Synthesis of cyclic sulfonamides *via* intramolecular copper-catalyzed reaction of unsaturated iminoiodinanes.

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Supporting information : Experimental Section

General. Melting points were measured on a Büchi B-540 and are uncorrected. ^{1}H and ^{13}C NMR chemical shifts are given as δ values with reference to Me_4Si as internal standard. Thin-layer chromatography was performed on Merck silica gel 60 plates with a fluorescent indicator. The plates were visualized with UV light (254 nm) and with a 3.5% solution of phosphomolybdic acid in ethanol. All column chromatography was conducted on Merck 60 silica gel (230-240 mesh) at medium pressure (200 mbar). All solvents were distilled and stored over 4 Å molecular sieves before use. Elemental analyses were performed at the ICSN, CNRS, Gif-sur-Yvette, France.

Typical procedure for the synthesis of alkene-1-sulfonamides.

Hex-5-ene-1-sulfonamide 9d : A solution of 6-bromohex-1-ene (**8d**, 2.67 mL, 20.0 mmol, 1.00 eq.) and sodium sulphite (3.00 g, 24.0 mmol, 1.20 eq.) in water (14 mL) was refluxed overnight. After cooling to rt, the aqueous solution was washed with diethyl ether (10 mL) before being evaporated to dryness. The resulting white solid was dried under vacuum at 130°C and then treated with phosphorous oxychloride (20 mL) for 4h at 130°C. After evaporation, the residue was taken up in acetonitrile (25 mL) and a solution of aqueous ammonia (50 mL) in acetonitrile (20 mL) was slowly added at 0°C. The reaction was stirred at 0°C for 1h before being diluted with dichloromethane (150 mL) and washed with water (100 mL). The organic phase was dried with Na_2SO_4, evaporated to dryness and finally purified by flash column chromatography on silica gel (heptane-ethyl acetate 1:1) to give hex-5-ene-1-sulfonamide **9d** as a white solid (2.28 g, 14.0 mmol, 70%). mp 52-53°C ; ^{1}H NMR (250

MHz, $CDCl_3$) δ 1.54 (pseudo quint., 2H, J = 7.6 Hz), 1.86 (m, 2H), 2.10 (pseudo q, 2H, J = 7.1 Hz), 3.13 (m, 2H), 4.97-5.08 (m, 4H), 5.79 (ddt, 1H, J = 6.7, 10.2 and 17.0 Hz) ; ^{13}C NMR (62.5 MHz, $CDCl_3$) δ 23.4, 27.4, 33.2, 55.2, 115.5, 137.8 ; mass spectrum (CI) *m/z* 164 $(M+H)^+$.

Pent-4-ene-1-sulfonamide 9c : Starting from 1.54 mL (13.0 mmol) of 5-bromopent-1-ene, 0.820 g (5.50 mmol, 42%) of sulfonamide was isolated as a slightly colored oil. ^1H NMR (250 MHz, $CDCl_3$) δ 1.93 (quint., 2H, J = 7.5 Hz), 2.19 (q, 2H, J = 7.0 Hz), 3.11 (pseudo t, 2H, J = 7.9 Hz), 5.02-5.10 (m, 2H), 5.19 (broad s, 2H), 5.76 (ddt, 1H, J = 6.6, 10.2 and 17.0 Hz) ; ^{13}C NMR (62.5 MHz, $CDCl_3$) δ 23.0, 32.0, 54.5, 116.4, 136.6 ; mass spectrum (CI) *m/z* 150 $(M+H)^+$.

