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### Stereoselective synthesis and antimicrobial activity of congested Epoxysulphones

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#### ABSTRACT

Highly congested epoxysulphones with stereoselectivity were synthesized from unsaturated sulphones. A comparison of diastereoselectivity has been made between the epoxysulphones synthesized using *m*-CPBA and H<sub>2</sub>O<sub>2</sub>. The synthesized compounds were characterized by using elemental analysis, IR, <sup>1</sup>H-NMR and Mass spectral studies. The results indicate that *m*-CPBA epoxidations are sterically controlled and provide crude model for determination of the operation of hydrogen bonding in the reaction of H<sub>2</sub>O<sub>2</sub> epoxidations. All these new compounds exhibited differential antibacterial and antifungal activities.

**Keywords:** epoxidation, diastereoselectivity, unsaturatedsulphones, antibacterial activity, antifungal activity.

#### INTRODUCTION

The epoxidation of acyclic vinyl sulphones has been widely studied by Jackbson [1], and the reactivity of these species has been applied in the synthesis of natural products [2]. Aria et al. [3] first reported the synthesis of epoxysulphones by asymmetric phase-transfer catalysis. Optically active epoxycarbonyl and epoxysulphonyl compounds can be easily converted to many types of chiral compounds useful as chiral building blocks and intermediates for the synthesis of biologically active compounds [4]. The Dargens reaction, which consists of two sequential reactions, has been well known as one of the most potential methodologies for the construction of epoxycarbonyl and epoxysulphonyl compounds [5]. Several other approaches for generation of epoxysulphones include asymmetric synthesis of  $\alpha,\beta$ -epoxysulphones via phase-transfer catalytic Darzens reaction [6], and asymmetric epoxidation of some arylalkenyl sulphones using a modified Julia-Colonna procedure [7]. There are a few reports in the literature for the synthesis of various combination of epoxysulphones, but no reports are available for the synthesis of

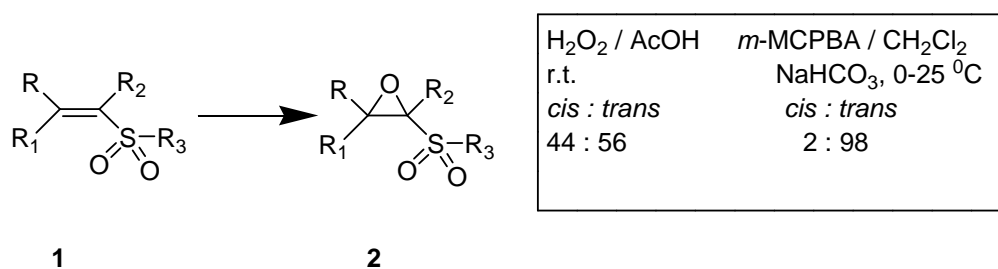
congested epoxysulphones. This background prompted us to synthesise a new congested epoxysulphones with environmentally friendly oxidizing agent in presence or absence of NaHCO<sub>3</sub> under 0-25 °C (Scheme 1).

## RESULTS AND DISCUSSION

During an epoxidation study, de Sousa *et al.* [8, 9] compared the diastereoselectivity observed during the transformation of alkene into epoxides using *m*-CPBA in CH<sub>2</sub>Cl<sub>2</sub> and Yang's condition. Under Yang's conditions, complete *trans* selectivity was observed, whereas only a 56:44 mixture of *trans*- and *cis*-epoxide was obtained with *m*-CPBA. They interpreted these results by suggesting that some degree of *cis*-direction (due to hydrogen bonding) was occurring with *m*-CPBA. We, therefore, tested in the present study whether any hydrogen bonding was operating in the *m*-CPBA epoxidations. Thus, diastereoselectivity observed in the transformation of congested unsaturatedsulphones **1** into epoxides-**2** using either *m*-CPBA/NaHCO<sub>3</sub> in CH<sub>2</sub>Cl<sub>2</sub> or H<sub>2</sub>O<sub>2</sub> was compared. Under the basic *m*-CPBA conditions, complete *trans* selectivity was observed irrespective of starting compound (*cis* or *trans*). Only a 42:58 mixture of *cis*- and *trans*-**2** was obtained using H<sub>2</sub>O<sub>2</sub>, which clearly suggests that some degree of *cis*-direction (due to hydrogen bonding) was occurring with H<sub>2</sub>O<sub>2</sub> in the absence of a base.

