Indian Journal of Chemistry
Vol. 50B, September 2011, pp. 1196-1201

# Note

## Synthesis and antibacterial activities of new dibenzothiazepine derivatives

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*Received 13 April 2010 accepted (revised) 24 March 2011*

A number of substituted dibenzo[*b,f*][1,4]thiazepines analogues carrying heterocyclic and aliphatic moiety attached to C-11 position have been synthesized and evaluated their antibacterial activities against gram positive and gram negative bacteria. Derivatives of imidazole, 2-methyl imidazole and pyrrolidine exhibit significant antibacterial activities.

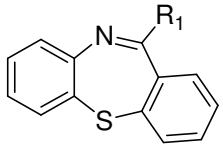
**Keywords**: Dibenzothiazepine, antipsychotic, antibacterial, iminochloride, schizophrenia

The development of heterocyclic scaffolds is a fast emerging subject in the medicinal chemistry. Imidazole, triazole, benzimidazole and their fused compounds are extensively studied because of their significant pharmacological activities[1]. Another class of heterocyclic scaffolds containing nitrogen, oxygen and sulphur with eminent biological activities in central nervous system is dibenzothiazepines (**Figure 1**), which are of great interest in the area of drug discovery and development due to their broad spectrum of pharmacological activities.

These compounds are used in antihistaminic[2], potential high ceiling diuretics[3]. Especially C-11N-substituted analogues were studied and found to be active[4]. Dibenzo[*b,f*][1,4]thiazepine is a class of anti-psychotic drug. 11-[4-{2-(2-Hydroxyethoxy)ethyl}-1-piperazinyl] dibenzo[*b,f*][1,4] thiazepine (trade name Quetiapine), a typical antipsychotic drug that is practiced for the treatment of schizophrenia and bipolar disorder for many years[5]. As Horrom *et al.* disclosed that dibenzothiazepine derivatives were useful for the antischizophrenics and also being useful for variety of medical application including as neuroleptic, antidepressant[2,6]. Recently these drugs have been used to treat delirium and agitation[7]. The compound used as antipsychotic and neuroleptics have, however been plagued by the problems of undesired side effects, such as acute dyskinesias, acute dystopias, pseudo-parkinsonism and tardive dyskinesias[8]. Thus there still remains a need for compounds which exhibit antidopaminergic activity and pharmaceutical activity to overcome such undesired side effect.

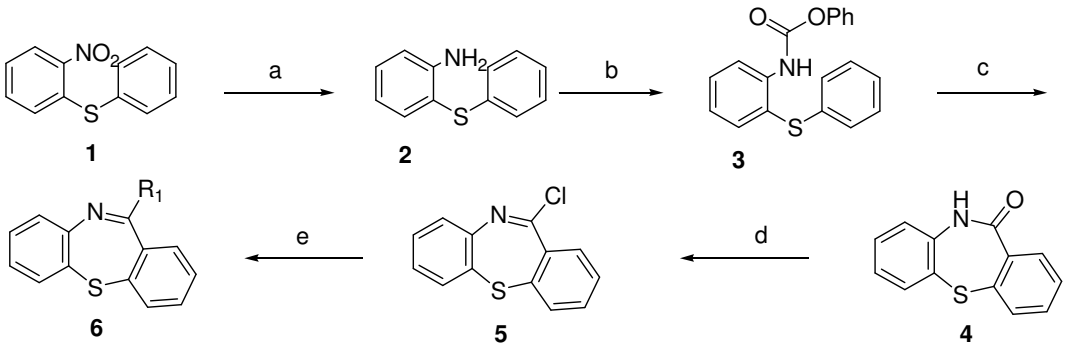
The synthesis of new derivatives possessing antibacterial activity has considerable attention owing to the continue increase in bacterial resistance. It has been reported that benzodiazepine and substituted benzodiazepine-2-one exhibited the strong anti-bacterial activity[9,10]. Dibenzothiazepine derivatives were synthesized using various methods such as reaction of iminochloride with the different basic moiety especially piperazine and substituted piperazine derivatives[4,6]. Furthermore compounds containing the dibenzothiazepine basic skeleton with different morpholino, thiomorpholino, piperidino, 1-pipirazinyl, pyrrolidinyl were also reported. It was therefore reasoned that the fusion of imidazole, triazole and benzimidazole with dibenzothiazepine may lead to a novel tricyclic heterocyclic scaffolds with interesting biological activities. Herein we report compound carrying imidazole, triazole and their substituted moieties at C-11 position and their antibacterial activity.

