeated several times till analytically pure desired dimethoxyquinacridinium salt was obtained.

General procedure III for the reduction of dimethoxyquinacridinium tetrafluoroborate salts [1a-e][BF₄] to compounds 4a-e.

To a green suspension of dimethoxyquinacridinium salt in EtOH, appropriate amount of NaBH₄ was added. The crude mixture was allowed to stir in ambient condition for 40-60 min. The green suspension became essentially colorless solution. Solvent was evaporated under vacuum. The crude solid mass was purified by column chromatography that provided a white solid compound.

General procedure IV for phenyllithium, methyllithium or ((trimethylsilyl)methyl)lithium addition on dimethoxyquinacridinium tetrafluoroborate salts [1a-e][BF₄] to compounds 5a-e, 6d, 8d, 6e and 8e.

The dimethoxyquinacridinium salt was placed in a flame dried Schlenk tube under N₂ atmosphere, anhydrous THF was added. The resulting green suspension was cooled to -78 °C. To the stirred green suspension, excess phenyllithium, methyllithium or ((trimethylsilyl)methyl)lithium (commercially available) was added by syringe. Stirring was continued for 14 h at -78 °C. The green suspension became essentially colorless solution. Reaction was quenched by addition of water (2 mL) at -78 °C and warmed up to room temperature. Organic layer was extracted with Et₂O (3 to 4 times). Combined organic layers were dried over MgSO₄ and concentrated under reduced pressure. The crude product was purified by column chromatography.

General procedure V for the organolithium addition on dimethoxyquinacridinium tetrafluoroborate salt [1d-e][BF₄] to compounds 9d, 10d and 9e to 13e.

The dimethoxyquinacridinium salt was placed in a flame dried Schlenk tube under N₂ atmosphere, anhydrous THF was added. The resulting green suspension was cooled to -78 °C. To the stirred suspension freshly prepared organolithium reagent (prepared separately prior to the reaction by bromine lithium exchange reaction using arylbromide and appropriate amount of *n*-BuLi in THF at -78 °C using known literature procedure)^[2] was added via cannula. Stirring was continued for 14 h at -78 °C. The green suspension became essentially colorless solution. Reaction was quenched by addition of water (2 mL) at -78 °C and warmed up to room temperature. Organic layer was extracted with Et₂O (3 to 4 times). Combined organic layers

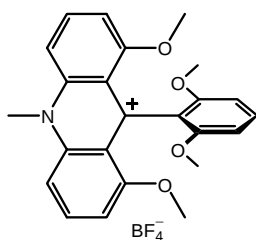
were dried over MgSO_4 and concentrated under reduced pressure. The crude product was purified by column chromatography.

General procedure VI for the alkynyllithium addition on dimethoxyquinacridinium tetrafluoroborate salt [1d-e][BF_4] to compounds 7d and 7e.

The dimethoxyquinacridinium salt was placed in a flame dried Schlenk tube under N_2 atmosphere, anhydrous THF was added. The resulting green suspension was cooled to -78°C . To the stirred suspension freshly prepared organolithium reagent (prepared separately prior to the reaction by deprotonation of phenylacetylene with appropriate amount of *n*-BuLi in THF at -78°C using known literature procedure) [3] was added via cannula. Stirring was continued for 14 h at room temperature (20°C). The green suspension became essentially colorless solution. Reaction was quenched by addition of water (2 mL) at 20°C . Organic layer was extracted with Et_2O (3 to 4 times). Combined organic layers were dried over MgSO_4 and concentrated under reduced pressure. The crude product was purified by column chromatography.

9-(2,6-dimethoxyphenyl)-1,8-dimethoxy-10-methyl-9,10-dihydroacridin-9-ylum tetrafluoroborate [3a][BF_4]:

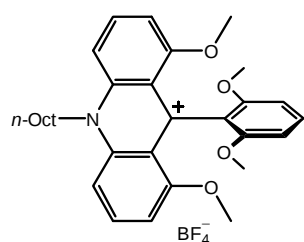
Prepared according to general procedure I using tris(2,6-dimethoxyphenyl)carbenium tetrafluoroborate salt [2][BF_4] (2.0 g, 3.9 mmol, 1.0 equiv), and methyl amine (0.8 mL, 9.7 mmol, 2.5 equiv) in NMP (40.0 mL). Purification by selective precipitation using CH_2Cl_2 and Et_2O provided **3a** as red solid (1.4 g, 2.9 mmol, 75%). **M.P.:** 289-291 $^\circ\text{C}$. **IR:** (neat): $\nu = 2944, 2845, 1608, 1577, 1509, 1469, 1433, 1370, 1349, 1295, 1259, 1245, 1185, 1163, 1102, 1053, 1029, 954, 929, 896, 819, 785, 758, 730, 703\text{ cm}^{-1}$. **$^1\text{H NMR}$** (500 MHz, CD_2Cl_2) $\delta = 8.19$ (dd, $J(\text{H,H}) = 9.1, 8.0\text{ Hz}$, 2H, 2xCH), 7.89 (dd, $J(\text{H,H}) = 9.1, 0.6\text{ Hz}$, 2H, 2xCH), 7.42 (t, $J(\text{H,H}) = 8.4\text{ Hz}$, 1H, CH), 7.01 (d, $J(\text{H,H}) = 8.0\text{ Hz}$, 2H, 2xCH), 6.71 (d, $J(\text{H,H}) = 8.4\text{ Hz}$, 2H, 2xCH), 4.69 (s, 3H, NCH_3), 3.57 (s, 6H, 2x OCH_3), 3.56 (s, 6H, 2x OCH_3). **$^{13}\text{C NMR}$** (125 MHz, CD_2Cl_2) $\delta = 161.2$ (C), 158.3 (C), 156.0 (C), 142.8 (C), 140.3 (CH), 129.9 (CH), 120.3 (C), 119.6 (C), 109.3 (CH), 106.6 (CH), 103.8 (CH), 57.2 (CH_3), 56.2 (CH_3), 40.6 (CH_3).



^{19}F NMR (470 MHz, CD_2Cl_2) $\delta = -152.9$ (20%), -153.0 (80%). **HRMS (ESI)** calculated for $\text{C}_{24}\text{H}_{24}\text{NO}_4^+(\text{M}^+)$: 390.1683. Found: 390.1699.

9-(2,6-dimethoxyphenyl)-1,8-dimethoxy-10-octyl-9,10-dihydroacridin-9-ylum tetrafluoroborate [3b][BF₄]:

Prepared according to general procedure **I** using tris(2,6-dimethoxyphenyl)carbenium



tetrafluoroborate salt [2][BF₄] (1.5 g, 2.9 mmol, 1.0 equiv), and octyl amine (1.2 mL, 7.3 mmol, 2.5 equiv) in NMP (30.0 mL). Purification by selective precipitation using CH_2Cl_2 and Et_2O provided **3b** as red solid (1.5 g, 2.6 mmol, 90.0 %). **M.P.**: 236-238 °C. **IR:** (neat): $\nu = 2932, 2853, 1618, 1599, 1579, 1505, 1465, 1434, 1380, 1361,$

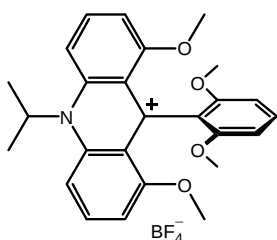
1348, 1303, 1251, 1191, 1172, 1160, 1112, 1079, 1047, 1032, 968, 930, 874, 819, 769, 722 cm^{-1} .

^1H NMR (500 MHz, CD_2Cl_2) $\delta = 8.20$ (dd, $J(\text{H,H}) = 9.1, 8.1$ Hz, 2H, 2xCH), 7.77 (d, $J(\text{H,H}) = 9.1$ Hz, 2H, 2xCH), 7.42 (t, $J(\text{H,H}) = 8.4$ Hz, 1H, CH), 7.03 (d, $J(\text{H,H}) = 8.1$ Hz, 2H, 2xCH), 6.71 (d, $J(\text{H,H}) = 8.4$ Hz, 2H, 2xCH), 5.06-5.02 (m, 2H, NCH₂), 3.57 (s, 12H, 4xOCH₃), 2.27-2.20 (m, 2H, CH₂), 1.77-1.71 (m, 2H, CH₂), 1.56-1.50 (m, 2H, CH₂), 1.45-1.31 (m, 6H, 3xCH₂), 0.92 (t, $J(\text{H,H}) = 7.1$ Hz, 3H, CH₃-octyl). **^{13}C NMR** (125 MHz, CD_2Cl_2) $\delta = 161.4$ (C), 158.3 (C), 156.0 (C), 141.8 (C), 140.5 (CH), 130.0 (CH), 120.4 (C), 119.6 (C), 108.7 (CH), 106.6 (CH), 103.8 (CH), 57.3 (CH₃), 56.2 (CH₃), 52.9 (CH₂), 32.1 (CH₂), 29.5 (CH₂), 28.3 (CH₂), 27.0 (CH₂), 23.0 (CH₂), 14.2 (CH₃). **^{19}F NMR** (470 MHz, CD_2Cl_2) $\delta = -153.1$ (20%), -153.2 (80%).

HRMS (ESI) calculated for $\text{C}_{31}\text{H}_{38}\text{NO}_4^+(\text{M}^+)$: 488.2795. Found: 488.2777.

9-(2,6-dimethoxyphenyl)-10-isopropyl-1,8-dimethoxy-9,10-dihydroacridin-9-ylum tetrafluoroborate [3c][BF₄]:

Prepared according to general procedure **I** using tris(2,6-dimethoxyphenyl)carbenium

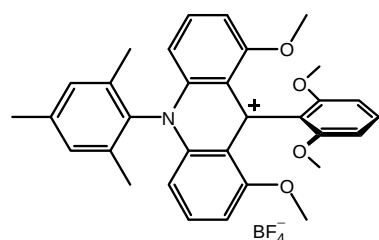


tetrafluoroborate salt [2][BF₄] (1.0 g, 1.9 mmol, 1.0 equiv), and *i*-propylamine (1.1 mL, 13.0 mmol, 6.8 equiv) in NMP (20.0 mL). Purification by selective precipitation using CH_2Cl_2 and Et_2O provided **3c** as red solid (0.7 g, 1.7 mmol, 85 %). **M.P.**: 215-217 °C. **IR:** (neat): ν

= 2942, 2844, 1607, 1597, 1574, 1498, 1474, 1461, 1434, 1413, 1379, 1357, 1335, 1301, 1276, 1250, 1236, 1186, 1164, 1138, 1095, 1033, 993, 963, 918, 861, 831, 813, 790, 766, 746, 737 cm^{-1} . $^1\text{H NMR}$ (500 MHz, CD_2Cl_2) δ = 8.13 (m, 2H, 2xCH), 7.91 (d, $J(\text{H,H})$ = 9.1 Hz, 2H, 2xCH), 7.41 (t, $J(\text{H,H})$ = 8.4 Hz, 1H, CH), 6.98 (d, $J(\text{H,H})$ = 8.0 Hz, 2H, 2xCH), 6.70 (d, $J(\text{H,H})$ = 8.4 Hz, 2H, 2xCH), 5.96 (sept., $J(\text{H,H})$ = 7.1 Hz, 1H, $\text{NCH-}^i\text{propyl}$), 3.57 (s, 6H, 2x OCH_3), 3.55 (s, 6H, 2x OCH_3), 2.05 (d, $J(\text{H,H})$ = 7.1 Hz, 6H, 2x CH_3 - $^i\text{propyl}$). $^{13}\text{C NMR}$ (125 MHz, CD_2Cl_2) δ = 161.3 (C), 158.4 (C), 156.0 (C), 142.5 (C), 139.3 (CH), 129.9 (CH), 121.1 (C), 119.6 (C), 110.1 (CH), 106.4 (CH), 103.8 (CH), 59.6 (CH), 57.2 (CH_3), 56.2 (CH_3), 21.7 (CH_3). $^{19}\text{F NMR}$ (470 MHz, CD_2Cl_2) δ = -153.1 (20%), -153.2 (80%). **HRMS (ESI)** calculated for $\text{C}_{26}\text{H}_{28}\text{NO}_4^+(\text{M}^+)$: 418.2012. Found: 418.1998.

9-(2,6-dimethoxyphenyl)-10-mesityl-1,8-dimethoxy-9,10-dihydroacridin-9-ylum tetrafluoroborate [3e][BF₄]:

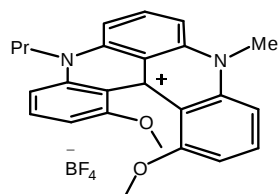
Prepared according to general procedure **I** using tris(2,6-dimethoxyphenyl)carbenium



tetrafluoroborate salt [2][BF₄] (3.0 g, 5.90 mmol, 1.0 equiv), and mesityl amine (82.0 mL, 590.0 mmol, 100.0 equiv). Purification by selective precipitation using CH_2Cl_2 and Et_2O provided **3e** as red solid (2.70 g, 5.5 mmol, 85 %). **M.P.**: 258-260 °C.

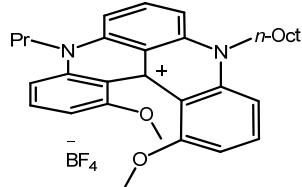
IR: (neat): ν = 3108, 2989, 2912, 2846, 1599, 1578, 1469, 1473, 1433, 1415, 1363, 1343, 1302, 1279, 1256, 1228, 1197, 1164, 1108, 1083, 1049, 980, 970, 905, 881, 864, 819, 795, 784, 768, cm^{-1} . $^1\text{H NMR}$ (500 MHz, CDCl_3) δ = 7.97 (dd, $J(\text{H,H})$ = 9.0, 8.0 Hz, 2H, 2xCH), 7.46 (t, $J(\text{H,H})$ = 8.4 Hz, 1H, CH), 7.31 (br. s, 2H, 2xCH- mesityl), 7.02 (dd, $J(\text{H,H})$ = 8.0, 0.8 Hz, 2H, 2xCH), 6.90 (dd, $J(\text{H,H})$ = 9.0, 0.8 Hz, 2H, 2xCH), 6.74 (d, $J(\text{H,H})$ = 8.4 Hz, 2H, CH), 3.61 (s, 6H, 2x OCH_3), 3.60 (s, 6H, 2x OCH_3), 2.52 (s, 3H, CH_3 -mesityl), 1.72 (s, 6H, 2x CH_3 -mesityl). $^{13}\text{C NMR}$ (125 MHz, CDCl_3) δ = 161.3 (C), 160.2 (C), 156.1 (C), 142.3 (C), 142.0 (C), 140.8 (CH), 134.6 (C), 134.3 (C), 131.4 (CH), 130.3 (CH), 120.4 (C), 119.3 (C), 109.4 (CH), 107.0 (CH), 103.8 (CH), 57.3 (CH_3), 56.3 (CH_3), 21.4 (CH_3), 16.9 (CH_3). $^{19}\text{F NMR}$ (470 MHz, CD_2Cl_2) δ = -153.2 (20%), -153.3 (80%). **HRMS (ESI)** calculated for $\text{C}_{32}\text{H}_{32}\text{NO}_4^+(\text{M}^+)$: 494.2325. Found: 494.2309.

1,13-dimethoxy-5-methyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate [1a][BF₄]:



Prepared according to general procedure **II** using 9-(2,6-dimethoxyphenyl)-1,8-dimethoxy-10-methyl-9,10-dihydroacridin-9-ylum tetrafluoroborate salt [**3a**][BF₄] (500.0 mg, 1.0 mmol, 1.0 equiv), and propylamine (2.1 mL, 26.0 mmol, 26.0 equiv) in NMP (15 mL). Purification by selective precipitation using CH₂Cl₂ and Et₂O provided **1a** as green solid (350.0 mg, 0.9 mmol, 71 %). **M.P.**: 251-253 °C. **IR**: (neat): ν = 2944, 1602, 1579, 1523, 1498, 1463, 1345, 1264, 1250, 1174, 1134, 1091, 1043, 1031, 814, 759 cm⁻¹. **¹H NMR** (500 MHz, CD₂Cl₂) δ = 8.21 (t, J (H,H) = 8.5 Hz, 1H, CH), 7.95-7.89 (m, 2H, 2xCH), 7.47 (d, J (H,H) = 8.5 Hz, 1H, CH), 7.46 (d, J (H,H) = 8.5 Hz, 1H, CH), 7.41 (d, J (H,H) = 8.8 Hz, 1H, CH), 7.40 (d, J (H,H) = 8.8 Hz, 1H, CH), 6.89 (d, J (H,H) = 8.0 Hz, 1H, CH), 6.88 (d, J (H,H) = 8.0 Hz, 1H, CH), 4.67-4.61 (m, 1H, NCHH), 4.45-4.39 (m, 1H, NCHH), 4.15 (s, 3H, NCH₃), 3.78 (s, 3H, OCH₃), 3.76 (s, 3H, OCH₃), 2.24-2.07 (m, 1H, NCH₂CH₂CH₃), 1.26 (t, J (H,H) = 7.4 Hz, 3H, CH₃-propyl). **¹³C NMR** (125 MHz, CD₂Cl₂) δ = 160.1 (C), 159.7 (C), 143.2 (C), 143.0 (C), 142.4 (C), 140.0 (C), 139.0 (C), 137.6 (CH), 137.5 (CH), 137.0 (CH), 119.5 (C), 113.8 (C), 113.7 (C), 107.7 (CH), 107.5 (CH), 105.3 (CH), 104.9 (CH), 103.6 (CH), 103.4 (CH), 56.0 (CH₃), 52.1 (CH₂), 37.8 (CH₃), 20.2 (CH₂), 11.2 (CH₃). **¹⁹F NMR** (470 MHz, CD₂Cl₂) δ = -153.1 (20%), -153.2 (80%). **HRMS (ESI)** calculated for C₂₅H₂₅N₂O₂⁺(M⁺): 385.1910. Found: 385.1911.

