*Heterocyclic Letters*
*Vol. 14| No.1|29-42|Nov-Jan|2024*
*ISSN : (print) 2231–3087 / (online) 2230-9632*
*CODEN: HLEEAI*
***http://heteroletters.org***

# SYNTHESIS, CHARACTERIZATION AND BIOLOGICAL ACTIVITY OF 3-((4-SUBSTITUTED PHENYL-1*H*-1,2,3-TRIAZOL-1-YL)METHYL)-2*H*-CHROMEN-2-ONES

**M. Mahesh[a], P. Sanjeeva[a], G. Manjunath[a], G. Bheemaraju[a], V. Kamala Prasad[b] and P. Venkata Ramana[a]***

*[a]Department of Chemistry, Sri Krishnadevaraya University, Ananthapuramu-515003, Andhra Pradesh, India.*
*[b]Denisco Chemicals Pvt. Ltd., Hyderabad-500055, Telangana, India.*
*Email address: ramanapv54@gmail.com*

**ABSTRACT:**
In the present study, a novel series of 3-((4-substituted phenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-ones were synthesized in good yields using substituted 3-(azidomethyl)-2*H*-chromen-2-one as a precursor. Substituted 3-(azidomethyl)-2*H*-chromen-2-ones were in turn synthesized using substituted 2-oxo-2H-chromene-3-carboxylic acid as starting material. All the newly synthesized compounds were characterized by IR, NMR, mass spectra and elemental analyses. The newly synthesized chromen-2-ones were screened for their antibacterial and antifungal activities by disc diffusion method.

**KEY WORDS:** Chromen-2-ones, 1,2,3-triazol, antibacterial activity, antifungal activity.

## INTRODUCTION:

In view of wide range of biological activities of coumarin, a new series of coumarin analogues were synthesized and their chemical structures were confirmed by spectral data (Proton/Carbon-NMR, IR, MS etc.). The synthesized coumarin derivatives were screened for their antimicrobial activities.

The pyran, pyridine, thiophene, thiazole and pyrazole derivatives of 3-bromoacetylcoumarin exhibited cytotoxic effect and *invitro* anticancer activity [i]. They belong to the family of benzopyrones and represent a significant source of inspiration for new anticancer agents [ii]. A literature survey revealed their broad spectrum and diverse biological activities such as anti-microbial, anti-inflammatory, analgesic, anti-oxidant, antimalarial, anticancer, anti-tuberculosis and anti-HIV [iii-vi], particularly their cytotoxic activity against numerous types of cancers including malignant melanoma, leukemia, renal cell carcinoma, prostate and breast cancer cells progression [vii-ix]. A variety of mechanisms have been proposed, such as interfering with estrogen synthesis, interfering with cell cycle progression or even acting as inhibitors of cytochromeP450 [x]. Hybrid molecules, combining coumarins with different bioactive molecules like: pyran [xi], pyridine [xii], thiazole [xiii] and pyrazole [xiv]

have recently been reported; these studies resulted in new compounds exhibiting significant anticancer activities. On the basis of such findings, in our earlier work, we have reported [xv] the synthesis of oxadiazole, pyrazole and pyrazolin-5-one bearing 2-((4-methyl-2-oxo-2*H*-chromen-7-yl)oxy)acetohydrazide analogs as potential antibacterial and antifungal agents. In the present studies we report here in the synthesis of 3-((4-substitutedphenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-ones. All of the newly synthesized compounds have been evaluated for their antibacterial and antifungal activities.

## EXPERIMENTAL PROCEDURES

## MATERIALS AND METHODS

The melting points were determined by open capillary method on a Mel-Temp apparatus and are uncorrected. The IR spectra (KBr disc) were recorded on a Perkin-Elmer FTIR spectrophotometer and the absorptions are expressed in wavenumber ($cm^{-1}$). $^{1}H$ NMR (400 MHz) and $^{13}C$ NMR (100 MHz) spectra were recorded on Bruker NMR spectrometer using dimethylsulphoxide ($DMSOd_6$) as solvent and tetramethylsilane (TMS) as an internal standard. The chemical shifts were expressed in δ ppm and values of coupling constant (*J*) in Hertz. The mass spectra were recorded using mass spectrometer VG 7070G. The microanalysis was carried out using Perkin-Elmer 240C analyzer. Progress of the reaction was monitored by TLC using aluminium sheets pre coated with UV fluorescent silica gel Merck F254 and were visualized by UV lamp. All the chemicals purchased were of analytical grade and used without further purification unless otherwise specified.

