# **Supporting Information**

## Light Empowered Aziridination of Olefins under Metal- and

### **Photocatalyst-Free conditions**

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#### I. General Information

<sup>1</sup>H NMR, <sup>13</sup>C NMR spectra were recorded on a Bruker AV 600 or AV 400 NMR spectrometer. Chemical shifts were reported in parts per million (ppm) and calibrated using residual undeuterated solvent as an internal reference (CDCl<sub>3</sub>: 7.26 ppm <sup>1</sup>H NMR, 77.0 ppm <sup>13</sup>C NMR). Multiplicity was indicated as follows: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), dd (doublet of doublet), dt (doublet of triplet), td (triplet of doublet), ddd (doublet of doublet of doublet of doublet of doublet of doublet.) All high resolution mass spectra (HRMS) were obtained on an Agilent 6545 LC/Q-TOF spectrometer. The UV-Vis measurements were carried out using a UV-Vis spectrophotometer (ULN 2209003, MAPADA P6). The thin layer chromatography (TLC) was performed using glass plates covered with SiO<sub>2</sub>. Spots were visualized by UV light irradiation or by staining of the TLC plate with iodine or Phosphomolybdic Acid (PMA), followed by heating. UV-vis absorption spectra were taken at ambient temperature using MAPADA P6 spectrofluorometer. Unless otherwise indicated, all reactions were carried out under nitrogen atmosphere at room temperature with magnetic stirring. All reagents were purchased from commercial source and without prior purification. Column chromatography was performed on silica gel (200-300 mesh) and the elution was performed with *n*-hexane/ethyl acetate.

The Material of the Irradiation Vessel

Manufacturer: Xi'an WATTCAS experimental equipment co. LTD Model: WP-TEC-1020HSL Broadband source:  $\lambda = 400-405$  nm Material of the irradiation vessel: borosilicate reaction tube Distance from the light source to the irradiation vessel: 2.0 cm

photon flux density:  $5.044 \times 10^{-8}$  einstein s<sup>-1</sup>

Not use any filters



Figure S1. reaction set-up

#### **II.** Preparation of substrate

General procedure for the synthesis of aliphatic olefins<sup>1</sup>



Methyltriphenylphosphonium bromide (15 mmol, 1.5 equiv.) and tBuOK (30 mmol, 3.0 equiv.) in dry THF (20 mL) at 0 °C under  $N_2$  atmosphere. Stir the mixture for 1 hour. Add corresponding ketone (10 mmol, 1.0 equiv.) dissolved in THF (20 mL) slowly to the mixture. Stir the reaction mixture at room temperature for 1 hour. Monitor the completion of the reaction by TLC. Quench the reaction by addition of sat. aq. NH<sub>4</sub>Cl (30 mL). Extract the mixture with EA (3 x 30 mL). Combine the organic phases. Dry the organic phases over anhydrous  $Na_2SO_4$ . Remove the solvent. Purify the resulting crude product by silica gel column chromatography (silica gel, cyclohexane/ethyl acetate, 20:1) to obtain the product S2n, S2w.

General procedure for the synthesis of chloramine T derivatives<sup>2</sup>



To a solution of benzene sulfonamide derivatives (10 mmol, 1.0 equiv.) in MeOH (10 mL) was added trichloroisocyanuric acid (3.3 mmol, TCCA, 0.33 equiv.). The reaction mixture was stirred at room temperature for 1 h and the solvent was removed under reduced pressure. Toluene was added to the resulting white precipitate and then filtered through a pad of Celite. The filtrate was concentrated under reduced pressure and to the resulting liquid was subsequently added MeOH, the solution was then cooled to 0 °C and a solution of sodium hydroxide (1.0 equiv.) in methanol (0.3 M) was slowly added. The reaction was allowed to stir at room temperature for 30 min, the solvent was removed under reduced pressure to afford the product **S4a'-S4d'**.

#### General procedure for the synthesis of quinolinecarboxylic acid derivative<sup>3</sup>

Add alcohol derivative (4.0 mmol, 2.0 equiv.), quinolinecarboxylic acid derivative (2.0 mmol, 1.0 equiv.), 4-dimethylamino pyridine (0.2 mmol, 10 mol%) and a stir bar to a Schlenk tube. Evacuate the Schlenk tube and backfill with nitrogen gas three times. Add dry dichloromethane (0.2 M) via syringe to the Schlenktube. Place the Schlenk tube in an ice bath positioned on top of a stirring plate. Add dicyclohexyl carbodiimide (4.0 mmol, 2.0 equiv.) to the mixture via syringe dropwise over a period of 5 min. Remove the ice bath allowing the reaction to return to room temperature. Leave the reaction to stir overnight. Finish the reaction the mixture and concentrate through rotary evaporation. Purification by column chromatography, the solvent was removed under reduced pressure to afford the product **S2y**.

#### **III. Reaction Optimization and Control Experiments**

Table S1. Selected reaction optimization

	CAT (1.5 equiv.), 405 nm	
	ACN: H <sub>2</sub> O (9: 1), N <sub>2</sub> , rt, 16 h	
	S3b 3b	
Entry	Deviation from standard conditions <sup>a</sup>	Yield <sup>b</sup> (%)
1	None	81
2	EtOH as solvent	43
3	MeOH as solvent	45
4	ACN as solvent	68
5	DCM as solvent	14
6	THF as solvent	15
7	DMF as solvent	trace
8	DMSO as solvent	trace
9	DCE as solvent	12
10	Dioxane as solvent	n.d.
11	370 nm light instend of 10 W 405 nm LED	51
12	390 nm light instend of 10 W 405 nm LED	58
13	435 nm light instend of 10 W 405 nm LED	36
14	455 nm light instend of 10 W 405 nm LED	8
15	470 nm light instend of 10 W 405 nm LED	n.d.
16	Dark conditions	n.d.
17	Dark conditions, 60°C	n.d.
18	Air atmosphere	14

<sup>*a*</sup>Conditions: Alkene (0.3 mmol, 1.0 equiv.), CAT (0.45 mmol, 1.5 equiv.), ACN:H<sub>2</sub>O = 9:1 (0.3 M), room temperature, nitrogen atmosphere, 10 W 405 nm LED, 16 h. <sup>*b*</sup> Isolated yields. n.d. No detected.

#### IV. General procedure for aziridination of olefins



**Procedure :** To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar was added the corresponding Chloramine-T (0.45 mmol, 1.5 equiv.), olefins (0.3 mmol, 1.0 equiv.) in 3 mL ACN:  $H_2O$  (9:1) under nitrogen atmosphere. The resulting solution was stirred under 10 W 405 nm LED for 16 h (25 °C). After that, the reaction mixture was diluted with EA. Then, poured into an extraction funnel, the organic phase was dried over  $Na_2SO_4$  and concentrated under reduced pressure. Purification by flash column chromatography with PE/EA as an eluent gave the product **1a-3i**.



Figure S2. Reaction vessel and reaction set-up.

### V. Application of the methodology

(1) Gram-scale synthesis



To a dry 100 mL round-bottom flask was added norbornene (5 mmol, 1.0 equiv.), CAT (7.5 mmol, 1.5 equiv.) and 50 mL ACN:H<sub>2</sub>O (9:1) under nitrogen atmosphere. The resulting solution was stirred under irradiation of 10 W 405 nm LEDs for 24 h. On completion, the reaction mixture was quenched with H<sub>2</sub>O, poured into a separatory funnel, and extracted with EtOAc. The combined organic layers were dried over Na<sub>2</sub>SO<sub>4</sub> and concentrated under reduced pressure. Purification by flash column chromatography gave the compound **3b** as white solid (0.83 g, 63% yield).



To a dry 100 mL round-bottom flask was added linalyl acetate (5 mmol, 1.0 equiv.), CAT (7.5 mmol, 1.5 equiv.) and 50 mL ACN:  $H_2O$  (9:1) under nitrogen atmosphere. The resulting solution was stirred under irradiation of 10 W 405 nm LEDs for 24 h. On completion, the reaction mixture was quenched with  $H_2O$ , poured into a separatory funnel, and extracted with EtOAc. The combined organic layers were dried over Na<sub>2</sub>SO<sub>4</sub> and concentrated under reduced pressure. Purification by flash column chromatography gave the compound **3d** as colorless oil (0.83 g, 63% yield).





(2) Extend-scale using continuous-flow reactor



To a dry 50 mL round-bottom flask was added styrene (5 mmol, 1.0 equiv.), CAT (1.5 mmol, 1.5 equiv.), 20 mL ACN: H<sub>2</sub>O (9:1). The resulting solution was stirred under nitrogen atmosphere, transferred to a 5 mL syringe and then placed on peristaltic pumps set at a flow rate of 50  $\mu$ L/min. Later, the mixture was introduced into feeding tubes under irradiation of 40W 405 nm LEDs. Due to the capacity limitation of the syringe and feeding tubes, the reaction was prepared twice with 5 mL reaction mixture each time, and the total reaction time was 2 h. On completion, the reaction mixture was quenched with H<sub>2</sub>O, poured into a separatory funnel, and extracted with EtOAc. The combined organic layers were dried over Na<sub>2</sub>SO<sub>4</sub> and concentrated under reduced pressure. Purification by flash column chromatography gave the compound **1a** (158 mg, 58% yield). Other substrates are similar to the above experimental steps.



Figure S4. Reaction vessel and reaction set-up (flow chemistry).

### **VI. Mechanistic Investigation**

(1) UV/vis absorption spectra<sup>4</sup>



**Figure S5.** UV/vis absorption spectra of individual reaction components. All spectra were measured in ACN:  $H_2O$  (9:1) with a concentration of 0.005 M CAT, 0.01 M CAT, 0.02 M CAT, 0.05 M CAT, 0.1 M CAT.

