Supporting information

Substituted 6-Phenyl-2-naphthols. Potent and Selective Non-Steroidal Inhibitors of 17β-Hydroxysteroid Dehydrogenase Type 1 (17β-HSD1): Design, Synthesis, Biological Evaluation and Pharmacokinetics.

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a) Experimental details on the synthesis and spectroscopic data for 1-38.

General procedure for Suzuki coupling:

Method A: A mixture of arylbromide (1 eq), boronic acid (1 eq), 2% aqueous solution of sodium carbonate (2 eq) and tetrakis(triphenylphosphine) palladium(0) (0.1 eq) in toluene or DME was stirred at 80 ^{o}C under nitrogen for 4 h–26 h. The reaction mixture was cooled to room temperature, quenched by the addition of 2% HCl and extracted with dichloromethane. The organic layer was washed with brine, dried over $MgSO_4$ and concentrated to dryness. The product was purified by chromatography.

General procedures for amide bond formation:

Method B: A mixture of carboxylic acid (1 eq) and amino derivative (1 eq) dissolved in dichloromethane was added dropwise to a solution of EDCI (1 eq) and HOBt (1 eq) in dichloromethane at 0 °C. The reaction mixture was stirred at room temperature overnight. After evaporation of the solvent, the residue was dissolved in ethyl acetate, washed with saturated sodium carbonate solution and brine, dried over $MgSO_4$, filtered and concentrated. The product was purified by chromatography.

Method C: A mixture of carboxylic acid (1 eq), EDCI (1 eq), HOBt (1 eq) and triethylamine (1 eq) in dichloromethane cooled at 0 °C was added dropwise to a solution of the amino derivative (1 eq) in dichloromethane. The reaction mixture was refluxed for 1.5 h and quenched by addition of aqueous HCl (0.1 M). The organic layer was separated, washed with sodium carbonate and brine, dried over $MgSO_4$, filtered and concentrated. The crude product was purified by chromatography.

Method D: Thionyl chloride (4 eq) was added to the carboxylic acid (1 eq) under nitrogen and stirred in presence of a drop of DMF at room temperature for 30 min. After evaporation of the thionyl chloride, the acid chloride (1 eq) was dissolved in dry THF or dichloromethane and added to the corresponding amine (1 eq) in solution in CH_2Cl_2 together with triethylamine

(1.2 eq) and a catalytic amount of DMAP. During the addition, the temperature was kept at 0 °C. The reaction mixture was refluxed overnight, quenched by the addition of water and extracted with ethyl acetate. The organic phase was dried over $MgSO_4$ and concentrated to dryness. The desired amide was purified by chromatography.

General procedures for ether cleavage:

Method E: To a solution of methoxy derivative (1 eq) in toluene was added aluminum chloride (3 eq to 5 eq per methoxy function) at room temperature under N_2. The reaction mixture was heated at 90 °C for 2 h and then allowed to cool to room temperature. The reaction was quenched by the addition of 2% Na_2CO_3. After extraction with ethyl acetate, the combined organic layers were washed with brine and dried over $MgSO_4$. After evaporation of the solvent, the crude product was purified by chromatography.

Method F: To a solution of methoxy derivative (1 eq) in dichloromethane cooled at -78 °C under N_2 was slowly added boron tribromide (1M solution in cyclohexane, 3 eq to 5 eq per methoxy function). The reaction mixture was stirred at -78 ^oC for 1 h and then allowed to warm to room temperature. The reaction was quenched by the addition of 2% Na_2CO_3 and extracted with dichloromethane. The combined organic layers were washed with brine and dried over $MgSO_4$. After evaporation of the solvent the product was purified by chromatography.

Method G: The methoxy derivative (1 eq) and pyridinium hydrochloride (12 eq) were heated at 220 °C for 3 h. The reaction mixture was cooled at room temperature and 1 N HCl (4 ml) were added. The resulting precipitate was collected and dissolved in a small amount of ethyl acetate. The organic layer was washed with water, dried over Na_2SO_4 and the solvent was evaporated *in vacuo*.

General procedure for reduction of the double bond:

Method H: A suspension of the olefinic compound (1 eq) and a catalytic amount of $Pd(OH)_2$ in a mixture ethanol/THF (2:1) was stirred at room temperature for 20 h under hydrogen atmosphere. After completion of the reaction, the crude was filtered and concentrated.

2-Methoxy-4-(6-methoxy-2-naphthyl)aniline hydrochloride (2b). The title compound was prepared by reaction of 4-bromo-2-methoxyaniline **2c** (400 mg, 1.98 mmol, 1 eq) with 6-

methoxy-2-naphthalene boronic acid **2d** (600 mg, 2.97 mmol, 1.5 eq) according to method A. An etheral solution of hydrochloric acid (2 M) was added to the organic layer and the crystals formed were filtered off (50% yield, 312 mg). $C_{18}H_{17}NO_2 \cdot HCl$; MW 315; ^{1}H-NMR ($CD_3OD$): δ 8.10 (s, 1H), 7.89-7.88 (m, 2H), 7.78 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.55 (s, 1H), 7.47-7.46 (m, 2H), 7.30 (d, *J* = 2.2 Hz, 1H), 7.20 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 4.10 (s, 3H), 3.96 (s, 3H); ^{13}C-NMR (CD_3OD): δ 154.6, 136.0, 131.0, 128.9, 127.1, 126.7, 125.3, 120.9, 120.6, 119.6, 112.1, 106.7, 57.2, 56.0; IR: 2844, 1627, 1602, 1512, 1435 cm^{-1}.

***N*-[2-Methoxy-4-(6-methoxy-2-naphthyl)phenyl]acetamide (2a).** The title compound was prepared by reaction of 2-methoxy-4-(6-methoxy-2-naphthyl)aniline **2b** (140 mg, 0.50 mmol, 1 eq) with acetyl chloride (64 µl, 71 mg, 0.91 mmol, 1.8 eq) according to method D. The analytically pure compound was obtained after purification by column chromatography (gradient dichloromethane/methanol 100:0 to 98:2) in 66% yield (106 mg). $C_{20}H_{19}NO_3$; MW 321; ^{1}H-NMR ($CDCl_3$): δ 8.45 (d, *J* = 8.5 Hz, 1H), 7.92 (s, 1H), 7.78 (dd, *J* = 3.1 Hz, *J* = 8.5 Hz, 3H), 7.67 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.29 (dd, *J* = 1.9 Hz, *J* = 8.2 Hz, 1H), 7.19-7.15 (m, 3H), 3.98 (s, 3H), 3.94 (s, 3H), 2.23 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 168.1, 157.7, 148.0, 136.8, 136.1, 133.7, 129.6, 129.2, 127.3, 126.9, 125.9, 125.2, 120.0, 119.9, 119.2, 108.8, 106.0, 55.8, 55.4, 24.9; IR: 3419, 2936, 2840, 1682, 1605, 1528, 1501 cm^{-1}.

***N*-[2-Hydroxy-4-(6-hydroxy-2-naphthyl)phenyl]acetamide (2).** The title compound was prepared by reaction of *N*-[2-methoxy-4-(6-methoxy-2-naphthyl)phenyl]acetamide **2a** (54 mg, 0.17 mol, 1 eq) with boron tribromide (2.52 mmol, 15 eq) according to method F. The desired product was obtained after purification by preparative chromatography (dichloromethane/methanol 95:5) in 45% yield (23 mg). $C_{18}H_{15}NO_3$; MW 293; MS (ESI): 294 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 7.93 (s, 1H), 7.77 (d, *J* = 8.8 Hz, 1H), 7.71-7.70 (m, 2H), 7.64 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.24 (d, *J* = 1.9 Hz, 1H), 7.19 (dd, *J* = 1.9 Hz, *J* = 8.2 Hz, 1H), 7.13-7.12 (m, 1H), 7.10 (dd, *J* = 2.5 Hz, *J* = 8.3 Hz, 1 H), 2.22 (s, 3H); IR: 3267, 1630, 1604, 1524, 1505 cm^{-1}.

***N*-[2-Methoxy-4-(6-methoxy-2-naphthyl)phenyl]benzamide (3a).** The title compound was prepared by reaction of 2-methoxy-4-(6-methoxy-2-naphthyl)aniline **2b** (140 mg, 0.50 mmol, 1 eq) with benzoyl chloride (0.1 ml, 123 mg, 0.88 mmol, 3 eq) according to method D. The analytically pure compound was obtained after purification by column chromatography (gradient hexane/ethyl acetate 9:1 to 5:5) in 90% yield (101 mg). $C_{25}H_{21}NO_3$; MW 383; ^{1}H-

NMR ($CDCl_3$): δ 8.63 (d, *J* = 8.5 Hz, 1H), 8.60 (s, 1H), 7.96-7.91 (m, 3H), 7.81-7.78 (m, 2H), 7.71 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.56-7.50 (m, 3H), 7.36 (dd, *J* = 1.9Hz, *J* = 8.2 Hz, 1H), 7.24 (d, *J* = 1.9 Hz, 1H), 7.17-7.16 (m, 2H), 4.03 (s, 3H), 3.94 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 165.2, 157.8, 148.5, 137.1, 136.1, 135.3, 133.8, 131.8, 129.7, 129.2, 128.8, 127.3, 127.1, 127.0, 125.9, 125.3, 120.1, 120.0, 119.2, 108.9, 105.6, 56.0, 55.4; IR: 3430, 2939, 2839, 1672, 1605, 1528, 1502 cm^{-1}.

***N*-[2-Hydroxy-4-(6-hydroxy-2-naphthyl)phenyl]benzamide (3).** The title compound was prepared by reaction of *N*-[2-methoxy-4-(6-methoxy-2-naphthyl)phenyl]benzamide **3a** (49 mg. 0.13 mol, 1 eq) with boron tribromide (2.25 mmol, 15 eq) according to method F. The desired product was obtained after purification by preparative chromatography (dichloromethane/methanol 95:5) in quantitative yield (46 mg). $C_{22}H_{17}NO_3$; MW 355; MS (ESI): 356 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.00-7.96 (m, 4H), 7.79 (d, *J* = 8.8 Hz, 1H), 7.72 (d, *J* = 8.8 Hz, 1H), 7.68 (dd, *J* = 1.6 Hz, *J* = 8.5 Hz, 1H), 7.64-7.61 (m, 1H), 7.58-7.55 (m, 2H), 7.31 (d, *J* = 1.9 Hz, 1H), 7.27 (dd, *J* = 1.9 Hz, *J* = 8.2 Hz, 1H), 7.14 (d, *J* = 2.5 Hz, 1 H), 7.11 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1 H); ^{13}C-NMR (CD_3OD): δ 168.7, 157.0, 150.5, 140.7, 136.6, 136.1, 136.0, 133.4, 131.1, 130.4, 130.1, 128.8, 128.1, 126.7, 126.6, 126.4, 124.5, 120.1, 119.7, 115.6, 110.1; IR: 3384, 3076, 1675, 1599, 1524, 1495 cm^{-1}.

2-Methoxy-6-(3-methoxy-5-methylphenyl)naphthalene (4a). The title compound was prepared by reaction of 6-methoxy-2-naphthalene boronic acid **2d** (201 mg, 1.0 mmol, 1 eq) with 1-bromo-3-methoxy-5-methylbenzene **4b** (200 mg, 1.0 mmol, 1 eq) according to method A. The product was purified by column chromatography (hexane/ethyl acetate 9:1). Yield: 60% (167 mg). $C_{19}H_{18}O_2$; MW 278; MS (ESI): 279 $(M+H)^+$; ^{1}H-NMR ($CDCl_3$): δ 7.94 (d, *J* = 1.6 Hz, 1H), 7.78 (s, 1H), 7.76 (s, 1H), 7.68 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.16-7.14 (m, 2H), 7.10 (s, 1H), 7.02 (s, 1H), 6.72 (s, 1H), 3.92 (s, 3H), 3.86 (s, 3H), 2.41 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 160.1, 157.7, 142.5, 139.8, 136.4, 133.9, 129.7, 129.1, 127.1, 126.1, 125.6, 120.7, 119.1, 113.4, 110.0, 105.6, 55.3, 21.7; IR: 2994, 2939, 2834, 1590, 1453 cm^{-1}.

6-(3-Hydroxy-5-methylphenyl)-2-naphthol (4). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxy-5-methylphenyl)naphthalene **4a** (26 mg, 0.10 mmol, 1 eq) with boron tribromide (1 mmol, 10 eq) according to method F. The pure compound was obtained in quantitative yield (18 mg). $C_{17}H_{14}O_2$; MW 250; MS (ESI): 249 $(M-H)^-$; ^{1}H-NMR ($CDCl_3$ + 3 drops of CD_3OD): δ 7.84 (d, *J* = 1.6 Hz, 1H), 7.67 (d, *J* = 8.8 Hz, 1H), 7.61 (d, *J*

= 8.5 Hz, 1H), 7.56 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.07 (d, *J* = 2.2 Hz, 1H), 7.04 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 6.95-6.94 (m, 1H), 6.89-6.88 (m, 1H), 6.58-6.57 (m, 1H), 2.29 (s, 3H); ^{13}C-NMR ($CDCl_3$ + 3 drops CD_3OD): δ 156.8, 154.6, 142.5, 139.7, 135.7, 129.7, 128.5, 126.5, 125.8, 125.4, 119.6, 118.4, 114.6, 111.1, 108.8, 61.2; IR: 3253, 2959, 1594, 1493 cm^{-1}.

2-(3-Bromo-5-methoxyphenyl)-6-methoxynaphthalene (5b). The title compound was prepared by reaction of 6-methoxy-2-naphthalene boronic acid **2d** (510 mg, 2.54 mmol, 1.5 eq) with 1,3-dibromo-5-methoxybenzene **5c** (450 mg, 1.69 mmol, 1 eq) for 20 h according to method A. The product was purified by column chromatography (hexane/dichloromethane 8:2). Yield: 34% (199 mg). $C_{18}H_{15}BrO_2$; MW 343; MS (ESI): 343-345 $(M+H)^+$; ^{1}H-NMR ($CDCl_3$): δ 7.91 (d, *J* = 1.6 Hz, 1H), 7.78 (d, *J* = 4.4 Hz, 1H), 7.77 (d, *J* = 4.4 Hz, 1H), 7.63 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.42 (t, *J* = 1.6 Hz, 1H), 7.17 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 7.14-7.13 (m, 2H), 7.05 (t, *J* = 1.6 Hz, 1H), 3.93 (s, 3H), 3.85 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 159.6, 157.0, 143.1, 133.8, 133.1, 128.7, 128.0, 126.4, 124.8, 124.6, 122.2, 121.8, 118.3, 114.5, 111.2, 104.5, 54.6, 54.3.

