IJNRD.ORG ISSN : 2456-4184
INTERNATIONAL JOURNAL OF NOVEL RESEARCH AND DEVELOPMENT (IJNRD) | IJNRD.ORG
An International Open Access, Peer-reviewed, Refereed Journal

TITLE: DESIGN AND SYNTHESIS OF SOME NOVEL HETEROCYCLIC COMPOUNDS OF TRIAZOLES OF BIOLOGICAL INTEREST.

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- **ABSTRACT**

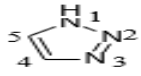
On the basis of various literature survey, triazole derivatives show various activity such as antibacterial, anti-inflammatory, antifungal, anticonvulsant, antitubercular, antioxidant, COX-2 inhibition, antimicrobial and anticancer activity. The possible improvements in the activity can be further achieved by slight modifications in the substituents on the basic triazole nucleus. As this moiety have remarkable biological activities which stimulated the research work in the field of heterocyclic chemistry. Hence it is intended for the synthesis, characterization and evaluation of biological activity of various types of derivatives belonging to the above classes of heterocyclic compounds. Prompted by the broad spectrum activities of triazole, series of 2-[(4-substituted)-5-mercapto-4H-1,2,4-triazol-3-yl]phenol (3a- 3f) and 3-[3-(2-hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-yl]-2-substituted thiazolidin-4-one (4a-4f) were designed and synthesized by reacting methyl salicylate with hydrazine hydrate. All these synthesize compounds were test for their antibacterial and anti-inflammatory activity.

- **INTRODUCTION :**

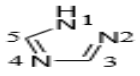
Triazole: Triazole, a heterocyclic nucleus has attracted a wide consideration of the medicinal chemist in search for the new therapeutic molecules. Now a day's researchis concentrated towards the beginning of new and safe therapeutic agents of clinical importance. The heterocycles are gaining their importance as being the center of activity. The nitrogen containing heterocycles are found in abundance in most of themedicinal compounds. The synthesis of high nitrogen containing heterocyclic systems has been increasing interest over the past decade. Triazoles are well known five membered heterocyclic compounds belong to one of the most widely used class of antifungal drugs known as azoles.

Two structural isomeric triazoles are known, 1,2,3-triazole and 1,2,4-triazole.Each exists in two dissimilar tautomeric forms. The different isomers are characterized by the position of nascent hydrogen.

The presence of the three nitrogen atoms in triazole structures afforded opportunities for a plethora of structural modification with the generation of novel therapeutically potential agents, which is different from other heterocyclic compounds. Thus, triazoles are a significant platform in medicinal chemistry and chemical biology, which play key roles in various biological mechanisms related to infections, cancer, convulsions, inflammation, neurodegeneration, and oxidative stress. Relatedly, many drugs are available in the market. However, the synthesis of newer triazoles is in a continuous process for uncovering unexplored and advanced pharmacological implications.



1,2,3-triazole



1,2,4-triazole

Bioactive molecules with 1,2,3-triazole core nucleus have been proven to possess antibacterial (e.g., cefatrizine,), antifungal, herbicide, anticancer (e.g. carboxyamidotriazole or CAI) protease inhibitory, and antituberculosis activities. In search of novel modes of action, many novel 1,2,3-triazoles have been synthesized since 2015. As an instance, a group of researchers discovered that icotinib-1,2,3-triazole derivatives (58) (Supplementary Figure S7) exhibited remarkable inhibitory activity against indoleamine 2,3-dioxygenase 1 (IDO1) with very low IC50 values (0.37–2.50 μM), and hence are potential anticancer agents (Mao et al., 2020). These IDO1 inhibitors form a coordinate bond with the heme iron of IDO1.

