Synthesis, characterization and antimicrobial activity of 2-(11-oxodibenzo \[b,f\][1,4]thiazepin-10(11H)-yl)-N (substituted phenyl) acetamide derivatives

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Substituted dibenzo \[b,f\][1,4]thiazepines analogues carrying 2-chloro N-phenylacetamide moiety attached to 11-C position have been synthesized and evaluated using IR, \(^1\)H NMR and mass spectra. Antibacterial properties have been examined for the synthesized derivatives against gram positive and gram negative bacteria. 2-(11-Oxodibenzo \[b,f\][1,4]thiazepin-10(11H)-yl)-N phenylacetamide derivatives show good significant antimicrobial activity.

Keywords: Dibenzo \[b,f\][1,4]thiazepines, 2-chloro N-phenyl acetamide, antimicrobial activity

The benzothiazepines derivatives are of particular interest for lead discovery because they have been found active against different families of targets\(^1\)-\(^3\). 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, which are of great interest in the area of drug discovery and development due to their broad spectrum of pharmacological activities.

The 1,5-benzothiazepines scaffold has been used as cardiovascular modulator such as vasodilator\(^4\), antiarrhythmic\(^5\), elastase\(^6\) ACE inhibitors\(^7\), antagonists of several G-protein coupled receptors such as cholecystokinin (CCK) receptor\(^7\) as interleukin-1b converting enzyme inhibitors\(^6\) the angiotensin II receptor (ACE) inhibitors. Recently, anticancer activity\(^8\),\(^9\), antiparkinson activity\(^10\), anti-tubercular activity\(^11\), antiulcer activity\(^12\) and spasmyloytic activities\(^13\)-\(^15\) have also been reported. First molecule used clinically was diltiazem followed by clentiazem for their cardiovascular action\(^16\),\(^17\). 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 (Quetiapine), a typical antipsychotic drug that is practiced for the treatment of schizophrenia and bipolar disorder for many years\(^18\),\(^19\).

The development of heterocyclic scaffolds is a fast emerging subject in the medicinal chemistry. Derivatives of 2-chloro N-phenylacetamide react with different types of N-containing compound are extensively studied because of their significant pharmacological activities\(^20\). Another class of heterocyclic scaffolds containing nitrogen, oxygen and sulphur with eminent biological activities in central nervous system is dibenzothiazepines, which are of great interest in the area of drug discovery and development due to their broad spectrum of pharmacological activities.

The synthesis of new derivatives possessing antibacterial activity has drawn considerable attention owing to the continuous increase in bacterial resistance. It has been reported that 2-chloro N-phenylacetamide exhibited the strong antibacterial activity\(^21\)-\(^27\). Herein we report compound carrying 2-chloro N-phenylacetamide and substituted moieties at 11 position of dibenzo \[b,f\][1,4]thiazepin-11 (10H)-one and their antimicrobial activity.

Results and Discussion

The intermediate dibenzo \[b,f\][1,4]thiazepin-11 (10H)-one 4 was prepared by following literature procedure\(^21\) with optimized conditions. The reduction of 2-nitro diphenyl sulfide 1 was carried out using Fe/HCl. Phenyl [2-(phenyl sulfanyl) phenyl] carbamate 3 was prepared from 2-(phenyl sulfanyl) aniline 2 and phenyl chloro formate in the presence of bases. The cyclization of phenyl [2-(phenyl sulfanyl)
phenyl] carbamate 3 into dibenzo[\(b, f\)][1,4]thiazepin-11 (10H)-one 4 was carried out in presence of polyphosphoric acid (PPA) at 80-90°C, to obtain 94% yield. 2-chloro-(substituted) phenyl acetamide and dibenzo[\(b, f\)][1,4]thiazepin-11 (10H)-one 4 in presence of sodium hydrate at 25-30°C, to obtain 2-(dibenzo[\(b, f\)]1,4 thiazepine11-yl oxy)-N-substituted phenylacetamide (A\(_1\)-A\(_{13}\)) (Scheme I, Table I).