3,4'-Dimethoxy-5-(6-methoxy-2-naphthyl)biphenyl (5a). The title compound was prepared by reaction of 6-methoxy-2-naphthalene boronic acid **2d** (331 mg, 1.64 mmol, 1.5 eq) with 1,3-dibromo-5-methoxybenzene **5c** (290 mg, 1.09 mmol, 1 eq) for 18 h according to method A. The mono-substituted product 2-(3-bromo-5-methoxyphenyl)-6-methoxynaphthalene **5b** which was purified by column chromatography (hexane/dichloromethane 8:2) and then used in a second Suzuki coupling (182 mg, 0.53 mmol, 1 eq) with (4-methoxyphenyl)boronic acid (121 mg, 0.79 mmol, 1.5 eq) for 18 h according to method A. The final product **5a** was purified by column chromatography (hexane). Overall yield: 42% (78 mg). $C_{25}H_{22}O_3$; MW 370; ^{1}H-NMR ($CDCl_3$): δ 8.04 (d, *J* = 1.5 Hz, 1H), 7.83-7.82 (m, 2H), 7.77 (dd, *J* = 1.5 Hz, *J* = 8.5 Hz, 1H), 7.64-7.62 (m, 2H), 7.50 (t, *J* = 1.5 Hz, 1H), 7.21-7.18 (m, 2H), 7.18 (d, *J* = 2.5 Hz, 1H), 7.12-7.11 (m, 1H), 7.03-7.01 (m, 2H), 3.95 (s, 3H), 3.94 (s, 3H), 3.87 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 160.4, 157.9, 143.1, 142.8, 136.4, 134.0, 129.8, 128.4, 127.3, 126.1, 125.8, 119.2, 118.7, 114.3, 111.3, 105.6, 55.5, 55.4; IR: 2959, 1588, 1490, 1243 cm^{-1}.

5-(6-Hydroxy-2-naphthyl)biphenyl-3,4'-diol (5). The title compound was prepared by reaction of 3,4'-dimethoxy-5-(6-methoxy-2-naphthyl)biphenyl **5a** (40 mg, 0.11 mmol, 1 eq) with boron tribromide (1.35 mmol, 12 eq) according to method F. The analytically pure product was obtained as a precipitate after the addition of water. Yield: 92% (34 mg).

$C_{22}H_{16}O_3$; MW 328; MS (APCI): 329 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.02 (s, 1H), 7.82 (d, *J* = 8.8 Hz, 1H), 7.73-7.72 (m, 2H), 7.55-7.53 (m, 2H), 7.38 (t, *J* = 1.5 Hz, 1H), 7.17 (d, *J* = 1.5 Hz, 1H), 7.13 (dd, *J* = 1.5 Hz, *J* = 8.8 Hz, 1H), 7.10 (d, *J* = 1.5 Hz, 1H), 6.92-6.90 (m, 2H), 7.00-6.99 (m, 1H); ^{13}C-NMR (CD_3OD): δ 159.2, 158.3, 156.7, 144.4, 137.1; 135.8, 134.0, 130.9, 130.1, 129.2, 127.8, 126.8, 126.5, 119.7, 117.9, 116.6, 113.2, 113.1, 109.8; IR: 3313, 2975, 1599, 1178, 830 cm^{-1}.

2-Methoxy-6-[3-methoxy-5-(6-methoxy-2-naphthyl)phenyl]naphthalene (6a). The title compound was prepared by reaction of 6-methoxy-2-naphthalene boronic acid **2d** (472 mg, 2.34 mmol, 2.5 eq) with 2-(3-bromo-5-methoxyphenyl)-6-methoxynaphthalene **5b** (250 mg, 0.93 mmol, 1 eq) according to method A. The product was purified by column chromatography (hexane/dichloromethane 8:2). Yield: 49% (192 mg). $C_{29}H_{24}O_3$; MW 420; MS (ESI): 421 $(M+H)^+$; ^{1}H-NMR (DMSO-d_6): δ 7.45 (s, 2H), 7.10-7.09 (m, 6H), 6.89 (s, 1H), 6.52 (d, *J* = 2.5 Hz, 2H); 6.47 (d, *J* = 1.6 Hz, 2H), 6.36 (d, *J* = 2.5 Hz, 1H), 6.35 (d, *J* = 2.5 Hz, 1H), 3.10 (s, 3H), 3.00 (s, 6H); ^{13}C-NMR (DMSO-d_6): δ 161.8, 159.0, 143.9, 136.9, 135.2, 130.7, 130.2, 128.3, 126.8, 126.6, 120.0, 119.2, 112.3, 106.5, 55.9, 55.7; IR: 2930, 1588, 1268, 1199 cm^{-1}.

6,6'-(5-Hydroxy-1,3-phenylene)di(2-naphthol) (6). The title compound was prepared by reaction of 2-methoxy-6-[3-methoxy-5-(6-methoxy-2-naphthyl)phenyl]naphthalene **6a** (100 mg, 0.24 mmol, 1 eq) with boron tribromide (3.6 mmol, 15 eq) according to method F. Purification by column chromatography (hexane/ethyl acetate 9:1) afforded 90 mg of the desired product. Yield: 99%. $C_{26}H_{18}O_3$; MW: 378; MS (ESI): 379 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.06 (s, 2H), 7.84 (d, *J* = 8.5 Hz, 2H), 7.15-7.18 (m, 6H), 7.55 (s, 1H), 7.77 (s, 4H), ^{13}C-NMR (CD_3OD): δ 159.4, 156.7, 144.6, 136.7, 135.8, 130.9, 130.1, 127.8, 126.8, 126.5, 119.8, 118.4, 113.6, 109.8; IR: 3390, 1596, 1180 cm^{-1}.

3-Bromo-5-methoxybenzoic acid (7c). To a mixture of 1-bromo-3-methoxy-5-methylbenzene **4b** (187 mg, 0.93 mmol, 1 eq) in pyridine (2 ml) and water (5 ml) was added in small portions potassium permanganate (469 mg, 2.97 mmol, 3.2 eq) at 75 °C. The reaction mixture was stirred for 65 h at 75 °C and then filtered. The aqueous layer was acidified, the precipitate was collected and dried to give the title compound in 50% yield (107 mg). $C_8H_7BrO_3$; MW 231; ^{1}H-NMR (CD_3OD): δ 7.74-7.73 (m, 1H), 7.55-7.54 (m, 1H), 7.36-7.35

(m, 1H), 3.88 (s, 3H); ^{13}C-NMR (CD_3OD): δ 178.2, 145.1, 135.9, 133.8, 132.8, 125.1, 66.5; IR: 1697, 1578, 1458, 1412 cm^{-1}.

3-Methoxy-5-(6-methoxy-2-naphthyl)benzoic acid (7b). To a mixture of 2-methoxy-6-(3-methoxy-5-methylphenyl)naphthalene **4a** (100 mg, 0.36 mmol, 1 eq) in pyridine (2 ml) and water (5 ml) was added in small portions potassium permanganate (182 mg, 1.15 mmol, 3.2 eq) at 75 °C. The reaction mixture was stirred for 42 h at 75 °C and then filtered. The aqueous layer was acidified, the precipitate was collected and dried to give the title compound in 27% yield (30 mg).

The title compound was also prepared by reaction of 6-methoxy-2-naphthalene boronic acid **2d** (55 mg, 0.27 mmol, 1.5 eq) with 3-bromo-5-methoxybenzoic acid **7c** (42 mg, 0.18 mmol, 1 eq) according to method A. The product was purified by preparative chromatography (hexane/ethyl acetate 7:3). Yield: 43% (23 mg). $C_{19}H_{16}O_4$; MW 308; ^{1}H-NMR (CD_3OD): δ 8.08 (d, *J* = 1.6 Hz, 1H), 8.01-8.00 (m, 1H), 7.90 (d, *J* = 9.1, 1H), 7.88 (d, *J* = 9.1, 1H), 7.77 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.58 (dd, *J* = 1.3 Hz, *J* = 2.5 Hz, 1H), 7.52 (dd, *J* = 1.6 Hz, *J* = 2.5 Hz, 1H), 7.30 (d, *J* = 2.2 Hz, 1H), 7.20 (dd, *J* = 2.5 Hz, *J* = 9.1 Hz, 1H), 3.97 (s, 3H), 3.70 (s, 3H); IR: 2936, 1690, 1591, 1489, 1459 cm^{-1}.

3-Methoxy-5-(6-methoxy-2-naphthyl)-*N*-methylbenzamide (7a). The title compound was prepared by reaction of 3-methoxy-5-(6-methoxy-2-naphthyl)benzoic acid **7b** (500 mg, 1.62 mmol, 1 eq) with a solution of methylamine (33% in ethanol, 0.22 ml, 50 mg, 1.62 mmol, 1 eq) according to Method B. Purification by column chromatography (hexane/ethyl acetate 1:1) afforded 148 mg of the desired product. Yield: 28%. $C_{20}H_{19}NO_3$; MW 321; ^{1}H-NMR ($CDCl_3$): δ 7.96 (d, *J* = 1.3 Hz, 1H), 7.79 (d, *J* = 9.1 Hz, 1H), 7.78 (d, *J* = 9.1 Hz, 1H), 7.67 (dd, *J* = 1.9 Hz, *J* = 8.2 Hz, 1H), 7.58 (t, *J* = 1.6 Hz, 1H), 7.32 (dd, *J* = 1.6 Hz, *J* = 2.2 Hz, 1H), 7.30 (dd, *J* = 1.6 Hz, *J* = 2.5 Hz, 1H), 7.17 (dd, *J* = 2.5 Hz, *J* = 8.5 Hz, 1H), 7.15 (d, *J* = 2.5 Hz, 1H), 3.93 (s, 3H), 3.91 (s, 3H), 3.03 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 158.0, 143.1, 136.7, 135.3, 129.0, 127.4, 125.8, 119.4, 117.8, 116.3, 110.7, 105.6, 55.6, 26.9; IR: 3296, 2996, 2934, 1641, 1595, 1551, 1261 cm^{-1}.

3-Hydroxy-5-(6-hydroxy-2-naphthyl)-*N*-methylbenzamide (7). The title compound was prepared by reaction of 3-methoxy-5-(6-methoxy-2-naphthyl)-*N*-methylbenzamide **7a** (110 mg, 0.34 mmol, 1 eq) with boron tribromide (1.7 mmol, 5 eq) according to method F. The desired compound was obtained in quantitative yield (100 mg). $C_{18}H_{15}NO_3$; MW 293; MS

(ESI): 292 $(M-H)^-$; ^{1}H-NMR (CD_3OD): δ 8.03 (bs, 1H), 7.82 (d, *J* = 8.8 Hz, 1H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.71 (dd, *J* = 1.6 Hz, *J* = 8.5 Hz, 1H), 7.66-7.65 (m, 1H), 7.33-7.32 (m, 1H), 7.26-7.24 (m, 1H), 7.17 (d, *J* = 2.2 Hz, 1H), 7.14 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 2.98 (s, 3H); ^{13}C-NMR (CD_3OD): δ 173.0, 170.9, 159.3, 156.9, 144.4, 137.5, 136.0, 130.9, 130.0, 127.9, 126.6, 126.4, 119.8, 117.9, 117.8, 113.8, 109.7, 26.9; IR: 3392, 3156, 2927, 1632, 1587, 1551, 1292, 1192 cm^{-1}.

3-Methoxy-5-(6-methoxy-2-naphthyl)-*N*-phenylbenzamide (8a). The title compound was prepared by reaction of 3-methoxy-5-(6-methoxy-2-naphthyl)benzoic acid **7b** (500 mg, 1.62 mmol, 1 eq) with aniline (151 mg, 1.62 mmol, 1 eq) according to Method B. Purification by column chromatography (hexane/dichloromethane 1:1) afforded the desired product. Yield: 33% (204 mg). $C_{25}H_{21}NO_3$; MW 383; ^{1}H-NMR ($CDCl_3$): δ 7.97 (s, 1H), 7.93 (bs, 1H), 7.80 (d, *J* = 8.5 Hz, 1H), 7.77 (d, *J* = 9.1 Hz, 1H), 7.69-7.65 (m, 4H), 7.38-7.35 (m, 4H), 7.18-7.13 (m, 3H), 3.93 (s, 3H), 3.91 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 160.4, 158.0, 137.9, 137.0, 134.1, 129.8, 129.1, 127.5, 125.9, 125.7, 124.6, 120.2, 119.4, 117.9, 116.7, 110.9, 105.6, 55.7; IR: 3276, 2996, 2934, 1643, 1593, 1536, 1440, 1256 cm^{-1}.

3-Hydroxy-5-(6-hydroxy-2-naphthyl)-*N*-phenylbenzamide (8). The title compound was prepared by reaction 3-methoxy-5-(6-methoxy-2-naphthyl)-*N*-phenylbenzamide **8a** (300 mg, 0.78 mmol, 1 eq) with boron tribromide (3.9 mmol, 5 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 99:1) afforded 32 mg of the desired product. Yield: 11%. $C_{23}H_{17}NO_3$; MW 355; MS (ESI): 356 $(M+H)^+$; ^{1}H-NMR (acetone-d_6): δ 8.10 (bs, 1H), 7.89-7.87 (s, 1H), 7.82 (bs, 1H), 7.79 (d, *J* = 8.5 Hz, 1H), 7.75 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.46 (bs, 1H), 7.42 (bs, 1H), 7.38-7.34 (m, 2H), 7.25 (d, *J* = 2.2 Hz, 1H), 7.20 (dd, *J* = 2.2 Hz, *J* = 8.8 Hz, 1H), 7.13-7.10 (m, 1H); ^{13}C-NMR (acetone-d_6): δ 170.9, 159.0, 156.6, 143.6, 135.5, 135.4, 130.8, 129.5, 127.7, 126.5, 126.3, 124.5, 120.9, 119.8, 117.9, 117.6, 114.1, 109.6; IR: 3275, 1653, 1591, 1530, 1497, 1441, 1331 cm^{-1}.

