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Keywords: Triazole derivatives, Aminoacycnetenic derivatives, antimicrobial activity, Antifungal activity.

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Synthesis and antimicrobial evaluation of 4,5-diaryl-2-[4-(t-amino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-ones

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Abstract

A series of 4,5-diaryl-2-[4-(t-amino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-ones were synthesized and characterized by infrared spectrum (IR), 1H-NMR spectra and elemental analyses. Investigation of their antimicrobial activity was performed. Antimicrobial activity profile of the title compounds were evaluated against Gram positive bacteria, Gram negative bacteria and fungi. The synthesized compounds displayed different degrees of antimicrobial activities as shown in Table III. Compound 12 was the most active one. This may be attributed to 4,5-diphenylsubstituent on the triazoline-3-one ring and the nature of the amino groups at terminal acetylenic moiety.

Keywords: Triazole derivatives, Aminoacetylenic derivatives, antimicrobial activity, Antifungal activity.
1. Introduction

Compounds containing triazoles have attracted much interest of their biological applications (Jin et al., 2007; Ye et al., 2007; Liu et al., 2006; Tian et al., 2005; Modzelewska-Banachiewicz and Mazur 2004; Kim et al., 2004). Furthermore, triazoles appear frequently in the structure of various natural products (Asami et al., 2000) and appear in many metabolic products of fungi and primitive marine animals (Haasnoot 2000; Bhat et al., 2001 and Mali et al., 2009) as active antitubercular and antifungal agents. Triazoles and their heterocyclic derivatives have been used as drugs with considerable biologic activities (analgesic, anthelminthic, antitubercular, plant growth regulating, antiviral, antifungal and anticancer properties (Holla et al., 2006; Hui et al., 2005; Kritsanida et al., 2002; Sakata et al., 2001; Nadkarni 2001; Holla et al., 2001; Holla et al., 2000; Chadha et al., 1998). Triazole derivatives have been used widely for topical treatment of dermatomycoses (Tatsumi et al., 2001). Previous publications have shown that incorporation of aminoacetylenic group on the thiazole side chain resulted in active antimicrobial agent (Muhi-eldeen et al., 2008). Furthermore, insertion of acetylenic moiety on 7-iodoquinolone generated compound with antibacterial activity (Fujita et al., 1998). These observation promoted our interest to insert a new side chain on the triazole rings namely aminoacetylenic side chain and investigate their antimicrobial activity. The following series of compounds were synthesized namely 4,5-diaryl-2-[4-(t-amino)-2-butylnyl]-2,4-dihydro-3H-1,2,4-triazol-3-ones. The reaction sequences leading to the formation of desired compounds are outlined in Scheme 1. The structure of the compounds were assigned on the basis of IR, $^1$H-NMR spectral data and elemental analyses.
These synthesized compounds were investigated for their antimicrobial effects towards some Gram-positive, Gram-negative bacteria and fungi.

2. Experimental procedure:

2.1 Chemistry

Melting point was determined by using a calibrated Thomas-Hoover melting apparatus. IR spectra were recorded using a Perkin – Elmer 257 spectrophotometer; NMR spectra were carried out on Varian EM-390, 90MHZ spectrometer using tetramethylsilane as the internal reference.

Microanalyses were performed in the Laboratories of Dr. BERNHARDT, Mulheim, West Germany and in the Laboratories of the Oil Exploration Company; Iraq.

The elemental analyses are shown in (Table I, II) and indicated only by symbols of the elements analyzed, the result obtained had a maximum deviation of ± 0.4% from the theoretical value. The IR and NMR analyses are shown in the experimental part.

2.2 Starting materials

1,4-Diphenyl-semicarbazide, 1-phenyl-4-(1-naphthyl)-semicarbazide and 1-(p-chlorophenyl)-4-phenyl-semicarbazide were prepared from benzoic acid and p-chlorobenzoic acid hydrazides respectively according to the method described by Gehlen and Schade (1964); yield 73.3%, m.p. 216-218 °C, reported (217-218 °C), 91.7%, m.p. 230-232 °C reported (232 °C), and 89%, m.p. 223-225 °C.