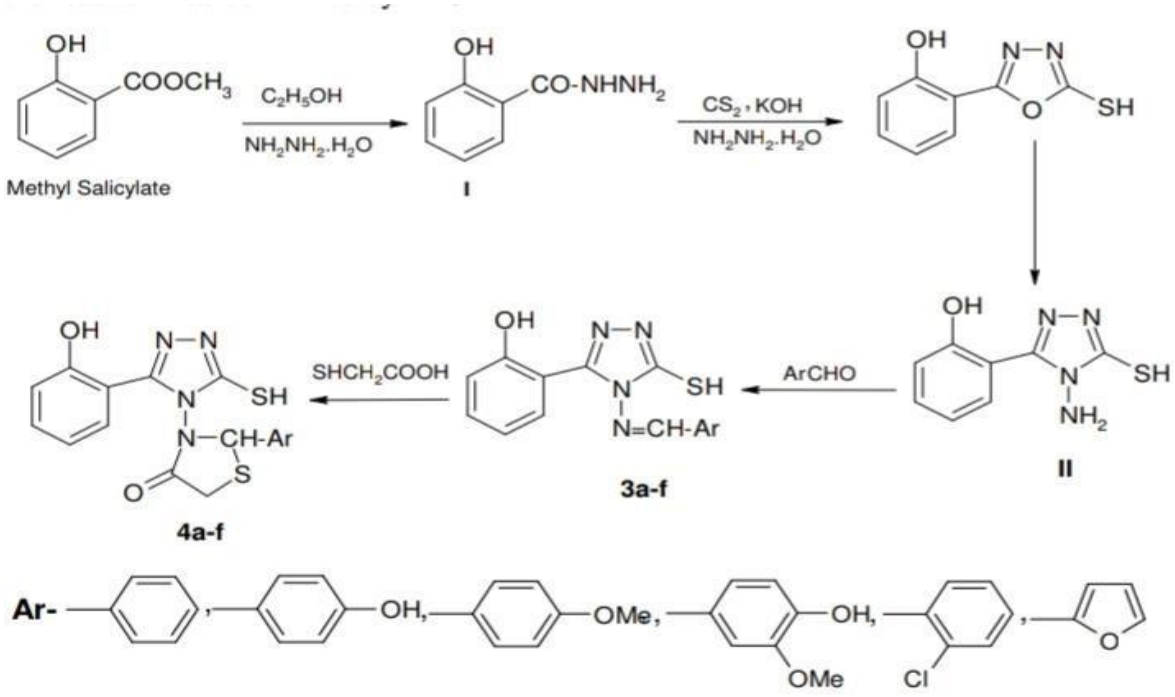
- **MATERIAL AND METHODS**

1. Experimental Section:

All the chemicals used in the synthesis of designed compounds were of synthetic grade and they were procured from Loba, Highmedia and E. Merck. Thin layer chromatographic method was used for monitoring of progress of reactions andproduct formation. Thin layer chromatography for compounds was performed using silica gel-G on glass plate in different solvents. Iodine vapor and UV detector were used as detecting agents. Identification and characterization of synthesizedcompounds was carried by following procedure to ascertain that all compounds are ofdifferent chemical nature than the parent compound.

- Melting Point
- Thin Layer Chromatography (TLC)
- Elemental Analysis
- Infrared Spectroscopy (IR)
- Nuclear Magnetic Resonance Spectroscopy (^{1}H-NMR)
- Mass Spectroscopy (MS)

Reaction Involved :



Step – I: Synthesis of 2-hydroxy benzohydrazide146 :

A mixture of 0.1 mole of methyl salicylate and 0.2 mole of hydrazine hydrate were taken in a 250 ml round bottom flask attached to a reflux condenser and refluxed with 50 ml of 95% abs ethanol for 15 hrs. The resultant mixture was concentrated in 250 ml beaker. It was cooled at room temperature then kept in refrigerator for 2 hrs. The solid mass thus separated out was filtered and dried. The same was recrystallized from ethanol.

Step – II: Synthesis of 2(4-amino-5-mercapto-4H-1,2,4-triazol-3-yl)phenol147:

The acid hydrazide I 0.01 mole was added to 50 ml abs alcohol, containing KOH at room temperature. Carbon disulphide 0.013 mole was added and the mixture stirred at room temperature for 10 hr. the mixture was diluted with 30 ml ether and stirred for further 1 hr. The potassium salt was used for the next stage without further purification. Hydrazine hydrate 0.02 mole was gradually added to the above potassium salt 0.01 mole dissolved in 20 ml water with stirring and the mixture was refluxed gently for 3 hrs during which hydrogen sulphide evolved and the colour of the reaction mixture changed to a green dark colour. It was then cooled to 5 ˚C and acidified with conc. HCl to PH 1.00. A yellow solid separated out which was filtered, washed with water and crystallized from ethanol to make triazole.