1,13-dimethoxy-5-octyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate [1b][BF₄]:

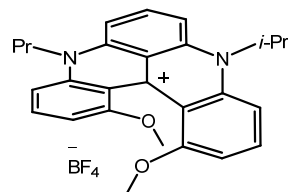


Prepared according to general procedure **II** using 9-(2,6-dimethoxyphenyl)-1,8-dimethoxy-10-octyl-9,10-dihydroacridin-9-ylum tetrafluoroborate salt [**3b**][BF₄] (0.7 g, 1.2 mmol, 1.0 equiv), and propylamine (2.5 mL, 30.6 mmol, 30.0 equiv) in NMP (10.0 mL). Purification by selective precipitation using CH₂Cl₂ and Et₂O provided **1b** as green solid (0.6 g, 1.1 mmol, 86 %). **M.P.**: 211-213 °C. **IR**: (neat): ν = 2926, 2854, 1603, 1578, 1499, 1459, 1340, 1263, 1251, 1223, 1172, 1090, 1043, 1031, 813, 762 cm⁻¹. **¹H NMR** (500 MHz, CD₂Cl₂) δ = 8.21 (t, J (H,H) = 8.5 Hz, 1H, CH), 7.92 (m, 2H, 2xCH), 7.48-7.45 (m, 2H, 2xCH),

7.38 (m, 2H, 2xCH), 6.88 (d, $J(\text{H,H}) = 8.2$ Hz, 2H, 2xCH), 4.69-4.6 (m, 2H, NCH₂), 4.50-4.38 (m, 2H, NCH₂), 3.77 (s, 6H, 2xOCH₃), 2.24-2.02 (m, 4H, 2xCH₂), 1.68-1.64 (m, 2H, CH₂), 1.53-1.47 (m, 2H, CH₂), 1.42-1.32 (m, 6H, 3xCH₂), 1.25 (t, $J(\text{H,H}) = 7.4$ Hz, 3H, CH₃), 0.91 (t, $J(\text{H,H}) = 6.8$ Hz, 3H, CH₃). **¹³C NMR** (125 MHz, CD₂Cl₂) δ = 160.1 (C), 160.0 (C), 143.0 (C), 142.4 (C), 142.4 (C), 139.2 (C), 139.1 (C), 137.6 (CH), 137.5 (CH), 136.9 (CH), 119.6 (C), 113.6 (C), 113.5 (C), 107.5 (CH), 107.4 (CH), 105.0 (CH), 104.9 (CH), 103.3 (CH), 56.0 (CH₃), 52.0 (CH₂), 50.7 (CH₂), 32.1 (CH₂), 29.6 (CH₂), 29.5 (CH₂), 27.2 (CH₂), 26.6 (CH₂), 23.0 (CH₂), 20.1 (CH₂), 14.2 (CH₃), 11.2 (CH₃). **¹⁹F NMR** (470 MHz, CD₂Cl₂) δ = -153.2 (20%), -153.3 (80%). **HRMS (ESI)** calculated for C₃₂H₃₉N₂O₂⁺(M⁺): 483.3011. Found: 483.3032.

5-isopropyl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylidium tetrafluoroborate [1c][BF₄]:

Prepared according to general procedure **II** using 9-(2,6-dimethoxyphenyl)-10-isopropyl-1,8-dimethoxy-9,10-dihydroacridin-9-ylidium tetrafluoroborate salt [**3c**][BF₄] (0.6 g, 1.0 mmol, 1.0 equiv), and propylamine (2.5 mL, 30.4 mmol, 30.0 equiv) in NMP (20.0 mL). Purification by selective precipitation using CH₂Cl₂ and Et₂O provided **1c** as green solid (0.5 g, 1.0 mmol, 82 %).

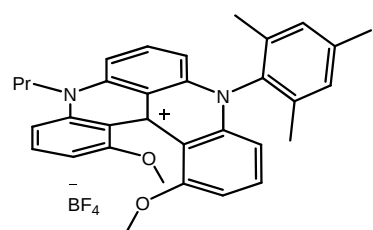


M.P.: >300 °C. **IR:** (neat): ν = 2972, 2939, 1603, 1576, 1519, 1498, 1466, 1338, 1259, 1248, 1169, 1141, 1088, 1047, 1035, 810, 765 cm⁻¹. **¹H NMR** (500 MHz, CD₂Cl₂) δ = 8.16 (t, $J(\text{H,H}) = 8.5$ Hz, 1H, CH), 7.93 (m, 1H, CH), 7.85 (m, 1H, CH), 7.62 (d, $J(\text{H,H}) = 8.5$ Hz, 1H, CH), 7.53 (d, $J(\text{H,H}) = 8.8$ Hz, 1H, CH), 7.46 (d, $J(\text{H,H}) = 8.5$ Hz, 1H, CH), 7.40 (d, $J(\text{H,H}) = 8.8$ Hz, 1H, CH), 6.89 (d, $J(\text{H,H}) = 8.0$ Hz, 1H, CH), 6.84 (d, $J(\text{H,H}) = 8.0$ Hz, 1H, CH), 5.31-5.25 (m, 1H, CH(CH₃)₂), 4.68-4.61 (m, 1H, NCHH), 4.47-4.41 (m, 1H, NCHH), 3.79 (s, 3H, OCH₃), 3.74 (s, 3H, OCH₃), 2.24-2.08 (m, 2H, NCH₂CH₂), 1.96 (d, $J(\text{H,H}) = 7.1$ Hz, 1H, CH(CH₃)(CH₃)), 1.85 (d, $J(\text{H,H}) = 7.1$ Hz, 1H, CH(CH₃)(CH₃)), 1.26 (t, $J(\text{H,H}) = 7.4$ Hz, 1H, CH₃-propyl). **¹³C NMR** (125 MHz, CD₂Cl₂) δ = 160.0 (C), 159.4 (C), 143.1 (C), 143.0 (C), 142.2 (C), 139.1 (C), 138.7 (C), 137.7 (CH), 136.7 (CH), 136.1 (CH), 120.6 (C), 115.1 (C), 113.8 (C), 108.6 (CH), 107.5 (CH), 107.0 (CH), 104.9 (CH), 103.4 (CH), 103.4 (CH), 56.4 (CH₃), 56.0 (CH₃), 55.9 (CH), 52.2 (CH₂), 20.9 (CH₃), 20.3 (CH₂), 20.0 (CH₃), 11.0 (CH₃).

^{19}F NMR (470 MHz, CD_2Cl_2) $\delta = -153.1$ (20%), -153.2 (80%). **HRMS (ESI)** calculated for $\text{C}_{27}\text{H}_{29}\text{N}_2\text{O}_2^+(\text{M}^+)$: 413.2223. Found: 413.2205.

5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate [1e][BF₄]:

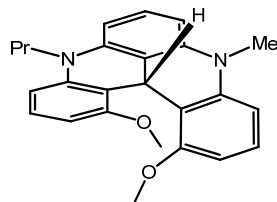
Prepared according to general procedure **II** using 9-(2,6-dimethoxyphenyl)-10-mesityl-1,8-dimethoxy-9,10-dihydroacridin-9-ylum tetrafluoroborate salt **[3e][BF₄]** (1.5 g, 2.6 mmol, 1.0 equiv), and propylamine (5.3 mL, 64.5 mmol, 25.0 equiv) in NMP (20.0 mL). Purification by selective precipitation using CH_2Cl_2 and Et_2O provided **1e** as green solid (980.0 mg, 1.7 mmol, 66 %). **M.P.**: 187-189 °C. **IR**:



(neat): $\nu = 2941, 1603, 1578, 1517, 1493, 1460, 1342, 1263, 1249, 1166, 1149, 1087, 1048, 1034, 813, 765 \text{ cm}^{-1}$. **^1H NMR** (500 MHz, CD_2Cl_2) $\delta = 8.00$ (t, $J(\text{H,H}) = 8.5 \text{ Hz}$, 1H, CH), 7.95 (dd, $J(\text{H,H}) = 8.8, 8.0 \text{ Hz}$, 1H, CH), 7.69 (dd, $J(\text{H,H}) = 8.8, 8.0 \text{ Hz}$, 1H, CH), 7.44 (d, $J(\text{H,H}) = 8.5 \text{ Hz}$, 1H, CH), 7.41 (d, $J(\text{H,H}) = 8.8 \text{ Hz}$, 1H, CH), 7.28 (br. s, 1H, CH-mesityl), 2.24 (br. s, 1H, CH-mesityl), 6.91 (d, $J(\text{H,H}) = 8.0 \text{ Hz}$, 1H, CH), 6.86 (d, $J(\text{H,H}) = 8.0 \text{ Hz}$, 1H, CH), 6.67 (dd, $J(\text{H,H}) = 8.5, 0.5 \text{ Hz}$, 1H, CH), 6.55 (dd, $J(\text{H,H}) = 8.8, 0.8 \text{ Hz}$, 1H, CH), 4.69-4.63 (m, 1H, NCHH), 4.46-4.39 (m, 1H, NCHH), 3.81 (s, 3H, OCH_3), 3.80 (s, 3H, OCH_3), 2.48 (s, 3H, CH_3 .mesityl), 2.22-2.10 (m, 2H, $\text{NCH}_2\text{CH}_2\text{CH}_3$), 1.87 (s, 3H, CH_3 .mesityl), 1.81 (s, 3H, CH_3 .mesityl), 1.26 (t, $J(\text{H,H}) = 7.4 \text{ Hz}$, 3H, CH_3 -propyl). **^{13}C NMR** (125 MHz, CD_2Cl_2) $\delta = 160.5$ (C), 160.2 (C), 143.9 (C), 142.9 (C), 142.5 (C), 141.3 (C), 139.4 (C), 139.0 (C), 137.9 (CH), 137.7 (CH), 137.1 (CH), 136.5 (C), 135.4 (C), 133.2 (C), 131.6 (CH), 131.0 (CH), 119.2 (C), 113.8 (C), 113.0 (C), 108.02 (CH), 107.5 (CH), 105.6 (CH), 105.3 (CH), 103.6 (CH), 103.4 (CH), 56.1 (CH_3), 51.9 (CH_2), 21.3 (CH_3), 20.1 (CH_2), 17.5 (CH_3), 17.1 (CH_3), 11.2 (CH_3). **^{19}F NMR** (470 MHz, CD_2Cl_2) $\delta = -153.3$ (20%), -153.4 (80%). **HRMS (ESI)** calculated for $\text{C}_{33}\text{H}_{33}\text{N}_2\text{O}_2^+(\text{M}^+)$: 489.2536. Found: 489.2523.

1,13-dimethoxy-5-methyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (4a):

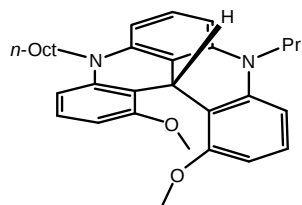
Prepared according to general procedure **III** using 1,13-dimethoxy-5-methyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1a][BF₄]** (50.0 mg, 105.0 μ mol, 1.0 equiv), and NaBH₄ (12.0 mg, 317.0 μ mol, 3.0 equiv) in EtOH (3.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:10) provided the desired product **4a** as white solid with containing the other diastereoisomer in a 23:77 ratio (diastereomeric ratio



was determined by ¹H NMR analysis of the crude reaction mixture) (38 mg, 98.3 μ mol, 95%, combined yield of both diastereoisomers). **IR** (neat): ν = 2958, 2932, 1587, 1472, 1437, 1377, 1339, 1228, 1168, 1127, 1086, 1057, 822, 751, 733, 717 cm⁻¹. **¹H NMR** (500 MHz, CD₃CN) δ = 7.22-7.18 (m, 1H, CH), 7.12-7.04 (m, 2H, 2xCH), 6.75 (dd, J (H,H) = 8.1, 0.8 Hz, 1H, CH, minor), 6.70 (dd, J (H,H) = 8.1, 0.8 Hz, 1H, CH, major), 6.57-6.50 (m, 1H, 3xCH), 6.46 (t, J (H,H) = 8.1 Hz, 2H, 2xCH), 4.74 (s, 1H, CH-benzylic, major), 4.70 (s, 1H, CH-benzylic, minor), 3.95-3.85 (m, 2H, NCH₂, minor), 3.81-3.73 (m, 1H, NCHH, major), 3.75 (s, 3H, OCH₃, minor), 3.73 (s, 3H, OCH₃, major), 3.67-3.58 (m, 1H, NCHH, major), 3.47 (s, 3H, NCH₃, major), 3.42 (s, 3H, NCH₃, minor), 3.41 (s, 3H, OCH₃, major), 3.30 (s, 3H, OCH₃, minor), 1.99-1.89 (m, 2H, NCH₂CH₂CH₃, minor), 1.84-1.76 (m, 2H, NCH₂CH₂CH₃, major), 1.05 (t, J (H,H) = 7.5 Hz, 3H, CH₃-propyl, major). **¹³C NMR** (125 MHz, CD₃CN) δ = 160.2 (C, major), 159.9 (C, minor), 157.1 (C, major), 146.7 (C, major), 146.3 (C, minor), 146.1 (C, major), 145.0 (C, minor), 142.1 (C, minor), 140.9 (C, major), 139.7 (C, minor), 138.7 (C, major), 127.6 (CH, major), 127.5 (CH, minor), 126.4 (CH, major), 126.1 (CH, minor), 125.5 (CH, major), 125.3 (CH, minor), 118.9 (C, minor), 117.5 (C, major), 112.4 (C, minor), 111.4 (C, minor), 111.1 (C, major), 110.9 (C, major), 106.9 (CH, minor), 106.6 (CH, major), 106.2 (CH, minor), 105.9 (CH, major), 105.3 (CH, minor), 105.2 (CH, major), 105.0 (CH, major), 104.9 (CH, minor), 104.7 (CH, minor), 103.6 (CH, major), 101.2 (CH, minor), 100.8 (CH, major), 56.3 (CH₃, major), 56.2 (CH₃, minor), 55.2 (CH₃, minor), 55.1 (CH₃, major), 47.8 (CH₂, major), 47.6 (CH₂, minor), 34.3 (CH₃, major), 33.2 (CH₃, minor), 32.6 (CH, minor), 32.4 (CH, major), 21.0 (CH₂, minor), 19.3 (CH₂, major), 11.6 (CH₃, minor), 11.1 (CH₃, major). **HRMS (ESI)** calculated for C₂₅H₂₆N₂O₂H[(M+H)⁺]: 387.2067. Found: 387.2052.

