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# Palladium-catalyzed aziridination of alkenes using *N*,*N*-dichloro-*p*-toluenesulfonamide as nitrogen source

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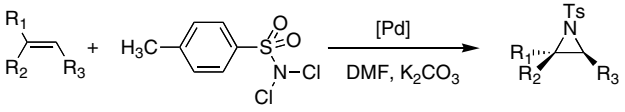
**Abstract**—*N*,*N*-Dichloro-*p*-toluenesulfonamide ($TsNCl_2$) was found to be an efficient nitrogen source for the aziridination of unfunctionalized alkenes using palladium catalysts. Among the palladium salts, palladium acetate was the most effective catalyst for this reaction. A variety of alkenes were reacted at room temperature with $TsNCl_2$ to form the desired aziridines in moderate to good yields. This method can complement our previous protocol which is limited to the use of electron-deficient α,β-unsaturated alkenes.


The catalytic aziridination of olefins has attracted wide interest among the synthetic community since aziridines are synthetically and biologically important building blocks.[1] In particular, their highly regio- and stereoselective ring opening with a range of nucleophiles makes them very useful precursors for the synthesis of a variety of functionalized amines.[2] Many catalytic systems have been developed for aziridinations in the presence of halogen,[3] borate,[4] and transition-metal compounds[5] as catalysts. Among them, the use of transition-metal complexes as aziridination catalysts has received considerable attention in recent years. Although a number of transition metals have been studied and great progress has been made,[5f] they still have several drawbacks, such as tedious catalyst preparation,[5b–d,6] high catalyst loadings,[7] an excessive amount of alkenes and low chemical yields. Searching for more simple and efficient metal catalysts and catalytic systems is still necessary.

In the past several years, a variety of nitrogen sources have been developed for the aziridination of olefins, these nitrogen sources include PhI = NTs, TsNKI, chloramine-T, bromamine-T, and azides.[1,5–9] Among them PhI = NTs has been very popular due to its convenience in handling. However, this reagent suffers from several shortcomings, such as high cost, high molecular weight, and commercial unavailability. Although *N*-halonium salts of sulfonamides have also been used as inexpensive and convenient nitrogen sources for aziridination, they often suffer from competing side reactions.

The use of $TsNCl_2$ as a nitrogen source for aminohalogenation has been well studied and the resulting vicinal chloroamines have been cyclized in an additional step to form aziridines.[10] This reagent is quite attractive since it can be readily prepared by the treatment of $TsNH_2$ with commercial bleach. The resulting product is easily isolated in pure form by simple filtration and additional purification is typically not required.[11] However, the direct use of $TsNCl_2$ for aziridination reaction of normal alkenes has not been documented. In our previous research, $TsNCl_2$ was only utilized for the aminohalogenation of α,β-unsaturated ketones and α,β-unsaturated esters in the presence of various catalysts. It was also used for the aminohalogenation of alkynes in the presence of palladium acetate as the catalyst.[12,13] We now found that $TsNCl_2$ can be conveniently delivered onto




**Scheme 1.** Aziridination of alkenes catalyzed by palladium.

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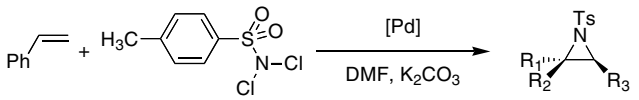
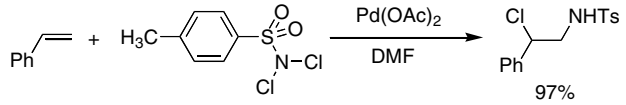
**Table 1.** Aziridination of styrene catalyzed by palladium[a]




| Entry | Catalyst[b] | Yield[c] (%) |
|---|---|---|
| 1 | $Pd(OAc)_2$ | 70 |
| 2 | $Pd(OOCCF_3)_2$ | 54 |
| 3 | $PdCl_2$ | 19 |
| 4 | $Pd(PPh_3)_4$ | 34 |

[a] Reactions were operated at room temperature in DMF under Ar atmosphere with a styrene to $TsNCl_2$ mole ratio of 1.5:1 in the presence of potassium carbonate for 24 h.
[b] Catalyst loading: 2 mol %.
[c] Isolated yield.