Initially, the experiment demonstrated that the absorption wave-length of CAT undergoes a red shift as its concentration increases. At a concentration of 0.1 M, the absorption wavelength red-shifted to approximately 400 nm, providing evidence that CAT can absorb visible light (Figure S5).



**Figure S6.** UV/vis absorption spectra of individual reaction components and a combination. All spectra were measured in ACN: $H_2O$  (9:1) with a concentration of 0.1 M CAT.

Simultaneously, UV absorption spectra were obtained for both styrene and CAT samples. The experimental data showed no red shift in the mixed samples, thus excluding the possibility of an EDA process occurring in the ground state (Figure S6). (2) Mechanism validation experiments



Using longifolene as the substrate, the aziridine product was not detected; instead, the trans-elimination product (P2) was obtained with a moderate yield. The spatial configuration of longifolene does not conform to the steric requirements for an intramolecular  $S_N2$  nucleophilic substitution, thereby leading to the occurrence of an elimination reaction.



To investigate the reaction mechanism, styrene was chosen as a model substrate. The reaction progress was monitored by TLC over an 8 hours period, during which intermediate **P3** and product **2a** were isolated and purified. Upon prolonging the reaction time, **P3** was fully trans-formed into **2a**, providing evidence that the chlorine radical and carbon radical participated in a radical-radical coupling process facilitated by electronic complementarity.

#### (3) HRMS Analysis for Proposed Intermediates



To a 10 mL oven-dried Schlenk tube equipped with a magnetic stir bar was added the corresponding CAT (0.3 mmol, 1.0 equiv.), BHT (0.6 mmol, 2.0 equiv.) and ACN:H<sub>2</sub>O (9:1, 3 mL). The resulting solution was stirred under irradiation of a 10 W 405 nm LED for 16 h. After completion of the reaction, the reaction mixture was detected via HRMS. Evidently, the Int **P4** was detected by HRMS (Figure S7).



Figure S7. HRMS data of the Int P4.



To further investigate whether the photochemical synthesis of aziridines involves chlorine radical generation, we introduced 1,1-diphenylethylene as a radical scavenger during the reaction. High-resolution mass spectrometry (HRMS) analysis verified the successful trapping of chlorine radicals (Cl•) by 1,1-diphenylethylene. Evidently, the Int P5 was detected by HRMS (Figure S8).



#### Figure S8. HRMS data of the Int P5.

#### (4) EPR experiment (Capture of C Radical)

For further explore the species of radical involved in the reaction, 5,5-dimethyl-1pyrroline N-oxide (DMPO) were used to trap Radicals. Irradiation of the reaction solution of DMPO with styrene under nitrogen with 405 nm resulted in the formation of a strong characteristic signal C radical adduct with DMPO (Figure S9), implying that C radical is also present during the reaction.





#### (5) Determination of the Quantum Yield

To determine the quantum yield of the photocatalytic reaction, we calculated the photon flux of the LED light source ( $\lambda_{max} = 405$  nm) using the standard ferrooxalate spectrophotometric method.

Optical density measurement: First, a 0.15 M ferrooxalate solution was prepared by

dissolving 2.21 g of potassium ferrooxalate hydrate in 30 mL of 0.05 M sulfuric acid. Additionally, a buffer solution containing 1,10-phenanthroline was prepared by dissolving 50 mg of 1,10-phenanthroline and 11.25 g of sodium acetate in 50 mL of 0.5 M sulfuric acid. Both solutions were stored in the dark. To measure the photon flux of the spectrometer, 2.0 mL of the ferrooxalate solution was placed in a 1 cm cuvette and irradiated under a  $\lambda = 405$  nm light for 90 s. After irradiation, 0.35 mL of the 1,10phenanthroline solution was added to the cuvette. The solution was then placed in the dark and allowed to sit for 1 h, ensuring complete coordination of ferrous ions with 1,10-phenanthroline. Parallel experiments were performed in triplicate under the same conditions, with one set prepared without irradiation, following the same procedure and left in the dark for 1 h. Finally, the absorbance at 510 nm was measured for all samples.

	Non-irrad	Irrad 1	Irrad 2	Irrad 3	
A510 nm	0.764	1.707	1.600	1.683	
Average <i>A</i> 510 nm of irradiation samples		1.663			

The experimental absorbance data obtained is as follows:

The molar amount of  $Fe^{2+}$  (mol  $Fe^{2+}$ ) can be calculated using the formula (1), based on the absorbance at 510 nm ( $\epsilon$ ) for the complex formed between  $Fe^{2+}$  and 1,10phenanthroline:

mol 
$$\mathbf{F}\mathbf{e}^{2+} = (\mathbf{V} \times \Delta \mathbf{A})/(\mathbf{I} \times \varepsilon)$$
 (1)

(V is the total volume of the solution after adding phenanthroline  $(2.35 \times 10^{-3} \text{ L})$ ,  $\Delta A$  is the absorbance difference at 510 nm between the irradiated and non-irradiated solutions, 1 is the path length (1.0 cm), and  $\varepsilon$  is the molar absorptivity of ferrooxalate at 510 nm (11100 L mol<sup>-1</sup> cm<sup>-1</sup>).

Subsequently, the photon flux of the LED was calculated using formula (2):

**photo flux** = mol Fe<sup>2+</sup>/ (
$$\Phi \times t \times f$$
) (2)

Where  $\Phi$  is the quantum yield for the ferrioxalate actinometer (1.13 for a 0.15 M solution at  $\lambda = 405$  nm),<sup>8</sup> t is the time (90.0 s), and f is the fraction of light absorbed at  $\lambda = 405$  nm (0.94246, *vide infra*). The photon flux was calculated (average of three experiments) to be  $4.715 \times 10^{-8}$  einstein s<sup>-1</sup>.

Measurement of the reaction quantum yield: Add 2-methyl-2-butene (0.3 mmol), CAT (0.45 mmol) and ACN:H<sub>2</sub>O (9:1/3ml) to the reaction tube. Under open-air conditions at room temperature, irradiate with a  $\lambda_{max} = 405$  nm LED lamp for 18,000 s. After irradiation, the yield of product **3b** was determined by <sup>1</sup>H NMR based on a trifluoromethoxybenzene standard, and the final yield was 19.3% (1.73 × 10<sup>-4</sup> mol). The reaction quantum yield was calculated using formula (3):

**Quantum Yield (\Phi)** = moles of product formed/ (flux × f × t) (3)

$$= 1.73 \times 10^{-4} / (4.715 \times 10^{-8} \times 0.942 \times 18000)$$

$$= 0.22$$

#### (6) Light on/off experiments



Five parallel reactions were performed between norbornene **S3b** (0.3 mmol,1.0 equiv.), CAT (0.45 mmol, 1.5 equiv.) according to the procedure. The yield of **3b** was recorded at specified time intervals. The light irradiation was represented by the white area, while the blue area indicates the duration in darkness (Figure S9).



Figure S10. Light on/off experiments of 3b.

#### (7) Calculations of green chemistry metrics

In recent years, the importance of sustainability has been steadily increasing. EcoScale is a semi-quantitative tool for selecting green reagents based on economic and ecological parameters. In this part, we selected some reported strategies as control groups, and systematically evaluated the EcoScales of our strategy and control groups in terms of six parameters including yield, price of reaction components, safety, technical setup, temperature/time, workup and purification. Our strategy received EcoScale scores of 62.5, which is deemed acceptable regarding sustainability.

EcoScale = 100 - sum of individual penalties Score on Eco Scale: > 75, Excellent; > 50, Acceptable; < 50, Inadequate Table S2. Calculation of Ecoscale score for this work

$ \begin{array}{c} \overbrace{\begin{subarray}{c} S2h \end{array}}{\sum} & \begin{array}{c} CAT \ (1.5 \ equiv.), \ 405 \ nm \\ ACN:H_2O \ (9:1), \ N_2, \ rt, \ 16 \ h \\ 2h, \ 79\% \end{array} \\  \end{array} \\ \begin{array}{c} \searrow \\ N-Ts \\ 2h, \ 79\% \end{array} $	
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A. Calculation of Penalty Points:

Penalty Points

Parameters		Penalty Points
1. Yield:	(100- % of yield)/2 = (100-81)/2	10.5
<ul><li>2. Price of reaction</li><li>a. Cyclopenten</li><li>b. CAT = 18.9</li></ul>	on components (To obtain 10 mmol of end product, <b>3b</b> ) ne = 12.6 mmol = 0.86 g = USD 2 mmol = 4.3 g = USD 0.2	
Total cost of syn	thesis of <b>2h</b> = (2 + 0.2) = USD 2.2	
Thus inexpensiv	e, since (total cost of synthesis of 10 mmol of <b>2h</b> ) < \$10:	0
3. Safety		
ACN (T)		5
Cyclopentene(	F)	5
4. Technical Setu	р	
Photochemical	activation	2
Gas atmosphe	re	1
5. Temperature/ti	me	
Roomtemperat	ure, 16 h	1
6. Workup and pu	urification	
Liquid-liquid ex	traction	3
Classical chror	natography	10

Total penalty points:

37.5

#### B. Ecoscale calculation:

EcoScale score: (100-37.5) = 62.5 ( > 50; it is an acceptable synthesis)

Number			Strategy		EcoScale
l <sup>4</sup>	$\bigcirc$	+	HFIP, N₂, 16h ►	N-Ts 2h, 80%	56
11 <sup>5</sup>	$\bigcirc$	+	[Fe <sup>ll</sup> (NCMe) <sub>6</sub> ](PF <sub>6)2</sub> MS 5 Å, MeCN, 30°C 24h	2h, 25%	43.5
III <sup>6</sup> BI	-S + S	SO <sub>2</sub> NH <sub>2</sub>	K₂CO₃ DCM, 24h	<b>N-Ts</b> 2h, 25%	55
IV <sup>7</sup>	$\bigcirc$	+ Trents	Ru(bpy)₃Cl₂ 470 nm, DCM, 24h ►	<b>N-Ts</b> 2h, 85%	58.5

Table S3. Calculation of Ecoscale score for control groups<sup>5-8</sup>

VII. Analytic Data of products (reactions was conducted at 0.3 mmol scale).



**2-phenyl-1-tosylaziridine (1a).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 65% yield (53.2 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.90 (d, *J* = 8.1 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.31 (d, *J* = 6.6 Hz, 3H), 7.28 – 7.21 (m, 2H), 3.80 (dd, *J* = 7.2, 4.5 Hz, 1H), 3.01 (d, *J* = 7.2 Hz, 1H), 2.45 (s, 3H), 2.41 (d, *J* = 4.5 Hz, 1H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 144.7, 135.1, 135.0, 129.8, 128.6, 128. 3, 128.0, 126.6, 41.1, 36.0, 21.7.