1,13-dimethoxy-5-octyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (4b):

Prepared according to general procedure **III** using 1,13-dimethoxy-5-octyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1b]**[BF₄] (50.0 mg, 87.7 μmol, 1.0 equiv), and NaBH₄ (7.0 mL, 175.4 μmol, 2.0 equiv) in EtOH (3.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:10) provided the desired product **4b** as white solid with containing the other diastereoisomer in a 50:50 ratio



(diastereomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (41.0 mg, 84.6 μmol, 97%, combined yield of both diastereoisomers). **IR** (neat): ν = 2953, 2925, 2852, 1618, 1588, 1473, 1464, 1434, 1377, 1338, 1228, 1166, 1131, 1061, 751, 732, 720 cm⁻¹. **¹H NMR** (500 MHz, CD₃CN) δ = 7.16 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 7.16 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 7.05 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 7.05 (t, *J*(H,H) = 8.1 Hz, 1H, CH), 7.01 (t, *J*(H,H) = 8.2 Hz, 2H, 2xCH), 6.73 (d, *J*(H,H) = 8.1 Hz, 1H, CH), 6.72 (d, *J*(H,H) = 8.1 Hz, 1H, CH), 6.57-6.53 (m, 4H, 4xCH), 6.51 (d, *J*(H,H) = 8.2 Hz, 2H, 2xCH), 6.47 (d, *J*(H,H) = 8.2 Hz, 4H, 4xCH), 4.52 (s, 2H, 2xCH-benzyl), 3.91-3.80 (m, 4H, 2xNCH₂), 3.79-3.57 (m, 4H, 2xNCH₂), 3.65 (s, 6H, 2x OCH₃), 3.34 (s, 6H, 2xOCH₃), 1.86-1.76 (m, 4H, 2xNCH₂CH₂), 1.73-1.63 (2xNCH₂CH₂), 1.42-1.21 (m, 20H, 10xCH₂-octyl), 0.99 (t, *J*(H,H) = 7.4 Hz, 3H, CH₃-octyl), 0.97 (t, *J*(H,H) = 7.4 Hz, 3H, CH₃-octyl), 0.88 (t, *J*(H,H) = 7.0 Hz, 3H, CH₃-propyl), 0.83 (t, *J*(H,H) = 7.0 Hz, 3H, CH₃-propyl). **¹³C NMR** (125 MHz, CD₃CN) δ = 161.0 (C), 157.9 (C), 157.9 (C), 147.2 (C), 146.3 (C), 146.2 (C), 141.6 (C), 139.4 (C), 139.3 (C), 128.7 (CH), 128.7 (CH), 127.4 (CH), 127.3 (CH), 126.6 (CH), 119.3 (C), 119.2 (C), 113.1 (C), 113.0 (C), 111.5 (C), 111.4 (C), 107.8 (CH), 107.8 (CH), 106.7 (CH), 106.7 (CH), 106.4 (CH), 106.3 (CH), 106.1 (CH), 106.0 (CH), 106.0 (CH), 105.9 (C), 102.1 (CH), 56.3 (CH₃), 56.2 (CH₃), 55.8 (CH₃), 48.1 (CH₂), 46.5 (CH₂), 46.3 (CH₂), 33.2 (CH), 32.5 (CH₂), 32.4 (CH₂), 30.0 (CH₂), 30.0 (CH₂), 29.9 (CH₂), 29.8 (CH₂), 28.4 (CH₂), 27.6 (CH₂), 27.3 (CH₂), 26.7 (CH₂), 23.3 (CH₂), 23.2 (CH₂), 21.7 (CH₂), 20.1 (CH₂), 14.3 (CH₃), 14.3 (CH₃), 11.6 (CH₃), 11.1 (CH₃). **HRMS (ESI)** calculated for C₃₂H₄₀N₂O₂H[(M+H)⁺]: 485.3162. Found: 485.3153.

5-isopropyl-1,13-dimethoxy-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (4c):

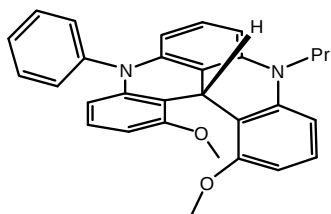
Prepared according to general procedure **III** using 5-isopropyl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1c][BF₄]** (50.0 mg, 100.0 μ mol, 1.0 equiv) and NaBH₄ (11.0 mg, 200.0 μ mol, 2.0 equiv) in EtOH (3.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:10) provided the desired product **4c** as white solid with containing the other diastereoisomer in a 87:13 ratio (diastereomeric

ratio was determined by ¹H NMR analysis of the crude reaction mixture) (40.0 mg, 96.5 μ mol, 98 %, combined yield of both diastereoisomers). **IR** (neat): ν = 2960, 2932, 1603, 1586, 1474, 1464, 1435, 1373, 1228, 1199, 1160, 1136, 1086, 1067, 1038, 752, 728 cm⁻¹. **¹H NMR** (500 MHz, CD₃CN): δ = 7.14 (t, J (H,H) = 8.3 Hz, 1H, CH, major), 7.02 (t, J (H,H) = 8.1 Hz, 1H, CH, major), 6.98 (t, J (H,H) = 8.2 Hz, 1H, CH, major), 6.88 (d, J (H,H) = 8.1 Hz, 1H), 6.75 (d, J (H,H) = 8.2 Hz, 1H, CH, major), 6.70 (d, J (H,H) = 8.4 Hz, 1H, CH, minor), 6.63 (d, J (H,H) = 8.2 Hz, 1H, CH, minor), 6.53 (d, J (H,H) = 8.4 Hz, 1H, CH,), 6.48-6.43 (m, 3H, 3xCH), 4.51-4.45 (m, 1H, CH-ⁱpropyl, major), 4.44 (s, 1H, CH-benzyl, major), 4.38 (s, 1H, CH-benzyl, minor), 4.33-4.25 (m, 1H, CH-ⁱpropyl, minor), 3.89-3.79 (m, 2H, NCH₂, minor), 3.74-3.66 (m, 1H, NCHH, major), 3.63-3.55 (m, 1H, NCHH, major), 3.63 (s, 3H, OCH₃, minor), 3.60 (s, 3H, OCH₃, major), 3.33 (s, 3H, OCH₃, minor), 3.31 (s, 3H, OCH₃, major), 1.93-1.91 (m, 1H, NCH₂CHH, minor), 1.84-1.75 (m, 1H, NCH₂CHH, minor), 1.72-1.64 (m, 2H, NCH₂CH₂, major), 1.68 (d, J (H,H) = 6.9 Hz, 3H, CH₃-ⁱpropyl, major), 1.61 (d, J (H,H) = 6.9 Hz, 3H, CH₃-ⁱpropyl, major), 1.45 (d, J (H,H) = 7.0 Hz, 3H, CH₃-ⁱpropyl, minor), 1.35 (d, J (H,H) = 7.0 Hz, 3H, CH₃-ⁱpropyl, minor), 0.97 (t, J (H,H) = 7.4 Hz, 3H, CH₃-propyl, major), 0.94 (t, J (H,H) = 7.4 Hz, 3H, CH₃-propyl, minor). **¹³C NMR** (125 MHz, CD₃CN) δ = 160.9 (C, major), 160.5 (C, minor), 158.0 (C, minor), 157.9 (C, major), 147.3 (C, minor), 146.9 (C, major), 145.8 (C, minor), 144.9 (C, major), 143.8 (C, minor), 141.6 (C, major), 140.7 (C, minor), 139.4 (C, major), 128.7 (CH, major), 128.1 (CH, minor), 127.0 (CH, major), 126.6 (CH, minor), 126.5 (CH, major), 119.4 (C, minor), 119.1 (C, major), 115.6 (C, minor), 114.5 (C, minor), 113.6 (C, major), 111.5 (C, major), 110.4 (CH, minor), 109.7 (CH, minor), 109.0 (CH, major), 108.2 (CH, major), 108.0 (CH, minor), 107.4 (CH, minor), 106.3 (CH, major), 106.3 (CH, major), 106.1 (CH, minor), 105.8 (CH, major), 102.7 (CH, minor), 102.0 (CH, major), 56.9 (CH₃, minor), 56.3 (CH₃, major), 55.9 (CH₃, minor),

55.8 (CH₃, major), 54.0 (CH, minor), 51.3 (CH, major), 48.1 (CH₂, major), 48.0 (CH₂, minor), 33.5 (CH₃, minor), 33.3 (CH₃, major), 21.8 (CH₃, major), 21.7 (CH₃, major), 21.6 (CH₂, minor), 21.5 (CH₃, minor), 21.1 (CH₃, minor), 20.0 (CH₂, major), 11.6 (CH₃, minor), 11.1 (CH₃, major). **HRMS (ESI)** calculated for C₂₇H₃₀N₂O₂H[(M+H)⁺]: 415.2380. Found: 415.2360.

1,13-dimethoxy-5-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (4d):

Prepared according to general procedure **III** using 1,13-dimethoxy-5-phenyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1d][BF₄]** (prepared according to the known literature procedure)^[4] (50.0 mg, 93.6 μmol, 1.0 equiv), and NaBH₄ (14.0 mg, 374.0 μmol, 4.0 equiv) in EtOH (3.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:10) provided the desired product **4d** as white solid

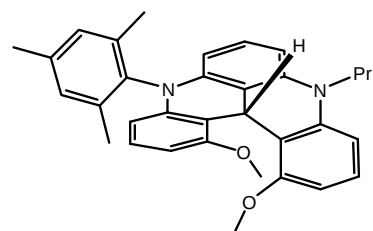


with containing the other diastereoisomer in a >60:40 ratio (diastereomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (42.0 mg, 93.6 μmol, 99 %, combined yield of both diastereoisomers). **IR** (neat): ν = 1958, 1931, 1588, 1471, 1461, 1436, 1378, 1325, 1242, 1154, 1099, 1067, 889, 752, 720 cm⁻¹. **¹H NMR** (500 MHz, CD₃CN) δ = 7.61-7.56 (m, 4H, 4xCH, major and minor), 7.52-7.47 (m, 2H, 2xCH, major and minor), 7.43-7.42 (m, 2H, 2xCH, minor), 7.23-7.21 (m, 2H, 2xCH, major and minor), 7.19 (d, *J*(H,H) = 8.1 Hz, 1H, CH, major), 7.08 (t, *J*(H,H) = 8.2 Hz, 1H, CH, major), 6.94-6.87 (m, 3H, 3xCH, major and minor), 6.78 (t, *J*(H,H) = 8.1 Hz, 1H, CH, major), 6.77 (d, *J*(H,H) = 8.1 Hz, 1H, CH, major), 6.59 (d, *J*(H,H) = 8.5 Hz, 1H, CH, minor), 6.56 (d, *J*(H,H) = 8.2 Hz, 1H, CH, major), 6.53-6.49 (m, 4H, 4xCH, major and minor), 6.45 (d, *J*(H,H) = 8.1 Hz, 1H, CH, major), 6.21 (d, *J*(H,H) = 8.2 Hz, 1H, CH, minor), 6.02 (d, *J*(H,H) = 8.1 Hz, 1H, CH, minor), 5.71 (d, *J*(H,H) = 8.2 Hz, 1H, CH, major), 5.61 (d, *J*(H,H) = 8.2 Hz, 1H, CH, major), 4.86 (s, 1H, CH-benzylic, minor), 4.69 (s, 1H, CH-benzylic, minor), 3.91-3.81 (m, 2H, NCH₂, major), 3.78-3.71 (m, 1H, NCHH, minor), 3.67 (s, 3H, OCH₃, major), 3.65 (s, 3H, OCH₃, minor), 3.67-3.60 (m, 1H, NCHH, minor), 3.38 (s, 3H, OCH₃, major), 3.37 (s, 3H, OCH₃, minor), 1.87-1.80 (m, 2H, NCH₂CH₂, major), 1.75-1.67 (m, 2H, NCH₂CH₂, minor), 1.00 (d, *J*(H,H) = 7.2 Hz, 3H, CH₃-propyl), 0.98 (d, *J*(H,H) = 7.2 Hz, 3H, CH₃-propyl). **¹³C NMR** (125 MHz, CD₃CN) δ = 161.1 (C, minor), 161.0 (C, major), 158.2 (C, major), 158.1 (C, minor), 147.4 (C, major), 147.3 (C, minor), 146.4 (C, major), 146.3 (C,

minor), 142.9 (C, major), 142.5 (C, major), 142.2 (C, minor), 141.7 (C, minor), 140.4 (C, major), 139.6 (C, minor), 132.0 (CH, major), 131.8 (CH, major), 131.7 (CH, minor), 131.0 (CH, minor), 129.1 (CH, major), 128.9 (CH, minor), 128.9 (CH, minor), 128.2 (CH, major), 127.3 (CH, minor), 127.0 (CH, major), 126.8 (CH, major), 126.5 (CH, minor), 119.3 (C, major), 116.5 (C, minor), 112.2 (C, major), 111.3 (C, minor), 110.8 (C, major), 110.6 (C, minor), 108.6 (CH, minor), 108.1 (CH, major), 107.9 (CH, major), 107.6 (CH, major), 107.1 (CH, major), 107.0 (CH, minor), 106.7 (CH, minor), 106.5 (CH, minor), 106.4 (CH, minor), 106.4 (CH, major), 102.4 (CH, major), 102.1 (CH, minor), 56.5 (CH₃, major), 56.3 (CH₃, minor), 55.9 (CH₃, major), 55.8 (CH₃, minor), 48.2 (CH₂, minor), 48.1 (CH₂, major), 33.1 (CH, major), 33.0 (CH, minor), 21.7 (CH₂, major), 20.0 (CH₂, minor), 11.7 (CH₃, major), 11.1 (CH₃, minor). **HRMS (ESI)** calculated for C₃₀H₂₈N₂O₂H[(M+H)⁺]: 449.2223. Found: 449.2222.

5-mesityl-1,13-dimethoxy-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (**4e**):

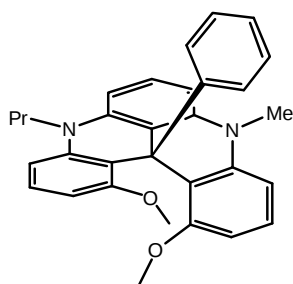
Prepared according to general procedure **III** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1e**][BF₄] (50.0 mg, 85.9 μmol, 1.0 equiv), and NaBH₄ (7.0 mg, 173.0 μmol, 2.0 equiv) in EtOH (3.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:10) provided the desired product **4e** as white solid with containing the other diastereoisomer in a



>96:4 ratio (diastereomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (41.0 mg, 83.6 μmol, 96 %). **M.P.**: 107 °C (decomposition). **IR** (neat): ν = 2961, 1929, 1618, 1588, 1470, 1459, 1436, 1327, 1239, 1150, 1096, 1079, 1067, 827, 757, 745, 718 cm⁻¹. **¹H NMR** (500 MHz, CD₃CN) δ = 7.12-7.08 (m, 3H, 3xCH), 6.97 (dt, *J*(H,H) = 8.1, 0.4 Hz, 1H, CH), 6.83 (dt, *J*(H,H) = 8.1, 0.4 Hz, 1H, CH), 6.79 (d, *J*(H,H) = 8.1 Hz, 1H, CH), 6.58 (dd, *J*(H,H) = 8.1, 0.5 Hz, 1H, CH), 6.55 (d, *J*(H,H) = 7.9 Hz, 1H, CH), 6.48 (dd, *J*(H,H) = 8.1, 0.5 Hz, 1H, CH), 5.65 (dd, *J*(H,H) = 8.4, 0.8 Hz, 1H, CH), 5.56 (dd, *J*(H,H) = 8.1, 0.4 Hz, 1H, CH), 4.68 (s, 1H, CH-benzylic), 3.94-3.84 (m, 2H, NCH₂), 3.70 (s, 3H, OCH₃), 3.36 (s, 3H, OCH₃), 2.35 (s, 3H, CH₃-mesityl), 1.97 (s, 3H, CH₃-mesityl), 1.91-1.82 (m, 2H, NCH₂CH₂), 1.86 (s, 3H, CH₃-mesityl), 1.01 (t, *J*(H,H) = 7.4 Hz, 3H, CH₃-propyl). **¹³C NMR** (125 MHz, CD₃CN) δ = 161.2 (C), 158.4 (C), 147.4 (C), 146.8 (C), 141.1 (C), 139.3 (C), 139.0 (C), 138.6 (C), 138.5

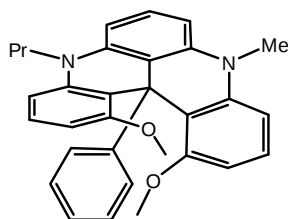
(C), 136.2 (C), 130.9 (CH), 130.6 (CH), 128.7 (CH), 127.4 (CH), 126.7 (CH), 119.7 (C), 112.5 (C), 110.8 (C), 108.0 (CH), 107.6 (CH), 106.5 (CH), 106.3 (CH), 106.0 (CH), 102.4 (CH), 56.9 (CH₃), 55.9 (CH₃), 48.1 (CH₂), 33.1 (CH), 21.7 (CH₂), 21.1 (CH₃), 17.7 (CH₃), 17.4 (CH₃), 11.7 (CH₃). **HRMS (ESI)** calculated for C₃₃H₃₄N₂O₂H[(M+H)⁺]: 491.2693. Found: 491.2676.