The IR spectra of compounds (A\(_1\)-A\(_{13}\)) showed a broad band in the region 3180-3140 cm\(^{-1}\) due to the N-H groups. The N-H bending vibrations were observed as a sharp medium to strong band at 1540-1500 cm\(^{-1}\) in compounds (A\(_1\)-A\(_{13}\)). The C-S-C linkage of the seven member ring caused a weak and sharp absorption band at 800-760 cm\(^{-1}\) in all the compounds. The C=O group was observed as a sharp medium to strong band at 1660-1600 cm\(^{-1}\) in these compounds. Also, for the compounds A\(_5\), A\(_7\), and A\(_8\), the vibration band of the NO\(_2\) group was present in the range 1514-1534 cm\(^{-1}\) and 1346-1356 cm\(^{-1}\), respectively. The C-H (aliphatic and aromatic), C=C stretching vibrations were observed at their usual positions. Further, \(^1\)H NMR spectra exhibited multiplets in the region at \(\delta\) 7.15-7.75 for 12 aromatic protons (8 aromatic protons of dibenzo[\(b, f\)][1,4]-thiazipenone and 6 aromatic protons of benzene (A\(_1\)). Two protons present in –CH\(_2\) of compounds (A\(_1\)) were found to resonate as doublets at \(\delta\) 4.3 and 5.0. The proton of the-NH group was observed at \(\delta\) 10.40 as a broad singlet (A\(_1\)).

The results given in Table II indicated that most of the compounds tested, exhibited considerable activities against one bacterial species, Escherichia coli. Compounds A\(_4\) and A\(_7\) exhibited a moderate activity against Klebsiella pneumoniae. Compound A\(_6\) exhibited a moderate activity against Staphylococcus aureus. All the screened compounds were less active against B. substilis. As far as the anti-fungal activity concerned, only compound A\(_6\) showed moderate activity against Candida albicans. The other compounds tested showed less activity against the fungal species.

Materials and Methods

All chemicals were of analytical grade and used directly. All melting points were determined using PMP-DM scientific melting point apparatus and are uncorrected. The completion of reaction was monitored by thin-layer chromatography (TLC) using silica gel-G coated Al-plates (0.5 mm thickness, Merck) and spots were visualized under UV radiation.

Infrared spectra were recorded on a Perkin-Elmer RX-1 model spectrophotometer using KBr pellets. \(^1\)H NMR spectra acquired on a Bruker Avance-2 spectrophotometer using DMSO-\(d_6\) as a solvent and TMS as internal reference (chemical shifts in \(\delta\), ppm).

Antimicrobial Screening

The anti-bacterial activity of the synthesized compounds was tested against two gram positive bacteria (Staphylococcus aureus ATCC 9144, B. substilis ATCC 6051) and two gram negative bacteria (Escherichia coli ATCC 25922 and Klebsiella pneumoniae ATCC 11298) using nutrient agar medium (Hi-Media Laboratories, India) (Table II). The antifungal activities of the compounds were tested against Candida albicans ATCC 90028 using sabouraud dextrose agar medium (Hi-Media Laboratories, India).

Paper disc diffusion technique

The sterilized medium was inoculated (1mL/100 mL of medium) with the suspension (\(10^2\) cfu mL\(^{-1}\)) of the micro-organism (matched to McFarland barium sulphate standard) and poured into a petridish to give a depth of 3-4 mm. The paper impregnated with the test compounds (100 \(\mu\)g/disc) was placed on the solidified medium. The plates were pre-incubated for 1 hr at RT and incubated at 37°C for 24 and 48 hr for anti-bacterial and anti-fungal activities, respectively. Chloramphenicol (100 \(\mu\)g/disc) and Ketoconazole (100 \(\mu\)g/disc) were used as standard for anti-bacterial and anti-fungal activities, respectively. The observed zones of inhibitions are presented in Table II.

Experimental Section

General procedure for synthesis of 2-chloro-(substituted) phenyl acetamide (1\(_{1, 13}\)).