(2*E*)-3-(3-Bromo-5-methoxyphenyl)acrylic acid (9c). To a solution of 1,3-dibromo-5-methoxy-benzene (2.4 g, 9.18 mmol, 1 eq) in 2 ml xylene were successively added acrylic acid (0.63 ml, 9.18 mmol, 1 eq), $Pd(OAc)_2$ (20.7 mg, 0.01 eq), triphenylphosphine (96.2 mg, 0.37 mmol, 0.04 eq) and triethylamine (2.7 ml, 1.95 g, 19.3 mmol, 2.1 eq). The reaction mixture was stirred under nitrogen at 100 °C for 11 h. Na_2CO_3 (2 g) and water (20 ml) were added and the reaction mixture was stirred for 15 min at 100 °C. The aqueous layer was

separated and acidified with conc. HCl. The resulting solid was filtered, dried and purified by column chromatography (hexane/ethyl acetate 1:1) to give 751 mg of the desired product. Yield: 32%. $C_{10}H_9Br_3O$; MW 257; ^{1}H-NMR (CD_3OD): δ 7.61 (d, *J* = 16.1 Hz, 1H), 7.38-7.37 (m, 1H), 7.17-7.16 (m, 2H), 6.53 (d, *J* = 16.1 Hz, 1H), 3.87 (s, 3H); ^{13}C-NMR (CD_3OD): δ 169.8, 162.3, 144.5, 138.9, 124.2, 121.4, 120.0, 113.4, 56.2.

(2*E*)-3-[3-Methoxy-5-(6-methoxy-2-naphthyl)phenyl]acrylic acid (9b). The title compound was prepared by reaction of (2*E*)-3-(3-bromo-5-methoxyphenyl)acrylic acid **9c** (751 mg, 2.92 mmol, 1 eq) with 6-methoxynaphthaleneboronic acid **2d** (590 mg, 2.92 mmol, 1 eq) for 26 h according to method A. The aqueous layer was acidified with conc. HCl and the title compound precipitated. Yield: 64% (620 mg). $C_{21}H_{18}O_4$; MW 334; ^{1}H-NMR (DMSO-d_6): δ 8.24 (d, *J* = 1.6 Hz, 1H), 7.91 (d, *J* = 8.8 Hz, 1H), 7.90 (d, *J* = 8.5 Hz, 1H), 7.87 (dd, *J* = 1.6 Hz, *J* = 8.5 Hz, 1H), 7.67-7.66 (m, 1H), 7.61 (d, *J* = 16.1 Hz, 1H), 7.57 (bs, 1H), 7.36-7.35 (m, 2H), 7.20 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 6.17 (d, *J* = 16.1 Hz, 1H), 3.89 (s, 3H), 3.88 (s, 3H); ^{13}C-NMR (DMSO-d_6): δ 172.1, 168.0, 160.2, 159.9, 157.6, 142.2, 136.5, 134.4, 129.8, 128.7, 127.3, 125.5, 125.4, 121.8, 119.2, 119.0, 114.7, 111.7, 105.7, 55.4, 55.2; IR: 3622, 2958, 2923, 2871, 1732, 1433, 1261, 1232 cm^{-1}.

(2*E*)-3-[3-Methoxy-5-(6-methoxy-2-naphthyl)phenyl]-*N*-methylacrylamide (9a). The title compound was prepared by reaction of (2*E*)-3-[3-methoxy-5-(6-methoxy-2-naphthyl)phenyl]acrylic acid **9b** (300 mg, 0.90 mmol, 1 eq) with a solution of methylamine (33% in ethanol, 0.012 ml, 0.90 mmol, 1 eq) according to Method B. Purification by preparative chromatography (hexane/ethyl acetate 2:1) afforded 200 mg of the desired product. Yield: 64%. $C_{22}H_{21}NO_3$; MW 347; ^{1}H-NMR (DMSO-d_6): δ 8.21 (d, *J* = 1.6 Hz, 1H), 7.91 (d, *J* = 8.8 Hz, 2H), 7.84 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.56 (t, *J* = 1.3 Hz, 1H), 7.48 (d, *J* = 15.8 Hz, 1H), 7.36-7.35 (m, 1H), 7.33 (dd, *J* = 1.9 Hz, *J* = 2.5 Hz, 1H), 7.21 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 7.13 (dd, *J* = 1.6 Hz, *J* = 1.9 Hz, 1H), 6.73 (d, *J* = 15.8 Hz, 1H), 3.90 (s, 3H), 3.89 (s, 3H), 2.72 (s, 3H); IR: 3279, 2931, 1656, 1579, 1260, 1219, 1199, 1162 cm^{-1}.

(2*E*)-3-[3-Hydroxy-5-(6-hydroxy-2-naphthyl)phenyl]-*N*-methylacrylamide (9). The title compound was prepared by reaction of (2*E*)-3-[3-methoxy-5-(6-methoxy-2-naphthyl)phenyl]-*N*-methylacrylamide **9a** (200 mg, 0.58 mmol, 1 eq) with boron tribromide (29 mmol, 5 eq) according to method F. Purification by preparative chromatography (dichloromethane/methanol 9:1) afforded 25 mg of the desired product. Yield: 13%.

$C_{20}H_{17}NO_3$; MW 319; MS (ESI): 320 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.00-7.99 (m, 1H), 7.83 (d, *J* = 8.5 Hz, 1H), 7.76 (d, *J* = 8.2 Hz, 1H), 7.69 (dd, *J* = 1.6 Hz, *J* = 8.5 Hz, 1H), 7.58 (d, *J* = 15.8 Hz, 1H), 7.41-7.40 (m, 1H), 7.20-7.19 (m, 1H), 7.17-7.16 (m, 1H), 7.14 (dd, *J* = 2.2 Hz, *J* = 8.5 Hz, 1H), 7.01-7.00 (m, 1H), 6.66 (d, *J* = 15.8 Hz, 1H), 2.90 (s, 3H); ^{13}C-NMR (CD_3OD): δ 169.3, 159.9, 141.7, 136.3, 135.9, 133.6, 132.4, 130.9, 130.0, 129.9, 127.8, 126.5, 121.9, 119.8, 119.3, 116.5, 113.7, 109.7, 24.0; IR: 3296, 1580, 1289, 1212, 1184 cm^{-1}.

(2*E*)-3-[3-Methoxy-5-(6-methoxy-2-naphthyl)-phenyl]-*N*-phenylacrylamide (10a). The title compound was prepared by reaction of (2*E*)-3-(3-bromo-5-methoxyphenyl)acrylic acid **9c** (300 mg, 0.90 mmol, 1 eq) with aniline (83 mg, 0.90 mmol, 1 eq) according to Method B. Purification by preparative chromatography (hexane/ethyl acetate 2:1) afforded 261 mg of the desired product. Yield: 71%. $C_{27}H_{23}NO_3$; MW 409; ^{1}H-NMR ($CDCl_3$): δ 7.90 (d, *J* = 1.6 Hz, 1H), 7.78 (d, *J* = 15.4 Hz, 1H), 7.76 (d, *J* = 8.5 Hz, 1H), 7.75 (d, *J* = 8.8 Hz, 1H), 7.71-7.69 (m, 1H), 7.62 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 2H), 7.39 (m, 1H), 7.33-7.30 (m, 2H), 7.19 (dd, *J* = 1.6 Hz, *J* = 2.2 Hz, 1H), 7.16 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 7.13 (d, *J* = 2.5 Hz, 1H), 7.12-7.09-7.08 (m, 1H), 6.99-6.98 (m, 1H), 6.64 (d, *J* = 15.4 Hz, 1H), 3.92 (s, 3H), 3.85 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 171.2, 167.7, 160.3, 157.9, 143.2, 142.3, 136.4, 135.5, 134.0, 130.9, 129.7, 129.1, 127.3, 125.8, 125.7, 119.6, 119.3, 114.7, 111.6, 105.6, 55.5, 55.4; IR: 3257, 2934, 1659, 1588 cm^{-1}.

(2*E*)-3-[3-Hydroxy-5-(6-hydroxy-2-naphthyl)-phenyl]-*N*-phenylacrylamide (10). The title compound was prepared by reaction of (2*E*)-3-[3-methoxy-5-(6-methoxy-2-naphthyl)-phenyl]-*N*-phenylacrylamide **10a** (126 mg, 0.31 mmol, 1 eq) with boron tribromide (1.55 mmol, 5 eq) according to method F. Purification by preparative chromatography (dichloromethane/methanol 98:2) afforded 17 mg of the desired product. Yield: 14%. $C_{25}H_{19}NO_3$; MW 381; MS (ESI): 382 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.03 (d, *J* = 1.3 Hz, 1H), 7.84 (d, *J* = 8.5 Hz, 1H), 7.81 (d, *J* = 8.5 Hz, 1H), 7.74-7.71 (m, 4H), 7.47-7.46 (m, 1H), 7.40-7.37 (m, 2H), 7.23-7.22 (m, 1H), 7.18-7.14 (m, 3H), 7.07-7.06 (m, 1H), 6.89 (d, *J* = 15.4 Hz, 1H); ^{13}C-NMR (CD_3OD): δ 183.1, 135.9, 130.9, 129.9, 127.9, 126.5, 121.2, 119.8, 119.5, 116.7, 109.7; IR: 3478, 1594, 1440 cm^{-1}.

3-[3-Methoxy-5-(6-methoxy-2-naphthyl)phenyl]-*N*-methylpropanamide (11a). The title compound was prepared by reaction of (2*E*)-3-[3-methoxy-5-(6-methoxy-2-naphthyl)phenyl]-*N*-methylacrylamide **9a** (100 mg, 0.29 mmol, 1 eq) and $Pd(OH)_2$ (2.82 mg) in ethanol (1 ml) and THF (0.5 ml) under hydrogen for 19 h according to method H. The reaction mixture was

filtered and concentrated to give the desired compound in a quantitative yield (100 mg). $C_{22}H_{23}NO_3$; MW 349; ^{1}H-NMR (DMSO-d_6): δ 8.12 (d, *J* = 1.6 Hz, 1H), 7.90 (d, *J* = 8.8 Hz, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.78 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.34 (d, *J* = 2.5 Hz, 1H), 7.20-7.18 (m, 2H), 7.13-7.12 (m, 1H), 6.78-6.77 (m, 1H), 3.89 (s, 3H), 3.83 (s, 3H), 2.89-2.86 (m, 2H), 2.57 (s, 3H), 2.44-2.41 (m, 2H); ^{13}C-NMR (CD_3OD): δ 160.4, 157.9, 142.8, 142.6, 129.3, 128.4, 126.9, 125.4, 125.0, 124.7, 119.3, 118.7, 112.3, 110.2, 106.6, 105.2, 54.4, 38.8, 37.4, 29.5; IR: 3326, 2935, 1561 cm^{-1}.

3-[3-Hydroxy-5-(6-hydroxy-2-naphthyl)phenyl]-*N*-methylpropanamide (11). The title compound was prepared by reaction of 3-[3-methoxy-5-(6-methoxy-2-naphthyl)phenyl]-*N*-methylpropanamide **11a** (48 mg, 0.16 mmol, 1 eq) with aluminum chloride (213 mg, 1.60 mmol, 10 eq) according to method E. Purification by preparative chromatography (dichloromethane/methanol 98:2) afforded 16 mg of the desired product. Yield: 35%. $C_{20}H_{19}NO_3$; MW 321; MS (ESI): 322 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 7.96 (bs, 1H), 7.80 (d, *J* = 8.8 Hz, 1H), 7.72 (d, *J* = 8.5 Hz, 1H), 7.66 (dd, *J* = 1.5 Hz, *J* = 8.5 Hz, 1H), 7.16 (d, *J* = 2.1 Hz, 1H), 7.13 (dd, *J* = 2.4 Hz, *J* = 8.8 Hz, 1H), 7.09-7.08 (m, 1H), 7.00 (t, *J* = 1.8 Hz, 1H), 6.68-6.67 (m, 1H), 2.97-2.94 (m, 2H), 2.74 (s, 3H), 2.66-2.53 (m, 2H); ^{13}C-NMR (CD_3OD): δ 175.9, 159.0, 156.6, 144.2, 144.1, 136.9, 130.8, 127.7, 126.7, 126.3, 119.7, 119.5, 115.0, 112.8, 109.7, 99.9, 38.9, 33.1, 26.3; IR: 3416, 1721, 1618, 1593 cm^{-1}.

3-[3-Methoxy-5-(6-methoxy-2-naphthyl)phenyl]-*N*-phenylpropanamide (12a). The title compound was prepared by reaction of (2*E*)-3-[3-methoxy-5-(6-methoxy-2-naphthyl)phenyl]-*N*-phenylacrylamide **10a** (70 mg, 0.17 mmol, 1 eq) and $Pd(OH)_2$ (2.5 mg) in ethanol (1 ml) and THF (0.5 ml) under hydrogen atmosphere for 20 h according to method H. The reaction mixture was filtered and concentrated to give the desired compound in a quantitative yield (70 mg). $C_{27}H_{25}NO_3$; MW 411; ^{1}H-NMR ($CDCl_3$): δ 7.90 (d, *J* = 1.6 Hz, 1H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.73 (d, *J* = 8.8 Hz, 1H), 7.65 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.44-7.42 (m, 2H), 7.29-7.26 (m, 2H), 7.16-7.14 (m, 3H), 7.09-7.06 (m, 2H), 6.78-6.77 (m, 1H), 3.92 (s, 3H), 3.84 (s, 3H), 3.12-3.09 (m, 2H), 2.72-2.69 (m, 2H); ^{13}C-NMR ($CDCl_3$): δ 136.1, 129.0, 126.0, 125.7, 125.6, 119.9, 119.9, 119.2, 105.6, 55.4, 55.3, 38.9, 37.5; IR: 3313, 2958, 1593 cm^{-1}.

3-[3-Hydroxy-5-(6-hydroxy-2-naphthyl)phenyl]-*N*-phenylpropanamide (12). The title compound was prepared by reaction of 3-[3-methoxy-5-(6-methoxy-2-naphthyl)phenyl]-*N*-phenylpropanamide **12a** (55 mg, 0.13 mmol, 1 eq) with aluminum chloride (291 mg, 2.19 mmol, 12 eq) according to method E. Purification by preparative chromatography

(hexane/ethyl acetate 1:1) afforded 17 mg of the desired product. Yield: 23%. $C_{25}H_{21}NO_3$; MW 383; ^{1}H-NMR (CD_3OD): δ 7.91-7.90 (m, 1H), 7.74 (d, *J* = 8.5 Hz, 1H), 7.70 (d, *J* = 8.5 Hz, 1H), 7.64 (dd, *J* = 1.8 Hz, *J* = 8.5 Hz, 1H), 7.57-7.56 (m, 2H), 7.34-7.31 (m, 2H), 7.14-7.10 (m, 4H), 7.01-7.00 (m, 1H), 6.74-6.73 (m, 1H), 3.08-3.05 (m, 2H), 2.76-2.73 (m, 2H); IR: 3351, 1728, 1596, 1444, 1244 cm^{-1}.