All the epoxysulphones were prepared in quantitative yield from congested unsaturated sulphones **1a-n** using either H<sub>2</sub>O<sub>2</sub> in CH<sub>2</sub>Cl<sub>2</sub> or *m*-CPBA, and the crude product was separated into its two isomers by fractional crystallization. The *cis* and *trans* ratios of two isomers were calculated from the yields of two pure isomers (Table 1). All the synthesized compounds show significant differences in stereoselectivity between two types of epoxidising reagents indicating that hydrogen bonding is operated with H<sub>2</sub>O<sub>2</sub>. However, the compounds **2d**, **2j** and **2m** show essentially the same levels of stereocontrol with the two epoxidising systems suggests the operation of hydrogen bonding in presence of both oxidizing agents.

Characteristic IR absorptions were observed in the regions 1260-1278 cm<sup>-1</sup> for epoxide ring, 896-863 cm<sup>-1</sup> for *trans* epoxide, and 825-765 cm<sup>-1</sup> for *cis* epoxide stretching frequencies for **2a-n** [10]. The aromatic hydrogens resonated as multiplets at δ 6.9-7.89 in their <sup>1</sup>H NMR spectra.



**Scheme 1: Synthesis of α, β-epoxysulphones 2a-n**

**Table 1: Stereoselective epoxidation of unsaturatedsulphones using *m*-CPBA and H<sub>2</sub>O<sub>2</sub>**

Comp.	R	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	H <sub>2</sub> O <sub>2</sub> <sup>a</sup>	<i>m</i> -CPBA <sup>b</sup>
					<i>cis:trans</i>	<i>cis:trans</i>
<b>2a</b>	H	C <sub>6</sub> H <sub>5</sub>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	42:58	6:94
<b>2b</b>	C <sub>6</sub> H <sub>5</sub>	H	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	46:54	9:91
<b>2c</b>	H	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	C <sub>6</sub> H <sub>5</sub>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	51:48	1:99
<b>2d</b>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	H	C <sub>6</sub> H <sub>5</sub>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	42:58	39:61 <sup>c</sup>
<b>2e</b>	H	C <sub>6</sub> H <sub>5</sub>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	48:52	9:91
<b>2f</b>	C <sub>6</sub> H <sub>5</sub>	H	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	46:54	2:98
<b>2g</b>	H	C <sub>6</sub> H <sub>5</sub>	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	<i>p</i> -OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	25:75	6:94
<b>2h</b>	C <sub>6</sub> H <sub>5</sub>	H	<i>p</i> -ClC <sub>6</sub> H <sub>4</sub>	<i>p</i> -OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	22:78	4:96
<b>2i</b>	H	C <sub>6</sub> H <sub>5</sub>	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	34:66	1:99
<b>2j</b>	C <sub>6</sub> H <sub>5</sub>	H	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	48:52	44:56 <sup>c</sup>
<b>2k</b>	H	C <sub>6</sub> H <sub>5</sub>	<i>p</i> -OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	51:49	2:98
<b>2l</b>	C <sub>6</sub> H <sub>5</sub>	H	<i>p</i> -OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	<i>p</i> -CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	42:58	6:94
<b>2m</b>	H	C <sub>6</sub> H <sub>5</sub>	<i>p</i> -OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	<i>p</i> -OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	36:64	42:58 <sup>c</sup>
<b>2n</b>	C <sub>6</sub> H <sub>5</sub>	H	<i>p</i> -OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	<i>p</i> -OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>	43:57	1:99

<sup>a</sup>H<sub>2</sub>O<sub>2</sub>, AcOH, r.t. 16-24 h; <sup>b</sup>*m*-CPBA, NaHCO<sub>3</sub>, CH<sub>2</sub>Cl<sub>2</sub>, 0-5 °C, 8-14 h; <sup>c</sup>*m*-CPBA, CH<sub>2</sub>Cl<sub>2</sub>, r.t. °C, 22-29 h;

#### Antibacterial activity

The compounds **2a-n** were screened for their antibacterial activity against human pathogenic bacteria, *Pseudomonas aeruginosa*, *Staphylococcus aureus*, *Escherichia coli*, *Streptococcus feacalis*, *Propionibacterium acnes*. The minimum inhibition concentration (MIC) was determined using the dilution method [11]. DMF was used as a blank and Ciprofloxacin as a standard and the results are presented in Table 2.

