SHORT PAPER

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$LiClO_4$-Catalysed *C*-alkylation of pyrrole and indoles with aziridines and epoxides†

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Aryl-*N*-tosylaziridines and epoxides smoothly undergo ring-opening with pyrrole and indoles in the presence of 5M lithium perchlorate in diethyl ether (LPDE) at ambient temperature to afford the corresponding 2- and 3-alkylated pyrroles and 3-alkylated indoles in high yields with high selectivity. LiOTf is also found to be an effective catalyst for this transformation.

Keywords: lithium perchlorate, lithium triflate, aziridines, *C*-alkylation, sulfonamides, pyrroles, indoles

Aziridines are versatile synthetic intermediates for the synthesis of many biologically interesting molecules such as amino acids,[1] heterocycles[2] and alkaloids.[3] They are well-known carbon electrophiles capable of reacting with various nucleophiles and their ability to undergo regioselective ring opening reactions contributes largely to their synthetic value.[4] Procedures for the synthesis of aziridines have been well developed and thus aziridines are as readily available as epoxides[5] and significant progress has been made in the ring opening reactions of activated and unactivated aziridines. Consequently, several methods have been reported for the regioselective ring opening of aziridines with various nucleophiles such as organometallic reagents,[6] silyl nucleophiles,[7] Wittig reagents,[8] amines,[9] halides,[10] hydroxy compounds[11] and alkenes[12] to generate ring-opened products.

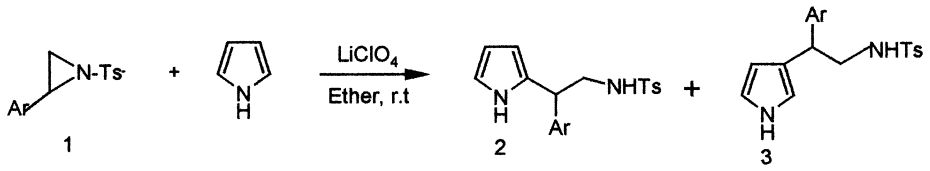
The acid catalysed reactions of pyrrole are limited and require the careful control of acidity to prevent side reactions. To date, the reactions of pyrrole remain a challenge for synthetic chemists because of its sensitivity to acids and air. As such, there are no reports on the regioselective ring opening of aziridines with pyrrole.

In recent years, $LiClO_4$ in diethyl ether has emerged as a mild Lewis acid for effecting various organic transformations[13] such as cycloaddition reactions, sigmatropic rearrangements, ring-opening reactions of oxiranes, glycosidation reactions, Michael addition and aldol condensation reactions. The lithium ion acts as a mild Lewis acid in diethyl ether and shows enhanced rates and selectivity in various reactions. In addition, lithium perchlorate is found to retain its activity even in the presence of amines.[14] The LPDE medium offers a convenient procedure to carry out the reactions under neutral reaction and work-up conditions.[15]

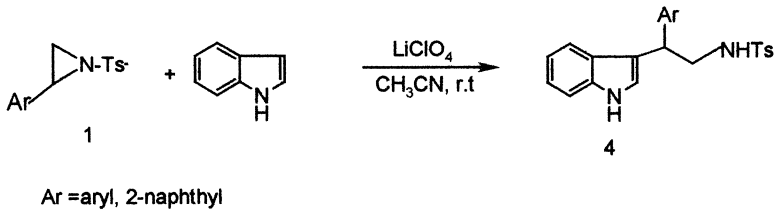
In this report we describe the regioselective ring opening of aziridines with pyrrole and indoles catalysed by 5M ethereal $LiClO_4$ (Scheme 1).