The synthetic reaction sequence for the preparation of C-11 substituted dibenzo[*b,f*][1,4]thiazepines is depicted in **Scheme I**. The intermediate **5** was prepared by following literature procedure with optimized conditions. Initially reduction of 2-nitro diphenylsulfide **1** using Fe/HCl gave poor yield, but hydrogenation of **1** with Raney-nickel in MeOH at 80 psi afforded **2** in very good yield (98%). The carbamate **3** was prepared from amine **2** and phenyl chloroformate in the presence of bases such as NaOH,




$R_1$ = N/O/S heterocyclic or aliphatic moity

**Figure 1**




R = imidazole, 2-methyl imidazole, 4-methy imidazole, pyrolidine, triazole, 2-mercapto-5-methyl 1, 3, 4 thiadiazole, 2-mercapto benzimidazole, 4-methoxy-2-mercapto benzimidazole, 2-aminoethanol, benzyl amine, cycloprpyl amine. Reagent and conditions: (a) Raney Ni, methanol, 80 psi, $H_2$, pressure, (b) phenyl chloroformate. $Na_2CO_3$, (c) polyphosphoric acid, 100°C, (d) $POCl_3$, N, N-dimethylaniline, (e) RH, toluene/THF, TEA

**Scheme I**

$Na_2CO_3$, $K_2CO_3$ and triethylamine. Among these bases $Na_2CO_3$ was found to be the best, gave 90% yield. The cyclisation of carbamate **3** into compound **4** was carried out in the presence of polyphosphoric acid (PPA) at 80-90°C in 94% yield. The imino-chloride **5** was prepared by treating **4** with $POCl_3$ and *N,N*-dimethylaniline at 105°C in 80% yield.

The synthesis of various derivatives of dibenzothia-zepines at C-11 (**5**) position (**6a-k**) with different heterocyclic moieties such as imidazole, pyrrolidine, triazole, benzimidazole and amines are synthesized and results are summarized in **Table I**. In these reactions solvent effect was very significant. The reaction of imidazole and triazole (2-2.5 equivalent) in toluene gave the corresponding dibenzothiazepine derivatives in 80-92% yield (**Table I**; entries **6a-e**). In contrast, in other solvents such as MeOH, DMSO and DMF the reaction was sluggish and gave low yield (20-40%). This depicts that non polar solvents are superior to the polar solvents.

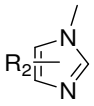
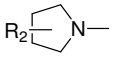
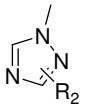
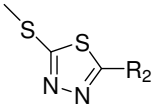
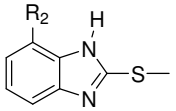
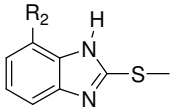
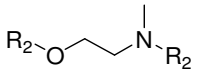
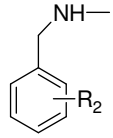
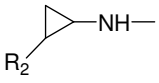
The reaction undergoes *via* imonium cation, which is generated *in situ* by the removal of chloride ion. This chloride ion needs to be scavenged using acid scavenger to drive reaction in the forward direction. The reaction using different acid scavengers, inorganic and acid scavengers, like $Na_2CO_3$, NaOH, KOH and $Et_3N$, *N,N*-dimethyl aniline, respectively was studied. Best results were obtained using self scavenger. The reaction time varied from 6-10 hr (**Table I**; entries **6a-e**). In case of compounds **6a**, **6d** and **6e** good yield (92, 92 and 89%) was obtained, while compounds **6b-c** showed lower yield (up to 80%) and required more time for the completion of reaction. This shows that imidazole, pyrrolidine and triazole act as good scavenger and reactant as compare to 2-methyl and 4-methyl imidazole.

