Syntheses of 1-(4-Methylsulfonylphenyl)-5-aryl-1,2,3-triazoles and 1-(4-Aminosulfonylphenyl)-5-aryl-1,2,3-triazoles

F. Johari Daha[a], H. Matloubi[a], S. A. Tabatabi[b], B. Shafii[c] and A. Shafiee[c*]

[a]Nuclear Research Center/ AEOI, Chemical Division, 11365-3486, Tehran/Iran; [b]Faculty of Pharmacy, Shaheed Beheshti University of Medical Sciences, Tehran/Iran; [c]Pharmaceutical Sciences Research Center, Faculty of Pharmacy, Tehran University of Medical Sciences, Tehran/Iran
* Corresponding author: e.mail: ashafieee@ams.ac.ir

Condensation of 4-methylsulfonylaniline with aryl aldehyde in ethanol-tetrahydrofuran afforded the imino compound **3**. 1,3-Cycloaddtion of diazomethane with compound **3** followed by oxidazation of the triazoline **4** with potassium permanganate gave 1-(4-methylsulfonylphenyl)-5-aryl-1,2,3-triazoles **5**. Similarly, condensation of 4-(*N*,*N*-dibenzylaminosulfonyl)aniline with aryl aldehyde followed by l,3-cycloaddition of diazomethane with the imino compound **11** and the subsequent oxidation of triazoline **12** with potassium permanganate yielded the triazole **13**. Debenzylation of compound **13** with sulfuric acid gave the desired compound 1-(4-aminosulfonylphenyl)-5-aryl-1,2,3-triazoles **14**.

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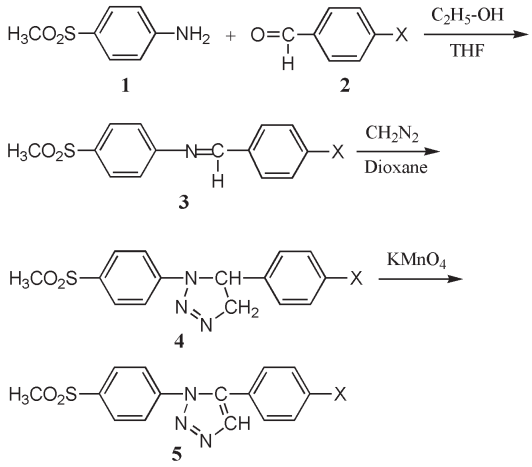
The use of nonsterioal antiflammatory drugs (NSAIDs) for the treatment of inflammation and pain is often accompanied by gastrointestinal ulcerations and bleeding. The inhibition of cyclooxygenase (COX) was considered to be responsible for both the therapeutic and side effect of NSAIDs. In 1990 the existence of a second COX enzyme, named COX-2 was described [1,2]. COX-2 was postulated to be the isoform involved in inflammatory process [1]. 1,2-Diaryl heterocycles such as rofecoxib and celecoxib are selective COX-2 inhibitors with superior gastrointestinal safety profile. In this work we report the syntheses of the title compounds as possible COX-2 inhibitors.

The synthesis of 1-(4-methylsulfonylphenyl)-5-aryl-1,2,3-triazoles **5** is shown in Scheme 1.

Reaction of 4-methylsulfonylaniline **1** with substituted benzaldehyde in ethanol according to the method reported previously [3] did not give the desired imino compound **3**. However, in mixture of ethanol/THF compound **3** was obtained in good yield (Table 1).

1,3-Cycloaddition of diazomethane in ether with compound **3** was attempted according to the literature [4]. However, the cycloaddition was not observed and unchanged starting material was isolated. Finally, cycloaddtion could be achieved with diazomethane in dioxane/water [5] and 1-(4-methylsulfonylphenyl)-5-aryl-4,5-dihydro-1*H*-

Scheme 1



[1,2,3] triazole **4** was obtained in moderate yield (Table 2).