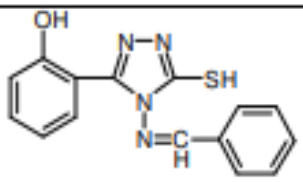
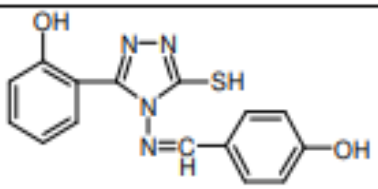
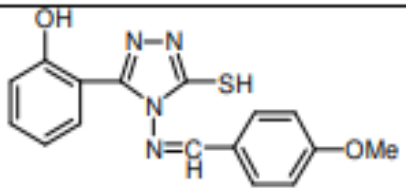
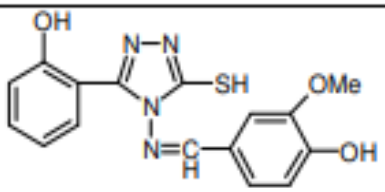
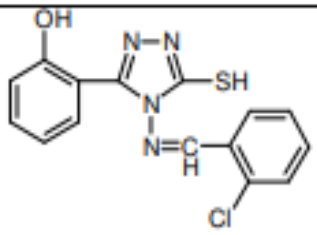
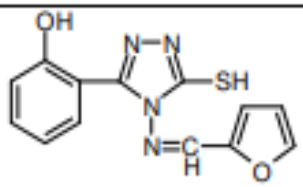
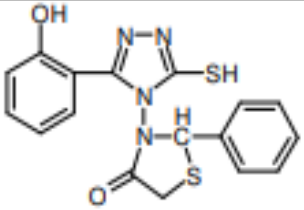
Step – III: Synthesis of 2-(4-substituted)-5-mercapto-4H-1,2,4-triazol-3-yl)phenol 3a-3f14

A mixture of triazole II 0.01 mole and the various substituted aldehydes 0.01 mole in 25 ml ethanol containing a drop of glacial acetic acid was refluxed for 2-3 hrs. The reaction mixture on cooling was filtered and purified by recrystallization from ethanol to give 3a- 3f. Compounds mentioned in this scheme, follows change in reaction time. The completion of the reaction was confirmed by TLC.

Step – IV: Synthesis of 3-[3-(hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-yl]-2- substituted thoazolidin-4-one 4a-4f149

A mixture of 3a- 3f 0.01 mole and thioglycollic acid 0.01 mole dissolved in 30 ml DMF. The reaction mixture refluxed for 6-7 hrs and the solid obtained after removal of the solvent was recrystallized from benzene to give 4a-4f.

Table No. 1 List of synthesized compounds and chemical names (3a-3f) (4a-4f)

Comp.	Structure	Chemical name
3a		2-(4-(benzylideneamino)-5-mercapto4H-1,2,4-triazol-3-yl) phenol
3b		2-(4-(4-hydroxybenzylidene amino)-5-mercapto-4H-1,2,4-triazol-3-yl) phenol
3c		2-(4-(4-methoxybenzylidene amino)-5-mercapto-4H-1,2,4-triazol-3-yl) phenol
3d		4-((3-(2-hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-ylimino)methyl)-2-methoxyphenol
3e		2-(4-(2-chlorobenzylidene amino)-5-mercapto-4H-1,2,4-triazol-3-yl) phenol
3f		2-(4-(furan-2-ylbenzylidene amino)-5-mercapto-4H-1,2,4-triazol-3-yl) phenol
4a		3-[3-(2-hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-yl)-2-phenylthiazolidin-4-one