Treatment of styrene-*N*-tosylaziridine (**1**; Ar = Ph) with pyrrole in the presence of 5M $LiClO_4$ in diethyl ether resulted in the formation of product **2** and **3** in 88% combined yield. The reaction proceeded smoothly at ambient temperature, with preferential attack at the benzylic position. Other *C*-aryl-*N*-tosyl aziridines underwent cleavage by pyrrole in a regioselective manner. The products were obtained as a mixture of **2** and **3**, which were separated by column chromatography on silica gel. The reactions are clean and highly regioselective, affording the corresponding product **2** with only a minor amount of the isomer **3**. As expected, the reaction of aryl-*N*-tosyl aziridines with indole afforded the product as a single isomer **4** (Scheme 2).

Other indole substrates such as the 2-methyl and 5-methoxy compounds also reacted smoothly with aryl aziridines to



Scheme 1



Scheme 2

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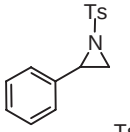
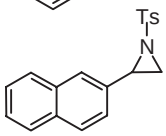
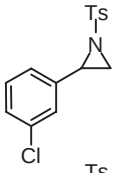
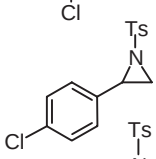
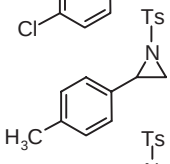
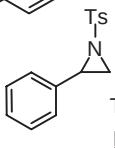
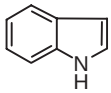
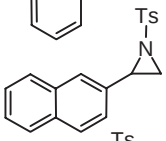
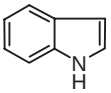
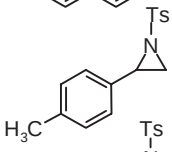
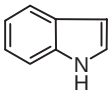
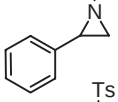
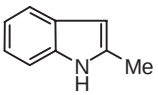
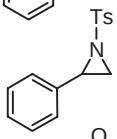
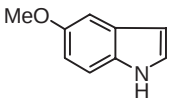
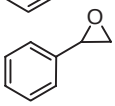
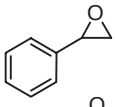
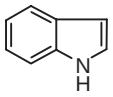
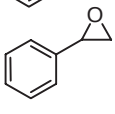
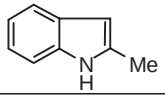
† This is a Short Paper, there is therefore no corresponding material in *J Chem. Research (M).*

Table 1 $LiClO_4$-catalysed alkylation of pyrrole and indoles with N-tosylaziridines and epoxides

Entry	Aziridine	Nucleophile	Product[a]	Yield[b]/%	Time/h
a.			**2a** **3a**	75 13	3.5
b.			**2b** **3b**	68 10	5.0
c.			**2c** **3c**	73 12	6.0
d.			**2d** **3d**	70 15	5.5
e.			**2e** **3e**	71 18	4.5
f.			**4f**	85	7.0
g.			**4g**	78	8.0
h.			**4h**	82	6.5
i.			**4i**	85	6.0
j.			**4j**	87	6.5
k.			**2k** **3k**	70 20	1.5
l.			**4l**	858	2.0
m.			**4m**	89	1.5

[a]All of the products were obtained as liquids and were characterised by ^1H NMR, IR and mass spectra.
[b]Isolated and unoptimised yields.

afford the corresponding 3-alkylated indole derivatives **4** in high yields.[16] The method is clean and highly regioselective, affording the products in excellent yields. The reaction conditions are mild and no side products or decomposition of the products are observed.

C-Alkyl aziridines failed to react with pyrrole and indole under the present reaction conditions. Furthermore, *N*-substituted pyrrole derivatives such as *N*-methyl, *N*-tosyl, *N*-phenyl and *N*-BOC compounds did not yield any product even after long reaction times under the influence of lithium perchlorate.

Styrene oxide also smoothly reacted with pyrrole and indoles to afford the corresponding *C*-alkylated derivatives in high yields (Table, entries k-m).