1,13-dimethoxy-5-methyl-13b-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (5a-major):



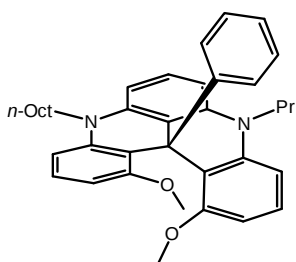
Prepared according to general procedure **IV** using 1,13-dimethoxy-5-methyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1a**][BF₄] (100.0 mg, 211.7 μmol, 1.0 equiv), and phenyllithium (533.0 μL (1.2 (M) soln. in di-butylether), 640.0 μmol, 3.0 equiv) in THF (2.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:15) provided the desired product **5a** as white solid with containing the other diastereoisomer in a 34:66 ratio (diastereomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (92.0 mg, 199.0 μmol, 94 %, combined yield of both diastereoisomers). For analytic reason two diastereoisomers were separated and physical data of the major diastereoisomer are given here. **M.P.**: 190 °C (decomposition). **IR** (neat): ν = 2957, 2931, 1616, 1586, 1467, 1435, 1334, 1244, 1219, 1171, 1129, 1088, 1059, 900, 765, 756, 713 cm⁻¹. **¹H NMR** (500 MHz, CDCl₃) δ = 7.22 (t, *J*(H,H) = 8.1 Hz, 1H, CH), 7.15 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 7.08 (t, *J*(H,H) = 8.1 Hz, 1H, CH), 6.94-6.82 (m, 4H, 4xCH), 6.73 (dd, *J*(H,H) = 8.1, 0.8 Hz, 1H, CH), 6.68-6.63 (m, 3H, 3xCH), 6.61 (d, *J*(H,H) = 8.2 Hz, 1H, CH), 6.33 (d, *J*(H,H) = 8.1 Hz, 1H, CH), 6.27 (dd, *J*(H,H) = 8.1, 0.8 Hz, 1H, CH), 3.92-3.86 (m, 1H, NCHH), 3.83-3.76 (m, 1H, NCHH), 3.40 (s, 3H, NCH₃), 3.20 (s, 3H, OCH₃), 3.12 (s, 3H, OCH₃), 1.97-1.86 (m, 2H, NCH₂CH₂), 1.10 (t, *J*(H,H) = 7.4 Hz, 3H, CH₃-propyl). **¹³C NMR** (125 MHz, CDCl₃) δ = 160.7 (C), 158.7 (C), 152.0 (C), 146.1 (C), 143.8 (C), 138.6 (C), 137.5 (C), 129.7 (CH), 127.8 (CH), 126.7 (CH), 126.5 (CH), 126.4 (CH), 126.1 (CH), 123.8 (CH), 123.4 (CH), 116.6 (C), 116.2 (C), 115.4 (C), 106.8 (CH), 106.2 (CH), 105.5 (CH), 104.5 (CH), 104.3 (CH), 103.0 (CH), 56.4 (CH₃), 54.6 (CH₃), 48.9 (CH₂), 44.9 (C), 33.6 (CH₃), 19.8 (CH₂), 11.1 (CH₃). **HRMS (ESI)** calculated for C₃₁H₃₀N₂O₂H[(M+H)⁺]: 463.2380. Found: 463.2371.

1,13-dimethoxy-5-methyl-13b-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (5a-minor):



Physical data of the minor diastereoisomer are given here. **M.P:** 190 °C (decomposition). **IR** (neat): ν = 2956, 2930, 1614, 1584, 1471, 1433, 1369, 1350, 1244, 1220, 1169, 1130, 1089, 1060, 897, 764, 755, 716 cm^{-1} . **^1H NMR** (500 MHz, CDCl_3) δ = 7.18 (t, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 7.13 (t, $J(\text{H,H})$ = 8.3 Hz, 1H, CH), 7.06 (t, $J(\text{H,H})$ = 8.2 Hz, 1H, CH), 6.89-6.82 (m, 4H, 4xCH), 6.76 (dd, $J(\text{H,H})$ = 8.2, 0.8 Hz, 1H, CH), 6.73-6.72 (m, 1H, CH), 6.64 (dd, $J(\text{H,H})$ = 8.3, 0.8 Hz, 1H, CH), 6.61 (dd, $J(\text{H,H})$ = 8.2, 0.8 Hz, 1H, CH), 6.59 (d, $J(\text{H,H})$ = 8.2 Hz, 1H, CH), 6.39 (d, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 6.26 (dd, $J(\text{H,H})$ = 8.1, 0.8 Hz, 1H, CH), 3.57-3.54 (m, 2H, NCH_2CH_2), 3.46 (s, 3H, NCH_3), 3.40 (s, 3H, OCH_3), 3.24 (s, 3H, OCH_3), 1.20-1.11 (m, 1H, NCH_2CHH), 0.97-0.88 (m, 1H, NCH_2CHH), 0.64 (t, $J(\text{H,H})$ = 7.4 Hz, 3H, CH_3 -propyl). **^{13}C NMR** (125 MHz, CDCl_3) δ = 160.4 (C), 158.8 (C), 152.1 (C), 145.8 (C), 142.8 (C), 139.5 (C), 138.7 (C), 129.9 (CH), 127.7 (CH), 127.3 (CH), 126.6 (CH), 126.1 (CH), 125.9 (CH), 123.9 (CH), 123.4 (CH), 117.2 (C), 116.6 (C), 116.4 (C), 107.1 (CH), 106.3 (CH), 105.7 (CH), 105.5 (CH), 104.4 (CH), 103.1 (CH), 56.3 (CH_3), 54.5 (CH_3), 47.6 (CH_2), 45.1 (C), 34.7 (CH_3), 19.7 (CH_2), 11.5 (CH_3). **HRMS** (ESI) calculated for $\text{C}_{31}\text{H}_{30}\text{N}_2\text{O}_2\text{H}[(\text{M}+\text{H})^+]$: 463.2380. Found: 463.2371.

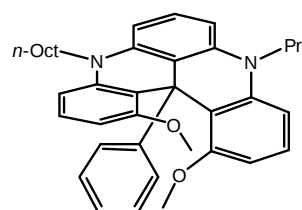
1,13-dimethoxy-5-octyl-13b-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (5b-major):



Prepared according to general procedure **IV** using 1,13-dimethoxy-5-octyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1b**][BF_4] (50.0 mg, 87.6 μmol , 1.0 equiv), and phenyllithium (182.0 μL (1.2 (M) soln. in dibutylether), 219.0 μmol , 2.5 equiv) in THF (1.5 mL). Purification by FC (SiO_2) (Et_2O /pentane 1:20) provided the desired product **5b** colorless syrup with containing the other diastereoisomer in a 55:45 ratio (diastereomeric ratio was determined by ^1H NMR analysis of the crude reaction mixture) (45.0 mg, 80.3 μmol , 94 %, combined yield of both diastereoisomers). For analytic

reason two diastereoisomers were separated and analyzed separately. Physical data of the major diastereoisomer are given here. **IR** (neat): ν = 2954, 2923, 1651, 1586, 1473, 1436, 1376, 1241, 1219, 1169, 1132, 1063, 755, 718 cm^{-1} . **^1H NMR** (500 MHz, CDCl_3) δ = 7.18 (dt, $J(\text{H,H})$ = 8.1, 0.8 Hz, 1H, CH), 7.14 (dt, $J(\text{H,H})$ = 8.2, 0.8 Hz, 1H, CH), 7.06 (dt, $J(\text{H,H})$ = 8.2, 0.8 Hz, 1H, CH), 6.93-6.82 (m, 4H, 4xCH), 6.76 (d, $J(\text{H,H})$ = 8.2 Hz, 1H, CH), 6.74-6.73 (m, 1H, CH), 6.62-6.60 (m, 2H, 2xCH), 6.57 (d, $J(\text{H,H})$ = 8.2 Hz, 1H, CH), 6.39 (d, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 6.25 (d, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 3.95-3.89 (m, 1H, NCHH), 3.86-3.79 (m, 1H, NCHH), 3.59-3.53 (m, 2H, NCH₂-propyl), 3.39 (s, 3H, OCH₃), 3.24 (s, 3H, OCH₃), 1.96-1.83 (m, 2H, NCH₂CH₂-octyl), 1.51-1.43 (m, 4H, 2xCH₂-octyl), 1.41-1.33 (m, 6H, 3xCH₂-octyl), 1.21-1.13 (m, 1H, NCH₂CHHCH₃), 0.96-0.89 (m, 1H, NCH₂CHHCH₃), 0.93 (t, $J(\text{H,H})$ = 6.5 Hz, 3H, CH₃-octyl), 0.65 (t, $J(\text{H,H})$ = 7.2 Hz, 3H, CH₃-propyl). **^{13}C NMR** (125 MHz, CDCl_3) δ = 160.6 (C), 158.9 (C), 152.2 (C), 145.8 (C), 143.0 (C), 138.6 (C), 137.9 (C), 129.8 (CH), 127.7 (CH), 127.3 (CH), 126.7 (CH), 126.1 (CH), 125.8 (CH), 123.9 (CH), 123.4 (CH), 117.4 (C), 116.4 (C), 116.3 (C), 107.1 (CH), 106.5 (CH), 105.4 (CH), 105.3 (CH), 104.0 (CH), 102.7 (CH), 56.4 (CH₃), 54.5 (CH₃), 47.6 (CH₂), 46.9 (CH₂), 45.0 (C), 31.9 (CH₂), 29.5 (CH₂), 29.4 (CH₂), 26.9 (CH₂), 26.6 (CH₂), 22.7 (CH₂), 19.7 (CH₂), 14.1 (CH₃), 11.5 (CH₃). **HRMS (ESI)** calculated for $\text{C}_{38}\text{H}_{44}\text{N}_2\text{O}_2\text{H}[(\text{M}+\text{H})^+]$: 561.3475. Found: 561.3484.

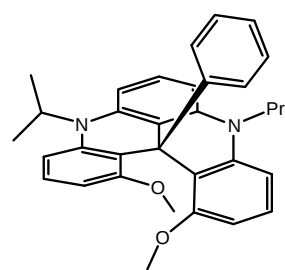
1,13-dimethoxy-5-octyl-13b-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (5b-minor):



Physical data of the minor diastereoisomer are given here. **IR** (neat): ν = 2964, 2922, 2852, 1615, 1584, 1472, 1436, 1382, 1244, 1219, 1139, 1061, 898, 766, 727, 717 cm^{-1} . **^1H NMR** (500 MHz, CDCl_3) δ = 7.17 (t, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 7.12 (t, $J(\text{H,H})$ = 8.2 Hz, 1H, CH), 7.05 (t, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 6.92-6.81 (m, 4H, 4xCH), 6.75 (dd, $J(\text{H,H})$ = 8.2, 0.8 Hz, 1H, CH), 6.74-6.72 (m, 1H, CH), 6.60 (dd, $J(\text{H,H})$ = 8.1, 0.8 Hz, 1H, CH), 6.59 (dd, $J(\text{H,H})$ = 8.2, 0.8 Hz, 1H, CH), 6.55 (d, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 6.38 (d, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 6.25 (dd, $J(\text{H,H})$ = 8.1, 0.8 Hz, 1H, CH), 3.90-3.84 (m, 1H, NCHH-octyl), 3.82-3.75 (m, 1H, NCHH-octyl), 3.61-3.54 (m, 2H, NCH₂-propyl), 3.38 (s, 3H, OCH₃), 3.24 (s, 3H, OCH₃), 1.31-1.08 (m, 8H, 4xCH₂-octyl), 1.09 (t, $J(\text{H,H})$ = 7.4 Hz, 3H, CH₃), 1.03-0.96 (m, 2H, CH₂), 0.88 (t, $J(\text{H,H})$ =

7.2 Hz, 3H, CH₃), 0.85-0.74 (m, 2H, CH₂). **¹³C NMR** (125 MHz, CDCl₃) δ = 160.6 (C), 158.9 (C), 152.2 (C), 145.8 (C), 143.0 (C), 138.6 (C), 137.9 (C), 129.8 (CH), 127.7 (CH), 127.3 (CH), 126.7 (CH), 126.1 (CH), 125.8 (CH), 124.0 (CH), 123.4 (CH), 117.4 (C), 116.5 (C), 116.2 (C), 107.2 (CH), 106.5 (CH), 105.5 (CH), 105.3 (CH), 104.1 (CH), 102.7 (CH), 56.4 (CH₃), 54.5 (CH₃), 48.6 (CH₂), 45.9 (CH₂), 45.0 (C), 31.8 (CH₂), 29.7 (CH₂), 29.3 (CH₂), 29.1 (CH₂), 27.2 (CH₂), 26.5 (CH₂), 22.6 (CH₂), 19.9 (CH₂), 14.1 (CH₃), 11.1 (CH₃). **HRMS (ESI)** calculated for C₃₈H₄₄N₂O₂H[(M+H)⁺]: 561.3475. Found: 561.3484.

5-isopropyl-1,13-dimethoxy-13b-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (5c):

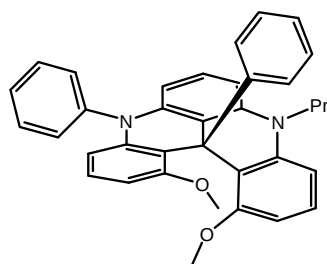


Prepared according to general procedure **IV** using 5-isopropyl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1c**][BF₄] (50.0 mg, 99.9 μ mol, 1.0 equiv), and phenyllithium (208.0 μ L (1.2 (M) soln. in di-butylether), 249.8 μ mol, 2.5 equiv) in THF (1.5 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:15) provided the desired product **5c** as white solid with containing the other diastereoisomer in a 79:21 ratio (diastereomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (42.0 mg, 85.6 μ mol, 86 %, combined yield of both diastereoisomers). **IR** (neat): ν = 2962, 2932, 1584, 1472, 1434, 1351, 1323, 1234, 1213, 1170, 1130, 1085, 1038, 899, 756, 767, 720 cm⁻¹. **¹H NMR** (500 MHz, CDCl₃) δ = 7.20 (t, J (H,H) = 8.1 Hz, 1H, CH, major), 7.17-7.12 (m, 2H, 2xCH, minor), 7.10 (t, J (H,H) = 8.2 Hz, 1H, major), 7.05 (t, J (H,H) = 8.1 Hz, 1H, CH, major), 7.03-7.02 (m, 1H, CH, minor), 6.93-6.72 (m, 7H, 7xCH, major and minor), 6.68 (d, J (H,H) = 8.0 Hz, 1H, CH, minor), 6.65 (d, J (H,H) = 8.1 Hz, 1H, CH, major), 6.61 (d, J (H,H) = 8.0 Hz, 1H, CH, minor), 6.60 (d, J (H,H) = 8.2 Hz, 2H, 2xCH, minor), 6.57 (d, J (H,H) = 8.2 Hz, 1H, CH, minor), 6.41 (d, J (H,H) = 8.1 Hz, 1H, CH, major), 6.26 (d, J (H,H) = 8.1 Hz, 1H, CH, major), 6.25 (d, J (H,H) = 8.1 Hz, 1H, CH, minor), 4.47 (sept., J (H,H) = 6.9 Hz, 1H, NCH(CH₃)₂, major), 4.02 (sept., J (H,H) = 6.9 Hz, 1H, NCH(CH₃)₂, minor), 3.92-3.86 (m, 1H, NCHH, minor), 3.83-3.77 (m, 1H, NCHH, minor), 3.59-3.54 (m, 2H, NCH₂, major), 3.40 (s, 3H, OCH₃, major), 3.39 (s, 3H, OCH₃, minor), 3.23 (s, 3H, OCH₃, minor), 3.21 (s, 3H, OCH₃, major), 1.99-1.88 (m, 2H, NCH₂CH₂), 1.58 (d,

$J(\text{H,H}) = 7.0$ Hz, 3H, CH_3 - i -propyl, major), 1.55 (d, $J(\text{H,H}) = 7.0$ Hz, 1H, CH_3 - i -propyl, major), 1.26-1.15 (m, 1H, NCH_2CHH , major), 1.11 (t, $J(\text{H,H}) = 7.4$ Hz, 3H, CH_3 -propyl, minor), 1.01-0.90 (m, 1H, NCH_2CHH , major), 0.68 (t, $J(\text{H,H}) = 7.4$ Hz, 3H, CH_3 -propyl, major), 0.61 (d, $J(\text{H,H}) = 7.0$ Hz, 3H, CH_3 - i -propyl, minor). ^{13}C NMR (125 MHz, CDCl_3) δ = 160.6 (C, minor), 160.2 (C, major), 158.9 (C, major), 158.7 (C, minor), 153.2 (C, major), 151.8 (C, minor), 146.6 (C, minor), 145.9 (C, major), 142.5 (C, major), 141.5 (C, minor), 140.4 (C, minor), 139.6 (C, minor), 138.6 (C, major), 137.9 (C, major), 130.1 (CH, minor), 129.7 (CH, major), 127.7 (CH, minor), 127.5 (CH, major), 126.9 (CH, minor), 126.8 (CH, major), 126.5 (CH, major), 125.8 (CH, major), 125.6 (CH, minor), 125.2 (CH, major), 123.9 (CH, major), 123.3 (CH, major), 119.1 (C, minor), 118.4 (C, minor), 118.0 (C, major), 117.7 (C, major), 117.4 (C, minor), 116.1 (C, major), 109.8 (CH, minor), 108.2 (CH, major), 108.1 (CH, minor), 107.3 (CH, major), 106.9 (CH, major), 106.1 (CH, major), 105.5 (CH, minor), 105.3 (CH, major), 104.0 (CH, major), 103.4 (CH, minor), 102.7 (CH, major), 56.9 (CH_3 , major), 56.5 (CH_3 , major), 54.9 (CH_3 , minor), 54.6 (CH, major), 54.5 (CH_3 , minor), 50.9 (CH, minor), 48.5 (CH_2 , minor), 47.6 (CH_2 , major), 45.0 (C, major), 44.8 (C, minor), 22.0 (CH_3 , major), 21.8 (CH_3 , minor), 21.7 (CH_3 , minor), 19.9 (CH_2 , major), 19.6 (CH_2 , major), 19.3 (CH_3 , major), 11.5 (CH_3 , major), 11.1 (CH_3 , minor). HRMS (ESI) calculated for $\text{C}_{33}\text{H}_{34}\text{N}_2\text{O}_2\text{H}[(\text{M}+\text{H})^+]$: 491.2693. Found: 491.2700.