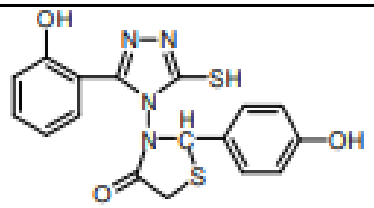
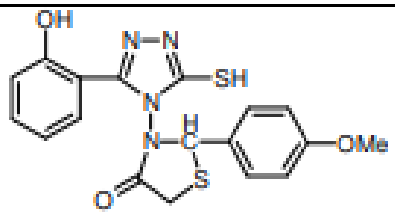
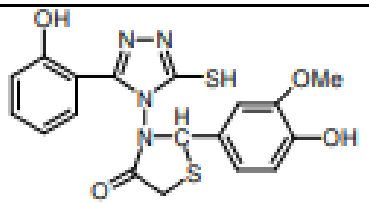
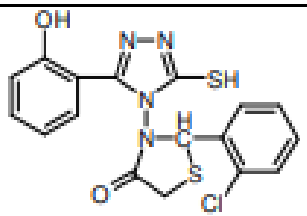
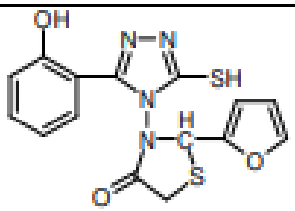
4b		2-(4-hydroxyphenyl)-3-(3-(2-hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-yl)thazolidin-4-one
4c		3-(3-(2-hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-yl)-2-(4-methoxyphenyl)thiazolidin-4-one
4d		2-(4-hydroxy-3-methoxyphenyl)-3-(3-(2-hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-yl)thiazolidin-4-one
4e		2-(2-chlorophenyl)-3-(3-(2-hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-yl)thiazolidin-4-one
4f		2-(furan-2-yl)-3-(3-(2-hydroxy phenyl)-5-mercapto-4H-1,2,4-triazol-4-yl)thiazolidin-4-one

Table No. 2: Physical and Analytical data of synthesized compounds (3a-4f)

Comp.	Mol. Formula	Mol. Wt.	M. P. ^{0}C	Yield %	Elemental analysis Calcd. (Observed)		
					C	H	N
3a	$C_{15}H_{12}N_4OS$	296	210-212	72	60.79 (60.82)	4.08 (4.10)	18.91 (18.87)
3b	$C_{15}H_{12}N_4O_2S$	312	219-221	66	57.68 (57.64)	3.87 (3.90)	17.94 (17.90)
3c	$C_{16}H_{14}N_4O_2S$	326	197-199	63	58.88 (58.85)	4.32 (4.36)	17.17 (17.21)

3d	$C_{16}H_{14}N_4O_3S$	342	231-233	80	56.13 (56.21)	4.12 (4.19)	16.36 (16.32)
3e	$C_{15}H_{11}ClN_4OS$	330	285-287	74	54.46 (54.43)	3.35 (3.31)	16.94 (16.91)
3f	$C_{13}H_{10}N_4O_2S$	286	180-182	68	54.54 (54.49)	3.52 (3.55)	19.57 (19.62)
4a	$C_{17}H_{14}N_4O_2S_2$	370	225-227	65	55.12 (55.16)	3.81 (3.86)	15.12 (15.08)
4b	$C_{17}H_{14}N_4O_3S_2$	386	188-190	78	52.84 (52.81)	3.65 (3.68)	14.50 (14.54)
4c	$C_{18}H_{16}N_4O_3S_2$	400	158-160	73	53.99 (54.02)	4.03 (4.06)	13.99 (13.96)
4d	$C_{18}H_{16}N_4O_4S_2$	416	206-209	67	51.91 (51.95)	3.87 (3.91)	13.45 (13.51)
4e	$C_{17}H_{13}ClN_4O_2S_2$	404	186-188	60	50.43 (50.47)	3.24 (3.28)	13.84 (13.88)
4f	$C_{15}H_{12}N_4O_3S_2$	360	196-198	79	49.99 (50.03)	3.36 (3.40)	15.55 (15.51)

Table No.3: QSAR and TLC data of synthesized compounds (3a-3f) (4a-4f)

Comp.	C LogP	CMR	Solvent system	Solvent Ratio	Rf Value
3a	2.18	8.63	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.54
3b	1.51	8.78	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.48
3c	2.10	9.25	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.58
3d	1.36	9.40	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.68
3e	2.89	9.12	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.42

3f	1.36	7.85	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.52
4a	2.40	10.10	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.60
4b	1.73	10.25	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.47
4c	2.32	10.71	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.40
4d	1.58	10.87	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.71
4e	3.11	10.59	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.63
4f	1.57	9.31	Ethylacetate:Petroleum ether: Methanol	8:2:1	0.59