4,5-Diphenyl-2,4-dihydro-3H-1,2,4-triazol-3-one and 5-phenyl-4-(1-naphthyl)-1,2,4-dihydro-3H-1,2,4-triazol-3-one were prepared as previously described by(Gehlen and
Schade (1964); yield 21% m.p. 260-262 °C reported (262 °C) and 30% respectively. 5-p-chlorophenyl-4-phenyl-2,4-dihydro-3H-1,2,4-triazol-3-one was similarly prepared in 29.8% yield, m.p. 240-242 °C. Anal. Calcd. for C_{14}H_{10}ClN_{3}O.H_{2}O; C 58.04, H 4.17, N 14.51. Found C 58.06, H 4.1, N 14.50.

**4,5-diaryl-2-(2-propynyl)2,4-dihydro-3H-1,2,4-triazol-3-ones, (7-9).**

To a stirred solution of 4,5-diaryl-2,4-dihydro-3H-1,2,4-triazol-3-one (0.01 mol) in ethanol (50 ml), alcoholic potassium hydroxide (0.01 mol) was added slowly. The mixture was refluxed for 5 minutes, and then propargyl bromide (0.01 mol) was added dropwise. The stirred solution was refluxed for 1 hour. After cooling, water (250 ml) was added and the crude product was collected and recrystallized from aqueous ethanol.

The physical constant of the compounds prepared are listed in (Table I).

The IR showed the following characteristic absorption bands (chloroform, cm⁻¹), 3040-3020 (CH, ArH), 3320-3280 (strong, ≡C-H), 2200-2170 (weak, C≡C), 1750-1710 (C=O, amide).

The ¹H-NMR spectra showed the following characteristic chemical shifts (CDCl₃, δ), 7.89 (m, 7 H, naphthyl), 7.7 (m, 4 H, ArHCL), 7.45 (m, 5 H, ArH), 4.75 (d, 2H, CH⁻₂ -C≡, J=2.4 Hz), 2.45 (t, 1H, ≡CH, J=2.4 Hz).
Table I: 4,5–diaryl-2–(2–propynyl)–2,4 –dihydro-3H-1,2,4-triazol–3– ones (7-9)

![Chemical Structure](image)

<table>
<thead>
<tr>
<th>Compound Number</th>
<th>% Yield</th>
<th>Melting point °C</th>
<th>Elemental analyses</th>
</tr>
</thead>
<tbody>
<tr>
<td>7</td>
<td>65</td>
<td>106-8</td>
<td>4,5-Diphenyl-2-(2-propynyl)-2,4-dihydro-3H-1,2,4-triazol-3-one</td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>Anal. Calcd. for C_{17}H_{13}N_{3}O; C 74.16, H 4.76, N 15.26</td>
</tr>
<tr>
<td></td>
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<td></td>
<td>Found C 74.14, H 4.74, N 15.24.</td>
</tr>
<tr>
<td>8</td>
<td>72.29</td>
<td>125-7</td>
<td>5-(P-Chlorophenyl)-5-phenyl-2-(2-propynyl)-2,4-dihydro-3H-1,2,4-triazol-3-one</td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>Anal. Calcd. for C_{17}H_{12}ClN_{3}O.H_{2}O; C 62.29, H 4.3, N 12.82</td>
</tr>
<tr>
<td>9</td>
<td>78</td>
<td>138-140</td>
<td>4-(1-naphthyl)-5-phenyl-2-(2-propynyl)-2,4-dihydro-3H-1,2,4-triazol-3-one</td>
</tr>
<tr>
<td></td>
<td></td>
<td></td>
<td>Anal. Calcd. for C_{21}H_{15}N_{3}O; C 77.51, H 4.64, N 12.91</td>
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<tr>
<td></td>
<td></td>
<td></td>
<td>Found C 77.54, H 4.66, N 12.94.</td>
</tr>
</tbody>
</table>
4,5-diaryl-2-[4-(t-amino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-ones (10-25).