(Known compound: Nat Commun., 2022, 13, 86.)



**2-(4-fluorophenyl)-1-tosylaziridine (1b).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 71% yield (61.9 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.89 – 7.83 (m, 2H), 7.34 (d, *J* = 8.1 Hz, 2H), 7.23 – 7.11 (m, 2H), 7.11 – 6.92 (m, 2H), 3.79 – 3.72 (m, 1H), 2.96 (d, *J* = 7.1 Hz, 1H), 2.44 (s, 3H), 2.35 (d, *J* = 4.4 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-d)

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 162.7 (d, *J* = 247.1 Hz), 144.8, 134.9, 130.9 (d, *J* = 3.2 Hz), 129.8, 128.3 (d, *J* = 8.2 Hz), 128.0, 115.6 (d, *J* = 21.9 Hz), 40.3, 36.1, 21.7.

<sup>19</sup>F NMR (376 MHz, Chloroform-*d*) δ -113.40.

(Known compound: Nat Commun., 2022, 13, 86.)



**2-(4-chlorophenyl)-1-tosylaziridine (1c).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 69% yield (63.5 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.90 – 7.85 (m, 2H), 7.35 (d, *J* = 8.1 Hz, 2H), 7.30 – 7.25 (m, 2H), 7.19 – 7.15 (m, 2H), 3.75 (dd, *J* = 7.1, 4.4 Hz, 1H), 2.99 (d, *J* = 7.1 Hz, 1H), 2.45 (s, 3H), 2.36 (d, *J* = 4.4 Hz, 1H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 144.9, 134.8, 134.2, 133.7, 129.9, 128.8, 127.9, 128.0, 40.3, 36.1, 21.7.

(Known compound: Nat Commun., 2022, 13, 86.)

**2-(4-bromophenyl)-1-tosylaziridine (1d).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 66% yield (69.4 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.88 (d, *J* = 8.1 Hz, 2H), 7.47 – 7.40 (m, 2H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.11 (d, *J* = 8.4 Hz, 2H), 3.78 – 3.70 (m, 1H), 3.00 (d, *J* = 7.2 Hz, 1H), 2.46 (s, 3H), 2.36 (d, *J* = 4.4 Hz, 1H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 144.9, 134.8, 134.2, 131.7, 129.8, 128.2, 128.0, 122.3, 40.3, 36.0, 21.7.

(Known compound: Green Chem., 2021, 23, 9428.)



**2-(2-chlorophenyl)-1-tosylaziridine (1e).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 52% yield (47.8 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 8.3 Hz, 2H), 7.40 – 7.33 (m, 3H), 7.25 – 7.19 (m, 3H), 4.06 (dd, *J* = 7.2, 4.4 Hz, 1H), 3.05 (d, *J* = 7.2 Hz, 1H), 2.46 (s, 3H), 2.31 (d, *J* = 4.4 Hz, 1H).
<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.9, 134.6, 133.8, 133.1, 129.9, 129.3, 129.2, 128.1, 127.5, 127.05, 39.0, 35.6, 21.7.

(Known compound: Nat Commun., 2022, 13, 86.)



**2-(2-bromophenyl)-1-tosylaziridine (1f).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 46% yield (48.4 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.90 (d, *J* = 8.1 Hz, 2H), 7.51 (d, *J* = 7.9 Hz, 1H), 7.36 (d, *J* = 8.1 Hz, 2H), 7.23 – 7.10 (m, 3H), 4.03 – 3.95 (m, 1H), 3.03 (d, *J* = 7.2 Hz, 1H), 2.45 (s, 3H), 2.27 (d, *J* = 4.4 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ144.9, 134.8, 134.7, 132.4, 129.9, 129.6, 128.1, 127.9, 127.6, 123.3, 41.8, 35.9, 21.7.

(Known compound: J. Org. Chem., 2020, 85, 8261-8270.)



**2-(3-fluorophenyl)-1-tosylaziridine (1g).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 65% yield (56.7 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.92 – 7.86 (m, 2H), 7.36 (d, *J* = 8.3 Hz, 2H), 7.31 – 7.22 (m, 1H), 7.07 – 7.03 (m, 1H), 7.01 – 6.90 (m, 2H), 3.78 (dd, *J* = 7.2, 4.4 Hz, 1H), 3.00 (d, *J* = 7.1 Hz, 1H), 2.45 (s, 3H), 2.37 (d, *J* = 4.3 Hz, 1H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 164.12, 161.67, 144.92, 137.79, 134.74, 130.26, 130.18, 129.87, 127.98, 122.50 (d, *J* = 2.9 Hz), 115.44, 115.23, 113.48, 113.26, 40.24 (d, *J* = 2.4 Hz), 36.18, 21.67.

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 162.9 (d, *J* = 246.6 Hz), 144.9, 137.8 (d, *J* = 7.7 Hz), 134.7, 130.2 (d, *J* = 8.4 Hz), 129.9, 127.98, 122.5 (d, *J* = 2.9 Hz), 115.3 (d, *J* = 21.2 Hz), 113.4 (d, *J* = 22.7 Hz), 40.2 (d, *J* = 2.4 Hz), 36.2, 21.7.

<sup>19</sup>**F NMR** (376 MHz, Chloroform-*d*) δ -112.44.

(Known compound: ACS Catal., 2023, 13, 8813-8820.)

**2-(3-chlorophenyl)-1-tosylaziridine (1h).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 63% yield (58.0 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.89 (d, *J* = 8.4 Hz, 2H), 7.37 (d, *J* = 8.0 Hz, 2H), 7.28 – 7.23 (m, 2H), 7.21 (d, *J* = 2.1 Hz, 1H), 7.16 – 7.12 (m, 1H), 3.76 (dd, *J* = 7.2, 4.4 Hz, 1H), 2.99 (d, *J* = 7.2 Hz, 1H), 2.46 (s, 3H), 2.37 (d, *J* = 4.3 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.9, 137.2, 134.7, 134.6, 129.9, 129.9, 128.5, 128.0, 126.6, 124.9, 40.1, 36.2, 21.7.

(Known compound: Green Chem., 2021, 23, 9428.)



**4-(1-tosylaziridin-2-yl) benzonitrile (1i).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 48% yield (42.9 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.87 (d, *J* = 6.9 Hz, 2H), 7.59 (dd, *J* = 8.3, 1.9 Hz, 2H), 7.36 (d, *J* = 8.3 Hz, 4H), 3.81 (dd, *J* = 7.2, 4.2 Hz, 1H), 3.03 (dd, *J* = 7.2, 1.4 Hz, 1H), 2.45 (d, *J* = 1.8 Hz, 3H), 2.36 (d, *J* = 4.3 Hz, 1H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 145.1, 140.6, 134.5, 132.4, 129.9, 128.0, 127.3, 118.5, 112.1, 39.9, 36.5, 21.7.

(Known compound: Green Chem., 2021, 23, 9428.)



**1-tosyl-2-(4-(trifluoromethyl)phenyl)aziridine (1J).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 78% yield (79.8 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  7.87 (d, *J* = 8.4 Hz, 2H), 7.55 (d, *J* = 8.1 Hz, 2H), 7.34 (d, *J* = 8.1 Hz, 4H), 3.81 (dd, *J* = 7.2, 4.3 Hz, 1H), 3.02 (d, *J* = 7.2 Hz, 1H), 2.44 (s, 3H), 2.37 (d, *J* = 4.3 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 145.0, 139.2 (d, *J* = 1.6 Hz), 134.7, 130.5 (q, *J* = 32.6 Hz), 128.0, 123.9 (q, *J* = 273.7 Hz)126.9, 125.6 (q, *J* = 3.8 Hz), 40.2, 36.2, 21.7.
<sup>19</sup>F NMR (376 MHz, Chloroform-*d*) δ -62.66.
(Known compound: *Nat Commun.*, 2022, **13**, 86.)



**2-(4-(tert-butyl)phenyl)-1-tosylaziridine(1k).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 61% yield (60.2 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.88 (d, *J* = 8.3 Hz, 2H), 7.44 – 7.27 (m, 4H), 7.24 – 7.11 (m, 2H), 3.78 (dd, *J* = 7.2, 4.5 Hz, 1H), 2.97 (d, *J* = 7.2 Hz, 1H), 2.44 (s, 3H), 2.39 (d, *J* = 4.5 Hz, 1H), 1.29 (s, 9H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 151.5, 144.6, 135.1, 132.1, 129.8, 128.0, 126.4, 125.5, 41.0, 35.8, 34.6, 31.3, 21.7.