Table No.4: IR, 1H-NMR, Mass Spectral data of synthesized compounds

Comp	Spectral Data
3a	**IR (KBr) cm^{-1}:** 3417.24 (O-H str), 3057.58 (Ar C-H str), 2537.10 (S-Hstr), 1606.41 (C=N str). **^{1}H-NMR δ ppm:** 13.51 (s, 1H, SH), 9.97 (s, 1H, N=CH) 7.01-7.24 (m,4H, Ar-H), 7.52-7.83 (m, 5H, Ar-H), 5.35 (s, 1H, OH).
3b	**IR (KBr) cm^{-1}:** 3422.02 (O-H str), 3052.76 (Ar C-H str), 2543.00 (S-Hstr), 1601.24 (C=N str). **Mass M/Z:** M^+ 312, 275, 202, 154
3c	**IR (KBr) cm^{-1}:** 3400.85 (O-H str), 3073.01 (Ar C-H str), 2551.12 (S-Hstr), 1608.34 (C=N str).
3d	**IR (KBr) cm^{-1}:** 3265.86 (O-H str), 3030.59 (Ar C-H str), 2583.18 (S-Hstr), 1599.66 (C=N str). **^{1}H-NMR δ ppm:** 13.05 (s, 1H, SH), 9.56 (s, 1H, N=CH), 6.91-7.24 (m,4H, Ar-H), 7.34-7.62 (m, 3H, Ar-H), 5.35 (s, 1H, OH), 3.83 (d, 3H, CH_3). **Mass M/Z:** M^+ 344, 293, 225, 135
3e	**IR (KBr) cm^{-1}:** 3248.50 (O-H str), 3081.69 (Ar C-H str), 2552.33 (S-Hstr), 1603.52 (C=N str).

3f	**IR (KBr) cm^{-1}:** 3419.17 (O-H str), 3118.33 (Ar C-H str), 2527.66 (S-Hstr), 1606.41 (C=N str). **^{1}H-NMR δ ppm:** 12.85 (s, 1H, SH), 7.50 (s, 1H, N=CH), 6.52-7.07 (m,4H, Ar-H), 7.24-7.75 (m, 3H, Ar-H), 5.35 (s, 1H, OH).
4a	**IR (KBr) cm^{-1}:** 3346.85 (O-H str), 3056.62 (Ar C-H str), 2590.90 (S-Hstr), 1613.16 (C=O str), 1573.63 (C=N str), 971.94 (C-S-C Str). **^{1}H-NMR δ ppm:** 11.87 (s, 1H, SH), 7.18-7.48 (m, 4H, Ar-H), 7.521-8.481(m, 5H, Ar-H), 6.94 (s, 1H, N-CH of methine), 4.55 (s, 1H, OH), 3.85 (s,2H, CH_2).
4b	**IR (KBr) cm^{-1}:** 3270.68 (O-H str), 3025.76 (Ar C-H str), 2567.75 (S-H str), 1660.41 (C=O str), 1603.52 (C=N str), 842.74 (C-S-C Str).
4c	**IR (KBr) cm^{-1}:** 3411.46 (O-H str), 2982.37 (Ar C-H str), 2576.71 (S-H str), 1692.23 (C=O str), 1528.31 (C=N str), 1026.83 (C-O-C Str), 852.03(C-S-C Str).
4d	**IR (KBr) cm^{-1}:** 3531.02 (O-H str), 2921.63 (Ar C-H str),2577.40 (Str-H r), 1655.59 (C=O str), 1592.91 (C=N str), 1030.77 (C-O-C Str), 900.59(C-S-C Str). **^{1}H-NMR δ ppm:** 11.69 (s, 1H, SH), 6.64-7.39 (m, 4H, Ar-H), 7.46-8.38(m, 3H, Ar-H), 6.84 (s, 1H, N-CH of methine), 5.32 (s, 1H, OH), 3.96 (s, 2H, CH2), 3.74-3.88 (d, 3H, CH3).
4e	**IR (KBr) cm^{-1}:** 3422.06 (O-H str), 3062.33 (Ar C-H str), 2584.15 (S-Hstr), 1728.87 (C=O str), 1606.41 (C=N str), 926.62 (C-S-C Str). **^{1}H-NMR δ ppm:** 12.09 (s, 1H, SH), 7.29-7.74 (m, 4H, Ar-H), 7.91-8.21(m, 4H, Ar-H), 6.95 (s, 1H, N-CH of methine), 5.35 (s, 1H, OH), 3.84 (s,2H, CH_2). **Mass M/Z:** M^+ 402, 360, 256
4f	**IR (KBr) cm^{-1}:** 3517.52 (O-H str), 2925.20 (Ar C-H str), 2494.66 (S-H str), 1716.34 (C=O str), 1603.52 (C=N str), 1150.33 (C-O-C Str), 754.99 (C-S-C Str). **^{1}H-NMR δ ppm:** 10.01 (s, 1H, SH), 7.01-8.11 (m, 7H, Ar-H), 6.89 (s, 1H, N-CH of methine), 5.41 (s, 1H, OH), 3.39 (s, 2H, CH_2).