1,13-dimethoxy-5,13b-diphenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (5d):

Prepared according to general procedure **IV** using 1,13-dimethoxy-5-phenyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1d**][BF_4] (50.0 mg, 93.5 μmol , 1.0 equiv), and phenyllithium (233.0 mL (1.2 (M) soln. in di-butylether), 280.0 μmol , 3.0 equiv) in THF (1.5 mL). Purification by FC (SiO_2) (Et_2O /pentane 1:15) provided the desired product **5d** as white solid with containing the other diastereoisomer in a >98:2 ratio (single diastereoisomer was

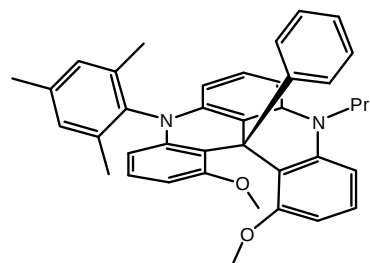


observed in ^1H NMR analysis of the crude reaction mixture) (47.0 mg, 88.0 μmol , 96 %). **M.P.**: 231-233 $^\circ\text{C}$. **IR** (neat): ν = 2931, 1614, 1585, 1470, 1434, 1356, 1341, 1251, 1229, 1157, 1106, 1068, 904, 767, 755, 722 cm^{-1} . ^1H NMR (500 MHz, CDCl_3) δ = 7.64 (t, $J(\text{H,H}) = 7.7$ Hz,

2H, 2xCH), 7.52 (dt, $J(\text{H,H}) = 7.7, 1.0$ Hz, 1H, CH), 7.44 (d, $J(\text{H,H}) = 7.7$ Hz, 1H, CH), 7.23 (t, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 7.18 (d, $J(\text{H,H}) = 7.9$ Hz, 1H, CH), 7.01-6.98 (m, 1H, CH), 6.93-6.88 (m, 3H, 3xCH), 6.86-6.81 (m, 3H, 3xCH), 6.68 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 6.38 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 6.24 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 5.88 (dd, $J(\text{H,H}) = 8.1, 0.8$ Hz, 1H, CH), 5.83 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 3.61-3.58 (m, 2H, NCH₂), 3.45 (s, 3H, OCH₃), 3.30 (s, 3H, OCH₃), 1.26-1.17 (m, 1H, NCH₂CHH), 1.06-0.96 (m, 1H, NCH₂CHH), 0.66 (t, $J(\text{H,H}) = 7.4$ Hz, 3H, CH₃-propyl). **¹³C NMR** (125 MHz, CDCl₃) δ = 160.5 (C), 159.0 (C), 152.0 (C), 146.0 (C), 143.1 (C), 139.7 (C), 138.9 (C), 131.5 (CH), 130.7 (CH), 129.9 (CH), 127.9 (CH), 127.4 (CH), 127.1 (CH), 126.8 (CH), 125.9 (CH), 125.7 (CH), 124.1 (CH), 123.6 (CH), 117.6 (C), 115.7 (C), 115.5 (C), 107.7 (CH), 107.2 (CH), 106.7 (CH), 106.3 (CH), 105.6 (CH), 102.8 (CH), 56.5 (CH₃), 54.6 (CH₃), 47.6 (CH₂), 45.0 (C), 19.7 (CH₂), 11.5 (CH₃). **HRMS (ESI)** calculated for C₃₆H₃₂N₂O₂H[(M+H)⁺]: 525.2536. Found: 525.2551.

5-mesityl-1,13-dimethoxy-13b-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (5e):

Prepared according to general procedure **IV** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-



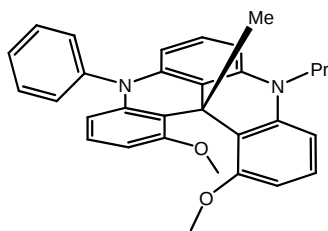
dihydroquinolino[2,3,4-kl]acridin-13b-ylidium tetrafluoroborate salt [**1e**][BF₄] (100.0 mg, 173.5 μmol , 1.0 equiv), and phenyllithium (300.0 μL (1.2 (M) soln. in di-butylether), 350.0 μmol , 2.0 equiv) in THF (3 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:15) provided the desired product **5e** as white solid with containing the

other diastereoisomer in a >98:2 ratio (single diastereoisomer was observed in ¹H NMR analysis of the crude reaction mixture) (96.0 mg, 169.5 μmol , 98 %). **M.P.**: 248-250 °C. **IR** (neat): ν = 2930, 1614, 1585, 1469, 1457, 1435, 1343, 1246, 1227, 1151, 1103, 1069, 905, 769, 719 cm⁻¹. **¹H NMR** (500 MHz, CDCl₃) δ = 7.22 (t, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 7.17-7.15 (m, 1H, CH), 7.13 (s, 1H, CH-mesityl), 7.07 (s, 1H, CH-mesityl), 6.98-6.94 (m, 1H, CH), 6.91-6.87 (m, 3H, 3xCH), 6.86-6.81 (m, 3H, 3xCH), 6.68 (dd, $J(\text{H,H}) = 8.1, 0.8$ Hz, 1H, CH), 6.38 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 6.24 (dd, $J(\text{H,H}) = 8.1, 0.8$ Hz, 1H, CH), 5.80 (dd, $J(\text{H,H}) = 8.4, 1.0$ Hz, 1H, CH), 5.76 (dd, $J(\text{H,H}) = 8.2, 0.8$ Hz, 1H, CH), 3.60-3.57 (m, 2H, NCH₂), 3.36 (s, 3H, OCH₃), 3.30 (s, 3H, OCH₃), 2.41(s, 3H, CH₃-mesityl), 2.26 (s, 3H, CH₃-mesityl), 1.97 (s, 3H,

CH₃-mesityl), 1.24-1.14 (m, 1H, NCH₂CHH), 1.05-0.95 (m, 1H, NCH₂CHH), 0.64 (t, *J*(H,H) = 7.4 Hz, 3H, CH₃-propyl). ¹³C NMR (125 MHz, CDCl₃) δ = 160.8 (C), 159.3 (C), 152.1 (C), 146.0 (C), 143.4 (C), 138.4 (C), 138.2 (C), 137.9 (C), 137.7 (C), 136.9 (C), 135.6 (C), 130.1 (CH), 129.9 (CH), 129.8 (CH), 127.8 (CH), 127.6 (CH), 126.7 (CH), 126.2 (CH), 125.9 (CH), 124.1 (CH), 123.6 (CH), 118.4 (C), 115.8 (C), 115.2 (C), 108.2 (CH), 107.6 (CH), 105.6 (CH), 105.6 (CH), 104.6 (CH), 103.0 (CH), 57.7 (CH₃), 54.6 (CH₃), 47.6 (CH₂), 45.1 (C), 21.2 (CH₃), 19.8 (CH₂), 17.9 (CH₃), 17.5 (CH₃), 11.5 (CH₃). HRMS (ESI) calculated for C₃₉H₃₈N₂O₂H[(M+H)⁺]: 567.3006. Found: 567.2984.

1,13-dimethoxy-13b-methyl-5-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (6d):

Prepared according to general procedure **IV** using 1,13-dimethoxy-5-phenyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1d**][BF₄] (50.0 mg, 93.5 μmol, 1.0 equiv), and methyllithium (175.0 μL (1.6 (M) soln. in Et₂O), 280.7 μmol, 3.0 equiv) in THF (1.5 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:15) provided the desired product **6d** as white solid with containing the other diastereoisomer

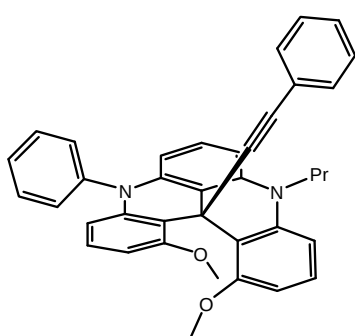


in a 63:37 ratio (diastereoisomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (40.0 mg, 86.5 μmol, 93 %, combined yield of both diastereoisomers). IR (neat): ν = 2958, 2932, 1609, 1585, 1470, 1456, 1435, 1341, 1246, 1156, 1110, 1084, 1071, 1047, 777, 750, 730 cm⁻¹. ¹H NMR (500 MHz, CDCl₃) δ = 7.63-7.57 (m, 3H, CH, major and minor), 7.52-7.46 (m, 2H, CH, major and minor), 7.33-7.31 (m, 2H, 2xCH, major and minor), 7.21 (t, *J*(H,H) = 8.3 Hz, 1H, CH, minor), 7.12 (t, *J*(H,H) = 8.1 Hz, 1H, CH, major), 6.95-6.90 (m, 2H, CH, major and minor), 6.84 (t, *J*(H,H) = 8.1 Hz, 2H, CH, major and minor), 6.59 (dd, *J*(H,H) = 8.2, 0.8 Hz, 1H, CH, major), 6.58 (dd, *J*(H,H) = 8.3, 0.8 Hz, 1H, CH, minor), 6.55 (dd, *J*(H,H) = 8.1, 0.9 Hz, 1H, CH, major), 6.53-6.48 (m, 3H, 3xCH, minor), 6.45 (dd, *J*(H,H) = 8.1, 0.9 Hz, 1H, CH, major), 6.28 (dd, *J*(H,H) = 8.2, 1.0 Hz, 1H, CH, minor), 6.14 (dd, *J*(H,H) = 8.2, 0.7 Hz, 1H, CH, minor), 5.81 (dd, *J*(H,H) = 8.4, 0.9 Hz, 1H, CH, major), 5.72 (dd, *J*(H,H) = 8.1, 0.8 Hz, 1H, CH, major), 4.04-3.92 (m, 2H, NCH₂, major), 3.84-3.63 (m, 2H, NCH₂, minor), 3.79 (s, 3H, OCH₃, major), 3.78 (s, 3H, OCH₃, minor), 3.38 (s, 3H, OCH₃, minor), 3.37 (s, 3H, OCH₃,

major), 2.08-1.97 (m, 2H, NCH₂CH₂, major), 1.93 (s, 3H, CH₃, minor), 1.86 (s, 3H, CH₃, major), 1.89-1.81 (m, 2H, NCH₂CH₂, minor). 1.10 (t, $J(\text{H,H}) = 7.4$ Hz, 3H, CH₃-propyl, major), 1.07 (t, $J(\text{H,H}) = 7.4$ Hz, 3H, CH₃-propyl, minor). ¹³C NMR (125 MHz, CDCl₃) δ = 161.1 (C, minor), 161.1 (C, major), 157.7 (C, major), 157.4 (C, minor), 144.3 (C, minor), 143.9 (C, major), 142.6 (C, major), 142.4 (C, minor), 142.2 (C, major), 141.1 (C, minor), 139.9 (C, major), 139.1 (C, minor), 138.4 (C, major), 137.5 (C, minor), 131.5 (CH, major), 130.8 (CH, minor), 130.7 (CH, major), 130.0 (CH, minor), 128.0 (CH, minor), 127.9 (CH, major), 127.3 (CH, minor), 126.5 (CH, major), 125.7 (CH, minor), 125.4 (CH, major), 125.3 (CH, major), 124.8 (CH, minor), 120.0 (C, major), 117.4 (C, minor), 116.3 (C, minor), 115.9 (C, major), 114.9 (C, major), 113.6 (C, minor), 108.5 (CH, minor), 107.6 (CH, major), 107.5 (CH, major), 106.7 (CH, major), 106.6 (CH, major), 106.5 (CH, minor), 106.1 (CH, minor), 105.4 (CH, minor), 105.3 (CH, major), 104.7 (CH, minor), 102.0 (CH, major), 101.9 (CH, minor), 56.6 (CH₃, major), 56.4 (CH₃, minor), 55.0 (CH₃, major), 54.9 (CH₃, minor), 49.1 (CH₂, minor), 48.1 (CH₂, major), 36.4 (C, major), 36.0 (C, minor), 29.1 (CH₃, minor), 28.2 (CH₃, major), 20.3 (CH₂, major), 19.9 (CH₂, minor), 12.0 (CH₃, major), 11.0 (CH₃, minor). HRMS (ESI) calculated for C₃₁H₃₀N₂O₂H[(M+H)⁺]: 463.2380. Found: 463.2358.

1,13-dimethoxy-5-phenyl-13b-(phenylethynyl)-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (7d):

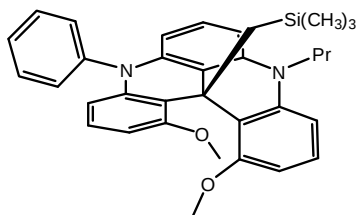
Prepared according to general procedure **VI** using 1,13-dimethoxy-5-phenyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylidium tetrafluoroborate salt [**1d**][BF₄] (50.0 mg, 93.5 μ mol, 1.0 equiv), phenylacetylene (102.0 μ L, 935.0 μ mol, 10.0 equiv) and *n*-BuLi (584.0 μ L (1.6 (M) soln. in hexane), 935.0 μ mol, 10.0 equiv) in THF (4.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:10) provided the desired product **7d** as white solid with containing the other diastereoisomer in a 58:42 ratio (diastereomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (48.0 mg, 87.5 μ mol, 88 %, combined yield of both diastereoisomers). IR (neat): ν = 2958, 2930, 1615, 1585, 1470, 1459, 1435, 1382, 1252, 1219, 1155, 1105, 1068, 905, 794, 755, 724, 700 cm⁻¹. ¹H NMR (500 MHz, CDCl₃) δ = 7.61-7.57 (m,



4H, CH, major and minor), 7.57-7.52 (m, 2H, CH, major and minor), 7.50-7.43 (m, 2H, major and minor), 7.36 (s, 1H, CH-mesityl), 7.35 (s, 1H, CH-mesityl), 7.28 (t, $J(\text{H,H}) = 8.3$ Hz, 2H, CH, major and minor), 7.23-7.20 (m, 4H, CH, major and minor), 7.19-7.14 (m, 7H, CH, major and minor), 7.02-6.96 (m, 3H, CH, major and minor), 6.89 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH, major), 6.86 (dd, $J(\text{H,H}) = 8.3, 0.8$ Hz, 1H, CH, minor), 6.64-6.60 (m, 2H, CH, major and minor), 6.59-6.56 (m, 2H, CH, major and minor), 6.53-6.51 (m, 3H, CH, major and major), 6.41 (dd, $J(\text{H,H}) = 8.2, 1.0$ Hz, 1H, CH, major), 6.33 (dd, $J(\text{H,H}) = 8.1, 0.7$ Hz, 1H, CH, major), 5.85 (dd, $J(\text{H,H}) = 8.4, 0.9$ Hz, 1H, CH, minor), 5.76 (dd, $J(\text{H,H}) = 8.2, 0.8$ Hz, 1H, CH, minor), 4.03-4.00 (m, 2H, NCH_2 , minor), 3.88 (s, 3H, OCH_3 , minor), 3.87 (s, 3H, OCH_3 , major), 3.86-3.80 (m, 1H, NCHH , major), 3.76-3.69 (m, 1H, NCHH , major), 3.45 (s, 3H, OCH_3 , major), 3.44 (s, 3H, OCH_3 , minor), 2.09-2.02 (m, 2H, NCH_2CH_2 , minor), 1.92-1.84 (m, 2H, NCH_2CH_2 , major), 1.08 (t, $J(\text{H,H}) = 6.9$ Hz, 1H, CH_3 -propyl, minor), 1.05 (t, $J(\text{H,H}) = 7.0$ Hz, 1H, CH_3 -propyl, major). ^{13}C NMR (125 MHz, CDCl_3) $\delta = 161.7$ (C), 161.6 (C), 157.1 (C), 156.9 (C), 145.1 (C), 145.0 (C), 144.3 (C), 143.9 (C), 141.5 (C), 141.2 (C), 139.8 (C), 138.9 (C), 137.8 (C), 137.0 (C), 131.5 (CH), 131.5 (CH), 131.4 (CH), 130.6 (CH), 130.5 (CH), 129.8 (CH), 128.3 (CH), 128.0 (CH), 127.8 (CH), 127.7 (CH), 127.6 (CH), 127.5 (CH), 126.8 (CH), 126.7 (CH), 126.5 (CH), 126.2 (CH), 125.8 (CH), 125.1 (C), 124.9 (C), 117.6 (C), 115.5 (C), 111.7 (C), 111.4 (C), 111.0 (C), 110.0 (C), 109.2 (CH), 107.8 (CH), 107.6 (CH), 106.9 (CH), 106.8 (CH), 106.3 (CH), 106.0 (CH), 105.6 (CH), 105.5 (CH), 105.0 (CH), 102.5 (CH), 102.4 (CH), 97.8 (C), 97.4 (C), 76.7 (C), 76.1 (C), 56.3 (CH_3), 56.2 (CH_3), 55.6 (CH_3), 55.5 (CH_3), 48.7 (CH_2), 48.3 (CH_2), 21.0 (CH_2), 19.8 (CH_2), 12.0 (CH_3), 11.1 (CH_3). HRMS (ESI) calculated for $\text{C}_{38}\text{H}_{32}\text{N}_2\text{O}_2\text{H}[(\text{M}+\text{H})^+]$: 549.2536. Found: 549.2509.