Potassium permanganate oxidation of **4** in an acetone solution gave low yield of the desired product 1-(4-methylsulfonylphenyl)-5-aryl-1,2,3-triazole **5** [6]. However, compound **5** could be obtained in moderate to good yield using potassium permanganate in a two phase system in the presence of a phase transfer catalyst, namely tetrabutylammonium chloride [7](Table 3).

Table 1

Physical Data for **3** and **11**

Comp	X	Mp(°C)	Yield (%)	Formula	Calcd	Found C%	Calcd	Found H%	Calcd	Found N%
3a	H	167-169	82	$C_{14}H_{13}NO_2S$	64.86	64.98	5.02	4.85	5.40	5.21
3b	CH_3	168-170	67	$C_{15}H_{15}NO_2S$	65.93	65.71	5.49	5.28	5.13	5.01
3c	Cl	167-169	83	$C_{14}H_{12}ClNO_2S$	57.24	57.46	4.09	4.23	4.77	4.98
3d	F	138-140	91	$C_{14}H_{12}FNO_2S$	60.65	60.42	4.33	4.54	5.05	5.26
11a	CH_3	115-117	65	$C_{28}H_{26}N_2O_2S$	74.01	74.16	5.73	5.55	6.17	6.33
11b	Cl	127-130	60	$C_{27}H_{23}ClN_2O_2S$	68.49	68.70	4.85	4.66	5.90	6.12
11c	F	106-108	62	$C_{27}H_{23}FN_2O_2S$	70.80	70.94	5.01	5.14	6.10	6.26

Table 2

Physical Data for **4** and **13**

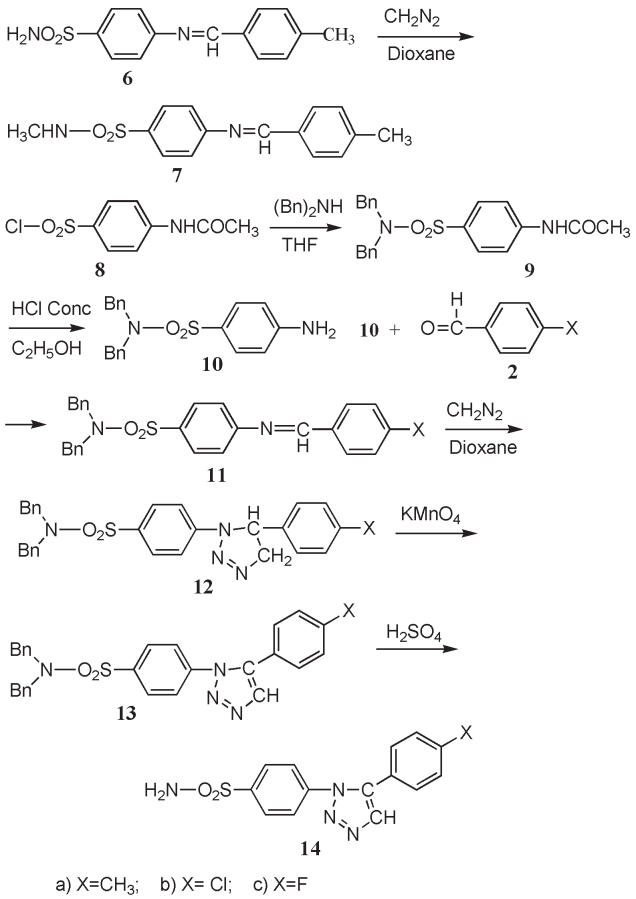
Comp	X	Mp(°C)	Yield (%)	Formula	Calcd	Found C%	Calcd	Found H%	Calcd	Found N%
4a	H	150-152	50	$C_{15}H_{15}N_3O_2S$	59.80	59.97	4.98	5.06	13.95	13.76
4b	CH_3	133-135	50	$C_{16}H_{17}N_3O_2S$	60.95	61.04	5.40	5.63	13.33	13.11
4c	Cl	163-165	57	$C_{15}H_{14}ClN_3O_2S$	53.65	53.46	4.17	4.01	12.52	12.33
4d	F	158-159	55	$C_{15}H_{14}FN_3O_2S$	56.43	56.62	4.39	4.58	13.17	13.38
12a	CH_3	90-92	62	$C_{29}H_{28}N_4O_2S$	70.16	70.02	5.64	5.45	11.29	11.08
12b	Cl	73-75	67	$C_{28}H_{25}ClN_4O_2S$	65.05	65.00	4.84	4.67	10.84	10.98
12c	F	113-115	74	$C_{28}H_{25}FN_4O_2S$	67.20	67.38	5.00	5.18	11.20	11.45

