Supporting Information

For

2-Methyltetrahydrofuran as a suitable green solvent for phthalimide functionalization promoted by supported KF.

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Materials and Instrumentation.

All experiments were carried out under a nitrogen atmosphere, using glassware, which was previously oven and/or flame-dried. Anhydrous 2-methyltetrahydrofuran was a gift from Penn A Kem LCC, Memphis, Tennessee (USA) . All other chemicals were obtained from commercial suppliers and used without purification. 2-Chloro-3-iodopropene was prepared as previously we reported.[1]

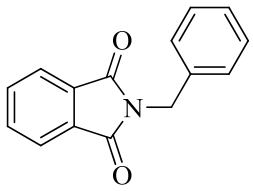
All ^{1}H NMR, ^{13}C NMR, were recorded on a Bruker AC-250 spectrometer at room temperature at 250 MHz and at 62.5 MHz respectively, using a convenient deuterated solvent (reported in the characterization charts) and the residual peak as internal standard TMS in the case of $CDCl_3$. Chemical shifts are reported in δ (ppm) referred to ^{1}H (of residual protons) of the deuterated solvents. Spin-spin coupling constants (*J*) are given in Hz. Carbon multiplicities were obtained from DEPT experiments. Whenever necessary NMR-2D experiments such as HMBC and HMQC were carried out. Melting points were determined with a Buchi SMP-20 apparatus and are uncorrected. IR absorption spectra were recorded on a Perkin-Elmer System 2000 FT-IR spectrophotomer. Optical rotations were measured at 25 °C using a Perkin-Elmer 241MC polarimeter set on the sodium D line. Elementary microanalyses were carried out in the corresponding 'Centro de Apoyo a la Investigación of the Complutense University, Madrid, using a Leco® CHNS 932 equipment. HPLC analysis for determination of the enantiomeric excess (*ee*) and the absolute configurations were

carried out using a ConstaMetric 4100 system equipped with a chiral column (Chiracel AD), UVvis detector and a Knauer chiral detector. Column chromatography purifications were conducted on silica gel 60 (40-63 μm). TLC was carried out on aluminium sheets precoated with silica gel $60F_{254}$ (Macherey-Nagel, Merk); the spots were visualized under UV light (λ=254 nm) and/or I_2 was used as revealing system.

General Procedure for KF-supported Catalyzed Alkylation of Phthalimides

In a typical reaction, to a suspension containing KF-supported (1.50 mmol) in 2-methyltetrahydrofuran (5.0 mL), phthalimide derivative (1.20 mmol) and alkylating agent (1.00 mmol) were added. Thus, the mixture was refluxed under nitrogen for the appropriate time until TLC analysis detected the disappearance of the starting material. After cooling, undissolved solid was removed by filtration and washed twice with dichloromethane. Solvent was evaporated under vacuum and the product was purified, whenever necessary, by column cromatography on silica gel, using eluents as reported below, to afford the desired adduct.

_N_-benzylphthalimide (1).



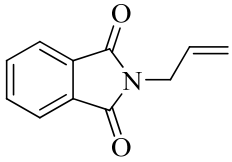
Reaction time: 6 h; Colorless needle; mp 111-113 ºC (lit.,[2] 112 ºC); LC eluent: dichlorometane; 98 % yield.

^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 4.87 (s, 2H, NC*H*$_2$), 7.25-7.42 (m, 5H, Ph-*H*), 7.68 (dd, *J* = 1.8 Hz, *J* = 8.1 Hz, 2H, Ar-*H*), 7.83 (dd, *J* = 1.9 Hz, *J* = 8.1 Hz, 2H, Ar-*H*).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 41.8 (N*C*H$_2$), 123.3 (*C*$_{2a}$, *C*$_{6a}$-Ar), 127.8 (*p*-Ph), 128.7 (*o*-Ph), 129.0 (*m*-Ph), 132.2 (*C*$_4$, *C*$_5$-Ar), 133.9 (*C*$_3$, *C*$_6$-Ar), 136.4 (*ipso*-Ph), 168.0 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3058, 2917, 1674, 1648, 1611, 1472, 1346, 1232, 762, 732. **Anal. Calcd** for $C_{15}H_{11}NO_2$: C, 75.94; H, 4.67; N, 5.90. Found: C, 76.00; H, 4.71; N, 5.86.

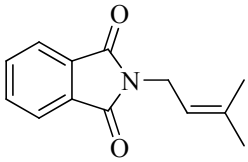
***N*-allylphthalimide (2a)**



Reaction time: 4 h; Colorless solid; mp 70 ºC (lit.,[2] 69-71 ºC); LC eluent: dichlorometane; 95 % yield.

^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 4.23 (d, 2H, *J* = 5.5 Hz, C*H*$_2$), 5.16 (dd, 2H, *J* = 10.2 Hz, *J* = 17.4 Hz, CH=C*H*$_2$), 5.82 (ddt, 1H, *J* = 5.5 Hz, *J* = 10.2 Hz, *J* = 17.4 Hz, C*H*CH$_2$), 7.67 (dd, *J* = 1.9 Hz, *J* = 8.3 Hz, 2H, Ar-*H*), 7.85 (dd, *J* = 1.9 Hz, *J* = 8.3 Hz, 2H, Ar-*H*).
^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 39.9 (C*H*$_2$), 117.5 (C*H*=CH$_2$), 123.1 (CH=C*H*$_2$), 131.4 (*C*$_4$, *C*$_5$-Ar), 131.9 (*C*$_{2a}$, *C*$_{6a}$-Ar), 133.8 (*C*$_3$, *C*$_6$-Ar), 167.7 (N*C*O).
FT-IR (KBr) (ν_{max}/cm^{-1}): 3050, 2913, 1670, 1644, 1595, 1366, 995.
Anal. Calcd for $C_{11}H_9NO_2$: C, 70.58; H, 4.85; N, 7.48. Found: C, 70.51; H, 4.89; N, 7.53.