**Scheme 2.** Aminohalogenation in the absence of $K_2CO_3$.

unfunctionalized alkenes in the presence of palladium catalyst, which is indeed the first such catalysis for aziridination/aminohalogenation of normal alkene. Herein, we report our preliminary results (Scheme 1).

The present aziridination reaction was operated at room temperature using 2 mol % palladium catalyst with a styrene/$TsNCl_2$ ratio of 1.5:1 (mol/mol) in the presence of potassium carbonate in DMF solution. The catalyst screening results are shown in Table 1.

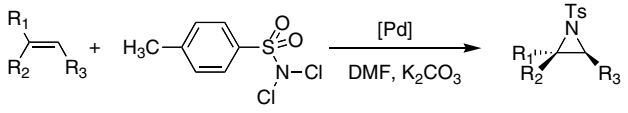
As can be revealed by Table 1, several palladium catalysts were found to be capable of catalyzing the reaction. Among them, palladium acetate gave the highest yield, while palladium dichloride gave the lowest yield. It is likely that palladium(0) is the active species for the reaction since palladium acetate is easier to be converted to palladium(0) under the current condition.[15] It is interesting to note that chemical yields under this system are generally higher than those using bromamine-T as nitrogen source and palladium dichloride as catalyst.[9g]

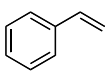
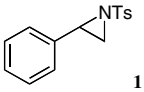
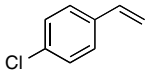
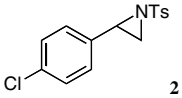
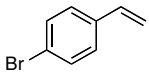
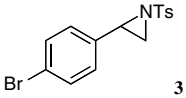
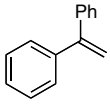
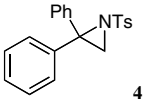
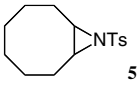
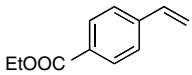
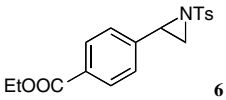
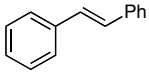
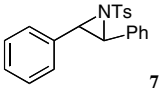
A screening of common solvents showed that DMF was the only solvent of choice for this reaction. Other solvents, such as $CH_3CN$, $CH_2Cl_2$, and toluene, gave none or a trace amount of the corresponding products. Several bases were screened for this system and potassium carbonate was found to be the only effective solvent for this reaction. A variety of other bases, like triethylamine, tributylamine, pyrrolidine, piperidine, DABCO, and sodium acetate were studied, but no aziridine was formed using these bases. The use of organic bases resulted in a black slurry mixture due to spontaneous reaction between the organic amines and $TsNCl_2$. In the absence of potassium carbonate, the aminohalogenation product was obtained in a nearly quantitative yield (Scheme 2). Careful control of the reaction temperature was found to be quite essential for the reaction. Raising the temperature to 60 °C led to the formation of large quantities of various byproducts. Catalyst loadings of as low as 2 mol % were found to be sufficient for the reaction. The best yields were achieved when the reaction was carried out at room temperature in DMF using 2 mol % $Pd(OAc)_2$ with a styrene to $TsNCl_2$ mole ratio of 1.5:1 in the presence of slightly excess of potassium carbonate for 24 h under an inert atmosphere.

In comparison to previously reported transition-metal catalytic systems, this new system has the following advantages: (1) simple and readily available regents, (2) low catalyst loading, and (3) low molar ratio of alkene to nitrogen source.