A mixture of an 4,5-diaryl-2-(2-propynyl)-2,4-dihydro-3H-1,2,4-triazol-3-ones (0.003 mol), paraformaldehyde (0.0033 mol), the appropriate secondary amine (0.003 mol) and cuprous chloride (catalytic amount) in peroxide-free dioxane (10 ml) was heated at 70 °C for 3 hours. After cooling, the mixture was filtered and water (25ml) was added to the filtrate. The crude product was collected and recrystallized from aqueous ethanol.

The physical constants of the compounds prepared are listed in (table II).

IR (KBr,Cm⁻¹), 3040-3020 (CH, ArH), 2270-2260 (very weak, C≡C), 1725-1710 (C=O, amide).

¹HNMR (CDCl₃, δ), 7.9 (m, 7H, ArH 1-naphthyl), 7.45 (m, 4 H, ArH p-CLC₆H₄), 7.25 (m, 5 H, ArH ), 4.75 (t, 2 H, N-CH₂-C ≡,  J = 1.9 Hz), 3.55 (t, 2H, ≡ C-CH₂-N, J = 1.9 Hz). Other signals in the NMR spectra were consistent with the various protons in the secondary amines.
Table I: 4,5-diaryl-2-[4-(t-amino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-ones (10-25).

![Chemical Structure]