All the products were fully characterised by ^{1}H NMR, IR, ^{13}C NMR and mass spectral data. The efficacy of other Lewis acids such as $BiCl_3$, $CeCl_3$, YCl_3 and $YbCl_3$ was studied for this reaction. Among these catalysts, lithium perchlorate was found to be an effective reagent in terms of conversion and reaction time. This is because of the mild Lewis acidity of the lithium ion, which activates the nitrogen atom of the aziridine and facilitates the ring opening reaction. Several examples illustrating this novel and efficient method for the alkylation of pyrrole and indoles are summarised in Table 1.

In summary: we describe a new and efficient method for the alkylation of pyrrole and indoles with aziridines and epoxides using 5M lithium perchlorate in diethyl ether under neutral reaction and work-up conditions. The method has the advantages of mild reaction conditions, compatibility with acid sensitive pyrrole, simplicity in operation, greater selectivity, inexpensive reagents, high yields of products, cleaner reaction profiles and simple experimental/product isolation procedures, which makes it a useful process for the alkylation of pyrrole and indoles.

Experimental

IR spectra were recorded on a Perkin-Elmer FT-IR 240-c spectrophotometer using KBr optics. ^{1}H and ^{13}C NMR spectra were recorded on Gemini-200 spectrometer in $CDCl_3$ using TMS as internal standard. Mass spectra were recorded on a Finnegan MAT 1020 mass spectrometer operating at 70 eV. CHN analyses were recorded on a Vario EL analyzer.

General procedure for the alkylation of pyrrole and indoles

A mixture of aziridine (5 mmol), pyrrole (7 mmol) or indole (5mmol) and 5M $LiClO_4$ in diethyl ether (3 ml) or LiOTf or $LiClO_4$ (10 mol %) in acetonitrile (5 ml) was stirred at ambient temperature for a specified time (Table 1). After complete conversion, as indicated by TLC, the reaction mixture was quenched with water (10 ml) and extracted with ethyl acetate (2 × 15 ml). The combined organic layers were dried over anhydrous Na_2SO_4, concentrated in vacuo and purified by column chromatography on silica gel (Merck, 100–200 mesh, ethyl acetate-hexane, 1: 9) to afford pure product.

Spectroscopic and analytical data for the products:

2-[2-(4-Methylphenylsulfonamido)-1-phenylethyl]-1H-pyrrole (**2a**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 7.90 (brs, NH), 7.65 (d, 2H, *J* = 8.0 Hz), 7.38–7.20 (m, 5H), 7.10 (d, 2H, *J* = 8.0 Hz) 6.65 (dd, 1H, *J* = 2.9, 3.7 Hz), 6.14 (dd, 1H, *J* = 2.9, 6.0 Hz), 5.95 (d, 1H, *J* = 2.9 Hz), 4.45 (t, 1H, *J* = 6.5 Hz), 4.10 (t, 1H, *J* = 7.0 Hz), 3.47 (ddd, 1H, *J* = 6.5, 7.0, 12.8 Hz), 3.43 (ddd, 1H, *J* = 7.0, 7.0, 12.8 Hz), 2.40 (s, 3H). EIMS: *m/z*: 340 (M^+), 274, 234, 156, 131, 95, 81, 69, 55. IR (neat) ν_{max}: 3375, 3283, 2925, 1714, 1594, 1421, 1312, 1125, 1086, 798, 712 cm.$^{-1}$

Anal. Calcd. for $C_{19}H_{20}N_2O_2S$ (340.43): C, 67.03; H, 5.92; N, 8.23; S, 9.42. Found: C, 67.08; H, 5.95; N, 8.27; S, 9.43.