Table 3

Physical Data for Compounds **5**, **13** and **14**

Comp	X	Mp(°C)	Yield (%)	Formula	Calcd	Found C%	Calcd	Found H%	Calcd	Found N%
5a	H	168-170	50	$C_{15}H_{13}N_3O_2S$	60.20	60.02	4.35	4.17	14.05	14.26
5b	CH_3	134-135	40	$C_{16}H_{15}N_3O_2S$	61.34	61.56	4.79	4.60	13.42	13.61
5c	Cl	171-173	50	$C_{15}H_{12}ClN_3O_2S$	53.97	53.76	3.60	3.42	12.59	12.38
5d	F	180-182	52	$C_{15}H_{12}FN_3O_2S$	56.78	56.89	3.79	3.96	13.25	13.06
13a	CH_3	108-110	30	$C_{29}H_{26}N_4O_2S$	70.44	70.65	5.26	5.45	11.34	11.72
13b	Cl	131-133	35	$C_{28}H_{23}ClN_4O_2S$	65.31	65.52	4.47	4.69	10.88	10.69
13c	F	134-135	45	$C_{28}H_{23}FN_4O_2S$	67.47	67.29	4.62	4.81	11.24	11.08
14a	CH_3	58-60	20	$C_{15}H_{14}N_4O_2S$	57.32	57.14	4.46	4.68	17.83	17.96
14b	Cl	170-172	45	$C_{14}H_{11}ClN_4O_2S$	50.22	50.35	3.29	3.45	16.74	16.85
14c	F	171-173	49	$C_{14}H_{11}FN_4O_2S$	52.83	52.95	3.46	3.68	17.61	17.80

Scheme 2



1-(4-Aminosulfonylphenyl)-5-aryl-1,2,3-triazoles **14** were obtained according to Scheme 2.

Cycloadition of diazomethane in dioxane with the imino compound **6** did not give the desired compound **14**. Instead, methylation of the sulfonamide group occurred and compound **7** was isolated. Therefore, we have decided to protect the amino group of the sulfonamide group of compound **6**. Reaction of dibenzylamine with 4-acetamidobenzenesulfonyl chloride in triethylamine followed by hydrolysis afforded 4-amino-*N*,*N*-dibenzylbenzensulfonamide **10**. Coupling of compound **10** with aryl aldehyde **2** under the condition explained above did not give the Schiff base **11**. However, the condensation could be achieved in toluene using *p*-toluenesulfonic acid as catalyst. 1,3-Cycloaddition of diazomethane in dioxane with compound **11** followed by oxidation of the intermediate **12** with potassium permanganate gave 1-(4-*N*,*N*-dibenzylaminosulfonylphenyl)-5-aryl-1,2,3-triazoles **13**. Deprotection of **13** was achieved using sulfuric acid to give the desired product **14**.

EXPERIMENTAL

Melting points were determined on a Kofler hot stage apparatus and are uncorrected. The ir spectra were obtained using a Perkin-Elmer Model 781 or Nicollet FT-IR Magna 550 spectrographs. The ^{1}H NMR spectra were obtained on a Bruker FT-80 spectrometer or a Varian unity plus 400 spectrometer (400 MHz) and Chemical shifts (δ) are in ppm relative to internal tetramethylsilane. Elemental microanalyses were within ± 0.4% of theoretical values for C, H and N.

General Procedure for Synthesis of Compound **3**.

4-Methylsulfonylaniline (**1**, 1 mmol) and appropriate aldehyde (**2**, 1 mmol) were condensed by refluxing the reaction mixture for 10 h in EtOH (0.4 ml) and THF (0.4 ml). The solvent was evaporated and the residue was crystallized from THF/hexane to give compound **3**.