But-3-ene-1-sulfonamide 9b : Starting from 2.25 mL (22.0 mmol) of 4-bromobut-1-ene, 1.25 g (9.25 mmol, 42%) of sulfonamide was isolated as a white solid. mp 45-46°C ; ^1H NMR (250 MHz, $CDCl_3$) δ 2.58 (pseudo q, 2H, J = 7.5 Hz), 3.23 (pseudo t, 2H, J = 7.8 Hz), 5.08-5.20 (m, 2H), 5.42 (broad s, 2H), 5.84 (ddt, 1H, J = 6.6, 10.2 and 17.0 Hz) ; ^{13}C NMR (62.5 MHz, $CDCl_3$) δ 27.9, 54.0, 117.1, 134.2 ; mass spectrum (CI) *m/z* 136 $(M+H)^+$.

***N-tert*-Butyl-2-vinylbenzenesulfonamide** : To a solution of *N-tert*-butylbenzenesulfonamide (**10**, 2.13 g, 10.0 mmol) in THF (25 mL) held at -65°C under argon was added dropwise a 1.6 M solution of *n*-BuLi in hexane (13.75 mL, 22.0 mmol, 2.2 eq.). After 3h of stirring from -60 to -20°C, the yellow mixture was placed at 0°C and DMF (1.08 mL, 14.0 mmol, 1.4 eq.) was added. The colorless solution was stirred 5 min at 0°C. The ice bath was then removed. Methyltriphenylphosphonium bromide (5.00 g, 14.0 mmol, 1.4 eq.) and, 15 min later, potassium *tert*-butoxide (1.57 g, 14.0 mmol, 1.4 eq.) were added at rt. The yellow mixture was vigorously stirred for 2h before being diluted with ethyl acetate (100 mL) and washed with water (100 mL). The organic phase was dried with Na_2SO_4 and evaporated to dryness. Column chromatography on silica gel (heptane-ethyl acetate 4:1) afforded the title compound as a white solid (1.89 g, 7.9 mmol, 79%). mp 131-132.5°C ; ^1H NMR (200 MHz, $CDCl_3$) δ 1.15 (s, 9H), 4.63 (broad s, 1H), 5.49 (dd, 1H, J = 1.1 and 11.0 Hz), 5.70 (dd, 1H, J = 1.1 and 17.2 Hz), 7.34-7.59 (m, 4H), 8.03 (d, 1H, J = 7.5 Hz) ; ^{13}C NMR (75 MHz,

$CDCl_3$) δ 29.9, 54.7, 118.8, 127.7, 128.1, 128.6, 132.5, 134.3, 136.9, 140.1 ; mass spectrum (CI) *m/z* 240 $(M+H)^+$.

2-Vinylbenzenesulfonamide 11 : A solution of *N-tert*-butyl-2-vinylbenzenesulfonamide (1.19 g, 4.97 mmol) prepared as described above, in anisole (16 mL, 14.7 mmol, 30 eq.) and neat trifluoroacetic acid (55 mL) was stirred for 24h at 0°C under argon. After dilution with ethyl acetate (100 mL), the solution was neutralized at 0°C with an aqueous solution of sodium carbonate. The organic phase was dried over Na_2SO_4 and evaporated to dryness. Column chromatography on silica gel (heptane-ethyl acetate 6:4) allowed isolation of the free sulfonamide as a white solid (0.850 g, 4.64 mmol, 94%). mp 108.5-109.5°C ; ^1H NMR (250 MHz, $CDCl_3$) δ 4.89 (broad s, 2H), 5.54 (dd, 1H, *J* = 1.0 and 11.0 Hz), 5.75 (dd, 1H, *J* = 1.0 and 17.3 Hz), 7.40 (pseudo dt, 1H, *J* = 1.9 and 8.0 Hz), 7.54 (dd, 1H, *J* = 11.0 and 17.4 Hz), 7.60 (m, 2H), 8.01 (dd, 1H, *J* = 0.8 and 8.0 Hz) ; ^{13}C NMR (75 MHz, $CDCl_3$) δ 120.0, 127.7, 127.9, 128.4, 133.0, 133.7, 136.9, 139.2 ; mass spectrum (CI) *m/z* 184 $(M+H)^+$; Anal. Calcd for $C_8H_9NO_2S$: C, 52.44 ; H, 4.95 ; N, 7.64 ; S, 17.50. Found : C, 52.45 ; H, 4.95 ; N, 7.57 ; S, 17.41.