3-[2-(4-Methylphenylsulfonamido)-1-phenylethyl]-1H-pyrrole (**3a**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.15 (brs, NH), 7.65 (d, 2H, *J* = 8.0 Hz), 7.30–7.20 (m, 5H), 7.10 (d, 2H, *J* = 8.0 Hz) 6.68 (d, 1H, *J* = 2.5 Hz), 6.54 (m, 1H), 5.97 (dd, 1H, *J* = 2.5, 3.7 Hz), 4.38 (t, 1H, *J* = 6.5 Hz), 3.98 (t, 1H, *J* = 7.3 Hz), 3.38 (ddd, 2H, *J* = 6.5, 7.3, 12.8 Hz), 3.43 (ddd, 1H, *J* = 7.0, 7.0, 12.8 Hz), 2.40 (s, 3H). EIMS: *m/z*: 340 (M^+), 274, 234, 156, 131, 95, 81, 69, 55. IR (neat) ν_{max}: 3375, 3283, 2925, 1714, 1594, 1421, 1312, 1125, 1086, 798, 713 cm.$^{-1}$

2-[2-(4-Methylphenylsulfonamido)-1-(2-naphthyl)ethyl]-1H-pyrrole (**2b**): ^{1}H NMR (200 MHz,$CDCl_3$) δ: 10.0 (brs, NH), 7.80–7.70 (m, 3H), 7.63 (d, 2H, *J* = 8.0 Hz), 7.43–7.35 (m, 3H), 7.20 (d, 2H, *J* = 8.0 Hz), 7.05 (t, 1H, *J* = 8.0 Hz), 6.60 (dd, 1H, *J* = 2.9, 3.7 Hz), 5.95 (dd, 1H, *J* = 2.9, 6.0 Hz), 5.85 (d, 1H, *J* = 2.9 Hz), 4.45 (t, 1H, *J* = 6.8 Hz), 4.35 (t, 1H, *J* = 7.0 Hz), 3.45 (ddd, 1H, *J* = 6.8, 7.0, 12.8 Hz), 3.39 (ddd, 1H, *J* = 7.0, 7.0, 12.8 Hz), 2.40 (s, 3H). EIMS: *m/z*: 390 (M^+), 206, 155, 141, 107, 91, 55, 43. IR (neat) ν_{max}: 3375, 3283, 2925, 1715, 1594, 1421, 1312, 1086, 1152, 765 cm.$^{-1}$

Anal. Calcd. for $C_{23}H_{22}N_2O_2S$ (390.49): C, 70.74; H, 5.68; N, 7.17; S, 8.21. Found: C, 70.77; H, 5.69; N, 7.19; S, 8.23.

3-[2-(4-Methylphenylsulfonamido)-1-(2-naphthyl)ethyl]-1H-pyrrole (**3b**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 10.0 (brs, NH), 7.80–7.70 (m, 3H), 7.63 (d, 2H, *J* = 8.0Hz), 7.43–7.35 (m, 3H), 7.20 (d, 2H, *J* = 8.0 Hz), 7.05 (t, 1H, *J* = 8.0 Hz), 6.68 (d, 1H, *J* = 2.5 Hz), 6.54 (m, 1H), 5.95 (dd, 1H, *J* = 2.5, 3.7 Hz), 4.38 (t, 1H, *J* = 6.5 Hz), 4.10 (t, 1H, *J* = 7.3 Hz), 3.40 (t, 2H, *J* = 7.3 Hz), 2.40 (s, 3H). EIMS: *m/z*: 390 (M^+), 206, 155, 141, 107, 91, 55, 43. IR (neat) ν_{max}: 3375, 3283, 2925, 1715, 1594, 1421, 1312, 1086, 1152, 767 cm.$^{-1}$.

