Stereoselective Synthesis of *trans*-β-lactams by Palladium-Catalysed Carbonylation of Vinyl Aziridines

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Supporting Information

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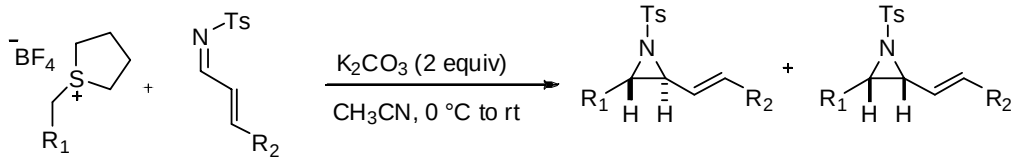
General Experimental

Air and moisture sensitive manipulations were performed under argon using standard Schlenk techniques. NMR spectra were recorded in $CDCl_3$ on a Jeol Lambda 300 (^1H: 301 MHz, ^{13}C: 76 MHz,), a Jeol Delta 400 (^1H: 400 MHz, ^{13}C: 101 MHz) or a Varian 400 (^1H: 400 MHz, ^{13}C: 101 MHz) Fourier transform spectrometer. All chemical shifts are in ppm and referred to tetramethylsilane. Mass spectra were recorded by the University of Bristol, School of Chemistry departmental mass spectrometry service. Infrared spectra were recorded using Perkin Elmer Spectrum 100 FT-IR spectrometer with an ATR diamond cell, irradiating between 4000 cm^{-1} and 600 cm^{-1}. Analytical TLC was performed on aluminium backed plates pre-coated (0.25 mm) with silica gel (Merck, Silica Gel 60 F_{254}). Compounds were visualised by exposure to UV light or by dipping in a $KMnO_4$ solution followed by heating. Flash column chromatography was performed on silica gel (Merck Kieselgel 60). Optical rotations were obtained using a Perkin-Elmer 241MC polarimeter. Melting points were determined with a Kofler hot stage apparatus and are uncorrected. Chiral HPLC separations were performed on an Agilent 1100 series HPLC unit equipped with UV-VIS Diode-Array detector using a Daicel Chiralcel® OD or IB column (length 25 cm, diameter 0.46 cm).

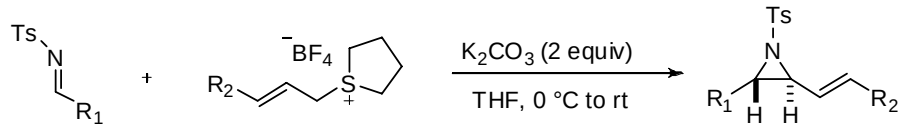
General procedure for the synthesis of aziridines 3a-i

Method A:



Imine[1] (0.5 mmol, 1.0 equiv) was added to a solution of the sulfonium salt[2] (0.5 mmol, 1.0 equiv) in anhydrous acetonitrile (4.2 mL, 0.12 M) and the solution cooled to 0 C. K_2CO_3 (1.0 mmol, 2.0 equiv, 138 mg) was added and the reaction stirred for 10 hours at room temperature before reducing the acetonitrile *in vacuo* and adding dichloromethane (5 mL). The resultant suspension was washed with saturated aqueous $NaHSO_3$ (5 mL), 1 M aqueous NaOH (5 mL) and brine (5 mL). The organic phase was dried ($MgSO_4$) and the solvent reduced *in vacuo*. The *trans*-aziridine was obtained by recrystallisation (PE/EtOAc unless otherwise stated) If further purification was needed flash chromatography was carried out using neutral alumina.

Method B:



Imine[1] (0.5 mmol, 1.0 equiv) was added to a solution of the sulfonium salt[2] (0.5 mmol, 1.0 equiv) in anhydrous THF (4.2 mL, 0.12 M) and the solution cooled to 0 C. K_2CO_3 (1.0 mmol, 2.0 equiv, 138 mg) was added and the reaction stirred for 10 hours at room temperature before reducing the THF *in vacuo* and adding dichloromethane (5 mL). The resultant suspension was washed with saturated aqueous $NaHSO_3$ (5 mL), 1 M aqueous NaOH (5 mL) and brine (5 mL). The organic phase was dried ($MgSO_4$) and the solvent reduced *in vacuo*. The *trans*-aziridine was obtained by recrystallisation (PE/EtOAc unless otherwise stated) If further purification was needed flash chromatography was carried out using neutral alumina.

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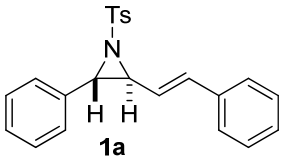
[1] Prepared according to the literature. See: Raghavan, S.; Rajender, A. *Tetrahedron* **2004**, *60*, 5059-5067.

[2] Prepared according to the literature. See: Aggarwal, V. K.; Fang, G. Y.; Schmidt, A. T. *J. Am. Chem. Soc.* **2005**, *127*, 1642-1643.

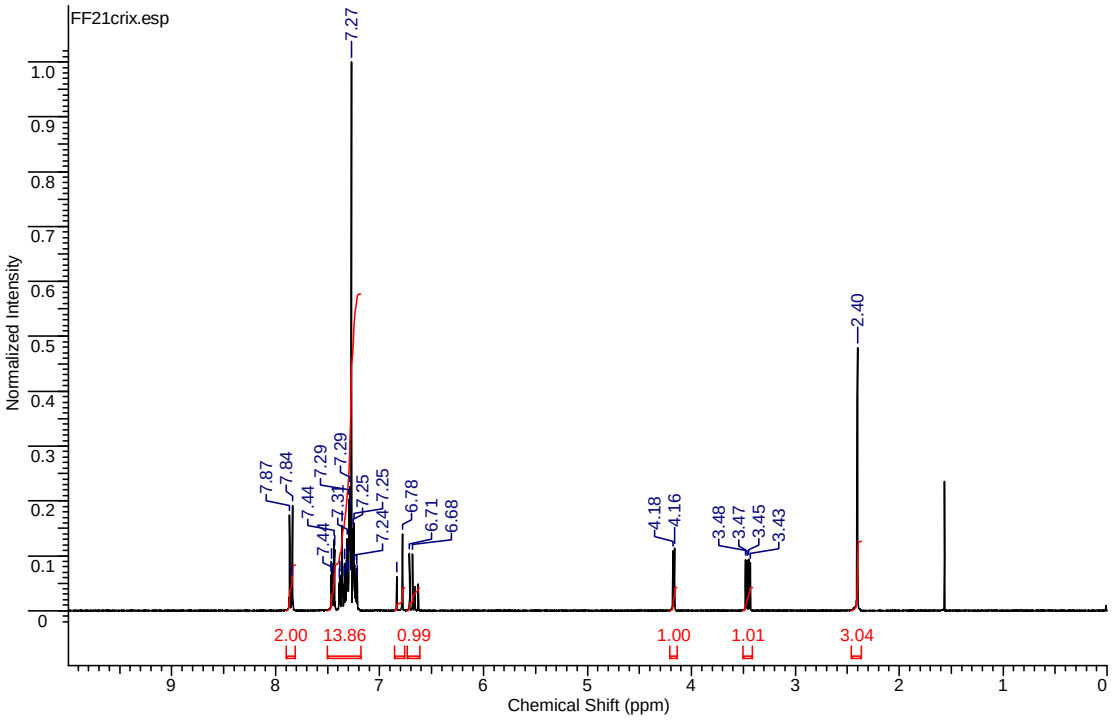
trans-(_E_)-2-Phenyl-3-styryl-1-tosylaziridine,1a[3]



1a

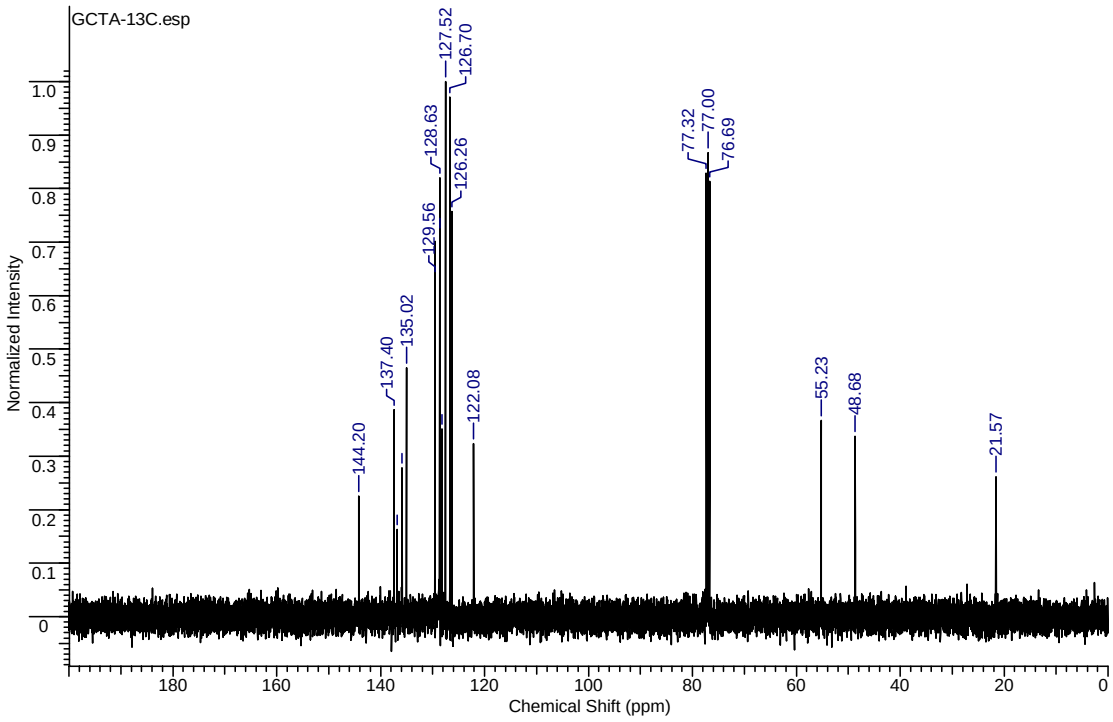
According to method A, imine (0.5 mmol, 143 mg), sulfonium salt (0.5 mmol, 133 mg), K_2CO_3 (1.0 mmol, 138 mg). Yield 92%, d.r.: 7:1 (*trans/cis*). *trans*-Aziridine obtained by recrystallisation (PE/EtOAc): 111 mg, yield 59%, colourless prisms, m.p.: 154-155 °C (PE/EtOAc). R_f = 0.35 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ2.40 (s, 3H, 4-C*H*$_3$-Ph (Ts)), 3.46 (dd, *J*=9.4, 4.2 Hz, 1 H, H-3), 4.17 (d, *J*=4.2 Hz, 1 H, H-2), 6.67 (dd, *J*=15.8, 9.4 Hz, 1 H, C*H*=CH-Ph), 6.81 (d, *J*=15.8 Hz, 1 H, CH=C*H*-Ph), 7.18-7.51 (m, 12 H, Ar), 7.85 (d, *J*=8.3 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ21.7 (4-*C*H$_3$-Ph), 48.8 (C-2), 55.4 (C-3), 122.3 (CH=*C*H-Ph), 126.4-129.7 136.1 137.0 (Ar), 137.6 (*C*H=CH-Ph), 144.4 (Ar). IR (neat, cm^{-1}): 3010, 1711, 1600, 1497, 1328. HRMS (ESI) calcd for $C_{23}H_{21}NO_2S$ 375.1293 $[M]^+$, found 375.1278 $[M]^+$.

^{1}H NMR (400 MHz, $CDCl_3$)

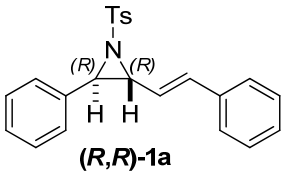


[3] a) Li, A.-H.; Dai, L.-X.; Hou, X.-L.; Chen, M.-B. *J. Org. Chem.* **1996**, *61*, 4641-4648; b) Gui, Y.; Ma, L.; Du, D.-M.; Xu, J. *Chirality* **2006**, *18*, 575-580; c) Shen, S.; Wang, H.-Y.; Li, Z.-Y.; Huang, Z.-Z. *Chem. Lett.* **2007**, *36*, 1436-1437.

^{13}C NMR (101 MHz, $CDCl_3$)



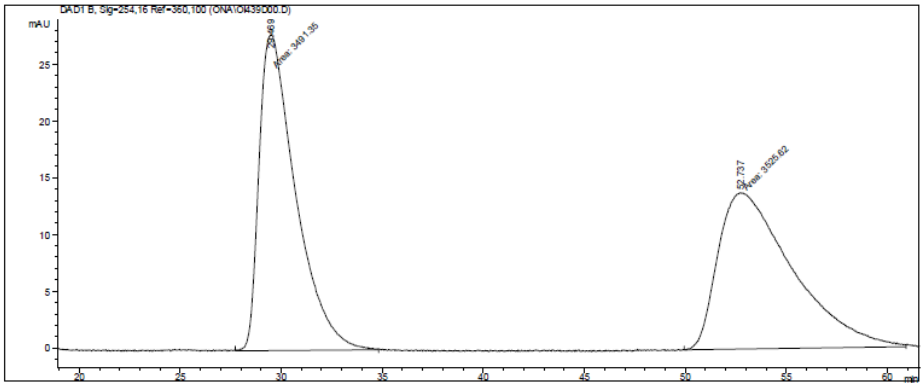
(2*R*,3*R*)-(*E*)-2-Phenyl-3-styryl-1-tosylaziridine, (*R*,*R*) 1a



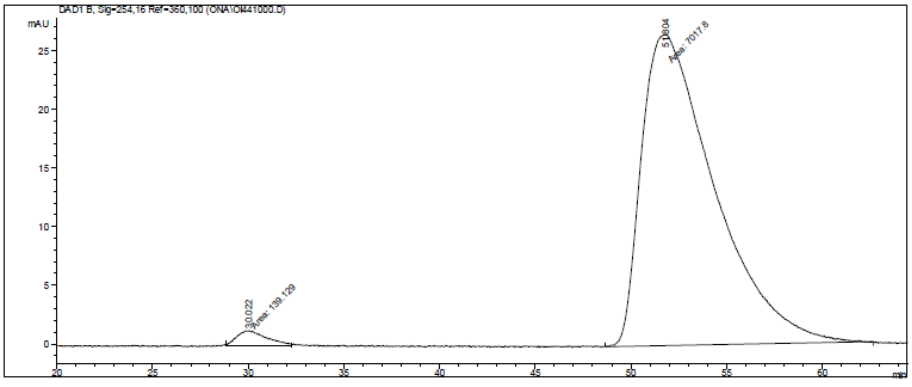
(*R*,*R*)-1a

(2*R*,3*R*) enantioenriched aziridine **1a** was synthesised according to the known procedure.[4] $[\alpha]_D^{20}$ +8.9 (*c* = 0.90, $CHCl_3$); HPLC conditions: OD column, 2% *i*PrOH/Hexane, 1.5 ml/min. *Rt*: 29.6 min ((2*S*,3*S*), minor), 52.77 min ((2*R*,3*R*), major). E.r. = >98 : 2.

Chiral HPLC- Chromatogram of the racemic mixture

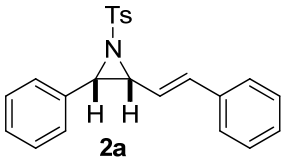


Chiral HPLC- Chromatogram of the enantioenriched mixture



[4] Aggarwal, V. K.; Alonso, E.; Fang, G. Y.; Ferrara, M.; Hynd, G.; Porcelloni, M. *Angew. Chem. Int. Ed. Engl.*, **2001**, *40*, 1433-1436

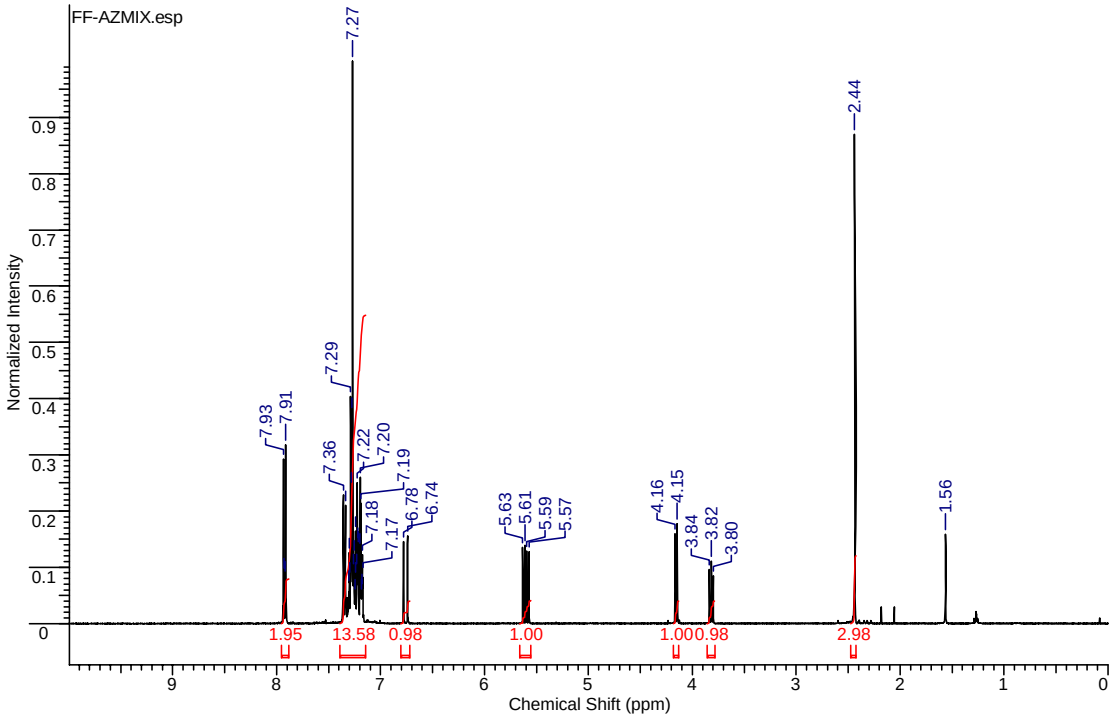
cis-(*E*)-2-Phenyl-3-styryl-1-tosylaziridine, 2a[1]



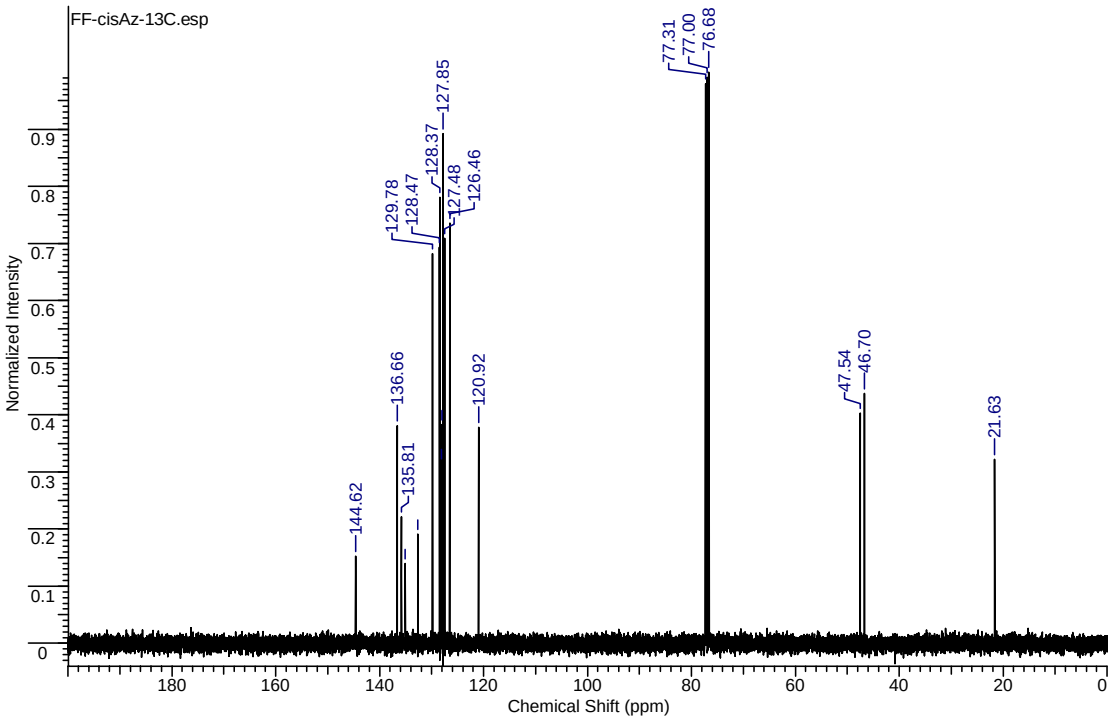
2a

Obtained by recrystallisation (PE/EtOAc) of the mother liquor (derived from recrystallisation of **1a**). R_f = 0.32 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.44 (s, 3H, 4-C*H*$_3$-Ph (Ts)), 3.82 (dd, *J*=8.2, 7.4 Hz, 1 H, H-3), 4.16 (d, *J*=7.2 Hz, 1 H, H-2), 5.60 (dd, *J*=15.9, 8.6 Hz, 1 H, C*H*=CH-Ph), 6.76 (d, *J*=15.9 Hz, 1 H, CH=C*H*-Ph), 7.15-7.39 (m, 12 H, Ar), 7.92 (d, *J*=8.3 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ 21.6 (4-*C*H$_3$-Ph), 46.7 (C-2), 47.5 (C-3), 120.9 (CH=*C*H-Ph), 126.5-129.8 132.6 135.1 135.8 (Ar), 136.6 (*C*H=CH-Ph), 144.6 (Ar).