2-Allylbenzenesulfonamide 12 : Starting from 2.13 g (10.0 mmol) of *N-tert*-butylbenzenesulfonamide and following the same procedure as for **11** [ortho-metallation (electrophile : allyl bromide, -60°C) + acidic cleavage], 0.552 g (2.80 mmol, 28%) of the title compound was isolated as a white solid. mp 123.5-124.5°C ; ^1H NMR (250 MHz, $CDCl_3$) δ 3.88 (d, 2H, *J* = 6.3 Hz), 4.98 (broad s, 2H), 5.07-5.20 (m, 2H), 6.05 (ddt, 1H, *J* = 6.3, 10.2 and 17.0 Hz), 7.32-7.39 (m, 2H), 7.53 (dt, 1H, *J* = 1.4 and 7.5 Hz), 8.02 (dd, 1H, *J* = 1.1 and 8.0 Hz) ; ^{13}C NMR (75 MHz, $CDCl_3$) δ 37.1, 117.3, 126.9, 128.4, 132.1, 133.0, 136.8, 138.3, 140.0 ; mass spectrum (CI) *m/z* 198 $(M+H)^+$; Anal. Calcd for $C_9H_{11}NO_2S$: C, 54.80 ; H, 5.62 ; N, 7.10 ; S, 16.25. Found : C, 54.85 ; H, 5.58 ; N, 6.96 ; S, 16.38.

2-(2-Methylpropenyl)benzenesulfonamide 13 : Starting from 2.13 g (10.0 mmol) of *N-tert*-butylbenzenesulfonamide and following the same procedure as for **11** (electrophile in the orthometallation step : methallyl bromide, -50°C), 0.280 g (1.33 mmol, 13%) of the title compound was isolated as a white solid. mp 83.5-85°C ; ^1H NMR (250 MHz, $CDCl_3$) δ 1.73

(s, 3H), 1.98 (s, 1H), 4.90 (broad s, 2H), 6.72 (s, 1H), 7.29 (d, 1H, J = 7.7 Hz), 7.33 (t, 1H, J = 7.5 Hz), 7.52 (t, 1H, J = 7.4 Hz), 7.97 (d, 1H, J = 7.7 Hz) ; ^{13}C NMR (75 MHz, $CDCl_3$) δ 19.5, 26.1, 121.9, 126.6, 127.1, 131.9, 132.2, 136.7, 139.5, 140.1 ; mass spectrum (CI) *m/z* 212 $(M+H)^+$; Anal. Calcd for $C_{10}H_{13}NO_2S$: C, 56.85 ; H, 6.20 ; N, 6.63 ; S, 15.17. Found : C, 57.02 ; H, 6.11 ; N, 6.26 ; S, 14.78.

Typical aziridination procedure (unless otherwise noted) : To an homogeneous solution of sulfonamide (1 eq.) and potassium hydroxide (2.5 eq.) in dry methanol (c = 0.3-0.4 M) held at 0°C under argon was added iodobenzene diacetate (1 eq.). The reaction was stirred at 0-5°C for 3h. Dry dichloromethane (10 mL) was then added at 0°C and the mixture was quickly washed with ice water (10 mL). The organic phase was dried over Na_2SO_4 and evaporated to leave the intermediate iminoiodinane as an amorphous yellow solid. To the iminoiodinane maintained under argon was successively added at rt activated 4Å molecular sieves, acetonitrile (c = 0.1 M) and CuOTf (10 mol%). After 16h of stirring at rt, the molecular sieves were removed by filtration on silica gel and the dark yellow solution was evaporated. The residue was then purified directly by flash chromatography on silica gel.