(Known compound: Nat Commun., 2022, 13, 86.)



**2-(4-phenoxyphenyl)-1-tosylaziridine (11).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 57% yield (62.4 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.91 – 7.85 (m, 2H), 7.37 – 7.28 (m, 4H), 7.21 – 7.14 (m, 2H), 7.15 – 7.07 (m, 1H), 7.03 – 6.94 (m, 2H), 6.95 – 6.90 (m, 2H), 3.78 (dd, *J* = 7.1, 4.5 Hz, 1H), 2.97 (d, *J* = 7.1 Hz, 1H), 2.44 (s, 3H), 2.39 (d, *J* = 4.5 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*)δ 157.5, 156.8, 144.7, 135.0, 129.9, 129.8, 129.7, 128.1, 128.0, 123.61, 119.1, 118.8, 40.7, 36.0, 21.7.

(Known compound: J. Am. Chem. Soc., 2022, 144, 20067-20077.)



**2-(p-tolyl)-1-tosylaziridine (1m).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 53% yield (45.6 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.89 (d, *J* = 8.4 Hz, 2H), 7.35 (d, *J* = 7.8 Hz, 2H), 7.13 (s, 4H), 3.77 (dd, *J* = 7.2, 4.5 Hz, 1H), 3.00 (d, *J* = 7.2 Hz, 1H), 2.45 (s, 3H), 2.41 (d, *J* = 4.5 Hz, 1H), 2.34 (s, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.6, 138.2, 135.1, 132.0, 129.8, 129.3, 127.9, 126.5, 41.1, 35.8, 21.7, 21.2.

(Known compound: Nat Commun., 2022, 13, 86.)



**2-(o-tolyl)-1-tosylaziridine (1n).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 45% yield (38.7 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.96 – 7.92 (m, 2H), 7.38 (d, *J* = 8.0 Hz, 2H), 7.24 – 7.13 (m, 4H), 3.90 (dd, *J* = 7.2, 4.5 Hz, 1H), 3.02 (d, *J* = 7.2 Hz, 1H), 2.47 (s, 3H), 2.42 (s, 3H), 2.35 (d, *J* = 4.5 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*)δ 144.8, 136.8, 135.0, 133.3, 130.0, 129.8, 128.1, 128.1, 126.2, 126.0, 39.6, 35.1, 21.7, 19.1.

(Known compound: Green Chem., 2021, 23, 9428.)



**2-(m-tolyl)-1-tosylaziridine (10).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 40% yield (34.4 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.88 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 7.18 (t, *J* = 7.9 Hz, 1H), 7.09 (d, *J* = 7.6 Hz, 1H), 7.03 (d, *J* = 7.0 Hz, 2H), 3.75 (dd, *J* = 7.2, 4.5 Hz, 1H), 2.97 (d, *J* = 7.2 Hz, 1H), 2.43 (s, 3H), 2.39 (d, *J* = 4.5 Hz, 1H), 2.30 (s, 3H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 144.7, 138.4, 135.0, 134.9, 129.8, 129.1, 128.5, 128.0, 127.2, 123.7, 41.1, 35.9, 21.7, 21.4.

(Known compound: Nat Commun., 2022, 13, 86.)



**2-(2,5-dimethylphenyl)-1-tosylaziridine (1p).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 39% yield (35.2 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.93 (d, *J* = 8.3 Hz, 2H), 7.38 (d, *J* = 8.2 Hz, 2H), 7.06 – 6.99 (m, 2H), 6.94 (s, 1H), 3.91 – 3.83 (m, 1H), 2.99 (d, *J* = 7.2 Hz, 1H), 2.47 (s, 3H), 2.36 (s, 3H), 2.33 (d, *J* = 4.6 Hz, 1H), 2.26 (s, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.7, 135. 7, 135.0, 133.6, 132.9, 129.9, 129.8, 128.8, 128.1, 126.5, 39.4, 35.2, 21.6, 21.0, 18.6.

(Known compound: J. Org. Chem., 2020, 85, 8261-8270.)



**2-(naphthalen-1-yl)-1-tosylaziridine (1q).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 58% yield (56.2 mg) of the

product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 8.18 – 8.13 (m, 1H), 7.95 (d, *J* = 8.2 Hz, 2H), 7.89 – 7.84 (m, 1H), 7.81 – 7.77 (m, 1H), 7.59 – 7.50 (m, 2H), 7.39 – 7.34 (m, 4H), 4.38 – 4.31 (m, 1H), 3.16 (d, *J* = 7.2 Hz, 1H), 2.44 (d, *J* = 3.6 Hz, 4H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*)δ145.3, 135.4, 133.8, 132.0, 131.4, 130.3, 129.2, 129.1, 128.6, 127.1, 126.5, 125.9, 124.7, 123.4, 40.0, 35.6, 22.1.

(Known compound: Beilstein J. Org. Chem., 2015, 11, 524-529.)



**2-methyl-3-phenyl-1-tosylaziridine (1r).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 75% yield (64.5 mg) of the product as a white solid, dr = 2:1. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*)  $\delta$  7.91 (d, J = 8.4 Hz, 1.0H, minor), 7.85 (d, J = 8.4 Hz, 2.0H, major), 7.35 (d, J = 8.2 Hz, 1.0H, major), 7.30 – 7.26 (m, 6.0H, major + minor), 7.23 (dd, J = 7.5, 1.9 Hz, 1.0H, major), 7.20 – 7.16 (m, 2.0H, major + minor), 3.96 (d, J = 7.3 Hz, 0.5H, minor), 3.83 (d, J = 4.3 Hz, 1.0H, major), 3.27 – 3.19 (m, 0.5H, minor), 2.98 – 2.89 (m, 1.0H, major), 2.45 (s, 1.5H, minor), 2.41 (s, 3.0H, major), 1.87 (d, J = 6.0 Hz, 3.0H, major), 1.05 (d, J = 5.8 Hz, 1.5H, minor).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.5, 143.9, 137.9, 135.6, 135.3, 129.8, 129. 6, 128.5, 128.3, 128.1, 127.9, 127. 6, 127.2, 126.3, 49.2, 46.1, 41.6, 21.7, 21.6, 14.2, 12.0.
(Known compound: *Org. Lett.* 2023, **25**, 933-7938.)



**4-(1-tosylaziridin-2-yl)pyridine (1q).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (6:1) to give 23% yield (16.4 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  8.53 (dd, J = 4.5, 1.8 Hz, 2H), 7.87 (d, J = 8.3 Hz, 2H), 7.36 (d, J = 8.2 Hz, 2H), 7.16 (dd, 2H), 3.73 (dd, J = 7.2, 4.3 Hz, 1H), 3.03 (d, J = 7.2 Hz, 1H), 2.45 (s, 3H), 2.37 (d, J = 4.3 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 150.00, 145.10, 144.25, 134.52, 129.90, 127.99, 121.41, 39.32, 36.16, 21.67.

(Known compound: J. Am. Chem. Soc., 2012, 134, 9541-9544.)



**2-phenethyl-1-tosylaziridine (2a).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 63% yield (56.9 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.87 (d, *J* = 8.4 Hz, 2H), 7.37 (d, *J* = 8.1 Hz, 2H), 7.31 – 7.27 (m, 2H), 7.24 – 7.20 (m, 1H), 7.15 (d, *J* = 7.0 Hz, 2H), 2.83 – 2.77 (m, 1H), 2.66 – 2.61 (m, 3H), 2.47 (s, 3H), 2.08 (d, *J* = 4.6 Hz, 1H), 1.96 – 1.86 (m, 1H), 1.75 – 1.66 (m, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.6, 140.7, 135.0, 129.7, 128.5, 128.4, 128.1, 126.2, 39.8, 33.9, 33.2, 33.0, 21.7.

(Known compound: Nat Commun., 2022, 13, 86.)

**2-cyclohexyl-1-tosylaziridine (2b).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 51% yield (42.7 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.3 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 2.61 (d, *J* = 7.0 Hz, 1H), 2.60 – 2.50 (m, 1H), 2.46 (s, 3H), 2.12 (d, *J* = 4.6 Hz, 1H), 1.76 – 1.58 (m, 5H), 1.57 – 1.46 (m,1H), 1.23 – 1.01 (m, 4H), 1.01 – 0.83 (m, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.4, 135.1, 129.6, 128.1, 45.2, 39.4, 32.7, 30.2, 29.6, 26.0, 25.6, 25.4, 21.7.

(Known compound: Nat Commun., 2022, 13, 86.)



**2-isobutyl-1-tosylaziridine (2c).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 57% yield (43.2 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 7.9 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 2.82 – 2.72 (m, 1H), 2.68 – 2.56 (m, 1H), 2.44 (s, 3H), 2.02 (d, *J* = 4.6 Hz, 1H), 1.68 – 1.53 (m, 1H), 1.43 – 1.20 (m, 2H), 0.88 (dd, *J* = 6.7, 2.2 Hz, 6H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.5, 135.2, 129.7, 127.9, 40.4, 39.0, 34.0, 26.7, 22.8, 21.9, 21.6.

(Known compound: Green Chem., 2021, 23, 9428.)