1,13-dimethoxy-5-phenyl-9-propyl-13b-((trimethylsilyl)methyl)-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (8d):

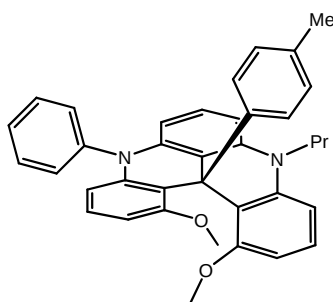
Prepared according to general procedure **IV** using 1,13-dimethoxy-5-phenyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1d**][BF_4] (50.0 mg, 93.5 μmol , 1.0 equiv), and ((trimethylsilyl)methyl)lithium (260.0 μL , 280.7 μmol , 3.0 equiv) in THF (2.0 mL). Purification by FC (SiO_2) (Et_2O /pentane 1:20)



provided the desired product **8d** as white solid with containing the other diastereoisomer in a 56:44 ratio (diastereomeric ratio was determined by ^1H NMR analysis of the crude reaction mixture) (46.0 mg, 86.1 μmol , 92 %, combined yield of both diastereoisomer). Physical data of the major diastereoisomer are given. **M.P.**: 91-93 $^{\circ}\text{C}$. **IR** (neat): $\nu = 2960, 1609, 1586, 1469, 1433, 1381, 1323, 1243, 1225, 1151, 1105, 1066, 907, 856, 830, 735\text{ cm}^{-1}$. **^1H NMR** (500 MHz, CDCl_3) $\delta = 7.72\text{--}7.69$ (m, 2H, 2xCH), 7.60-7.57 (m, 1H, CH), 7.46-7.44 (m, 2H, 2xCH), 7.19 (t, $J(\text{H,H}) = 8.3\text{ Hz}$, 1H, CH), 6.89 (t, $J(\text{H,H}) = 8.2\text{ Hz}$, 1H, CH), 6.87 (t, $J(\text{H,H}) = 8.1\text{ Hz}$, 1H, CH), 6.61 (d, $J(\text{H,H}) = 8.3\text{ Hz}$, 1H, CH), 6.55 (d, $J(\text{H,H}) = 8.3\text{ Hz}$, 1H, CH), 6.53-6.50 (m, 2H, 2xCH), 6.20 (dd, $J(\text{H,H}) = 8.1, 1.0\text{ Hz}$, 1H, CH), 6.05 (dd, $J(\text{H,H}) = 8.2, 0.8\text{ Hz}$, 1H, CH), 3.85-3.70 (m, 2H, NCH_2), 3.77 (s, 3H, OCH_3), 3.36 (s, 3H, OCH_3), 2.14 (d, $J(\text{H,H}) = 14.7\text{ Hz}$, 1H, CHHTMS), 2.10 (d, $J(\text{H,H}) = 14.7\text{ Hz}$, 1H, CHHTMS), 1.88-1.80 (m, 2H, NCH_2CH_2), 1.08 (t, $J(\text{H,H}) = 7.4\text{ Hz}$, 1H, $\text{CH}_3\text{-propyl}$), -0.56 (s, 9H, $\text{Si}(\text{CH}_3)_3$). **^{13}C NMR** (125 MHz, CDCl_3) $\delta = 163.6$ (C), 159.0 (C), 145.8 (C), 144.5 (C), 143.1 (C), 141.4 (C), 140.0 (C), 132.6 (CH), 132.1 (CH), 130.1 (CH), 129.5 (CH), 127.7 (CH), 126.5 (CH), 121.2 (C), 116.7 (C), 114.3 (C), 110.0 (CH), 108.3 (CH), 107.4 (CH), 107.3 (CH), 106.6 (CH), 104.0 (CH), 57.3 (CH_3), 56.1 (CH_3), 50.4 (CH_2), 39.9 (C), 28.3 (CH_2), 21.6 (CH_2), 12.0 (CH_3), 0.4 (CH_3). **HRMS (ESI)** calculated for $\text{C}_{34}\text{H}_{38}\text{N}_2\text{O}_2\text{SiH}[(\text{M}+\text{H})^+]$: 535.2775. Found: 535.3789.

1,13-dimethoxy-5-phenyl-9-propyl-13b-p-tolyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (9d):

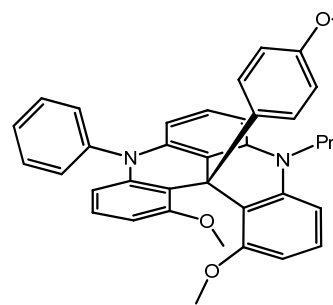
Prepared according to general procedure **V** using 1,13-dimethoxy-5-phenyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1d**][BF_4] (50.0 mg, 93.5 μmol , 1.0 equiv), 1-bromo-4-methylbenzene (160.0 mg, 935.7 μmol , 10.0 equiv) and *n*-BuLi (584.0 μL (1.6 (M) soln. in hexane), 935.7 μmol , 10.0 equiv) in THF (4.0 mL). Purification by FC (SiO_2) (Et_2O /pentane 1:15) provided the desired product **9d** as white solid with containing the



other diastereoisomer in a >98:2 ratio (single diastereoisomer was observed in ^1H NMR analysis of the crude reaction mixture) (46.0 mg, 85.5 μmol , 91 %). **M.P.**: 250-252 $^{\circ}\text{C}$. **IR** (neat): $\nu = 1930, 1829, 1613, 1585, 1470, 1461, 1434, 1354, 1340, 1251, 1231, 1158, 1105, 1069, 811, 770,$

761, 734 cm^{-1} . ^1H NMR (500 MHz, CDCl_3) δ = 7.62 (t, $J(\text{H,H})$ = 7.7 Hz, 2H, 2xCH), 7.52-7.48 (m, 1H, CH), 7.42-7.41 (m, 1H, CH), 7.21 (t, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 7.02 (dd, $J(\text{H,H})$ = 8.0, 1.5 Hz, 1H, CH), 6.87 (t, $J(\text{H,H})$ = 8.2 Hz, 1H, CH), 6.82 (t, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 6.80-7.78 (m, 2H, 2xCH), 6.71-6.68 (m, 2H, 2xCH), 6.65 (dd, $J(\text{H,H})$ = 8.1, 0.9 Hz, 1H, CH), 6.36 (dd, $J(\text{H,H})$ = 8.1, 0.8 Hz, 1H, CH), 6.22 (dd, $J(\text{H,H})$ = 8.2, 0.9 Hz, 1H, CH), 5.85 (dd, $J(\text{H,H})$ = 8.2, 1.0 Hz, 1H, CH), 5.80 (dd, $J(\text{H,H})$ = 8.1, 0.8 Hz, 1H, CH), 3.59 (t, $J(\text{H,H})$ = 7.7 Hz, 1H, NCH_2), 3.42 (s, 3H, OCH_3), 3.31 (s, 3H, OCH_3), 2.17 (s, 3H, CH_3), 1.28-1.19 (m, 1H, NCH_2CHH), 1.11-1.01 (m, 1H, NCH_2CHH), 0.65 (t, $J(\text{H,H})$ = 7.4 Hz, 3H, CH_3 -propyl). ^{13}C NMR (125 MHz, CDCl_3) δ = 160.6 (C), 159.0 (C), 149.1 (C), 145.9 (C), 143.1 (C), 142.2 (C), 139.6 (C), 138.9 (C), 133.2 (C), 131.6 (CH), 130.7 (CH), 129.6 (CH), 127.9 (CH), 127.4 (CH), 127.3 (CH), 127.0 (CH), 125.9 (CH), 125.6 (CH), 124.3 (CH), 117.8 (C), 115.7 (C), 115.6 (C), 107.6 (CH), 107.3 (CH), 106.7 (CH), 106.3 (CH), 105.5 (CH), 102.8 (CH), 56.6 (CH_3), 54.7 (CH_3), 47.7 (CH_2), 44.6 (C), 20.8 (CH_3), 19.8 (CH_2), 11.5 (CH_3). HRMS (ESI) calculated for $\text{C}_{37}\text{H}_{34}\text{N}_2\text{O}_2\text{H}[(\text{M}+\text{H})^+]$: 539.2693. Found: 539.2669.

1,13-dimethoxy-13b-(4-methoxyphenyl)-5-phenyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (10d):

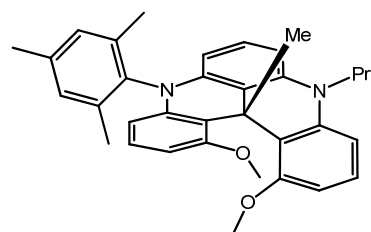


Prepared according to general procedure **V** using 1,13-dimethoxy-5-phenyl-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylidium tetrafluoroborate salt [**1d**][BF_4] (50.0 mg, 93.5 μmol , 1.0 equiv), 1-bromo-4-methoxybenzene (0.12 mL, 935.0 μmol , 10.0 equiv) and *n*-BuLi (584 μL (1.6 (M) soln. in hexane), 935.0 μmol , 10.0 equiv) in THF (4.0 mL). Purification by FC (SiO_2) (Et_2O /pentane 1:10) provided the desired product **10d** as white solid with containing the other diastereoisomer in a >98:2 ratio (single diastereoisomer was observed in ^1H NMR analysis of the crude reaction mixture) (47.0 mg, 84.8 μmol , 90 %). **M.P.**: 113-115 $^\circ\text{C}$. **IR** (neat): ν = 2932, 2832, 1584, 1504, 1470, 1458, 1435, 1357, 1341, 1243, 1229, 1177, 1156, 1106, 1069, 1034, 906, 823, 762, 710 cm^{-1} . ^1H NMR (500 MHz, CDCl_3) δ = 7.62 (t, $J(\text{H,H})$ = 7.7 Hz, 2H, 2xCH), 7.52-7.48 (m, 1H, CH), 7.41-7.40 (m, 2H, 2xCH), 7.20 (t, $J(\text{H,H})$ = 8.1 Hz, 1H, CH), 7.04 (dd, $J(\text{H,H})$ = 8.6, 2.5 Hz, 1H, CH), 6.88 (t, $J(\text{H,H})$ = 8.2 Hz, 1H, CH), 6.82 (t, $J(\text{H,H})$ =

8.1 Hz, 1H, CH), 6.79 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 6.71 (dd, $J(\text{H,H}) = 8.7, 2.5$ Hz, 1H), 6.65-6.64 (m, 1H, CH), 6.54 (dd, $J(\text{H,H}) = 8.6, 2.8$ Hz, 1H, CH), 6.46 (dd, $J(\text{H,H}) = 8.7, 2.8$ Hz, 1H, CH), 6.38 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 6.24-6.22 (m, 1H, CH), 5.86 (dd, $J(\text{H,H}) = 8.2, 0.7$ Hz, 1H, CH), 5.80 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 3.67 (s, 3H, OCH₃), 3.63-3.57 (m, 2H, NCH₂), 3.42 (s, 3H, OCH₃), 3.32 (s, 3H, OCH₃), 1.28-1.20 (m, 1H, NCH₂CHH), 1.15-1.05 (m, 1H, NCH₂CHH), 0.67 (t, $J(\text{H,H}) = 7.4$ Hz, 3H, CH₃-propyl). ¹³C NMR (125 MHz, CDCl₃) δ = 160.5 (C), 156.0 (C), 156.3 (C), 145.8 (C), 144.7 (C), 143.0 (C), 142.1 (C), 139.5 (C), 138.8 (C), 131.5 (CH), 130.7 (CH), 130.6 (CH), 128.4 (CH), 128.0 (CH), 127. (CH), 125.9 (CH), 125.6 (CH), 117.8 (C), 115.7 (C), 111.6 (CH), 109.6 (CH), 107.6 (CH), 107.2 (CH), 106.7 (CH), 106.3 (CH), 105.5 (CH), 102.8 (CH), 56.6 (CH), 55.2 (CH), 54.8 (CH), 47.7 (CH₂), 44.3 (C), 20.0 (CH₂), 11.5 (CH₃). HRMS (ESI) calculated for C₃₇H₃₄N₂O₃H[(M+H)⁺]: 555.2642. Found: 555.2615.

5-mesityl-1,13-dimethoxy-13b-methyl-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (6e):

Prepared according to general procedure **IV** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1e**][BF₄] (50.0 mg, 86.7 μ mol, 1.0 equiv), and methyllithium (162.0 μ L (1.6 (M) soln. in Et₂O), 260.0 μ mol, 3.0 equiv) in THF (1.5 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:20) provided the desired product **6e** as white solid with containing the other



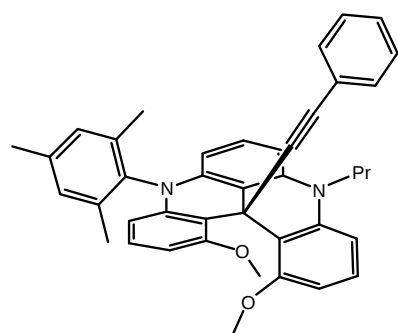
diastereoisomer in a 90:10 ratio (diastereomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (42.0 mg, 83.3 μ mol, 95 %, combined yield of both diastereoisomers).

