Reaction of Dimethylsulphoxonium Methylid with *N*-Arenesulphonylimines: A One-Pot Synthesis of 2-Aryl-*N*-arenesulphonylazetidines

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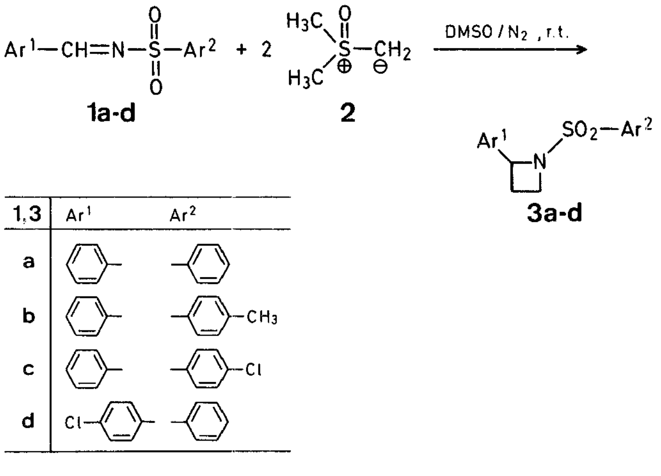
Azetidines have received considerable attention in recent years[1] in spite of the paucity of good synthetic methods. In particular, 2-aryl-*N*-arenesulphonylazetidines are either inaccessible or obtained only in poor yields[2] by the usual approaches[3-7]. In addition, these procedures involve several steps and difficult to obtain starting materials. Recently, we have shown that methylene transfer to *N*-arenesulphonylaziridines is a successful route to azetidines[8]. As aziridines can be obtained from suitable imines or azomethines and dimethylsulphoxonium methylid[9], a one-pot synthesis should be possible.

In this communication, we report on such a synthesis of the title compounds **3** through the reaction of dimethylsulphoxonium methylid (**2**) with *N*-arenesulphonylimines **1a-d**. The reaction was carried out simply by adding the azomethine **1a-d** to a solution of the ylid **2** (3 equiv) under nitrogen at ambient temperature and stirring for 12-15 h.



The products **3** were separated by column chromatography on neutral alumina. The structures of the 2-aryl-*N*-arenesulphonylazetidines **3** were established by spectroscopic and analytical data. Although the yields of **3** (40-47%) are modest, they are much better than those by other known methods. Besides, the procedure is mild, involves only one-step, and is based on easily available starting materials. The method, however, is limited only to *N*-arenesulphonylazetidines; thus, when *N*-benzylideneaniline was reacted with **2**, no four-membered heterocycle was obtained.

The *N*-arenesulphonylimines **1a-d** were obtained according to the method of Refs.[10] in yields of 70-100%.

2-Phenyl-*N*-(*p*-toluenesulphonyl)-azetidine (3b); Typical Procedure:
To a dimethyl sulphoxide solution of ylid **2**, obtained by the reaction of trimethylsulphoxonium iodide (1.29 g, 0.0058 mol) with sodium hydride (0.0058 mol) according to the procedure of Ref.[11], is added imine **1b** (0.49 g, 0.0019 mol) under an atmosphere of nitrogen (a positive nitrogen pressure is maintained throughout the course of reaction). The mixture is stirred at room temperature for 13 h and then quenched with water (10 ml). Dilution with excess water (100 ml), followed by extraction with ether (5 x 30 ml), drying of the extract with sodium sulphate, and evaporation of the solvent, leaves an oil which is loaded on an neutral alumina column. Elution with benzene gives the product **3b**; yield: 0.261 g (47%); m.p. 118-119 °C.

		C	H	N
$C_{16}H_{17}NO_2S$	calc.	66.87	5.96	4.87
(287.4)	found	66.69	6.06	5.10

^{1}H-N.M.R. ($CDCl_3$): δ=2.44 (s, 3H); 2.3 (m, 2H); 3.78 (t, 2H, J=8 Hz); 4.90 (t, 1H, J=9 Hz); 7.5 ppm (m, 9H_{arom}).

M.S.: m/e=287.

Table. 2-Aryl-*N*-arenesulphonylazetidines **3** prepared

Product	Reaction time	Yield [%]	m.p.[a] [°C]	Molecular formula[b]	M.S. m/e (M^+)	^{1}H-N.M.R. ($CDCl_3$/TMS, 90 or 100 MHz) δ [ppm]
3a	15 h	41	124-125°	$C_{15}H_{15}NO_2S$ (273.4)	273	2.3 (m, 2H); 3.76 (t or dd, 2H, J=8 Hz or 8 Hz, 6 Hz); 4.90 (t, 1H, J=8 Hz); 7.6 (m, 10H)
3b	13 h	47	see experimental procedure			
3c	12 h	45	148-150°	$C_{15}H_{14}ClNO_2S$ (307.8)	307	2.3 (m, 2H); 3.84 (t, 2H, J=8 Hz); 4.94 (t, 1H, J=8 Hz); 7.5 (m, 9H)
3d	15 h	21	150-151°	$C_{15}H_{14}ClNO_2S$ (307.8)	307	2.3 (m, 2H); 3.84 (dd, 2H, J=8 Hz, 6 Hz); 4.94 (t, 1H, J=8 Hz); 7.5 (m, 9H)

[a] Products recrystallised from benzene/petroleum ether or ethyl acetate/petroleum ether.
[b] Satisfactory microanalyses obtained: C ±0.27, H ±0.30, N ±0.36.

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