In a 250 mL round bottom flask, charge aromatic amine (0.033 mol) and 12.5 mL glacial acetic acid at 25°C to 30°C. The reaction mixtures was completely dissolved in glacial acetic acid and drop wise addition of chloroacetyl chloride (3.0 mL, 0.037 mol) in reaction mixture at –5°C to 0°C completed within 1 hr. The reaction mixture was stirred in ice-bath for 30 min and further stirred for 3 hr at 25°C to 30°C. The mixture was poured into saturated sodium acetate solution. The product was filtered and washed with cold water. Crystallization from ethanol/water (ratio 80:20) mixture yielded crystalline mass.
TAILOR et al.: 2-(11-OXODIBENZO[b,f][1,4]THIAZEPIN ACETAMIDE DERIVATIVES

Step 1

\[
\begin{array}{c}
\text{R} = \text{H;4-Cl;4-F;3-CH}_3;3-\text{NO}_2;2,3-\text{Cl;2,6-Cl} 4-\text{NO}_2;4-\text{NO}_2;3-\text{CH}_3;4-\text{NH}_2;4-\text{COCH}_3;\text{P-OCH}_3;3-\text{Cl.}
\end{array}
\]

Reagent and conditions: (a) (i) NaOH (ii) Fe/HCl, Methanol. (b) Phenyl chloroformate, Sodium carbonate (c) Poly phosphoric acid, 80-90°C (d) 2-chloro N-phenylacetamide, DMF, sodium hydride.

Scheme I
Table I — Characterization data of synthesized compounds

<table>
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<th>Compd</th>
<th>R</th>
<th>m.p. (%)</th>
<th>Yield (%)</th>
<th>Calcld (Found) %</th>
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<td>3.83</td>
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2-Chloro-N-phenylacetamide (I1): White crystalline solid, m.p. 146-48°C, yield 91%; IR (KBr): 3267, 1670, 1350 cm⁻¹; ¹H NMR (400.1 MHz, CDCl₃): δ 8.23 (s, 1H, NH), 7.56-7.18 (m, 5H, Ar-H), 4.20 (s, 2H, CH₂-CO). Anal. Calcld for C₈H₈NOCl (169.60): Found: C, 56.68; H, 4.73; N, 8.22; O, 9.45; Cl, 20.93. Requires: C, 56.65; H, 4.75; N, 8.26; O, 9.43; Cl, 20.90%.

General procedure for the synthesis of dibenzo[b,f][1,4]thiazepin-11 (10H)-one 4 (Ref. 21)

The title compound was synthesized following a reaction according to a procedure described in the literature. Yield 79%, m.p. 260-65°C.

Dibenzo[b,f][1,4]thiazepin-11 (10H)-one 4: Off-white crystals, m.p. 260-65°C, yield 94%; IR (KBr): 3341 (-NH), 3235 cm⁻¹ (-NH); ¹H NMR (400.1 MHz, DMSO-d₆): δ 6.60-6.68 (m, 1H, Ar-H), 6.70-6.80 (d, 1H, Ar-H), 6.95-7.00 (d, 1H, Ar-H), 7.20-7.40 (m, 3H, Ar-H), 7.50-7.60 (m, 1H, Ar-H), 7.90 (d, 1H, Ar-H). Anal. Calcld for: C₁₃H₁₀N₂S (227.27): Found: C, 69.03; H, 4.46; N, 12.36. Requires: C, 69.00; H, 4.45; N, 12.38%. MS: m/z 227 (M⁺).

General procedure for the synthesis of 2-(11-oxodibenzo[b,f][1,4]thiazepin-10(11H)-yl)-N-phenylacetamide (A1-A13)

In a 250 mL round bottom flask, dibenzo[b,f][1,4]thiazepin-11 (10H)-one (1.0 mol) in 25 mL dimethyl formamide at -5°C to 0°C was added.

Table II — Antimicrobial activity data of synthesized compounds A1-A13

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<tr>
<th>Compd</th>
<th>Antibacterial activity Gram-negative</th>
<th>Antifungal activity Gram-positive</th>
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<td>K. pneumonae</td>
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<tr>
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<td>A13</td>
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<tr>
<td>Chloramphenicol</td>
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<td>17</td>
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<tr>
<td>Ketoconazole</td>
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Sodium hydride (2.5 mol, 60 % w/w) was lot wise added in reaction mixture at -5°C to 0°C within 25 min. The temperature of reaction mixture was maintained at -5°C to 0°C for 30 min. Addition of 2-chloro N-phenylacetamide solution (1.2 mol) (I$_{14}$) in reaction mixture within 30 min at -5°C to 0°C was completed. The temperature of reaction mixture was maintained at 25°C to 30°C for 12-15 hr. The reaction mixture was poured into crushed ice, filtered, dried and crystallized from ethyl acetate.