2-Thia-1-azabicyclo[3.1.0]hexane 2,2-dioxide (15). Starting from 0.150 g (1.10 mmol.) of but-3-ene-1-sulfonamide **9b**, 0.056 g (0.42 mmol., 38%) of aziridine was isolated as a white solid after flash chromatography on silica gel (heptane-ethyl acetate 1:3). mp 76-78°C ; ^{1}H NMR (250 MHz, $CDCl_3$) δ 2.31 (dd, 1H, J = 2.8 and 4.3 Hz), 2.47 (dd, 1H, J = 2.8 and 5.3 Hz), 2.61-2.69 (m, 2H), 2.83 (ddd, 1H, J = 8.3, 12.0 and 13.0 Hz), 3.08-3.23 (m, 2H) ; ^{13}C NMR (50 MHz, $CDCl_3$) δ 22.9, 29.8, 39.3, 40.5 ; mass spectrum (ES) *m/z* 134 $(M+H)^+$; mass spectrum (HRES) calcd for $C_4H_8NO_2S$ $(MH)^+$ m/z 134.02760, found 134.02760.

2-Thia-1-azabicyclo[4.1.0]heptane 2,2-dioxide (16). Starting from 0.230 g (1.54 mmol.) of pent-4-ene-1-sulfonamide **9c**, 0.138 g (0.94 mmol., 61%) of aziridine was isolated as a white solid after flash chromatography on silica gel (heptane-ethyl acetate 1:4). mp 69-70.5°C ; ^{1}H NMR (250 MHz, $CDCl_3$) δ 1.88-1.98 (m, 1H), 2.09 (q, 1H, J = 5.1 Hz), 2.12-2.23 (m, 2H), 2.57 (d, 1H, J = 5.1 Hz), 2.67 (dd, 1H, J = 1.0 and 5.1 Hz), 3.02 (ddd, 1H, J = 4.1, 11.2

and 14.1 Hz), 3.17-3.28 (m, 2H) ; ^{13}C NMR (62.5 MHz, DMSO-d_6) δ 16.9, 18.7, 32.2, 42.4, 46.8 ; mass spectrum (ES) *m/z* 148 $(M+H)^+$; mass spectrum (HRES) calcd for $C_5H_{10}NO_2S$ $(MH)^+$ m/z 148.04324, found 148.04414.

3-Vinyl-[1,2]thiazinane 1,1-dioxide (17). Starting from 0.326 g (2.00 mmol.) of hex-5-ene-1-sulfonamide **9d**, 0.165 g (1.02 mmol., 51%) of δ-sultam was isolated as thin colorless needles after flash chromatography on silica gel (heptane-ethyl acetate 1:1). mp 96.5-98.5°C ; ^1H NMR (250 MHz, $CDCl_3$) δ 1.30-1.47 (m, 1H), 1.91 (qd, 1H, *J* = 3.1 and 14.0 Hz), 2.21-2.31 (m, 2H), 2.85-2.97 (m, 1H), 3.21 (dt, 1H, *J* = 3.6 and 13.4 Hz), 4.08 (m, 1H), 4.19 (broad d, 1H, *J* = 8.0 Hz), 5.21 (d, 1H, *J* = 10.5 Hz), 5.28 (d, 1H, *J* = 17.7 Hz), 5.82 (ddd, 1H, *J* = 5.1, 10.5 and 17.2 Hz) ; ^{13}C NMR (62.5 MHz, $CDCl_3$) δ 22.9, 29.6, 49.1, 58.0, 116.3, 136.5 ; mass spectrum (CI) *m/z* 162 $(M+H)^+$; mass spectrum (HRCI) calcd for $C_6H_{12}NO_2S$ $(MH)^+$ m/z 162.05895, found 162.05985.

