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# Synthesis And Antibacterial Activity Of 3-[(3-Phenyl-5-Thioxo-1, 5-Dihydro-4h-1, 2, 4-Triazol-4-Yl)Imino]-1,3-Dihydro-2h-Indole-2-One

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***ABSTRACT:*** *A series of 3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2H-indole-2-one derivatives were synthesised through the nucleophilic substitution at carbonyl carbon of Isatin. Structure of synthesized compounds were elucidated by using IR, $^{1}H$ NMR & $^{13}C$ NMR spectrometry. Synthesised compounds showed significant antibacterial activity against E.coli (ATCC 35218), S.aureus (ATCC 25323), E.faecalis (Clinical isolate), K. Pneumonia, P. aeruginosa (ATCC 27893) using agar well diffusion method.*

***Keywords:*** *Indole, Triazole, nucleophilic substitution, Antibacterial activity*

## I. Introduction

In recent year heterocyclic compounds analogues and derivatives have attracted strong interest due to their useful biological and pharmacological properties **[1,2]**. The small and simple triazole nucleus is present in compounds involved in research aimed at evaluating new products that possess biological activities, such as, anti-microbial, anti-tumor, anthelmintic, anti-leishmanial, anti-convulsant and anti-inflammatory **[3]**. Extensive use of antimicrobial drugs also favour the emergence of resistant strains **[4,5]**. The overuse and misuse of antimicrobials have led to the death of sensitive strains leaving resistant strains to survive, multiply and infect new hosts **[6]**. This has opened a new field for the researchers to prepare the mimic of already existing compounds. Biological activity of these compounds was enhanced by using complexes of already available drugs **[7]**. Present study was focused to prepare, characterised and biological assay of novel substituted compounds of 3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2H-indole-2-one to overcome the problems of resistance produced in microorganisms.

## II. Materials And Methods

### Synthesis Of Triazole Derivatives

The derivatives were prepared according to the reaction sequences depicted in Scheme 1. All chemicals and reagents for synthesis of triazole derivatives were obtained from commercial suppliers and were used without further purification. Reactions were monitored by thin layer chromatography (TLC). All melting points were recorded are uncorrected. The structure of the compounds were confirmed by IR and $^{1}$HNMR and $^{13}$ CNMR spectra.

### Derivatives Of 3-[(3-Phenyl-5-Thioxo-1,5-Dihydro-4*h*-1,2,4-Triazol-4-Yl)Imino]-1,3-Dihydro-2*h*-Indol-2-One

The synthesis of 3-[(3-Phenyl-5-Thioxo-1,5-Dihydro-4*h*-1,2,4-Triazol-4-Yl)Imino]-1,3-di hydro-2H-Indol-2-one derivatives were initiated with the synthesis of 4-amino-5-phenyl-2,4-dihydro-3H-1,2,4-triazole-3-thione (2a) according to the Fig1

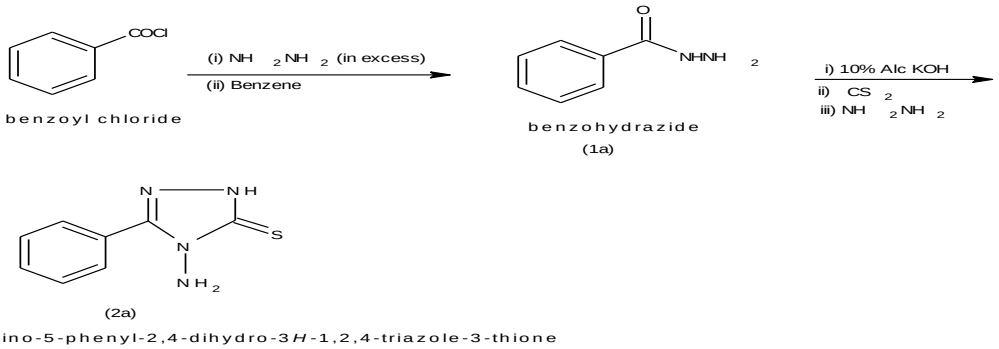


**Fig 1:** schematic diagram to prepare 2(a)

The starting compound 2a was prepared by the dropwise addition of Benzene to the ice cool benzoyl chloride followed by excess of Hydrazine hydrate with continuous stirring. The content was filtered to obtain benzohydrazide (1a). 10% ethanolic solution of KOH was added to 2gm of product (1a) followed by carbon disulphide and then hydrazine hydrate in equimolar quantity. Mixture was heated at 50 $^0$C to obtain product (2a).