**2-hexyl-1-tosylaziridine (2d).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 51% yield (43.0 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 8.3 Hz, 2H), 7.36 (d, *J* = 8.1 Hz, 2H), 2.79 – 2.68 (m, 1H), 2.66 (d, *J* = 7.0 Hz, 1H), 2.47 (s, 3H), 2.08 (d, *J* = 4.6 Hz, 1H), 1.63 (s, 1H), 1.27 (s, 1H), 1.26 – 1.17 (m, 8H), 0.87 (t, *J* = 7.0 Hz, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.4, 135.2, 129.6, 128.0, 40.5, 33.8, 31.6, 31.3, 28.7, 26.7, 22.5, 21.6, 14.1.

(Known compound: Green Chem., 2021, 23, 9428.)

Ts

**2-decyl-1-tosylaziridine (2e).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 33% yield (33.3 mg) of the

product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 8.3 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 2.76 – 2.70 (m, 1H), 2.67 (s, 1H), 2.46 (s, 3H), 2.08 (d, *J* = 4.6 Hz, 1H), 1.41 – 1.17 (m, 18H), 0.90 (t, *J* = 6.8 Hz, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.4, 135.2, 129.6, 128.0, 40.5, 33.8, 31.9, 31.3, 29.6, 29.5, 29.4, 29.3, 29.0, 26.8, 22.7, 21.6, 14.1.

(Known compound: Chem. Pharm. Bull., 2018, 66, 688-690.)

**2-(4-bromobutyl)-1-tosylaziridine (2f).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 79% yield (78.4 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.3 Hz, 2H), 7.37 (d, *J* = 8.0 Hz, 2H), 3.33 – 3.28 (m, 2H), 2.77 – 2.69 (m, 1H), 2.66 (d, *J* = 7.0 Hz, 1H), 2.47 (s, 3H), 2.09 (d, *J* = 4.5 Hz, 1H), 1.81 (d, *J* = 6.6 Hz, 2H), 1.70 – 1.60 (m, 1H), 1.45 – 1.29 (m, 3H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 144.7, 135.0, 129.7, 128.0, 39.9, 33.7, 33.3, 31.9, 30.4, 25.5, 21.7.

(Known compound: Green Chem., 2021, 23, 9428.)



**2-(but-3-en-1-yl)-1-tosylaziridine (2g).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 57% yield (42.9 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.3 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 5.82 – 5.66 (m, 1H), 5.17 – 4.91 (m, 2H), 2.83 – 2.72 (m, 1H), 2.64 (d, *J* = 7.0 Hz, 1H), 2.46 (s, 3H), 2.12 – 2.00 (m, 3H), 1.73 – 1.59 (m, 1H), 1.46 (dd, *J* = 14.7, 6.9 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.5, 136.9, 135.1, 129.7, 128.0, 115.6, 39.8, 33.8, 30.8, 30.6, 21.7.

(Known compound: Chem. Commun., 2022, 58, 3767-3770.)

# N-Ts

**6-tosyl-6-azabicyclo[3.1.0]hexane (2h).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 79% yield (56.1 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.81 (d, *J* = 8.0 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 3.33 (s, 2H), 2.44 (s, 3H), 2.01 – 1.89 (m, 2H), 1.69 – 1.53 (m, 3H), 1.47 – 1.33 (m, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.1, 136.0, 129.6, 127.6, 46.8, 26.9, 21.6, 19.5. (Known compound: *Nat Commun.*, 2022, **13**, 86.)

# N-Ts

**7-tosyl-7-azabicyclo[4.1.0]heptane (2i).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 73% yield (54.9 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 7.79 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 8.1 Hz,2H), 3.15 – 2.81 (m,2H), 2.42 (s, 3H), 1.87 – 1.63 (m, 4H), 1.55 – 1.31 (m, 2H), 1.29 – 1.11 (m, 2H).
<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.1, 135.8, 129.6, 127.6, 39.8, 22.8, 21.6, 19.4. (Known compound: *Chem. Commun.*, 2022, **58**, 3767-3770.)

# N-Ts

**8-tosyl-8-azabicyclo**[**5.1.0**]**octane (2J).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 51% yield (40.5 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.81 (d, *J* = 8.0 Hz, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 2.99 – 2.90 (m, 2H), 2.43 (s, 3H), 1.89 – 1.77 (m, 4H), 1.61 – 1.49 (m, 1H), 1.49 – 1.39 (m, 4H), 1.24 – 1.10 (m, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.0, 135.9, 129.6, 127.5, 44.3, 31.0, 28.1, 25.2, 21.6. (Known compound: *Beilstein J. Org. Chem.*, 2024, **20**, 2305-2312.)

# N-Ts

**9-tosyl-9-azabicyclo[6.1.0]nonane (2k).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 72% yield (60.2 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.83 (d, *J* = 8.1 Hz, 2H), 7.34 (d, *J* = 7.9 Hz, 2H), 2.84 – 2.75 (m, 2H), 2.45 (s, 3H), 2.03 (dd, *J* = 13.9, 3.6 Hz, 2H), 1.79 – 1.05 (m, 10H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.1, 135.9, 129.6, 127.6, 44.0, 26.4, 26.2, 25.2, 21.6. (Known compound: *Chem. Commun.*, 2004, **4**, 1026-1027.)



**13-tosyl-13-azabicyclo[10.1.0]tridecane (2l).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 71% yield (71.3 mg) of the product as a white solid (dr = 69:31).

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*, Isomeric mixture) δ 7.84 (d, *J* = 8.3 Hz, 2H), 7.32 (d, *J* = 7.9 Hz, 2H), 2.79 – 2.69 (m, 2H), 2.45 (s, 3H), 2.31 – 2.12 (m, 2H), 1.72 – 1.56 (m, 2H), 1.56 – 1.41 (m, 8H), 1.44 – 1.26 (m, 8H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*, Isomeric mixture) δ 144.15 (minor), 143.69 (major), 138.36 (major), 135.77 (minor), 129.66 (minor), 129.54 (major), 127.63 (minor), 127.10 (major), 50.01 (major), 45.51 (minor), 28.77 (major), 27.23 (major), 26.24 (minor), 25.63 (minor), 25.22 (major), 24.59 (minor), 24.01 (major), 23.65 (minor), 22.30 (minor), 21.60 (major).

**HRMS**: C<sub>19</sub>H<sub>29</sub>NO<sub>2</sub>S [M+H]<sup>+</sup>; calculated: 336.1992, found: 336.1989.



**5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl propionate (2m).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 73% yield (83.4 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.81 (d, *J* = 8.3 Hz, 2H), 7.30 (d, *J* = 8.7 Hz, 2H), 4.09 – 3.90 (m, 2H), 2.83 – 2.75 (m, 1H), 2.42 (s, 3H), 2.36 – 2.24 (m, 2H), 1.71 (d, *J* = 1.6 Hz, 3H), 1.57 – 1.39 (m, 3H), 1.38 – 1.28 (m, 1H), 1.28 (d, *J* = 1.3 Hz, 3H), 1.26 – 1.16 (m, 1H), 1.12 (t, *J* = 7.6 Hz, 3H), 1.05 (s, 2H), 0.78 (d, *J* = 6.5 Hz, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 174.5, 143.6, 138.3, 129.3, 127.4, 62.4, 52.8, 51.9, 35.3, 34.4, 29.4, 27.6, 25.2, 21.5, 21.4, 21.2, 19.2, 9.1.

**HRMS**: C<sub>20</sub>H<sub>31</sub>NO<sub>4</sub>S [M+H]<sup>+</sup>; calculated: 382.2047, found: 382.2043.



**2-(adamantan-1-yl)-2-methyl-1-tosylaziridine (2n).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 33% yield (34.1 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.83 (d, *J* = 8.2 Hz, 2H), 7.34 (d, *J* = 8.0 Hz, 2H), 2.52 (s, 1H), 2.45 (s, 3H), 2.39 (s, 1H), 2.27 – 2.19 (m, 2H), 2.18 – 1.94 (m, 6H), 1.86 – 1.78 (m, 1H), 1.72 (s, 3H), 1.68 – 1.46 (m, 6H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 143.8, 138.2, 129.5, 127.3, 68.5, 54.9, 47.4, 46.9, 46.8, 40.4, 37.7, 36.0, 35.9, 34.7, 31.2, 31.1, 21.6, 14.4.

HRMS: C<sub>20</sub>H<sub>27</sub>NO<sub>2</sub>S [M-H]<sup>-</sup>; calculated: 344.1689, found: 344.1678.



**2-methyl-3-pentyl-1-tosylaziridine (20).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 47% yield (39.6 mg) of the product as a white solid, d.r. > 20:1. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data. <sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  7.83 (d, *J* = 8.2 Hz, 2H), 7.30 (d, *J* = 8.3 Hz, 2H), 2.73 – 2.64 (m, 2H), 2.42 (s, 3H), 1.69 – 1.57 (m, 1H), 1.54 (d, *J* = 5.6 Hz, 3H), 1.36 – 1.11 (m, 7H), 0.82 (t, *J* = 6.5 Hz, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 143.8, 138.1, 129.4, 127.3, 49.7, 45.9, 31.2, 30.4, 26.9, 22.4, 21.6, 14.8, 13.9.

(Known compound: Chem. Commun., 2022, 58, 4909.)

N–Ts

**2-methyl-2-neopentyl-1-tosylaziridine (2p).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 33% yield (27.8 mg) of

the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.82 (d, J = 8.3 Hz, 2H), 7.30 (d, J = 8.1 Hz, 2H), 2.56 (s, 1H), 2.42 (s, 3H), 2.24 (s, 1H), 1.75 (s, 3H), 1.60 (d, J = 7.0 Hz, 1H), 1.03 (s, 9H), 0.82 (s, 1H). <sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 143.6, 138.4, 129.5, 127. 2, 51.3, 50.3, 42.4, 31.4, 30.6, 21. 6, 20.9.