## BIOLOGICAL ASSAY

The antibacterial and antifungal activity of ***3-((4-substitutedphenyl-1H-1,2,3-triazol-1-yl)methyl)-2H-chromen-2-ones (5a-r)*** was tested by disc diffusion method [xvi, xvii], against bacterial strains: *Escherichia coli*, *Staphylococcus aureus*, and fungi *Aspergillus niger*,using nutrient agar medium (NAM) for bacterial and potato dextrose agar (PDA) medium for fungal cultures respectively. NAM was prepared with beef extract (3 g), peptone (5 g), NaCl (5 g) and agar-agar (15 g) in 1000 ml distilled water and pH was adjusted to 7.0. PDA was prepared by adding dextrose (20 g), agar-agar (15 g) to potato infusion (1 litre) and pH was adjusted to 5.5. Potato infusion was made by boiling 200 g of sliced potatoes in distilled water for 30 minutes and then filtered through Whatman No. 1 filter paper and filtrate was made up to 1 litre with distilled water. Both the media were sterilized in an autoclave at 121ºC, 15 lbs pressure for 30 min. After sterilization 20 ml of both media were poured into petri dishes in a laminar air flow and allowed to solidify. After solidification the NAM was inoculated with 100 µL of desired bacteria and PDA was inoculated with 100 µL of desired fungi. The triazole chromen-2-one derivatives (5a-r) were dissolved in DMSO with a concentration of 100 µg/mL and Whatman No. 1 filter paper disks were placed in the solution and kept for one minute. After drying, the disks were placed in NAM and PDA inoculated with bacteria or fungi and NAM plates were incubated at 37ºC and PDA plates at 30ºC. Zone of inhibition was measured after 24 h. and compared with standard drugs Ciprofloxacin and Griseofulvin for bacterial and fungal growth respectively. The experiments were repeated thrice and mean values of the radius of zone of inhibition were measured (mm). A control experiment with DMSO alone show negligible zone of inhibition. Antimicrobial test results are presented in **Table 1.**

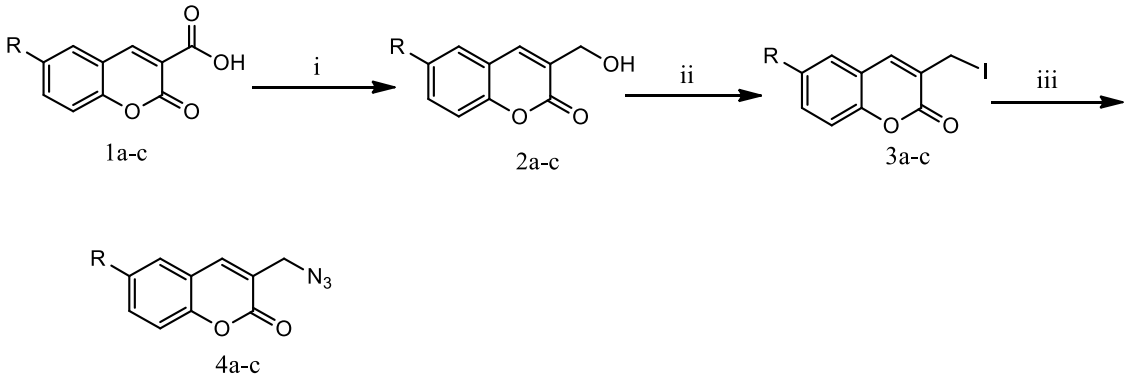
## SYNTHETIC METHODS AND SPECTROSCOPIC DETAILS

### *Synthesis of 2-oxo-2H-chromene-3-carboxylic acid (1a-c)*

Reaction of substituted salicyaldehydes with Meldrum's acid results in the formation of substituted coumarin-3-carboxylic acids according to the method described in the literature

[xviii]. A mixture of substituted salicyaldehyde (4.16 mmol) and Meldrum's acid (4.16 mmol) was moist with 10 drops of water and ground in a mortar at room temperature for about 20 min. The reaction mixture was left at room temperature for about 40 min. The progress of the reaction was checked by thin layer chromatography. The resultant product was diluted with ice-cold water. The solid mass separated was filtered, washed with water and recrystallized from ethanol.