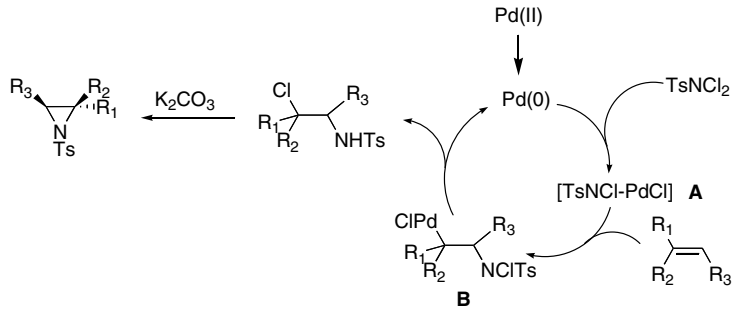
Subsequently, the substrate scope of this process was examined under the optimized conditions. The results are summarized in Table 2. This catalytic system is well suited for both styrene and styrene derivatives, affording

**Table 2.** Aziridination of alkenes catalyzed by $Pd(OAc)_2$[a]




| Entry | Olefin | Aziridine | Yield[b] (%) |
|---|---|---|---|
| 1 |  |  **1** | 70 |
| 2 |  |  **2** | 55 |
| 3 |  |  **3** | 48 |
| 4 |  |  **4** | 73 |
| 5 |  |  **5** | 59 |
| 6 |  |  **6** | 65 |
| 7 |  |  **7** | 58[c] |

[a] Reactions were operated at room temperature in DMF under Ar atmosphere in the presence of a slight excess of potassium carbonate (1.1 equiv) using 2 mol % $Pd(OAc)_2$ for 24 h.
[b] Isolated yield with $TsNCl_2$ as limiting regents (styrene:$TsNCl_2$ = 1.5:1).
[c] *Trans*:*cis* = 79:21.




**Scheme 3.** Possible mechanism for aziridination of alkenes catalyzed by palladium.

the corresponding aziridines in similar yields. Interestingly, the α-substituted styrene 1,1-diphenylethene (entry 4) was successfully converted to the desired aziridine product (**4**) in a good yield (73%). A cyclic olefin (entry 5), cyclooctene, was also reacted effectively with an acceptable good yield (**5**) (59%). Moderate stereoselectivity was achieved for the 1,2-disubstituted olefin (entry 7). However, *trans*-cinnamate esters, such as methyl *trans*-cinnamate and phenyl *trans*-cinnamate cannot be converted to haloamine products, therefore, aziridines cannot be generated under the present conditions.

The proposed mechanism is shown in Scheme 3. Palladium(0) is assumed to be the catalytic species produced by reduction of Pd(II) with olefin as proposed by Yamamoto and coworkers.[14] The first step of the catalytic cycle involves the formation of palladium–nitrogen intermediate (**A**). The intermediate then reacts with olefin to form intermediate **B**. Intermediate **B** then decomposes to give the aminochlorination product and to regenerate the Pd(0) species. Upon reaction with potassium carbonate, the aminochlorination product is cyclized to form the aziridine. This mechanism can account for the resulting regioselectivity, that is, the more hindered moiety, 'NClTs', is added onto the less hindered terminal of alkene substrate.

In summary, we report the first direct, one-step aziridination using $TsNCl_2$ as the nitrogen source and palladium acetate as the catalyst. This catalytic system can be carried out under mild and convenient conditions with $TsNCl_2$ as limiting regent in moderate to good yields.

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E. N. *J. Am. Chem. Soc.* **1993**, *115*, 5326; (c) Evans, D. A.; Faul, M. M.; Anderson, B. A.; Barnes, D. M. *J. Am. Chem. Soc.* **1993**, *115*, 5328; (d) Thakur, V. V.; Sudalai, A. *Tetrahedron Lett.* **2003**, *44*, 989; (e) Llewellyn, D. B.; Adamson, D. M.; Arndtsen, B. A. *Org. Lett.* **2000**, *2*, 4165; (f) Brandt, P.; Sodergren, M. J.; Andersson, P. A.; Norrby, P. *J. Am. Chem. Soc.* **2000**, *122*, 8013; (g) Gillespie, K. M.; Sanders, C. J.; O'Shaughnessy, P.; Westmoreland, I.; Thickitt, C. P.; Scott, P. *J. Org. Chem.* **2002**, *67*, 3450.