4-Methylsulfonyl-*N*-benzylidenaniline (**3a**).

The following spectral properties were observed: IR (KBr) ν: 3100 (Ar-H), 1638 (HC=N), 1305 (SO_2), 1142 (SO_2), 770 (Ar-H). ^{1}H NMR (DMSO-d_6): δ 8.65 (s, 1H, HC=N), 7.95 (m, 4H, Ar-H), 7.56 (m, 3H, Ar-H), 7.45 (d, J=8.4 Hz, 2H, Ar-H), 3.23 (s, 3H, CH_3).

4-Methylsulfonyl-*N*-(4-methylbenzyliden)aniline (**3b**).

The following spectral properties were observed: IR (KBr) ν: 3100(Ar-H), 1638 (HC=N), 1305 (SO_2), 1142 (SO_2), ^{1}H NMR (DMSO-d_6): δ 8.59 (s, 1H, HC=N), 7.90 (m, 4H, Ar-H), 7.41 (m, 4H, Ar-H), 3.23 (s, 3H, CH_3), 2.40 (s, 3H, CH_3).

4-Methylsulfonyl-N-(4-chlorobenzyliden)aniline (**3c**).

The following spectral properties were observed: IR (KBr) ν: 3050 (Ar-H), 1622 (HC=N), 1297 (SO_2), 1142 (SO_2), ^{1}H NMR (DMSO-d_6): δ 8.67 (s, 1H, HC=N), 7.98 (m, 4H, Ar-H), 7.63 (d, J=8.8 Hz, 2H, Ar-H), 7.46 (d, J=8.8 Hz, 2H, Ar-H), 3.23 (s, 3H, CH_3), 2.40 (s, 3H, CH_3).

4-Methylsulfonyl-N-(4-flourobenzyliden)aniline (**3d**).

The following spectral properties were observed: IR (KBr) ν: 3050 (Ar-H), 1622 (HC=N), 1289 (SO_2), 1142 (SO_2), ^{1}H NMR (DMSO-d_6): δ 8.66 (s, 1H, HC=N), 8.03 (m, 2H, Ar-H), 7.96 (d, J=8.4 Hz, 2H, Ar-H), 7.45 (d, 2H, J=8.4 Hz, Ar-H), 7.40 (m, 2H, Ar-H), 3.24 (s, 3H, CH_3).

General Procedure for Synthesis of Compound **4**.

Proper Schiff base (**3**, 1 mmol) was added with shaking to a cold freshly prepared solution of diazomethane (3 mmol) in dioxane/water (4.5 ml)[5]. A cork stopper was then placed in the flask and the reaction mixture was allowed to stand at room temperature for 5-10 days. At the end of this period, the mixture was filtered, cooled, and diluted with cold water with shaking. The resulting solid **4** was collected by filtration and the crude product was crystallized from ethanol.

1-(4-Methylsulfonylphenyl)-5-phenyl-4,5-dihydro-1*H*-[1,2,3]triazole (**4a**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 7.78 (d, J=8.8 Hz, 2H, Ar-H), 7.36 (d, J=8.8 Hz, 2H, Ar-H), 7.29 (m, 3H, Ar-H), 7.18 (m, 2H, Ar-H), 5.34 (dd, J=6.4, 12.4 Hz, 1H, C-H_5), 4.94 (dd, J=12.4, 17.6 Hz, 1H, C-H_4), 4.38 (dd, J=6.4, 17.6 Hz, 1H, C-H_4), 3.12 (s, 1H, CH_3).

1-(4-Methylsulfonylphenyl)-5-(4-methylphenyl)-4,5-dihydro-1*H*-[1,2,3]triazole (**4b**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 7.78 (d, J=8.8 Hz, 2H, Ar-H), 7.35 (d, J=8.8 Hz, 2H, Ar-H), 7.14 (d, J=8.0 Hz, 2H, Ar-H), 7.06 (d, J=8.0 Hz, 2H, Ar-H), 5.30 (dd, J=6.4, 12.4 Hz, 1H, C-H_5), 4.91 (dd, J=12.4, 17.6 Hz, 1H, C-H_4), 4.35 (dd, J=6.4, 17.6 Hz, 1H, C-H_4), 3.12 (s, 1H, CH_3), 2.25 (s, 3H, CH_3).