Further, we have studied the reaction of benzimidazole and substituted benzimidazole. In this case the reaction site is thiol (-SH) group (**Table I**; entries **6f-h**). These reactions were found to be very slow in toluene, which was good solvent for the compounds **6a-e** and after 20 hr 20% conversion was obtained. Aprotic polar solvents like DMSO and DMF showed low yield with undesired side products. Surprisingly, THF was found to be best solvent for thiol compounds and need to use acid scavenger to enhance the rate of reaction. Organic scavenger is suitable for these reactions. Compounds **6f-h** were obtained in 70, 45 and 82% yield, respectively.

The reactions of compound **5** with different primary aliphatic, aromatic as well as cyclic amines were studied. Initially these reactions were studied under solvent free condition. The reaction of ethanolamine and benzylamine with compound **5** gave mixture of side products and viscous reaction mass. But the same reaction in toluene underwent smoothly with good yield (**Table I**; entries **6i-j**). The reaction of cyclopropyl amine with **5** gave compound **6k** in 79% yield (**Table I**; entry **6k**). The compounds **6i** and **6j** were isolated as free base as white solid. But in case of compound **6k** free base was obtained as sticky oily mass with impurities. This was converted into hydrochloride salt and isolated as a white solid. For the preparation of benzothiazepine derivatives **6i-k** 2 equivalent of amine was used in which one equivalent of amine acts as an acid scavenger. All the compounds were fully characterized by physical and spectroscopic techniques.

These newly synthesized substituted dibenzothiazepine derivatives were evaluated for their antibacterial activity. In the terms of structure-activity relationship, the antibacterial activity profile against Gram-positive and Gram-negative bacteria were studied[13], using disc plate method, with the strains of *Salmonella typhi*, *Shigella dysenteriae*, *Escherichia coli, Staphylococcus aureus*, *Klebsiella pneumoniae*, *Bacillus cereus*, *Pseudomonas aeruginosa* and *Serratia marcescens.* The drug tetracycline was used as reference[14]. The activity was expressed as the zone of inhibition for the lowest concentration of test compound that resulted into no visible growth on plate. The antibacterial activity of different derivatives synthesized was variable. The derivative **6a** was most effective against all the bacterial strains tested. The compound **6d** showed moderate inhibition against all cultures. While derivative **6b** showed moderate inhibition of *Staphylococcus aureus*, *Bacillus cereus*, *Pseudomonas aeruginosa* and poor inhibition against all other bacterial strains. The control drug tetracycline (30 μg/disc) showed 19 mm

**Table I —** Physical characteristic and yield of dibenzothiazepine, **6a-k**

| Compd | $R_1$ | $R_2$ | Time (hr) | m.p. °C | Yield (%) |
|---|---|---|---|---|---|
| **6a** |  | H | 7 | 113-15 | 92 |
| **6b** |  | 2-$CH_3$ | 8 | 139-41 | 88 |
| **6c** |  | 4-$CH_3$ | 8 | Oil | 80 |
| **6d** |  | H | 6 | 127-28 | 92 |
| **6e** |  | H | 6 | 113-15 | 89 |
| **6f** |  | $CH_3$ | 6 | 114-45 | 82 |
| **6g** |  | H | 10 | 245-50 | 70 |
| **6h** |  | $OCH_3$ | 8 | 204-05 | 45 |
| **6i** |  | H | 8 | 140-42 | 83 |
| **6j** |  | H | 4 | 88-90 | 76 |
| **6k** |  | H | 6 | 175-78 | 79 |

Reagents and conditions: (a) Raney Ni, methanol, 80 psi $H_2$ pressure, (b) Phenyl chloroformate, $Na_2CO_3$, (c) Polyphosphoric acid, 100°C, (d) $POCl_3$, *N,N*-dimethylaniline, (e) RH, toluene/THF, TEA.