**HRMS**: C<sub>15</sub>H<sub>23</sub>NO<sub>2</sub>S [M-H]<sup>-</sup>; calculated: 280.1376, found: 280.1368.

**2-methyl-2-(prop-1-en-2-yl)-1-tosylaziridine (2q).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 21% yield (15.8 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 8.4 Hz, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 5.00 (s, 1H), 4.91 (s, 1H), 2.77 (s, 1H), 2.44 (s, 4H), 1.84 (s, 3H), 1.79 (s, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.4, 143.9, 137.9, 129.5, 127.4, 113.2, 53.2, 41.1, 21.6, 19.1, 18.0.

(Known compound: Org. Let.t, 2020, 22, 9658-9664.)



**2,2,3-trimethyl-1-tosylaziridine (2r).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 80% yield (57.3 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 7.7 Hz, 2H), 2.97 (q, *J* = 5.9 Hz, 1H), 2.44 (s, 3H), 1.72 (s, 3H), 1.29 (s, 3H), 1.15 (d, *J* = 5.8 Hz, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 143.5, 138.7, 129.5, 127.0, 51.8, 48.0, 21.6, 21.2, 20.9, 12.9. (Known compound: *Tetrahedron Lett.*, 2005, **23**, 4031-4034.)



**2,2-dimethyl-3-(3-methylenepent-4-en-1-yl)-1-tosylaziridine (2s).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 56% yield (51.2 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 6.38 – 6.19 (m, 1H), 5.06 – 4.88 (m, 4H), 2.92 – 2.84 (m, 1H), 2.42 (s, 3H), 2.13 – 1.97 (m, 2H), 1.73 (s, 3H), 1.61 – 1.44 (m, 2H), 1.29 (s, 3H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 145.0, 143.7, 138.4, 138.3, 129.4, 127.4, 116.2, 113.3, 52.5, 52.0, 28.9, 26.5, 21.6, 21.3.

**HRMS**: C<sub>17</sub>H<sub>23</sub>NO<sub>2</sub>S [M+H]<sup>+</sup>; calculated: 306.1522, found: 306.1516.

**1-methyl-7-tosyl-7-azabicyclo[4.1.0]heptane (2t).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 79% yield (62.8 mg) of the product as a white solid, d.r. > 20:1. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.3 Hz, 2H), 7.32 (d, *J* = 8.1 Hz, 2H), 3.07 (d, *J* = 5.5 Hz, 1H), 2.45 (s, 3H), 2.12 – 2.02 (m, 1H), 1.90 – 1.78 (m, 1H), 1.74 (s, 3H), 1.62 – 1.49 (m, 2H), 1.49 – 1.34 (m, 2H), 1.37 – 1.24 (m, 1H), 1.20 – 1.05 (m, 1H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 143.4, 139.0, 129.4, 127.0, 51.3, 47.3, 32.1, 22.9, 21.6, 20.5, 19.8, 19.6.

(Known compound: Chem. Commun., 2022, 58, 4909.)

**1-methyl-6-tosyl-6-azabicyclo[3.1.0]hexane (2u).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 48% yield (36.1 mg) of the product as a white solid, d.r. > 20:1.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 3.32 (d, *J* = 2.3 Hz, 1H), 2.43 (s, 3H), 2.13 – 2.02 (m, 1H), 1.86 (s, 3H), 1.84 – 1.71 (m, 1H), 1.72 – 1.38 (m, 4H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 143.4, 138.8, 129.4, 127.0, 58.6, 53.3, 34.9, 27.8, 21.6, 20.5, 15.0.

**HRMS**: C<sub>13</sub>H<sub>17</sub>NO<sub>2</sub>S [M+H]<sup>+</sup>; calculated: 252.1053, found: 252.1053.



**tert-butyl 1-tosyl-1,6-diazaspiro[2.5]octane-6-carboxylate (2v).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 33% yield (36.2 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.3 Hz, 2H), 7.34 (d, *J* = 8.1 Hz, 2H), 3.74 – 3.63 (m, 2H), 3.60 – 3.50 (m, 2H), 2.49 (s, 2H), 2.45 (s, 3H), 1.98 – 1.93 (m, 2H), 1.91 – 1.80 (m, 2H), 1.48 (s, 9H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 154.7, 144.1, 137.4, 129.6, 127.4, 79.9, 51.5, 40.1, 32.4, 31.4, 28.4, 23.5, 22.4, 21.6.

HRMS: C<sub>18</sub>H<sub>26</sub>N<sub>2</sub>O<sub>4</sub>S [M+H]<sup>+</sup>; calculated: 306.1522, found: 306.1516.



1-tosyl-1-azaspiro[2.11]tetradecane (2w). Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 60% yield (62.8 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.3 Hz, 2H), 7.32 (d, *J* = 8.1 Hz, 2H), 2.45 (s, 3H), 2.43 (s, 2H), 1.95 – 1.83 (m, 2H), 1.81 – 1.71 (m, 2H), 1.66 – 1.55 (m, 4H), 1.39 (s, 14H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 143.6, 138.3, 129.5, 127.3, 55.0, 41.3, 29.4, 25.9, 22.4, 22.3, 21.6, 21.5.

**HRMS**: C<sub>20</sub>H<sub>31</sub>NO<sub>2</sub>S [M+H]<sup>+</sup>; calculated: 350.2148.1053, found: 350.2150.



2-methyl-5-(2-methyl-1-tosylaziridin-2-yl)cyclohexan-1-one (2x). Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 67% yield (64.5 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*)  $\delta$  7.82 (d, *J* = 7.9 Hz, 2H), 7.33 (d, *J* = 7.9 Hz, 2H), 2.63 (d, *J* = 11.3 Hz, 1H), 2.44 (s, 3H), 2.43 - 2.38 (m, 1H), 2.37 - 2.28 (m, 1H), 2.27 - 2.17 (m, 2H), 2.16 -2.09 (m, 1H), 1.97 – 1.92 (m, 1H), 1.71 (d, J = 20.1 Hz, 3H), 1.68 – 1.59 (m, 2H), 1.31 – 1.25 (m, 1H), 1.02 (d, J = 6.5 Hz, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-d) δ 211.3, 144.0, 137.8, 129.5, 127.4, 52.0, 47.2, 44.7, 43.7, 40.4, 34.14, 27.6, 21.6, 14.9, 14.2.

**HRMS**: C<sub>17</sub>H<sub>23</sub>NO<sub>3</sub>S [M+H]<sup>+</sup>; calculated: 322.1471, found: 322.1471.



5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl quinoline-4-carboxylate (2y). Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 43% yield (61.9 mg) of the product as a white solid (dr = 1:1). <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>H NMR (400 MHz, Chloroform-*d*)  $\delta$  9.01 (d, J = 4.1 Hz, 1H), 8.75 (dd, J = 8.6, 6.7 Hz, 1H), 8.17 (d, J = 8.4 Hz, 1H), 7.89 - 7.70 (m, 4H), 7.70 - 7.60 (m, 1H), 7.27 (d, J = 7.9 Hz, 2H), 4.46 - 4.31 Hz(m, 2H), 2.85 – 2.77 (m, 1H), 2.37 (s, 3H), 1.76 – 1.65 (m, 4H), 1.63 – 1.30 (m, 4H), 1.28 (d, J = 2.6 Hz, 3H), 1.24 – 0.94 (m, 2H), 0.87 (d, J = 6.1 Hz, 2H).

<sup>13</sup>C NMR (101 MHz, Chloroform-d)  $\delta$  166.11, 149.81, 149.11, 143.66, 138.34, 135.06, 130.06, 129.75, 129.34, 128.16, 127.43 (d, *J* = 1.5 Hz), 125.54, 125.08, 122.09, 64.03, 63.96, 52.75, 52.58, 51.86, 51.82, 35.29, 35.24, 34.39, 34.35, 29.64, 29.52, 25.43, 25.17, 21.50, 21.33, 21.30, 21.20, 19.31, 19.15.

**HRMS**: C<sub>23</sub>H<sub>30</sub>N<sub>2</sub>O<sub>4</sub>S [M+H]<sup>+</sup>; calculated: 481.2156, found: 481.2154.



5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl acetate (3a). Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 71% yield (78.1 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 8.1 Hz, 2H), 4.18 – 3.90 (m, 2H), 2.84 – 2.76 (m, 1H), 2.43 (s, 3H), 2.04 (d, *J* = 1.9 Hz, 3H), 1.72 (d, *J* = 1.6 Hz, 3H), 1.58 – 1.40 (m, 3H), 1.37 – 1.31 (m, 1H), 1.30 (s, 3H), 1.26 – 1.16 (m, 1H), 1.11 – 1.01 (m, 1H), 1.00 – 0.87 (m, 1H), 0.79 (d, *J* = 6.5 Hz, 3H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 171.1, 143.6, 138.3, 129.4, 127.5, 62.6, 52.8, 51.9, 35.2, 34.3, 29.4, 25.2, 21.5, 21.4, 21.2, 21.0, 19.1.

**HRMS**: C<sub>19</sub>H<sub>29</sub>NO<sub>4</sub>S [M+H]<sup>+</sup>; calculated: 368.1890, found: 368.1896.

**3-tosyl-3-azatricyclo**[**3.2.1.0**<sup>2,4</sup>]**octane (3b).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 81% yield (63.9 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.34 (d, *J* = 8.0 Hz, 2H), 2.93 (s, 2H), 2.46 (s, 5H), 1.54 – 1.41 (m, 3H), 1.33 – 1.22 (m, 2H), 0.77 (d, *J* = 10.1 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 144.1, 135.9, 129.6, 127.7, 42.0, 35.9, 28.3, 25.6, 21.6.