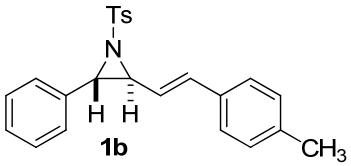
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



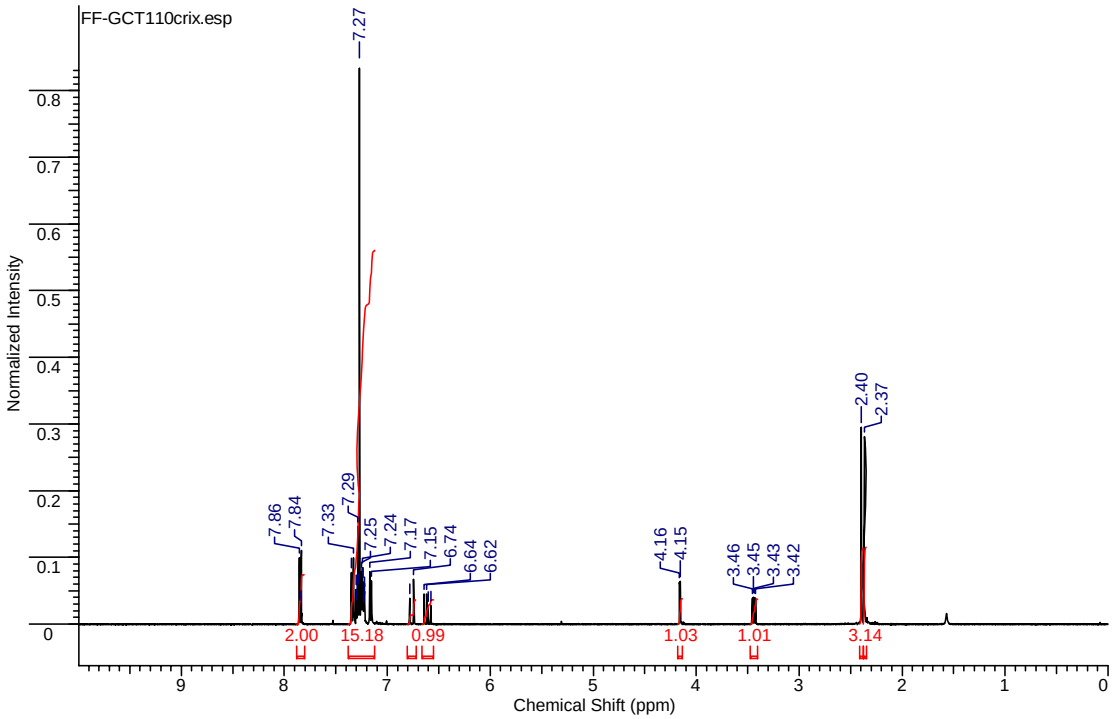
trans-(_E_)-2-(4-Methylstyryl)-3-phenyl-1-tosylaziridine, 1b



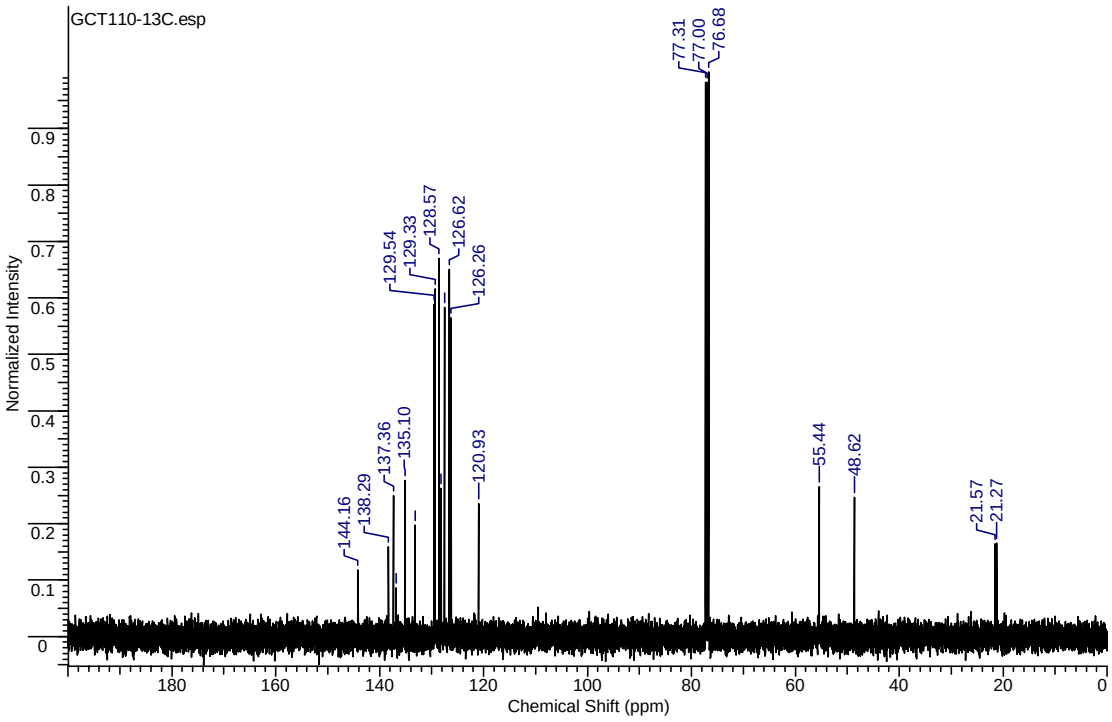
1b

According to method B, imine (0.5 mmol, 130 mg), sulfonium salt (0.5 mmol, 153 mg), K_2CO_3 (1.0 mmol, 138 mg). *trans*-Aziridine obtained by recrystallisation (PE/EtOAc): 72 mg, yield 37%, colourless prisms, m.p.: 163-164 °C (PE/EtOAc). R_f = 0.35 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.37 (s, 3H, 4-C*H*$_3$-Ph), 2.40 (s, 3H, 4-C*H*$_3$-Ph), 3.44 (dd, *J*=9.5, 4.0 Hz, 1 H, H-3), 4.16 (d, *J*=4.2 Hz, 1 H, H-2), 6.61 (dd, *J*=15.8, 9.5 Hz, 1 H, C*H*=CH-4-CH_3-Ph), 6.76 (d, *J*=15.8 Hz, 1 H, CH=C*H*-4-CH_3-Ph), 7.13-7.37 (m, 11 H, Ar), 7.85 (d, *J*=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ 21.3 (4-*C*H_3-Ph), 21.6 (4-*C*H_3-Ph), 48.6 (C-2), 55.4 (C-3), 120.9 (CH=*C*H-4-CH_3-Ph), 126.3-129.5 133.1 135.1 136.8 137.3 138.3 144.4 (Ar and *C*H=CH-4-CH_3-Ph). IR (neat, cm^{-1}): 1595, 1512, 1300, 1152, 1084, 967. HRMS (ESI) calcd for $C_{24}H_{24}NO_2S$ 390.15223 $[M+H]^+$, found 390.15324 $[M+H]^+$.

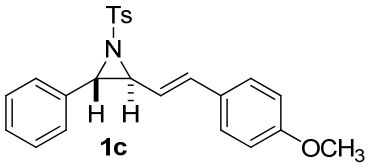
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)

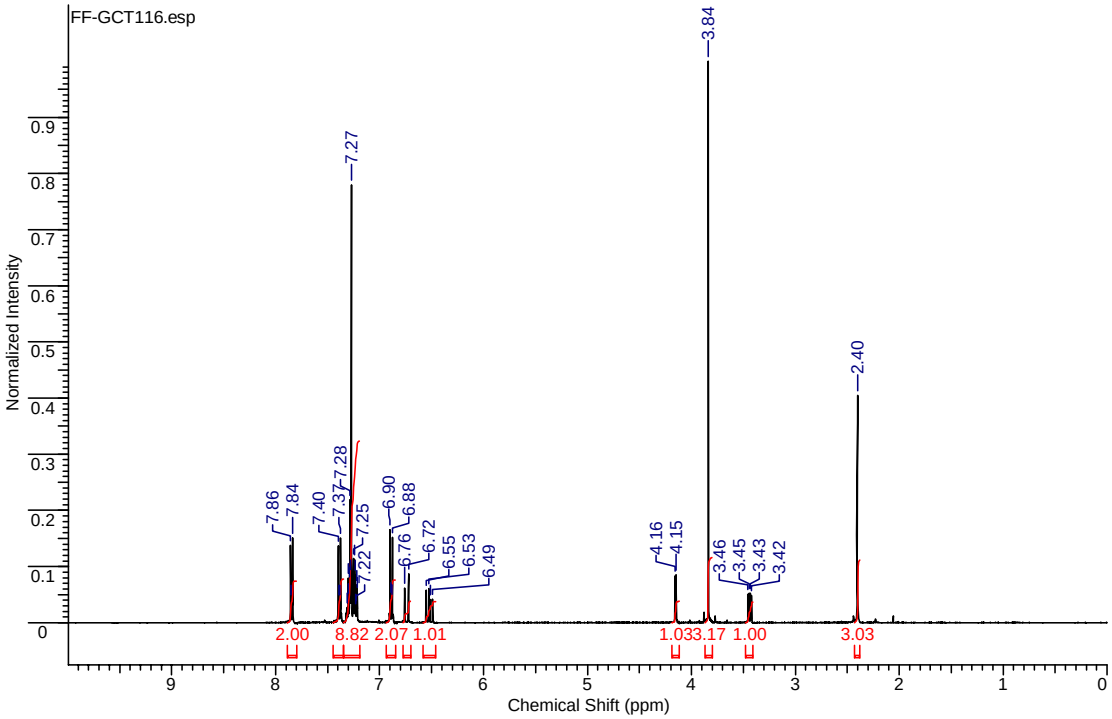


trans-(_E_)-2-(4-Methoxystyryl)-3-phenyl-1-tosylaziridine, 1c

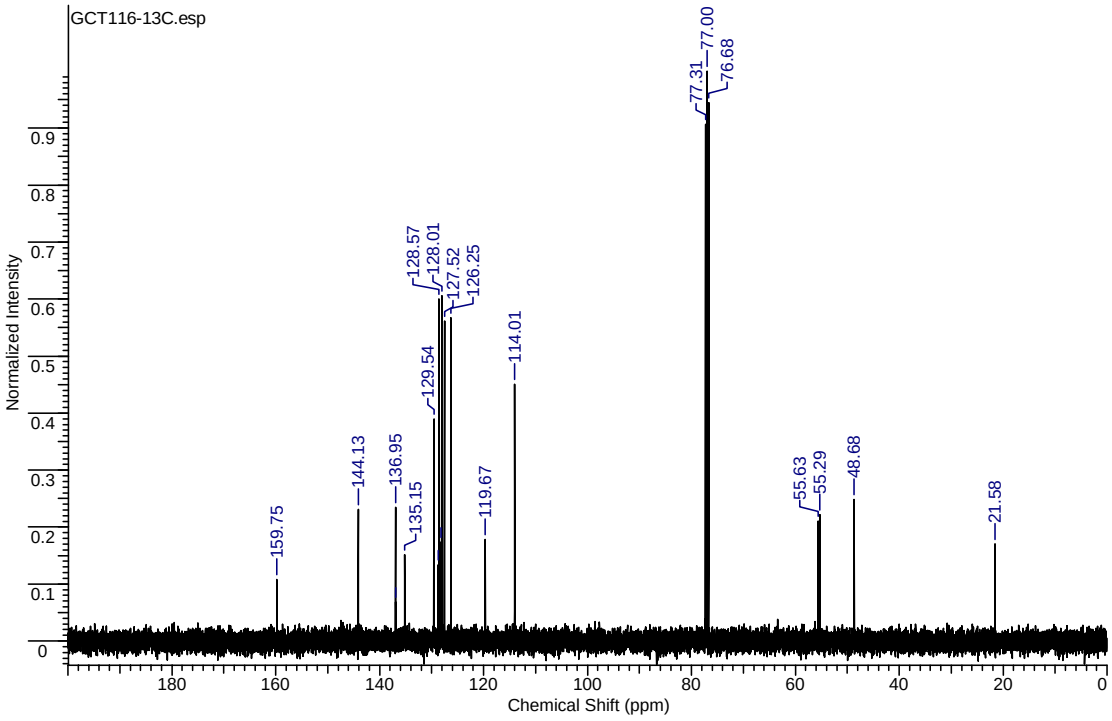


According to method A, imine (0.5 mmol, 157 mg), sulfonium salt (0.5 mmol, 133 mg), K_2CO_3 (1.0 mmol, 138 mg). Yield 97%, d.r.: 3:1 (*trans/cis*). *trans*-Aziridine obtained by recrystallisation (PE/EtOAc): 91 mg, yield 45%, colourless prisms, m.p.: 145-146 °C (PE/EtOAc). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.40 (s, 3H, 4-C*H*$_3$-Ph (Ts)), 3.44 (dd, *J*=9.7, 4.2 Hz, 1 H, H-3), 3.84 (s, 3 H, 4-OC*H*$_3$-Ph), 4.15 (d, *J*=4.2 Hz, 1 H, H-2), 6.52 (dd, *J*=15.8, 9.7 Hz, 1 H, C*H*=CH-4-OCH$_3$-Ph), 6.74 (d, *J*=15.8 Hz, 1 H, CH=C*H*-4-OCH$_3$-Ph), 6.89 (d, *J*=8.8 Hz, 2 H, Ar), 7.20-7.33 (m, 7 H, Ar), 7.39 (d, *J*=8.8 Hz, 2 H, Ar), 7.85 (d, *J*=8.3 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ 21.6 (4-*C*H$_3$-Ph), 48.7 (C-2), 55.2 55.6 (C-3 and O*C*H$_3$), 114.0 119.7 126.3-129.5 135.1 136.9 137.0 144.1 159.7 (Ar, CH=*C*H-4-OCH$_3$-Ph and *C*H=CH-4-OCH$_3$). IR (neat, cm^{-1}): 2921, 1607, 1511, 1245, 1155, 1031. HRMS (ESI) calcd for $C_{24}H_{24}NO_3S$ 406.14714 $[M+H]^+$, found 406.14759 $[M+H]^+$.

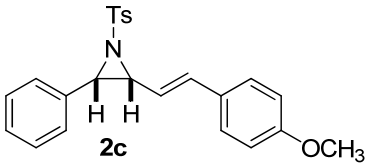
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



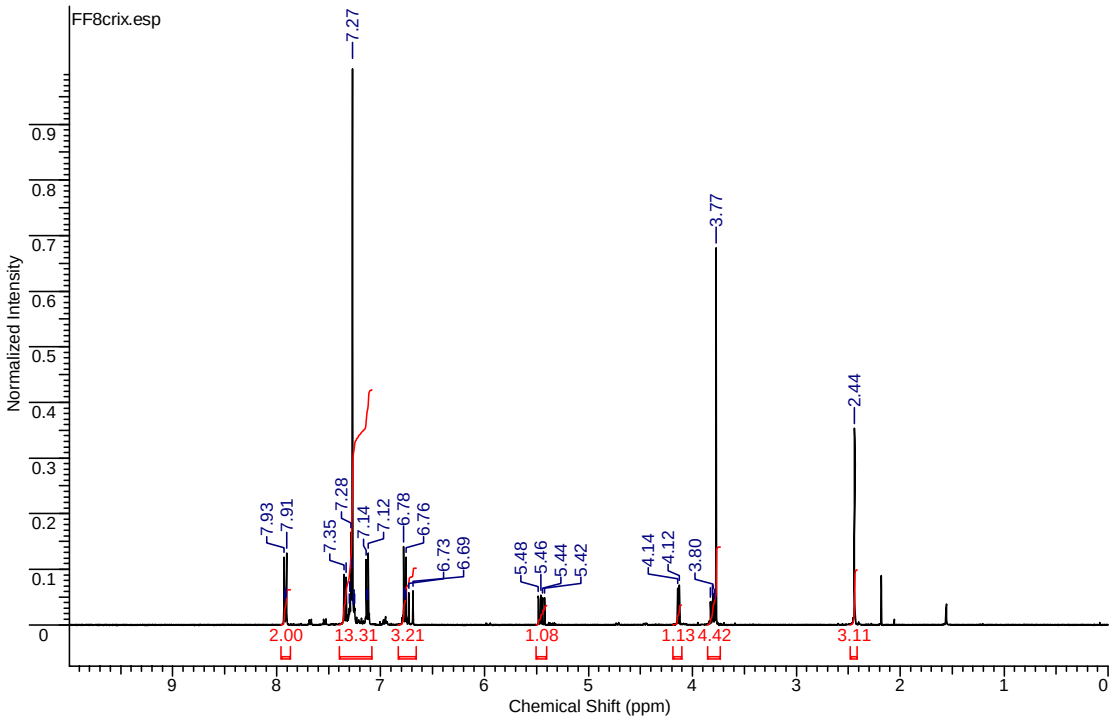
***cis*-(*E*)-2-(4-Methoxystyryl)-3-phenyl-1-tosylaziridine, 2c**



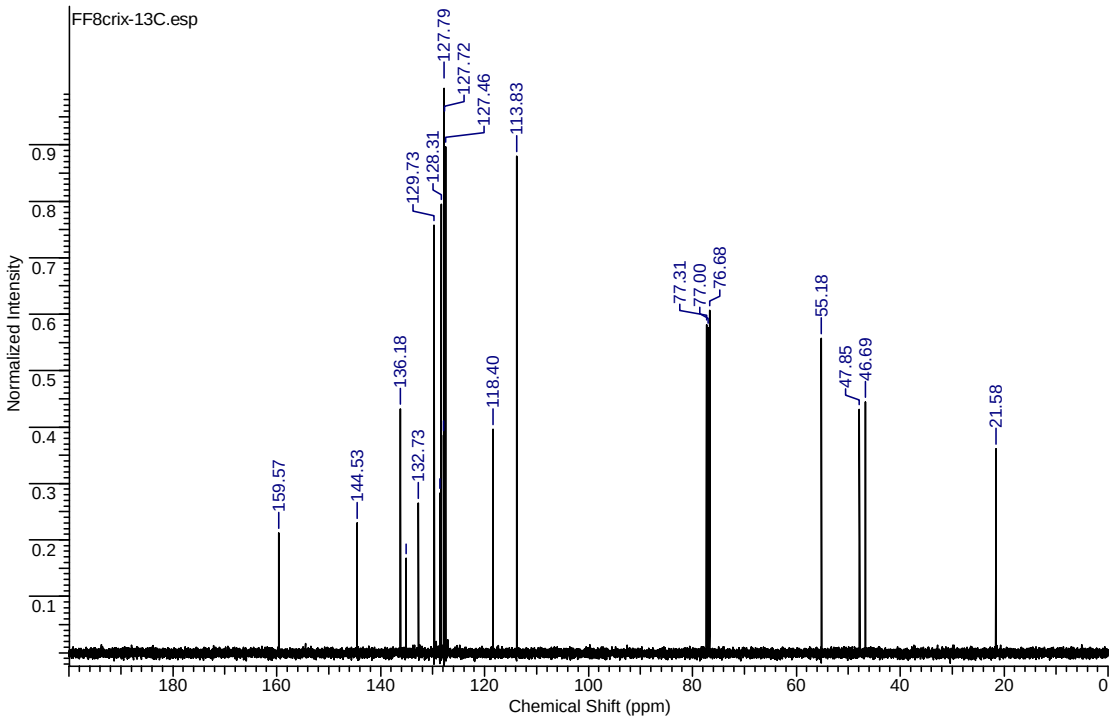
2c

Obtained by recrystallisation of the mother liquor (derived from recrystallisation of **1c**). Pale yellow prisms m.p.: 134-136 °C (PE/EtOAc). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.44 (s, 3H, 4-C*H*$_3$-Ph (Ts)), 3.77 (s, 3 H, 4-OC*H*$_3$-Ph), 3.81 (dd, *J*=8.6, 7.3 Hz, 1 H, H-3), 4.13 (d, *J*=7.2 Hz, 1 H, H-2), 5.45 (dd, *J*=15.8, 8.5 Hz, 1 H, C*H*=CH-4-OCH$_3$-Ph), 6.71 (d, *J*=15.8 Hz, 1 H, CH=C*H*-4-OCH$_3$-Ph), 6.77 (d, *J*=8.8 Hz, 2 H, Ar), 7.13 (d, *J*=8.8 Hz, 2 H, Ar), 7.24-7.37 (m, 7 H, Ar), 7.39 (d, *J*=8.8 Hz, 2 H, Ar), 7.92 (d, *J*=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ 21.6 (4-*C*H$_3$-Ph), 46.7 (C-3), 47.8 (C-2), 55.2 (O*C*H$_3$), 113.8 118.4 127.5-129.7 132.7 135.1 136.2 144.5 159.7 (Ar, CH=*C*H-4-OCH$_3$-Ph and *C*H=CH-4-OCH$_3$). IR (neat, cm^{-1}): 2931, 1608, 1513, 13375, 1151, 965. HRMS (CI) calcd for $C_{24}H_{24}NO_3S$ 406.1477 $[M+H]^+$, found 406.1474 $[M+H]^+$.