2-Oxo-2*H*-chromene-3-carboxylic acid (1a-c) were converted to the corresponding azides (4a-c) in three steps by adopting the literature method [xix]. The synthesis of 3-(azidomethyl)-2*H*-chromen-2-one (4a-c) is described in **scheme-1.**




R = -H, -$CH_3$, -Cl

(i) TEA, ECF, THF, - 15°C, 30 min, $NaBH_4$, $H_2O$, 0°C, 5 min.

(ii) Imidazole, $PPh_3$, $I_2$, Dry DCM, Over night

(iii) DMF, $NaN_3$, rt, 3hr

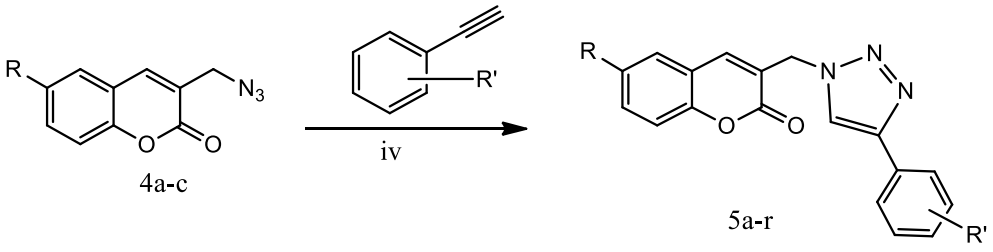
**Scheme-1**

***Synthesis of 3-(hydroxymethyl)-2H-chromen-2-one (2a- c)***

A solution of 2-oxo-2*H*-chromene-3-carboxylic acid (1 equiv) (2 gm, 0.01 mmol) in THF (10 mL) was stirred while cooling in a freezing mixture, to maintain a temperature of -15°C for about 30 min. Then triethylamine (1.2 equiv) (1.68 mL, 0.01 mmol) and ethylchloroformate (1.2equiv) (1.19 mL, 0.01mmol) were added successively with difference of 5 min and stirred for about another 10 min. The resultant solution was filtered through celite. The filtrate was cooled to $0^oC$, treated with $NaBH_4$ (2 equiv) (0.79 gm, 0.02 mmol). Few drops of water were added and stirred for about 5-10 min. The progress of the reaction was monitored by TLC until the disappearance of starting materials. The resultant solution was concentrated under reduced pressure. The product was dissolved in ethyl acetate and the organic phase washed successively with 5% HCl, 5% $Na_2CO_3$ solution, water (2 x 30 mL) and brine solution. Ethyl acetate layer was collected, dried over anhy. $Na_2SO_4$ and concentrated under reduced pressure. The solid mass separated out was collected, dried and recrystallized from ethanol to obtain **2a**. Compounds **2b** and **2c** were prepared by a similar procedure.

***Synthesis of 3-(iodomethyl)-2H-chromen-2-one (3a-c)***

To a solution of imidazole (5 equiv) (4.53 gm, 0.06 mmol) in dry DCM (10 mL), $PPh_3$(5 equiv) (21.99 gm, 0.06 mmol) was added and stirred at room temperature for about 20 min. The solution was brought to $0^oC$ and $I_2$ (3 equiv) (0.03 mmol) was added in portions during 30 min. The resultant mixture was stirred vigorously for 10 min and finally 3-(hydroxymethyl)-2*H*-chromen-2-one **(2a-c)** (1 equiv) (2 gm, 0.01 mmol) was added and kept in stirring overnight. The progress of the reaction was monitored by TLC. The resultant solution was concentrated under reduced pressure. The resultant mass was purified by column chromatography using 1:9 ethyl acetate and n-hexane. Compounds **3b**and **3c** were also prepared by adopting a similar procedure.




(iv) $CuSO_4$, Sodium ascorbate, rt,
t- BuOH + $H_2O$ (1:1) rt

R = -H, -$CH_3$, -Cl

R' = -H, 4-$CH_3$, 4-$OCH_3$, 4-Cl, 4-$NO_2$, 2-Cl

**Scheme-2**

***Synthesis of 3-(azidomethyl)-2H-chromen-2-one* (4a-c)**

Sodium azide (2 equiv) (0.2 gm, 0.003 mmol) was added to a solution of 3-(iodomethyl)-2*H*-chromen-2-one **(3a)** (1 equiv) (0.5 gm, 0.001 mmol) in DMF (3 mL) and stirred at room temperature for about 3hr. The progress of the reaction was monitored by TLC until the disappearance of starting materials. The resultant solution was dissolved in dichloro methane and water, stirred vigorously for about 15 min. The DCM layer was collected and concentrated under reduced pressure to get the azides. Compounds **4b** and **4c** were prepared by a similar procedure.