IR (neat): ν = 2960, 2930, 1607, 1585, 1470, 1455, 1435, 1355, 1342, 1241, 1151, 1083, 1047, 854, 807, 751, 729 cm⁻¹. ¹H NMR (500 MHz, CDCl₃) δ = 7.12 (t, $J(\text{H,H}) = 8.1$ Hz, 1H), 7.08 (s, 1H, CH-mesityl), 7.04 (s, 1H, CH-mesityl), 6.94 (t, $J(\text{H,H}) = 8.2$ Hz, 1H, CH), 6.86-6.83 (m, 2H, 2xCH), 6.59 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH), 6.56 (dd, $J(\text{H,H}) = 8.1, 0.8$ Hz, 1H, CH), 6.45 (dd, $J(\text{H,H}) = 8.0, 0.8$ Hz, 1H, CH), 6.06 (dd, $J(\text{H,H}) = 8.2, 0.8$ Hz, 1H, CH, minor), 5.90 (d, $J(\text{H,H}) = 8.1$ Hz, 1H, CH, minor), 5.74 (dd, $J(\text{H,H}) = 8.3, 0.8$ Hz, 1H, CH, major), 5.67 (d, $J(\text{H,H}) = 8.0$ Hz, 1H, CH, major), 4.04-3.93 (m, 2H, NCH₂, major), 3.84 (s, 3H, OCH₃, minor), 3.79 (s, 3H, OCH₃, major), 3.75-3.64 (m, 2H, NCH₂, minor), 3.42 (s, 3H, OCH₃, minor), 3.29 (s,

3H, OCH₃, major), 2.42 (s, 3H, CH₃-meistyl, minor), 2.39 (s, 3H, CH₃-meistyl, major), 2.31 (s, 3H, CH₃-meistyl, minor), 2.08 (s, 3H, CH₃-meistyl, major), 2.06-1.99 (m, 2H, NCH₂CH₂-major), 1.93 (s, 3H, CH₃-meistyl, major), 1.87 (s, 3H, CH₃, minor), 1.83 (s, 3H, CH₃, major), 1.50 (s, 3H, CH₃-meistyl, minor), 1.10 (t, $J(\text{H,H}) = 7.4 \text{ Hz}$, 3H, CH₃-propyl, major), 1.07 (t, $J(\text{H,H}) = 7.4 \text{ Hz}$, 3H, CH₃-propyl, minor). **¹³C NMR** (125 MHz, CDCl₃) δ = 161.3 (C, major), 161.1 (C, minor), 158.1 (C, major), 157.4 (C, minor), 143.9 (C, major), 142.8 (C, major), 142.7 (C, minor), 140.6 (C, minor), 138.9 (C, minor), 138.8 (C, minor), 138.5 (C, minor), 138.3 (C, major), 138.3 (C, major), 138.2 (C, major), 137.7 (C, minor), 137.5 (C, major), 137.5 (C, minor), 137.4 (C, minor), 136.5 (C, major), 136.1 (C, minor), 136.0 (C, major), 130.2 (CH, minor), 130.0 (CH, minor), 129.9 (CH, major), 129.8 (CH, major), 127.3 (CH, minor), 127.2 (CH, major), 126.0 (CH, minor), 125.9 (CH, major), 125.2 (CH, major), 125.1 (CH, minor), 120.5 (C, major), 116.7 (C, minor), 115.7 (C, major), 114.9 (C, major), 114.4 (C, minor), 111.5 (C, minor), 108.1 (CH, major), 107.8 (CH, major), 106.6 (CH, minor), 105.7 (CH, major), 105.5 (CH, minor), 105.4 (CH, major), 105.1 (CH, major), 105.0 (CH, minor), 104.8 (CH, minor), 102.1 (CH, major), 101.9 (CH, minor), 57.7 (CH₃, major), 55.8 (CH₃, minor), 54.9 (CH₃, major), 54.8 (CH₃, minor), 48.0 (CH₂, major), 47.9 (CH₂, minor), 36.4 (C, major), 35.8 (C, minor), 31.0 (CH₃, minor), 27.9 (CH₃, major), 21.1 (CH₃, major), 21.0 (CH₃, minor), 20.4 (CH₂, major), 19.8 (CH₂, minor), 19.5 (CH₃, minor), 18.6 (CH₃, minor), 17.6 (CH₃, major), 17.4 (CH₃, major), 12.0 (CH₃, major), 11.0 (CH₃, minor). **HRMS (ESI)** calculated for C₃₄H₃₆N₂O₂H[(M+H)⁺]: 505.2849. Found: 505.2849.

5-mesityl-1,13-dimethoxy-13b-(phenylethynyl)-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (7e):

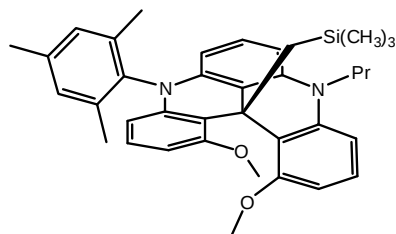
Prepared according to general procedure **VI** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt [**1e**][BF₄] (50.0 mg, 86.7 μmol , 1.0 equiv), phenylacetylene (95.0 μL , 867.0 μmol , 10.0 equiv) and *n*-BuLi (539.0 μL (1.6 M) soln. in hexane), 867.0 μmol , 10.0 equiv) in THF (4.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:12) provided the desired product **7e** as white solid with containing the other diastereoisomer in a 91:09 ratio (diastereomeric ratio was



determined by ^1H NMR analysis of the crude reaction mixture) (46.0 mg, 77.9 μmol , 90 %, combined yield of both diastereoisomers). **IR** (neat): $\nu = 2958, 2931, 1615, 1585, 1470, 1457, 1435, 1356, 1340, 1246, 1227, 1151, 1102, 1068, 754, 710\text{ cm}^{-1}$. **^1H NMR** (500 MHz, CDCl_3) $\delta = 7.21\text{--}7.12$ (m, 6H, 6xCH), 7.09 (s, 1H, CH-mesityl), 7.05 (s, 1H, CH-mesityl), 7.01 (t, $J(\text{H,H}) = 8.2\text{ Hz}$, 1H, CH), 6.91 (t, $J(\text{H,H}) = 8.1\text{ Hz}$, 1H, CH), 6.89 (dd, $J(\text{H,H}) = 8.2, 0.9\text{ Hz}$, 1H, CH), 6.63 (dd, $J(\text{H,H}) = 8.0, 0.8\text{ Hz}$, 1H, CH), 6.58 (dd, $J(\text{H,H}) = 8.2, 0.9\text{ Hz}$, 1H, CH), 6.51 (dd, $J(\text{H,H}) = 8.1, 0.9\text{ Hz}$, 1H, CH), 6.12 (dd, $J(\text{H,H}) = 8.3, 1.0\text{ Hz}$, 1H, CH, minor), 5.96 (dd, $J(\text{H,H}) = 8.2, 0.8\text{ Hz}$, 1H), 5.80 (dd, $J(\text{H,H}) = 8.4, 1.0\text{ Hz}$, 1H, CH, major), 5.73 (dd, $J(\text{H,H}) = 8.2, 0.8\text{ Hz}$, 1H, CH, major), 4.04–4.00 (m, 2H, NCH_2), 3.87 (s, 3H, OCH_3 , major), 3.86 (s, 3H, OCH_3 , minor), 3.49 (s, 3H, OCH_3 , minor), 3.39 (s, 3H, OCH_3 , major), 2.41 (s, 3H, CH_3 -mesityl, minor), 2.40 (s, 3H, CH_3 -mesityl, major), 2.35 (s, 3H, CH_3 -mesityl, major), 2.12 (s, 3H, CH_3 -mesityl, major), 2.10–2.03 (m, 2H, NCH_2CH_2), 1.95 (s, 3H, CH_3 -mesityl, major), 1.58 (s, 3H, CH_3 -mesityl, minor), 1.09 (t, $J(\text{H,H}) = 7.4\text{ Hz}$, 3H, CH_3 -propyl, minor), 1.06 (t, $J(\text{H,H}) = 7.4\text{ Hz}$, 3H, CH_3 -propyl, major). **^{13}C NMR** (125 MHz, CDCl_3) $\delta = 161.8$ (C, major), 161.7 (C, minor), 157.3 (C, major), 157.1 (C, minor), 145.2 (C, major), 144.6 (C, major), 143.5 (C, minor), 142.0 (C, minor), 139.1 (C, minor), 138.8 (C, minor), 138.6 (C, major), 138.5 (C, minor), 138.2 (C, major), 138.2 (C, major), 137.7 (C, major), 137.6 (C, minor), 137.2 (C, minor), 136.0 (C, major), 135.8 (C, minor), 135.7 (C, major), 131.5 (CH, major), 129.9 (CH, minor), 129.8 (CH, major), 129.8 (CH, major), 129.6 (CH, minor), 128.2 (CH, minor), 128.1 (CH, major), 127.7 (CH, major), 127.6 (CH, minor), 126.9 (CH, minor), 126.7 (CH, minor), 126.6 (CH, major), 126.1 (CH, major), 125.2 (C, major), 125.1 (C, minor), 117.9 (C, major), 112.7 (C, minor), 111.5 (C, major), 111.3 (C, major), 110.7 (C, minor), 107.9 (CH, major), 107.2 (CH, major), 106.9 (CH, minor), 106.2 (CH, minor), 105.9 (CH, major), 105.7 (CH, major), 105.4 (CH, major), 105.2 (CH, minor), 104.9 (CH, minor), 104.9 (CH, minor), 102.6 (CH, major), 102.2 (CH, minor), 98.7 (C, minor), 97.4 (C, major), 79.3 (C, minor), 76.3 (C, major), 57.0 (CH_3 , major), 55.7 (CH_3 , minor), 55.6 (CH_3 , major), 55.5 (CH_3 , minor), 49.0 (CH_2 , minor), 48.2 (CH_2 , major), 35.3 (C, major), 29.7 (C, minor), 21.1 (CH_3 , major), 21.1 (CH_3 , minor), 21.0 (CH_2 , major), 19.9 (CH_2 , minor), 19.2 (CH_3 , minor), 18.7 (CH_3 , minor), 17.6 (CH_3 , major), 17.4 (CH_3 , major), 12.0 (CH_3 , major), 11.1 (CH_3 , minor). **HRMS (ESI)** calculated for $\text{C}_{41}\text{H}_{38}\text{N}_2\text{O}_2\text{H}[(\text{M}+\text{H})^+]$: 591.3006. Found: 591.2984.

5-mesityl-1,13-dimethoxy-9-propyl-13b-((trimethylsilyl)methyl)-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (8e):

Prepared according to general procedure **IV** using 15-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1e][BF₄]** (50.0 mg, 86.7 μ mol, 1.0 equiv), and ((trimethylsilyl)methyl)lithium (859.0 μ L, 867.0 μ mol, 10.0 equiv) in THF (1.5 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:30) provided the desired product **8e** as white



solid with containing the other diastereoisomer in a 93:07 ratio (diastereomeric ratio was determined by ¹H NMR analysis of the crude reaction mixture) (46.0 mg, 79.8 μ mol, 92 %, combined yield of both diastereoisomers). Physical data of major diastereoisomer are given. **M.P.**: 88-90 °C. **IR** (neat): ν = 2950, 1607, 1585, 1470, 1456, 1435, 1342, 1238, 1152, 1100, 1082, 1070, 894, 857, 831, 740 cm⁻¹. **¹H NMR** (500 MHz, CD₃CN) δ = 7.14 (s, 1H, CH-mesityl), 7.04 (d, J (H,H) = 8.1 Hz, 1H, CH), 7.02 (s, 1H, CH-mesityl), 6.93 (t, J (H,H) = 8.2 Hz, 1H, CH), 6.88 (dd, J (H,H) = 8.2, 0.8 Hz, 1H, CH), 6.82 (t, J (H,H) = 8.1 Hz, 1H, CH), 6.65 (d, J (H,H) = 8.1 Hz, 1H, CH), 6.54 (dd, J (H,H) = 8.1, 0.8 Hz, 1H, CH), 6.50 (dd, J (H,H) = 8.1, 0.8 Hz, 1H, CH), 5.70 (dd, J (H,H) = 8.4, 1.0 Hz, 1H, CH), 5.62 (dd, J (H,H) = 8.1, 0.8 Hz, 1H, CH), 4.11- 4.01 (m, 2H, NCH₂), 3.78 (s, 3H, OCH₃), 3.33 (s, 3H, OCH₃), 2.35 (s, 3H, CH₃-mesityl), 2.23 (s, 3H, CH₃-mesityl), 2.09 (s, 1H, CHH-TMS), 2.03 (s, 1H, CHH-TMS), 2.02-1.97 (m, 2H, NCH₂CH₂), 1.73 (s, 3H, CH₃-mesityl), 1.13 (t, J (H,H) = 7.4 Hz, 3H, CH₃-propyl), -0.51 (s, 9H, Si(CH₃)₃). **¹³C NMR** (125 MHz, CD₃CN) δ = 164.0 (C), 159.3 (C), 145.7 (C), 144.9 (C), 140.8 (C), 140.8 (C), 139.6 (C), 139.1 (C), 138.7 (C), 132.5 (CH), 131.1 (CH), 129.2 (CH), 127.7 (CH), 126.8 (CH), 124.0 (C), 116.6 (C), 115.9 (C), 109.2 (CH), 108.1 (CH), 107.8 (CH), 107.6 (CH), 107.1 (CH), 104.4 (CH), 57.7 (CH₃), 56.2 (CH₃), 49.2 (CH₂), 40.4 (C), 25.8 (CH₂), 22.4 (CH₂), 22.1 (CH₃), 19.6 (CH₃), 18.7 (CH₃), 13.4 (CH₃), 0.3 (CH₃). **HRMS (ESI)** calculated for C₃₇H₄₄N₂O₂SiH[(M+H)⁺]: 577.3244. Found: 577.3234.

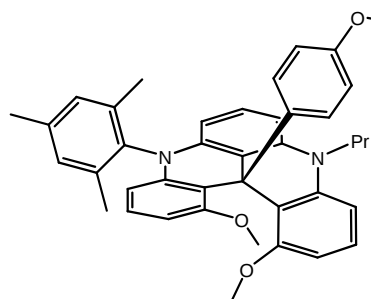
5-mesityl-1,13-dimethoxy-9-propyl-13b-p-tolyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (9e):

Prepared according to general procedure **V** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1e][BF₄]** (50.0 mg, 86.7 μ mol, 1.0 equiv), 1-bromo-4-methylbenzene (100.0 μ L, 867.0 μ mol, 10.0 equiv) and *n*-BuLi (540.0 μ L (1.6 (M) soln. in hexane), 867.0 μ mol, 10.0 equiv) in THF (4.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:20) provided the desired product **9e** as white solid with containing

the other diastereoisomer in a >98:2 ratio (single diastereoisomer was observed in ¹H NMR analysis of the crude reaction mixture) (46.0 mg, 79.2 μ mol, 92 %). **M.P.**: 128-130 °C. **IR** (neat): ν = 2921, 1613, 1584, 1469, 1456, 1435, 1360, 1341, 1247, 1225, 1148, 1104, 1082, 808, 766, 730, 709 cm⁻¹. **¹H NMR** (500 MHz, CDCl₃) δ = 7.21 (t, *J*(H,H) = 8.0 Hz, 1H, CH), 7.13 (s, 1H, CH-mesityl), 7.06 (s, 1H, CH-mesityl), 7.03 (d, *J*(H,H) = 7.8 Hz, 1H, CH), 6.88 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 6.85-6.80 (m, 2H, 2xCH), 6.69-6.66 (m, 3H, 3xCH), 6.38 (d, *J*(H,H) = 7.9 Hz, 1H, CH), 6.23 (d, *J*(H,H) = 7.9 Hz, 1H, CH), 5.78 (d, *J*(H,H) = 8.2 Hz, 1H, CH), 5.75 (d, *J*(H,H) = 8.1 Hz, 1H, CH), 3.59 (t, *J*(H,H) = 7.5, Hz, 2H, NCH₂), 3.35 (s, 3H, OCH₃), 3.33 (s, 3H, OCH₃), 2.41 (s, 3H, CH₃), 2.24 (s, 3H, CH₃), 2.16 (s, 3H, CH₃), 1.96 (s, 3H, CH₃), 1.27-1.18 (m, 1H, NCH₂CHH), 1.11-1.01 (m, 1H, NCH₂CHH), 0.63 (t, *J*(H,H) = 7.3 Hz, 3H, CH₃-propyl). **¹³C NMR** (125 MHz, CDCl₃) δ = 160.(C), 159.3 (C), 149.2 (C), 146.0 (C), 143.4 (C), 138.4 (C), 138.3 (C), 137.8 (C), 137.7 (C), 136.9 (C), 135.6 (C), 133.3 (C), 130.1 (CH), 129.8 (CH), 129.6 (CH), 127.7 (CH), 127.4 (CH), 127.3 (CH), 126.1 (CH), 125.8 (CH), 124.4 (CH), 118.6 (C), 115.8 (C), 115.2 (C), 108.2 (CH), 107.6 (CH), 105.5 (CH), 105.4 (CH), 104.5 (CH), 103.0 (CH), 57.8 (CH₃), 54.6 (CH₃), 47.6 (CH₂), 44.7 (C), 21.2 (CH₃), 20.8 (CH₃), 19.9 (CH₂), 17.9 (CH₃), 17.5 (CH₃), 11.5 (CH₃). **HRMS (ESI)** calculated for C₄₀H₄₀N₂O₂H[(M+H)⁺]: 581.3168. Found: 581.3173.