2. Biological Evaluation:

The synthesized compounds have been screened for the following biological and pharmacological properties by adopting standard protocols available in literature.

A) Antibacterial activity
B) Anti – inflammatory activity

A) Antibacterial activity

The synthesized compounds were tested in-vitro for their antibacterial activity against microorganisms, Gram positive microorganism viz. *Staphylococcus aureus, Streptococcus pneumoniae* and Gram negative microorganism *Escherichia coli* and *Salmonella Typhi* which are pathogenic in human beings.

Method

Cup-Plate agar diffusion method using Nutrient Agar

Materials Used

1. Nutrient broth Nutrient agar
2. 18-24 hrs growth culture in nutrient agar
3. Sterile petridishes
4. Sterile micropipettes
5. Sterile cotton swabs
6. Sterile cork borer (6 mm)
7. Sterile test tubes

Method of testing

Nutrient agar plates were prepared by pouring 15-20 ml of medium into eachsterilized petridish and were allowed to set at room temperature. The cell suspensionwas standardized to the density of 530 nm using spectrophotometer and was inoculated over the surface of agar medium using sterile cotton swab. The three cupswere scooped in each plates using sterile cork borer of 6 mm diameter.

Then the solutions of test compounds (0.10 ml) were added in cups by using micropipettes and these plated were incubated at 37 C for 48 hrs. The zone of inhibition was measured in mm for each organism.

Table No. 5: Antibacterial activity of synthesized compounds (3a-3f) (4a-4f)

Comp.	Zone of inhibition at 100 µg/ml (in mm)			
	S. aureus	S. pneumoniae	E. coli	S. Typhi
3a	16	12	14	14
3b	15	16	12	17
3c	**20**	**19**	**18**	**19**
3d	**21**	**20**	**20**	18
3e	12	11	13	15
3f	16	17	15	13
4a	**22**	**21**	**20**	20
4b	16	14	13	11
4c	**22**	**20**	**21**	18
4d	20	16	17	14

4e	16	17	15	13
4f	**21**	**21**	**19**	**20**
Norfloxacin	**23**	**24**	**21**	**23**

B) Anti-inflammatory Activity

The synthesized compounds were screened for anti-inflammatory activity by using formalin induced paw oedema method on albino rats (Wister strain) of either sex weighing 180-200 gm. The rats were divided into groups; each consists of six animals. One group for control, one for standard and rest of the groups were for synthesized compounds. The standard drug Diclofenac sodium (20 mg/kg) was administered for comparison. The dose of synthesized compounds administered in animals was 50 mg/kg oral route using oral feeding tuberculin syringe. The stock suspensions of standard and synthesized compounds were prepared in concentration of 10 mg/ml of 2% w/v carboxy methyl cellulose (CMC) in distilled water. The control group was treated with vehicle CMC. After 30 minutes, the animals were injected with 0.1 ml of formalin in the sub planter region of left hind paw of rats. The paw volume was measured with the help of digital plethysmometer after 1 hr, 3 hr and 5 hr of formalin injection. The formula used for calculating the percentage inhibition of oedema was-

Percentage Inhibition: (Vc-Vt/Vc) × 100 --- (1)

Where, Vc represents the mean increase in paw volume in control group of rats and Vt represents the mean increase in paw volume in rats treated with test compounds.