<table>
<thead>
<tr>
<th>Compound Number</th>
<th>% Yield</th>
<th>Melting point °C</th>
<th>Elemental analyses</th>
</tr>
</thead>
<tbody>
<tr>
<td>10</td>
<td>20.8</td>
<td>116-8</td>
<td>4,5-Di-phenyl-2-[4-(2,6-dimethylpiperidine)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C_{25}H_{28}N_{4}O; C 74.96, H 7.04, N 13.93, Found C 74.92, H 7.06, N 13.94.</td>
</tr>
<tr>
<td>11</td>
<td>43.12</td>
<td>68-70</td>
<td>4,5-Di-phenyl-2-[4-(perhydroazepino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C_{24}H_{26}N_{4}O; C 74.58, H 6.78, N 14.49, Found C 74.54, H 6.74, N 14.47.</td>
</tr>
<tr>
<td>12</td>
<td>50.55</td>
<td>84-5</td>
<td>4,5-Di-phenyl-2-[4-(perhydroazocino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C_{25}H_{28}N_{4}O; C 74.96, H 7.04, N 13.98, Found C 74.94, H 7.02, N 13.99.</td>
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<tr>
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<td>90-2</td>
<td>4,5-Di-phenyl-2-[4-(2-methylpiperidino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. calcd. for</td>
</tr>
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</tr>
<tr>
<td>14</td>
<td>51.74</td>
<td>100-2</td>
<td>C\textsubscript{24}H\textsubscript{26}N\textsubscript{4}O; C 74.58, H 6.78, N 14.49, Found C 74.59, H 6.76, N 14.47</td>
</tr>
<tr>
<td>15</td>
<td>41.85</td>
<td>98-100</td>
<td>4,5-Di-phenyl-2-[4-(3-methylpiperidino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C\textsubscript{24}H\textsubscript{26}N\textsubscript{4}O; C 74.58, H 6.78, N 14.49, Found C 74.56, H 6.74, N 14.46.</td>
</tr>
<tr>
<td>16</td>
<td>56.53</td>
<td>117-9</td>
<td>4,5-Di-phenyl-2-[4-(pyrrolidino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C\textsubscript{22}H\textsubscript{22}N\textsubscript{4}O; C 73.71, H 6.18, N 15.63, Found C 73.68, H 6.19, N 15.60.</td>
</tr>
<tr>
<td>17</td>
<td>61.036</td>
<td>110-2</td>
<td>4-(1-Naphthyl)-5-phenyl-2-[4-(2,6-dimethylpiperidino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C\textsubscript{29}H\textsubscript{30}N\textsubscript{4}O; C 77.30, H 6.71, N 12.43, Found C 77.32, H 6.70, N 12.42.</td>
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<tr>
<td>18</td>
<td>93.58</td>
<td>100-1</td>
<td>4-(1-Naphthyl)-5-phenyl-2-[4-(piperidino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C\textsubscript{27}H\textsubscript{26}N\textsubscript{4}O.0.5H\textsubscript{2}O; C 75.14, H 6.30, N 12.98, Found C 75.18, H 6.32, N 13.00.</td>
</tr>
</tbody>
</table>
| 19 | 26.73 | 132-4 | 4-(1-Naphthyl)-5-phenyl-2-[4-(2-methylpiperidino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C\textsubscript{28}H\textsubscript{28}N\textsubscript{4}O. 0.5H\textsubscript{2}O; C 75.47, H 6.56, N 12.57, Found C
<p>| | | | |</p>
<table>
<thead>
<tr>
<th></th>
<th></th>
<th></th>
<th></th>
</tr>
</thead>
</table>
| **20** | 24.96 | 99-100 | 75.50, H 6.54, N 12.59. 4-(1-Naphthyl)-5-phenyl-2-[4-(3-methylpiperidino)-2-
butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd.  for C_{28}H_{28}N_{4}O. 0.5H_{2}O; C 75.47, H 6.56, N 12.57, Found C 75.50, H 6.53, N 12.60. |
| **21** | 33.216 | 118-120 | 4-(1-Naphthyl)-5-phenyl-2-[4-(perhydroazepino)-2-
butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd.  for C_{28}H_{28}N_{4}O; C 77.03, H 6.46, N 12.83, Found C 77.00, H 6.49, N 12.81. |
| **22** | 49.91 | 138-140 | 4-(1-Naphthyl)-5-phenyl-2-[4-(perhydroazecino)-2-
butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd.  for C_{29}H_{30}N_{4}O; C 77.30, H 6.71, N 12.43, Found C 77.26, H 6.72, N 12.41. |
| **23** | 27.86 | 107-9 | 4-phenyl-5-(P-chlorophenyl)-2,[4-(2,6-
dimethylpiperidino)-2-butynyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. for C_{25}H_{27}CLN_{4}O. H_{2}O; C 66.28, H 6.45, N 12.36, Found C 66.24, H 6.42, N 12.38. |
| **24** | 19.19 | 137-9 | 4-phenyl-5-(P-chlorophenyl)-2,[4-(2-methylpiperidino)-2-
butylnyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd.  for C_{24}H_{25}CLN_{4}O. H_{2}O; C 65.67, H 6.20, N 12.76, Found C 65.63, H 6.19, N 12.78. |
| **25** | 20.53 | 138-140 | 4-phenyl-5-(P-chlorophenyl)-2,[4-(piperidino)-2-
butylnyl]-2,4-dihydro-3H-1,2,4-triazol-3-one, Anal. Calcd. |
for C_{23}H_{23}CLN_{4}O. H_{2}O; C 65.16, H 5.94, N 13.21, Found C 65.18, H 5.92, N 13.24.