5-mesityl-1,13-dimethoxy-13b-(4-methoxyphenyl)-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (10e):

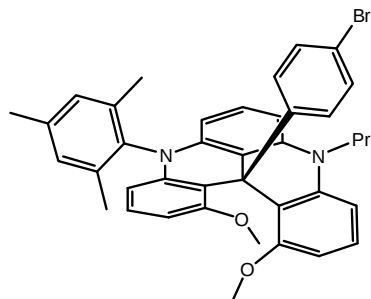
Prepared according to general procedure **V** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1e][BF₄]** (50.0 mg, 86.7 μ mol, 1.0 equiv), 1-bromo-4-methoxybenzene (108.0 μ L, 867.0 μ mol, 10.0 equiv) and *n*-BuLi (541.0 μ L (1.6 (M) soln. in hexane), 867.0 μ mol, 10.0 equiv) in THF (4.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:12) provided the desired product **10e** as white



solid with containing the other diastereoisomer in a >98:2 ratio (single diastereoisomer was observed in ¹H NMR analysis of the crude reaction mixture) (46.0 mg, 77.1 μ mol, 90 %). **M.P.**: 117-119 °C. **IR** (neat): ν = 2928, 2832, 1601, 1584, 1504, 1469, 1456, 1435, 1342, 1242, 1177, 1151, 1102, 1069, 1035, 907, 823, 765, 731, 710 cm⁻¹. **¹H NMR** (500 MHz, CDCl₃) δ = 7.21 (t, *J*(H,H) = 8.1, Hz, 1H, CH), 7.13 (br. s, 1H, CH-mesityl), 7.07 (br. s, 1H, CH-mesityl), 7.04 (dd, *J*(H,H) = 8.6, 2.5 Hz, 1H, CH), 6.89 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 6.84 (t, *J*(H,H) = 8.1 Hz, 1H, CH), 6.82-6.80 (m, 1H, CH), 6.72 (dd, *J*(H,H) = 8.7, 2.5 Hz, 1H, CH), 6.66 (dd, *J*(H,H) = 8.0, 0.7 Hz, 1H, CH), 6.52 (dd, *J*(H,H) = 8.6, 2.8 Hz, 1H, CH), 6.46 (dd, *J*(H,H) = 8.7, 2.8 Hz, 1H, CH), 6.39 (d, *J*(H,H) = 8.0 Hz, 1H, CH), 6.24 (dd, *J*(H,H) = 8.0, 0.7 Hz, 1H, CH), 5.79 (dd, *J*(H,H) = 8.4, 1.0 Hz, 1H, CH), 5.76 (dd, *J*(H,H) = 8.1, 0.6 Hz, 1H, CH), 3.67 (s, 3H, OCH₃), 3.63-3.57 (m, 2H, NCH₂), 3.35 (s, 3H, OCH₃), 3.34 (s, 3H, OCH₃), 2.41 (s, 3H, CH₃-mesityl), 2.24 (s, 3H, CH₃-mesityl), 1.95 (s, 3H, CH₃-mesityl), 1.28-1.19 (m, 1H, NCH₂CHH), 1.16-1.13 (m, 1H, NCH₂CHH), 0.66 (t, *J*(H,H) = 7.4 Hz, 1H, CH₃-propyl). **¹³C NMR** (125 MHz, CDCl₃) δ = 160.8 (C), 156.4 (C), 145.9 (C), 144.8 (C), 143.3 (C), 138.4 (C), 138.3 (C), 137.7 (C), 136.8 (C), 135.6 (C), 130.5 (CH), 130.1 (CH), 129.8 (CH), 128.5 (CH), 127.6 (CH), 126.1 (CH), 125.8 (CH), 118.6 (C), 115.7 (C), 115.3 (C), 111.4 (CH), 109.8 (CH), 108.1 (CH), 107.6 (CH), 105.6 (CH), 105.5 (CH), 104.6 (CH), 103.0 (CH), 57.7 (OCH₃), 55.2 (OCH₃), 54.7 (OCH₃), 47.6 (CH₂), 44.3 (C), 21.2 (CH₃), 20.0 (CH₂), 17.9 (CH₃), 17.5 (CH₃), 11.5 (CH₃). **HRMS (ESI)** calculated for C₄₀H₄₀N₂O₃H[(M+H)⁺]: 597.3111. Found: 597.3092.

13b-(4-bromophenyl)-5-mesityl-1,13-dimethoxy-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (11e):

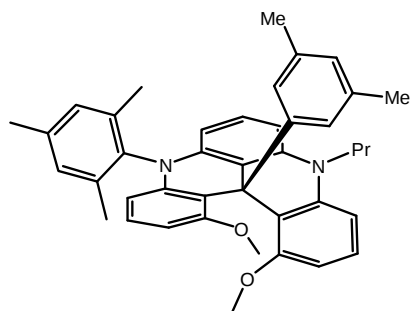
Prepared according to general procedure **V** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1e][BF₄]** (50.0 mg, 86.7 μ mol, 1.0 equiv), 1,4-dibromobenzene (202.0 mg, 859.0 μ mol, 9.9 equiv) and *n*-BuLi (537.0 μ L (1.6 (M) soln. in hexane), 859.0 μ mol, 9.9 equiv) in THF (4.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:20) provided the desired product **11e** as white solid with containing



the other diastereoisomer in a >98:2 ratio (single diastereoisomer was observed in ¹H NMR analysis of the crude reaction mixture) (52.1 g, 80.7 μ mol, 93 %). **M.P.**: 148-150 °C. **IR** (neat): ν = 2956, 2931, 1612, 1585, 1470, 1456, 1435, 1357, 1342, 1246, 1227, 1150, 1103, 1072, 1008, 812, 769, 726 cm⁻¹. **¹H NMR** (500 MHz, CDCl₃) δ = 7.22 (t, *J*(H,H) = 8.1 Hz, 1H, CH), 7.15 (br. s, 1H, CH-mesityl), 7.11 (dd, *J*(H,H) = 8.4, 2.2 Hz, 1H, CH), 7.09 (br. s, 1H, CH-mesityl), 7.06-7.02 (m, 2H, 2xCH), 6.92 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 6.85 (t, *J*(H,H) = 8.1 Hz, 1H, CH), 6.82 (dd, *J*(H,H) = 8.2, 0.9 Hz, 1H, CH), 6.72 (dd, *J*(H,H) = 8.5, 2.5 Hz, 1H, CH), 6.67 (dd, *J*(H,H) = 8.2, 1.0 Hz, 1H, CH), 6.41 (dd, *J*(H,H) = 8.0, 0.8 Hz, 1H), 6.25 (dd, *J*(H,H) = 8.1, 1.0 Hz, 1H, CH), 5.79 (dd, *J*(H,H) = 8.4, 1.1 Hz, 1H, CH), 5.76 (dd, *J*(H,H) = 8.2, 0.9 Hz, 1H), 3.61-3.58 (m, 2H, NCH₂), 3.37 (s, 3H, OCH₃), 3.37 (s, 3H, OCH₃), 2.41 (s, 3H, CH₃-mesityl), 2.21 (s, 3H, CH₃-mesityl), 1.93 (s, 3H, CH₃-mesityl), 1.29-1.19 (m, 1H, NCH₂CHH), 1.16-1.06 (m, 1H, NCH₂CHH), 0.67 (t, *J*(H,H) = 7.4 Hz, 3H, CH₃-propyl). **¹³C NMR** (125 MHz, CDCl₃) δ = 160.8 (C), 159.5 (C), 152.0 (C), 146.2 (C), 143.9 (C), 138.7 (C), 138.4 (C), 138.4 (C), 138.2 (C), 137.2 (C), 135.8 (C), 131.8 (CH), 130.5 (CH), 130.2 (CH), 130.0 (CH), 129.8 (CH), 128.5 (CH), 127.1 (CH), 126.9 (CH), 126.6 (CH), 118.1 (C), 117.9 (C), 115.6 (C), 114.8 (C), 107.8 (CH), 107.7 (CH), 106.1 (CH), 106.0 (CH), 105.2 (CH), 102.9 (CH), 57.2 (CH₃), 54.6 (CH₃), 47.9 (CH₂), 45.1 (C), 21.3 (CH₃), 20.4 (CH₂), 18.0 (CH₃), 17.4 (CH₃), 11.6 (CH₃). **HRMS (ESI)** calculated for C₃₉H₃₈BrN₂O₂H[(M+H)⁺]: 647.2267. Found: 647.2268.

13b-(3,5-dimethylphenyl)-5-mesityl-1,13-dimethoxy-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (12e):

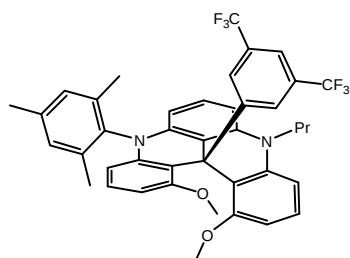
Prepared according to general procedure **V** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1e][BF₄]** (30.0 mg, 51.5 μ mol, 1.0 equiv), 1-bromo-3,5-dimethylbenzene (70.0 μ L, 515.0 μ mol, 10.0 equiv) and *n*-BuLi (322.0 μ L (1.6 (M) soln. in hexane), 515.0 μ mol, 10.0 equiv) in THF (2.5 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:20) provided the desired product **12e** as white solid with containing the other diastereoisomer in a >98:2 ratio



(single diastereoisomer was observed in ¹H NMR analysis of the crude reaction mixture) (28.0 mg, 47.1 μ mol, 93 %). **M.P.**: 189-191 °C. **IR** (neat): ν = 2922, 2833, 1613, 1584, 1469, 1456, 1435, 1358, 1341, 1247, 1227, 1151, 1103, 1070, 843, 804, 750 cm⁻¹. **¹H NMR** (500 MHz, CDCl₃) δ = 7.20 (t, J (H,H) = 8.1 Hz, 1H, CH), 7.12 (s, 1H, CH-mesityl), 7.07 (s, 1H, CH-mesityl), 6.88 (t, J (H,H) = 8.2 Hz, 1H, CH), 6.82 (t, J (H,H) = 8.1 Hz, 1H, CH), 6.80 (d, J (H,H) = 8.0 Hz, 1H, CH), 6.75 (s, 1H, CH), 6.67 (d, J (H,H) = 8.0 Hz, 1H, CH), 6.51 (s, 1H, CH), 6.39 (s, 1H, CH), 6.38 (d, J (H,H) = 8.0 Hz, 1H, CH), 6.24 (dd, J (H,H) = 8.0, 0.8 Hz, 1H, CH), 5.77 (dd, J (H,H) = 8.2, 0.8 Hz, 1H, CH), 5.72 (d, J (H,H) = 8.1 Hz, 1H, CH), 3.59 (t, J (H,H) = 7.6 Hz, 2H, NCH₂), 3.33 (s, 3H, OCH₃), 3.28 (s, 3H, OCH₃), 2.41 (s, 3H, CH₃-mesityl), 2.25 (s, 3H, CH₃-mesityl), 2.10 (s, 3H, CH₃-mesityl), 1.99 (s, 3H, CH₃), 1.97 (s, 3H, CH₃), 1.28-1.21 (m, 1H, NCH₂CHH), 1.17-1.10 (m, 1H, NCH₂CHH), 0.63 (t, J (H,H) = 7.4 Hz, 3H, CH₃). **¹³C NMR** (125 MHz, CDCl₃) δ = 161.1 (C), 159.3 (C), 151.5 (C), 145.8 (C), 143.8 (C), 138.4 (C), 138.3 (C), 137.8 (C), 137.7 (C), 136.8 (C), 135.7 (C), 135.2 (C), 132.3 (C), 130.0 (CH), 129.9 (CH), 128.3 (CH), 127.5 (CH), 126.1 (CH), 125.8 (CH), 125.7 (CH), 125.6 (CH), 118.7 (C), 115.8 (C), 115.7 (C), 108.6 (CH), 107.7 (CH), 105.4 (CH), 104.4 (CH), 103.4 (CH), 58.0 (CH₃), 54.8 (CH₃), 47.5 (CH₂), 44.9 (C), 21.4 (CH₃), 21.3 (CH₃), 21.2 (CH₃), 20.0 (CH₂), 17.8 (CH₃), 17.5 (CH₃), 11.5 (CH₃). **HRMS (ESI)** calculated for C₄₁H₄₂N₂O₂H[(M+H)⁺]: 595.3319. Found: 595.3319.

13b-(3,5-bis(trifluoromethyl)phenyl)-5-mesityl-1,13-dimethoxy-9-propyl-9,13b-dihydro-5H-quinolino[2,3,4-kl]acridine (13e):

Prepared according to general procedure **V** using 5-mesityl-1,13-dimethoxy-9-propyl-5,9-dihydroquinolino[2,3,4-kl]acridin-13b-ylum tetrafluoroborate salt **[1e][BF₄]** (50.0 mg, 86.7 μ mol, 1.0 equiv), 1-bromo-3,5-bis(trifluoromethyl)benzene (254.0 mg, 867.0 μ mol, 10.0 equiv) and *n*-BuLi (541.0 μ L (1.6 (M) soln. in hexane), 867.0 μ mol, 10.0 equiv) in THF (4.0 mL). Purification by FC (SiO₂) (Et₂O/pentane 1:20) provided the desired product **13e** as white solid with containing the other diastereoisomer in a >98:2 ratio (single diastereoisomer was observed in ¹H NMR analysis of the crude reaction mixture) (57.3 g, 81.6 μ mol, 94 %). **M.P.**: 219-221 °C. **IR** (neat): ν = 2936, 2615, 1587, 1472, 1458, 1437, 1359, 1273, 1248, 1229, 1124, 1102, 885, 796, 758, 704 cm⁻¹. **¹H NMR** (500 MHz, CDCl₃) δ = 7.70 (s, 1H, CH), 7.44 (s, 1H, CH), 7.28 (s, 1H, CH), 7.26 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 7.13 (s, 1H, CH-mesityl), 7.08 (s, 1H, CH-mesityl), 6.93 (t, *J*(H,H) = 8.2 Hz, 1H, CH), 6.88 (t, *J*(H,H) = 8.1 Hz, 1H, CH), 6.81 (dd, *J*(H,H) = 8.2, 0.8 Hz, 1H, CH), 6.69 (dd, *J*(H,H) = 8.1, 0.8 Hz, 1H, CH), 6.40 (dd, *J*(H,H) = 8.2, 0.6 Hz, 1H, CH), 6.21 (dd, *J*(H,H) = 8.1, 0.8 Hz, 1H, CH), 5.82 (dd, *J*(H,H) = 8.2, 1.0 Hz, 1H), 5.79 (dd, *J*(H,H) = 8.2, 0.8 Hz, 1H, CH), 3.57-3.54 (m, 2H, NCH₂), 3.38 (s, 3H, OCH₃), 3.36 (s, 3H, OCH₃), 2.42 (s, 3H, CH₃-mesityl), 2.19 (s, 3H, CH₃-mesityl), 1.99 (s, 3H, CH₃-mesityl), 1.08-1.00 (m, 2H, NCH₂CH₂), 0.58 (t, *J*(H,H) = 7.4 Hz, 1H, CH₃-propyl). **¹³C NMR** (125 MHz, CDCl₃) δ = 160.0 (C), 159.1 (C), 155.0 (C), 145.5 (C), 143.5 (C), 138.3 (C), 138.1 (C), 138.0 (C), 137.9 (C), 136.9 (C), 135.2 (C), 130.1 (CH), 130.0 (CH), 129.5 (q, *J*(F,C) = 32.7 Hz, C), 129.6- 129.5 (m, CH), 128.7 (CH), 127.6-127.5 (m, CH), 127.2 (CH), 126.8 (CH), 126.6 (q, *J*(F,C) = 32.2 Hz, C), 124.0 (q, *J*(F,C) = 272.5 Hz, C), 123.6 (q, *J*(F,C) = 272.5 Hz, C), 118.3-118.2 (m, CH) 117.0 (C), 114.7 (C), 113.2 (C), 107.9 (CH), 107.7 (CH), 106.0 (CH), 105.8 (CH), 105.0 (CH), 102.2 (CH), 57.2 (CH₃), 54.0 (CH₃), 47.3 (CH₂), 45.2 (C), 21.2 (CH₃), 20.1 (CH₂), 17.6 (CH₃), 17.4 (CH₃), 11.3 (CH₃). **¹⁹F NMR** (470 MHz, CDCl₃) δ = -62.5, -62.6. **HRMS** (ESI) calculated for C₄₁H₃₆F₆N₂O₂H(M+H)⁺: 703.2753. Found: 703.2716.



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