1a,2-Dihydro-1*H*-7-thia-7a-azacyclopropa[b]naphthalene 7,7-dioxide (19). Starting from 0.221 g (1.12 mmol.) of 2-allylbenzenesulfonamide **12**, intramolecular aziridination at 0°C to rt afforded 0.131 g (0.670 mmol., 60%) of aziridine as a colorless oil after flash chromatography on silica gel (heptane-ethyl acetate 6:4). ^1H NMR (250 MHz, $CDCl_3$) δ 1.93 (dd, 1H, *J* = 1.8 and 4.1 Hz), 2.48 (dd, 1H, *J* = 1.3 and 4.7 Hz), 3.21-3.29 (m, 2H), 3.59 (dd, 1H, *J* = 3.9 and 16.8 Hz), 7.29 (d, 1H, *J* = 7.4 Hz), 7.50 (pseudo t, 1H, *J* = 7.5 Hz), 7.60 (dt, 1H, *J* = 1.4 and 7.5 Hz), 7.85 (dd, 1H, *J* = 1.2 and 7.5 Hz) ; ^{13}C NMR (50 MHz, $CDCl_3$) δ 25.7, 29.9, 49.1, 36.8, 126.1, 129.0, 129.3, 133.9 ; mass spectrum (CI) *m/z* 196 $(M+H)^+$; mass spectrum (HRCI) calcd for $C_9H_{10}NO_2S$ $(MH)^+$ m/z 196.04227, found 196.04317.

1,1-Dimethyl-1,1a-dihydro-6-thia-6a-azacyclopropa[a]indene 6,6-dioxide (20). Starting from 0.106 g (0.500 mmol.) of 2-(2-methylpropenyl)benzenesulfonamide **13**, intramolecular aziridination at 0°C to rt afforded 0.084 g (0.400 mmol., 80%) of aziridine as a white solid after flash chromatography on silica gel (heptane-ethyl acetate 3:1). mp 131.5-132.5°C ; ^1H NMR (250 MHz, $CDCl_3$) δ 1.10 (s, 3H), 1.57 (s, 3H), 4.12 (s, 1H), 7.53 (d, 1H, *J* = 7.7 Hz), 7.59 (dt, 1H, *J* = 1.2 and 7.5 Hz), 7.64 (dt, 1H, *J* = 1,2 and 7.5 Hz), 7.68 (d, 1H, *J* = 7.7 Hz) ; ^{13}C NMR (75 MHz, $CDCl_3$) δ 14.5, 27.0, 54.9, 57.6, 122.1, 126.0, 130.2,

133.2, 134.4, 137.7 ; mass spectrum (CI) *m/z* 210 $(M+H)^+$; Anal. Calcd for $C_{10}H_{11}NO_2S$: C, 57.40 ; H, 5.30 ; N, 6.69 ; S, 15.32. Found : C, 57.46 ; H, 5.52 ; N, 6.62 ; S, 15.18.

1,1a-Dihydro-6-thia-6a-azacyclopropa[a]indene 6,6-dioxide (18). A solution of 2-vinylbenzenesulfonamide (**11**, 0.203 g, 1.10 mmol), sodium hydroxide (0.045 g, 1.0 eq.) and *tert*-butylhypochlorite (126 µL, 1.0 eq.) in water (1.2 mL) was stirred at rt for 1h. After evaporation of water in vacuo at rt, the white solid was washed with diethyl ether, decanted and dried under vacuum. The white solid was then suspended in acetonitrile (18 mL) and phenyltrimethylammonium tribromide (0.045 g, 10 mol%) was added. The mixture was stirred for 24h at rt. After evaporation, flash chromatography of the residue on silica gel (heptane-ethyl acetate 7:3) afforded 0.140 g (0.770 mmol., 70%) of aziridine as a slightly colored solid. mp 79-80°C ; ^{1}H NMR (250 MHz, $CDCl_3$) δ 2.35 (dd, 1H, J = 1.1 and 3.8 Hz), 2.88 (dd, 1H, J = 1.1 and 4.9 Hz), 4.16 (pseudo t, 1H, J = 4.4 Hz), 7.56-7.65 (m, 3H), 7.69 (d, 1H, J = 7.1 Hz) ; ^{13}C NMR (62.5 MHz, $CDCl_3$) δ 43.0, 44.2, 123.5, 125.6, 130.4, 133.4, 137.0 ; mass spectrum (CI) *m/z* 182 $(M+H)^+$; Anal. Calcd for $C_8H_7NO_2S$: C, 53.03 ; H, 3.89 ; N, 7.73 ; S, 17.69. Found : C, 53.06 ; H, 3.94 ; N, 7.52 ; S, 17.57.