***N*-(3-methylbut-2-enyl)phthalimide (2b)**



Reaction time: 4 h; Colorless solid; mp 192 ºC (lit.,[3] 192-195 ºC); LC eluent: dichlorometane / hexanes 4:1; 93 % yield.

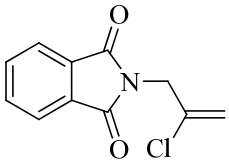
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 1.77 (s, 3H, CH_3), 1.80 (s, 3H, CH_3), 3.45 (bs, 1H, N*H*), 3.73 (d, *J* = 6.7 Hz, 2H, NCH_2), 5.34-5.44 (m, 1H, CH_2C*H*=C), 7.68 (dd, *J* = 1.9 Hz, *J* = 8.2 Hz, 2H, Ar-*H*), 7.81 (dd, *J* = 1.8 Hz, *J* = 8.2 Hz, 2H, Ar-*H*).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 17.9 (*C*H_3), 25.7 (*C*H_3), 41.9 (*C*H_2), 121.5 (CH_2*C*H=C), 131.4 (*C*$_4$, *C*$_5$-Ar), 135.5 (CH=*C*$(CH_3)_2$), 117.5 (C*H*=CH_2); 123.1 (CH=C*H*$_2$), 133.2 (*C*$_{2a}$, *C*$_{6a}$-Ar), 135.3 (*C*$_3$, *C*$_6$-Ar), 168.7 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3064, 2914, 1682, 1613, 1352, 1504, 1223, 893,767, 738.

Anal. Calcd for $C_{13}H_{13}NO_2$: C, 72.54; H, 6.09; N, 6.51. Found: C, 72.50; H, 6.13; N, 6.47.

***N*-(2-chloroallyl)phthalimide (2c)**



Reaction time: 5.5 h; Colorless solid; mp 141 ºC (lit.,[4] 140-145 ºC); LC eluent: dichlorometane / hexanes 9:1; 84 % yield.

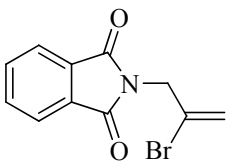
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 4.48 (s, 2H), 5.35 (dd, *J* = 1.2 Hz, *J* = 2.7 Hz, 1H, ClC=C*H*H), 5.46 (dd, *J* = 1.5 Hz, *J* = 3.1 Hz, 1H, ClC=CH*H*), 7.74-7.90 (m, 4H).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 43.4 (*C*H_2); 114.6 (C=*C*H_2); 123.6 (*C*$_3$, *C*$_6$-Ar);, 131.8 (*C*$_{2a}$, *C*$_{6a}$-Ar),, 134.3 (*C*$_4$, *C*$_5$-Ar), 135.5 (Cl*C*=CH_2), 167.4 (N*C*O).

FT-IR (NaCl) (ν_{max}/cm^{-1}): 3055, 2915, 1683, 1646, 1604, 1506, 1323, 1267, 889.

Anal. Calcd for $C_{11}H_8ClNO_2$: C, 59.61; H, 3.64; N, 6.32. Found: C, 59.68; H, 3.70; N, 6.40.

***N*-(2-bromoallyl)phthalimide (2d)**



Reaction time: 6.5 h; Colorless solid; mp 126 ºC (lit.,[5] 125-126 ºC); LC eluent: dichlorometane / hexanes 8:2; 88 % yield.

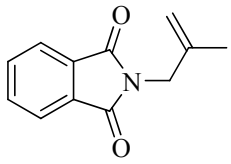
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 4.41 (s, 2H, NC*H*$_2$), 5.64 (s, 1H, BrC=C*H*H), 5.93 (s, 1H, BrC=CH*H*), 7.76-7.97 (m, 4H);

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 51.4 (N*C*H$_2$), 116.1 (BrC=*C*H$_2$), 123.6 (*C*$_3$, *C*$_6$-Ar); 130.5 (Br*C*=CH$_2$), 132.1 (*C*$_{2a}$, *C*$_{6a}$-Ar),, 134.7 (*C*$_4$, *C*$_5$-Ar), 168.4 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3051, 2912, 1680, 1647, 1606, 1501, 1320, 1269, 893.

Anal. Calcd for $C_{11}H_8BrNO_2$: C, 49.65; H, 3.03; N, 5.26. Found: C, 49.70; H, 3.10; N, 5.21.

***N*-(2-methylallyl)phthalimide (2e)**



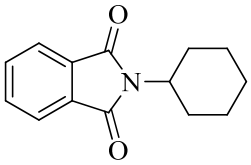
Reaction time: 7 h; Colorless solid; mp 86 ºC (lit.,[6] 87-88 ºC); LC eluent: dichlorometane / hexanes 8:2; 87 % yield.