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15. *N-(p-Toluenesulfonyl)-2-phenylaziridine* (**1**) *(Table 2, entry 1)*. Into a dry Schlenk tube or any capped vial of an appropriate size was added styrene (312 mg, 3.0 mmol) and freshly distilled DMF (2 mL). The reaction vial was immersed in a room temperature bath with stirring under an argon atmosphere. Then potassium carbonate (304 mg, 2.2 mmol) and palladium acetate (8.9 mg, 2 mol %) were added. After stirring for 5 min, solid $TsNCl_2$ (480 mg, 2 mmol) was added into the mixture. The resulting mixture was stirred at room temperature for 24 h. After completion of the reaction, it was quenched by addition of $H_2O$ (15 mL). The aqueous solution was extracted with ethyl acetate ($3 \times 20$ mL). The combined organic layers were washed with brine, dried over anhydrous sodium sulfate, and concentrated. Purification by preparative TLC plate (EtOAc/ petroleum ether = 5:1) provided white solid *N*-(*p*-tolueneosulfonyl)-2-phenylaziridine **(1)** (382 mg, 70% yield). $^1$H NMR (300 MHz, $CDCl_3$): 7.88 (d, 2H, $J = 8.3$ Hz), 7.22–7.36 (m, 7H), 3.80 (dd, 1H, $J = 7.1$, 4.5 Hz), 2.99 (d, 1H, $J = 7.2$ Hz), 2.45 (s, 3H), 2.41 (d, 1H, $J = 4.5$ Hz); $^{13}$C NMR (75 MHz, $CDCl_3$): 145.0, 135.4, 133.5, 130.2, 128.9, 128.7, 128.3, 126.9, 41.4, 36.3, 22.1; IR (KBr): 3039, 3011, 2927, 1595, 1494, 1458, 1385, 1322, 1290, 1159, 1094, 909, 817, 714, 548 cm$^{-1}$.

Compounds **2**–**7** were synthesized with the same method as for compound **1**. *N-(p-Toluenelsulfonyl)-2-(p-chlorophenyl)aziridine* (**2**) *(Table 2, entry 2)*. Obtained as white solid. (336 mg, 55% yield). $^1$H NMR (300 MHz, $CDCl_3$): 7.86 (d, 2H, $J = 8.2$ Hz), 7.34 (d, 2H, $J = 8.0$ Hz), 7.27 (d, 2H, $J = 8.4$ Hz), 7.15 (d, 2H, $J = 8.4$ Hz), 3.74 (dd, 1H, $J = 7.0$, 4.4 Hz), 2.99 (d, 1H, $J = 7.2$ Hz), 2.45 (s, 3H), 2.35 (d, 1H, $J = 4.4$ Hz); $^{13}$C NMR (75 MHz, $CDCl_3$): 145.2, 135.2, 134.5, 134.0, 130.2, 129.2, 128.3, 40.6, 36.45, 22.07; IR (KBr), 3003, 1594, 1492, 1374, 1323, 1302, 1161, 1092, 909, 814, 730, 552 cm$^{-1}$.

*N-(p-Toluenelsulfonyl)-2-(p-bromophenyl)aziridine* (**3**) *(Table 2, entry 3)*. Obtained as white solid. (335 mg, 48% yield). $^1$H NMR (300 MHz, $CDCl_3$): 7.86 (d, 2H, $J = 8.3$ Hz), 7.42 (d, 2H, $J = 8.4$ Hz), 7.34 (d, 2H, $J = 8.1$ Hz), 7.09 (d, 2H, $J = 8.4$ Hz),3.74 (dd, 1H, $J = 7.1$, 4.4 Hz), 2.99 (d, 1H, $J = 7.2$ Hz), 2.45 (s, 3H), 2.35 (d, 1H, $J = 4.4$ Hz); $^{13}$C NMR (75 MHz, $CDCl_3$): 145.2, 135.2, 134.5, 132.1, 130.2, 128.6, 128.3, 122.7, 40.7, 36.4, 22.1; IR (KBr): 1593, 1488, 1454, 1371, 1320, 1301, 1160, 1092, 908, 813, 728, 551 cm$^{-1}$.