**Table II —** Antibacterial activities of different derivatives.

| Culture | Culture characteristic | Zone of inhibition in mm | | | | | | | | | |
|---|---|---|---|---|---|---|---|---|---|---|---|
| | | **6a** | **6b** | **6d** | **6e** | **6f** | **6g** | **6h** | **6i** | **6j** | **6k** |
| *Salmonella typhi* | Gram-negative | 15 | 5 | 10 | 7 | 5 | 5 | 5 | 5 | 5 | 5 |
| *Shigella dysenteriae* | Gram-negative | 15 | 9 | 9 | 5 | 5 | 5 | 5 | 5 | 5 | 5 |
| *Escherichia coli* | Gram-negative | 15 | 9 | 13 | 7 | 5 | 5 | 5 | 5 | 5 | 5 |
| *Staphylococcus aureus* | Gram-positive | 15 | 11 | 11 | 5 | 5 | 5 | 5 | 5 | 5 | 5 |
| *Klebsiella pneumoniae* | Gram-negative | 16 | 9 | 11 | 5 | 5 | 5 | 5 | 5 | 5 | 5 |
| *Bacillus cereus* | Gram-positive | 15 | 10 | 12 | 10 | 5 | 5 | 5 | 5 | 5 | 5 |
| *Pseudomonas aeruginosa* | Gram-negative | 17 | 11 | 11 | 7 | 5 | 5 | 5 | 5 | 5 | 5 |
| *Serratia marcescens* | Gram-negative | 15 | 9 | 9.5 | 7 | 5 | 5 | 5 | 5 | 5 | 5 |

Maximum inhibition > 15 mm, moderate inhibition 10-15 mm, poor inhibition 5-10 mm.

of zone of inhibition. The results are as shown in **Table II**. It follows from the literature survey that, depending on the type of substituent the derivatives vary in their potential for biological activity[15].

## Experimental Section

The chemicals and reagents were obtained from commercial supplier and were used without further purification. All melting points were measured on VMP-DS (VEEGO) melting point apparatus. Silica gel plates supplied by Merck were used for thin layer chromatography. NMR spectroscopy of samples was carried out on Brucker 400 MHz instruments. The NMR chemical shift values were reported on scale in ppm using TMS as an internal standard. Mass analysis was carried out on Thermo Finnigan LCQ Advantage ion trap spectrometer. The samples were introduced in the electro spray ionization (ESI) source with the help of direct infusion pump.

## Antibacterial activity

The antibacterial activity of dibenzothiazepine and different derivatives of dibenzothiazepine were determined by the disc plate method[11,12]. The samples (400 µg/disc) were dissolved in dimethylformamide (DMF) and used for the antibacterial activity. The bacterial cultures of known inoculum size (0.2 mL, $10^5$ CFU/mL) of test microorganisms were spread on nutrient agar plates. The filter paper discs of 5 mm were placed on the plate and the sample of appropriate concentration was added to the filter paper disc. The plates were further incubated for 18-24 hr at 37°C. The antibacterial activity was evaluated by measuring the zone of inhibition. The antibiotic tetracycline (30 µg/disc) was used in the test system as positive control. The measured zones of inhibition (ZOI) were noted. The average of the zone of inhibition was obtained from three replicates of the test samples.

The compound **5** was prepared using the process reported by Warawa and Migler[6].

## General procedure for the synthesis of dibenzothiazepine derivatives, 6a-e

In a 100 mL four necked round bottom flask equipped with overhead stirring, thermometer pocket and heating oil bath, a mixture of iminocholride (100 mmol), imidazole (200 mmol) was taken in 30 mL toluene and heated at 100±2°C. Reaction was monitored by TLC and the reaction mixture was cooled to RT, the solvent was distilled out under reduced pressure and salt was removed by washing with water to get the final product. This was further purified by reslurring in water and toluene at 50°C, Yield: 80-92%.