1-(4-Methylsulfonylphenyl)-5-(4-chlorophenyl)-4,5-dihydro-1*H*-[1,2,3]triazole (**4c**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 7.80 (d, J=8.8 Hz, 2H, Ar-H), 7.42 (d, J=8.4 Hz, 2H, Ar-H), 7.35 (d, J=8.8 Hz, 2H, Ar-H), 7.21 (d, J=8.4 Hz, 2H, Ar-H), 5.38 (dd, J=6.4, 12.4 Hz, 1H, C-H_5), 4.94 (dd, J=12.4, 17.6 Hz, 1H, C-H_4), 4.41 (dd, J=6.4, 17.6 Hz, 1H, C-H_4), 3.13 (s, 3H, CH_3).

1-(4-Methylsulfonylphenyl)-5-(4-flourophenyl)-4,5-dihydo-1*H*-[1,2,3]triazole (**4d**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 7.80 (d, J=8.8 Hz, 2H, Ar-H), 7.36 (d, J=8.8 Hz, 2H, Ar-H), 7.23 (m, 4H, Ar-H), 5.38 (dd, J=12.4, 6.4 Hz, 1H, C-H_5), 4.94 (dd, J=17.6, 12.4 Hz, 1H, C-H_5), 4.40 (dd, J=17.6, 6.4 Hz, 1H, C-H_4), 3.13 (s, 3H, CH_3).

General Procedure for Synthesis of Compound **5**.

A mixture of compound **4** (0.67 mmol) in benzene (17 ml), potassium permanganate (0.525 g) in water (34 ml) and tetrabutylammonium chloride (0.042 mmol) was heated under reflux with stirring for 5 h. The benzene was separated. The aqueous layer was extracted with benzene (2 x 17 ml). Any potassium permanganate remaining in the organic layer was destroyed by using sodium sulfite. The organic layer was dried (Na_2SO_4), filtered and evaporated. The residue was purified by column chromatography (silica gel, EtOAc/hexane 40:60)[7].

1-(4-Methylsulfonylphenyl)-5-phenyl-1,2,3-triazole (**5a**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 8.14 (s, 1H, C-H_4), 8.08 (d, J=8.4 Hz, 2H, Ar-H), 7.70 (d, J=8.4 Hz, 2H, Ar-H), 7.45 (m, 3H, Ar-H), 7.34 (m, 2H, Ar-H), 3.32 (s, 3H, CH_3).

1-(4-Methylsulfonylphenyl)-5-(4-methylphenyl)-1,2,3-triazole (**5b**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 8.14 (s, 1H, C-H_4), 8.08 (d, J=8.4 Hz, 2H, Ar-H), 7.69 (d, J=8.4 Hz, 2H, Ar-H), 7.25 (d, J=8.8 Hz, 2H, Ar-H), 7.21 (d, J=8.4 Hz, 2H, Ar-H), 3.32 (s, 3H, CH_3), 2.32 (s, 3H, CH_3).

1-(4-Methylsulfonylphenyl)-5-(4-chlorophenyl)-1,2,3-triazole (**5c**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 8.22 (s, 1H, C-H_4), 8.09 (d, J=8.4 Hz, 2H, Ar-H), 7.71 (d, J=8.8 Hz, 2H, Ar-H), 7.53 (d, J=8.4 Hz, 2H, Ar-H), 7.36 (d, J=8.8 Hz, 2H, Ar-H), 3.31 (s, 3H, CH_3).

4-methylaminosulfonyl-N-(4-methylbenzylidene)aniline (**7**).