3-(6-Methoxy-2-naphthyl)-5-nitrobenzoic acid (13b). The title compound was prepared by reaction of 6-methoxy-2-naphthalene boronic acid **2d** (0.82 g, 4.1 mmol, 1 eq) with 3-bromo-5-nitro-benzoic acid **13c** (1 g, 4.1 mmol, 1 eq) according to method A. The analytically product was obtained in quantitative yield (1.32 g) after acidification with conc. HCl and filtration. $C_{18}H_{13}NO_5$; MW: 323; ^{1}H-NMR ($CDCl_3$ + 3 drops CD_3OD): 8.78 (dd, *J* = 1.6 Hz, *J* = 2.2 Hz, 1H), 8.71-8.69 (m, 2H), 8.08 (d, *J* = 1.9 Hz, 1H), 7.87 (d, *J* = 8.8 Hz, 1H), 7.83 (d, *J* = 8.8 Hz, 1H), 7.75 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.21-7.17 (m, 2H), 3.93 (s, 3H); IR: 1695, 1538, 1349 cm^{-1}.

3-(6-Methoxy-2-naphthyl)-*N*-methyl-5-nitrobenzamide (13a). The title compound was prepared by reaction of 3-(6-methoxy-2-naphthyl)-5-nitrobenzoic acid **13b** (500 mg, 1.55 mmol, 1 eq) with a solution of methylamine (33% in ethanol, 0.2 ml, 1.55 mmol, 1 eq) according to method B. Purification by column chromatography (hexane/ethyl acetate 7:3) afforded 395 mg of **13a**. Yield: 76%. $C_{19}H_{16}N_2O_4$; MW: 336; ^{1}H-NMR (CD_3OD + 3 drops $CDCl_3$): 8.70 (t, *J* = 1.9 Hz, 1H), 8.68 (dd, *J* = 1.6 Hz, *J* = 2.2 Hz, 1H), 8.59 (dd, *J* = 1.6 Hz, *J* = 1.9 Hz, 1H), 8.16 (d, *J* = 1.6 Hz, 1H), 7.92 (d, *J* = 8.5 Hz, 1H), 7.88 (d, *J* = 8.8 Hz, 1H), 7.82 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.27 (d, *J* = 2.5 Hz, 1H), 7.22 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 3.98 (s, 3H), 3.03 (s, 3H); ^{13}C-NMR (CD_3OD + 3 drops $CDCl_3$): 169.7, 151.9, 139.3, 137.6, 132.7, 132.7, 132.0, 130.7, 129.0, 127.8, 122.4, 108.3, 57.7, 29.1; IR: 3334, 1646, 1531, 1349 cm^{-1}.

3-(6-Hydroxy-2-naphthyl)-*N*-methyl-5-nitrobenzamide (13). The title compound was prepared by reaction of 3-(6-methoxy-2-naphthyl)-*N*-methyl-5-nitrobenzamide **13a** (100 mg, 0.30 mmol, 1 eq) with boron tribromide (1.8 mmol, 6 eq) according to method F. Purification by preparative chromatography (dichloromethane/methanol 9:1) afforded the desired product in quantitative yield (97 mg). $C_{18}H_{14}N_2O_4$; MW: 322; ^{1}H-NMR (DMSO-d_6): 9.94 (s, 1H), 8.96-8.93 (m, 1H), 8.68 (t, *J* = 1.9 Hz, 1H), 8.66 (t, *J* = 1.6 Hz, 1H), 8.61-8.60 (m, 1H), 8.33

(d, *J* = 0.9 Hz, 1H), 7.93 (d, *J* = 8.8 Hz, 1H), 7.92-7.85 (m, 2H), 7.19 (d, *J* = 2.2 Hz, 1H), 7.16 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 2.88 (s, 3H); IR: 3336, 1630, 1530, 1349 cm^{-1}.

3-(6-Methoxy-2-naphthyl)-5-nitro-*N*-phenylbenzamide (14a). The title compound was prepared by reaction of 3-(6-methoxy-2-naphthyl)-5-nitrobenzoic acid **13b** (1 g, 3.1 mmol, 1 eq) with aniline (0.3 ml, 3.1 mmol, 1 eq) according to method B. Purification by column chromatography (hexane/ethyl acetate 2:1) afforded 579 mg of the pure compound. Yield: 47%. $C_{24}H_{18}N_2O_4$; MW: 398; ^{1}H-NMR ($CDCl_3$): 8.67 (t, *J* = 1.9 Hz, 1H), 8.58-8.57 (m, 1H), 8.54-8.53 (m, 1H), 8.04 (d, *J* = 1.6 Hz, 1H), 8.01 (bs, 1H), 7.85 (d, *J* = 8.5 Hz, 1H), 7.80 (d, *J* = 9.1 Hz, 1H), 7.72 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.67 (d, *J* = 7.9 Hz, 2H), 7.41-7.38 (m, 2H), 7.22-7.19 (m, 2H), 7.16 (d, *J* = 2.2 Hz, 1H), 3.94 (s, 3H); ^{13}C-NMR ($CDCl_3$): 158.6, 148.8, 143.9, 137.3, 137.0, 134.7, 132.5, 131.8, 129.9, 129.3, 128.9, 128.0, 126.4, 125.3, 125.0, 124.5, 120.5, 119.9, 119.5, 105.6, 55.4; IR: 3308, 1650, 1531, 1348 cm^{-1}.

3-(6-Hydroxy-2-naphthyl)-5-nitro-*N*-phenylbenzamide (14). The title compound was prepared by reaction of 3-(6-methoxy-2-naphthyl)-5-nitro-*N*-phenylbenzamide **14a** (500 mg, 1.26 mmol, 1 eq) with boron tribromide (7.56 mmol, 6 eq) according to method F. Purification by preparative chromatography (hexane/ethyl acetate 1:1) afforded 269 mg of the desired product. Yield: 56%. $C_{23}H_{16}N_2O_4$; MW: 384; ^{1}H-NMR ($CDCl_3$ + 3 drops CD_3OD): 8.70-8.69 (m, 1H), 8.63-8.62 (m, 1H), 8.60 (s, 1H), 8.05 (s, 1H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.73 (d, *J* = 8.8 Hz, 1H), 7.69 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H), 7.66 (d, *J* = 8.5 Hz, 2H), 7.34-7.31 (m, 2H), 7.13-7.10 (m, 3H); IR: 3363, 1638, 1529, 1348 cm^{-1}.

3-(6-Methoxy-2-naphthyl)-*N*-(4-methoxyphenyl)-5-nitrobenzamide (15a). The title compound was prepared by reaction of 3-(6-methoxy-2-naphthyl)-5-nitrobenzoic acid **13b** (500 mg, 1.55 mmol, 1 eq) with *p*-methoxyaniline (190 mg, 1.55 mmol, 1 eq) according to method B. Purification by column chromatography (hexane/ethyl acetate 9:1) afforded 128 mg of the pure compound. Yield: 19%. $C_{25}H_{20}N_2O_5$; MW: 428; ^{1}H-NMR ($CDCl_3$): 8.68-8.67 (m, 1H), 8.58 (s, 1H), 8.55 (s, 1H), 8.06 (s, 1H), 7.92 (s, 1H), 7.86 (d, *J* = 8.5 Hz, 1H), 7.81 (d, *J* = 8.8 Hz, 1H), 7.73 (dd, *J* = 1.6 Hz, *J* =8.5 Hz, 1H), 7.57 (d, *J* = 8.8 Hz, 2H), 7.21 (dd, *J* = 2.5 Hz, *J* =8.8 Hz, 1H), 7.16 (d, *J* = 2.5 Hz, 1H), 6.94-6.91 (m, 2H), 3.94 (s, 3H), 3.81 (s, 3H); IR: 3284, 1644, 1532, 1351 cm^{-1}.

3-(6-Hydroxy-2-naphthyl)-*N*-(4-hydroxyphenyl)-5-nitrobenzamide (15). The title compound was prepared by reaction of 3-(6-methoxy-2-naphthyl)-*N*-(4-methoxyphenyl)-5-nitrobenzamide **15a** (100 mg, 0.23 mmol, 1 eq) with boron tribromide (1.38 mmol, 6 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 99:1) afforded 48 mg of the desired product. Yield: 51%. $C_{23}H_{16}N_2O_5$; MW: 400; ^{1}H-NMR (CD_3OD): 8.74 (t, *J* = 1.6 Hz, 1H), 8.72 (t, *J* = 1.9 Hz, 1H), 8.67 (t, *J* = 1.6 Hz, 1H), 8.20 (s, 1H), 7.88 (d, *J* = 8.8 Hz, 1H), 7.83 (s, 2H), 7.58-7.55 (m, 2H), 7.19-7.16 (m, 2H), 6.87-6.84 (m, 2H); ^{13}C-NMR (CD_3OD): 157.6, 138.4, 136.5, 132.5, 131.3, 128.5, 127.5, 125.9, 124.4, 121.6, 120.3, 116.3, 109.8; IR: 3310, 1645, 1531, 1345 cm^{-1}.

3-(6-Methoxy-2-naphthyl)-*N*-(3-methoxyphenyl)-5-nitrobenzamide (16a). The title compound was prepared by reaction of 3-(6-methoxy-2-naphthyl)-5-nitrobenzoic acid **13b** (500 mg, 1.55 mmol, 1 eq) with *m*-methoxyaniline (0.2 ml, 1.55 mmol, 1 eq) according to method B. Purification by column chromatography (hexane/ethyl acetate 9:1) afforded 404 mg of the pure compound. Yield: 61%. $C_{25}H_{20}N_2O_5$; MW: 428; ^{1}H-NMR ($CDCl_3$): 8.65 (t, *J* = 1.8 Hz, 1H), 8.55 (t, *J* = 1.8 Hz, 1H), 8.52 (t, *J* = 1.5 Hz, 1H), 8.06 (bs, 1H), 8.02 (d, *J* = 1.5 Hz, 1H), 7.84 (d, *J* = 8.5 Hz, 1H), 7.79 (d, *J* = 8.8 Hz, 1H), 7.70 (dd, *J* = 1.8 Hz, *J* = 8.5 Hz, 1H), 7.42-7.41 (m, 1H), 7.27 (t, *J* = 7.9 Hz, 1H), 7.20 (dd, *J* = 2.4 Hz, *J* = 8.8 Hz, 1H), 7.16-7.14 (m, 2H), 6.73 (ddd, *J* = 0.6 Hz, *J* = 2.4 Hz, *J* = 8.2 Hz, 1H), 3.94 (s, 3H), 3.82 (s, 3H); ^{13}C-NMR ($CDCl_3$): 160.3, 158.6, 148.8, 143.8, 138.5, 136.9, 132.5, 131.8, 129.9, 128.9, 126.4, 124.9, 124.5, 119.9, 119.5, 112.6, 111.1, 105.6, 55.4; IR: 3278, 1649, 1533, 1348 cm^{-1}.

3-(6-Hydroxy-2-naphthyl)-*N*-(3-hydroxyphenyl)-5-nitrobenzamide (16). The title compound was prepared by reaction of 3-(6-methoxy-2-naphthyl)-*N*-(3-methoxyphenyl)-5-nitrobenzamide **16a** (300 mg, 0.70 mmol, 1 eq) with boron tribromide (4.2 mmol, 6 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 98:2) afforded 142 mg of the desired product. Yield: 51%. $C_{23}H_{16}N_2O_5$; MW: 400; ^{1}H-NMR (DMSO-d_6): 10.53 (s, 1H), 9.94 (s, 1H), 9.48 (s, 1H), 8.75 (s, 1H), 8.73 (s, 1H), 8.69 (s, 1H), 8.38 (s, 1H), 7.95 (s, 1H), 7.93 (s, 1H), 7.88 (d, *J* = 8.5 Hz, 1H), 7.38 (s, 1H), 7.20-7.16 (m, 4H), 6.56 (d, *J* = 8.2 Hz, 1H); IR: 3393, 3327, 1630, 1536, 1351 cm^{-1}.

3-Amino-5-(6-hydroxy-2-naphthyl)-*N*-methylbenzamide hydrochloride (17). The title compound was prepared by reaction of 3-(6-hydroxy-2-naphthyl)-*N*-methyl-5-nitrobenzamide **13** (70 mg, 0.22 mmol, 1 eq) in presence of Pd/C 5% (20 mg, 0.021 mmol, 0.1 eq) in

anhydrous ethanol (100 ml) under a hydrogen atmosphere overnight. After filtration over celite and evaporation of the solvent the crude was solved in acetonitrile. The expected compound **17** was obtained pure as precipitate after addition of HCl in ether (2M) in 33 % yield (21 mg). $C_{18}H_{16}N_2O_2$·HCl; MW: 328; ^{1}H-NMR (CD_3OD): 8.36 (t, *J* = 1.5 Hz, 1H), 8.18 (d, *J* = 1.2 Hz, 1H), 8.01-8.00 (m, 1H), 7.937.92 (m, 1H), 7.91-7.80 (m, 3H), 7.20 (d, *J* = 0.9 Hz, 2H), 3.03 (s, 3H); IR: 3228, 1632 cm^{-1}.

3-Amino-5-(6-hydroxy-2-naphthyl)-*N*-phenylbenzamide (18). A suspension of 3-(6-hydroxy-2-naphthyl)-5-nitro-*N*-phenylbenzamide **14** (200 mg, 0.52 mmol, 1 eq) in THF (5 ml) and tin pellet (124 mg, 1.04 mmol, 2 eq) and 6N HCl (1 ml) was stirred at 50 °C for 1 h. The reaction mixture was filtered and concentrated under reduced pressure. The desired compound was obtained after purification by column chromatography (hexane/ethyl acetate 1:1) in 28% yield (52 mg). $C_{23}H_{18}N_2O_2$; MW: 354; ^{1}H-NMR (CD_3OD): 8.08 (bs, 1H), 7.83 (d, *J* = 8.8 Hz, 1H), 7.76-7.74 (m, 4H), 7.61 (m, 1H), 7.42-7.38 (m, 2H), 7.31-7.30 (m, 1H), 7.24 (dd, *J* = 1.6 Hz, *J* = 2.2 Hz, 1H), 7.20-7.17 (m, 2H), 7.14 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H); IR: 3341, 1594 cm^{-1}.