Ring-opening of the aziridines

4-Methoxy-[1,2]thiazinane 1,1-dioxide (21). A solution of the aziridine **15** (0.068 g, 0.51 mmol.) in methanol (3 mL) was stirred at rt under argon for 60h in the presence of boron trifluoride etherate (63 µL, 0.51 mmol., 1.0 eq.). At the end of the reaction period, the solvent was evaporated under vacuum and the crude product was purified by column chromatography on silica gel (ethyl acetate-heptane 3:1), providing the title compound **21** (0.055 g, 0.33 mmol., 65%) as an oil. ^{1}H NMR (300 MHz, $CDCl_3$) δ 2.27-2.43 (m, 2H), 3.06 (dt, 1H, J = 4.0 and 13.4 Hz), 3.23-3.38 (m, 2H), 3.39 (s, 3H), 3.42-3.60 (m, 2H), 4.56 (broad s, 1H) ; ^{13}C NMR (75 MHz, $CDCl_3$) δ 27.1, 45.1, 47.9, 56.2, 69.8 ; mass spectrum (CI) *m/z* 166 $(M+H)^+$; mass spectrum (HRCI) calcd for $C_5H_{12}NO_3S$ $(MH)^+$ m/z 166.05385, found 166.05445.

4-Phenylthio-[1,2]thiazinane 1,1-dioxide (22). A solution of the aziridine **15** (0.127 g, 0.95 mmol.) in chloroform (4 mL) was stirred at rt under argon for 18h in the presence of

boron trifluoride etherate (120 µL, 0.95 mmol., 1.0 eq.) and thiophenol (300 µL, 2.85 mmol., 3.0 eq.). At the end of the reaction period, the solvent was evaporated under vacuum and the crude product was purified by column chromatography on silica gel (ethyl acetate-heptane 1:3), providing the title compound **22** (0.143 g, 0.59 mmol., 62%) as a white solid. mp 129-131°C ; ^{1}H NMR (250 MHz, $CDCl_3$) δ 2.32 (m, 1H), 2.56 (m, 1H), 3.10 (ddd, 1H, J = 4.0, 7.2 and 13.7 Hz), 3.29-3.40 (m, 3H), 3.64 (m, 1H), 4.76 (pseudo t, 1H, J = 7.0 Hz), 7.33 (m, 3H), 7.44 (m, 2H) ; ^{13}C NMR (62.5 MHz, $CDCl_3$) δ 28.9, 42.1, 47.2, 48.7, 128.4, 132.0, 133.2 ; mass spectrum (CI) *m/z* 244 $(M+H)^+$; mass spectrum (HRCI) calcd for $C_{10}H_{14}NO_2S_2$ $(MH)^+$ m/z 244.04668, found 244.04848.

4-Methoxy-[1,2]thiazepane 1,1-dioxide (23). A solution of the aziridine **16** (0.066 g, 0.45 mmol.) in methanol (2 mL) and chloroform (2 mL) was stirred at rt under argon for 60h in the presence of boron trifluoride etherate (55 µL, 0.45 mmol., 1.0 eq.). At the end of the reaction period, the solvents were evaporated under vacuum and the crude product was purified by column chromatography on silica gel (ethyl acetate-heptane 3:1), providing the title compound **23** (0.075 g, 0.42 mmol., 92%) as an oil. ^{1}H NMR (300 MHz, $CDCl_3$) δ 1.85 (m, 2H), 2.06 (m, 2H), 3.27-3.44 (m, 7H), 3.55 (m, 1H), 5.12 (broad s, 1H) ; ^{13}C NMR (75 MHz, $CDCl_3$) δ 17.8, 31.6, 44.4, 56.4, 56.6, 78.3 ; mass spectrum (CI) *m/z* 180 $(M+H)^+$; mass spectrum (HRCI) calcd for $C_6H_{14}NO_3S$ $(MH)^+$ m/z 180.06944, found 180.06934.