Further preparation were done according the figure 2

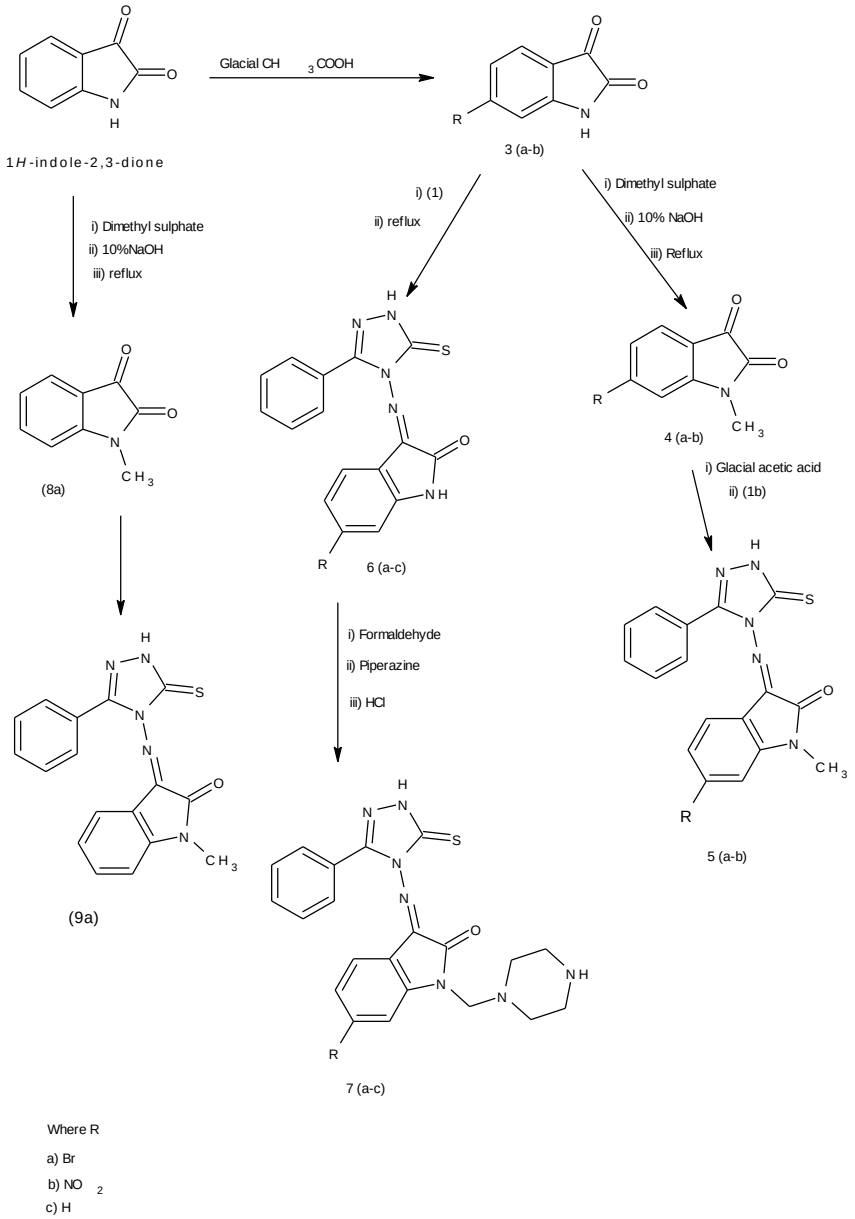


**Fig 2:-** Schematic diagram of synthesis of 3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2H-indol-2-one derivatives.