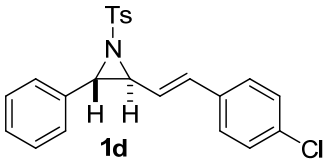
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



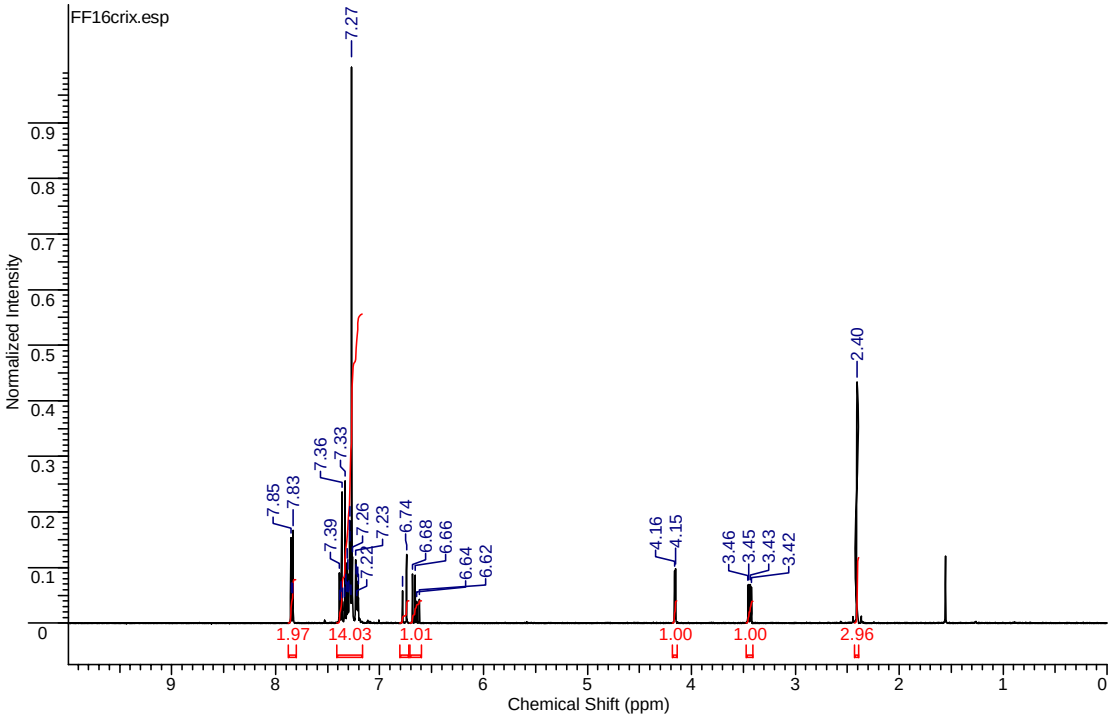
trans-(_E_)-2-(4-Chlorostyryl)-3-phenyl-1-tosylaziridine, 1d



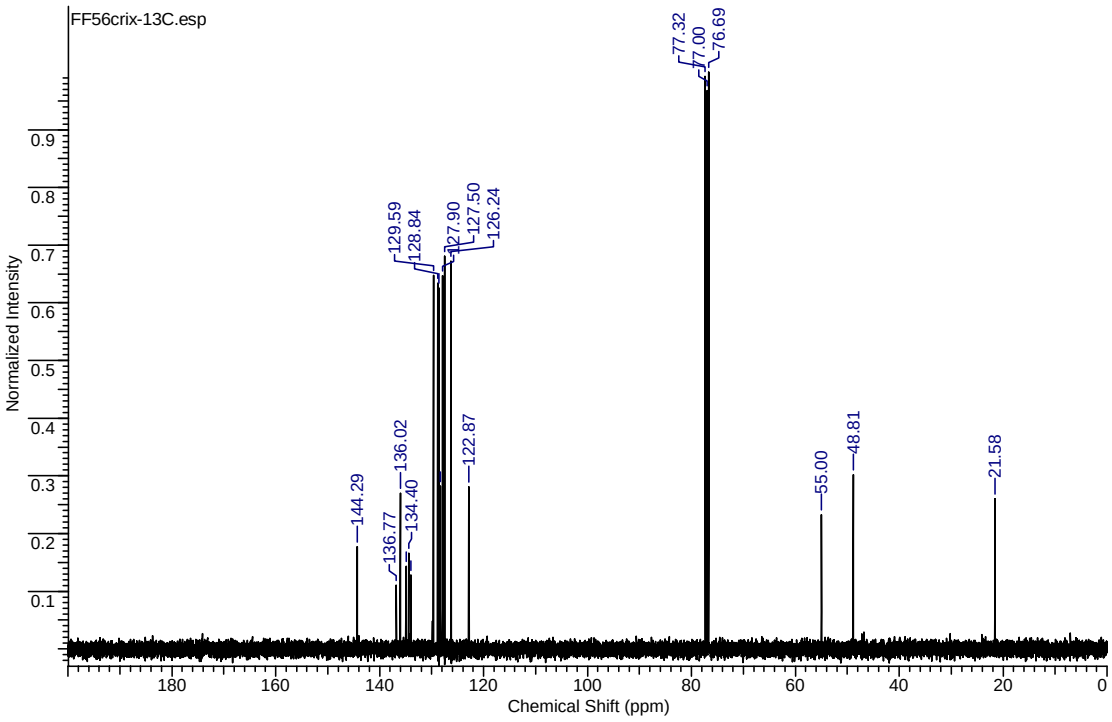
1d

According to method A, imine (0.5 mmol, 160 mg), sulfonium salt (0.5 mmol, 133 mg), K_2CO_3 (1.0 mmol, 138 mg). Yield 87%, d.r.: 7:1 (*trans/cis*). *trans*-Aziridine obtained by recrystallisation (PE/EtOAc): 72 mg, yield 35%, colourless prisms, m.p.: 136-138 °C (PE/EtOAc). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.40 (s, 3H, 4-C*H*$_3$-Ph (Ts)), 3.44 (dd, *J*=9.4, 4.0 Hz, 1 H, H-3), 4.16 (d, *J*=4.2 Hz, 1 H, H-2), 6.65 (dd, *J*=15.8, 9.4 Hz, 1 H, C*H*=CH-4-Cl-Ph), 6.76 (d, *J*=15.8 Hz, 1 H, CH=C*H*-4-Cl-Ph), 7.17-7.41 (m, 11 H, Ar), 7.84 (d, *J*=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ 21.6 (4-*C*H$_3$-Ph), 48.8 (C-2), 55.0 (C-3), 122.9 (CH=*C*H-4-Cl-Ph), 126.2-129.6 134.0 134.4 134.9 136.0 136.8 144.3 (Ar and *C*H=CH-4-Cl-Ph). IR (neat, cm^{-1}): 1595, 1491, 1314, 1158, 1088, 898. HRMS (CI) calcd for $C_{23}H_{21}ClNO_2S$ 410.0982 $[M+H]^+$, found 410.0978 $[M+H]^+$.

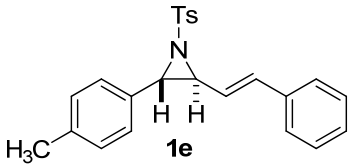
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



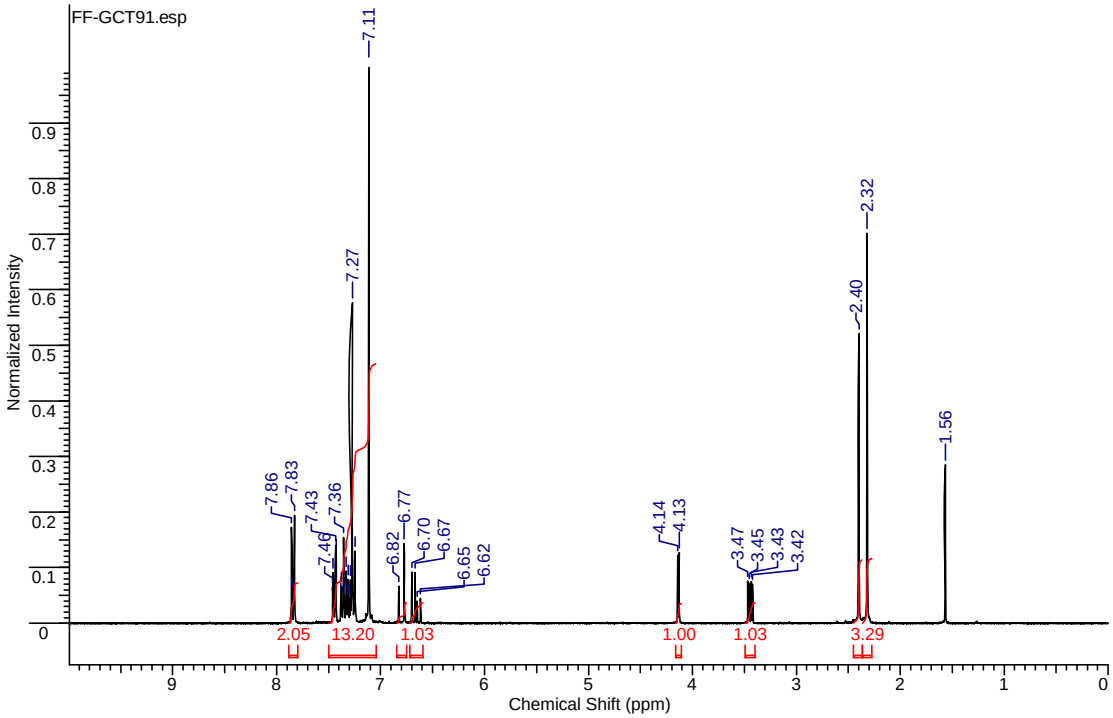
trans-(E)-2-Styryl-3-p-tolyl-1-tosylaziridine, 1e



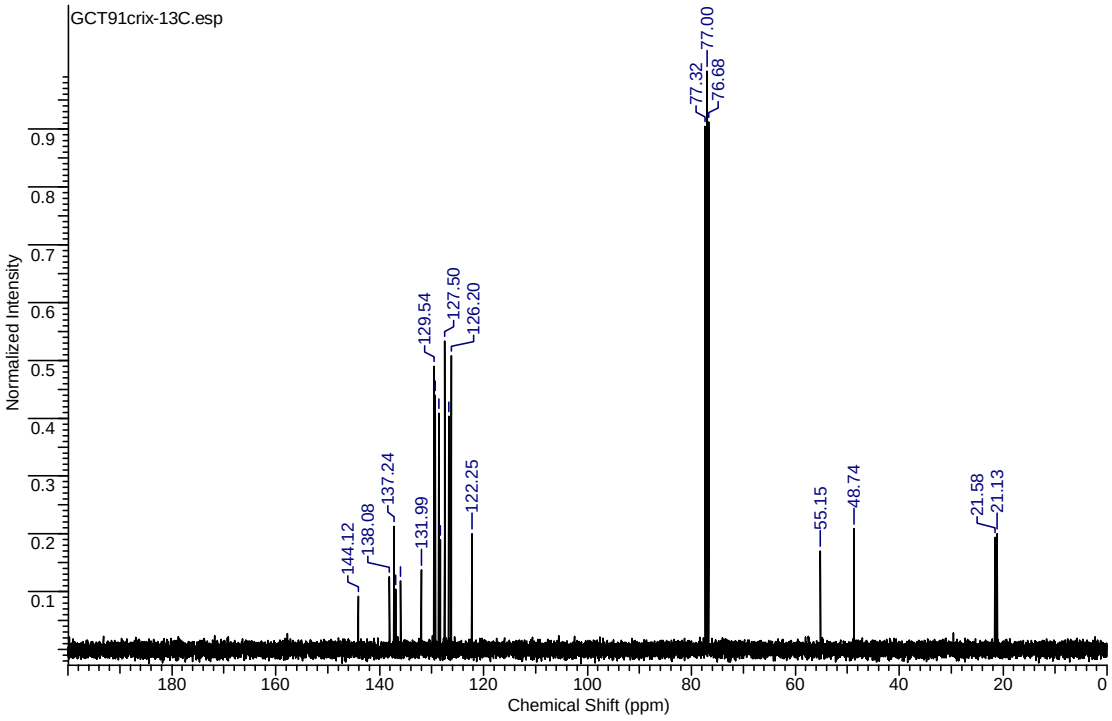
1e

According to method B, imine (0.5 mmol, 137 mg), sulfonium salt (0.5 mmol, 146 mg), K_2CO_3 (1.0 mmol, 138 mg). *trans*-Aziridine obtained by recrystallisation (PE/EtOAc): 62mg, yield 32%, colourless prisms, m.p.: 154-155 °C (PE/EtOAc). ^{1}H NMR (400 MHz, $CDCl_3$): δ2.32 (s, 3H, 4-C*H*$_3$-Ph), 2.40 (s, 3H, 4-C*H*$_3$-Ph), 3.44 (dd, *J*=9.4, 4.2 Hz, 1 H, H-3), 4.14 (d, *J*=4.2 Hz, 1 H, H-2), 6.66 (dd, *J*=15.6, 9.4 Hz, 1 H, C*H*=CH-Ph), 6.80 (d, *J*=15.8 Hz, 1 H, CH=C*H*-Ph), 7.04-7.50 (m, 11 H, Ar), 7.84 (d, *J*=8.3 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ21.1 (4-*C*H$_3$-Ph), 21.6 (4-*C*H$_3$-Ph), 48.7 (C-2), 55.1 (C-3), 122.3 (CH=*C*H-Ph), 126.2-129.5 131.9 135.9 136.9 137.2 138.1 144.1 (Ar and *C*H=CH-Ph). IR (neat, cm^{-1}): 1660, 1519, 1310, 1154, 1086, 904. HRMS (ESI) calcd for $C_{24}H_{24}NO_2S$ 390.15223 $[M+H]^+$, found 390.15324 $[M+H]^+$.

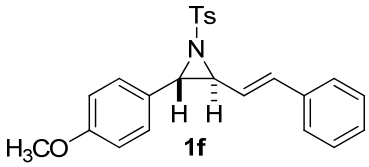
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)