3-(Azidomethyl)-2*H*-chromen-2-one (4a-c) were converted to the 1,2,3-triazoles by adopting the literature procedure [xx]. The synthesis of 3-((4-substituted phenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-ones is described in **scheme-2.**

***Synthesis of 3-((4-substitutedphenyl-1H-1,2,3-triazol-1-yl)methyl)-2H-chromen-2-ones (5a-r)***

To the phenylacetylene (1 equiv) (0.3 gm, 0.002 mmol) taken in a 250 mL round-bottomed flask was added 3-(azidomethyl)-2*H*-chromen-2-one **4a** (1.1 equiv) (0.65 gm, 0.003 mmol) in $^tBuOH$ (120 mL), $H_2O$ (40 mL), sodium ascorbate (10 mole %) (0.05 gm, 0.0002 mmol) and $CuSO_4$. $5H_2O$ (5 mole %) (0.02 gm, 0.0001 mmol). The solution was then stirred for about 30 min. Completion of the reaction was monitored by TLC. The reaction mixture was then filtered through a pad of celite to remove the salts and washed thoroughly with EtOAc (3 x 25 mL). Crude product was then isolated by giving water (1 x 30 mL) and brain (1 x 30 mL) wash. The product was purified by chromatography (60% EtOAc in hexane) to furnish traizole **5a** as a solid. Compounds **5b-r** were similarly prepared taking appropriate alkyne place of phenylacetylene.

**SPECTRAL CHARACTERIZATION DATA OF COMPOUNDS (1a-c)**

**2-oxo-2*H*-chromene-3-carboxylic acid (1a)**

Yield 96%; m. p: 188-190ºC.

**IR (KBr $cm^{-1}$):** 3300-2800 (broad, OH stretch in COOH), 3054 (CH stretch in aromatics), 1734 (C=O stretch in coumarin), 1718 (C=O stretch in COOH).

**$^1$H NMR (DMSO-$d_6$, 400 MHz):** δ 8.96 (s, 1H, H4), 7.47 (d, 2H, H5 & H7), 7.79 (d, 2H, H6 &
H8), 12.16 (s, 1H, COOH).

**6-methyl-2-oxo-2*H*-chromene-3-carboxylic acid (1b)**

Yield 89%; m. p: 224-226ºC.

**IR (KBr cm$^{-1}$):** 3340 (OH stretch in COOH), 1735(C=O stretch in coumarin), 1680 (C=O stretch in COOH).
**$^1$H NMR (DMSO-d$_6$, 400 MHz):** δ 2.47 (s, 3H, $CH_3$), 8.62 (s, 1H, H4), 7.35 (d, 1H, H5), 7.21 7.24 (dd, 1H, H7), 7.19 (d, 1H, H8), 12.90 (s, 1H, COOH).

**6-chloro-2-oxo-2*H*-chromene-3-carboxylic acid (1c)**

Yield 91%; m.p:185-186ºC.
**IR (KBr cm$^{-1}$):** 3440 (OH stretch in COOH), 1750 (C=O stretch in coumarin), 1678 (C=O stretch in COOH).
**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ8.72 (s, 1H, H4), 8.05 (s, 1H, H5), 7.69 (d, 1H, H7), 7.44 (d, 1H, H8), 12.15 (s, 1H, COOH).

**Spectral characterization data for compounds (2a-c)**

**3-(hydroxymethyl)-2*H*-chromen-2-one (2a)**

Yield 93%; m. p: 94-96ºC.
**IR (KBr cm$^{-1}$):** 3451 (OH stretch in alcohol), 3026 (CH stretch in aromatics), 2932 (CH stretch in aliphatics), 1735 (C=O stretch in coumarin).
**$^1$H NMR (DMSO-d$_6$, 400 MHz):** δ 2.86 (s, 1H, OH), 5.48 (s, 2H, $CH_2$), 8.20 (s, 1H, H4), 7.50 (d, 2H, H5 & H7), 7.96 (d, 2H, H6 & H8).

**3-(hydroxymethyl)-6-methyl-2*H*-chromen-2-one (2b)**

Yield 84%; m. p: 120-121ºC.
**IR (KBr cm$^{-1}$):** 3380 (OH stretch in alcohol), 3032 (CH stretch in aromatics), 2924 (CH stretch in aliphatics), 1731 (C=O stretch in coumarin).
**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ2.82 (s, 1H, OH), 2.46 (s, 3H, CH3), 5.54 (s, 2H, CH2), 7.85 (s, 1H, H4), 7.36 (d,1H, H5), 7.20- 7.23 (dd, 1H, H7), 7.19 (d, 1H, H8).