6-Bromo-2-methoxy-1-naphthaldehyde (19d). To $TiCl_4$ (9.7 ml, 16.8 g, 0.09 mol, 2.1 eq) and dichloromethyl methyl ether (4.2 ml, 5.33 g, 0.089 mol, 1.1 eq) in solution in dichloromethane (20 ml) at 0 °C was added drop wise 2-bromo-6-methoxynaphtalene **19e** (10 g, 0.042 mol, 1 eq) in CH_2Cl_2 (200 ml), maintaining the temperature below 5 °C. After completion of the addition, the reaction mixture was stirred at room temperature overnight. Aqueous hydrochloric acid 1% (300 ml) was added to quench the reaction. The organic layer was separated. The aqueous layer was extracted with CH_2Cl_2. The organic layers were combined, washed with brine, dried over $MgSO_4$ and concentrated to give the desired compound in quantitative yield (11.1 g). $C_{12}H_9BrO_2$; MW 265; ^{1}H-NMR ($CDCl_3$): δ 10.80 (s, 1H), 9.16 (d, *J* = 9.1 Hz, 1H), 7.94 (d, *J* = 9.1 Hz, 1H), 7.90 (d, *J* = 9.1 Hz, 1H), 7.66 (dd, *J* = 2.2 Hz, *J* = 9.4 Hz, 1H), 7.30 (d, *J* = 9.1 Hz, 1H), 4.05 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 191.6, 163.8, 136.3, 132.8, 130.0, 129.7, 126.8, 118.5, 116.6, 113.7, 56.6; IR: 2973, 2887, 2807, 1661 cm^{-1}.

2-Methoxy-6-(3-methoxyphenyl)-1-naphthaldehyde (19c). The title compound was prepared by reaction of 6-bromo-2-methoxy-1-naphthaldehyde **19d** (2 g, 7.55 mmol, 1 eq) with 3-methoxybenzeneboronic acid (1.3 g, 8.30 mmol, 1.1 eq) according to method A. The

product was purified by column chromatography (hexane/ethyl acetate 1:1). Yield: 86% (1.89 g). $C_{19}H_{16}O_3$; MW 292; ^{1}H-NMR ($CDCl_3$): δ 10.89 (s, 1H), 9.32 (d, *J* = 9.1 Hz, 1H), 8.10 (d, *J* = 9.1 Hz, 1H), 7.94 (d, *J* = 1.8 Hz, 1H), 7.87 (dd, *J* = 2.1 Hz, *J* = 8.8 Hz, 1H), 7.38 (t, *J* = 7.9 Hz, 1H), 7.31 (d, *J* = 9.1 Hz, 1H), 7.28-7.27 (m, 1H), 7.22-7.21(m, 1H), 6.91 (ddd, *J* = 0.9 Hz, *J* = 2.1 Hz, *J* = 8.8 Hz, 1H), 4.05 (s, 3H), 3.88 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 163.9, 160.1, 142.0, 137.8, 137.2, 130.8, 130.0, 129.9, 129.4, 125.9, 125.5, 119.7, 113.0, 112.8, 56.6, 55.4 ; IR: 2946, 2882, 2844, 2806, 1662 cm^{-1}.

2-Methoxy-6-(3-methoxyphenyl)-1-naphthoic acid (19b). A solution of 2-methoxy-6-(3-methoxyphenyl)-1-naphthaldehyde **19c** (200 mg, 0.68 mmol, 1 eq) in water (8 ml) and acetone (17 ml) was cooled in ice bath. Sulfamic acid (140 mg, 1.51 mmol, 2.2 eq) and sodium chlorite 80% (0.77 mmol, 1.1 eq) were added. After stirring for 30 min at 0°C, the acetone was evaporated and dichloromethane added. The organic layer was washed 3 times with brine. The title compound was obtained in 87% yield (308 mg) as yellow solid after drying over $MgSO_4$ and concentration under reduced pressure. $C_{19}H_{16}O_4$; MW 308; ^{1}H-NMR ($CDCl_3$): δ 8.54 (d, *J* = 9.1 Hz, 1H), 8.04 (d, *J* = 9.1 Hz, 1H), 7.98 (d, *J* = 1.9 Hz, 1H), 7.83 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.40 (t, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 8.8 Hz, 1H), 7.29-7.28 (m, 1H), 7.23-7.22 (m, 1H), 6.94 (ddd, *J* = 0.9 Hz, *J* = 2.8 Hz, *J* = 8.2 Hz, 1H), 4.13 (s, 3H), 3.90 (s, 3H); IR: 3061, 2993, 2938, 1729, 1672, 1597, 1576, 1493, 1282, 1256, 1210, 1073 cm^{-1}.

2-Methoxy-6-(3-methoxyphenyl)-*N*-methyl-1-naphthamide (19a). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-naphthoic acid **19b** (300 mg, 0.97 mmol, 1 eq) with a solution of methylamine (33% in ethanol, 0.12 ml, 0.97 mmol, 1 eq) according to method B. The crude product was purified by column chromatography (hexane/ethyl acetate 2:1) and **19a** was obtained in quantitative yield (311 mg). $C_{20}H_{19}NO_3$; MW 321; ^{1}H-NMR ($CDCl_3$): δ 8.00 (d, *J* = 1.9 Hz, 1H), 7.96 (d, *J* = 1.8 Hz, 1H), 7.92 (d, *J* = 8.8 Hz, 1H), 7.75 (dd, *J* = 1.8 Hz, *J* = 8.8 Hz, 1H), 7.39 (t, *J* = 7.7 Hz, 1H), 7.29 (d, *J* = 8.8 Hz, 1H), 7.28-7.27 (m, 1H), 7.22-7.21 (m, 1H), 6.94 (ddd, *J* = 0.6 Hz, *J* = 2.7 Hz, *J* = 5.5 Hz, 1H), 3.97 (s, 3H), 3.89 (s, 3H), 3.14 (s, 3H); IR: 2992, 2939, 1637, 1595, 1528 cm^{-1}.

2-Hydroxy-6-(3-hydroxyphenyl)-*N*-methyl-1-naphthamide (19). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-*N*-methyl-1-naphthamide **19a** (250 mg, 0.78 mmol, 1 eq) with boron tribromide (3.9 mmol, 5 eq) according to method F.

Purification by column chromatography (dichloromethane/methanol 98:2) afforded the desired product in 95 % yield (217 mg). $C_{18}H_{15}NO_3$; MW 293; MS (ESI): 292 $(M-H)^-$; ^{1}H-NMR (CD_3OD): δ 8.01 (d, *J* = 1.9 Hz, 1H), 7.90 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 2H), 7.76 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.31 (t, *J* = 7.9 Hz, 1H), 7.22-7.21 (m, 1H), 7.19 (d, *J* = 8.8 Hz, 1H), 7.17-7.16 (m, 1H), 6.82 (ddd, *J* = 0.6 Hz, *J* = 2.2 Hz, *J* = 7.9 Hz, 1H), 3.06 (s, 3H); IR: 3281, 1608, 1580, 1492 cm^{-1}.

2-Methoxy-6-(3-methoxyphenyl)-*N*-phenyl-1-naphthamide (20a). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-naphthoic acid **19b** (200 mg, 0.65 mmol, 1 eq) with aniline (5.8 µl, 60 mg, 0.65 mmol, 1 eq) according to method B. The title compound was obtained after purification by column chromatography (hexane/ethyl acetate 2:1) with 85% yield (318 mg). $C_{25}H_{21}NO_3$; MW 383; ^{1}H-NMR ($CDCl_3$): 8.15 (d, *J* = 8.8 Hz, 1H), 8.00 (d, *J* = 1.5 Hz, 1H), 7.98 (d, *J* = 9.1 Hz, 1H), 7.77 (dd, *J* = 1.8 Hz, *J* = 8.8 Hz, 1H), 7.73 (d, *J* = 7.9 Hz, 2H), 7.40 (q, *J* = 8.2 Hz, 3H), 7.35 (t, *J* = 9.1 Hz, 1H), 7.29-7.28 (m, 1H), 7.19 (t, *J* = 2.1 Hz, 1H), 7.16-7.15 (m, 1H), 6.93 (dd, *J* = 2.1 Hz, *J* = 8.2 Hz, 1H), 4.02 (s, 3H), 3.89 (s, 3H); IR: 3324, 2944, 2844, 1650, 1597, 1532, 1491, 1438, 1250 cm^{-1}.

2-Hydroxy-6-(3-hydroxyphenyl)-*N*-phenyl-1-naphthamide (20). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-*N*-phenyl-1-naphthamide **20a** (217 mg, 0.57 mmol, 1 eq) with boron tribromide (3.42 mmol, 6 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 95:5) afforded the desired product in 23% yield (45 mg). $C_{23}H_{17}NO_3$; MW 355; MS (ESI): 356 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 7.99 (d, *J* = 1.2 Hz, 1H), 7.93 (d, *J* = 8.8 Hz, 1H), 7.88 (d, *J* = 8.8 Hz, 1H), 7.77 (d, *J* = 7.6 Hz, 2H), 7.74 (dd, *J* = 1.8 Hz, *J* = 8.8 Hz, 1H), 7.38 (t, *J* = 7.9 Hz, 2H), 7.27-7.26 (m, 1H), 7.21 (d, *J* = 8.8 Hz, 1H), 7.17-7.16 (m, 1H), 7.15-7.14 (m, 2H), 6.78 (ddd, *J* = 0.9 Hz, *J* = 2.4 Hz, *J* = 7.9 Hz, 1H); IR: 3271, 1628, 1596, 1494 cm^{-1}.

2-Methoxy-*N*,6-bis(3-methoxyphenyl)-1-naphthamide (21a). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-naphthoic acid **19b** (300 mg, 0.97 mmol, 1 eq) with *m*-anisol (0.11 ml, 120 mg, 0.97 mmol, 1 eq) according to method B. The desired compound was obtained after purification of the crude product by column chromatography (hexane/ethyl acetate 2:1) in 41% yield (165 mg). $C_{26}H_{23}NO_4$; MW 413; ^{1}H-NMR ($CDCl_3$): δ 8.14 (d, *J* = 8.8 Hz, 1H), 8.00 (d, *J* = 1.5 Hz, 1H), 7.98 (d, *J* = 9.1 Hz, 1H), 7.77 (dd, *J* = 1.8 Hz, *J* = 8.8 Hz, 1H), 7.75 (s, 1H), 7.56 (t, *J* = 2.1 Hz, 1H), 7.40 (t, *J* = 7.9

Hz, 1H), 7.34 (d, *J* = 8.8 Hz, 1H), 7.30-7.29 (m, 1H), 7.23-7.22 (m, 1H), 7.15 (dd, *J* = 0.9 Hz, *J* = 7.9 Hz, 1H), 6.93 (ddd, *J* = 0.6 Hz, *J* = 2.4 Hz, *J* = 8.2 Hz, 1H), 6.73 (dd, *J* = 2.1 Hz, *J* = 8.2 Hz, 1H), 4.01 (s, 3H), 3.89 (s, 3H), 3.87 (s, 3H); IR: 2941, 2842, 1651, 1595, 1537, 1490 cm^{-1}.

2-Hydroxy-*N*,6-bis(3-hydroxyphenyl)-1-naphthamide (21). The title compound was prepared by reaction of 2-methoxy-*N*,6-bis(3-methoxyphenyl)-1-naphthamide **21a** (150 mg, 0.36 mmol, 1 eq) with boron tribromide (2.9 mmol, 8 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 93:7) afforded the desired product in 50% yield (66 mg). $C_{23}H_{17}NO_4$; MW 371; MS (ESI): 372 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.00 (d, *J* = 1.6 Hz, 1H), 7.92 (d, *J* = 8.5 Hz, 1H), 7.88 (d, *J* = 9.1 Hz, 1H), 7.75 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.44 (t, *J* = 1.9 Hz, 1H), 7.27 (t, *J* = 7.9 Hz, 1H), 7.18-7.17 (m, 5H), 6.78-6.77 (m, 1H), 6.61-6.60 (m, 1H); IR: 3278, 1602, 1493 cm^{-1}.

6-(3-Hydroxyphenyl)-1-(piperidin-1-ylcarbonyl)-2-naphthol (22). The title compound was prepared by reaction of 2-hydroxy-6-(3-hydroxyphenyl)-1-naphthoic acid (160 mg, 0.57 mmol, 1 eq) with piperidine (113 ml, 97 mg, 1.14 mmol, 2 eq) according to method D. After purification of the crude product by column chromatography (dichloromethane/methanol 95:5) compound **22** was obtained in 8% yield (16 mg). $C_{22}H_{21}NO_3$; MW 347; ^{1}H-NMR (CD_3OD): δ 8.04 (d, *J* = 1.9 Hz, 1H), 7.90 (d, *J* = 8.8 Hz, 1H), 7.77 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.66 (d, *J* = 8.8 Hz, 1H), 7.30 (t, *J* = 7.9 Hz, 1H), 7.23-7.21 (m, 1H), 7.20 (d, *J* = 8.8 Hz, 1H), 7.17-7.16 (m, 1H), 6.82 (ddd, *J* = 0.9 Hz, *J* = 2.5 Hz, *J* = 8.2 Hz, 1H), 3.98-3.97 (m, 1H), 3.87-3.83 (m, 1H), 3.69-3.67 (m, 1H), 3.31-3.29 (m, 1H), 1.81-1.79 (m, 3H), 1.75-1.36 (m, 3H).

4-[2-Methoxy-(6-(3-methoxyphenyl)-1-naphthyl)]-1-morpholine (23a). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-naphthoic acid **19b** (200 mg, 0.65 mmol, 1 eq) with morpholine (1.1 ml, 6.5 mmol, 10 eq) according to method D. After purification of the crude product by column chromatography (dichloromethane/methanol 98:2) compound **23a** was obtained in 85% yield (194 mg). The title compound was not characterized; it was directly used for ether cleavage. $C_{23}H_{23}NO_4$; MW 377.

6-(3-Hydroxyphenyl)-1-(morpholin-4-ylcarbonyl))-2-naphthol (23). The title compound was prepared by reaction of 4-[2-methoxy-(6-(3-methoxyphenyl)-1-naphthyl)]-1-morpholine **23a** (195 mg, 0.52 mmol, 1 eq) with boron tribromide (2.6 mmol, 5 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 95:5) afforded the compound **23** in 93% yield (170 mg). $C_{21}H_{19}NO_4$; MW 349; MS (ESI): 348 $(M-H)^-$; ^{1}H-NMR (CD_3OD): δ 8.04 (d, *J* = 1.6 Hz, 1H), 7.92 (d, *J* = 8.8 Hz, 1H), 7.79 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.70 (d, *J* = 8.8 Hz, 1H), 7.33-7.30 (m, 1H), 7.23-7.21 (m, 1H), 7.21 (d, *J* = 8.8 Hz, 1H), 7.18-7.17 (m, 1H), 6.83-6.81 (m, 1H), 3.97-3.96 (m, 2H), 3.88-3.87 (m, 2H), 3.71-3.70 (m, 1H), 3.59-3.57 (m, 1H), 3.41-3.36 (m, 1H), 3.31-3-30 (m, 1H); IR: 3216, 2923, 1595, 1575, 1273 cm^{-1}.

***tert*-Butyl 4-(2-methoxy-6-(3-methoxyphenyl)-1-naphthoyl)piperazine-1-carboxylate (24a).** The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-naphthoic acid **19b** (200 mg, 0.65 mmol, 1 eq) with Boc-piperazine (121 mg, 0.65 mmol, 1 eq) according to method B. The compound was not characterized; the crude product was directly used in the next step. $C_{28}H_{32}N_2O_5$; MW 476.