^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 1.77 (s, 3H, C*H*$_3$); 4.21 (s, 2H, NC*H*$_2$); 4.80 (s, 1H, C*H*$_2$); 4.88 (s, 1H, C*H*$_2$); 7.61 (dd, *J* = 1.7 Hz, *J* = 8.0 Hz, 2H, Ar-*H*), 7.85 (dd, *J* = 1.7 Hz, *J* = 8.0 Hz, 2H, Ar-*H*).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 20.4 (*C*H$_3$), 43.2 (N*C*H$_2$), 111.9 (CH$_3$C=CH2); 123.6 (*C*$_3$, *C*$_6$-Ar), 132.1 (*C*$_{2a}$, *C*$_{6a}$-Ar), 134.7 (*C*$_4$, *C*$_5$-Ar), 139.3 (CH$_3$*C*=CH$_2$), 167.7 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3061, 2913, 1682, 1645, 1606, 1504, 1323, 1272, 897.
Anal. Calcd for $C_{12}H_{11}NO_2$: C, 71.63; H, 5.51; N, 6.96. Found: C, 71.56; H, 5.44; N, 7.01.

***N*-(cyclohexyl)phthalimide (3a)**



Reaction time: 12 h; Colorless solid; mp 171 ºC (lit.,[7] 170-172 ºC); LC eluent: dichlorometane / petroleum ether 4:1; 89 % yield.

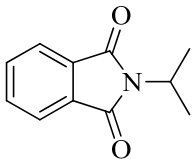
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 1.22-1.42 (m, 3H), 1.68-1.74 (m, 3H), 1.86 (d, *J* = 13.2 Hz, 2H), 2.15-2.25 (m, 2H), 4.07-4.15 (m, 1H), 7.68-7.70 (m, 2H), 7.80-7.82 (m, 2H).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 25.3 (C_3,C_5-Cy), 26.2 (C_4-Cy), 30.0 (C_2,C_6-Cy), 51.0 (C_1-Cy), 123.1 (C_3, C_6-Ar), 132.2 (C_{2a}, C_{6a}-Ar), 133.8 (C_4, C_5-Ar),, 168.6 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3056, 2912, 1682, 1645, 1616, 1508, 1352, 1223, 1053, 767, 737.

Anal. Calcd for $C_{14}H_{15}NO_2$: C, 73.34; H, 6.59; N, 6.11. Found: C, 73.39; H, 6.64; N, 6.17.

***N*-(isopropyl)phthalimide (3c)**



Reaction time: 8 h; Colorless needle; mp 83 ºC (lit.,[8] 82-85 ºC); LC eluent: chloroform / petroleum ether 4:1; 76 % yield.

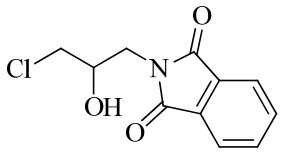
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 1.49 (d, *J* = 6.9 Hz, 6H, CH_3), 4.53 (m, 1H, N-C*H*), 7.74 (dd, *J* = 1.9 Hz, *J* = 8.2 Hz, 2H, H_3,H_6-Ph), 7.87 (dd, *J* = 1.9 Hz, *J* = 8.2 Hz, 2H, H_4,H_5-Ph).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 20.4 (*C*H_3), 43.3 (N*C*-H), 123.2 (C_3, C_6-Ar), 132.4 (C_{2a}, C_{6a}-Ar), 133.7 (C_4, C_5-Ar),, 168.5 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3066, 2913, 1684, 1647, 1616, 1507, 1355, 1226, 1057, 769, 737.

Anal. Calcd for $C_{11}H_{11}NO_2$: C, 69.83; H, 5.86; N, 7.40. Found: C, 69.90; H, 5.88; N, 7.33.

(*R*,*S*)-1-Chloro-3-phtalimido-propan-2-ol (4a)



Reaction time: 3 h; Colorless solid; mp 94 ºC (lit.,[9] 92-96 ºC); LC eluent: dichloromethane / petroleum ether 9:1; 86 % yield.

^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 3.01 (bs, 1H, O*H*), 3.70 (dd, *J* = 5.2 Hz, *J* = 11.3 Hz, 2H, ClCH_2), 4.04 (dd, *J* = 14.1 Hz, *J* = 23.3 Hz, 2H, NCH_2), 4.21-4.30 (m, 1H, C*H*OH), 3.98-4.11 (m, 1H, C*H*OH), 7.78 (dd, *J* = 1.9 Hz, *J* = 8.1 Hz, 2H, *H*-Ar), 7.90 (dd, *J* = 1.9 Hz, *J* = 8.2 Hz, 2H, *H*-Ar).

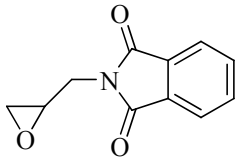
^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 41.6 (CH_2N), 47.3 (CH_2Cl), 69.8 (C*H*OH), 123.6 (C_3, C_6-Ar), 131.9 (C_{2a}, C_{6a}-Ar), 134.4 (C_4, C_5-Ar), 168.7 (N*C*O).

FT-IR (KBr) (ν_{max} /cm^{-1}): 3313, 1772, 1684, 1611, 1350, 1221, 761, 739.