## III. Screening Of Antimicrobial Activity

The newly synthesized compounds were screened for their *in vitro* antimicrobial activity against total of 5 bacterial strains viz. *E. coli* ATCC 25922, *K. pneumonia, Enterococcus faecalis, P. aeruginosa, S. aureus* (ATCC 25323). The antibacterial activity was assayed by using agar well diffusion method by measuring the zone of inhibition in mm. Standard drug Ciprofloxacin was used for the comparison purpose. The synthesised compounds was weighed and dissolved in DMSO as diluent to yield the required concentration of 1µg/ml, using sterile glassware.

**Experimental**

3a and 3b was produced by the bromination and nitration of 1 H-indole-2,3-dione (3c). Methylation of 3(a-c) was done to obtain 4(a-c).

**General procedure for preparation of 5(a-c)**

Equimolar amount of 4(a-c) was mixed with (2a) in glacial acetic acid. Solution mixture was refluxed, allowed to cool and then filtered to get 5(a-b).

**5a).** ***6-bromo-1-methyl-3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2H-indol-2-one :*** Molecular Weight = 414.27908, Chemical composition = C(49.29%), H (2.92%), Br (19.29%), N (16.90%), O(3.86%), S (7.74%), m.p- 142$^0$C, yield-78%, $^1$H-NMR(DMSO δ ppm): 11.098 (1H,s,NH), 10.893 (1H,s,NH), 7.981 (1H, d, ArH), 7.957(1H,d,ArH), 7.543 (1H,d,ArH), 7.487 (2H,m,ArH), 7.436 (1H,s,ArH), 6.884 (1H,d,ArH), 6.857 (1H,m,ArH). $^{13}$C-NMR( DMSO-d) δppm: 165.911, 131.914, 131.271, 129.038, 128.337, 127.801, 127.126, 38.487. IR ῡ (cm$^{-1}$ ) : 3205.91 (NH), ~3050 (CH Aromatic),~2950(CH,Methyl), 1697.6(C=O), 1631.17 (C=N), 1465.13 (C=C Ar), 1120.22 (C=S), 1060.4 (C-N), 688.39 (C-Br).

**5b).** ***1-methyl-6-nitro-3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2H-indol-2-one :*** Molecular Weight = 380.38058 g, Chemical Composition = C(53.68%) H(3.18%) N(22.09%) O(12.62%) S(8.43%), m.p – 155 $^0$C, yield- 87.4%, $^1$H-NMR(DMSO δ ppm): 10.493 (1H,s,NH), 7.920 (1H,s, ArH), 7.895(2H,m,ArH), 7.562 (1H,d,ArH), 7.506 (2H,m,ArH), 7.482 (1H,d,ArH), 7.292 (1H,m,ArH), 4.024 (3H,s,Alkyl).
$^{13}$C-NMR( DMSO-d) δ ppm : 165.951, 145.20, 142.545, 129.268, 128.592, 127.504, 111.392, 132.606, 131.946. IR ῡ (cm$^{-1}$ ) : ~3150 (NH), 3054.64 (CH Aromatic), 2878.83 (CH,Methyl), 1773.88 (C=O), 1632.09 (C=N), 1531.45 (NO Nitro) , 1466.13 (C=C Ar), 1285.54 (C=S), 1234.65 (C-N).

**5c). 1-methyl-3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one:** Molecu Weight = 335.38302 g , m.p - 185-187 $^0$C , yield- 84.6%, Chemical Composition = C(53.68%) H(3.18%) N(22.09%) O(12.62%) S(8.43%), C(60.88%) H(3.91%) N(20.88%) O(4.77%) S(9.56%), $^1$H-NMR (DMSO d) δ ppm: 10.483 (1H,s,NH), 7.926 (2H, d, ArH), 7.588(1H,m,ArH), 7.509 (2H,m,ArH), 7.287 (1H,d,ArH), 7.265 (1H,d,ArH), 7.117 (1H,m,ArH), 7.094 (1H,m,ArH), 3.543 (3H,s,Alkyl). $^{13}$C-NMR( DMSO-d) δppm : 165.828, 138.391, 132.556, 131.839, 128.493, 127.430, 38.668. IR ῡ (cm$^{-1}$ ) : 3197.04 (NH), 3053.49 (CH Aromatic), 3004.99 (CH,Methyl), 1709.33(C=O), 1632.45 (C=N), 1488.28 (C=C Ar), 1285.80 (C=S), 1232.80 (C-N).