6-(3-Hydroxyphenyl)-1-(piperazin-1-ylcarbonyl))-2-naphthol (24). The title compound was prepared by reaction *tert*-butyl 4-(2-methoxy-6-(3-methoxyphenyl)-1-naphthoyl)piperazine-1-carboxylate **24a** (200 mg, 0.42 mmol, 1 eq) with boron tribromide (2.52 mmol, 6 eq) according to method F. The desired compound was obtained in 60% yield (81 mg). $C_{21}H_{20}N_2O_3$; MW 348; MS (ESI): 349 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.05 (d, *J* = 1.3 Hz, 1H), 7.93 (d, *J* = 9.1 Hz, 1H), 7.79 (dd, *J* = 1.6 Hz, *J* = 8.5 Hz, 1H), 7.69 (d, *J* = 8.8 Hz, 1H), 7.31 (t, *J* = 7.9 Hz, 1H), 7.23 (d, *J* = 8.8 Hz, 1H), 7.21-7.20 (m, 1H), 7.17-7.16 (m, 1H), 6.84-6.82 (m, 1H), 4.38-4.35 (m, 1H), 4.08-4.05 (m, 1H), 3.68 (m, 1H), 3.59-3.58 (m, 1H), 3.48-3.47 (m, 1H), 3.42-3.41 (m, 1H), 3.40-3.31 (m, 1H), 3.20-3.19 (m 1H); ^{13}C-NMR (CD_3OD): δ 187.0, 175.3, 170.0, 152.8, 148.8, 137.9, 132.8, 131.0, 128.2, 124.7, 119.4, 119.0, 114.9; IR: 3219, 1712, 1600, 1492, 1440 cm^{-1}.

2-Methoxy-6-(3-methoxyphenyl)-*N*-(2-pyridyl)-1-naphthamide (25a). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-naphthoic acid **19b** (580 mg, 1.88 mmol, 1.7 eq) with 2-aminopyridine (100 mg, 1.12 mmol, 1 eq) according to method D. After purification of the crude product by column chromatography (hexane/methanol 99:1) compound **25a** was obtained in 48% yield (206 mg). $C_{24}H_{20}N_2O_3$; MW 384; MS (ESI): 385

$(M+H)^+$; ^{1}H-NMR (acetone-d_6): δ 8.55 (d, *J* = 8.1 Hz, 1H), 8.14 (d, *J* = 8.8 Hz, 1H), 7.99-7.98 (m, 3H), 7.76-7.75 (m, 2H), 7.39 (t, *J* = 7.8 Hz, 1H), 7.29-7.28 (m, 2H), 7.22-7.21 (m, 1H), 6.99-6.98 (m, 1H), 6.93 (dd, *J* = 2.5 Hz, *J* = 8.1 Hz, 1H), 4.72 (bs, 1H), 3.96 (s, 3H), 3.89 (s, 3H).

2-Hydroxy-6-(3-hydroxyphenyl)-*N*-pyridin-2-yl-1-naphthamide (25). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-*N*-(2-pyridyl)-1-naphthamide **25a** (33 mg, 0.086 mmol, 1 eq) with boron tribromide (0.52 mmol, 6 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 99:1) afforded the desired compound in 36% yield (11 mg). $C_{22}H_{16}N_2O_3$; MW 356; MS (ESI): 357 $(M+H)^+$; ^{1}H-NMR (acetone-d_6): δ 9.79 (bs, 1H), 8.48 (d, *J* = 6.3 Hz, 1H), 8.29-8.28 (m, 1H), 8.25 (d, *J* = 5.0 Hz, 1H), 8.09-8.08 (m, 1H), 8.00 (d, *J* = 8.8 Hz, 1H), 7.89-7.88 (m, 1H), 7.82 (dd, *J* = 1.8 Hz, 6.9 Hz, 1H), 7.33-7.32 (m, 4H), 7.14-7.13 (m, 1H), 6.86-6.85 (m, 1H); IR: 3400, 3260, 2925, 1647, 1576, 1465, 1434 cm^{-1}.

2-Methoxy-6-(3-methoxyphenyl)-*N*-(pyrimidin-2-yl)-1-naphthamide (26a). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-naphthoic acid **19b** (465 mg, 1.50 mmol, 1.5 eq) with 2-aminopyrimidine (100 mg, 1.0 mmol, 1 eq) according to method D. After purification of the crude product by column chromatography (dichloromethane/methanol 98:2) compound **26a** was obtained in 45% yield (173 mg). It was not characterized, it was directly used in the next step. $C_{23}H_{19}N_3O_3$; MW 385.

2-Hydroxy-6-(3-hydroxyphenyl)-*N*-(pyrimidin-2-yl)-1-naphthamide (26). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-*N*-(pyrimidin-2-yl)-1-naphthamide **26a** (117 mg, 0.30 mmol, 1 eq) with boron tribromide (2.12 mmol, 7 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 98:2) afforded the desired compound in 96% yield (99 mg). $C_{21}H_{15}N_3O_3$; MW 357; MS (ESI): 358 $(M+H)^+$; ^{1}H-NMR (acetone-d_6): δ 9.90 (bs, 1H), 9.60 (s, 1H), 8.59 (d, *J* = 5.8 Hz, 2H), 8.40 (s, 1H), 8.13 (d, *J* = 5.2 Hz, 1H), 8.05-8.04 (m, 1H), 7.95 (d, *J* = 9.1 Hz, 1H), 7.75 (dd, *J* = 1.8 Hz, *J* = 6.9 Hz, 1H), 7.28 (t, *J* = 8.1 Hz, 1H), 7.20-7.19 (m, 3H), 7.11 (t, *J* = 5.0 Hz, 1H), 6.80-6.79 (m, 1H); IR: 3415, 3200, 1696, 1578, 1495, 1435 cm^{-1}.

2-Methoxy-6-(3-methoxyphenyl)-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-1-naphthamide (27a). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-naphthoic acid **19b** (630 mg, 2 mmol, 1.2 eq) with 5-methyl-1,3,4-thiadiazole-2-amine (187

mg, 1.63 mmol, 1 eq) according to method D. After purification of the crude product by column chromatography (dichloromethane/methanol 95:5) compound **27a** was obtained in 94% yield (620 mg). The title compound was not characterized; it was directly used in the next step. $C_{22}H_{19}N_3O_3S$; MW 405.

2-Hydroxy-6-(3-hydroxyphenyl)-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-1-naphthamide (27). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)-1-naphthamide **27a** (620 mg, 1.53 mmol, 1 eq) with boron tribromide (12.24 mmol, 8 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 98:2) afforded compound **27** in 20% yield (115 mg). $C_{20}H_{15}N_3O_3S$; MW 377; MS (ESI): 378 $(M+H)^+$; ^{1}H-NMR (acetone-d_6): δ 12.30 (bs, 1H), 10.03 (s, 1H), 9.07 (s, 1H), 7.66 (s, 1H), 7.55 (d, *J* = 9.1 Hz, 1H), 7.31 (d, *J* = 8.8 Hz, 1H), 7.23 (d, *J* = 7.8 Hz, 1H), 6.84 (t, *J* = 7.8 Hz, 2H), 6.74 (d, *J* = 8.1 Hz, 1H), 6.68-6.67 (m, 1H), 6.33-6.32 (m, 1H), 2.22 (s, 3H); IR: 3430, 3210, 2910, 2800, 1652, 1545, 1491 cm^{-1}.

Ethyl (2*E*)-3-[2-methoxy-6-(3-methoxyphenyl)-1-naphthyl)]acrylate (28c). NaH (55-65%) (10 mg, 0.21 mmol, 1.2 eq) was suspended in 5 ml dry DME under nitrogen atmosphere together with triethylphosphonoacetate (46 mg, 0.21 mmol, 1.2 eq). The mixture was stirred for 15 min at room temperature. After the addition of 2-methoxy-6-(3-methoxyphenyl)-1-naphthaldehyde **19c** (50 mg, 0.17 mmol, 1 eq) the reaction mixture was stirred at room temperature for 1 h. Water was added and the aqueous layer extracted with ethyl acetate. The organic layers were combined and dried over $MgSO_4$. After evaporation of the solvent under reduced pressure, the title compound was obtained as yellow solid in quantitative yield (61 mg). $C_{23}H_{22}O_4$; MW 362; ^{1}H-NMR ($CDCl_3$): δ 8.36 (d, *J* = 16.1 Hz, 1H), 8.24 (d, *J* = 8.8 Hz, 1H), 7.97 (d, *J* = 1.8 Hz, 1H), 7.89 (d, *J* = 9.1 Hz, 1H), 7.77 (dd, *J* = 2.1 Hz, *J* = 8.8 Hz, 1H), 7.38 (t, *J* = 7.9 Hz, 1H), 7.30 (d, *J* = 8.5 Hz, 1H), 7.29-7.27 (m, 1H), 7.22-7.21 (m, 1H), 6.91 (ddd, *J* = 0.9 Hz, *J* = 2.4 Hz, *J* = 8.2 Hz, 1H), 6.78 (d, *J* = 16.1 Hz, 1H), 4.31 (q, *J* = 7.0 Hz, 2H), 4.00 (s, 3H), 3.88 (s, 3H), 1.37 (t, *J* = 7.0 Hz, 3H); ^{13}C-NMR ($CDCl_3$): δ 167.9, 160.1, 156.8, 142.1, 137.5, 136.3, 132.0, 131.8, 129.9, 129.2, 127.0, 126.3, 123.9, 123.4, 119.7, 116.6, 113.1, 112.9, 112.7, 60.4, 56.2, 55.3, 14.4; IR: 2937, 2839, 1712, 1705, 1273, 1170 cm^{-1}.

(2*E*)-3-(2-Methoxy-6-(3-methoxyphenyl)-1-naphthyl)acrylic acid (28b). Lithium hydroxide (418 mg, 8.52 mmol, 5 eq) was added at 0 °C to a solution of ethyl (2*E*)-3-[2-

methoxy-6-(3-methoxyphenyl)-1-naphthyl)]acrylate **28c** (600 mg, 1.66 mmol, 1 eq) in a THF/water 3:1 (20 ml). After heating the reaction mixture at reflux overnight, the solvent was removed under reduced pressure. The residue was acidified with HCl 2N and extracted with ethyl acetate. The combined organic layers were dried over $MgSO_4$, filtered and the solvent was removed under reduced pressure. The compound was pure enough to be used without purification (quantitative yield, 554 mg). $C_{21}H_{18}O_4$; MW 334; ^{1}H-NMR (acetone-d_6 und 3 drops CD_3OD): δ 8.30 (d, *J* = 16.1 Hz, 1H), 8.26 (d, *J* = 8.8 Hz, 1H), 8.15 (d, *J* = 1.9 Hz, 1H), 8.06 (d, *J* = 9.1 Hz, 1H), 7.89 (dd, *J* = 2.2 Hz, *J* = 8.8 Hz, 1H), 7.52 (d, *J* = 9.1 Hz, 1H), 7.39 (t, *J* = 7.9 Hz, 1H), 7.35-7.34 (m, 1H), 7.32 (t, *J* = 2.2 Hz, 1H), 6.95-6.92 (m, 1H), 6.74 (d, *J* = 16.1 Hz, 1H), 4.06 (s, 3H), 3.87 (s, 3H); IR: 3382, 2946, 2837, 1678 cm^{-1}.

(2*E*)-3-[2-Methoxy-6-(3-methoxyphenyl)-1-naphthyl)]-*N*-methylacrylamide (28a). The title compound was prepared by reaction of (2*E*)-3-(2-methoxy-6-(3-methoxyphenyl)-1-naphthyl)acrylic acid **28b** (400 mg, 1.20 mmol, 1 eq) with a solution of methylamine (33% in ethanol, 0.16 ml, 1.28 mmol, 1 eq) according to method B. The compound was purified by column chromatography (dichloromethane/methanol 98:2) and obtained in 44% yield (184 mg). $C_{21}H_{22}NO_3$; MW 347; ^{1}H-NMR ($CDCl_3$): δ 8.25 (d, *J* = 15.6 Hz, 1H), 8.25 (d, *J* = 9.1 Hz, 1H), 7.96 (d, *J* = 1.9 Hz, 1H), 7.87 (d, *J* = 9.1 Hz, 1H), 7.74 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.37 (t, *J* = 7.9 Hz, 1H), 7.30 (d, *J* = 9.1 Hz, 1H), 7.29-7.27 (m, 1H), 7.22-7.21 (m, 1H), 6.90 (ddd, *J* = 0.9 Hz, *J* = 2.5 Hz, *J* = 8.2 Hz, 1H), 6.69 (d, *J* = 15.8 Hz, 1H), 4.00 (s, 3H), 3.88 (s, 3H), 2.93 (s, 3H); ^{13}C-NMR (CD_3OD): δ 163.7, 160.1, 142.2, 136.3, 133.8, 131.1, 130.0, 129.3, 126.7, 126.2, 126.0, 124.2, 119.7, 117.4, 113.4, 112.9, 112.7, 56.3, 55.4, 26.5; IR: 3278, 2927, 2853, 1643, 1577 cm^{-1}.

(2*E*)-3-[2-Hydroxy-6-(3-hydroxyphenyl)-1-naphthyl)]-*N*-methylacrylamide (28). The title compound was prepared by reaction of (2*E*)-3-[2-methoxy-6-(3-methoxyphenyl)-1-naphthyl)]-*N*-methylacrylamide **28a** (127 mg, 0.37 mmol, 1 eq) with boron tribromide (2.31 mmol, 8 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 95:5) afforded the desired product in 60% yield (71 mg). $C_{20}H_{17}NO_3$; MW 319; MS (ESI): 320 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.29 (d, *J* = 15.8 Hz, 1H), 8.27 (d, *J* = 9.1 Hz, 1H), 7.99 (d, *J* = 1.9 Hz, 1H), 7.81 (d, *J* = 9.1 Hz, 1H), 7.79 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.31 (t, *J* = 7.91 Hz, 1H), 7.24-7.21 (m, 1H), 7.21 (d, *J* = 8.8 Hz, 1H), 7.20-7.19 (m, 1H), 7.11 (d, *J* = 15.8 Hz, 1H), 6.82 (ddd, *J* = 0.9 Hz, *J* = 2.5 Hz, *J* = 7.9 Hz, 1H), 2.93 (s, 3H); ^{13}C-NMR (CD_3OD): δ 170.8, 158.9, 156.7, 143.5, 137.0, 134.6, 134.0,

132.4, 131.0, 130.3, 127.4, 127.3, 126.0, 124.3, 119.5, 119.4, 115.3, 114.9, 26.7; IR: 3064, 1730, 1643, 1581, 1465 cm^{-1}.