Anal. Calcd. for $C_{11}H_{10}ClNO_3$: C, 55.13; H, 4.21; N, 5.84. Found: C, 55.20; H, 4.26; N, 5.91.

HPLC analysis. (mobile phase: hexane / propan-2-ol, 90 / 10; flow rate: 1.0 mL/min, λ_{max} = 257 nm, $t_{R\ (S)\text{-}4a}$ = 13.106 min, $t_{R\ (R)\text{-}4a}$ = 22.643 min).

(*R*,*S*)-(2,3-Epoxypropyl)phthalimide (5)



Reaction time: 3 h; Colorless solid; mp 99 ºC (lit.,[10] 98-100 ºC); LC eluent: dichloromethane / petroleum ether 9:1; 12 % yield.

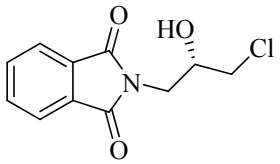
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 2.58 (dd, *J* = 2.6 Hz, *J* = 4.9 Hz, 1H, CH*H*), 2.66 (dd, *J* = 4.1 Hz, *J* = 4.7 Hz, 1H, C*H*H), 3.19-3.25 (m, 1H, C*H*O), 3.78 (dd, *J* = 5.7 Hz, *J* = 4.7 Hz, 1H, CH*H*O), 3.99 (dd, *J* = 5.7 Hz, *J* = 4.7 Hz, 1H, CH*H*O), 7.78 (dd, *J* = 2.0 Hz, *J* = 8.3 Hz, 2H, *H*-Ar), 7.88 (dd, *J* = 2.0 Hz, *J* = 8.3 Hz, 2H, *H*-Ar).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 42.5 (*C*H_2N), 68.8 (*C*H_2O), 69.7 (*C*HO), 122.8 (*C*$_3$, *C*$_6$-Ar), 131.0 (*C*$_{2a}$, *C*$_{6a}$-Ar), 134.2 (*C*$_4$, *C*$_5$-Ar), 166.5 (N*C*O).

FT-IR (KBr) (ν_{max} /cm^{-1}): 1718, 1687, 1615, 1357, 1224, 764, 745.

Anal. Calcd. for $C_{11}H_9NO_3$: C, 65.02; H, 4.46; N, 6.89. Found: C, 65.10; H, 4.46; N, 6.93.

(*S*)-1-Chloro-3-phtalimido-propan-2-ol (4b)[11]



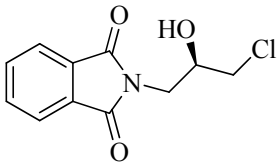
Yield 83 %. Spectroscopic and analytical data are identical to those reported for racemic sample.

$[\alpha]_D^{20}$ = -14.47 (c = 0.48, EtOH).

HPLC analysis. (mobile phase: hexane / propan-2-ol, 90 / 10; flow rate: 1.0 mL/min, λ_{max} = 257 nm, t_R = 13.106 min).

ee = 95 %

(*R*)-1-Chloro-3-phtalimido-propan-2-ol (4c)[11]



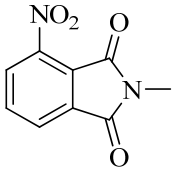
Yield 85%. Spectroscopic and analytical data are identical to those reported for racemic sample.

$[\alpha]_D^{20}$ = +16.25 (c = 0.48, EtOH).

HPLC analysis. (mobile phase: hexane / propan-2-ol, 90 / 10; flow: 1.0 mL/min, λ_{max} = 257 nm, t_R = 22.643 min).

ee = 92%

1-Methyl-3-nitrophthalimide (6a)



Reaction time: 4 h; Yellow solid; mp 113 ºC (lit.,[12] 111-112 ºC); LC eluent: dichloromethane / petroleum ether 7:3; 88 % yield.

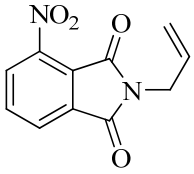
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 3.01 (s, 3H, CH_3), 8.11 (dd, *J* = 7.9 Hz, *J* = 8.3 Hz, 1H, H_5-Ar), 8.26 (dd, *J* = 2.2 Hz, *J* = 8.3 Hz, 1H, H_6-Ar), 8.66 (dd, *J* = 2.2 Hz, *J* = 7.9 Hz, 2H, H_4-Ph).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 25.6 (*C*H_3), 123.2 (C_{2a}), 124.2 (C_4-Ar), 130.1 (C_6-Ar), 133.5, (C_{6a}-Ar), 133.9, (C_5-Ar), 146.3 (C_3-Ph), 169.4 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3061, 2919, 1680, 1647, 1606, 1526, 1469, 1308, 1186, 1113, 833, 757.

Anal. Calcd for $C_9H_6N_2O_4$: C, 52.43; H, 2.93; N, 13.59. Found: C, 52.50; H, 3.01; N, 13.49.

***N*-allyl-3-nitrophthalimide (6b)**



Reaction time: 3.5 h; Yellow solid; mp 133 ºC; LC eluent: dichloromethane / ethyl acetate 7:3; 93 % yield.