Compound **6** (70 mg, 0.255 mmol) was added with shaking to a cold freshly prepared solution of diazomethane (0.85 mmol) in dioxan/water (1.3 ml) [5]. The reaction mixture was allowed to stand at room temperature for 8 days. The mixture was filtered, cooled, and diluted with cold water with shaking. The resulting solid was collected by filtration and crystallized from THF/hexane to give 30 mg (40%) of compound **7**; mp143-145°C; ^{1}H NMR (DMSO-d_6): δ 8.74 (s, 1H, HC=N), 8.13 (d, J=8.4 Hz, 2H, Ar-H), 7.90 (d, J=8.4 Hz, 2H, Ar-H), 7.60 (bs, 1H, NH), 7.25 (s, 4H, Ar-H), 2.44 (s, 3H, CH_3), 2.34 (s, 3H, CH_3).

Anal. Calcd. for $C_{15}H_{16}N_2O_2S$: C, 62.50; H, 5.56; N, 9.72. Found: C, 62.31; H, 5.38; N, 9.53.

N-[4-(Dibenzylaminosulfonyl-phenyl)-acetamide (**9**).

A solution of 1.2 g (9 mmol) of 4-acetamidobenzenesulfonyl chloride **8** in 5.9 ml anhydrous THF, was added dropwise to a

mixture of 1.47 ml (11 mmol) of dibenzylamine and 1.1 ml (11 mmol) of triethylamine in 8.8 ml of anhydrous THF. After stirring at room temperature overnight, water was added to the mixture and extracted with ethyl acetate. The solvent was evaporated and the residue was crystallized from ethyl acetate to give 2.32 g of compound **9**. Yield 57%; mp 127-129°C. IR (KBr) ν: 3380 (NH), 3070 (Ar-H), 1708 (C=O), 1329 (SO_2), 1140 (SO_2), 780 (Ar-H). ^{1}H NMR (DMSO-d_6): δ 7.81 (s, 4H, Ar-H), 7.44 (bs, 1H, N-H), 7.20 (m, 6H, Ar-H), 7.07 (m, 4H, Ar-H), 4.25 (s, 4H, CH_2), 2.10 (s, 3H, CH_3).

Anal. Calcd for $C_{22}H_{22}N_2O_3S$: C, 67.01; H, 5.58; N, 7.11. Found: C, 67.22; H, 5.39; N, 7.02.

4-(*N,N*-Dibenzylaminosulfonyl)aniline (**10**).

Compound **9** (3.94 g, 0.01 mole) was refluxed with 24 ml concentrated hydrochloric acid and 10 ml EtOH for 1 h. After cooling, the mixture was made alkaline with 32% ammonia solution and the precipitate was filtered. The residue were crystallized from ethanol-water to give 2.99 g (85%) of compound **10**; mp 107-109 °C. IR (KBr) ν: 3481 (NH_2), 3380 (NH_2), 1313 (SO_2), 1135 (SO_2). ^{1}H NMR (DMSO-d_6): δ 7.50 (d, J=8.7 Hz, 2H, Ar-H), 7.16 (m, 10H, Ar-H), 6.67 (d, J=8.7 Hz, 2H, Ar-H), 4.18 (s, 4H, CH_2), 3.95 (bs, 2H, NH_2).

Anal. Calcd. for $C_{20}H_{20}N_2O_2S$: C, 68.18; H, 5.68; N, 7.95. Found: C, 68.39; H, 5.99; N, 8.06.

General Procedure for the Preparation of Compound **11**.

To a solution of **10** (1 mmol) and *p*-toluenesulfonic acid (0.4 mg) in toluene (5 ml) at reflux temperature using Dean-stark apparatus for separation of water a solution of appropriate aldehyde **2** (1 mmol) in toluene (2 ml) was added dropwise. After refluxing for 5 h, 1 g of 4 Å molecular sieve was added followed by another overnight heating. The molecular sieve was removed by filtration at room temperature and the solution was evaporated under reduced pressure. The residual oil was triturated with petroleum ether and the precipitate was collected by filtratoin.

4-Dibenzylaminosulfonyl-*N*-(4-methylbenzylidene)aniline (**11a**).