**General procedure for preparation of 6(a-c)**

Equimolar amount of 3(a-b) was mixed with (2a) in 10 ml of glacial acetic acid. Solution mixture was refluxed, allowed to cool and then filtered to get 6(a-b).

**6a)** ***6-bromo-3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2H-indol-2-one :***
Molecular Formula = $C_{16}H_{10}BrN_5OS$, Formula Weight = 400.2525, Chemical Composition = C (48.01%), H (2.52%), Br (19.96%), N (17.50%), O (4.00%), S(8.01%), m.p - 162$^0$C, yield – 76.6%, $^1$H-NMR(DMSO d) δ ppm: 11.198 (1H,s,NH), 10.527 (1H,s,NH), 7.956 (1H,d,ArH), 7.556 (2H,m,ArH), 7.509 (2H,m,ArH), 7.746 (1H,d,ArH), 7.488 (1H,d,ArH), 7.647 (1H,s,ArH). $^{13}$C-NMR( DMSO-d) δ ppm: 183.201, 165.836, 159.342, 158.946, 150.729, 149.583, 140.064, 138.383, 132.573, 131.856, 128.501, 127.496, 126.911, 126.820, 124.669, 122.749, 119.526, 117.787, 112.216. IR ῡ (cm$^{-1}$ ) : 3199.80 (NH), 3060.8 (CH Aromatic), 1747.73(CO), 1615.29 (C=N), 1467.63 (C=C Ar), 1288.47 (C=S), 1208.92 (C-N), 686.85 (C-Br).

**6b)** ***6-nitro-3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2H-indol-2-one:***
Formula Weight = 746.73458, Chemical Composition = C(53.08%) H(2.97%) N(22.51%) O(12.86%) S(8.59%), m.p – 186 $^0$C, yield – 81%, $^1$H-NMR(DMSO d) δ ppm: 11.037 (1H,s,NH), 10.530 (1H,s,NH), 7.956 (1H,d,ArH), 7.588 (2H, m, ArH), 7.511(1H,d,ArH), 7.456 (2H,m,ArH), 7.313 (1H,d,ArH), 7.290 (1H,m,ArH), 7.175 (1H,m,ArH). $^{13}$C-NMR( DMSO-d) δ ppm: 184.446, 165.885, 150.762, 145.586, 144.762, 132.581, 131.872, 128.534, 127.529, 124.702, 122.790, 117.795, 111.177. IR ῡ (cm$^{-1}$ ) : 3156.72 (NH), 3056.54 (CH Aromatic), 1733.03(CO), 1617.33 (C=N), 1464.55 (C=C Ar), 1287.66 (C=S), 1195.16 (C-N).

**6c). 3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one:** Mole Weight = 321.35644, Chemical Composition = C(59.80%) H(3.45%) N(21.79%) O(4.98%) S(9.98%), m.p-176-180, yield – 79.6%, $^1$H-NMR (DMSO δ ppm): 11.037 (1H,s,NH), 10.530 (1H,s,NH), 7.956 (1H,d,ArH), 7.588 (2H, m, ArH),7.511(1H,d,ArH), 7.456 (2H,m,ArH), 7.313 (1H,d,ArH), 7.290 (1H,m,ArH), 7.175 (1H,m,ArH). $^{13}$C-NMR(DMSO-d) δ ppm: 184.446, 165.885, 150.762, 145.586, 144.762, 132.581, 131.872, 128.534, 127.529, 124.702, 122.790, 117.795, 111.177. IR ῡ (cm$^{-1}$ ) : 3156.72 (NH), 3056.54 (CH Aromatic), 1733.03(CO), 1617.33 (C=N), 1464.55 (C=C Ar), 1287.66 (C=S), 1195.16 (C-N).