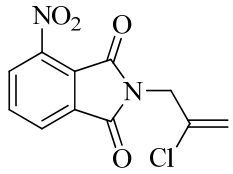
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 5.21 (dq, *J* = 1.5 Hz, *J* = 10.1 Hz, 1H, CH=C*H*H), 5.33 (dq, *J* = 1.5 Hz, *J* = 17.2 Hz, 1H, CH=CH*H*), 5.40 (s, 2H, NCH_2),5.99 (ddt, *J* = 5.3 Hz, *J* = 10.1 Hz, *J* = 17.2 Hz, 1H, C*H*=CH_2), 8.11 (dd, *J* = 7.9 Hz, *J* = 8.3 Hz, 1H, H_5-Ar), 8.26 (dd, *J* = 2.1 Hz, *J* = 8.3 Hz, 1H, H_6-Ar), 8.69 (dd, *J* = 2.1 Hz, *J* = 7.9 Hz, 2H, H_4-Ph).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 44.6 (N*C*H_2), 116.7 (CH=*C*H_2), 123.5 (C_{2a}), 124.6 (C_4-Ar), 130.4 (C_6-Ar), 133.8, (C_{6a}-Ar), 134.7, (C_5-Ar), 135.9 (*C*H=CH_2), 146.3 (C_3-Ph), 171.0 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3059, 2914, 1686, 1641, 1616, 1524, 1461, 1318, 1111, 893, 750.

Anal. Calcd for $C_{11}H_8N_2O_4$: C, 56.90; H, 3.47; N, 12.06. Found: C, 56.84; H, 3.42; N, 12.18.

***N*-(2-chloroallyl)-3-nitrophthalimide (6c)**



Reaction time: 4 h; Yellow solid; mp 148 ºC, LC eluent: dichloromethane / ethyl acetate 9:1; 86 % yield.

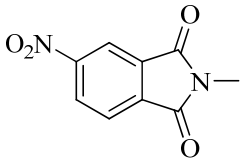
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 4.37 (s, 2H, NCH_2), 5.35 (dd, J = 1.2 Hz, J = 2.7 Hz, 1H, ClC=C*H*H), 5.46 (dd, J = 1.5 Hz, J = 3.1 Hz, 1H, ClC=CH*H*), 8.16 (dd, J = 7.9 Hz, J = 8.3 Hz, 1H, H_5-Ar), 8.34 (dd, J = 2.1 Hz, J = 8.3 Hz, 1H, H_6-Ar), 8.74 (dd, J = 2.1 Hz, J = 7.9 Hz, 2H, H_4-Ph).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 53.2 (N*C*H$_2$), 111.8 (C=*C*H$_2$), 123.7 (C_{2a}), 125.3 (C_4-Ar), 130.9 (C_6-Ar), 134.4, (C_{6a}-Ar), 135.4, (C_5-Ar), 135.2 (*C*=CH$_2$), 146.9 (C_3-Ph), 170.4 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3062, 2912, 1676, 1649, 1619, 1526, 1463, 1120, 896, 754.

Anal. Calcd for $C_{11}H_7ClN_2O_4$: C, 49.55; H, 2.65; N, 10.51. Found: C, 50.01; H, 2.71; N, 10.58.

***N*-methyl-4-nitrophthalimide (7a)**



Reaction time: 5 h; Yellow solid; mp 177 ºC (lit.,[12] 179-180 °C); LC eluent: dichloromethane / ethyl acetate 8:2; 91 % yield.

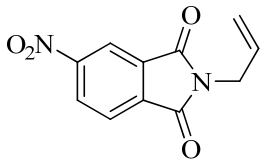
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 3.06 (s, 3H, CH_3), 8.16 (d, J = 8.2 Hz, 1H, H_6-Ar), 8.61 (d, J = 2.0 Hz, 1H, H_3-Ar), 8.76 (dd, J = 2.0 Hz, J = 8.2 Hz, 1H, H_5-Ph).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 25.4 (*C*H$_3$), 122.0 (C_3-Ar), 127.7 (C_5-Ar), 128.5 (C_6-Ar), 132.9 (C_{2a}-Ar), 138.5 (C_{6a}-Ar), 151.0 (C_4-Ar), 169.4 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3058, 2921, 1676, 1650, 1616, 1530, 1458, 1321, 1189, 837.

Anal. Calcd for $C_9H_6N_2O_4$: C, 52.43; H, 2.93; N, 13.59. Found: C, 52.36; H, 2.90; N, 13.66.

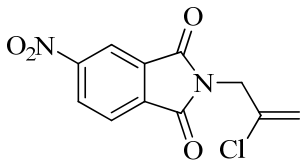
***N*-allyl-4-nitrophthalimide (7b)**



Reaction time: 5 h; Yellow solid; mp 191 ºC; LC eluent: dichloromethane / ethyl acetate 7:3; 95 % yield.