2-[1-(3-Chlorophenyl)-2-(4-methylphenylsulfonamido)ethyl]-1H-pyrrole (**2c**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 7.90 (brs, NH), 7.65 (d, 2H, *J* = 8.0 Hz), 7.25 (d, 2H, *J* = 8.0 Hz), 7.20–7.18 (m, 2H), 7.05 (m, 2H), 6.63 (dd, 1H, *J* = 2.9, 3.7 Hz), 6.14 (dd, 1H, *J* = 2.9, 6.0 Hz), 5.95 (d, 1H, *J* = 2.9 Hz), 4.45 (t, 1H, *J* = 6.5 Hz), 4.10 (t, 1H, *J* = 7.0 Hz), 3.30–3.45 (m, 2H), 2.43 (s, 3H). EIMS: *m/z*: 374 (M^+), 190, 156, 121, 98, 69, 55, 43. IR (neat) ν_{max}: 3385, 3252 2924, 1742, 1568, 1427, 1316, 1153, 1090, 719 cm.$^{-1}$ Anal. Calcd. for $C_{19}H_{19}ClN_2O_2S$ (374.88): C, 60.87; H, 5.11; Cl, 9.46; N, 7.47; S, 8.55. Found: C, 60.90; H, 5.14; Cl, 9.49; N, 7.48; S, 8.57.

3-[1-(3-Chlorophenyl)-2-(4-methylphenylsulfonamido)ethyl]-1H-pyrrole (**3c**): ^{1}H NMR (200 MHz $CDCl_3$) δ: 7.28 (brs, NH), 7.65 (d, 2H, *J* = 8.0 Hz), 7.30 (d, 2H, *J* = 8.0 Hz), 7.18–7.0 (m, 4H), 6.68 (d, 1H, *J* = 2.5 Hz), 6.54 (m, 1H), 5.98 (dd, 1H, *J* = 2.5, 3.7 Hz), 4.38 (t, 1H, *J* = 6.5 Hz), 3.98 (t, 1H, *J* = 7.0 Hz), 3.38 (t, 2H, *J* = 7.0 Hz), 2.45 (s, 3H). EIMS: *m/z*: 374 (M^+), 190, 156, 121, 98, 69, 55, 43. IR (neat) ν_{max}: 3385, 3252, 2924, 1742, 1568, 1427, 1316, 1153, 1090, 719 cm.$^{-1}$

2-[1-(4-Chlorophenyl)-2-(4-methylphenylsulfonamido)ethyl]-1H-pyrrole (**2d**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.10 (brs, NH), 7.65 (d, 2H, *J* = 8.0Hz), 7.30 (d, 2H, *J* = 7.8 Hz), 7.20 (d, 2H, *J* = 8.0 Hz), 7.02 (d, 2H, *J* = 7.8Hz), 6.60 (dd, 1H, *J* = 2.9, 3.7 Hz), 6.15 (dd, 1H, *J* = 2.9, 6.0 Hz), 5.88 (d, 1H, *J* = 2.9 Hz), 4.78 (t, 1H, *J* = 7.3 Hz), 4.18 (t, 1H, *J* = 7.0 Hz), 3.43 (ddd, 1H, *J* = 6.3, 7.0, 12.8 Hz), 3.38 (ddd, 1H, *J* = 7.0, 7.0, 12.8 Hz), 2.43 (s, 3H). EIMS: m/z: 374 (M^+), 314, 190, 156, 121, 98, 69, 57, 43. IR (neat) ν_{max}: 3387, 3252, 2924, 1655, 1568, 1427, 1365, 1157, 1121, 949, 834, 771, 685 cm.$^{-1}$

Anal. Calcd. for $C_{19}H_{19}ClN_2O_2S$ (374.88): C, 60.87; H, 5.11; Cl, 9.46; N, 7.47; S, 8.55. Found: C, 60.90; H, 5.14; Cl, 9.49; N, 7.48; S, 8.57.

3-[1-(4-Chlorophenyl)-2-(4-methylphenylsulfonamido)ethyl]-1H-pyrrole:(**3d**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.15 (brs, NH), 7.65 (d, 2H, *J* = 8.0 Hz), 7.30–7.20 (m, 4H), 7.10 (d, 2H, *J* = 8.0Hz) 6.68 (d, 1H, *J* = 2.5 Hz), 6.54 (m, 1H), 5.97 (dd, 1H, *J* = 2.5, 3.7 Hz), 4.38 (t, 1H, *J* = 7.3 Hz), 3.98 (t, 1H, *J* = 7.0 Hz), 3.38 (t, 2H, *J* = 7.3 Hz), 2.43 (s, 3H). EIMS: *m/z*: 374 (M^+), 314, 190, 156, 141, 98, 91, 57, 43. IR (neat) ν_{max}: 3385, 3252, 2922, 1568, 1405, 1322, 1219, 1087, 772 cm.$^{-1}$