The following spectral properties were observed: IR (KBr) ν: 3050 (Ar-H), 1630 (CH=N), 1330 (SO_2), 1150 (SO_2), 700 (Ar-H). ^{1}H NMR (DMSO-d_6): δ 8.62 (s, 1H, HC=N), 7.89 (m, 4H, Ar-H), 7.41 (d, J=8 Hz, 2H, Ar-H), 7.37(d, J=8 Hz, 2H, Ar-H), 7.21 (m, 6H, Ar-H), 7.1 (m, 4H, Ar-H), 4.31 (s, 4H, CH_2), 2.40 (s, 3H, CH_3).

4-(Dibenzylaminosulfonyl)-*N*-(4-chlorobenzyliden)aniline (**11b**).

The following spectral properties were observed: IR (KBr) ν: 3060 (Ar-H), 1627 (CH=N), 1335 (SO_2), 1156 (SO_2). ^{1}H NMR (DMSO-d_6): δ 8.69 (s, 1H, HC=N), 8.01 (d, J=8.4 Hz, 2H, Ar-H), 7.92 (d, J=8.4 Hz, 2H, Ar-H), 7.64 (d, J=8.4 Hz, 2H, Ar-H), 7.44 (d, J=8.4 Hz, 2H, Ar-H), 7.22 (m, 6H, Ar-H), 7.09 (m, 4H, Ar-H), 4.32 (s, 4H, CH_2).

4-(Dibenzylaminosulfonylphenyl)-*N*-(4-flourobenzyliden)aniline (**11c**).

The following spectral properties were observed: IR (KBr) ν: 3090 (Ar-H), 1633 (CH=N), 1333 (SO_2), 1151 (SO_2). ^{1}H NMR (DMSO-d_6): δ 8.69 (s, 1H, HC=N), 8.06 (m, 2H, Ar-H), 7.92(m, 2H, Ar-H), 7.42 (m, 4H, Ar-H), 7.21 (m, 6H, Ar-H), 7.1 (m, 4H, Ar-H), 4.32 (s, 4H, CH_2).

1-[4-(*N,N*-Dibenzylaminosulfonyl)phenyl]-5-(4-methylphenyl)-4,5-dihydro-1*H*-[1,2,3]triazole (**12a**).

This compound was prepared similar to compound **4**; ^{1}H NMR (DMSO-d_6): δ 7.75 (d, J=8.8 Hz, 2H, Ar-H), 7.33 (d, J=8.4 Hz, 2H, Ar-H), 7.17 (m, 10H, Ar-H), 7.02 (m, 4H, Ar-H), 5.32 (dd, J=5.6, 12 Hz, 1H, C-H_5), 4.91 (dd, J=12, 13.6 Hz, 1H, C-H_4), 4.38 (dd, J=5.6, 13.6 Hz, 1H, C-H_4), 4.23 (s, 4H, CH_2), 2.27 (s, 3H, CH_3). Compounds **12b** and **12c** were Prepared Similarly (Table 2).

1-[4-(*N,N*-Dibenzylaminosulfonyl)phenyl]-5-(4-chlorophenyl)-4,5-dihydro-1H-[1,2,3]triazole (**12b**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 7.76 (d, J=8.8 Hz, 2H, Ar-H), 7.45 (d, J=8.8 Hz, 2H, Ar-H), 7.32 (d, J=8.8 Hz, 2H, Ar-H), 7.23 (d, J=8.8 Hz, 2H, Ar-H), 7.11 (m, 6H, Ar-H), 7.02 (m, 4H, Ar-H), 5.39 (dd, J=6.4, 12.4 Hz, 1H, C-H_5), 4.94 (dd, J=12.4, 17.8 Hz 1H, C-H_4), 4.41 (dd, J=6.4, 17.8Hz, 1H, C-H_4).

1-[4-(*N,N*-Dibenzylaminosulfonyl)phenyl]-5-(4-flourophenyl)-4,5-dihydro-1*H*-[1,2,3]triazole (**12c**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 7.76 (d, J=8.8 Hz, 2H, Ar-H), 7.33 (d, J=8.8 Hz, 2H, Ar-H), 7.23 (m, 4H, Ar-H), 7.17 (m, 6H, Ar-H), 7.03 (m, 4H, Ar-H), 5.39 (dd, J=6.4, 12.4 Hz, 1H, C-H_5), 4.93 (dd, J=12.4, 17.6 Hz, 1H, C-H_4), 4.40 (dd, J=6.4, 17.8 Hz, 1H, C-H_4), 4.24 (s, 4H, CH_2).