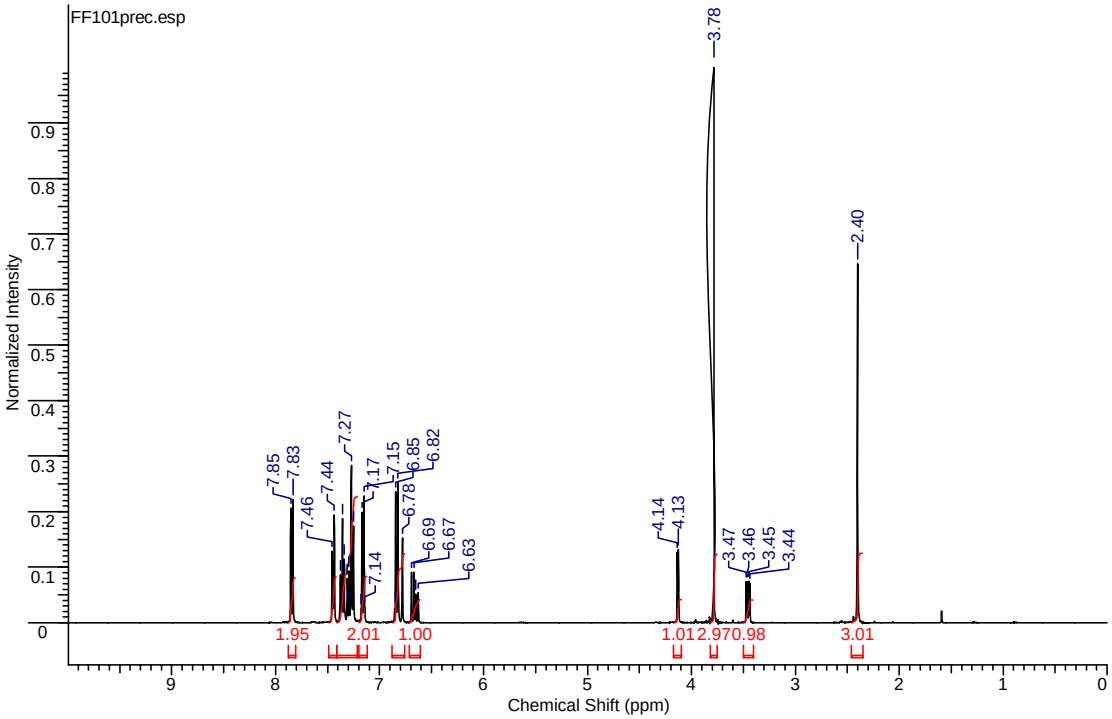


trans-(_E_)-2-(4-Methoxyphenyl)-3-styryl-1-tosylaziridine, 1f

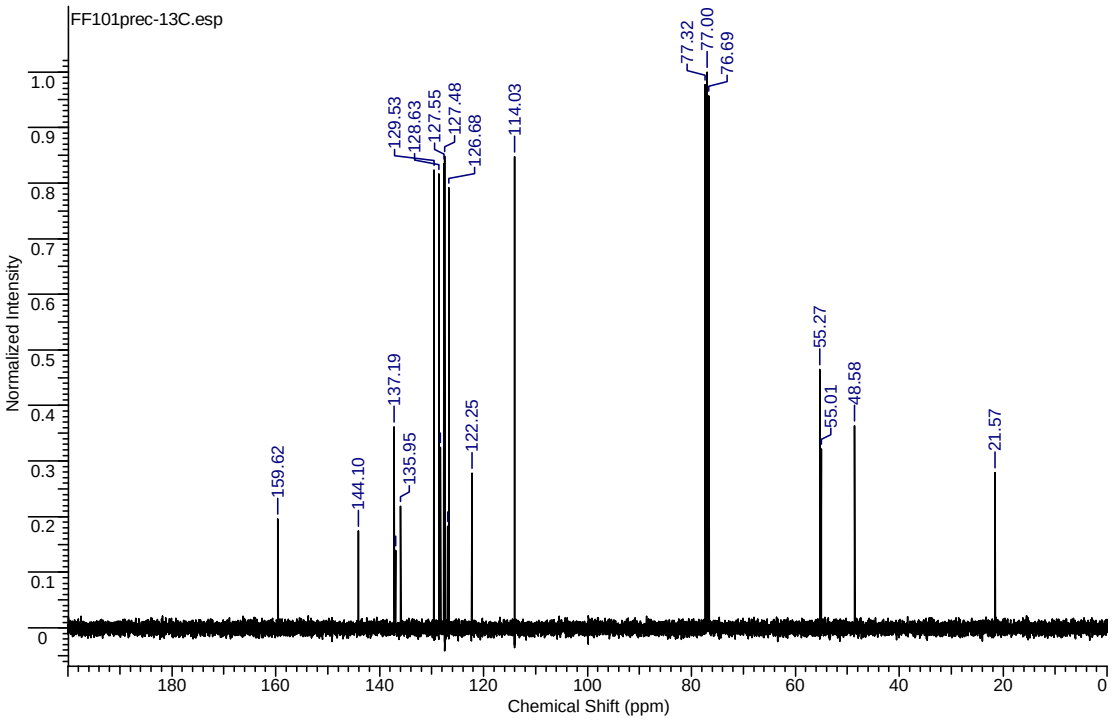


According to method A, imine (0.5 mmol, 137 mg), sulfonium salt (0.5 mmol, 148 mg), K_2CO_3 (1.0 mmol, 138 mg). D.r.: 5:1 (*trans/cis*). The crude mixture required column chromatography on neutral alumina (PE/EtOAc 9:1) and then treatment with PE/EtOAc to afford the pure *trans*-aziridine (42 mg, 21% yield) as colourless prisms, m.p.: 119-120 °C (PE/EtOAc). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.40 (s, 3H, 4-C*H*$_3$-Ph (Ts)), 3.45 (dd, *J*=9.5, 4.2 Hz, 1 H, H-3), 3.78 (s, 3 H, 4-OC*H*$_3$-Ph), 4.13 (d, *J*=4.0 Hz, 1 H, H-2), 6.66 (dd, *J*=15.8, 9.5 Hz, 1 H, C*H*=CH-Ph), 6.77-6.87 (m, 3 H, CH=C*H*-Ph and Ar), 7.16 (d, *J*=8.6 Hz, 2 H, Ar), 7.23-7.49 (m, 7 H, Ar), 7.84 (d, *J*=8.3 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ 21.6 (4-*C*H$_3$-Ph), 48.6 (C-2), 55.0 55.3 (C-3 and O*C*H$_3$), 114.0 122.2 126.7-129.5 135.9 136.9 137.2 144.1 159.6 (Ar, CH=*C*H-Ph and *C*H=CH-Ph). IR (neat, cm^{-1}): 2964, 1615, 1516, 1253, 1158, 1031. HRMS (CI) calcd for $C_{24}H_{24}NO_3S$ 406.1477 $[M+H]^+$, found 406.1474 $[M+H]^+$.

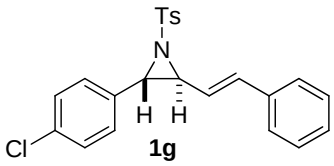
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



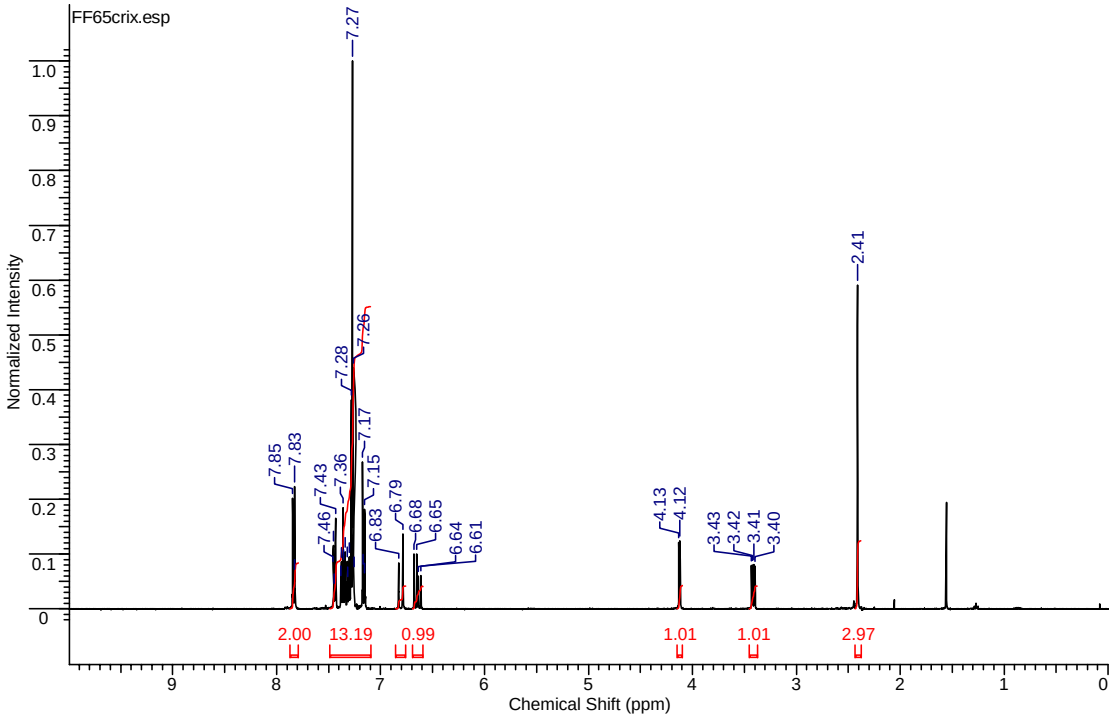
trans-(_E_)-2-(4-Chlorophenyl)-3-styryl-1-tosylaziridine, 1g[1a]



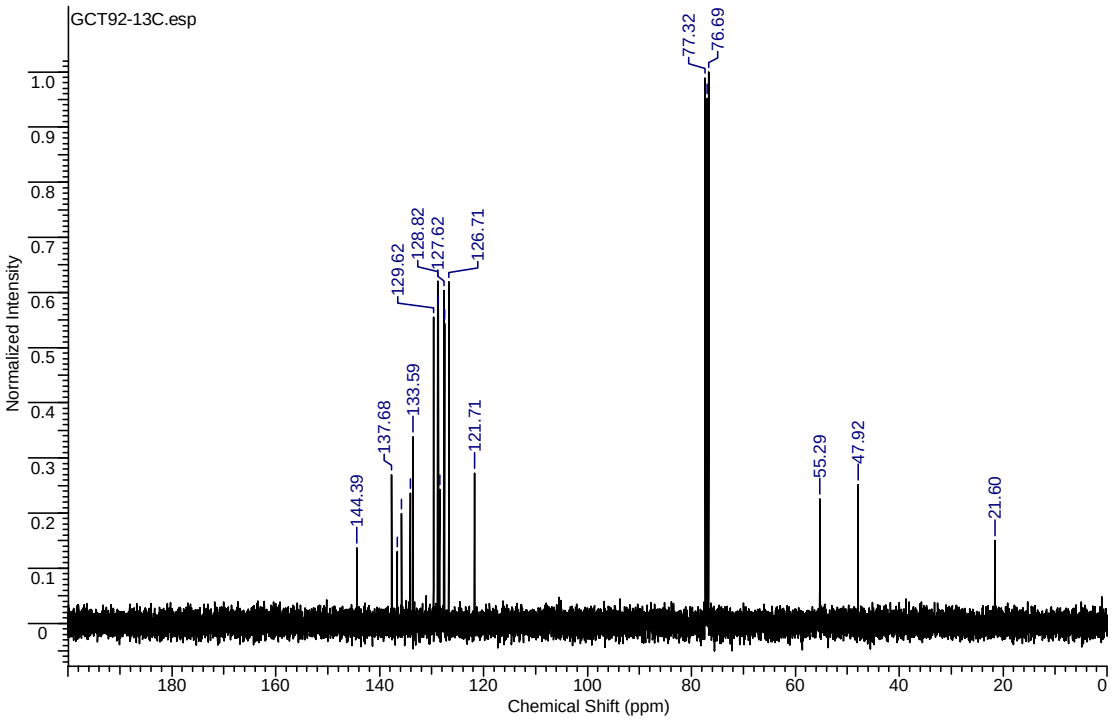
1g

According to method A, imine (0.5 mmol, 137 mg), sulfonium salt (0.5 mmol, 150 mg), K_2CO_3 (1.0 mmol, 138 mg). Yield 87%, d.r.: 7:1 (*trans/cis*). *trans*-Aziridine obtained by recrystallisation (PE/EtOAc): 72 mg, yield 35%, colourless prisms, m.p.: 150-151 °C (PE/EtOAc). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.41 (s, 3H, 4-C*H*$_3$-Ph (Ts)), 3.41 (dd, *J*=9.5, 4.0 Hz, 1 H, H-3), 4.12 (d, *J*=4.0 Hz, 1 H, H-2), 6.64 (dd, *J*=15.8, 9.5 Hz, 1 H, C*H*=CH-Ph), 6.81 (d, *J*=15.9 Hz, 1 H, CH=C*H*-Ph), 7.16 (d, *J*=8.4 Hz, 2 H, Ar), 7.23-7.47 (m, 9 H, Ar), 7.84 (d, *J*=8.3 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ 21.6 (4-*C*H$_3$-Ph), 47.9 (C-2), 55.3 (C-3), 121.7 (CH=*C*H-Ph), 126.7-129.6 133.6 134.1 135.7 136.6 137.7 144.4 (Ar and *C*H=CH-Ph). IR (neat, cm^{-1}): 1594, 1494, 1302, 1154, 1086, 904. HRMS (ESI) calcd for $C_{23}H_{21}ClNO_2S$ 410.09760 $[M+H]^+$, found 410.09857 $[M+H]^+$.

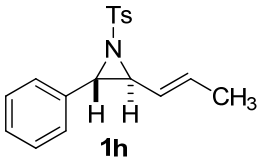
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



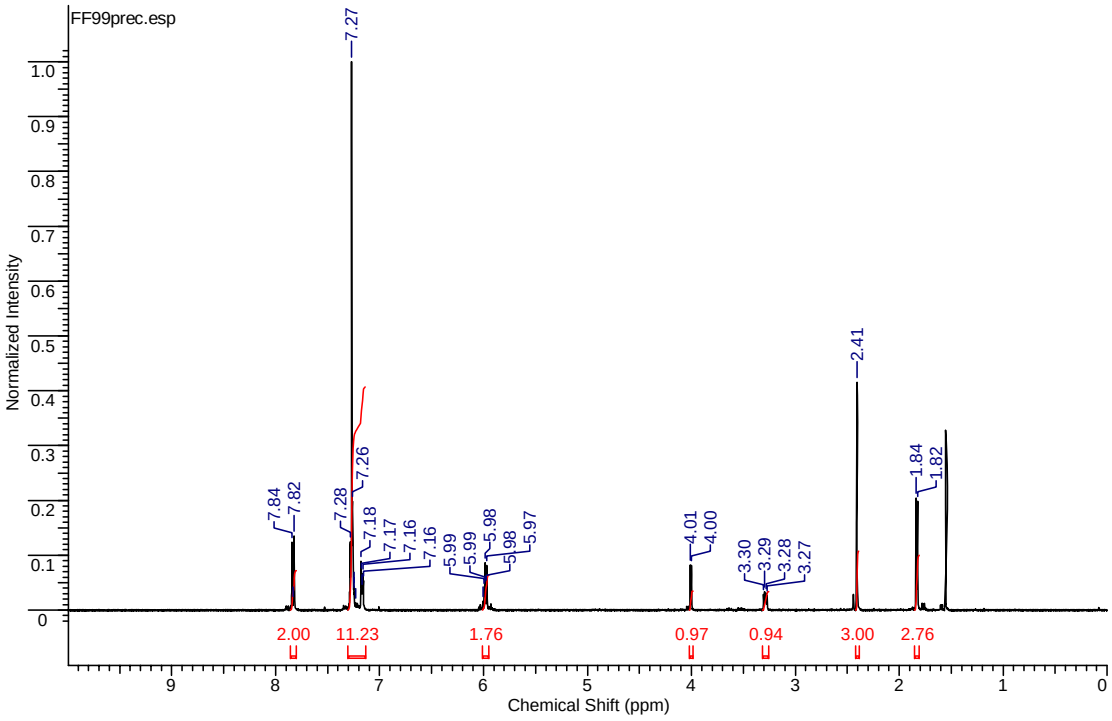
trans-(_E_)-2-Phenyl-3-(prop-1-enyl)-1-tosylaziridine, 1h



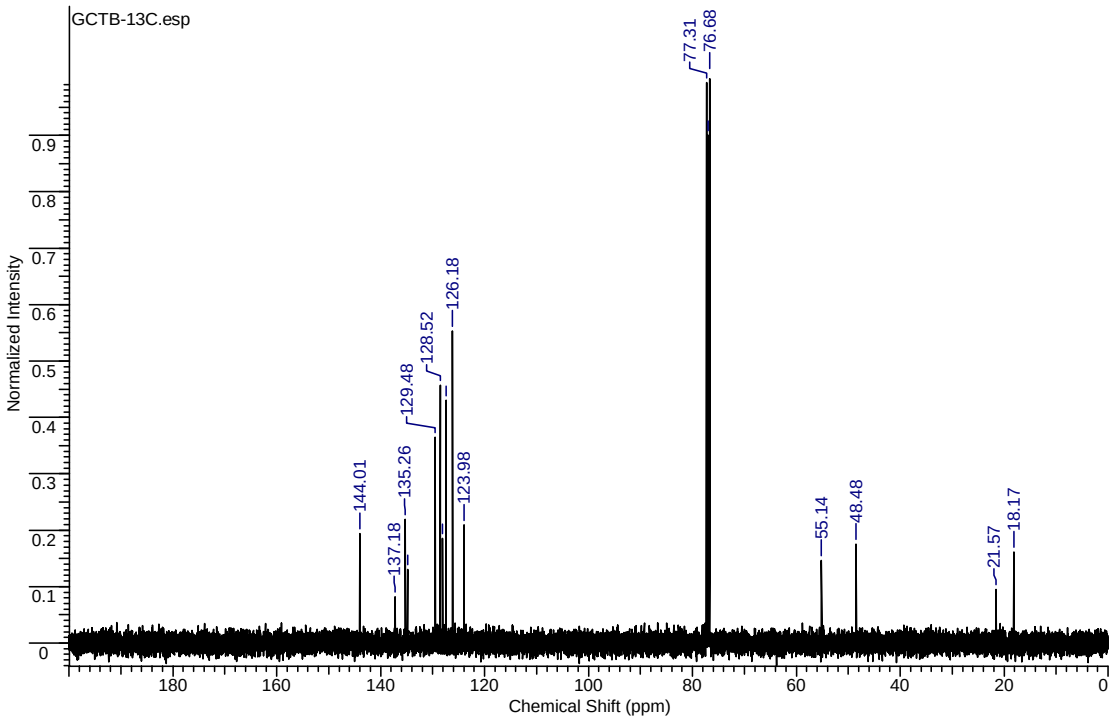
1h

According to method B, imine (0.5 mmol, 130 mg), sulfonium salt (0.5 mmol, 115 mg), K_2CO_3 (1.0 mmol, 138 mg). D.r.: 2:1 (*trans/cis*). The crude mixture required column chromatography on neutral alumina (PE/EtOAc 9:1) and then treatment with PE/EtOAc to afford the pure *trans*-aziridine (44 mg, 28% yield) as colourless prisms, m.p.: 95-96 °C (PE/EtOAc). ^{1}H NMR (400 MHz, $CDCl_3$): δ 1.83 (d, J=4.95 Hz, 3H, CH_3), 2.41 (s, 3H, 4-CH_3-Ph), 3.29 (dd, J=9.0, 4.0 Hz, 1 H, H-3), 4.01 (d, J=4.2 Hz, 1 H, H-2), 5.95-6.02 (m, 2 H, CH=CH-CH_3 and CH=CH-CH_3), 7.13-7.31 (m, 7 H, Ar), 7.83 (d, J=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ 18.2 (CH_3), 21.6 (4-CH_3-Ph), 21.6 (4-CH_3-Ph), 48.5 (C-2), 55.1 (C-3), 124.0 126.2-129.5 134.8 135.3 137.2 144.1 (Ar, CH=CH-CH_3 and CH=CH-CH_3). IR (neat, cm^{-1}): 1597, 1512, 1324, 894. HRMS (ESI) calcd for $C_{18}H_{20}NO_2S$ 314.12093 $[M+H]^+$, found 314.12153 $[M+H]^+$.