2-[1-(4-Methylphenyl)-2-(4-methylphenylsulfonamido)ethyl]-1H-pyrrole (**2e**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.05 (brs, NH), 7.65 (d, 2H, *J* = 8.0 Hz), 7.28 (d, 2H, *J* = 7.0 Hz), 7.05–6.98 (m, 4H), 6.65 (dd, 1H, *J* = 2.9, 3.7 Hz), 6.14 (dd, 1H, *J* = 2.9, 6.0 Hz), 5.97 (d, 1H, *J* = 2.9 Hz), 4.40 (t, 1H, *J* = 6.5 Hz), 4.15 (t, 1H, *J* = 7.0 Hz), 3.45 (ddd, 1H, *J* = 6.3,7.0,12.8 Hz), 3.40 (ddd, 1H, *J* = 7.0, 7.0, 12.8 Hz), 2.45 (s, 3H), 2.30 (s, 3H). EIMS: m/z: 354 (M^+), 280, 150, 142, 97, 84, 71, 57. IR (neat) ν_{max}: 3373, 3271, 2922, 1715, 1595, 1422, 1305, 1220, 1159, 1121, 949, 834, 770 cm.$^{-1}$

Anal. Calcd. for $C_{20}H_{22}N_2O_2S$ (354.46): C, 67.77; H, 6.26; N, 7.90; S, 9.04. Found: C, 67.79; H, 6.27; N, 7.93; S, 9.07.

3-[1-(4-Methylphenyl)-2-(4-methylphenylsulfonamido)ethyl]-1H-pyrrole (**3e**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.10 (brs, NH), 7.67 (d, 2H, *J* = 8.0 Hz), 7.30 (d, 2H, *J* = 7.0 Hz), 7.05–6.98 (m, 4H), 6.65 (d, 1H, *J* = 2.5 Hz), 6.55 (m, 1H), 5.98 (dd, 1H, *J* = 2.5, 3.7 Hz), 4.38 (t, 1H, *J* = 6.8 Hz), 3.98 (t, 1H, *J* = 7.0 Hz), 3.39 (t, 2H, 7.0 Hz), 2.43 (s, 3H), 2.30 (s, 3H). EIMS: *m/z*: 354 (M^+), 280, 150, 142, 97, 84, 71, 57. IR (neat) ν_{max}: 3373, 3271, 2926, 1743, 1542, 1422, 1305, 1158, 1085, 770 cm.$^{-1}$

3-[2-(4-Methylphenylsulfonamido)-1-phenylethyl]-1H-indole (**4f**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.25 (brs, NH), 7.65 (d, 2H, *J* = 8.0Hz), 7.10–7.28 (m, 8H), 7.08 (d, 1H, *J* = 2.0Hz), 7.03-6.90 (m, 3H), 4.50 (brs, NH), 4.38 (t, 1H, *J* = 7.0Hz), 3.45 (ddd, 1H, *J* = 7.0, 7.0, 13.5 Hz), 3.35 (ddd, 6.5 7.0, 13.5Hz), 2.38 (s, 3H). EIMS: *m/z*: 390 (M^+), 309, 270, 234, 205, 166, 148, 102, 70, 57. IR (neat) ν_{max}: 3383, 2926, 1714, 1445, 1325, 1156, 1090, 970, 770 cm.$^{-1}$

Anal. Calcd. for $C_{23}H_{22}N_2O_2S$ (390.49): C, 70.74; H, 5.68; N, 7.17; S, 8.21. Found: C, 70.76; H, 5.69; N, 7.20; S, 8.24.