An examination of the data reveals that all the compounds showed antibacterial activity concentrations ranging from 15 to 100 µg ml<sup>-1</sup>. The compounds **2k-2n** were highly active against all the five test organisms. Compound **2n**, in particular, showed maximum inhibitory activity. These results indicate that the presence of methoxy/chloro group at the phenyl ring increases the antibacterial activity. Moreover, the inhibitory activity was maximum for a compound having two methoxy groups.

#### Antifungal activity

The compounds **2a-n** were also screened for their antifungal activity (Table 2) against *Candida albicans* and *Aspergillus niger* using a fungicide, Clotrimazole in DMF [12] as control. The antifungal activity towards *C. albicans* was more pronounced with **2e**, **2f** and **2l**. On the other hand, the growth of *A. niger* was significantly inhibited by the compounds **2b** and **2k**.

**Table 2. Antimicrobial Activity of 2a-n**

Compound	Antibacterial activity(MIC; $\mu\text{g ml}^{-1}$ )					Antifungal activity Zone of Inhibition (in mm)	
	<i>P. aeruginosa</i>	<i>S. aureus</i>	<i>E. coli</i>	<i>S. feacalis</i>	<i>P. acnes</i>	<i>C. albicans</i>	<i>A. niger</i>
<b>2a</b>	23	25	n.d.	30	26	12	15
<b>2b</b>	31	34	28	45	32	19	30
<b>2c</b>	40	40	45	75	75	12	16
<b>2d</b>	85	50	85	85	50	14	10
<b>2e</b>	50	n.d.	55	80	80	24	14
<b>2f</b>	35	35	45	45	45	23	23
<b>2g</b>	100	100	100	n.d.	90	20	25
<b>2h</b>	90	90	90	90	80	15	12
<b>2i</b>	85	75	30	30	30	09	10
<b>2j</b>	50	50	45	45	45	17	20
<b>2k</b>	25	25	25	25	25	18	35
<b>2l</b>	20	20	20	25	25	25	15
<b>2m</b>	20	20	20	20	20	10	10
<b>2n</b>	15	15	15	15	15	13	20
<i>Ciprofloxacin</i>	12	12	12	12	12	-	-
<i>Clotrimazole (10 <math>\mu\text{g}/\text{cup}</math>)</i>	-	-	-	-	-	27	19

*n.d.* = not determined**MATERIALS AND METHODS**

All melting points were determined in open capillary tubes on Mel-Temp apparatus, Laboratory Devices, Cambridge, MA, USA, and were uncorrected.  $^1\text{H}$  NMR spectra were recorded using a Bruker 400 Spectrometer (400 MHz) with TMS as an internal standard. IR spectra were recorded on Perkin Elmer Spectrophotometer as KBr pellets. Microanalysis was performed on a Perkin Elmer-240 CHS elemental analyzer. Analytical TLC was conducted on E-Merck 60F254 aluminum-packed silica gel plates (0.2 mm). Developed plates were visualized under UV light or in Iodine chamber.

**General procedure for synthesis of epoxysulphones 2a-n**

A mixture of unsaturated sulfones (1 mmole) and *m*-chlorobenzoic acid (2 mmole), and  $\text{NaHCO}_3$  (2 mmole) was dissolved in 25 mL methylene chloride, and the reaction mixture was stirred magnetically under  $0-25^\circ\text{C}$  for about 8-29 hours and progress of reaction was monitored by TLC. After completion of reaction the contents were washed with water, saturated  $\text{NaHCO}_3$  and then with water 3 to 4 times. The reaction mixture was extracted with methylene chloride (2 x 10 mL), and organic layer was dried over anhydrous  $\text{Na}_2\text{SO}_4$ , and the solvent was evaporated to get crude product, which was recrystallized with 95% ethanol to afford epoxysulphones **2a-n** in 73-95% yield. The *cis* and *trans* epoxysulphones were separated by fractional crystallization.