(2*E*)-3-[2-Methoxy-6-(3-methoxyphenyl)-1-naphthyl)]-*N*-phenylacrylamide (29a). The title compound was prepared by reaction of (2*E*)-3-(2-methoxy-6-(3-methoxyphenyl)-1-naphthyl)acrylic acid **28b** (200 mg, 0.60 mmol, 1 eq) with aniline (54 µl, 56 mg, 0.60 mmol, 1 eq) according to method B. The compound was obtained in quantitative yield (245 mg). It was used in the next step without further purification. $C_{27}H_{23}NO_3$; MW 409.

(2*E*)-3-[2-Hydroxy-6-(3-hydroxyphenyl)-1-naphthyl)]-*N*-phenylacrylamide (29). The title compound was prepared by reaction of (2*E*)-3-[2-methoxy-6-(3-methoxyphenyl)-1-naphthyl)]-*N*-phenylacrylamide **29a** (70 mg, 0.17 mmol, 1 eq) with boron tribromide (1.36 mmol, 8 eq) according to method F. Purification by column chromatography (dichloromethane/methanol 95:5) afforded the product in 13% yield (8 mg). $C_{25}H_{19}NO_3$; MW 381; MS (ESI): 382 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.47 (d, *J* = 15.8 Hz, 1H), 8.34 (d, *J* = 8.8 Hz, 1H), 8.03 (d, *J* = 1.9 Hz, 1H), 7.86 (d, *J* = 9.1 Hz, 1H), 7.83 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.76 (d, *J* = 7.6 Hz, 1H), 7.40-7.36 (m, 3H), 7.34-7.31 (m, 1H), 7.26-7.24 (m, 1H), 7.24 (d, *J* = 9.1 Hz, 1H), 7.21-7.20 (m, 1H), 7.17-7.14 (m, 1H), 6.83 (ddd, *J* = 0.9 Hz, *J* = 2.5 Hz, *J* = 7.9 Hz, 1H); IR: 3353, 1710, 1646, 1575, 1498, 1441 cm^{-1}.

3-[2-Hydroxy-6-(3-hydroxyphenyl)-1-naphthyl)]-*N*-methylpropanamide (30). The title compound was prepared by hydrogenation of (2*E*)-3-[2-hydroxy-6-(3-hydroxyphenyl)-1-naphthyl)]-*N*-methylacrylamide **28** (40 mg, 0.13 mmol, 1 eq) with $Pd(OH)_2$ according to method H. The compound **30** was obtained in quantitative yield (40 mg). $C_{20}H_{19}NO_3$; MW 321; MS (ESI): 322 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.04 (d, *J* = 8.8 Hz, 1H), 7.98 (d, *J* = 2.2 Hz, 1H), 7.76 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.72 (d, *J* = 8.8 Hz, 1H), 7.31 (t, *J* = 7.91 Hz, 1H), 7.23-7.22 (m, 1H), 7.18-7.17 (m, 1H), 7.16 (d, *J* = 8.8 Hz, 1H), 6.80 (ddd, *J* = 0.9 Hz, *J* = 2.5 Hz, *J* = 7.9 Hz, 1H), 3.41-3.38 (m, 2H), 2.74 (s, 3H), 2.60-2.57 (m, 2H); IR: 3272, 2951, 1611, 1494, 1278 cm^{-1}.

1-Bromo-2-methoxy-6-(3-methoxyphenyl)naphthalene (31a). The title compound was prepared by reaction of 1,6-dibromo-2-methoxynaphthalene **31b** (5.75 g, 18.20 mmol, 1 eq) with 3-methoxybenzeneboronic acid (2.77 g, 18.20 mmol, 1 eq) according to method A. Purification by column chromatography (hexane) afforded the desired compound in 81%

yield (5.06 g). $C_{18}H_{15}BrO_2$; MW 343; ^{1}H-NMR (CD_3OD): δ 8.22 (d, *J* = 8.8 Hz, 1H), 7.92 (d, *J* = 1.9 Hz, 1H), 7.80 (d, *J* = 9.1 Hz, 1H), 7.77 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.35 (t, *J* = 7.9 Hz, 1H), 7.25-7.24 (m, 1H), 7.23 (d, *J* = 9.1 Hz, 1H), 7.20-7.19 (m, 1H), 6.88 (ddd, *J* = 0.9 Hz, *J* = 2.5 Hz, *J* = 8.2 Hz, 1H), 3.98 (s, 3H), 3.84 (s, 3H); ^{13}C-NMR (CD_3OD): δ 160.1, 153.9, 142.0, 136.9, 132.5, 130.0, 129.9, 129.2, 127.4, 126.7, 125.8, 119.8, 114.0, 113.1, 112.8, 57.1, 55.4; IR: 2939, 1596, 1493, 1268, 1221, 1063, 1034 cm^{-1}.

1-Bromo-6-(3-hydroxyphenyl)-2-naphthol (31). The title compound was prepared by reaction of 1-bromo-2-methoxy-6-(3-methoxyphenyl)naphthalene **31a** (500 mg, 1.46 mmol, 1 eq) with boron tribromide (7.3 mmol, 5 eq) according to method F. It was obtained in quantitative yield (460 mg). $C_{16}H_{11}BrO_2$; MW 315; MS (ESI): 313-315 $(M-H)^-$; ^{1}H-NMR (CD_3OD): δ 8.19 (d, *J* = 8.8 Hz, 1H), 8.02 (d, *J* = 1.9 Hz, 1H), 7.83 (dd, *J* = 0.9 Hz, *J* = 8.5 Hz, 1H), 7.83 (d, *J* = 8.8 Hz, 1H), 7.32 (t, *J* = 7.9 Hz, 1H), 7.24 (d, *J* = 8.8 Hz, 1H), 7.25-7.23 (m, 1H), 7.19-7.18 (m, 1H), 6.83 (ddd, *J* = 1.3 Hz, *J* = 2.5 Hz, *J* = 8.2 Hz, 1H); ^{13}C-NMR (CD_3OD): 156.1, 145.8, 140.2, 136.3, 133.5, 132.8, 130.4, 130.4, 129.5, 129.2, 121.9, 117.8, 117.4, 112.4; IR: 3222, 1595, 1583, 1448, 1207, 1187 cm^{-1}.

6-(3-Hydroxyphenyl)-1-phenyl-2-naphthol (32). The title compound was prepared by reaction of 1-bromo-6-(3-hydroxyphenyl)-2-naphthol **31** (50 mg, 0.16 mmol, 1 eq) with benzeneboronic acid (19.4 mg, 0.16 mmol, 1 eq) according to method A. The crude product was purified by column chromatography (hexane/ethyl acetate 7:3) to give **32** in 30% yield (15 mg). $C_{22}H_{16}O_2$; MW 312; MS (ESI): 311 $(M-H)^-$; ^{1}H-NMR (CD_3OD): δ 8.01 (d, *J* = 1.9 Hz, 1H), 7.84 (d, *J* = 8.8 Hz, 1H), 7.58 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.56-7.53 (m, 2H), 7.48-7.45 (m, 2H), 7.42-7.40 (m, 2H), 7.30-7.27 (m, 1H), 7.26 (d, *J* = 8.8 Hz, 1H), 7.21-7.19 (m, 1H), 7.17 (t, *J* = 1.9 Hz, 1H), 6.80 (ddd, *J* = 0.9 Hz, *J* = 2.2 Hz, *J* = 7.9 Hz, 1H); ^{13}C-NMR (CD_3OD): δ 161.4, 155.2, 146.3, 140.3, 139.2, 137.1, 134.8, 133.4, 132.8, 131.9, 130.7, 129.1, 129.0, 128.7, 125.7, 122.0, 121.9, 117.5, 117.3; IR: 3421, 1597, 1494, 1271, 1170 cm^{-1}.

2-Methoxy-6-(3-methoxyphenyl)-1-(phenylsulfanyl)naphthalene (33b). A mixture of 1-bromo-2-methoxy-6-(3-methoxyphenyl)naphthalene **31a** (850 mg, 2.47 mmol, 1 eq) and sodium benzenethiolate (391 mg, 2.96 mmol, 1.2 eq) in dry DMF (10 ml) was refluxed for 11 h under nitrogen atmosphere. The reaction mixture was poured in ice, the precipitate was collected, washed with water and dried in a desiccator (42% yield, 385 mg). The compound

was pure enough to be used in the next step without further purification. $C_{24}H_{20}O_2S$; MW 372.

6-(3-Hydroxyphenyl)-1-(phenylsulfanyl)-2-naphthol (33a). The title compound was prepared by reaction of 2-methoxy-6-(3-methoxyphenyl)-1-(phenylsulfanyl)naphthalene **33b** (376 mg, 1.01 mmol, 1 eq) with boron tribromide (8 mmol, 8 eq) according to method F. The compound was obtained in 94% yield (325 mg). $C_{22}H_{16}O_2S$; MW 344; MS (ESI): 343 $(M-H)^-$; ^{1}H-NMR (acetone-d_6): δ 8.67 (s, 1H), 8.53 (s, 1H), 8.40 (d, *J* = 8.8 Hz, 1H), 8.23 (d, *J* = 1.9 Hz, 1H), 8.17 (d, *J* = 9.1 Hz, 1H), 7.88 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.47 (d, *J* = 9.1 Hz, 1H), 7.38 (t, *J* = 7.2 Hz, 1H), 7.32-7.27 (m, 2H), 7.20-7.17 (m, 1H), 7.13-7.12 (m, 2H), 6.95-6.94 (m, 1H).

6-(3-Hydroxyphenyl)-1-(phenylsulfonyl)-2-naphthol (33). To a solution of 6-(3-hydroxyphenyl)-1-(phenylsulfanyl)-2-naphthol **33a** (71 mg, 0.21 mmol, 1 eq) in anhydrous dichloromethane (10 ml) at 0 °C, was added *m*-CPBA (192 mg, 0.82 mmol, 3.9 eq) in CH_2Cl_2 (10 ml). The reaction mixture was stirred at 0 °C for 1 h and at room temperature for 12 h. The reaction was poured into ice water and the crude was extracted with ethyl acetate. The combined organic layers were washed with $NaHCO_3$ and brine and dried over Na_2SO_4. After purification of the crude product by column chromatography compound **33** was obtained in 50% yield (38 mg). $C_{22}H_{16}O_4S$; MW 376; MS (ESI): 375$(M-H)^-$; ^{1}H-NMR (acetone-d_6): δ 8.49 (d, *J* = 9.1 Hz, 1H), 8.23 (d, *J* = 8.8 Hz, 1H), 8.11 (s, 1H), 8.06 (d, *J* = 7.9 Hz, 1H), 7.82 (dd, *J* = 1.8 Hz, *J* = 8.8 Hz, 1H), 7.70-7.62 (m, 3H), 7.27-7.26 (m, 2H), 7.16-7.15 (m, 2H), 6.85 (dt, *J* = 1.8 Hz, *J* = 8.8 Hz, 1H).

2-Methoxy-6-(3-methoxyphenyl)-1-[(4-methylphenyl)sulfanyl]naphthalene (34b). A mixture of 1-bromo-2-methoxy-6-(3-methoxyphenyl)naphthalene **31a** (100 mg, 0.29 mmol, 1 eq) and sodium 4-methylbenzenethiolate (51 mg, 0.34 mmol, 1.2 eq) in dry DMF (10 ml) was refluxed for 11 h under nitrogen atmosphere. The reaction mixture was poured in ice. The precipitate formed was collected, washed with water and dried in a desiccator (73% yield, 80 mg). The compound was pure enough to be used in the next step without further purification. $C_{25}H_{22}O_2S$; MW 386; ^{1}H-NMR (acetone-d_6): δ 8.13 (d, *J* = 1.9 Hz, 1H), 8.03 (d, *J* = 1.9 Hz, 1H), 7.91 (d, *J* = 8.8 Hz, 1H), 7.66 (dd, *J* = 1.9 Hz, *J* = 8.8 Hz, 1H), 7.50 (d, *J* = 8.8 Hz, 1H), 7.45 (t, *J* = 8.2 Hz, 1H), 7.39 (t, *J* = 8.2 Hz, 1H), 7.33-7.29 (m, 3H), 7.03-6.96 (m, 4H), 3.88 (s, 3H), 3.85 (s, 3H), 2.78 (s, 3H).

6-(3-Hydroxyphenyl)-1-(4-methylphenyl)sulfonyl)-2-naphthol (34). To a solution of 2-methoxy-6-(3-methoxyphenyl)-1-[(4-methylphenyl)sulfanyl]naphthalene **34b** (385 mg, 1.03 mmol, 1 eq) in anhydrous dichloromethane (10 ml) at 0 °C was added *m*-CPBA (1.42 g, 8.24 mmol, 8.2 eq) in CH_2Cl_2 (10 ml). The reaction mixture was stirred at 0 °C for 1 h and at room temperature for 12 h, poured into ice water and extracted with ethyl acetate. The combined organic layers were washed with $NaHCO_3$ and brine and dried over Na_2SO_4. A monodemethylation occurred during the oxidation step. The second methoxy group of the product (105 mg, 0.26 mmol, 1 eq) was then removed by reaction with boron tribromide (2.1 mmol, 8 eq) according to method F. The product was obtained in 79% yield (80 mg). $C_{23}H_{18}O_4S$; MW 390; MS (ESI): 389 $(M-H)^-$; ^{1}H-NMR (acetone-d_6): δ 8.49 (d, *J* = 8.8 Hz, 1H), 8.22 (d, *J* = 8.8 Hz, 1H), 8.12 (d, *J* = 2.1 Hz, 1H), 7.95 (d, *J* = 8.5 Hz, 1H), 7.82 (dd, *J* = 2.1 Hz, *J* = 8.3 Hz, 1H), 7.43 (d, *J* = 8.8 Hz, 2H), 7.31-7.26 (m, 2H), 7.19-7.18 (m, 2H), 6.87-6.86 (m, 1H).