3-But-3-enyl-2,3-dihydrobenzo[d]isothiazole 1,1-dioxide (24). To a solution of the aziridine **18** (0.057 g, 0.315 mmol.) in diethyl ether (2.5 mL) and THF (0.5 mL) held at 0°C under argon was added dropwise a 1.0M solution of allylmagnesium bromide in diethyl ether (1.25 mL, 1.25 mmol., 4.0 eq.). The mixture was stirred at rt for 30 min before being hydrolyzed with a saturated aqueous solution of ammonium chloride and extracted with ethyl acetate. The organic phase was dried over Na_2SO_4 and the solvents were evaporated under vacuum. The crude product was purified by flash chromatography on silica gel (heptane-ethyl acetate 4:1), providing the title compound **24** (0.042 g, 0.188 mmol., 60%) as an oil contaminated with traces of the regioisomeric aziridine ring-opened product. ^{1}H NMR (300 MHz, $CDCl_3$) δ 1.87 (ddt, 1H, J = 5.7, 8.6 and 14.0 Hz), 2.07 (ddt, 1H, J = 3.5, 7.8 and 14.0

Hz), 2.22-2.31 (m, 2H), 4.72 (pseudo quint., 1H, J = 4.4 Hz), 4.99 (d, 1H, J = 4.3 Hz), 5.03-5.15 (m, 2H), 5.83 (ddt, 1H, J = 6.7, 10.2 and 17.0 Hz), 7.39 (d, 1H, J = 7.7 Hz), 7.52 (t, 1H, J = 7.4 Hz), 7.63 (dt, 1H, J = 1.2 and 7.4 Hz), 7.77 (d, 1H, J = 7.3 Hz) ; ^{13}C NMR (75 MHz, $CDCl_3$) δ 30.1, 35.0, 57.3, 116.3, 121.4, 124.1, 129.3, 133.1, 135.6, 136.9, 140.4 ; mass spectrum (CI) *m/z* 224 $(M+H)^+$.

Benzyl-(1,1-dioxo-1,2,3,4-tetrahydro-1λ^6-benzo[*e*][1,2]thiazin-3-ylmethyl)-amine (25). A solution of the aziridine **19** (0.078 g, 0.40 mmol.) and benzylamine (130 µL, 1.20 mmol., 3.0 eq.) in THF (1 mL) was heated at 50°C for 30h in the presence of triethylamine (11 µL, 0.08 mmol., 0.2 eq.). At the end of the reaction period, the solvent was evaporated under vacuum and the crude product was purified by column chromatography on silica gel (ethyl acetate-heptane 4:1), providing the title compound **25** (0.075 g, 0.248 mmol., 62%) as a yellow foam. ^{1}H NMR (250 MHz, $CDCl_3$) δ 2.74-2.91 (2 ABX systems, 4H), 3.20-3.60 (broad s, 1H), 3.78 (AB system, 2H, J = 13.3 Hz), 3.95 (m, 1H), 7.17 (d, 1H, J = 7.4 Hz), 7.24-7.41 (m, 7H), 7.76 (dd, 1H, J = 1.2 and 7.6 Hz) ; ^{13}C NMR (75 MHz, $CDCl_3$) δ 31.7, 52.4, 52.9, 53.7, 123.7, 127.2, 127.5, 128.1, 128.5, 129.6, 132.0, 135.0, 137.8, 139.6 ; mass spectrum (CI) *m/z* 303 $(M+H)^+$.