*trans*-2-(4-chlorobenzenesulphonyl)-2-(4-chlorophenyl)-3-phenyl oxirane (**2a**)

White solid and yield was 83%, m.p. 165-167.5 °C. IR (KBr)  $\text{cm}^{-1}$ : 458, 626, 651, 687, 838, 943, 1012, 1028, 1071, 1092, 1154, 1178, 1256, 1332, 1398, 1483, 1537, 1584, 2283, 2899, 3437.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  4.37 (s, 1H, CH), 6.99-7.19 (m, 4H, Ar-H), 7.24-7.36 (m, 5H, Ar-H), 7.85 (d, 2H, Ar-H), 7.93(d, 2H, Ar-H). LCMS: m/z (%) 405, 407 ( $\text{M}^+ + 2$ ). Anal. Calcd for  $\text{C}_{20}\text{H}_{14}\text{Cl}_2\text{O}_3\text{S}$ : C, 59.29; H, 3.48; Cl, 17.41; S, 7.93. Found: C, 60.09; H, 3.41; Cl, 17.25; S, 7.84.

*cis*-2-(4-chlorobenzenesulphonyl)-2-(4-chlorophenyl)-3-phenyl oxirane (**2b**)

White solid and yield was 49%, m.p. 201-203 °C. IR (KBr)  $\text{cm}^{-1}$ : 467, 628, 649, 843, 940, 1009, 1023, 1079, 1098, 1148, 1171, 1263, 1331, 1391, 1479, 1535, 1582, 2284, 2902, 3245, 3437.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.35 (s, 1H, CH), 7.01-7.22 (m, 4H, Ar-H), 7.29-7.36 (m, 5H, Ar-H), 7.85 (d, 2H, Ar-H), 7.93(d, 2H, Ar-H). LCMS: m/z (%) 405, 407 ( $\text{M}^+ + 2$ ). Anal. Calcd for  $\text{C}_{20}\text{H}_{14}\text{Cl}_2\text{O}_3\text{S}$ : C, 59.29; H, 3.48; Cl, 17.41; S, 7.93. Found: C, 60.01; H, 3.45; Cl, 17.37; S, 7.89.

*trans*-2-(4-chlorobenzenesulphonyl)-3-(4-chlorophenyl)-2-phenyl oxirane (**2c**)

White solid and yield was 86%, m.p.118-120 °C. IR (KBr)  $\text{cm}^{-1}$ : 453, 632, 653, 699, 834, 945, 1015, 1032, 1075, 1098, 1158, 1177, 1253, 1333, 1391, 1486, 1537, 1585, 2287, 2893, 3398.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  4.41 (s, 1H, CH), 7.08-7.24 (m, 4H, Ar-H), 7.29-7.45 (m, 5H, Ar-H), 7.88 (d, 2H, Ar-H), 7.97(d, 2H, Ar-H). LCMS: m/z (%) 405, 407 ( $\text{M}^+ + 2$ ). Anal. Calcd for  $\text{C}_{20}\text{H}_{14}\text{Cl}_2\text{O}_3\text{S}$ : C, 59.29; H, 3.48; Cl, 17.41; S, 7.93. Found: C, 59.97; H, 3.45; Cl, 17.31; S, 7.93.

*cis*-2-(4-chlorobenzenesulphonyl)-3-(4-chlorophenyl)-2-phenyl oxirane (**2d**)

White solid and yield was 31%, m.p.167-168 °C. IR (KBr)  $\text{cm}^{-1}$ : 453, 632, 653, 699, 834, 945, 1015, 1032, 1075, 1098, 1158, 1177, 1253, 1333, 1391, 1486, 1537, 1585, 2287, 2893, 3398.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.39 (s, 1H, CH), 7.08-7.24 (m, 4H, Ar-H), 7.29-7.45 (m, 5H, Ar-H), 7.88 (d, 2H, Ar-H), 7.97(d, 2H, Ar-H). LCMS: m/z (%) 405, 407 ( $\text{M}^+ + 2$ ). Anal. Calcd for  $\text{C}_{20}\text{H}_{14}\text{Cl}_2\text{O}_3\text{S}$ : C, 59.29; H, 3.48; Cl, 17.41; S, 7.93. Found: C, 59.97; H, 3.45; Cl, 17.31; S, 7.93.