### 11-Imidazolyldibenzo[*b*,*f*][1,4]thiazepine, 6a

IR (KBr): 3394, 3264, 3170, 3048, 1648, 1516, 1381, 1247, 1057 $cm^{-1}$; $^1H$ NMR (DMSO-$d_6$): δ 8.08 (s, 1H), 7.67-7.61 (m, 3H), 7.52-7.38 (m, 4H), 7.33-7.31 (t, $J$ = 6, 1H), 7.22-7.20 (dd, $J$ = 7.24, 1H), 7.19-7.16 (m, 1H); $^{13}C$ NMR (DMSO-$d_6$): δ 118.5, 125.4, 126.7, 127.7, 129.2, 129.9, 130.1, 130.3, 131.6, 132.4, 132.6, 133.5, 137.6, 139.7, 145.5, 151.5; MS: *m/z* 278($M+H^+$).

### 11-(2-Methyl imidazolyl)dibenzo[*b*,*f*][1,4]thiazepine, 6b

IR (KBr): 3255, 3147, 2932, 1818, 1702, 1638, 1510, 1462 $cm^{-1}$; $^1H$ NMR (DMSO-$d_6$): δ 7.50-7.48 (dd, $J$ = 8.7, 1H), 7.41-7.37 (m, 2H), 7.25-7.20 (m,

3H), 7.1-7.03 (m, 2H), 7.06-7.05 (d, *J* = 1.5, 1H), 6.89-6.88 (d, 1H), 2.37 (s, 3H); $^{13}$C NMR (DMSO-$d_6$): δ 121.0, 125.8, 127.5, 127.6, 128.2, 129.7, 130.3, 130.4, 132.7, 133, 133.9, 134.0, 139.5; MS: *m/z* 291(M+H$^+$).

**11-(4-Methyl imidazolyl)dibenzo[*b*,*f*][1,4]thiazepine, 6c**

IR (KBr): 3254, 3147, 3055, 2932, 1818, 1702, 1638, 1395, 1263 cm$^{-1}$; $^1$H NMR (DMSO-$d_6$): δ 7.68-7.67 (s, 1H), 7.56-7.13 (m, 8H), 6.70 (s, 1H), 7.14 (m, 1H), 2.12 (s, 3H); $^{13}$C NMR (DMSO-$d_6$): δ 118.5, 123.6, 125.9, 129.4, 129.5, 130.3, 131.3, 132.5, 133.0, 134.9, 136.8, 136.8, 138.3, 138.3, 140.4, 168.9; MS: *m/z* 291(M+H$^+$).

**11-Pyrolidenyldibenzo[*b*,*f*][1,4]thiazepine, 6d**

IR (KBr): 3390, 2977, 2884, 2753, 2560, 1949, 1583, 1547, 1451, 1029; $^1$H NMR ($CDCl_3$): δ 7.40-7.38 (dd, *J* = 7.7, 1.96, 1H), 7.29-7.27 (dd, *J* = 7.7, 1H) 7.21-7.15 (m, 3H), 7.08-6.99 (m, 2H), 6.75-6.71 (ddd, *J* = 7.26, 7.07, 1H), 3.61-2.93 (m, 4H), 1.84-1.83 (m, 4H); $^{13}$C NMR (DMSO-$d_6$): δ 24.2, 24.2, 44.9, 44.9, 122.1, 125.8, 127.5, 129.1, 129.2, 129.7, 131.1, 131.9, 132.5, 135.3, 138.6, 149.9, 158.9; MS: *m/z* 280(M+H$^+$).

**11-Triazolyldibenzo[*b*,*f*][1,4]thiazepine, 6e**

IR (KBr): 3272, 3109, 3058, 1644, 1505, 1270, 1123, 930 cm$^{-1}$; $^1$H NMR (DMSO-$d_6$): δ 9.54 (s, 1H), 8.29 (s, 1H), 7.63-7.27 (m, 8H); $^{13}$C NMR (DMSO-$d_6$): δ 125.9, 127.9, 128.4, 129.0, 129.4, 130.4, 131.7, 131.9, 132.4, 133.1, 133.8, 139.5, 145.1, 145.4, 152.1, 153.4; MS: *m/z* 279(M+H$^+$).