*N-(p-Toluenelsulfonyl)-2,2-diphenylaziridine* (**4**) *(Table 2, entry 4)*. Obtained as white solid. (510 mg, 73% yield). $^1$H NMR (300 MHz, $CDCl_3$): 7.71 (d, 2H, $J = 7.3$ Hz), 7.27–7.39 (m, 12H), 3.10 (s, 2H), 2.44 (s, 3H); $^{13}$C NMR (75 MHz, $CDCl_3$): 144.4, 138.4, 136.9, 129.8, 129.2, 128.6, 128.5, 128.3, 57.4, 40.8, 22.02; IR (KBr): 3288, 3060, 3026, 1647, 1595, 1493, 1446, 1399, 1335, 1277, 1166, 1090, 957, 858, 700, 544 cm$^{-1}$.

*N-(p-Toluenelsulfonyl)-9-azabcyclo[6.1.0]nonane* (**5**) *(Table 2, entry 5)*. Obtained as white solid. (329 mg, 59% yield). $^1$H NMR (300 MHz, $CDCl_3$): 7.81 (d, 2H, $J = 8.3$ Hz), 7.32 (d, 2H, $J = 8.3$ Hz), 2.78–2.80 (m, 1H), 2.45 (s, 3H), 1.99–2.05 (m, 1H), 1.31–1.59 (12H); $^{13}$C NMR (75 MHz, $CDCl_3$): 144.4, 136.2, 130.0, 127.9, 44.3, 26.8, 26.6, 25.6, 22.0; IR (KBr): 3069, 2954, 2926, 2855, 1598, 1497, 1450, 1318, 1289, 1156, 1093, 932, 826, 725, 543 cm$^{-1}$.

*Ethyl-4-(1-tosylaziridin-2-yl)benzoate* (**6**) *(Table 2, entry 6)*. Obtained as white solid (441 mg, 64% yield) ($C_{18}H_{19}NO_4S$, $M = 345.3$); Calcd: C, 62.61; H, 5.25. Found: C, 62.39; H, 5.08; mp 87–88 °C; $^1$H NMR (300 MHz, $CDCl_3$): 7.96 (d, 2H, $J = 8.3$ Hz), 7.86 (d, 2H, $J = 8.3$ Hz), 7.33 (d, 2H, $J = 8.0$ Hz), 7.28 (d, 2H, $J = 8.2$ Hz), 4.35 (q, 2H, $J = 7.1$ Hz), 3.80 (dd, 1H, $J = 7.1$, 4.3 Hz), 3.02 (d, 1H, $J = 7.2$ Hz), 2.45 (s, 3H), 2.39 (d, 1H, $J = 4.3$ Hz), 1.38 (t, 3H, $J = 7.1$ Hz); $^{13}$C NMR (75 MHz, $CDCl_3$): 166.5, 145.3, 140.4, 135.1, 130.8, 130.2, 128.3, 126.9, 61.5, 40.9, 36.6, 22.0, 14.7; IR (KBr): 3036, 2987, 2906, 1706, 1607, 1481, 1446, 1365, 1329, 1285, 1163, 1103, 908, 831, 720, 551 cm$^{-1}$. MS (ESMS/ $[M+Na]^+$) Calcd for $C_{18}H_{19}NO_4SNa$: 368.1. Found: 368.1. $^1$H NMR and $^{13}$C NMR spectra of compounds **2**–**5** and **7** of Table 1 have been confirmed to be identical to those of known samples.[5b]