*trans*-2-(*p*-toluenesulphonyl)-2-(4-chlorophenyl)-3-phenyl oxirane (**2e**)

White solid and yield was 89%, m.p. 98-100 °C. IR (KBr)  $\text{cm}^{-1}$ : 444, 547, 633, 658, 699, 732, 834, 944, 1019, 1038, 1098, 1161, 1176, 1248, 1342, 1393, 1486, 1539, 1584, 2287, 2898, 3214, 3398.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  3.76 (s, 3H,  $\text{CH}_3$ ), 4.29 (s, 1H, CH), 7.00-7.09 (m, 4H, Ar-H), 7.18-7.31 (m, 5H, Ar-H), 7.83 (d, 2H, Ar-H), 7.95(d, 2H, Ar-H). LCMS: m/z (%) 385 ( $\text{M}^+ + 1$ ). Anal. Calcd for  $\text{C}_{21}\text{H}_{17}\text{ClO}_3\text{S}$ : C, 65.53; H, 4.45; Cl, 9.21; S, 8.32. Found: C, 65.59; H, 4.49; Cl, 9.32; S, 8.28.

*cis*-2-(*p*-toluenesulphonyl)-2-(4-chlorophenyl)-3-phenyl oxirane (**2f**)

White solid and yield was 43%, m.p. 234-235.5 °C. IR (KBr)  $\text{cm}^{-1}$ : 456, 549, 642, 687, 735, 838, 949, 1029, 1039, 1092, 1164, 1126, 1247, 1345, 1393, 1482, 1545, 1587, 2288, 2891, 3217, 3390.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.89 (s, 1H, CH), 3.63 (s, 3H,  $\text{CH}_3$ ), 6.99-7.09 (m, 4H, Ar-H), 7.18-7.37 (m, 5H, Ar-H), 7.85 (d, 2H, Ar-H), 7.92(d, 2H, Ar-H). LCMS: m/z (%) 385

(M<sup>+</sup>+1). *Anal.* Calcd for C<sub>21</sub>H<sub>17</sub>ClO<sub>3</sub>S: C, 65.53; H, 4.45; Cl, 9.21; S, 8.32. Found: C, 65.49; H, 4.43; Cl, 9.22; S, 8.35.

*trans-2-(4-methoxybenzenesulphonyl)-2-(4-chlorophenyl)-3-phenyl oxirane (2g)*

White solid and yield was 95%, m.p. 120-121 °C. IR (KBr) cm<sup>-1</sup>: 523, 599, 657, 699, 754, 848, 941, 1034, 1071, 1092, 1138, 1183, 1237, 1345, 1388, 1485, 1527, 1582, 2283, 2891, 3342, 3437. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 3.98 (s, 3H, OCH<sub>3</sub>), 4.39 (s, 1H, CH), 7.12-7.19 (m, 5H, Ar-H), 7.28-7.34 (d, 2H, Ar-H), 7.56-7.62 (d, 2H, Ar-H), 7.93 (d, 2H, Ar-H), 7.99(d, 2H, Ar-H). LCMS: m/z (%) 401 (M<sup>+</sup>+1). *Anal.* Calcd for C<sub>21</sub>H<sub>17</sub>ClO<sub>4</sub>S: C, 62.92; H, 4.28; Cl, 8.84; S, 8.02. Found: C, 62.89; H, 4.41; Cl, 8.95; S, 7.94.