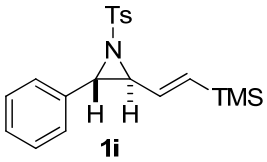
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



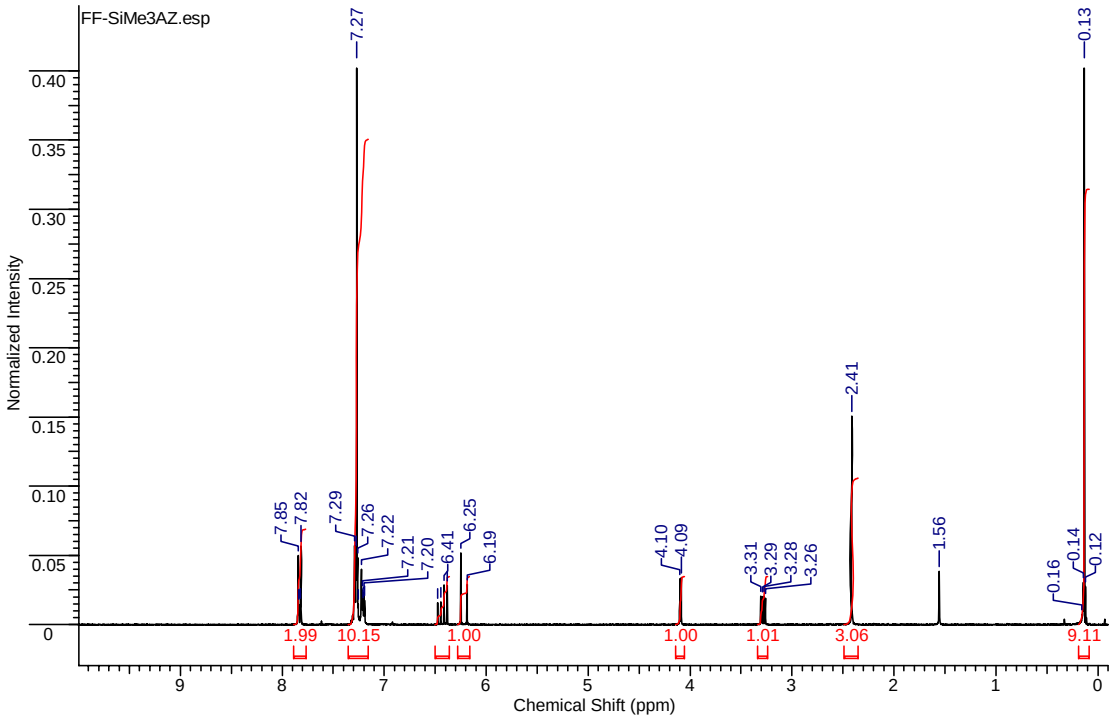
trans-(_E_)-2-Phenyl-1-tosyl-3-(2-(trimethylsilyl)vinyl)aziridine, 1i[1a]



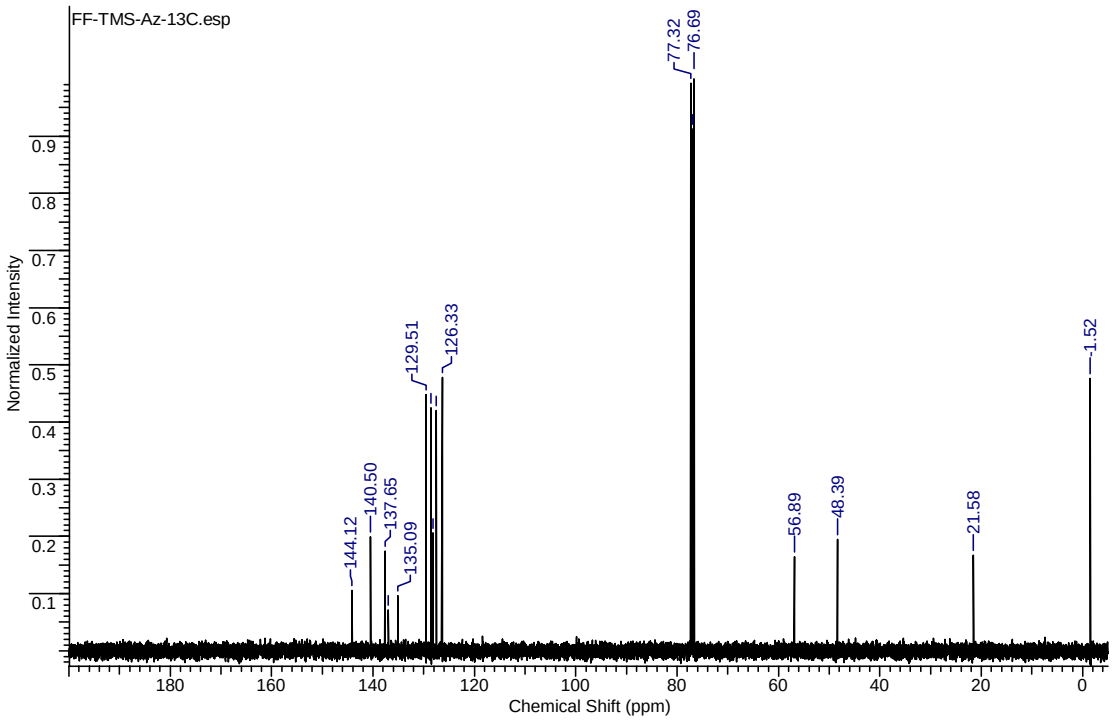
1i

According to method A, imine (0.5 mmol, 141 mg), sulfonium salt (0.5 mmol, 133 mg), K_2CO_3 (1.0 mmol, 138 mg). The crude mixture required column chromatography on neutral alumina (PE/EtOAc 9:1) and then treatment with PE to afford the pure *trans*-aziridine (145 mg 78% yield) as colourless needles m.p.: 115-116 °C (PE). ^{1}H NMR (400 MHz, $CDCl_3$): δ 0.11 (s, 9 H, Si(CH_3)$_3$), 2.39 (s, 3H, 4-CH_3-Ph (Ts)), 3.26 (dd, *J*=9.2, 4.2 Hz, 1 H, H-3), 4.07 (d, *J*=4.2 Hz, 1 H, H-2), 6.19 (d, *J*=18.3 Hz, 1 H, CH=C*H*-TMS), 6.41 (dd, *J*=18.3, 9.2 Hz, 1 H, C*H*=CH-TMS), 7.16-7.24 (m, 7 H, Ar), 7.81 (d, *J*=8.6 Hz, 2 H, Ar (Ts)). ^{13}C NMR (101 MHz, $CDCl_3$): δ -1.4 (Si(*C*H_3)$_3$), 21.7 (4-*C*H_3-Ph), 48.5 (C-2), 57.0 (C-3), 126.5-129.7 135.2 137.1 (Ar), 137.8 (*C*H=CH-TMS), 140.6 (CH=*C*HTMS), 144.3 (Ar). IR (neat, cm^{-1}): 3031, 2958, 1600, 1497, 1456, 1404, 1322, 1254. HRMS (EI) calcd for $C_{20}H_{26}NO_2SSi$ 372.1454 [M]$^+$, found 372.1460 [M]$^+$.

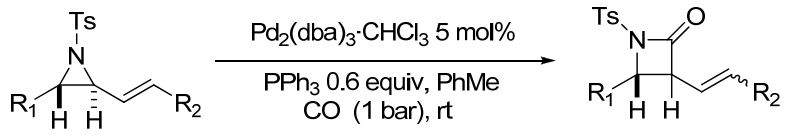
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



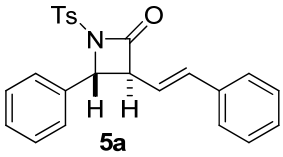
General procedure for the synthesis of β-lactams 5a-g



Triphenylphosphine (31.4 mg, 0.6 equiv, 0.12 mmol) was added to a solution of $Pd_2(dba)_3{\cdot}CHCl_3$ (10.4 mg, 0.1 equiv Pd, 0.01 mmol) in anhydrous toluene (0.7 mL). After 30 minutes the reaction atmosphere was changed to CO (balloon) and a solution of aziridine **1a-g** (0.20 mmol) in anhydrous toluene (2.6 mL) was rapidly added and the mixture stirred at room temperature for 2 hours. The solvent was removed under reduced pressure and the residue purified by flash chromatography (SiO_2, PE/EtOAc 95:5 to 90:10) to give the β-lactam as a mixture of diastereoisomers (d.r. was determined by ^1H NMR of the purified β-lactams mixture).[5] Analytical samples of *trans-E-*β-lactams **5a-g** were obtained, when possible, by recrystallisation (PE/EtOAc, unless otherwise stated).

[5] The d.r. could not be determined on the crude, due to the presence of overlapping signals of impurities.

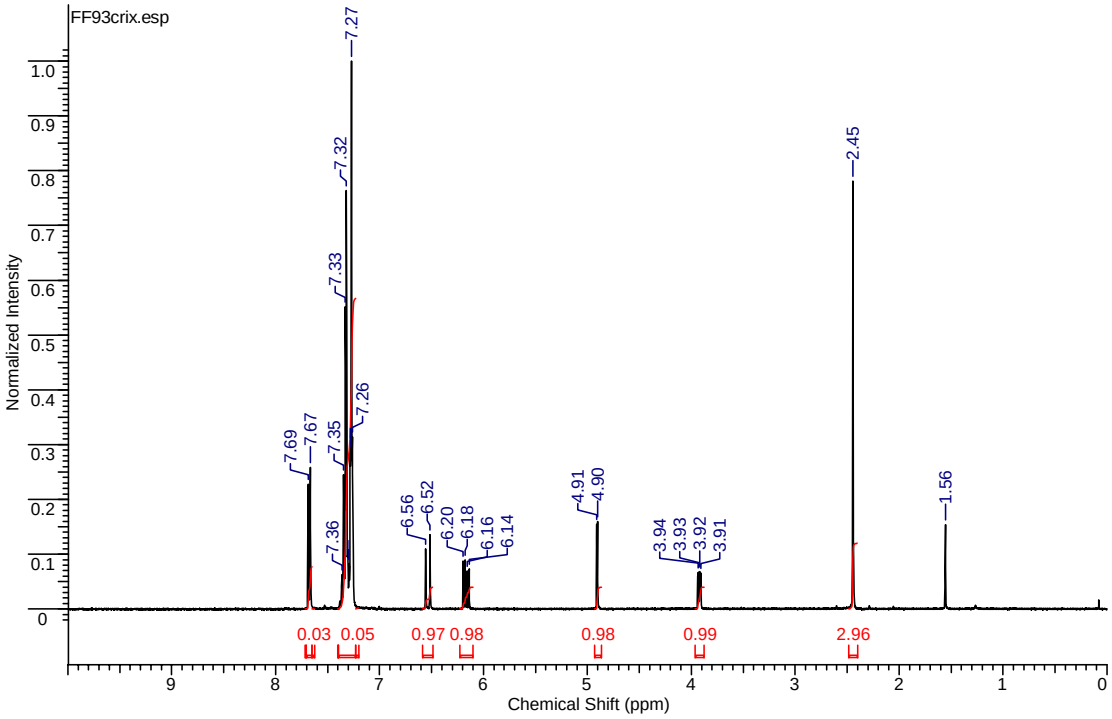
trans-(_E_)-4-Phenyl-3-styryl-1-tosylazetidin-2-one, 5a



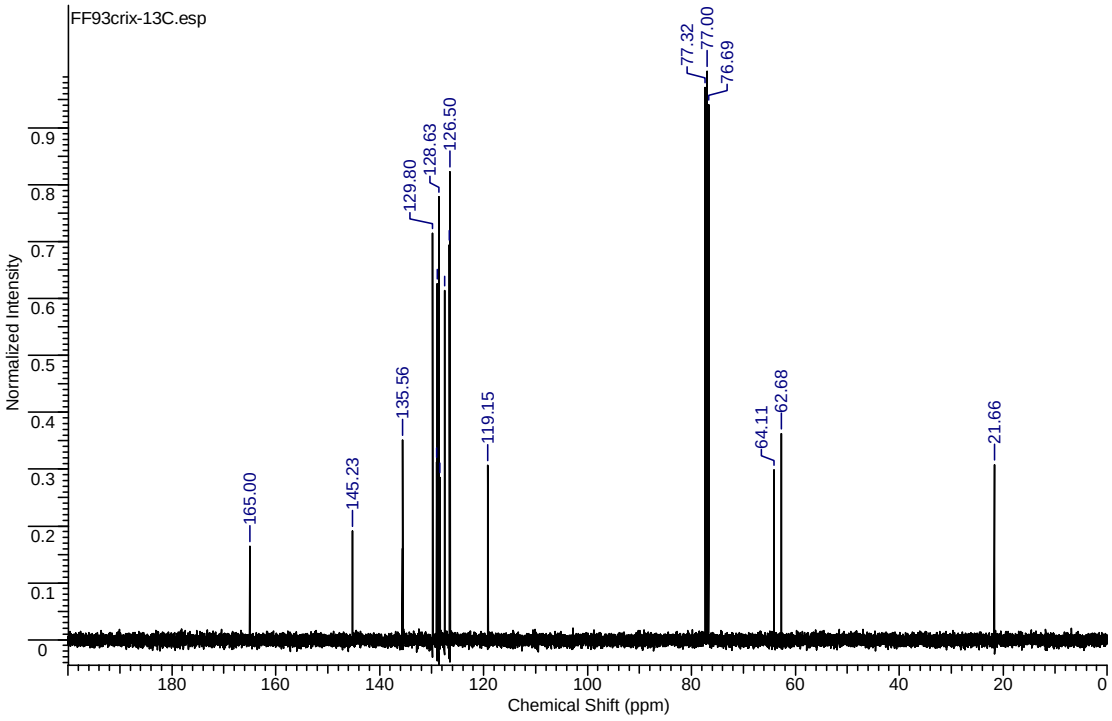
5a

Yield: 77%. D.r. (*trans-Z* **3a**/*cis-E* **4a**/*trans-E* **5a**) = 3/3/94 (from *trans*-aziridine). A pure analytical sample of *trans-E* isomer was obtained by recrystallisation, colourless prisms, m.p.: 145-146 °C (PE/EtOAc). R_f = 0.21 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.45 (s, 3 H, 4-CH_3-Ph (Ts)), 3.92 (dd, *J*=7.9, 3.3 Hz, 1 H, H-3), 4.912 (d, *J*=3.3 Hz, 1 H, H-4), 6.17 (dd, *J*=15.8, 7.9 Hz, 1 H, C*H*=CH-Ph), 6.54 (d, *J*=15.8 Hz, 1 H, CH=C*H*-Ph), 7.23 - 7.40 (m, 14 H, Ar) 7.69 (d, *J*=8.2 Hz, 2 H, Ar (Ts)). ^{13}C NMR(101 MHz, $CDCl_3$): δ 21.6 (4-*C*H_3-Ph), 62.7 (C-3), 64.1 (C-4), 119.2 (*C*H=CH-Ph), 126.4-128.9 135.6 145.2 (Ar and C*H*=*C*H-Ph), 165.0 (C-2). IR (neat, cm^{-1}): 2923, 1789 (*vCO*), 1596, 1359 (vSO_2), 1139 (vSO_2), 1087, 964, 815, 750. HRMS (ESI) calcd for $C_{24}H_{22}NO_3S$ 404.13149 $[M+H]^+$, found 404.132409 $[M+H]^+$.

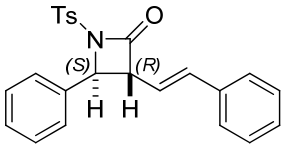
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



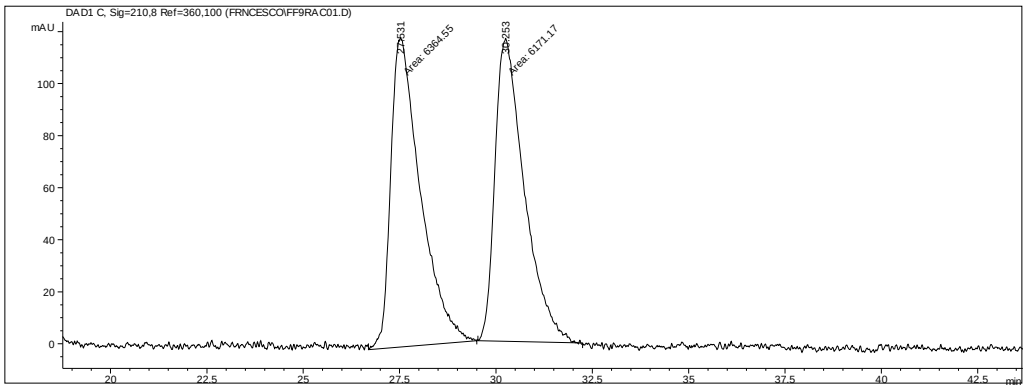
(3*R*,4*S*)-(*E*)-4-Phenyl-3-styryl-1-tosylazetidin-2-one, (*R*,*S*)-5a



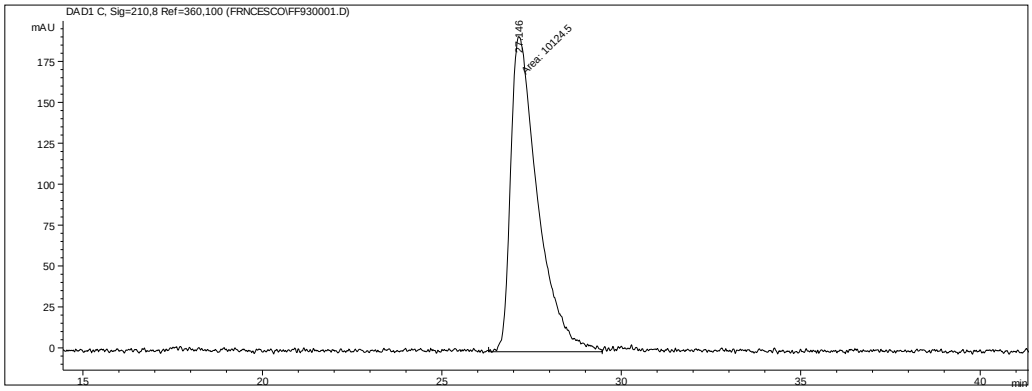
(*R*,*S*)-5a

Prepared in 62% yield as pure *trans-E* isomer, according to the above procedure, starting from (2*R*,3*R*)-2-phenyl-3-styryl-1-tosylaziridine (e.r. = 98 : 2).[4] $[\alpha]_D^{20}$ +50.0 (*c* = 0.66, $CHCl_3$). HPLC conditions: IB column with guard, 10% *i*PrOH/Hexane, 0.7 ml/min. R_t: 27.5 min ((3*R*,4*S*), major), 30.2 min ((3*S*,4*R*), minor). E.r. = >98 : 2.

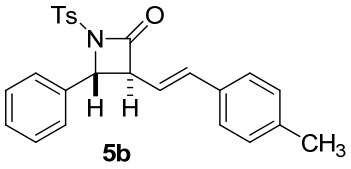
Chiral HPLC- Chromatogram of the racemic mixture



Chiral HPLC - Chromatogram of the enantioenriched mixture



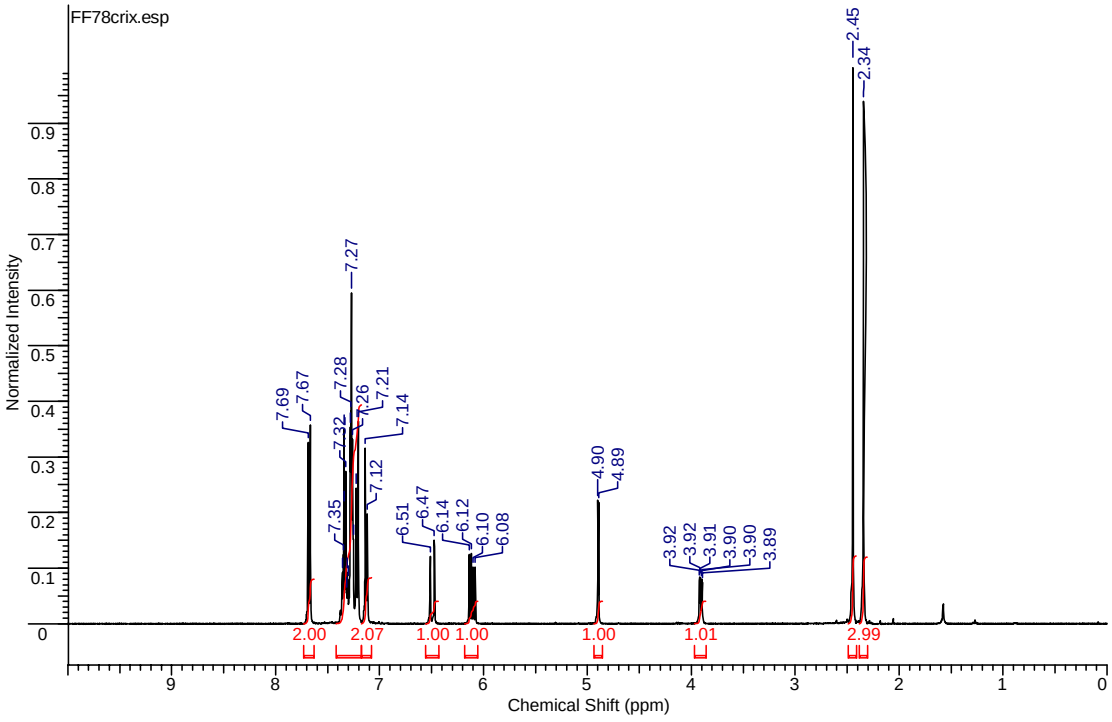
trans-(_E_)-3-(4-Methylstyryl)-4-phenyl-1-tosylazetidin-2-one, 5b