^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 5.22 (dq, *J* = 1.6 Hz, *J* = 10.1 Hz, 1H, CH=C*H*H), 5.36 (dq, *J* = 1.6 Hz, *J* = 17.2 Hz, 1H, CH=CH*H*), 5.40 (s, 2H, NCH_2), 5.99 (ddt, *J* = 5.3 Hz, *J* = 10.1 Hz, *J* = 17.2 Hz, 1H, C*H*=CH_2), 8.13 (d, *J* = 8.1 Hz, 1H, H_6-Ar), 8.55 (d, *J* = 2.1 Hz, 1H, H_3-Ar), 8.72 (dd, *J* = 2.1 Hz, *J* = 8.1 Hz, 1H, H_5-Ph).
^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 44.9 (N*C*H_2), 116.9 (CH=*C*H_2), 122.2 (C_3-Ar), 128.0 (C_5-Ar), 128.4 (C_6-Ar), 132.6 (C_{2a}-Ar), 135.9 (*C*H=CH_2), 138.7 (C_{6a}-Ar), 150.4 (C_4-Ar), 169.9 (N*C*O).
FT-IR (KBr) (ν_{max}/cm^{-1}): 3062, 1676, 1638, 1616, 1519, 1455, 1320, 1113, 897.
Anal. Calcd for $C_{11}H_8N_2O_4$: C, 56.90; H, 3.47; N, 12.06. Found: C, 56.86; H, 3.40; N, 12.12.

***N*-(2-chloroallyl)-4-nitrophthalimide (7c)**



Reaction time: 6 h; Yellow solid; mp 186 ºC; LC eluent: dichloromethane / ethyl acetate 8:2; 87 % yield.

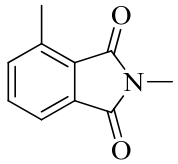
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 4.40 (s, 2H, NCH_2), 5.40 (dd, *J* = 1.1 Hz, *J* = 2.7 Hz, 1H, ClC=C*H*H), 5.46 (dd, *J* = 1.1 Hz, *J* = 2.7 Hz, 1H, ClC=CH*H*), 8.16 (d, *J* = 8.1 Hz, 1H, H_6-Ar), 8.58 (d, *J* = 2.1 Hz, 1H, H_3-Ar), 8.80 (dd, *J* = 2.1 Hz, *J* = 8.1 Hz, 1H, H_5-Ph).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 44.9 (N*C*H_2), 111.9 (C=*C*H_2), 122.6 (*C*$_3$-Ar), 128.5 (*C*$_5$-Ar), 128.9 (*C*$_6$-Ar), 133.1 (*C*$_{2a}$-Ar), 138.9 (*C*=CH_2), 139.3 (*C*$_{6a}$-Ar), 150.4 (*C*$_4$-Ar), 171.4 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3055, 1675, 1617, 1606, 1523, 1459, 1329, 896.

Anal. Calcd for $C_{11}H_7ClN_2O_4$: C, 49.55; H, 2.65; N, 10.51. Found: C, 49.50; H, 2.71; N, 10.61.

1,3-Dimethylphthalimide (8a)



Reaction time: 7 h; Colorless solid; mp 136 ºC; LC eluent: chloroform / ethyl ether 8:2; 83 % yield.

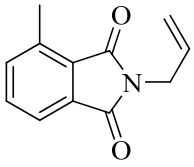
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 2.51 (s, 3H, ArC*H*$_3$), 3.08 (s, 3H, NC*H*$_3$), 7.50-7.67 (m, 3H, *H*-Ar).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 16.9 (Ar*C*H$_3$), 25.9 (*C*H$_3$), 120.7 (*C*$_6$-Ar), 132.1 (*C*$_{6a}$-Ar), 132.4 (*C*$_5$-Ar), 134.5 (*C*$_4$-Ar), 137.6 (*C*$_3$-Ph), 147.5 (*C*$_{2a}$), 168.5 (N*C*O) 169.4 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3055, 2912, 1459, 1318, 1189, 1118.

Anal. Calcd for $C_{10}H_9NO_2$: C, 68.56; H, 5.18; N, 8.00. Found: C, 68.61; H, 5.23; N, 8.07.

***N*-allyl-3-methylphthalimide (8b)**



Reaction time: 5 h; Colorless solid; mp 170 ºC; LC eluent: chloroform / ethyl ether 7:3; 88 % yield.

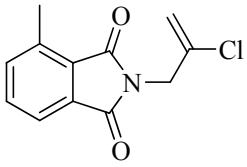
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 2.52 (s, 3H, ArC*H*$_3$), 5.26 (dq, *J* = 1.6 Hz, *J* = 10.1 Hz, 1H, CH=C*H*H), 5.40 (dq, *J* = 1.6 Hz, *J* = 17.0 Hz, 1H, CH=CH*H*), 5.46 (s, 2H, NC*H*$_2$), 5.89 (ddt, *J* = 5.3 Hz, *J* = 10.1 Hz, *J* = 17.0 Hz, 1H, C*H*=CH_2), 7.50-7.67 (m, 3H, *H*-Ar).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 17.5 (Ar*C*H$_3$), 116.9 (CH=*C*H$_2$), 120.4 (*C*$_6$-Ar), 131.9 (*C*$_{6a}$-Ar), 132.3 (*C*$_5$-Ar), 134.9 (*C*$_4$-Ar), 135.9 (*C*H=CH$_2$), 137.8 (*C*$_3$-Ph), 147.7 (*C*$_{2a}$), 169.4 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3062, 1679, 1638, 1618, 1522, 1449, 1327, 897.

Anal. Calcd for $C_{12}H_{11}NO_2$: C, 71.63; H, 5.51; N, 6.96. Found: C, 71.61; H, 5.53; N, 7.07.

***N*-(2-chloroallyl)-3-methylphthalimide (8c)**



Reaction time: 6 h; Colorless solid; mp 192 ºC; LC eluent: dichloromethane / ethyl ether 7:3; 81 % yield.