*cis-2-(4-methoxybenzenesulphonyl)-2-(4-chlorophenyl)-3-phenyl oxirane (2h)*

White solid and yield was 35%, m.p. 169-171 °C. IR (KBr) cm<sup>-1</sup>: 526, 602, 643, 684, 763, 852, 946, 1037, 1078, 1082, 1145, 1167, 1289, 1313, 1378, 1476, 1529, 1589, 2286, 2894, 3342, 3437. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 2.82 (s, 3H, OCH<sub>3</sub>), 4.30 (s, 1H, CH), 7.08-7.19 (m, 5H, Ar-H), 7.18-7.27 (d, 2H, Ar-H), 7.56-7.62 (d, 2H, Ar-H), 7.93 (d, 2H, Ar-H), 7.99(d, 2H, Ar-H). LCMS: m/z (%) 401 (M<sup>+</sup>+1). *Anal.* Calcd for C<sub>21</sub>H<sub>17</sub>ClO<sub>4</sub>S: C, 62.92; H, 4.28; Cl, 8.84; S, 8.02. Found: C, 62.88; H, 4.41; Cl, 8.90; S, 8.05.

*trans-2-(p-toluenesulphonyl)-2-(p-tolyl)-3-phenyl oxirane (2i)*

White solid and yield was 89%, m.p. 183-185.5 °C. IR (KBr) cm<sup>-1</sup>: 454, 488, 529, 575, 593, 656, 645, 646, 756, 746, 846, 865, 1023, 1067, 1156, 1135, 1246, 1264, 1335, 1346, 1498, 1445, 1569, 1575, 1591, 1817, 1913, 1962, 2114, 2838, 3045, 3225, 3323. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 3.24 (s, 3H, CH<sub>3</sub>), 3.65 (s, 3H, CH<sub>3</sub>), 4.67 (s, 1H, CH), 7.13-7.26 (m, 5H, Ar-H), 7.45-7.53 (d, 2H, Ar-H), 7.64-7.78 (d, 2H, Ar-H), 7.97 (d, 2H, Ar-H), 7.99(d, 2H, Ar-H). LCMS: m/z (%) 364 (M<sup>+</sup>). *Anal.* Calcd for C<sub>22</sub>H<sub>20</sub>O<sub>3</sub>S: C, 72.52; H, 5.55; S, 8.80. Found: C, 72.46; H, 5.53; S, 8.86.

*cis-2-(p-toluenesulphonyl)-2-(p-tolyl)-3-phenyl oxirane (2j)*

White solid and yield was 39%, m.p. 137-138 °C. IR (KBr) cm<sup>-1</sup>: 423, 577, 596, 643, 658, 689, 745, 767, 886, 895, 1034, 1058, 1117, 1185, 1245, 1267, 1338, 1343, 1495, 1442, 1567, 1571, 1595, 1812, 1914, 1965, 2117, 2832, 3045, 3225, 3328. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 2.21 (s, 1H, CH), 3.24 (s, 3H, CH<sub>3</sub>), 3.65 (s, 3H, CH<sub>3</sub>), 7.13-7.26 (m, 5H, Ar-H), 7.45-7.53 (d, 2H, Ar-H), 7.64-7.78 (d, 2H, Ar-H), 7.97 (d, 2H, Ar-H), 7.99(d, 2H, Ar-H). LCMS: m/z (%) 364 (M<sup>+</sup>). *Anal.* Calcd for C<sub>22</sub>H<sub>20</sub>O<sub>3</sub>S: C, 72.52; H, 5.55; S, 8.80. Found: C, 72.46; H, 5.53; S, 8.86.

*trans-2-(p-toluenesulphonyl)-2-(4-methoxyphenyl)-3-phenyl oxirane (2k)*

Yellow solid and yield was 88%, m.p. 243-245 °C. IR (KBr) cm<sup>-1</sup>: 475, 489, 517, 568, 594, 639, 669, 698, 755, 798, 846, 968, 1059, 1083, 1155, 1175, 1248, 1266, 1323, 1399, 1443, 1476, 1568, 1577, 1590, 1814, 1910, 1959, 2104, 2836, 3041, 3126, 3424. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 3.08 (s, 3H, OCH<sub>3</sub>), 3.26 (s, 3H, CH<sub>3</sub>), 4.39 (s, 1H, CH), 7.01 (d, 2H, Ar-H), 7.14-7.27 (m, 5H, Ar-H), 7.38 (d, 2H, Ar-H), 7.81(d, 2H, Ar-H), 7.93 (d, 2H, Ar-H). LCMS: m/z (%) 380 (M<sup>+</sup>). *Anal.* Calcd for C<sub>22</sub>H<sub>20</sub>O<sub>4</sub>S : C, 69.45; H, 5.38; S, 8.43. Found: C, 69.37; H, 5.33; S, 8.51.