Table No. 6: Anti-inflammatory activity of synthesized compounds (3a-f) (4a-f)

Comp.	**Change in Paw Volume in ml (Mean±SEM)**			**Percentage inhibition**
	1 hr	**3 hr**	**5 hr**	
Control	0.981±0.008	1.662±0.006	1.863±0.006	0.00
Diclofenac sod. (Std)	0.426±0.007 ***	0.956±0.006 ***	1.022±0.003 ***	**45.14**
3a	0.760±0.005 ***	1.460±0.005 **	1.687±0.007 **	09.45
3b	0.770±0.007 ***	1.398±0.007 ***	1.322±0.008 ***	**29.04**
3c	0.803±0.004 ***	1.405±0.005 ***	1.647±0.006 **	11.59
3d	0.746±0.003 ***	1.450±0.006 ***	1.592±0.006 ***	14.54
3e	0.843±0.003 ***	1.370±0.005 ***	1.282±0.006 ***	**31.18**

3f	0.618±0.006 ***	1.178±0.004 ***	1.428±0.007 ***	23.54
4a	0.643±0.006 ***	1.068±0.004 ***	1.545±0.006 ***	17.06
4b	0.735±0.004 ***	1.097±0.003 ***	1.660±0.007 **	10.89
4c	0.693±0.004 ***	1.013±0.004 ***	1.462±0.005 ***	21.52
4d	0.761±0.007 ***	1.483±0.004 ***	1.700±0.005 **	8.74
4e	0.866±0.005 ***	1.638±0.004 **	1.583±0.010 **	15.02
4f	0.901±0.007 ***	1.537±0.004 *	1.782±0.006 **	4.34

Mean±SEM (n=6); *** P<0.001; ** P<0.01; * P<0.05

RESULT AND DISCUSSION :

1. Experimental section-

Series of 2-[(4-substituted)-5-mercapto-4H-1,2,4-triazol-3-yl]phenol **(3a- 3f)** and 3-[3-(2-hydroxyphenyl)-5-mercapto-4H-1,2,4-triazol-4-yl]-2-substituted thiazolidin-4-one **(4a-4f)** were designed and synthesized by reacting methyl salicylate with hydrazine hydrate to give 2-hydroxybenzohydrazide, which were then treated with carbon disulphide to form 1,3,4-oxadiazole intermediate to which hydrazine hydrate was added to give 2-(4-amino-5-mercapto-4H-1,2,4-triazol-3-yl)phenol. The resulted triazoles were reacted with various substituted aldehydes to form 3a-3f. Then mixture of 3a-3f and thioglycolic acid was refluxed to obtained 4a-4f. Twelve derivatives were synthesized and their structures are shown in **Table 1.**

The melting point of organic compounds was determined and observed by open capillary method and Physical and Analytical data of synthesized compounds (3a-4f) were shown in **Table 2.**

TLC is important method for synthetic chemistry which helps to characterizethe different properties of the compound based on Rf values. The TLC data of synthesized compounds (3a-3f) (4a-4f) were shown in **Table 3.**

The IR spectra of all synthesized compounds showed normal absorption band between 3265-3490 cm-1 due to OH functional group, NH functional group showed absorption band at 3322-3393 cm-1. The IR, 1H-NMR, Mass Spectral data of synthesized compounds were shown in **Table 4.**

2. Biological Evaluation:

A) Antibacterial activity-

The synthesized compounds were screened for their antibacterial activity against four microorganisms, Gram positive microorganism viz. *Staphylococcus aureus, Streptococcus pneumoniae* and Gram negative microorganism *Escherichia coli* and *Salmonella Typhi.* **Table 5** shows Antibacterial activity of synthesized

compounds (3a-3f) (4a-4f).

B) Anti-inflammatory activity:

All the synthesized compounds were screened for anti-inflammatory activity by using formalin induced paw oedema method on albino rats (Wister strain) of eithersex. Diclofenac sodium was used as standard drug for comparison of activity. **Table 6** shows Anti-inflammatory activity of synthesized compounds (3a-f) (4a-f).

CONCLUSION:

The present work proposed to study on design, synthesis and biological evaluation of triazoles. Around twelve compounds were synthesized by conventional and efficient method. The detailed review of literature and survey was carried out for the synthesis of triazole derivatives for antibacterial and anti-inflammatory activity. The majority of compounds have exhibited moderate to equipotent antibacterial activity against the pathogenic organisms used for the study. The compounds **3c, 3d, 4a, 4c and 4f** exhibited equipotent activity. The remaining synthesized compounds have shown moderate antibacterial activity. Among the compounds tested, compounds **3b and 3e** have shown excellent anti-inflammatory activity. The remaining compounds were shown moderate to good anti-inflammatoryactivity.

ACKNOWLEDGMENT:

The authors are thankful to Dr. Parul Mehta, Director and Principal of Lakshmi Narain College of Pharmacy, Bhopal, India for providing research facilities and encouragement.

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