**General procedure for preparation of 7(a-c)**

6 (a-c) and piperazine were dissolved in equimolar quantity in formaldehyde. Reaction mixture was refluxed and the resulting solid was filtered and recrystallised from alcohol to afford 7(a-c).

**7a)** ***6-bromo-1-(piperazinemethyl)-3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl) imino]-1,3-dihydro-2H-indol-2-one*** **:** Molecular Weight = 498.3988, Chemical Composition = C(50.61%) H(4.04%) Br(16.03%) N(19.67%) O(3.21%) S(6.43%), 110 $^0$C, yield – 76.2%, $^1$ H-NMR(DMSO d) δ ppm: 10.275 (1H,s,NH), 7.966 (2H,d, ArH), 7.651(1H,d,ArH), 7.522 (2H,m,ArH), 7.490 (1H,s,ArH), 7.465 (1H,m,ArH), 7.441 (1H,d,ArH), 3.476 (2H,s,$CH_2$), 3.082(2H,t,$CH_2$), 2.791(2H,t, $CH_2$), 2.617(2H,m, $CH_2$), 2.160 (2H,m,. $CH_2$). $^{13}$C NMR (DMSO -d) δ ppm - 165.688, 145.586, 131.749, 130.908, 127.463, 126.854, 51.138, 43.077, 39.888. IR ῡ ($cm^{-1}$ ) : 3306.37-3226.79 (NH), 3011.89 (CH Aromatic), 2980.17 (CH,Methyl), 1723.58 (C=O), 1632.70 (C=N), 1506.52-1463.28 (C=C Ar), 1285.81 (C=S), 1022.24 (C-N), 690.41 (C-Br).

**7b)*1-(piperazinemethyl)-6-nitro-3-[(3-phenyl-5-thioxo-1,5-dihydro-4H-1,2,4-triazol-4-yl) imino]-1,3-dihydro-2H-indol-2-one :*** Molecular Weight = 464.5003, Chemical Composition = C(54.30%) H(4.34%) N(24.12%) O(10.33%) S(6.90%), m.p - 82$^0$C, yield – 58.6%, $^1$H NMR (DMSO d) δ ppm - 10.530 (1H, s, NH), 8.059 (1H, s, Ar) , 7.948 (1H, d, Ar), 7.924 (1H, d, Ar), 7.585 (1H, d, Ar), 7.553 (1H, d, Ar), 7.529 (1H, m, Ar) , 3.521 (2H, m, $CH_2$), 2.754, 2.713, 2.642, 2.549 and 2.510 (2H, t). $^{13}$C NMR (DMSO d) δ ppm - 165.869, 161.245, 145.199, 140.475, 132.573, 131.889, 128.543 127.488 and 123.293, 52.497, 50.346, 42.558. IR (KBr)υ $cm^{-1}$ : 3479.34-3350.05 (NH), 3176.10 (Ar CH), 3107.16 ($CH_3$), 1962.35-1801.17 (C=O), 1632.49 (C=N), 1512.72-1385.92 (C=C Ar), 1283.95 (C=S), 1016.95 (CN) and 1569.17 (NO) .

**7c). 1-(piperazin-1-yl-methyl)-3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one*:*** Formula Weight = 419.50274, Chemical Composition = C(60.12%) H(5.05%) N(23.37%) O(3.81%) S(7.64%), m.p - 80-85$^0$C, yield – 58.6%, $^1$H NMR (DMSO d) δ ppm- 10.499 (1H,s,NH), 7.903 (2H,d,ArH), 7.506(2H,m,ArH), 3.455(2H,s,$CH_2$), 3.124 (4H,t, $CH_2$), 2.728, 2.594, 2.528 and 2.490(4H,m,$CH_2$ ). $^{13}$ C NMR (DMSO d) δ ppm -145.546, 132.573, 131.864, 129.251, 128.518, 128.370, 127.471, 65.869, 50.948, 42.673. IR (KBr)υ $cm^{-1}$ : 3306.37-3226.79 (NH), 3011.89 (Ar CH), 2980.17 ($CH_2$), 1723.58 (C=O), 1632.70 (C=N), 1506-1463.28 (Ar C=C), 1285.81 (C=S), 1022.24 (C-N), 690.41 (C-Br).