3-[2-(4-Methylphenylsulfonamido)-1-(2-naphthyl)ethyl]-1H-indole (**4g**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.10 (brs, NH), 7.78–7.60 (m, 6H), 7.10–7.28 (m, 8H), 7.0 (d, 1H, *J* = 2.0Hz), 6.90 (t, 1H, *J* = 7.5 Hz), 4.50 (brs, NH), 4.45 (t, 1H, *J* = 7.0 Hz), 3.73-3.60 (m, 2H), 2.40 (s, 3H). EIMS: *m/z*: 440 (M^+), 257, 156, 142, 92, 43. IR (neat) ν_{max}: 3366, 2926, 1706, 1457, 1325, 1157, 1093, 752 cm.$^{-1}$

Anal. Calcd. for $C_{27}H_{24}N_2O_2S$ (440.55): C, 73.61; H, 5.49; N, 6.36; S, 7.28. Found: C, 73.63; H, 5.51; N, 6.39; S, 7.29.

3-[1-(4-Methylphenyl)-2-(4-methylphenylsulfonamido)ethyl]-1H-indole (**4h**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 7.95 (brs, NH), 7.65 (d, 2H, *J* = 8.0 Hz), 7.10–7.28 (m, 5H), 7.08 (d, 1H, *J* = 2.0Hz),

7.03–6.89 (m, 5H), 4.50 (brs, NH), 4.38 (t, 1H, *J* = 7.0Hz), 3.45 (ddd, 1H, *J* = 7.0, 7.0, 13.5 Hz), 3.35 (ddd, 6.5 7.0, 13.5 Hz), 2.40 (s, 3H), 2.33 (s, 3H). EIMS: *m/z*: 404 (M^+), 218, 204, 155, 141, 91, 57, 43. IR (neat) ν_{max}: 3383, 2926, 1714, 1445, 1325, 1156, 1090, 767 cm.$^{-1}$

Anal. Calcd. for $C_{24}H_{24}N_2O_2S$ (404.52): C, 71.26; H, 5.98; N, 6.93; S, 7.93. Found: C, 71.27; H, 5.99; N, 6.93; S, 7.95.

2-Methyl-3-[2-(4-methylphenylsulfonamido)-1-phenylethyl]-1H-indole (**4i**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.18 (brs, NH), 7.60 (d, 2H, *J* = 8.0 Hz), 7.05–7.28 (m, 8H), 6.95 (t, 1H, *J* = 7.3 Hz), 4.43 (m, 2H), 3.80 (m, 1H), 3.58 (m, 1H), 2.47 (s, 3H), 2.28 (s, 3H). EIMS: *m/z*: 404 (M^+), 220, 178, 106, 91, 43. IR (neat) ν_{max}: 3385, 2929, 1711, 1445, 1325, 1158, 1087, 760 cm.$^{-1}$

Anal. Calcd. for $C_{24}H_{24}N_2O_2S$ (404.52): C, 71.26; H, 5.98; N, 6.93; S, 7.93. Found: C, 71.28; H, 5.99; N, 6.95; S, 7.93.

5-Methoxy-3-[2-(4-methylphenylsulfonamido)-1-phenylethyl]-1H-indole (**4j**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 7.90 (brs, NH), 7.65 (d, 2H, *J* = 8.0 Hz), 7.18–7.30 (m, 10H), 6.90 (d, 1H, *J* = 2.0 Hz), 4.50 (brs, NH), 4.30 (q, 1H, *J* = 7.3 Hz), 3.78 (s, 3H), 3.45 (ddd, 1H, *J* = 7.0, 7.3, 13.5 Hz), 3.35 (ddd, 6.5 7.0, 13.5 Hz), 2.40 (s, 3H). EIMS: *m/z*: 420 M^+, 204, 155, 121, 77, 43. IR (neat) ν_{max}: 3387, 2927, 1710, 1443, 1327, 1158, 1091, 758 cm.$^{-1}$

Anal. Calcd. for $C_{24}H_{24}N_2O_2S$ (420.52): C, 68.55; H, 5.75; N, 6.66; S, 7.62. Found: C, 68.57; H, 5.78; N, 6.67; S, 7.65.