Similarly other compounds were prepared by using dibenzo [b,f][1,4]thiazepin-11 (10H)-one 4 and various N-chloro substituted phenylacetamide (I$_{13}$). The synthesized recrystallized were recrystallized in ethyl acetate. Practical yield and physicochemical parameter are reported below.

2-(11-Oxodibenzo [b,f][1,4]thiazepin-10(11H/-yl)-N-phenylacetamide (A$_1$): Off white crystals, IR (KBr): 3294 (-NH), 1583 (-NH), 1373 (C=N), 1643 (C=N), 1664 (C=O), 1213 (C-O-C), 567.03 cm$^{-1}$ (Mono substituted benzene); $^1$H NMR (400.1 MHz, DMSO-d$_6$): δ 4.43(d, H, CH$_2$), 5.00 (d, H, CH$_2$), 6.64-7.80 (m, 13H, Ar-H), 10.6 (s, 1H, NH); Mol. Formula: C$_{21}$H$_{14}$O$_2$N$_2$S$_2$ (404.4); MS: m/z 404.4 (M$^+$$\cdot$).

2-(11-Oxodibenzo [b,f][1,4]thiazepin-10(11H/-yl)-N-(2,3-dichloro phenyl) acetamide (A$_2$): Off white crystals, IR (KBr): 3294 (-NH), 1583 (-NH), 1373 (C=N), 1643 (C=N), 1664 (C=O), 1213 (C-O-C), 567.03 cm$^{-1}$ (Mono substituted benzene); $^1$H NMR (400.1 MHz, DMSO-d$_6$): δ 4.43(d, H, CH$_2$), 5.00 (d, H, CH$_2$), 6.74-7.80 (m, 11H, Ar-H), 10.6 (s, 1H, NH); Mol. Formula: C$_{21}$H$_{13}$O$_3$N$_2$S$_2$Cl$_2$ (429.31); MS: m/z 428.3 (M$^+$).

2-(11-Oxodibenzo [b,f][1,4]thiazepin-10(11H/-yl)-N-(2,6-dichloro 4-nitro phenyl) acetamide (A$_3$): Off white crystals, IR (KBr): 3291 (-NH), 1586 (-NH), 1373 (C=N), 1643 (C=N), 1682 (C=O), 1213 (C-O-C), 893.26 cm$^{-1}$ (NO$_2$-Asy); $^1$H NMR (400.1 MHz, DMSO-d$_6$): δ 4.43(d, H, CH$_2$), 5.00 (d, H, CH$_2$), 6.64-7.80 (m, 10H, Ar-H), 10.6 (s, 1H, NH); Mol. Formula: C$_{21}$H$_{13}$O$_3$N$_2$S$_2$Cl$_2$ (474.31); MS: m/z 473.3 (M$^+$).

2-(11-Oxodibenzo [b,f][1,4]thiazepin-10(11H/-yl)-N-(4-nitro phenyl) acetamide (A$_4$): Pale yellow crystals, IR (KBr): 3363 (-NH), 1587 (-NH), 1378 (C=N), 1650 (C=N), 1662 (C=O), 839.26 cm$^{-1}$ (NO$_2$-Asy); $^1$H NMR (400.1 MHz, DMSO-d$_6$): δ 4.43(d, H, CH$_2$), 5.00 (d, H, CH$_2$), 6.64-7.80 (m, 12H, Ar-H), 10.6 (s, 1H, NH); Mol. Formula: C$_{21}$H$_{15}$O$_3$N$_2$S (404.42); MS: m/z 404.4 (M$^+$).

2-(11-Oxodibenzo [b,f][1,4]thiazepin-10(11H/-yl)-N-(4-methyl phenyl) acetamide (A$_5$): Off white crystals, IR (KBr): 3265 (-NH), 1541 (-NH), 1738 (C=N), 1650 (C=N), 1662 (C=O), 839.26 cm$^{-1}$ (NO$_2$-Asy); $^1$H NMR (400.1 MHz, DMSO-d$_6$): δ 2.24 (s, 3H, CH$_3$), 4.43(d, H, CH$_2$), 5.00 (d, H, CH$_2$), 6.64-7.80 (m, 12H, Ar-H), 10.6 (s, 1H, NH); Mol. Formula: C$_{22}$H$_{18}$O$_3$N$_2$S (374.45); MS: m/z 373.4 (M$^+$).