**General procedure for the synthesis of dibenzothiazepine derivatives, 6f-h**

In a 100 mL four neck round bottom flask equipped with overhead stirring, thermometer pocket and heating oil bath, a mixture of iminochloride (100 mmol), triethyl amine (200 mmol) and benzimidazole (100 mmol) was taken in 30 mL tetrahydrofuran (THF) and heated to reflux. Work-up is carried out as described in above procedure. Yield: 45-85%.

**11-(2-Mercapto-5-methyl 1, 3, 4 thiadiazolyl)dibenzo[*b*,*f*][1,4]thaizepine, 6f**

IR (KBr): 3217, 3065, 3052, 2734, 1619, 1577, 1457, 1378, 1230 cm$^{-1}$; $^1$H NMR (DMSO-$d_6$): δ 7.84-7.83 (dd, *J* = 7.47, 1H), 7.62-7.59 (m, 2H), 7.56-7.50 (m, 2H), 7.45-7.41 (m, 1H), 7.33-7.31 (dd, *J* = 7.71, 1H) 7.26-7.22 (m, 1H) 2.78 (s, 3H); $^{13}$C NMR (DMSO-$d_6$): δ 15, 125.3, 127.2, 127.3, 129.1, 129.8, 130.2, 132.6, 132.8, 133.8, 134.8, 139.2, 146.2, 158.4, 161.7, 167.3; MS: *m/z* 341(M+H$^+$).

**11-(2-Mercapto benzimidazolyl)dibenzo[*b*,*f*][1,4]-thiazepine, 6g**

IR (KBr): 2952, 2772, 1814, 1615, 1579, 1458, 1362, 1280 cm$^{-1}$; $^1$H NMR (DMSO-$d_6$): δ 7.84-7.82 (dd, *J* = 8.14, 1H), 7.63-7.60 (m, 2H), 7.56-7.48 (m, 2H), 7.43-7.41 (dd, *J* = 8.82, 1H), 7.28-7.24 (m, 1H), 7.22-7.20 (m, 2H), 7.12-7.08 (ddd, *J* = 7.56, 7.51, 1H), 6.97-6.96 (dd, *J* = 7.87, 1H); $^{13}$C NMR (DMSO-$d_6$): δ 115.9, 115.9, 122.6, 122.6, 125.6, 126.8, 127.6, 129.5, 129.7, 130.2, 132.8, 132.9, 133.4, 135.9, 139.5, 139.5, 140.4, 141.8, 147.7, 166.9; MS: *m/z* 360(M+H$^+$).

**11-(4-Methoxy-2-mercapto benzimidazolyl)dibenzo[*b*,*f*][1,4]thiazepine, 6h**

IR (KBr): 3168, 3090, 1615, 1576, 1425, 1208, 1161 cm$^{-1}$; $^1$H NMR (DMSO-$d_6$): δ 7.66 (d, 1H), 7.65-7.40 (m, 4H), 7.38-7.30 (m, 1H), 7.21-7.10 (m, 1H), 7.03-7.01 (d, 1H), 6.71-6.69 (m, 3H), 3.71 (s, 3H); $^{13}$C NMR (DMSO-$d_6$ + $CD_3OD$): δ 55.7, 94.8, 110.1, 110.3, 123.4, 125.8, 126.7, 126.7, 129.2, 129.5, 130.1, 131.6, 131.7, 132.3, 132.8, 133.3, 136.8, 140.2, 156.3, 168, 168.9; MS: *m/z* 389(M+H$^+$).

**General procedure for the synthesis of dibenzothiazepine derivatives, 6i-j**

**11-(2-Aminoethanol)dibenzo[*b*,*f*][1,4]thiazepine, 6i**

In 100 mL round bottom flask equipped with over head stirring and heating oil bath containing charged iminochloride (100 mmol) and ethanol amine (210 mmol) in toluene. The mixture was heated to 60-65°C and progress of reaction was monitored by the TLC. The reaction mixture was cooled to RT and 20 mL of water was added slowly. The product precipitated out was collected by filtration, washed with water and dried under vacuum at 50-60°C.