2-Phenyl-2-(2-pyrrolyl)-ethanol (**2k**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.45 (brs, NH), 7.43–7. 18 (m, 5H), 6.65 (dd, 1H, *J* = 2.8, 3.8 Hz), 6.15 (dd, 1H, *J* = 2.8, 6.0 Hz), 5.95 (d, 1H, *J* = 2.8 Hz), 3.98-4.20 (m, 3H), 2.05 (brs, OH). EIMS: *m/z*: 187 $M.^+$ IR (neat) ν_{max}: 3520, 3347, 1620, 1508 1243, 1048, 710 cm.$^{-1}$

Anal. Calcd. for $C_{12}H_{13}NO$ (187.24): C, 76.98; H, 7.0; N, 7.48. Found: C, 76.99; H, 7.05; N, 7.50.

2-Phenyl-2-(3-pyrrolyl)-ethanol (**3k**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.15 (brs, NH), 7.38–7.20 (m, 5H), 6.70 (d, 1H, *J* = 2.5 Hz), 6.60 (m, 1H), 6.10 (dd, 1H, *J* = 2.5, 3.7 Hz), 3.97–4.18 (m, 3H), 1.55 (brs, OH). EIMS: *m/z*: 187 $M.^+$ IR (neat) ν_{max}: 3515, 3347, 1620, 1508 1243, 1048, 710 cm.$^{-1}$

2-(3-Indolyl)-2-phenylethanol (**4l**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 8.05 (brs, NH), 7.50 (dd, 1H, *J* = 0.8, 8.0 Hz), 7.35–7.25 (m, 5H), 7.20 (m, 1H) 7.15 (ddd, 1H, *J* = 1.0, 7.0, 8.0 Hz), 7.08 (d, 1H, *J* = 2.0Hz), 7.03 (ddd, 1H, *J* = 1.0, 7.0, 8.0. Hz), 4.49 (t, 1H, *J* = 6.8 Hz), 4.25 (dd, 1H, *J* = 6.8, 10.7Hz), 4.18 (dd, 1H, *J* = 6.8, 10.7 Hz), 1.65 (brs, OH). EIMS: *m/z*: 237 (M^+). IR (neat) ν_{max}: 3505, 3378, 1637, 1507 1450, 1340, 1047, 715 cm.$^{-1}$

Anal. Calcd. for $C_{16}H_{15}NO$ (237.30): C, 80.98; H, 6.37; N, 5.90. Found: C, 80.99; H, 6.38; N, 5.93.

2-(2-Methyl-1H-3-indolyl)-2-phenylethanol (**4m**): ^{1}H NMR (200 MHz, $CDCl_3$) δ: 7.90 (brs, NH), 7.48 (dd, 1H, *J* = 0.8, 8.0Hz), 7.33–7.25 (m, 5H), 7.20 (m, 1H) 7.10 (ddd, 1H, *J* = 1.0, 7.0, 8.0 Hz), 7.05 (ddd, 1H, *J* = 1.0, 7.0, 8.0. Hz), 4.65 (t, 1H, *J* = 6.8 Hz), 4.28 (dd, 1H, *J* = 6.8, 10.7Hz), 4.25 (dd, 1H, *J* = 6.8, 10.7 Hz), 2.38 (s, 3H) 1.65 (brs, OH). EIMS: *m/z*: 251 (M^+). IR (neat) ν_{max}: 3507, 3385, 1640, 1510 1457, 1337, 1053, 712 cm.$^{-1}$

Anal. Calcd. for $C_{17}H_{17}NO$ (251.32): C, 81.24; H, 6.82; N, 5.57. Found: C, 81.25; H, 6.84; N, 5.59.

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