Methyl 3-methoxy-7-(3-methoxyphenyl)-2-naphthoate (35b). The title compound was prepared by reaction of methyl 7-bromo-3-methoxy-2-naphthoate **35c** (2.2 g, 7.45 mmol, 1 eq) with 3-methoxyphenyl boronic acid (1.37 g, 8.95 mmol, 1.5 eq) according to method A. The reaction mixture was purified by column chromatography (dichloromethane/hexane, gradient 5:5 to 7:3, dichloromethane/methanol 95:5) to give 1.58 g of compound **35b**. Yield: 66%. $C_{20}H_{18}O_4$; MW 322; ^{1}H-NMR ($CDCl_3$): δ 8.34 (s, 1H), 7.99 (s, 1H), 7.79-7.74 (m, 2H), 7.38 (t, *J* = 8.2 Hz, 1H), 7.25 (d, *J* = 7.9 Hz, 1H), 7.20-7.19 (m, 2H), 6.91 (dd, *J* = 2.5 Hz, *J* = 8.2 Hz, 1H), 4.00 (s, 3H), 3.95 (s, 3H), 3.87 (s, 3H); ^{13}C-NMR ($CDCl_3$): δ 166.7, 160.1, 155.9, 142.2, 137.1, 135.4, 133.1, 129.9, 128.1, 127.7, 127.0, 126.5, 122.2, 119.7, 113.0, 112.8, 106.6, 56.0, 55.4, 52.3; IR: 2950, 2836, 1729, 1599, 1490, 1463 cm^{-1}.

3-Methoxy-7-(3-methoxyphenyl)-*N*-methyl-2-naphthamide (35a). The title compound was prepared by reaction of 3-methoxy-7-(3-methoxyphenyl)-2-naphthoic acid (323 mg, 1.05 mmol, 1 eq) with a solution of methylamine (33% in ethanol, 0.13 ml, 1.05 mmol, 1 eq) according to method C. Purification by column chromatography (hexane/ethyl acetate 8:2) gave 247 mg of the amide **35a**. Yield: 74%. $C_{20}H_{19}NO_3$; MW 321; MS (ESI): 322 $(M+H)^+$; ^{1}H-NMR ($CDCl_3$): δ 8.80 (s, 1H), 8.07 (s, 1H), 7.93 (bs, 1H), 7.79-7.74 (m, 2H), 7.38 (t, *J* = 7.6 Hz, 1H), 7.26 (d, *J* = 7.6 Hz, 1H), 7.20-7.19 (m, 2H), 6.92-6.90 (dd, *J* = 2.7 Hz, *J* = 8.2 Hz, 1H), 4.05 (s, 3H), 3.87 (s, 3H), 3.06 (s, 0.5H), 3.05 (s, 0.5H); ^{13}C-NMR($CDCl_3$): δ 165.8,

160.1, 155.0, 142.2, 137.2, 134.9, 134.1, 129.9, 128.5, 127.9, 127.0, 126.7, 122.8, 119.8, 112.9, 112.8, 106.3, 56.0, 55.4, 26.8; IR: 3402, 2944, 2837, 1652, 1599, 1542, 1488, 1203 cm^{-1}.

3-Hydroxy-7-(3-hydroxyphenyl)-*N*-methyl-2-naphthamide (35). The title compound was prepared by reaction of 3-methoxy-7-(3-methoxyphenyl)-*N*-methyl-2-naphthamide **35a** (93 mg. 0.29 mol, 1 eq) with boron tribromide (5.5 mmol, 19 eq) according to method F. The product was obtained in a quantitative yield (87 mg). $C_{18}H_{15}NO_3$; MW 293; MS (ESI): 294 $(M+H)^+$; ^{1}H-NMR (CD_3OD): δ 8.43 (s, 1H), 8.03 (s, 1H), 7.75 (s, 2H), 7.29 (t, *J* = 7.9 Hz, 1H), 7.26 (s, 1H), 7.19 (d, *J* = 7.6 Hz, 1H), 7.15 (s, 1H), 6.80 (dd, *J* = 2.2 Hz, *J* = 7.9, 1H), 3.02 (s, 3H); ^{13}C-NMR (CD_3OD): δ 159.2, 156.9, 137.4, 131.2, 131.1, 129.1, 127.6, 127.5, 120.4, 119.4, 115.4, 114.9, 112.0; IR: 3327, 2927, 1647, 1599, 1578, 1465 cm^{-1}.

3-Methoxy-7-(3-methoxyphenyl)-*N*-phenyl-2-naphthamide (36a). The title compound was prepared by reaction of 3-methoxy-7-(3-methoxyphenyl)-2-naphthoic acid (323 mg, 1.05 mmol, 1 eq) with aniline (95 µl, 1.05 mmol, 1 eq) according to method C. Purification by column chromatography (hexane/ethyl acetate 8:2 and 6:4) gave 281 mg of the amide **36a**. Yield: 70%. $C_{25}H_{21}NO_3$; MW 383; MS (ESI): 384 $(M+H)^+$; ^{1}H-NMR ($CDCl_3$): δ 9.89 (bs, 1H), 8.89 (s, 1H), 8.10 (s, 1H), 7.83-7.78 (m, 2H), 7.71 (d, *J* = 7.6 Hz, 2H), 7.41-7.36 (m, 3H), 7.28-7.27 (m, 2H), 7.21-7.20 (m, 1H), 7.14 (t, *J* = 7.2 Hz, 1H), 6.92 (dd, *J* = 1.9 Hz, *J* = 7.6 Hz, 1H); ^{13}C-NMR ($CDCl_3$): δ 163.0, 160.1, 154.6, 142.2, 138.4, 137.5, 135.1, 134.7, 130.7, 130.0, 129.1, 128.7, 128.3, 127.1, 126.8, 124.3, 123.1, 120.6, 119.8, 113.0, 112.9, 106.7, 56.3, 55.4; IR: 3352, 2940, 2836, 1670, 1597, 1544, 1290, 1199 cm^{-1}.

3-Hydroxy-7-(3-hydroxyphenyl)-*N*-phenyl-2-naphthamide (36). The title compound was prepared by reaction of 3-methoxy-7-(3-methoxyphenyl)-*N*-phenyl-2-naphthamide **36a** (86 mg, 0.22 mol, 1 eq) with boron tribromide (4.45 mmol, 20 eq) according to method F. After purification of the crude product by column chromatography (hexane/ethyl acetate 6:4) compound **36** was obtained in 50% yield (40 mg). $C_{23}H_{17}NO_3$; MW 355; MS (APCI): 355 $(M)^+$; ^{1}H-NMR (DMSO-d_6): δ 11.54 (bs, 1H), 10.14 (bs, 1H), 8.73 (s, 1H), 8.47 (bs, 1H), 8.08 (s, 1H), 7.84-7.81 (m, 4H), 7.42 (dd, *J* = 0.9 Hz, *J* = 8.5 Hz, 2H), 7.36 (s, 1H), 7.31 (t, *J* = 8.2 Hz, 1 H), 7.23-7.18 (m, 3H), 6.88-6.86 (m, 1H); ^{13}C-NMR (DMSO-d_6): δ 168.3, 158.4, 156.9, 142.4, 138.5, 136.8, 136.6, 130.4, 130.3, 129.2, 128.5, 127.7, 127.0, 126.6, 125.1, 121.6, 119.2, 118.5, 114.8, 114.1, 111.7; IR: 3371, 3136, 2957, 1694, 1623, 1567, 1499, 1445 cm^{-1}.

3-Methoxy-7-(3-methoxyphenyl)-1-naphthonitrile (37a). The title compound was prepared by reaction of 8-cyano-6-methoxy-2-naphthyl trifluoromethanesulfonate **37b** (466 mg, 1.4 mmol, 1 eq) with 3-methoxybenzene boronic acid (260 mg, 1.7 mmol, 1.2 eq) according to method A. The reaction mixture was purified by column chromatography (hexane/ethyl acetate 7: 3) to give **37a** as a yellow solid. Yield: 25% (101 mg). $C_{19}H_{15}NO_2$; MW 289; ^{1}H-NMR (CD_3OD): δ 8.24-8.23 (m, 1H), 7.79 (d, *J* = 8.5 Hz, 1H), 7.74 (dd, *J* = 1.9 Hz, *J* = 8.5 Hz, 1H)**,** 7.52 (d, *J* = 2.5 Hz, 1H), 7.34 (t, *J* = 7.9 Hz, 1H), 7.32 (d, *J* = 2.2 Hz, 1H), 7.24-7.23 (m, 1H), 7.18-7.17 (m, 1H), 6.88-6.87 (m, 1H), 3.89 (s, 3H), 3.83 (s, 3H); IR: 2362, 2225 cm^{-1}.

3-Hydroxy-7-(3-hydroxyphenyl)-1-naphthonitrile (37). The title compound was prepared by reaction of 3-methoxy-7-(3-methoxyphenyl)-1-naphthonitrile **37a** (100 mg, 0.35 mmol, 1 eq) with pyridinium hydrochloride (492 mg, 4.3 mmol, 12 eq) according to method G. The desired compound was obtained in 64% yield (58 mg). $C_{17}H_{11}NO_2$; MW 261; MS (ESI): 260 $(M-H)^-$; ^{1}H-NMR (CD_3OD): δ 8.20 (s, 1H), 7.89 (d, *J* = 8.5 Hz, 1H), 7.85 (dd, *J* = 1.9 Hz, *J* = 6.9 Hz, 1H), 7.61 (d, *J* = 2.2 Hz, 1H), 7.50 (d, *J* = 2.5 Hz, 1H), 7.35 (dd, *J* = 2.2 Hz, *J* = 7.6 Hz, 1H), 7.24-7.23 (m, 1H), 7.20 (dd, *J* = 2.2 Hz, *J* = 1.9 Hz, 1H), 6.87-6.86 (m, 1H); IR: 3413, 3293, 3204, 2362, 2240, 791, 781, 702 cm^{-1}.

7-Methoxy-3-(3-methoxyphenyl)-1-naphthonitrile (38a). The title compound was prepared by reaction of 3-bromo-7-methoxy-1-naphthonitrile **37c** (1.4 g, 1.76 mmol, 1 eq) with 3-methoxybenzene boronic acid (320 mg, 2.11 mmol, 1.2 eq) according to method A. The reaction mixture was purified by column chromatography (hexane/ethyl acetate 7:3) to give **38a** as a yellow solid. Yield: 53% (270 mg). $C_{19}H_{15}NO_2$; MW 289; ^{1}H-NMR ($CDCl_3$): δ 8.10 (d, *J* = 1.6 Hz, 1H), 8.05 (d, *J* = 1.9 Hz, 1H), 7.79 (d, *J* = 9.1 Hz, 1H), 7.41-7.40 (m, 1H), 7.35 (t, *J* = 7.9 Hz, 1H), 7.21 (dd, *J* = 2.5 Hz, *J* = 8.8 Hz, 1H), 7.18-7.16 (m, 1H), 7.11-7.10 (m, 1H), 6.88 (ddd, *J* = 0.6 Hz, *J* = 2.5 Hz, *J* = 8.2 Hz, 1H), 3.94 (s, 3H), 3.83 (s, 3H); IR: 2950, 2836, 1729, 1599, 1490, 1463 cm^{-1}.

7-Hydroxy-3-(3-hydroxyphenyl)-1-naphthonitrile (38). The title compound was prepared by reaction of 7-methoxy-3-(3-methoxyphenyl)-1-naphthonitrile **38a** (110 mg, 0.39 mmol, 1 eq) with pyridinium hydrochloride (547 mg, 4.76 mmol, 12.2 eq) according to method G. The compound was obtained in 62% yield (63 mg). $C_{17}H_{11}NO_2$; MW 261; MS (ESI): 260 $(M-H)^-$;

^{1}H-NMR (CD_3OD): δ 8.30 (bs, 1H), 8.18 (d, *J* = 1.9 Hz, 1H), 7.98 (d, *J* = 8.8 Hz, 1H), 7.47-7.46 (m, 1H), 7.36-7.33 (m, 1H), 7.28 (dd, *J* = 2.2 Hz, *J* = 8.8 Hz, 1H), 7.24-7.22 (m, 1H), 7.17-7.16 (m, 1H), 6.87-6.85 (m, 1H); IR: 3274, 2239, 1599, 1588 cm^{-1}.

b) Purity of compounds **2-3, 5, 7-8, 10-11, 19-24, 26, 28-32, 35, 37-38** was determined using LC/MS as follows:

The Surveyor®-LC-system consisted of a pump, an autosampler, and a PDA detector. Mass spectrometry was performed on a TSQ® Quantum (ThermoFisher, Dreieich, Germany). The triple quadrupole mass spectrometer was equipped with an electrospray interface (ESI). The system was operated by the standard software Xcalibur®.

A RP C18 NUCLEODUR® 100-5 (3 mm) column (Macherey-Nagel GmbH, Duehren, Germany) was used as stationary phase. All solvents were HPLC grade.

1st solvent system:

In an isocratic run a solvent system consisting of 0.1% formic acid and 0.1% formic acid in methanol (20:80) was chosen.

2nd solvent system:

In a gradient run the percentage of acetonitrile (containing 0.1% formic acid) in 0.1% formic acid in was increased from an initial concentration of 50% at 0 min to 100% at 3 min and kept at 100 % for 3.5 min.

In both cases the injection volume was 5 µl and flow rate was set to 350 µl/min. MS analysis was carried out at a spray voltage of 3800 V, a capillary temperature of 350 °C and a source CID of 10 V. Spectra were acquired in positive and negative ionization mode from 100 to 1000 m/z and full scan UV-mode.

Compd.	isocratic run		gradient run		MS (ESI) m/z
	R_t (min)	HPLC purity (>%)	R_t (min)	HPLC purity (>%)	
2	2.06	90	2.41	90	292 $(M-H)^-$
3	3.87	95	2.43	95	356 $(M+H)^+$
5	2.03	98	1.96	98	327 $(M-H)^-$
7	2.00	98	1.86	99	292 $(M-H)^-$

Compd.	isocratic run		gradient run		MS (ESI) m/z
	R_t (min)	HPLC purity (>%)	R_t (min)	HPLC purity (>%)	
8	3.66	95	2.16	95	354 $(M-H)^-$
10	2.67	80	2.21	80	380 $(M-H)^-$
11	2.09	80	1.75	80	320 $(M-H)^-$
19	2.17	90	1.87	90	292 $(M-H)^-$
20	2.74	95	2.24	95	354 $(M-H)^-$
21	2.25	90	1.83	90	370 $(M-H)^-$
22	3.19	80	2.05	80	346 $(M-H)^-$
23	2.37	80	1.80	80	348 $(M-H)^-$
24	1.50	95	1.60	95	347 $(M-H)^-$
26	2.10	99	2.69	99	358 $(M+H)^+$
28	2.50	80	1.80	80	328 $(M-H)^-$
29	4.16	95	2.37	95	380 $(M-H)^-$
30	2.59	95	1.95	95	320 $(M-H)^-$
31	4.30	99	2.53	99	313-315 $(M-H)^-$
32	5.06	98	2.74	98	311 $(M-H)^-$
35	3.43	90	2.31	90	292 $(M-H)^-$
37	3.38	99	2.20	99	260 $(M-H)^-$
38	3.49	99	2.17	99	260 $(M-H)^-$