### 2.3 Antimicrobial Activity

All tested compounds were assayed for their antimicrobial activity by measuring the Minimum Inhibitory concentrations (MICs) which give the lowest concentrations of compound inhibiting visible growth, according to the broth macrodilution method of the National Committee for Clinical Laboratory Standards (NCCLS) (NCCLS 1998 and 2002) recommendations, against three standard bacterial strains, *Staphylococcus aureus* (ATCC 29213), *Escherichia coli* (ATCC 25922) and *Pseudomonas aeruginosa* (ATCC 27953). The compounds were evaluated against clinical isolated *Candida albicans* for their antifungal activity. The evaluation was done using dilution method as shown in (Table III). The compounds were solubilized in dimethyl sulfoxide, and dilutions were prepared as needed in distilled water before the assessment of antimicrobial activity. Increasing concentrations of the compounds were incorporated into Muller Hinton broth (BBL Microbiology Systems) for bacteria and Sabouraud’s broth for *Candida*. Bacterial cultures were grown overnight on tryptic soya agar plates (Oxoid). Bacterial biomass was suspended in 5 ml of Muller-Hinton broth (BBL Microbiology Systems), Yeast cells were recovered from at least five 1-mm-diameter colonies and suspended in 5 ml of Muller-Hinton broth. Bacterial and Candida suspensions were mixed for 15 second with a vortex mixer, and the turbidity of each suspension was adjusted to a 0.5 McFarland standard (corresponding to 1 X 10^{6} to 5 X 10^{6} CFU/ml) at a wavelength of 530 nm by the method of the National Committee for Clinical Laboratory Standards (NCCLS 1998). Each suspension was diluted 1,000-fold with Muller-Hinton broth to give final inoculation of 1 X 10^{3} to 5 X 10^{3} CFU/ml. Equal volumes of bacterial
suspension were mixed with serial compounds dilutions into macroplates and dimethyl sulfoxide was used as a negative control. Ofloxacin and Ketoconazole were used as standard drugs for antibacterial and antifungal activity respectively; the plates were incubated at 37°C for bacterial suspensions and 35°C for Candida suspensions in ambient air. The results were read after 16-18 hours for bacteria and 24 hours for Candida by measuring the turbidity of each well at 620nm with macroplate reader. Each test was conducted in triplicate and the mean with standard deviation was calculated.

The Minimum Inhibitory Concentrations (MICs) of all compounds tested were defined as the lowest compound concentration that yield turbidity less than or equal to that for 80% inhibition compared with the growth in the growth control well.

MIC breakpoints for defining susceptibility were in accordance with the description by National Committee for Clinical laboratory Standards (NCCLS 1998).
Table III: Comparative in Vitro activity (MIC, μg/ml) of the synthesis compounds

<table>
<thead>
<tr>
<th>Compounds Number</th>
<th>S. aureus</th>
<th>E. coli</th>
<th>P. aeruginosa</th>
<th>Candida albicans</th>
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<tr>
<td>10</td>
<td>12.5± 0.01</td>
<td>12.5± 0.01</td>
<td>50± 0.05</td>
<td>25± 0.03</td>
</tr>
<tr>
<td>11</td>
<td>6.25± 0.02</td>
<td>12.5± 0.02</td>
<td>50± 0.04</td>
<td>12.5± 0.02</td>
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<tr>
<td>12</td>
<td>6.25± 0.01</td>
<td>6.25± 0.01</td>
<td>25± 0.04</td>
<td>12.5± 0.01</td>
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<tr>
<td>13</td>
<td>12.5± 0.01</td>
<td>50± 0.04</td>
<td>100± 0.04</td>
<td>25± 0.03</td>
</tr>
<tr>
<td>14</td>
<td>12.5± 0.02</td>
<td>12.5± 0.01</td>
<td>50± 0.05</td>
<td>12.5± 0.03</td>
</tr>
<tr>
<td>15</td>
<td>25± 0.02</td>
<td>50± 0.02</td>
<td>100± 0.03</td>
<td>25± 0.02</td>
</tr>
<tr>
<td>16</td>
<td>6.25± 0.02</td>
<td>12.5± 0.02</td>
<td>50± 0.04</td>
<td>12.5± 0.02</td>
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<tr>
<td>17</td>
<td>50± 0.02</td>
<td>50± 0.02</td>
<td>100± 0.03</td>
<td>50± 0.02</td>
</tr>
<tr>
<td>20</td>
<td>25± 0.01</td>
<td>50± 0.04</td>
<td>100± 0.04</td>
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</tr>
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<td>21</td>
<td>25± 0.02</td>
<td>50± 0.02</td>
<td>50± 0.03</td>
<td>25± 0.02</td>
</tr>
<tr>
<td>22</td>
<td>50± 0.03</td>
<td>25± 0.02</td>
<td>50± 0.03</td>
<td>12± 0.02</td>
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<td>23</td>
<td>25± 0.02</td>
<td>25± 0.02</td>
<td>50± 0.03</td>
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<tr>
<td>24</td>
<td>12.5± 0.02</td>
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<td>25</td>
<td>12.5± 0.02</td>
<td>50± 0.02</td>
<td>100± 0.03</td>
<td>25± 0.02</td>
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<td>Ofloxacin</td>
<td>12.5± 0.01</td>
<td>12.5± 0.02</td>
<td>25± 0.03</td>
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<tr>
<td>Ketoconazole</td>
<td>-</td>
<td>-</td>
<td>-</td>
<td>12.5± 0.02</td>
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</table>
3. Results and Discussion