**General procedure for preparation of 8(a-c)**

6(a-c) and piperidine was mixed in equimolar quantity in formaldehyde. The reaction mixture was refluxed in acidic medium for 5hsr at 50-60$^0$C. The resulting mixture was crystallized from benzene to obtain 8(a-c).

**8a). 6-bromo-1-(piperidin-1-yl-methyl)-3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one*:*** Molecular Weight = 497.41074, Chemical Composition = C(53.12%) H(4.26%) Br(16.06%) N(16.90%) O(3.22%) S(6.45%), m.p - 87-90$^0$C, yield – 56.4%, $^1$H NMR (DMSO d) δ ppm - 10.504 (1H,s,NH), 7.642 (1H,s,ArH), 7.478(2H,d,ArH), 7.185 (2H,d,ArH), 7.907(2H,d,ArH), 3.363 (2H,s,$CH_2$), 2.711, 2.686, 2.653, 2.528 (4H,m,$CH_2$). $^{13}$ C NMR (DMSO d) δ ppm: 145.504, 129.095, 127.669, 68.76, 22.448, 38.668. IR (KBr)υ $cm^{-1}$ : 3382.10 (NH), 3012.80 (Ar CH), 2979.01-2563.27 $cm^{-1}$ ($CH_3$), 1716.99-1667.65 $cm^{-1}$ (C=O), 1632.23 (C=N), 1464.72 (C=C Ar), 1287.65 (C=S), 1023.19 (C-N), 688.37 (C-Br) .

**8b). 6-nitro-1-(piperidin-1-yl-methyl)-3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one*:*** Molecular Weight = 463.51224, Chemical Composition = C(57.01%) H(4.57%) N(21.15%) O(10.36%) S(6.92%), m.p - 82-85$^0$C, yield – 59.6%, $^1$H NMR (DMSO d) δ ppm -10.493 (1H,s,NH), 7.292(1H,s,ArH), 7.920(2H,d,ArH), 7.895, 7.585(2H,d,ArH), 7.562(2H,m,ArH), 7.531(2H,m,ArH), 4.029 (2H,s,$CH_2$).$^{13}$ C NMR (DMSO d) δ ppm: 150.721, 138.392, 124.669, 123.202, 117.787, 112.232, 110.568, 52.497, 38.668, 26.017. IR (KBr)υ $cm^{-1}$ : 3346.44 (NH), 3059.69 (Ar CH), 3029.55 ($C_2H_2$), 1966.98 (C=O), 1621.40 ( C=N), 1448.48 (Ar C=C), 1286.76 (C=S), 1034.08 (CN), 1578.86 (NO).

**8c). 1-(piperidin-1-yl-methyl)-3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one*:*** Molecular Weight = 418.51468, Chemical Composition = C(63.14%) H(5.30%) N(20.08%) O(3.82%), S(7.66%), m.p - 72-76$^0$C, yield – 52.6%, $^1$H NMR (DMSO d) δ ppm 10.438 (1H,s,NH), 7.902(2H,d,ArH), 7.902(2H,m,ArH), 7.265(1H,m,ArH), 7.287(2H,d,ArH), .534(2H,m,ArH), 7.509(1H,m,ArH), 3.832 (2H,s,$CH_2$). $^{13}$ C NMR (DMSO d) δ ppm :145.20, 138, 124.669, 123.202, 122.773, 117.787 and 112.23, 66.420, 38.940, 26.017. IR (KBr)υ $cm^{-1}$ : 3198.99 (NH), 3075.35 ( Ar CH), 2995.15 ($C_2H_2$), 1714.62 (C=O), 1614.61 (C=N), 1469.65 (Ar C=C), 1290.04 (C=S), 1069.37 s(C-N).