(Known compound: Org. Lett., 2016, 18, 4908-4911.)

**2,7,7-trimethyl-3-tosyl-3-azatricyclo**[**4.1.1.0**<sup>2,4</sup>]**octane** (**3c**). Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 69% yield (63.1 mg) of the product as a white solid, d.r. > 20:1.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 8.3 Hz, 2H), 7.32 (d, *J* = 8.1 Hz, 2H), 3.14 (d, *J* = 5.5 Hz, 1H), 2.44 (s, 3H), 2.07 (t, *J* = 5.5 Hz, 1H), 2.03 – 1.88 (m, 2H), 1.74 (s, 3H), 1.71 (s, 1H), 1.68 (s, 2H), 1.29 (s, 3H), 0.95 (s, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 143.4, 138.9, 129.5, 127.0, 55.2, 46.7, 44.5, 39.8, 39.8, 26.8, 26.1, 26.0, 21.6, 20.3, 19.3.

**HRMS**: C<sub>17</sub>H<sub>23</sub>NO<sub>2</sub>S [M+H]<sup>+</sup>; calculated: 306.1522, found: 306.1528.



**5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpent-1-en-3-yl acetate (3d).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 69% yield (75.5 mg) of the product as a white solid. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.83 (d, *J* = 8.3 Hz, 2H), 7.32 (d, *J* = 7.9 Hz, 2H), 5.94 – 5.69 (m, 1H), 5.16 – 4.98 (m, 2H), 2.85 – 2.80 (m, 1H), 2.44 (d, *J* = 2.3 Hz, 3H), 1.98 (d, *J* = 4.8 Hz, 3H), 1.88 – 1.79 (m, 1H), 1.72 (d, *J* = 2.7 Hz, 3H), 1.51 – 1.44 (m, 1H), 1.42 (d, *J* = 20.8 Hz, 3H), 1.41 – 1.31 (m, 2H), 1.29 (d, *J* = 5.1 Hz, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 169.7, 143.6, 141.4, 141.0, 138.3, 129.4, 127.5, 113.4, 82.1, 52.3, 52.0, 37.3, 23.6, 22.2, 21.6, 21.3, 21.1.

(Known compound: Natl. Sci. Rev., 2023, 10, 187.)



(1R,10S)-4,12,12-trimethyl-9-methylene-5-tosyl-5-azatricyclo[8.2.0.04,6]dodecane (3e). Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 62% yield (69.4 mg) of the product as a white solid, d.r. = 4:1. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H** NMR (400 MHz, Chloroform-*d*) δ 7.79 (d, *J* = 8.3 Hz, 2H), 7.30 (d, *J* = 8.1 Hz, 2H), 4.96 (s, 1H), 4.81 (s, 1H), 3.14 – 3.07 (m, 1H), 2.67 – 2.59 (m, 1H), 2.43 (s, 3H), 2.37 – 2.28 (m, 1H), 2.24 – 2.17 (m, 1H), 2.17 – 2.10 (m, 1H), 2.11 – 2.03 (m, 1H), 2.03 – 1.96 (m, 1H), 1.89 – 1.84 (m, 1H), 1.76 – 1.69 (m, 1H), 1.68 (d, *J* = 8.3 Hz, 1H), 1.63 (d, *J* = 10.6 Hz, 1H), 1.54 – 1.44 (m, 1H), 1.27 (s, 1H), 1.22 (s, 3H), 1.02 (d, *J* = 7.7 Hz, 6H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 151.3, 143.4, 138.9, 129.4, 126.9, 112.9, 54.4, 52.3, 49.7, 48.9, 39.6, 34.6, 34.4, 30.1, 29.9, 29.7, 27.4, 21.6, 21.5, 18.8.

(Known compound: Natl. Sci. Rev., 2023, 10, 187.)



**3,8,8-trimethyl-4-tosyl-4-azatricyclo**[**5.1.0.0**<sup>3,5</sup>]**octane (3f).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 45% yield (41.1 mg) of the product as a white solid, d.r. > 20:1. <sup>1</sup>H NMR and <sup>13</sup>C NMR data match previously reported data.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 8.3 Hz, 2H), 7.29 (d, *J* = 6.5 Hz, 2H), 2.92 (s, 1H), 2.41 (s, 3H), 2.33 – 2.22 (m, 1H), 2.07 – 1.96 (m, 1H), 1.64 (s, 3H), 1.50 – 1.40 (m, 1H), 1.30 – 1.22 (m, 1H), 0.97 (s, 3H), 0.68 (s, 3H), 0.57 – 0.46 (m, 1H), 0.38 – 0.28 (m, 1H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 143.3, 139.0, 129.4, 126.9, 48.6, 5.9, 27.6, 25.2, 21.6, 19.5, 17.6, 16.4, 16.1, 15.1, 13.6.

(Known compound: Natl. Sci. Rev., 2023, 10, 187.)



(1'R,4'R)-3',3'-dimethyl-1-tosylspiro[aziridine-2,2'-bicyclo[2.2.1]heptane] (3g). Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 56% yield (51.2 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.84 (d, *J* = 8.2 Hz, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 2.84 (d, *J* = 3.5 Hz, 1H), 2.69 (s, 1H), 2.44 (s, 3H), 2.21 (s, 1H), 2.04 – 1.96 (m, 2H), 1.79 – 1.64 (m, 2H), 1.47 – 1.26 (m, 3H), 0.96 (s, 3H), 0.80 (s, 3H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 143.8, 137.8, 129.4, 127.5, 66.1, 48.6, 41.6, 40.7, 36.6, 36.0, 27.3, 26.1, 23.8, 23.4, 21.6.

HRMS: C<sub>17</sub>H<sub>23</sub>NO<sub>2</sub>S [M+H]<sup>+</sup>; calculated: 306.1522, found: 306.1525.



**6-(1-tosylaziridin-2-yl)hexyl 2-(4-isobutylphenyl)propanoate (3h).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (5:1) to give 35% yield (50.9 mg) of the product as a white solid.

<sup>1</sup>**H** NMR (400 MHz, Chloroform-*d*)  $\delta$  7.83 (d, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.22 (d, *J* = 8.1 Hz, 2H), 7.11 (d, *J* = 8.1 Hz, 2H), 4.04 (t, *J* = 6.7 Hz, 2H), 3.75 – 3.65 (m, 1H), 2.76 – 2.68 (m, 1H), 2.65 (d, *J* = 7.0 Hz, 1H), 2.49 – 2.43 (m, 5H), 2.06 (d, *J* = 4.6 Hz, 1H), 1.95 – 1.78 (m, 1H), 1.50 (d, *J* = 7.2 Hz, 6H), 1.30 – 1.12 (m, 7H), 0.91 (d, *J* = 6.5 Hz, 6H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) 174.8, 144.4, 140.5, 137.9, 135.2, 129.6, 129.3, 128.0, 127.2, 64.6, 45.2, 45.0, 40.3, 33.8, 31.2, 30.2, 28.5, 28.3, 26.6, 25.6, 22.4, 21.6, 18.5.

**HRMS**: C<sub>28</sub>H<sub>39</sub>NO<sub>4</sub>S [M+H]<sup>+</sup>; calculated: 486.2673, found: 486.2669.



**3-((2-chlorophenyl)sulfonyl)-3-azatricyclo[3.2.1.02,4]octane (4a).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 23% yield (19.5 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 8.09 – 8.02 (m, 1H), 7.58 – 7.47 (m, 2H), 7.45 – 7.34 (m, 1H), 3.15 (s, 2H), 2.49 (s, 2H), 1.53 – 1.40 (m, 3H), 1.27 (d, *J* = 10.3 Hz, 2H), 0.78 (d, *J* = 9.1 Hz, 1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 137.2, 134.0, 132.9, 132.0, 130.5, 126.9, 43.2, 36.1, 28.3, 25.5.

HRMS: C<sub>13</sub>H<sub>14</sub>ClNO<sub>2</sub>S [M+H]<sup>+</sup>; calculated: 284.0507, found: 284.0503.



7-((2-chlorophenyl)sulfonyl)-1-methyl-7-azabicyclo[4.1.0]heptane (4b). Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 27% yield (23.0 mg) of the product as a white solid, d.r. > 20:1.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 8.09 (d, *J* = 7.9 Hz, 1H), 7.56 – 7.46 (m, 2H), 7.40 (t, *J* = 7.6 Hz, 1H), 3.21 (d, *J* = 5.5 Hz, 1H), 2.15 – 2.08 (m, 1H), 1.90 – 1.79 (m, 1H), 1.77 (s, 3H), 1.76 – 1.69 (m, 1H), 1.60 – 1.55 (m, 1H), 1.44 – 1.35 (m, 2H), 1.32 – 1.24 (m, 2H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 139.4, 133.6, 131.8, 130.0, 126.8, 52.5, 49.0, 31.8, 23.3, 21.6, 19.8, 19.5.

HRMS: C<sub>13</sub>H<sub>16</sub>ClNO<sub>2</sub>S [M+H]<sup>+</sup>; calculated: 286.0663, found: 286.0663.



1-((4-(tert-butyl)phenyl)sulfonyl)-2,2,3-trimethylaziridine (4c). Prepared as described above.

Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 47% yield (39.6 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.83 (d, *J* = 8.6 Hz, 1H), 7.51 (d, *J* = 8.6 Hz, 1H), 2.98 (q, *J* = 5.9 Hz, 1H), 1.71 (s, 2H), 1.33 (s, 6H), 1.28 (s, 2H), 1.16 (d, *J* = 5.8 Hz, 2H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 139.4, 133.6, 131.8, 130.0, 126.8, 52.5, 49.0, 31.8, 23.3, 21.6, 19.8, 19.5.