The designed compounds were prepared according to scheme 1. Cyclization of 1-aroyl-4-aryl-semicarbazides (1-3) in aqueous potassium hydroxide generated the 4,5-diaryl-2,4-dihydro-3H-1,2,4-triazol-3-ones (4-6). Treatment of the ethanolic solution of (4-6) with propargyl bromide at 60 °C afforded the 4,5-diaryl-2-(2-propynyl)-2,4-dihydro-3H-1,2,4-triazol-3-ones (7-9). The Mannich reaction of (7-9) with paraformaldehyde and the appropriate cyclic amines in the presence of catalytic amount of cuprous chloride, yielded the 4,5-diaryl-2-(4-tert-amino)-2,4-dihydro-3H-1,2,4-triazol-3-ones (10-25). The new compounds were tested for antimicrobial and antifungal activity according to the screening method described in the experimental part. Their evaluation was done using dilution method as shown in (Table III). The lowest concentration which inhibited growth was considered as the MIC. The MIC for the new compounds indicates that compound 12 showed the most activity against Gram positive and Gram negative bacteria with less activity against *P. aeruginosa* and good activity against *Candida albicans*, compound 11 showed similar activity to 12 against Gram positive bacteria, *Candida albicans* and less activity against Gram negative bacteria. Compound 10 was less active against Gram positive, gram negative bacteria and fungi. Compounds 14 and 16 showed activity against Gram positive, gram negative bacteria and fungi with less activity against *P. aeruginosa* relative to compound 12. All others are active against Gram positive bacteria and fungi with the exception of compounds 17 and 22. Compounds 12, 11 and 10 are more active than of Ofloxacin against Gram positive, Gram negative bacteria but less active against *P. aeruginosa* and as active as ketoconazole against *Candida albicans*. The structure activity relationships indicate the more lipophilic nature of the basic amino groups as in compound 12, 11 and 10 yielded the most active agents which may attribute to their penetration ability. The 4,5-diphenyl substituent on the triazoline-ring seems to provide the best substituent on the triazoline-ring which may be related to the best overlap with sites of action.
Aqueous KOH 

\[ \text{Ar}_1 \text{C} = \text{NH} - \text{NH} = \text{C} = \text{NH} - \text{Ar}_2 \] \[ \rightarrow 1 - 3 \] 

\[ \text{KOH / ethanol} \] 

\[ \text{Br} - \text{CH}_2 - \text{C} \equiv \text{CH} \] \[ \rightarrow 4 - 6 \] 

\[ \text{CuCl / CH}_2\text{O} \] 

\[ \text{HN} \bigg( \text{CH}_2\bigg)_n, \text{dioxane} \] \[ \rightarrow 7 - 9 \] 

\[ \text{HN} \bigg( \text{CH}_2\bigg)_n, \text{dioxane} \] \[ \rightarrow 10 - 25 \] 

(Scheme 1)

Where:

\( \text{Ar}_1 = \text{Phenyl; 4-chlorophenyl.} \)

\( \text{Ar}_2 = \text{phenyl; 1 - Naphthyl.} \)

\( n = 0, 1, 2, 3. \)
4. Conclusion

We have synthesized and characterized the structures of a new series of 4,5-diaryl-2-[4-(t-amino)-2-butylnyl]-2,4-dihydro-3H-1,2,4-triazol-3-ones as antibacterial and antifungal agent. Compound 12 was the most active one and compounds 11, 13, 20, 24 and 25 with variable degree of activity. These results merit further studies and can be useful leads for the development of new aminoacetylenic triazoline derivatives with potential antibacterial, and or antifungal activity.
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