## IV. Results And Discussion

Antibacterial activity of the synthesised compounds were assayed and the obtained data were reported in table 1.

| **Compound Code** | **Bacterial species** | | | | |
|---|---|---|---|---|---|
| | **Gram negative** | | | **Gram positive** | |
| | ***E. coli* (ATCC 35218)** | ***E. faecalis* (Clinical isolate)** | ***K. pneumoniae*** | ***S.aureus* (ATCC 25323)** | ***P. aeruginosa* (ATCC 27893)** |
| 5a | - | 18.26 | 18.24 | 15.80 | - |
| 5b | - | 19.40 | - | 17.56 | 17.96 |
| 5c | - | 7.26 | - | 10.76 | 18.10 |
| 6a | 18.63 | - | 17.36 | 17.8 | 18.10 |
| 6b | 18.46 | - | 12.36 | 11.4 | 18.43 |
| 6c | 19.36 | - | 17.66 | 17.96 | 18.13 |
| 7a | 28.4 | 29.5 | 27.3 | 30.7 | 31.2 |
| 7b | 32.6 | 30.2 | 28.6 | 26.77 | 29.8 |
| 7c | 28.9 | 27.5 | 27.6 | 27.3 | 27.20 |
| 8a | 26 | 27 | 25 | 27 | 27 |
| 8b | 29.2 | 27.84 | 26.28 | 25 | 24.40 |
| 8c | 25 | 26.72 | 23.25 | 27.58 | 24 |
| **Ciprofloxacin** | 33. 46 | 28.86 | 29.16 | 30.93 | 33.13 |
| **DMSO** | - | - | - | - | - |

The investigation of antibacterial screening data revealed that all the tested compounds showed moderate to good inhibition activity. Compound 6 -nitro-1-(piperazin-1-yl-methyl)-3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one (7b) was able to inhibit significantly the growth of the *E.coli, E.faecalis, K. pneumonia.* 6-bromo-1-(piperazin-1-yl-methyl)-3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one (7c) was most potent against *S. aureus and P. aeruginosa.* Compound 1-methyl-3-[(3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one (5c) was the least active against all the selected species. Results obtained was due to different electronic and structural behaviour of the derivatives . Inhibitory effect on the growth *of E.coli* and *E.faecalis* was observed in the presence of (5b) & (7b). Similarly compound (6a) exhibit relatively good activity against *S.aureus* and *K.pneumoniae* and (5b) against *P.aeruginosa.* This result could be explained by **[10]** which shows that the electron withdrawing nitro and halo group substitution on the triazole has higher antibacterial activity.

The structure of the primary molecular target is different in gram +ve and gram –ve bacteria. In case of Gram negative bacteria the molecular target is DNA gyrase (*gyrDNA*), and in case of Gram positive bacteria it is topoisomerase IV (*topoIV*) **[12].**

All the synthesised derivatives of (3-phenyl-5-thioxo-1,5-dihydro-4*H*-1,2,4-triazol-4-yl)imino]-1,3-dihydro-2*H*-indol-2-one have phenyl ring bonded to triazole ring which is essential for antibacterial activity. Thus they all are showing good antibacterial activity. Gram –ve bacteria have a coating on the outside of their cell wall which consists of a mixture of fats, sugars and proteins. The fatty portion of the coating is difficult to penetrate, as it may act as a barrier to the polar hydrophilic molecule. Nitro group in compound 7b has an electron withdrawing capacity which decreases the electron density and increases the acidity of triazole ring enabling it to penetrate cellular membrane of gram negative bacteria by increasing its hydrophobic character. The bromide group in compound 7a has been increases the hydrophobicity of the compound and thus enhancing the activity against Gm+ve bacteria. Introduction of methyl piperazine in 7 (a-c) and methyl piperidine residue in 8 (a-c) of heterocyclic ring has greatly increases the spectrum of activity against all the bacterial strain. Since the substituent Piperazine has less polarizability than piperidine and can form srong hydrogen bond, is more efficient than the piperidine. Methyl substituent in 5 (a-c) decreases the antibacterial activity of this series as the alkyl group has negative correlation with antibacterial activity due to weak interaction with target sites.

Results showed that currently prepared triazoles were active against the Gram-positive bacteria. Activity of all newly synthesized compounds has close agreement with each other but these compounds were less potent as compared to the reference standard. Synthesised triazole derivative containing $NO_2$ group is more efficient as antibacterial agent.

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