1-[4-(*N,N*-Dibenzylaminosulfonyl)phenyl]-5-(4-methylphenyl)-1,2,3-triazole (**13a**).

This compound was prepared similar to compound **5**. ^{1}H NMR (DMSO-d_6): δ 8.41 (s, 1H, C-H_4), 8.02 (d, J=8.8 Hz, 2H, Ar-H), 7.62 (d, J=8.4 Hz, 2H, Ar-H), 7.22 (m, 10H, Ar-H), 7.09 (m, 4H, Ar-H), 4.36 (s, 4H, CH_2), 2.33 (s, 3H, CH_3). Compounds **13b** and **13c** were prepared similarly (Table 3).

1-[4-(*N,N*-Dibenzylaminosulfonyl)phenyl]-5-(4-chlorophenyl)-1,2,3-triazole (**13b**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 8.22 (s, 1H, C-H_4), 8.02 (d, J=8.0 Hz, 2H, Ar-H), 7.64 (d, J=8.0 Hz, 2H, Ar-H), 7.51 (d, J=8.0 Hz, 2H, Ar-H), 7.51 (d, J=8.0 Hz, 2H, Ar-H), 7.53 (d, J=8.0 Hz, 2H, Ar-H), 7.23 (m, 6H, Ar-H), 7.09 (m, 4H, Ar-H), 4.34 (s, 4H, CH_2).

1-[4-(*N,N*-Dibenzylaminosulfonyl)phenyl]-5-(4-flourophenyl)-1,2,3-triazole (**13c**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 8.18 (s, 1H, C-H_4), 8.01 (d, J=8.2 Hz, 2H, Ar-H), 7.49 (d, J=8.2 Hz, 2H, Ar-H), 7.39 (m, 2H, Ar-H), 7.29 (m, 3H, Ar-H), 7.21 (m, 5H, Ar-H), 7.08 (m, 4H, Ar-H), 4.35 (s, 4H, CH_2).

General Procedure for the Preparation of Compound **14**.

A suspension of 1 mmol of compound **13** in 1.4 ml of concentrated H_2SO_4 was stirred at room temperature for 20 min. The mixture was poured into ice. The resulting solid was collected by filtration, washed with water, and dried. Purification by flash chromatography, eluting with EtOAc/hexane (80:20) gave compound **14**.

1-(4-Aminosulfonylphenyl)-5-(4-methylphenyl)-1,2,3-triazole (**14a**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 8.10 (s, 1H, C-H_4), 7.92 (d, J=7.3 Hz, 2H, Ar-H), 7.60 (d, J=7.3 Hz, 2H, Ar-H), 7.53 (bs, 2H, NH_2), 7.21 (m, 4H, Ar-H), 2.32 (s, 3H, CH_3).

1-(4-Aminosulfonylphenyl)-5-(4-chlorophenyl)-1,2,3-triazole (**14b**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 8.19 (s, 1H, C-H_4), 7.95 (d, J=8.8 Hz, 2H, Ar-H), 7.63 (d, J=8.8 Hz, 2H, Ar-H), 7.56 (bs, 2H, NH_2), 7.53 (d, J=8.4 Hz, 2H, Ar-H), 7.35 (d, J=8.4 Hz, 2H, Ar-H).

1-(4-Aminosulfonylphenyl)-5-(4-flourophenyl)-1,2,3-triazole (**14c**).

The following spectral properties were observed: ^{1}H NMR (DMSO-d_6): δ 8.16 (s, 1H, C-H_4), 7.95 (d, J=8.4 Hz, 2H, Ar-H), 7.62 (d, J=8.4 Hz, 2H, Ar-H), 7.58 (bs, 1H, NH_2), 7.39 (m, 4H, Ar-H).

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