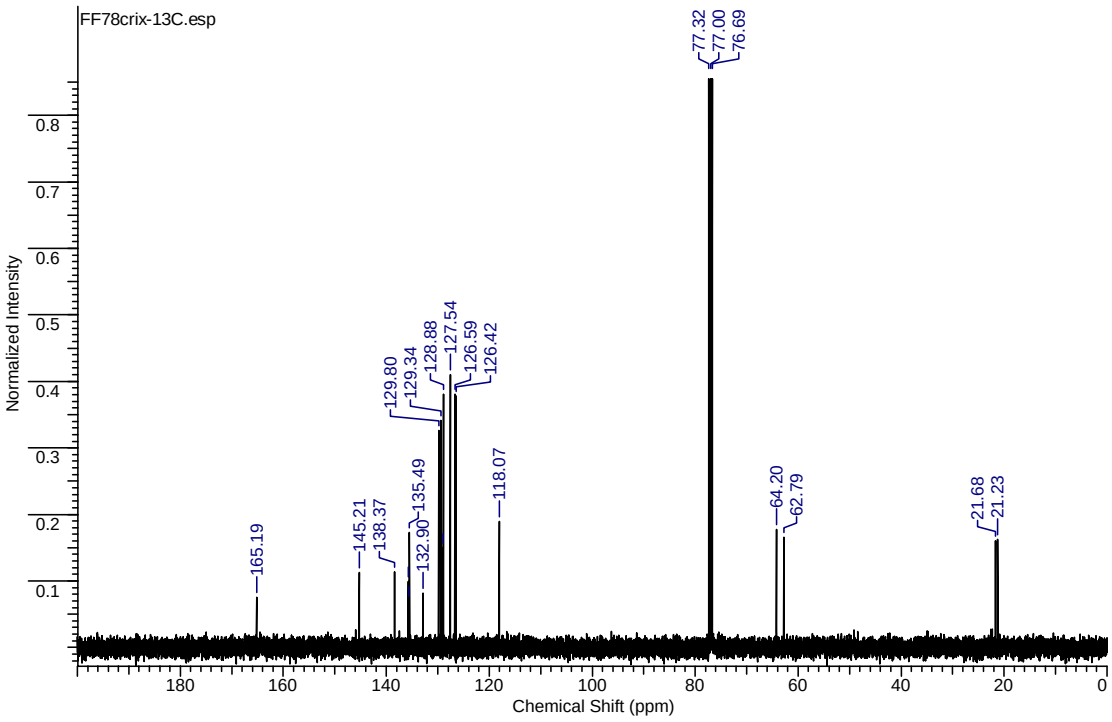
5b

Yield: 64%. D.r. (*trans-Z* **3b**/*cis-E* **4b**/*trans-E* **5b**) = 4/3/93 (from *trans*-aziridine). A pure analytical sample of *trans-E* isomer was obtained by recrystallisation, yellow prisms, m.p.: 96-97 °C (PE/EtOAc). R_f = 0.26 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.34 (s, 3 H, 4-C*H*$_3$-Ph), 2.45 (s, 3 H, 4-C*H*$_3$-Ph (Ts)), 3.91 (ddd, *J*=8.0, 3.2, 1.2 Hz, 1 H, H-3), 4.90 (d, *J*=3.3 Hz, 1 H, H-4), 6.11 (dd, *J*=15.9, 8.0 Hz, 1 H, C*H*=CH-4-CH$_3$-Ph), 6.49 (d, *J*=15.9 Hz, 1 H, CH=C*H*-4- CH$_3$-Ph), 7.04-7.40 (m, 13 H, Ar), 7.68 (d, *J*=8.2 Hz, 2 H, Ar (Ts)). ^{13}C NMR(101 MHz, $CDCl_3$): δ 21.2 (4-*C*H$_3$-Ph), 21.6 (4-*C*H$_3$-Ph), 62.7 (C-3), 64.1 (C-4), 118.0 (*C*H=CH-4- CH$_3$-Ph), 126.4-129.8 135.4 135.5 135.6 138.3 (Ar and CH=*C*H-4-CH$_3$-Ph), 165.2 (C-2). IR (neat, cm^{-1}): 2921, 1788 (*vCO*), 1594, 1359 (*vSO*$_2$), 1160 (*vSO*$_2$), 1083, 962, 809. HRMS (ESI) calcd for $C_{25}H_{24}NO_3S$ 418.14714 $[M+H]^+$, found 418.14792 $[M+H]^+$.

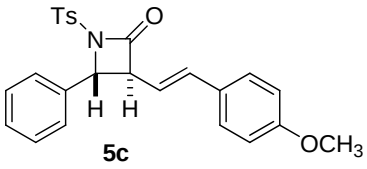
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



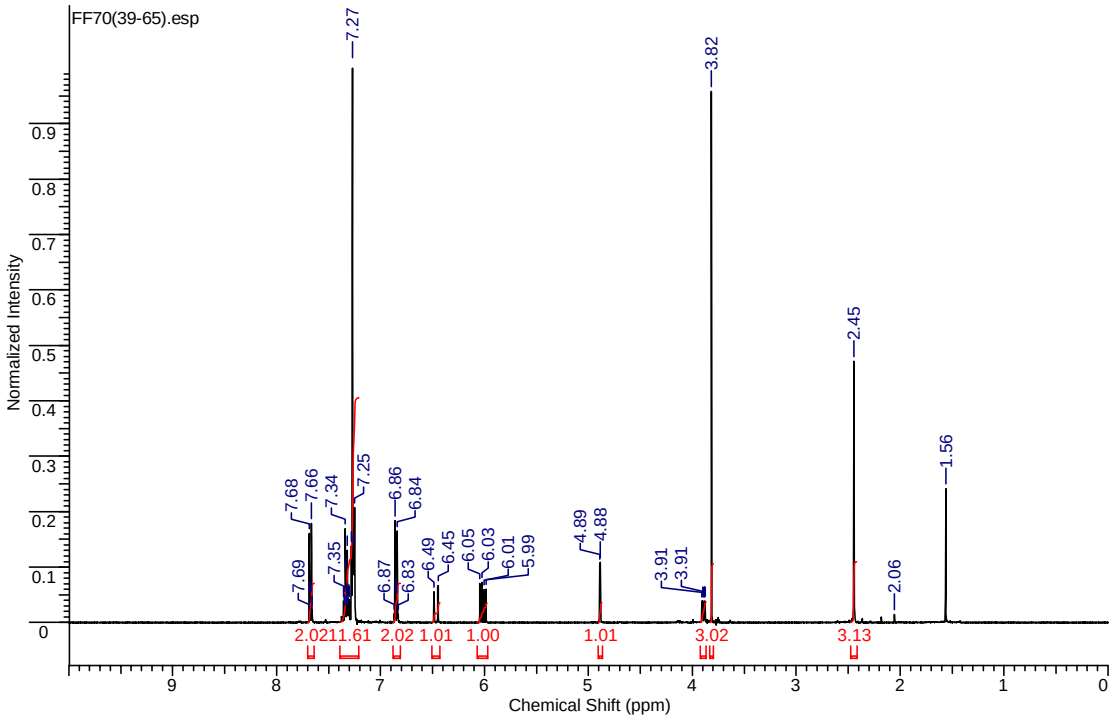
trans-(_E_)-3-(4-Methoxystyryl)-4-phenyl-1-tosylazetidin-2-one, 5c



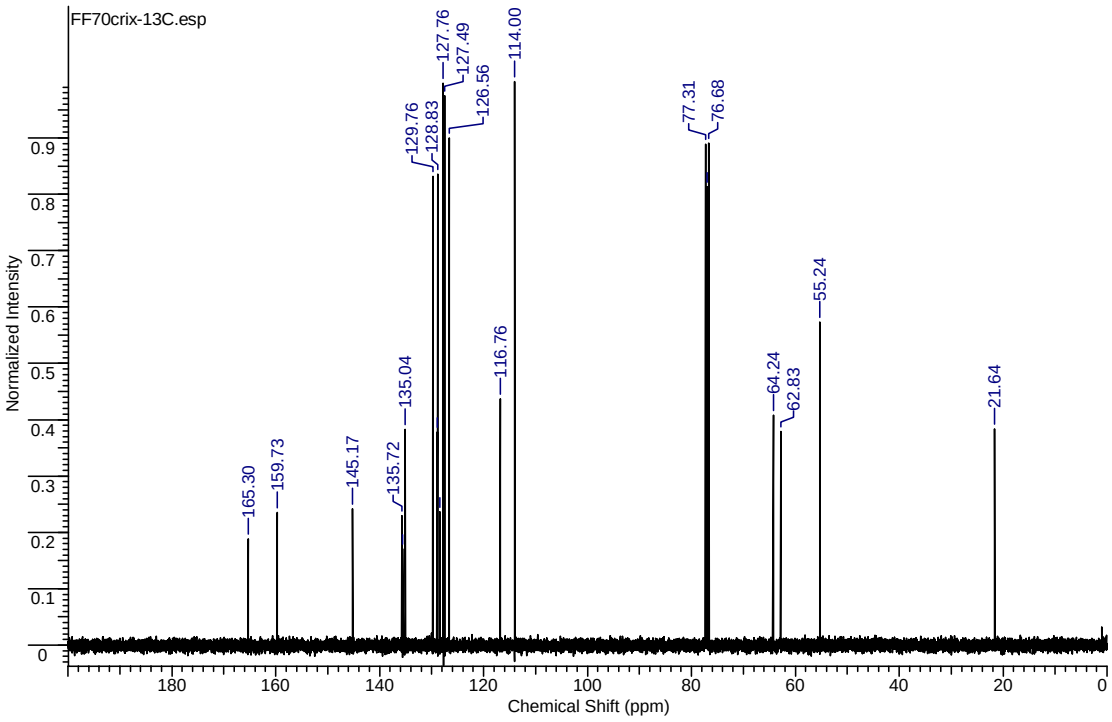
5c

Yield: 76%. D.r. (*trans-Z* **3c**/*cis-E* **4c**/*trans-E* **5c**) = 0/0/100 (from *cis*-aziridine). Colourless prisms, m.p.: 135-136 °C (PE/EtOAc). R_f = 0.14 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.45 (s, 3 H, 4-CH_3-Ph (Ts)), 3.82 (s, 3 H, 4-OCH_3-Ph), 3.89 (ddd, *J*=8.0, 3.3, 1.1 Hz, 1 H, H-3), 4.89 (d, *J*=3.3 Hz, 1 H, H-4), 6.02 (dd, *J*=15.8, 8.0 Hz, 1 H, C*H*=CH-4-OCH_3-Ph), 6.47 (d, *J*=15.9 Hz, 1 H, CH=C*H*-4-OCH_3-Ph), 6.85 (dt, *J*=8.8, 2.9 Hz, 2 H, Ar), 7.19-7.43 (m, 9 H, Ar), 7.67 (d, *J*=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR(101 MHz, $CDCl_3$): δ 21.8 (4-*C*H_3-Ph), 55.4 (C-3), 63.0 (C-4), 64.4 (O*C*H_3), 114.1 (Ar), 116.9 (*C*H=CH-4-OCH_3-Ph), 126.7-129.9 135.2 135.7 135.6 145.3 159.8 (Ar and CH=*C*H-4-OCH_3-Ph), 165.4 (C-2). IR (neat, cm^{-1}): 2943, 1789 (*vCO*), 1606, 1511, 1363 (*v*SO_2), 1166 (*v*SO_2), 909. HRMS (CI) calcd for $C_{25}H_{24}NO_4S$ 434.1426 $[M+H]^+$, found 434.1428 $[M+H]^+$.

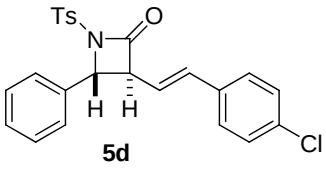
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



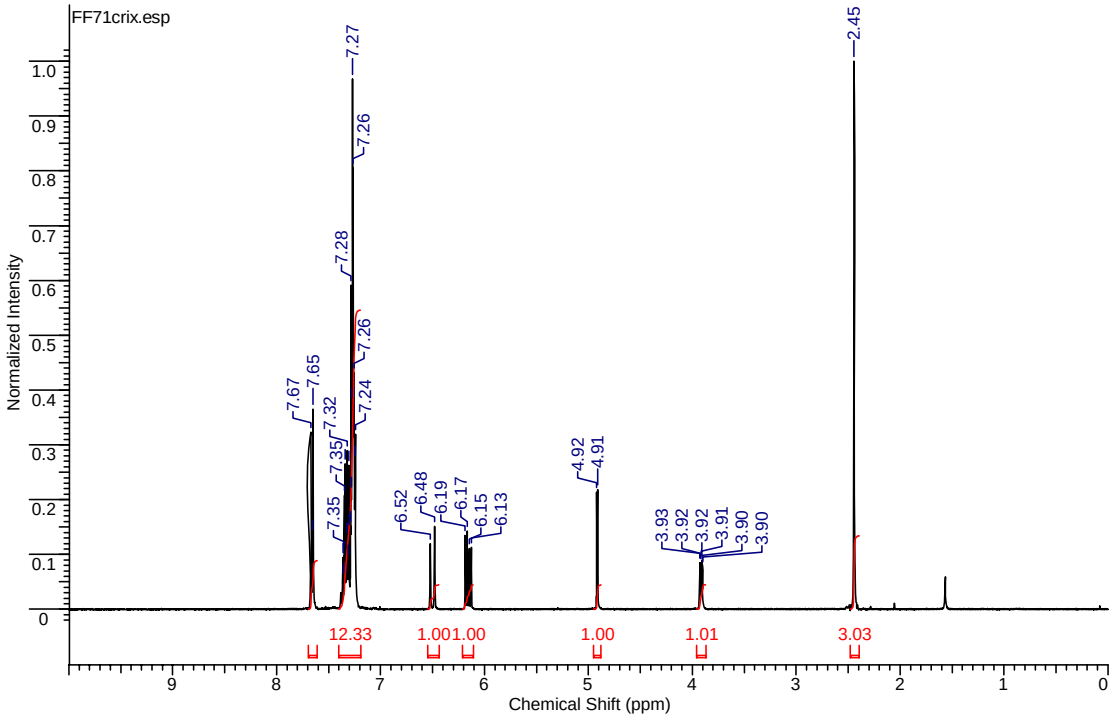
trans-(_E_)-3-(4-Chlorostyryl)-4-phenyl-1-tosylazetidin-2-one, 5d



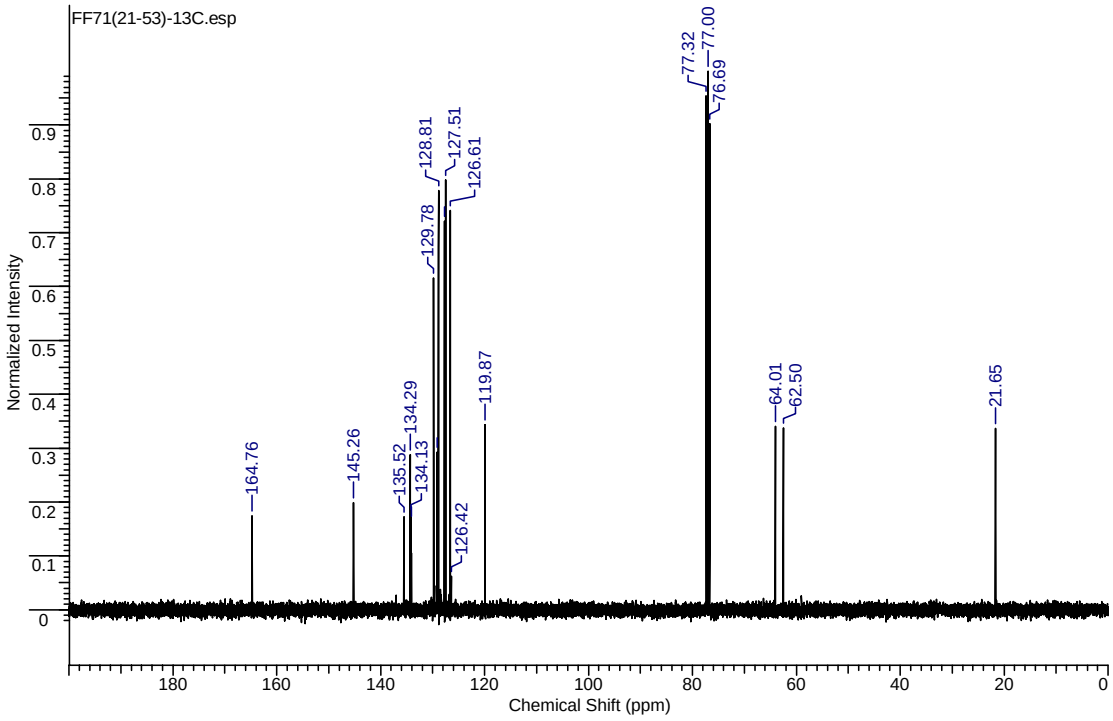
5d

Yield: 61%. D.r. (*trans-Z* **3d**/*cis-E* **4d**/*trans-E* **5d**) = 4/2/94 (from 14:1 *trans-cis* mixture of aziridine). A pure analytical sample of *trans-E* isomer was obtained by recrystallisation, colourless prisms, m.p.: 129-130 °C (PE/EtOAc). R_f = 0.24 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.44 (s, 3 H, 4-CH_3-Ph (Ts)), 3.92 (ddd, *J*=7.9, 3.3, 1.1 Hz, 1 H, H-3), 4.92 (d, *J*=3.3 Hz, 1 H, H-4), 6.16 (dd, *J*=15.9, 8.0 Hz, 1 H, C*H*=CH-4-Cl-Ph), 6.50 (d, *J*=15.9 Hz, 1 H, CH=C*H*-4-Cl-Ph), 7.20-7.41 (m, 11 H, Ar), 7.66 (d, *J*=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR(101 MHz, $CDCl_3$): δ 21.6 (4-*C*H_3-Ph (Ts)), 62.5 (C-3), 64.0 (C-4), 119.9 (*C*H=CH-4-Cl-Ph), 126.6-129.8 134.1 134.3 135.5 145.3 (Ar and CH=*C*H-4-Cl-Ph), 164.8 (C-2). IR (neat, cm^{-1}): 2922, 1776 (*vCO*), 1615, 1515, 1359 (*vSO*$_2$), 1159 (*vSO*$_2$), 813. HRMS (CI) calcd for $C_{24}H_{21}NO_3SCl$ 438.0931 $[M+H]^+$, found 438.0940 $[M+H]^+$.