IR (KBr): 3275, 3052, 2856, 1577, 1463, 1360, 1336, 1090, 1067, 753, 739 cm$^{-1}$; $^1$H NMR ($CDCl_3$): δ 7.42-7.41 (dd, *J* = 4, 1H), 7.40 (dd, 1H), 7.38-7.31 (m, 3H), 7.28-7.20 (m, 1H), 7.14-7.12 (m, 1H), 6.97-6.93 (m, 1H), 5.32-5.13 (d, 2H), 3.99-3.90 (m, 2H), 3.83-3.69 (m, 2H); $^{13}$C NMR (DMSO-$d_6$): δ 43.8, 59.5,

121.9, 125.3, 126.8, 128.9, 129, 129.3, 131.1, 131.2, 132.2, 136.3, 138.6, 149.6, 158.1; MS: *m/z* 271($M+H^+$).

**11-(Benzylamino)dibenzo[*b*,*f*][1,4]thiazepine, 6j**

IR (KBr): 3414, 3278, 3054, 2934, 2853, 2340, 1944, 1811, 1574, 1606, 1457 $cm^{-1}$; $^1H$ NMR ($CDCl_3$): δ 7.91-7.90 (t, 1H), 7.88-6.83 (m, 12H), 4.69-4.65 (d, *J* = 14.4, 1H), 4.56-4.52 (d, *J* = 14.4, 1H); $^{13}C$ NMR (DMSO-$d_6$): δ 44.2, 122.4, 125.7, 127.0, 127.2, 127.8, 128.6, 129.4, 129.7, 131.7, 131.7, 132.6, 136.6, 136.6, 139, 139, 140.4, 140.4, 149.9, 158.1; MS: *m/z* 316(M+H).

**11-(Cyclopropylamino)dibenzo[*b*,*f*][1,4]thiazepine hydrochloride, 6k**

In 100 mL four neck round bottom flask equipped with over head stirring heating oil bath, charged toluene, cyclopropylamine (200 mmol) were heated to 60°C. The iminochloride toluene solution (100 mmol) was added slowly over 10 min and heated to 60-70°C. The progress of the reaction was monitored by TLC. The reaction mass was cooled to 30°C and water was added to remove cyclopropylamine hydrochloride. Organic layer distilled under vacuum. Residual mass was stirred with hexane and concentrated HCl. Hydrochloride salt of compound **6l** precipitated out. The separated product was filtered and washed with hexane.

IR (KBr): 3352, 2986, 2874, 2139, 1975, 1626, 1238, 1138 $cm^{-1}$; $^1H$ NMR (DMSO-$d_6$): δ 12.1 (s, 1H), 10.67 (s, 1H) 7.76-7.53 (m, 7H), 7.52-7.36 (t, 1H), 3.40-3.25 (m, 1H), 1.13-1.08 (m, 3H), 0.93-0.90 (m, 1H). $^{13}C$ NMR (DMSO-$d_6$): δ 7.2, 7.9, 26, 126, 128.3, 129.6, 130.0, 130.2, 132.4, 132.8, 132.8, 133, 134.2, 137, 138.5, 163; MS: *m/z* 367($M+H^+$).

## Conclusion

A series of new substituted dibenzothiazepine derivative were synthesized and characterized by $^1H$ NMR and GC-MS. These synthesized derivatives were evaluated for their antibacterial activity. Derivatives with imidazole, 2-methyl imidazole and pyrrolidine are showing excellent antibacterial activity. While other derivatives benzimidazole, mercapto benzimidazole, 2-amino ethanol, benzyl amine, cyclopropyl amine are not showing any activity.

## Acknowledgement

One of the authors (GM) thanks Pradeep Ghugare, Satish John and Brajesh Sharma for spectral analysis.

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