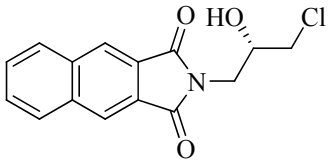
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 4.34 (s, 2H, NC*H*$_2$), 5.36 (dd, *J* = 1.2 Hz, *J* = 2.6 Hz, 1H, ClC=C*H*H), 5.50 (dd, *J* = 1.2 Hz, *J* = 2.6 Hz, 1H, ClC=CH*H*), 7.50-7.67 (m, 3H, *H*-Ar).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 17.5 (Ar*C*H$_3$), 44.7 (N*C*H$_2$), 111.9 (C=*C*H$_2$), 138.9 (*C*=CH$_2$), 120.6 (*C*$_6$-Ar), 131.8 (*C*$_{6a}$-Ar), 132.5 (*C*$_5$-Ar), 134.8 (*C*$_4$-Ar), 137.8 (*C*$_3$-Ph), 147.7 (*C*$_{2a}$), 169.4 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3056, 1677, 1611, 1601, 1459, 899.

Anal. Calcd for $C_{12}H_{10}ClNO_2$: C, 61.16; H, 4.28; N, 5.94. Found: C, 61.21; H, 4.33; N, 6.00.

(*S*)-2-(3-Chloro-2-hydroxypropyl)-1*H*-benzo[*f*]isoindole-1,3(2*H*)-dione (9)



Reaction time: 3 h; Colorless solid; mp 202 ºC; LC eluent: dichloromethane / ethyl acetate 9:1; 92 % yield.

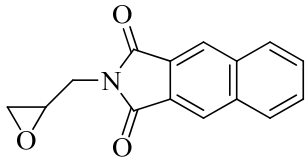
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 3.01 (bs, 1H, O*H*), 3.70 (dd, *J* = 5.2 Hz, *J* = 11.3 Hz, 2H, ClCH_2), 4.04 (dd, *J* = 14.1 Hz, *J* = 23.3 Hz, 2H, NCH_2), 4.21-4.30 (m, 1H, C*H*OH), 3.98-4.11 (m, 1H, C*H*OH), 7.76 (dd, *J* = 3.3 Hz, *J* = 6.2 Hz, Ar-H), 8.11 (dd, *J* = 3.3 Hz, *J* = 6.2 Hz, Ar-H), 8.41 (s, 2H, Ar-H).
^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 42.4 (*C*H_2N), 47.8 (*C*H_2Cl), 70.3 (C*H*OH), 125.6 (*C*-Ar), 127.8 (*C*-Ar), 129.9 (*C*-Ar), 130.8 (*C*-Ar) 135.9 (*C*-Ar), 168.7 (N*C*O).

FT-IR (KBr) (ν_{max} /cm^{-1}): 3502, 1773, 1694, 1615.

Anal. Calcd for $C_{15}H_{12}ClNO_3$: C, 62.19; H, 4.17; N, 4.83. Found: C, 62.21; H, 4.19; N, 4.90.

$[\alpha]_D^{20}$ = +13.45 (c = 0.50, EtOH).
HPLC analysis. (mobile phase: hexane / propan-2-ol, 93 / 7; flux: 1.0 mL/min, λ_{max} = 257 nm, t_R = 12.942 min).
ee = 94%

2-(Oxiran-2-ylmethyl)-1*H*-benzo[*f*]isoindole-1,3(2*H*)-dione (9a)



Reaction time: 2 h; Colorless solid; mp 222 ºC; LC eluent: dichloromethane / ethyl acetate 9:1; 9 % yield.

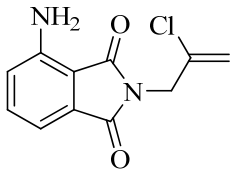
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 2.58 (dd, *J* = 2.6 Hz, *J* = 4.9 Hz, 1H, CH*H*), 2.66 (dd, *J* = 4.1 Hz, *J* = 4.7 Hz, 1H, C*H*H), 3.19-3.25 (m, 1H, C*H*O), 3.78 (dd, *J* = 5.7 Hz, *J* = 4.7 Hz, 1H, CH*H*O), 3.99 (dd, *J* = 5.7 Hz, *J* = 4.7 Hz, 1H, CH*H*O), 7.76 (dd, *J* = 3.3 Hz, *J* = 6.2 Hz, Ar-H), 8.11 (dd, *J* = 3.3 Hz, *J* = 6.2 Hz, Ar-H), 8.41 (s, 2H, Ar-H).

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 42.5 (*C*H_2N), 68.8 (*C*H_2O), 69.7 (*C*HO), 125.6 (*C*-Ar), 127.8 (*C*-Ar), 129.9 (*C*-Ar), 130.8 (*C*-Ar) 135.9 (*C*-Ar), 168.7 (N*C*O).

FT-IR (KBr) (ν_{max} /cm^{-1}): 1719, 1683, 1625, 1357, 1224, 764, 748.

Anal. Calcd. for $C_{15}H_{11}NO_3$: C, 71.14; H, 4.38; N, 5.53. Found: C, 71.20; H, 4.44; N, 5.63.

3-Amino-*N*-(2-chloroallyl)phthalimide (11)



Reaction time: 6 h; Colorless solid; mp 149 ºC; LC eluent: dichloromethane / ethyl ether 7:3; 89 % yield.