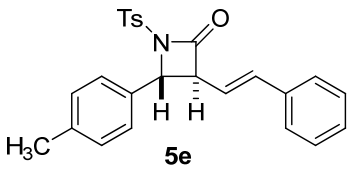
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



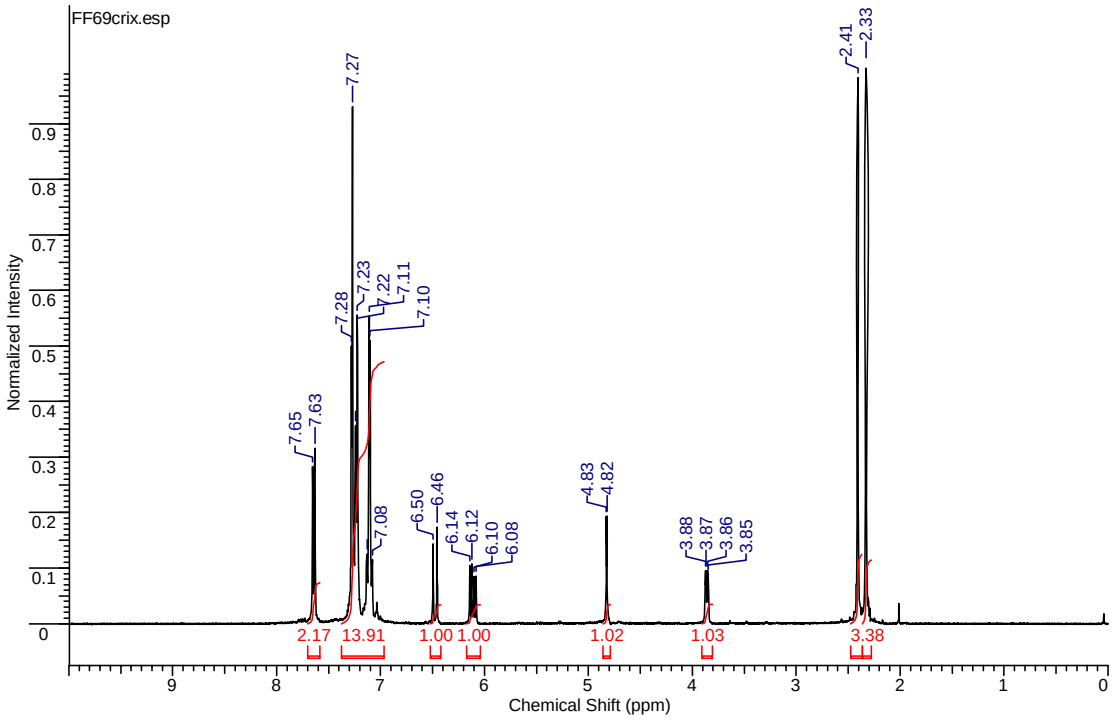
trans-(_E_)-3-Styryl-4-p-tolyl-1-tosylazetidin-2-one, 5e



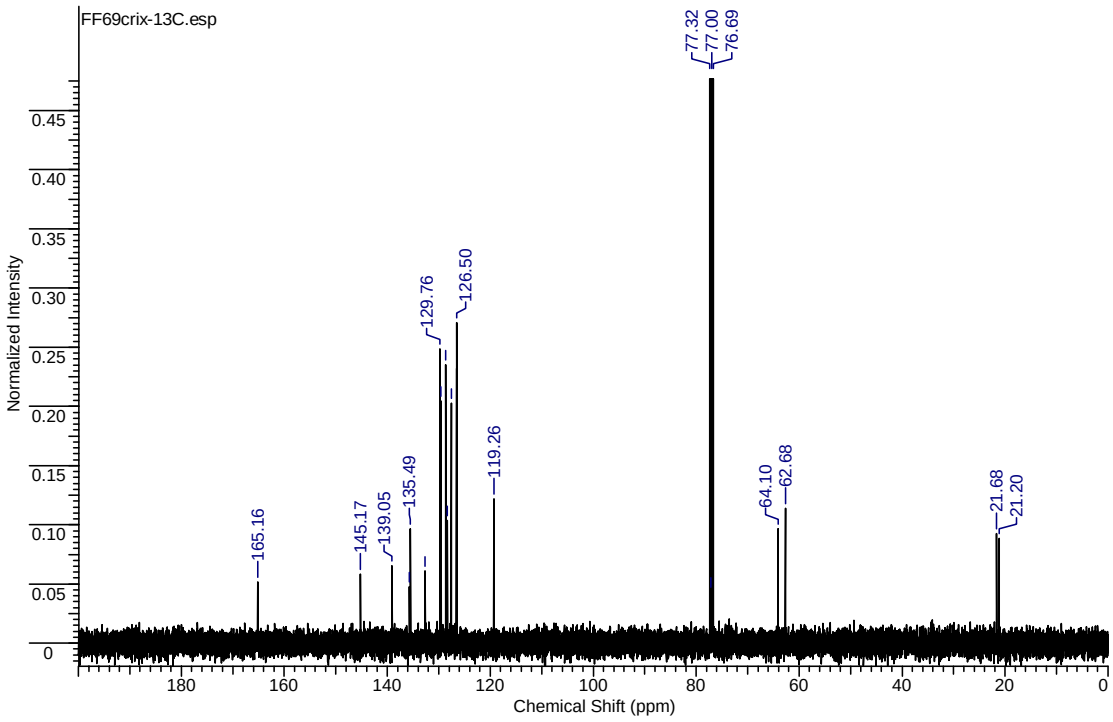
5e

Yield: 71%. D.r. (*trans-Z* **3e**/*cis-E* **4e**/*trans-E* **5e**) = 9/9/82 (from *trans*-aziridine). A pure analytical sample of *trans-E* isomer was obtained by recrystallisation, yellow prisms, m.p.: 105-106 °C (PE/EtOAc). R_f = 0.26 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.33 (s, 3 H, 4-C*H*$_3$-Ph), 2.41 (s, 3 H, 4-C*H*$_3$-Ph (Ts)), 3.86 (ddd, *J*=8.0, 3.3, 1.2 Hz, 1 H, H-3), 4.83 (d, *J*=3.3 Hz, 1 H, H-4), 6.11 (dd, *J*=15.9, 8.0 Hz, 1 H, C*H*=CH-Ph), 6.48 (dd, *J*=15.9, 1.2 Hz, 1 H, CH=C*H*-Ph), 6.97-7.32 (m, 11 H, Ar), 7.64 (d, *J*=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR(101 MHz, $CDCl_3$): δ 22.8 (4-*C*H$_3$-Ph), 23.3 (4-*C*H$_3$-Ph), 64.3 (C-3), 65.7 (C-4), 120.8 (*C*H=CH-Ph), 128.0-131.9 134.2 137.1 137.2 137.3 140.6 146.8 (Ar and CH=*C*H-Ph), 166.7 (C-2). IR (neat, cm^{-1}): 2923, 1787 (*vCO*), 1578, 1362 (vSO_2), 1166 (vSO_2), 1085, 812. HRMS (CI) calcd for $C_{25}H_{24}NO_3S$ 418.14714 $[M+H]^+$, found 418.14787 $[M+H]^+$.

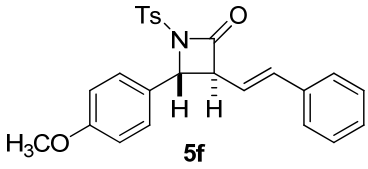
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



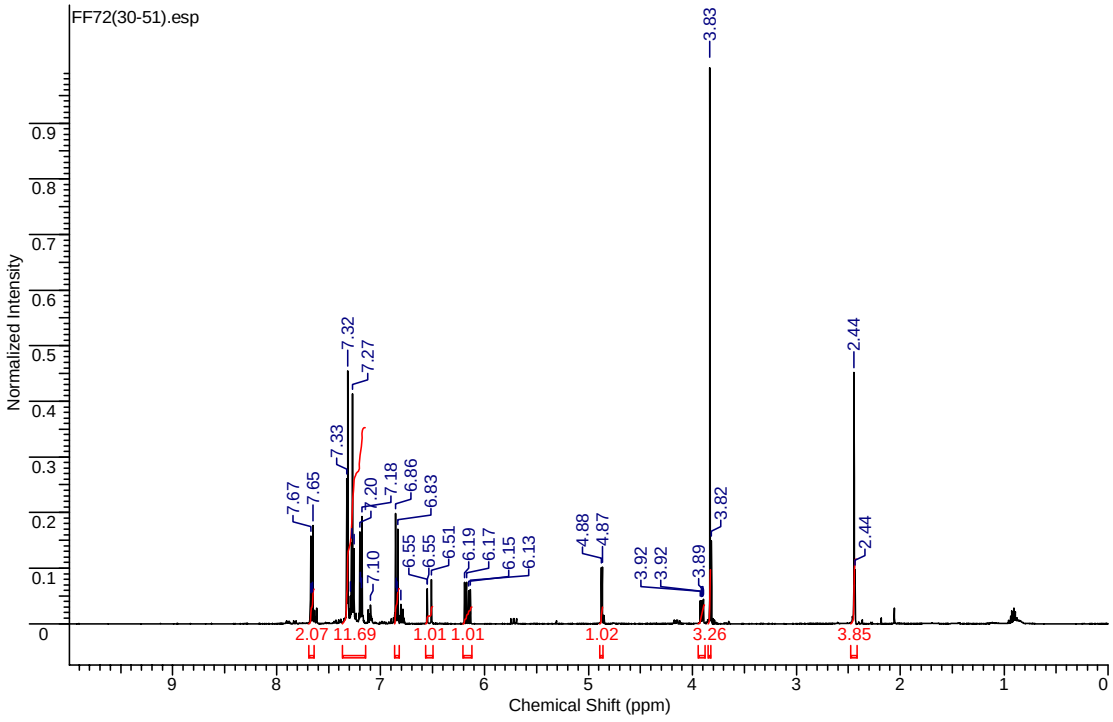
trans-(_E_)-4-(4-Methoxyphenyl)-3-styryl-1-tosylazetidin-2-one, 5f



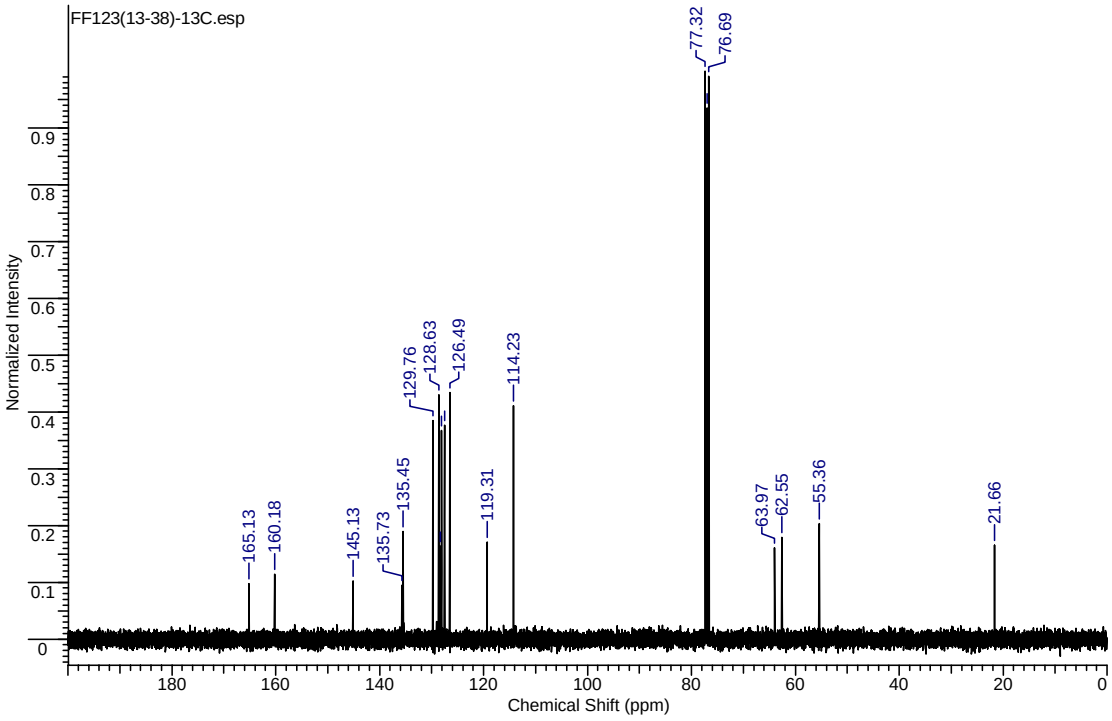
5f

Yield: 67%. D.r. (*trans*-*Z* **3f**/*cis*-*E* **4f**/*trans*-*E* **5f**) = 9/15/76 (from *trans*-aziridine). Amorphous solid. R_f = 0.14 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$), referred to the *trans*-*E* isomer: δ 2.44 (s, 3 H, 4-CH_3-Ph (Ts)), 3.83 (s, 3 H, 4-OCH_3-Ph), 3.91 (ddd, *J*=7.9, 3.3, 1.2 Hz, 1 H, H-3), 4.87 (d, *J*=3.3 Hz, 1 H, H-4), 6.16 (dd, *J*=15.9, 7.9 Hz, 1 H, C*H*=CH-Ph), 6.53 (dd, *J*=15.9, 1.2 Hz, 1 H, CH=C*H*-Ph), 6.84 (m, *J*=8.8, 3.1, 2.0, 2 H, Ar), 7.14-7.41(m, 9 H, Ar), 7.66 (d, *J*=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR(101 MHz, $CDCl_3$), referred to the *trans*-*E* isomer: δ 21.7 (4-*C*H_3-Ph (Ts)), 55.4 (C-3), 62.5 (C-4), 64.0 (O*C*H_3), 114.1 (Ar), 119.3 (*C*H=CH-Ph), 126.5-129.8 135.4 135.7 145.1 160.2 (Ar and CH=*C*H-Ph), 165.1 (C-2). IR (neat, cm^{-1}): 2931, 1785 (*vCO*), 1612, 1515, 1363 (*vSO*$_2$), 1166 (*vSO*$_2$), 812. HRMS (CI) calcd for $C_{25}H_{24}NO_4S$ 434.1426 $[M+H]^+$, found 434.1432 $[M+H]^+$.

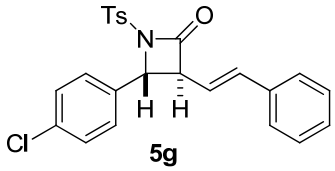
^{1}H NMR (400 MHz, $CDCl_3$) - Mixture of Isomers



^{13}C NMR (101 MHz, $CDCl_3$) - Mixture of Isomers



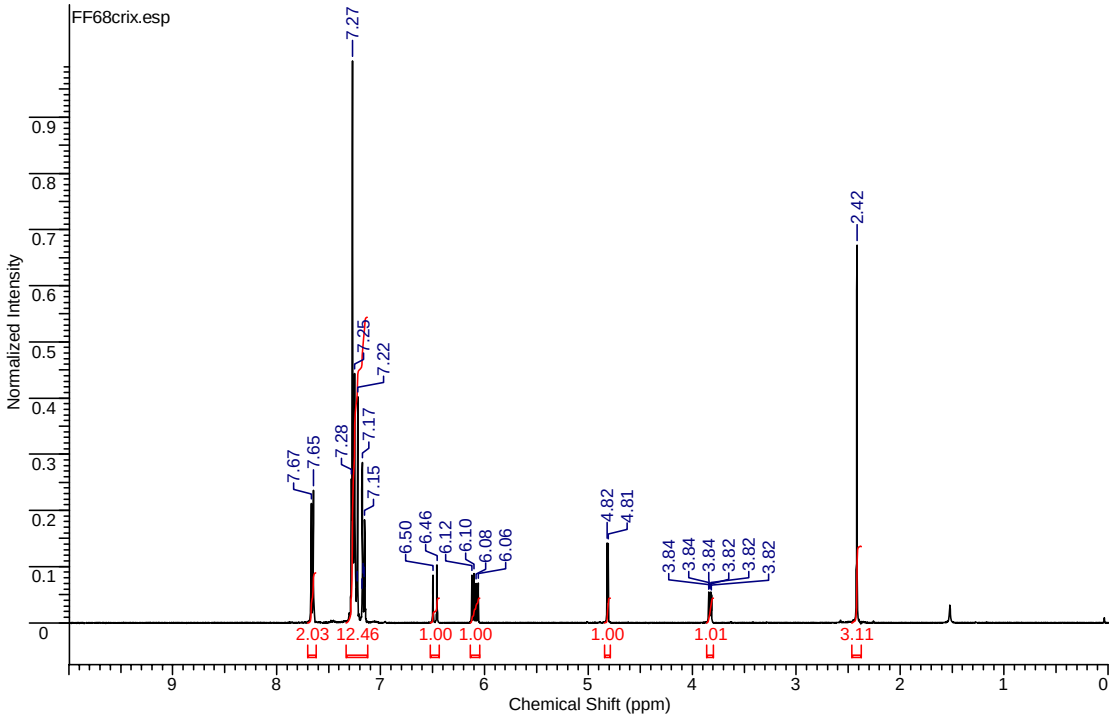
trans-(_E_)-4-(4-Chlorophenyl)-3-styryl-1-tosylazetidin-2-one, 5g



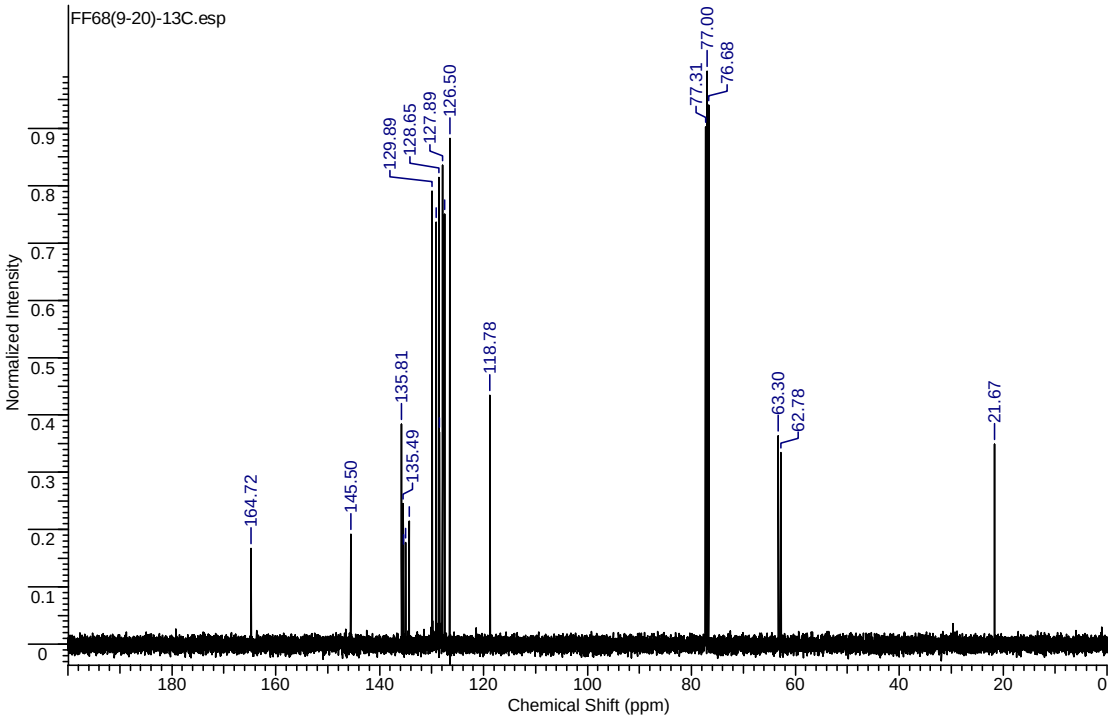
5g

Yield: 65%. D.r. (*trans-Z* **3g**/*cis-E* **4g**/*trans-E* **5g**) = 2/2/96 (from *trans* of aziridine). A pure analytical sample of *trans-E* isomer was obtained by recrystallisation, pale yellow prisms, m.p.: 114-115 °C (PE/EtOAc). R_f = 0.27 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.42 (s, 3 H, 4-CH_3-Ph (Ts)), 3.83 (ddd, *J*=7.9, 3.3, 1.1 Hz, 1 H, H-3), 4.82 (d, *J*=3.3 Hz, 1 H, H-4), 6.09 (dd, *J*=15.9, 7.9 Hz, 1 H, C*H*=CH-Ph), 6.48 (dd, *J*=15.9, 1.0 Hz, 1 H, CH=C*H*-Ph), 7.13-7.33 (m, 11 H, Ar), 7.66 (d, *J*=8.4 Hz, 2 H, Ar (Ts)). ^{13}C NMR(101 MHz, $CDCl_3$): δ 21.7 (4-*C*H_3-Ph (Ts)), 62.8 (C-3), 63.3 (C-4), 118.8 (*C*H=CH-Ph), 126.6-129.9 134.3 135.0 135.5 135.8 145.5 (Ar and CH=*C*H-Ph), 164.7 (C-2). IR (neat, cm^{-1}): 2920, 1779 (*vCO*), 1596, 1492, 1368 (*v*SO_2), 1158 (*v*SO_2), 1086, 813. HRMS (CI) calcd for $C_{24}H_{21}NO_3SCl$ 438.0931 $[M+H]^+$, found 438.0924 $[M+H]^+$.