2-(11-Oxodibenzo [b,f][1,4]thiazepin-10(11H/-yl)-N-(4-amino phenyl) acetamide (A$_6$): Off white crystals, IR (KBr): 3265 (-NH), 1560 (-NH), 1381 (C=N), 1642 (C=N), 1664 (C=O), 1203 (C-O-C), 840.13 cm$^{-1}$ (p-disubstituted benzene); $^1$H NMR (400.1 MHz, DMSO-d$_6$): δ 2.24 (s, 3H, CH$_3$), 4.43(d, H, CH$_2$), 5.00 (d, H, CH$_2$), 6.64-7.80 (m, 12H, Ar-H), 10.6 (s, 1H, NH); Mol. Formula: C$_{22}$H$_{19}$O$_3$N$_2$S (374.45); MS: m/z 374.8 (M$^+$).
crystals, IR (KBr): 3291 (-NH), 2924 (-COCH3), 1583 (-NH), 1373 (C-N), 1712 (C=N), 1671 (C=O), 1213 (C-O-C), 839.53 cm\(^{-1}\) (p-disubstituted); \(^1\)H NMR (400.1 MHz, DMSO-d\(_6\)): δ 2.24 (s, 3H, CH\(_3\)), 4.43 (d, H, CH\(_2\)), 5.00 (d, H, CH\(_3\)), 6.64-7.80 (m, 12H, Ar-H), 10.6 (s, 1H, NH); Mol. Formula: C\(_{22}\)H\(_{18}\)N\(_2\)O\(_2\)S (390.42); MS: m/z 389.8 (M\(^+\)).

2-(11-Oxodibenzo-[b,f][1,4]thiazepin-10(11H)-yl)-N-(4-methoxy phenyl) acetamide (A\(_{12}\)):: Off white crystals, IR (KBr): 3246(-NH), 2924 (-COCH3), 1583 (-NH), 1373 (C-N), 1643 (C=N), 1213 (C-O-C), 830.64 cm\(^{-1}\) (p-disubstituted); \(^1\)H NMR (400.1 MHz, DMSO-d\(_6\)): δ 3.14 (s, 3H, CH\(_3\)), 4.43 (d, H, CH\(_2\)), 5.00 (d, H, CH\(_3\)), 6.64-7.80 (m, 12H, Ar-H), 10.6 (s, 1H, NH); Mol. Formula: C\(_{21}\)H\(_{18}\)N\(_2\)O\(_2\)S (393.4); MS: m/z 393.4 (M\(^+\)).

2-(11-Oxodibenzo-[b,f][1,4]thiazepin-10(11H)-yl)-N-(3-chloro phenyl) acetamide (A\(_{13}\)): Off white crystals, IR (KBr): 3246(-NH), 1583 (-NH), 1373 (C-N), 1643 (C=N), 1664(C=O), 1213 (C-O-C), 758 cm\(^{-1}\) (C-Cl); \(^1\)H NMR (400.1 MHz, DMSO-d\(_6\)): δ 4.43(d, H, CH\(_3\)), 5.00 (d, H, CH\(_3\)), 6.64-7.80 (m, 12H, Ar-H),10.6 (s, 1H, NH); Mol. Formula: C\(_{21}\)H\(_{18}\)N\(_2\)O\(_2\)SCl (394.8); MS: m/z 393.4 (M\(^+\)).

### Conclusion

Newly synthesized compounds were screened for their antimicrobial activities against four strains of bacteria (E. coli, K. pneumoniae, B. subtilis and S. aureus) and one species of fungi (Candida albicans) using the Kirby-Bauer disk diffusion method. The results given in Table I indicate that most of the compounds tested, exhibited considerable activities against one bacterial species, E. coli. Compounds A\(_4\) and A\(_7\) exhibited a moderate activity against K. pneumoniae. Compound A\(_6\) exhibited a moderate activity against S. aureus. All the screened compounds were less active against B. subtilis. As far as the anti-fungal activity concerned, only compound A\(_6\) was showed a moderate activity against Candida albicans. The other compounds tested showed less activity against the one fungal species.

It can be concluded that 2-(dibenzo-[b,f][1,4] thiazepine11-loylo )-N-substituted phenylacetamide synthesized from 2-chloro N-(substituted) phenylacetamide certainly holds great promise towards good active leads in medicinal chemistry.

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### References