HRMS: C<sub>15</sub>H<sub>23</sub>NO<sub>2</sub>S [M-H]<sup>-</sup>; calculated: 280.1376, found: 280.1368.



**1-((4-methoxyphenyl)sulfonyl)-2,2,3-trimethylaziridine (4d).** Prepared as described above. Purified by silica column chromatography using petroleum ether: ethyl acetate (10:1) to give 47% yield (39.6 mg) of the product as a white solid.

<sup>1</sup>**H NMR** (400 MHz, Chloroform-*d*) δ 7.85 (d, *J* = 8.9 Hz, 2H), 6.98 (d, *J* = 9.0 Hz, 2H), 3.89 (s, 3H), 1.55 (d, *J* = 7.4 Hz, 1H), 1.48 (d, *J* = 6.8 Hz, 3H), 1.30 (s, 3H), 1.27 (s, 3H).

<sup>13</sup>**C NMR** (101 MHz, Chloroform-*d*) δ 162.7, 134.6, 129.2, 114.3, 66.6, 59.7, 55.6, 24.8, 23.1, 19. 8.

HRMS: C<sub>12</sub>H<sub>17</sub>NO<sub>3</sub>S [M+H]<sup>+</sup>; calculated: 256.1002, found: 256.0997.

#### **VIII. Supplementary References**

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#### IX. High-Performance Liquid Chromatography (HPLC) Analysis

(1) 5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl quinoline-4-carboxylate
(2y). The compound possesses two chiral centers, and HPLC analysis revealed a 1:1 ratio of its diastereomers (dr = 1:1).

Peak	RT	Pe	ak Width	Peak Area	Peak Height	Peak Area
#	[min]		[min]	[mAU*s]	[mAU]	%
-						
1	32.362	MF	2.6777	2426.29053	15.10211	49.4761
2	40.710	FM	3.2697	2477.67383	12.62957	50.5239



(2) **13-tosyl-13-azabicyclo[10.1.0]tridecane (2l).** During structural characterization of product 2l, the 13C NMR spectrum revealed two sets of signals, we hypothesized the potential presence of enantiomers and consequently performed HPLC analysis. Through HPLC analysis, a diastereomeric ratio (dr) of 69:31 was determined, though the absolute configuration remained unassignable.



### X. NMR Spectra

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-phenyl-1-tosylaziridine (1a)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-(4-fluorophenyl)-1-tosylaziridine (1b)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-(4-fluorophenyl)-1-tosylaziridine (1b)



210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)

<sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) 2-(4-fluorophenyl)-1-tosylaziridine (1b)





#### <sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-(4-chlorophenyl)-1-tosylaziridine (1c)




200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-(2-bromophenyl)-1-tosylaziridine (1f)









### <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) 2-(3-fluorophenyl)-1-tosylaziridine (1g)



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### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) **2-(3-chlorophenyl)-1-tosylaziridine (1h)**



# <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 4-(1-tosylaziridin-2-yl) benzonitrile (1i)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 4-(1-tosylaziridin-2-yl) benzonitrile (1i)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 f1 (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 1-tosyl-2-(4-(trifluoromethyl)phenyl)aziridine (1J)

210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)

<sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) 1-tosyl-2-(4-(trifluoromethyl)phenyl)aziridine (1J)





<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-(4-(tert-butyl)phenyl)-1-tosylaziridine(1k)



# <sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-(4-phenoxyphenyl)-1-tosylaziridine (11)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-(o-tolyl)-1-tosylaziridine (1n)





# <sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **2-(o-tolyl)-1-tosylaziridine (1n)**







# <sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-(2,5-dimethylphenyl)-1-tosylaziridine (1p)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **2-(naphthalen-1-yl)-1-tosylaziridine (1q)** 



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 4-(1-tosylaziridin-2-yl)pyridine (1q)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) **2-phenethyl-1-tosylaziridine (2a)** 



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **2-phenethyl-1-tosylaziridine (2a)** 



fl (ppm)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **2-cyclohexyl-1-tosylaziridine (2b)** 



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-isobutyl-1-tosylaziridine (2c)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **2-isobutyl-1-tosylaziridine (2c)** 



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **2-hexyl-1-tosylaziridine (2d)** 



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1 f1 (ppm)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **2-decyl-1-tosylaziridine (2e)** 



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 f1 (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-(4-bromobutyl)-1-tosylaziridine (2f)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-(4-bromobutyl)-1-tosylaziridine (2f)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-(but-3-en-1-yl)-1-tosylaziridine (2g)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-(but-3-en-1-yl)-1-tosylaziridine (2g)



fl (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 6-tosyl-6-azabicyclo[3.1.0]hexane (2h)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 6-tosyl-6-azabicyclo[3.1.0]hexane (2h)



190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 7-tosyl-7-azabicyclo[4.1.0]heptane (2i)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 7-tosyl-7-azabicyclo[4.1.0]heptane (2i)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 f1 (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 8-tosyl-8-azabicyclo[5.1.0]octane (2J)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 8-tosyl-8-azabicyclo[5.1.0]octane (2J)



fl (ppm)



### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 9-tosyl-9-azabicyclo [6.1.0] nonane (2k)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 9-tosyl-9-azabicyclo [6.1.0] nonane (2k)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 13-tosyl-13-azabicyclo[10.1.0]tridecane (2l)



f1 (ppm)

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) **5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl propionate** (2m)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl propionate** (2m)



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<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-(adamantan-1-yl)-2-methyl-1-tosylaziridine (2n)

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-methyl-3-pentyl-1-tosylaziridine (20)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **2-methyl-3-pentyl-1-tosylaziridine (20)** 



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2-methyl-2-neopentyl-1-tosylaziridine (2p)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-methyl-2-neopentyl-1-tosylaziridine (2p)





<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-methyl-2-(prop-1-en-2-yl)-1-tosylaziridine (2q)



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<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2,2,3-trimethyl-1-tosylaziridine (2r)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2,2-dimethyl-3-(3-methylenepent-4-en-1-yl)-1-tosylaziridine (2s)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2,2-dimethyl-3-(3-methylenepent-4-en-1-yl)-1-tosylaziridine (2s)





<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 1-methyl-7-tosyl-7-azabicyclo[4.1.0]heptane (2t)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 1-methyl-7-tosyl-7-azabicyclo[4.1.0]heptane (2t)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -1( f1 (ppm)


<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 1-methyl-6-tosyl-6-azabicyclo[3.1.0]hexane (2u)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 1-methyl-6-tosyl-6-azabicyclo[3.1.0]hexane (2u)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



## <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) tert-butyl 1-tosyl-1,6-diazaspiro[2.5]octane-6-carboxylate (2v)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) tert-butyl 1-tosyl-1,6-diazaspiro[2.5]octane-6-carboxylate (2v)





<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 1-tosyl-1-azaspiro[2.11]tetradecane (2w)





<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2-methyl-5-(2-methyl-1-tosylaziridin-2-yl)cyclohexan-1-one (2x)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl quinoline-4-



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl quinoline-4**carboxylate (2y)



210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpentyl acetate (3a)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 3-tosyl-3-azatricyclo[3.2.1.0<sup>2,4</sup>]octane (3b)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **3-tosyl-3-azatricyclo**[**3.2.1.0**<sup>2,4</sup>]octane (**3b**)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 2,7,7-trimethyl-3-tosyl-3-azatricyclo[4.1.1.0<sup>2,4</sup>]octane (3c)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 2,7,7-trimethyl-3-tosyl-3-azatricyclo[4.1.1.0<sup>2,4</sup>]octane (3c)



f1 (ppm)

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) **5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpent-1-en-3-yl** acetate (3d)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **5-(3,3-dimethyl-1-tosylaziridin-2-yl)-3-methylpent-1-en-3-yl** acetate (3d)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)

 $^{1}\mathrm{H}$ NMR CDCl<sub>3</sub>) (1R,10S)-4,12,12-trimethyl-9-methylene-5-tosyl-5-(400 MHz, azatricyclo[8.2.0.04,6]dodecane (3e)





 $^{13}C$ NMR (400 MHz, CDCl<sub>3</sub>) azatricyclo[8.2.0.04,6]dodecane (3e)









<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 3,8,8-trimethyl-4-tosyl-4-azatricyclo[5.1.0.0<sup>3,5</sup>]octane (3f)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) **3,8,8-trimethyl-4-tosyl-4-azatricyclo**[**5.1.0.0**<sup>3,5</sup>]octane (3f)





<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) (1'R,4'R)-3',3'-dimethyl-1-tosylspiro[aziridine-2,2'bicyclo[2.2.1]heptane] (3g)



fl (ppm)

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 6-(1-tosylaziridin-2-yl)hexyl 2-(4-isobutylphenyl)propanoate (3h)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 6-(1-tosylaziridin-2-yl)hexyl 2-(4-isobutylphenyl)propanoate (3h)



210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 3-((2-chlorophenyl)sulfonyl)-3-azatricyclo[3.2.1.02,4]octane (4a)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 3-((2-chlorophenyl)sulfonyl)-3-azatricyclo[3.2.1.02,4]octane (4a)













<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) 1-((4-(tert-butyl)phenyl)sulfonyl)-2,2,3-trimethylaziridine (4c)

<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 1-((4-(tert-butyl)phenyl)sulfonyl)-2,2,3-trimethylaziridine (4c)



200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10 f1 (ppm)



<sup>13</sup>C NMR (400 MHz, CDCl<sub>3</sub>) 1-((4-methoxyphenyl)sulfonyl)-2,2,3-trimethylaziridine (4d)



fl (ppm)