*cis*-2-(*p*-toluenesulphonyl)-2-(4-methoxyphenyl)-3-phenyl oxirane (**2l**)

White solid and yield was 34%, m.p. 147-149 °C. IR (KBr)  $\text{cm}^{-1}$ : 465, 484, 519, 569, 592, 633, 673, 682, 759, 794, 842, 965, 1053, 1087, 1151, 1174, 1249, 1269, 1324, 1391, 1443, 1472, 1569, 1575, 1591, 1817, 1913, 1962, 2114, 2838, 3045, 3127, 3429.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.18 (s, 1H, CH), 2.79 (s, 3H,  $\text{OCH}_3$ ), 3.12 (s, 3H,  $\text{CH}_3$ ), 7.11 (d, 2H, Ar-H), 7.14-7.29 (m, 5H, Ar-H), 7.45 (d, 2H, Ar-H), 7.86(d, 2H, Ar-H), 7.97 (d, 2H, Ar-H). LCMS: m/z (%) 380 ( $\text{M}^+$ ). Anal. Calcd for  $\text{C}_{22}\text{H}_{20}\text{O}_4\text{S}$ : C, 69.45; H, 5.38; S, 8.43. Found: C, 69.34; H, 5.31; S, 8.43.

*trans*-2-(4-methoxybenzenesulphonyl)-2-(4-methoxyphenyl)-3-phenyl oxirane (**2m**)

White solid and yield was 95%, m.p. 194-196.5 °C. IR (KBr  $\text{cm}^{-1}$ ): 471, 493, 514, 565, 590, 629, 669, 698, 755, 795, 842, 966, 1069, 1085, 1150, 1173, 1243, 1263, 1321, 1397, 1440, 1473, 1563, 1577, 1590, 1814, 1910, 1959, 2104, 2836, 3041, 3126.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.99 (s, 3H,  $\text{OCH}_3$ ), 3.16 (s, 3H,  $\text{OCH}_3$ ), 4.67 (s, 1H, CH), 6.98 (d, 2H, Ar-H), 7.04-7.14 (m, 5H, Ar-H), 7.26 (d, 2H, Ar-H), 7.79 (d, 2H, Ar-H), 7.88 (d, 2H, Ar-H). LCMS: m/z (%) 396 ( $\text{M}^+$ ). Anal. Calcd for  $\text{C}_{22}\text{H}_{20}\text{O}_5\text{S}$ : C, 66.65; H, 5.08; S, 8.08. Found: C, 66.99; H, 5.13; S, 8.00.

*cis*-2-(4-methoxybenzenesulphonyl)-2-(4-methoxyphenyl)-3-phenyl oxirane (**2n**)

White solid and yield was 43%, m.p. 123-125 °C. IR (KBr  $\text{cm}^{-1}$ ): 433, 475, 498, 511, 564, 599, 633, 676, 685, 758, 792, 843, 964, 1075, 1082, 1151, 1171, 1244, 1263, 1326, 1396, 1440, 1473, 1567, 1577, 1598, 1815, 1918, 1955, 2108, 2832, 3047, 3226.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  2.61 (s, 1H, CH), 2.89 (s, 3H,  $\text{OCH}_3$ ), 3.09 (s, 3H,  $\text{OCH}_3$ ), 7.03 (d, 2H, Ar-H), 7.11-7.18 (m, 5H, Ar-H), 7.32 (d, 2H, Ar-H), 7.84(d, 2H, Ar-H), 7.89 (d, 2H, Ar-H). LCMS: m/z (%) 396 ( $\text{M}^+$ ). Anal. Calcd for  $\text{C}_{22}\text{H}_{20}\text{O}_5\text{S}$ : C, 66.65; H, 5.08; S, 8.08. Found: C, 66.62; H, 5.11; S, 8.06.

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