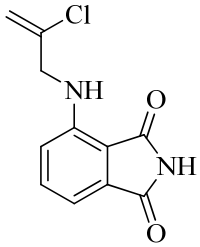
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 4.34 (s, 2H, NCH_2), 5.36 (dd, *J* = 1.2 Hz, *J* = 2.6 Hz, 1H, ClC=C*H*H), 5.50 (dd, *J* = 1.2 Hz, *J* = 2.6 Hz, 1H, ClC=CH*H*), 7.06 (dd, *J* = 2.1 Hz, *J* = 7.9 Hz, 1H, H_4-Ar), 7.23 (dd, *J* = 2.0 Hz, *J* = 7.9 Hz, 1H, H_6-Ar), 7.66 (t, *J* = 8.0 Hz, 1H, H_5-Ar)

^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 44.9 (N*C*H_2), 113.6 (C_6-Ar), 113.9 (C=*C*H_2), 119.2 (C_{2a}Ar), 120.0 (C_4-Ar), 132.4 (C_{6a}-Ar), 137.5 (C_5-Ar), 138.9 (*C*=CH_2), 149.0 (C_3-Ph), 171.1 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3402, 3055, 1687, 1631, 1601, 1504, 1459, 995, 889.

Anal. Calcd for $C_{11}H_9ClN_2O_2$: C, 55.83; H, 3.83; N, 11.84. Found: C, 55.90; H, 3.87; N, 11.90.

4-(2-Chloroallylamino)phthalimide (12)



Reaction time: 6 h; Colorless solid; mp 167 ºC; LC eluent: dichloromethane / ethyl ether 7:3; 72 % yield.

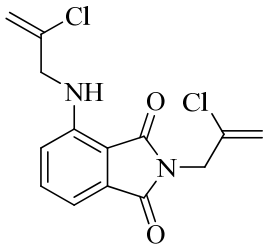
^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 3.96 (dd, *J* = 1.2 Hz, *J* = 1.3 Hz, 2H, NCH_2), 4.13 (bs, 1H, N*H*), 5.35 (dd, *J* = 1.2 Hz, *J* = 2.7 Hz, 1H, ClC=C*H*H), 5.46 (dd, *J* = 1.5

Hz, *J* = 3.1 Hz, 1H, ClC=CH*H*),7.09 (dd, *J* = 2.1 Hz, *J* = 7.9 Hz, 1H, H_4-Ar), 7.21 (dd, *J* = 2.0 Hz, *J* = 7.9 Hz, 1H, H_6-Ar), 7.61 (t, *J* = 8.0 Hz, 1H, H_5-Ar), 9.88 (bs, CON*H*).
^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 49.4 (N*C*H_2), 113.9 (C_6-Ar), 114.1 (C=*C*H_2), 119.8 (C_{2a}Ar), 120.6 (C_4-Ar), 132.7 (C_{6a}-Ar), 137.4 (C_5-Ar), 139.9 (*C*=CH_2), 148.7 (C_3-Ph), 171.0 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3332, 3056, 1685, 1634, 1611, 1506, 1460, 999, 884.

Anal. Calcd for $C_{11}H_9ClN_2O_2$: C, 55.83; H, 3.83; N, 11.84. Found: C, 55.86; H, 3.89; N, 11.93.

2-(2-Chloroallyl)-4-(2-chloroallylamino)phthalimide (13)



Reaction time: 6 h; Colorless solid; mp 205 ºC; LC eluent: dichloromethane / ethyl ether 7:3; 7 % yield.

^{1}H NMR (250 MHz, $CDCl_3$) δ (ppm): 3.86 (dd, *J* = 1.2 Hz, *J* = 1.3 Hz, 2H, HNCH_2), 4.32 (s, 2H, CONCH_2), 5.36 (dd, *J* = 1.2 Hz, *J* = 2.7 Hz, 2H, ClC=C*H*H), 5.48 (dd, *J* = 1.5 Hz, *J* = 3.1 Hz, 2H, ClC=CH*H*), 7.11 (dd, *J* = 2.1 Hz, *J* = 7.9 Hz, 1H, H_4-Ar), 7.31 (dd, *J* = 2.0 Hz, *J* = 7.9 Hz, 1H, H_6-Ar), 7.70 (t, *J* = 8.0 Hz, 1H, H_5-Ar).
^{13}C NMR (62.5 MHz, $CDCl_3$) δ (ppm): 49.9 (CON*C*H_2), 57.2 NH*C*H_2), 114.3 (C_6-Ar), 114.9 (C=*C*H_2), 119.8 (C_{2a}Ar), 121.8 (C_4-Ar), 133.7 (C_{6a}-Ar), 135.8 (C_5-Ar), 140.2 (*C*=CH_2), 148.6 (C_3-Ph), 171.6 (N*C*O).

FT-IR (KBr) (ν_{max}/cm^{-1}): 3064, 1687, 1634, 1619, 1509, 1465, 994, 894.

Anal. Calcd for $C_{14}H_{12}Cl_2N_2O_2$: C, 54.04; H, 3.89; N, 9.00. Found: C, 55.10; H, 3.96; N, 8.91.

References.

1. V. Pace, F. Martinez, M. Fernandez, J. V. Sinisterra and A. R. Alcántara, *Org. Lett.*, 2007, **9**, 2661.
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