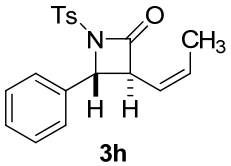
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)



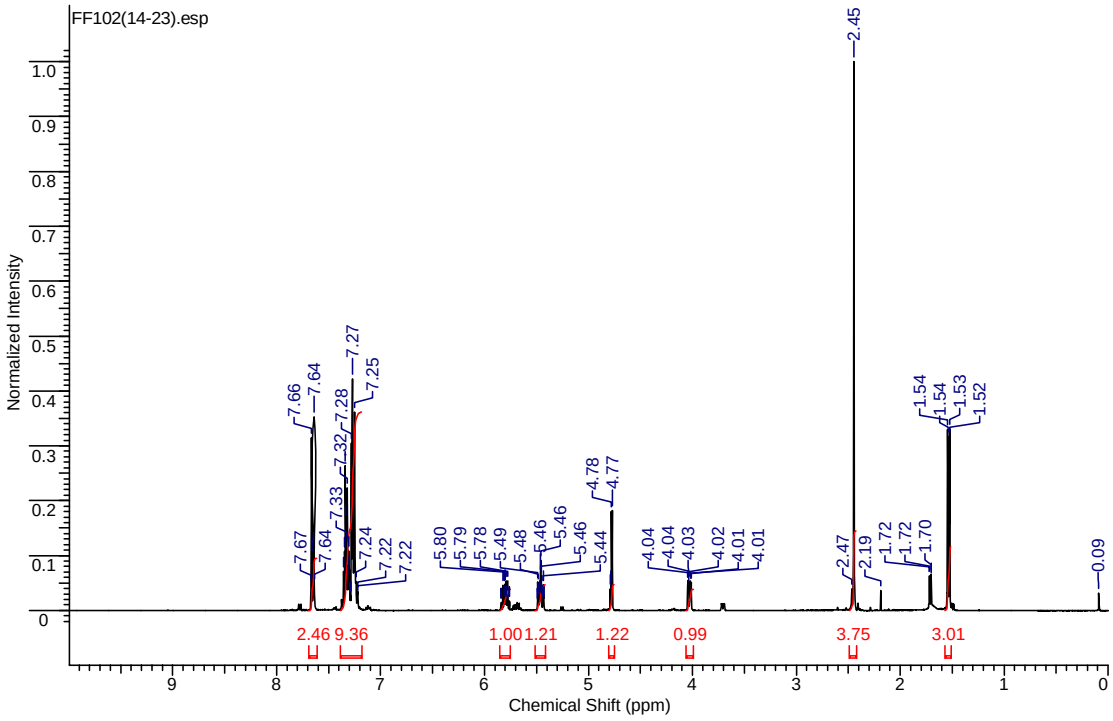
Preparation of *trans*-4-phenyl-3-((Z)-prop-1-enyl)-1-tosylazetidin-2-one, 3h



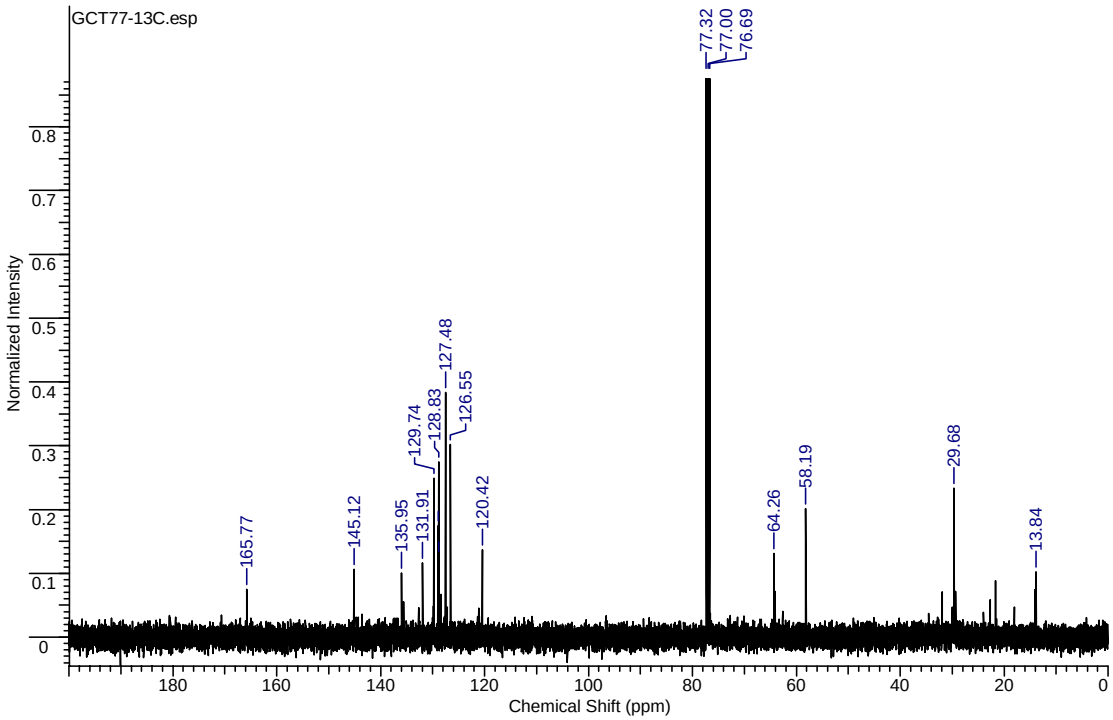
3h

Triphenylphosphine (31.4 mg, 0.6 equiv, 0.12 mmol) was added to a solution of $Pd_2(dba)_3 \cdot CHCl_3$ (10.4 mg, 0.1 equiv Pd, 0.01 mmol) in anhydrous toluene (0.7 mL). After 30 minutes the reaction atmosphere was changed to CO (balloon) the solution cooled to -20 °C and a cold solution of *trans*-aziridine **1h** (63 mg, 0.20 mmol in 2.6 mL of dry toluene) was rapidly added. The vial was then transferred to an autoclave and a carbon monoxide atmosphere introduced (50 bar). After stirring for 72 h at room temperature the solvent was removed under reduced pressure and the residue purified by flash chromatography (SiO_2, PE/EtOAc 95:5 to 90:10) to give the β-lactam as an amorphous solid. (54 mg, yield: 79%, d.r. *trans-Z* **3h** */trans-E* **5h** */cis-Z* **6h** = 80/16/4). R_f = 0.25 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$), *trans-Z*-isomer **3h**: δ 1.53 (dd, *J*=6.9, 1.8 Hz, 3 H, CH_3) 2.45 (s, 3 H, 4-CH_3-Ph (Ts)), 4.03 (m, *J*=8.6, 3.1 Hz, 1 H, H-3), 4.77 (d, *J*=3.3 Hz, 1 H, H-4), 5.46 (ddq, *J*=10.6, 8.8, 1.8 Hz, 1 H, C*H*=CH-CH_3), 5.80 (ddq, *J*=10.6, 6.9, 1.4 Hz, 1 H, CH=C*H*-CH_3), 7.21-7.39 (m, 7 H, Ar), 7.65 (d, *J*=8.4 Hz, 2 H, Ar). ^{13}C NMR(101 MHz, $CDCl_3$), *trans-Z*-isomer **3h**: δ 13.9 (*C*H_3), 29.7 (4-*C*H_3-Ph (Ts)), 58.2 (C-3), 64.2 (C-4), 120.4 (*C*H=CH-CH_3), 126.5-129.7 131.9 135.9 145.1 (Ar and CH=*C*H-CH_3), 165.8 (C-2). IR (neat, cm^{-1}): 2922, 1790 (*CO*), 1363 (*SO_2*), 1167 (*SO_2*), 1086. HRMS (CI) calcd for $C_{19}H_{20}NO_3S$ 342.1164 $[M+H]^+$, found 342.1167 $[M+H]^+$.

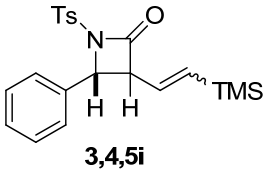
^{1}H NMR (400 MHz, $CDCl_3$) - Mixture of Isomers



^{13}C NMR (101 MHz, $CDCl_3$) - Mixture of Isomers



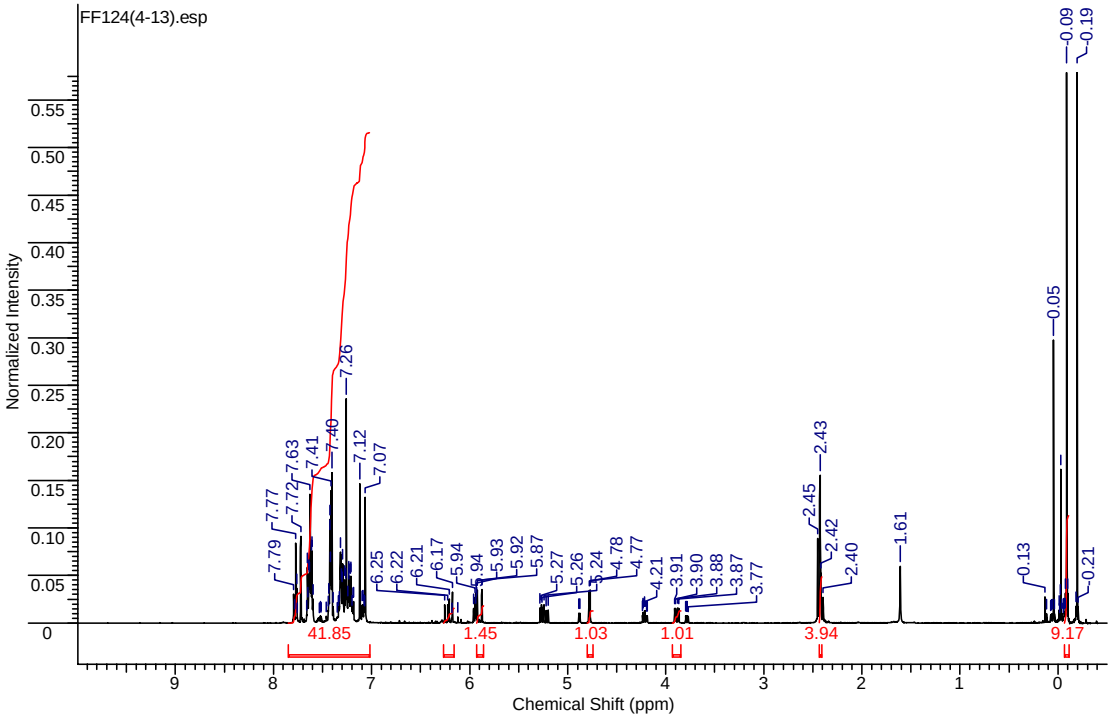
Preparation of 4-phenyl-1-tosyl-3-(2-(trimethylsilyl)vinyl)azetidin-2-one, 3,4,5i



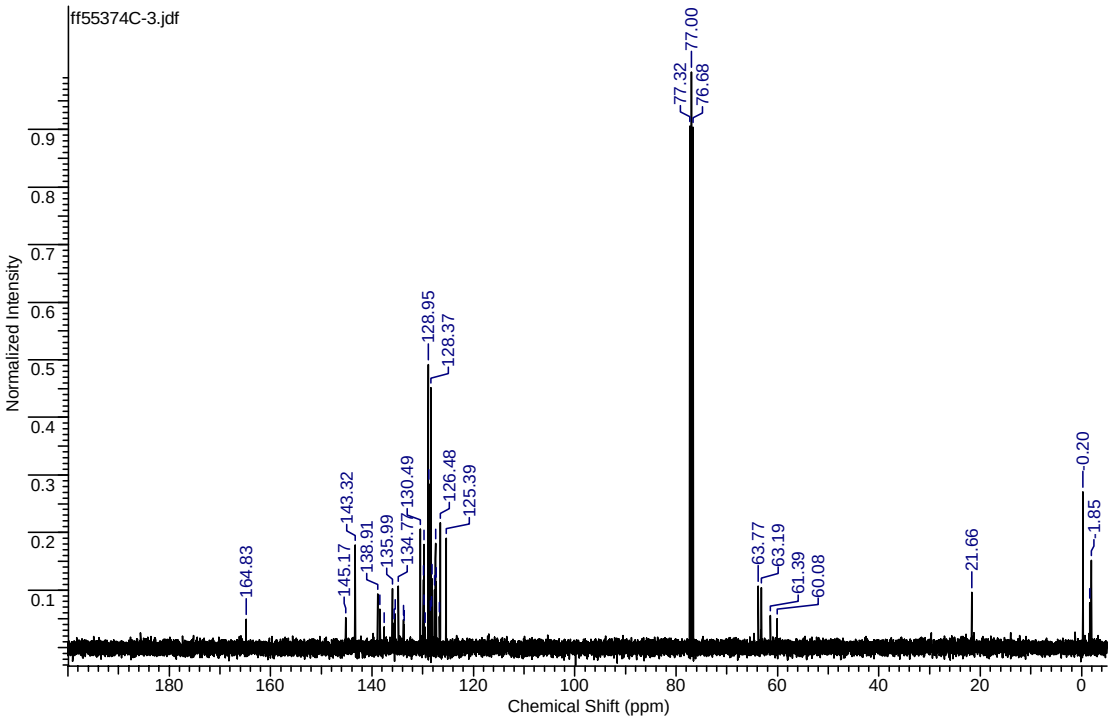
3,4,5i

Triphenylphosphine (31.4 mg, 0.6 equiv, 0.12 mmol) was added to a solution of $Pd_2(dba)_3 \cdot CHCl_3$ (10.4 mg, 0.1 equiv Pd, 0.01 mmol) in anhydrous toluene (0.7 mL). After 30 minutes the reaction atmosphere was changed to CO (balloon) the solution cooled to -20 °C and a cold solution of *trans*-aziridine **1i** (63 mg, 0.20 mmol in 2.6 mL of dry toluene) was rapidly added. The vial was then transferred to an autoclave and a carbon monoxide atmosphere introduced (50 bar). After 4 hours the solvent was removed under reduced pressure and the residue purified by flash chromatography (SiO_2, PE/EtOAc 95:5 to 90:10) to give a mixture of the β-lactam as an amorphous solid (35 mg, 43 %, d.r. (*trans-Z* **3i** */cis-E* **4i** */trans-E* **5i**) = 37/52/11) and the δ-lactam **18** (13 mg, 20%). ^{1}H NMR (400 MHz, $CDCl_3$), *cis-E*-isomer **4i**: δ -0.09 (s, 9 H, Si(CH_3)$_3$), 2.43 (s, 3 H, 4-CH_3-Ph (Ts)), 3.89 (dd, *J*=9.9, 3.1 Hz, 1 H, H-3), 4.78 (d, *J*=3.3 Hz, 1 H, H-2), 5.90 (dd, *J*=13.9, 0.7 Hz, 1 H, CH=C*H*-TMS), 6.21 (dd, *J*=13.9, 9.9 Hz, 1 H, C*H*=CH-TMS), 7.07-7.79 (m, 9 H, Ar). ^{13}C NMR(101 MHz, $CDCl_3$), *cis-E*-isomer **4i**: δ -0.20 (Si(CH_3)$_3$), 21.7 (4-*C*H_3-Ph (Ts)), 63.2 63.8 (C-3 and C-4), 125.4-130.49 134.8 136.0 138.4 138.9 143.3 (Ar, *C*H=CH-TMS and CH=*C*H-TMS), 164.8 (C-2). IR (neat, cm^{-1}): 2898, 1795 (*CO*), 1368 (*SO_2*), 1171 (*SO_2*). HRMS (CI) calcd for $C_{21}H_{25}NO_3SSi$ 399.1324 $[M+H]^+$, found 399.1331 $[M+H]^+$.

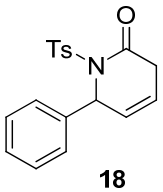
^{1}H NMR (400 MHz, $CDCl_3$) - Mixture of Isomers



^{13}C NMR (101 MHz, $CDCl_3$) - Mixture of Isomers



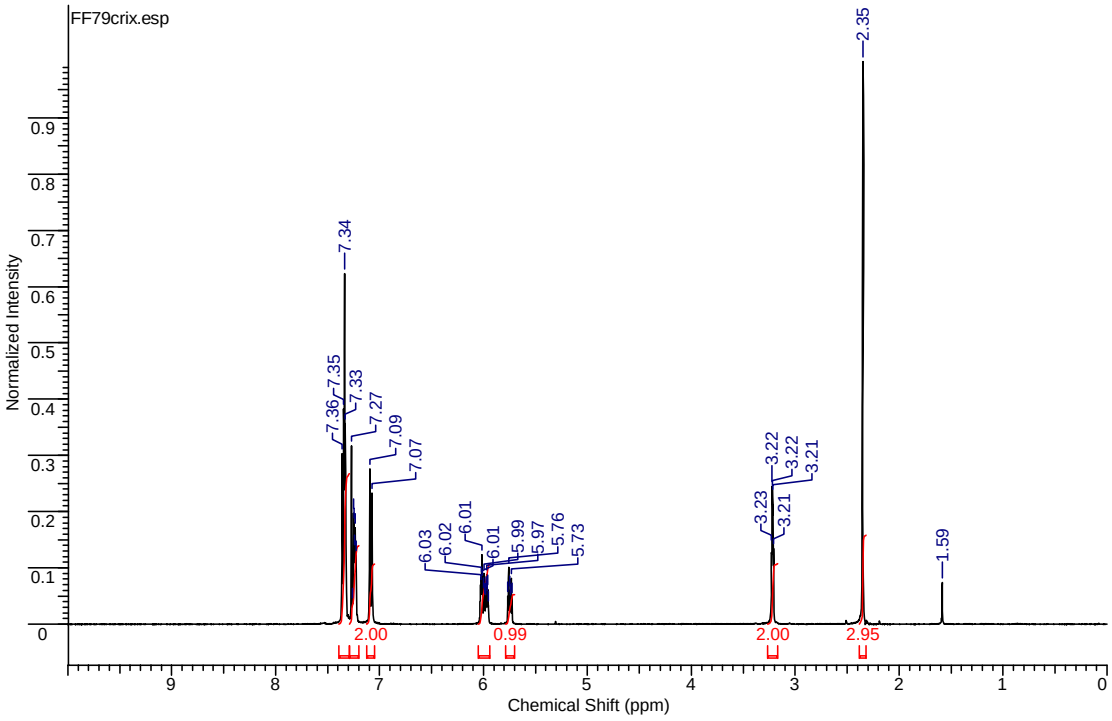
Preparation of 6-phenyl-1-tosyl-1,6-dihydropyridin-2(3H)-one, 18



18

Triphenylphosphine (31.4 mg, 0.6 equiv, 0.12 mmol) was added to a solution of $Pd_2(dba)_3 \cdot CHCl_3$ (10.4 mg, 0.1 equiv Pd, 0.01 mmol) in anhydrous toluene (0.7 mL). After 30 minutes the reaction atmosphere was changed to CO (balloon) and a solution of *trans*-aziridine **1i** (0.20 mmol) in anhydrous toluene (2.6 mL) was rapidly added and the mixture stirred at room temperature for 2 hours. The solvent was removed under reduced pressure and the residue purified by flash chromatography (SiO_2, PE/EtOAc 90:10 to 95:5) to give the δ-lactam (40 mg, yield: 61%) as pale yellow prisms; m.p.: 184-185 °C (PE/EtOAc). R_f = 0.13 (PE/EtOAc 80:20). ^{1}H NMR (400 MHz, $CDCl_3$): δ 2.35 (s, 3 H, 4-C*H*$_3$-Ph (Ts)), 3.22 (dt, *J*=3.5, 1.9 Hz, 2 H, H-3), 5.75 (dt, *J*=9.6, 3.4 Hz, 1 H, H-6), 5.93-6.05 (m, 2 H, H-4 and H-5), 7.08 (d, *J*=8.4 Hz, 2 H, Ar), 7.18-7.40 (m, 7 H, Ar). ^{13}C NMR(101 MHz, $CDCl_3$): δ 21.5 (4-*C*H$_3$-Ph (Ts)), 34.2 (C-3), 61.9 (C-6), 119.5 (C-5), 128.2-129.3 135.6 139.8 144.5 (Ar and C-4), 167.8 (C-2). IR (neat, cm^{-1}) 2901, 1684 (*vCO*), 1352 (*vSO*$_2$), 1163 (*vSO*$_2$). HRMS (CI) calcd for $C_{18}H_{18}NO_3S$ 328.10074 $[M+H]^+$, found 328.10048 $[M+H]^+$.

^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)