**6-chloro-3-(hydroxymethyl)-2*H*-chromen-2-one (2c)**

Yield 88%; m. p: 134-136ºC.
**IR (KBr cm$^{-1}$):** 3426 (OH stretch in alcohol), 3051 (CH stretch in aromatics), 2935 (CH stretch in aliphatics), 1730 (C=O stretch in coumarin).
**$^1$H NMR (DMSO-d$_6$, 400 MHz):** δ 2.82 (s, 1H, OH), 5.50 (s, 2H, $CH_2$), 8.19 (s, 1H, H4), 8.04 (s, 1H, H5), 7.68 (d, 1H, H7), 7.45 (d, 1H, H8).

**Spectral characterization data for compounds (3a-c)**

**3-(iodomethyl)-2*H*-chromen-2-one (3a)**

Yield 93%; m. p: 99-101ºC.
**IR (KBr cm$^{-1}$):** 3062 (CH stretch in aromatics), 2924 (CH stretch in aliphatics), 1736 (C=O stretch in coumarin).
**$^1$H NMR (DMSO-d$_6$, 400 MHz):** δ 5.06 (s, 2H, $CH_2$), 8.20 (s, 1H, H4), 7.48 (d, 2H, H5 & H7), 7.82 (d, 2H, H6 & H8).

**3-(iodomethyl)-6-methyl-2*H*-chromen-2-one (3b)**

Yield 81%; m. p:118-120ºC.
**IR (KBr cm$^{-1}$):** 3051 (CH stretch in aromatics), 2922 (CH stretch in aliphatics), 1732 (C=O stretch in coumarin).
**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ 2.45 (s, 3H, $CH_3$), 5.20 (s, 2H, CH2), 7.17 (s, 1H, H4), 7.35 (d, 1H, H5), 7.18- 7.21 (dd, 1H, H7), 7.16 (d, 1H, H8).

**6-chloro-3-(iodomethyl)-2*H*-chromen-2-one (3c)**

Yield 85%; m. p: 124-125ºC.
**IR (KBr cm$^{-1}$):** 3052 (CH stretch in aromatics), 2928 (CH stretch in aliphatics), 1730 (C=O stretch in coumarin).
**$^1$H NMR (DMSO-d$_6$, 400 MHz):** δ 5.12 (s, 2H, CH2), 8.20 (s, 1H, H4), 8.06 (s, 1H, H5), 7.65 (d, 1H, H7), 7.44 (d, 1H, H8).

**SPECTRAL CHARACTERIZATION DATA OF COMPOUNDS (5a-r)**

**3-((4-phenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5a)**

Yield 93%; m.p: 136-138ºC.

**IR (KBr cm$^{-1}$):** 3062 (CH stretch in aromatics), 2923, 2869 (asymmetric/symmetric CH stretch in aliphatics), 1728 (C=O stretch in coumarin), 1589 (N=N stretch triazole ring).

**$^{1}$H NMR (DMSO-d$_6$, 400 MHz):**δ 5.62 (s, 2H, CH2), 7.78 - 7.83(m, 5H, H4, H5 & H7 coumarin ring, 2H phenyl ring), 7.48 - 7.53 (m, 2H, H6 & H8 coumarin ring), 7.30 - 7.40 (m, 3H, phenyl ring), 7.71 (s, 1H, traizole ring).

**$^{13}$C NMR (DMSO-d6, 100 MHz):**δ 156.4, 125.4, 142.8, 127.5, 124.2, 133.2, 117.6, 151.1, 118.4 (coumarin ring), 148.1, 119.4 (traizole ring), 131.5, 125.7(2C), 130.5 (2C), 127.8 (phenyl ring), 53.2 ($CH_2$).

LCMS m/z: 304.50 [M+H], (303.10); Anal.Calcd for C18H13N3O2: C, 71.28; H, 4.32; N, 13.85;

Found: C, 71.07; H, 4.28; N, 13.77%.

**3-((4-(p-tolyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5b)**

Yield 73%; m. p:150-151ºC.

**IR (KBr cm$^{-1}$):** 3056 (CH stretch in aromatics), 2925 (CH stretch in aliphatics), 1734 (C=O stretch in coumarin), 1586 (N=N stretch in triazole).

**$^{1}$H NMR (DMSO-d6, 400 MHz):**δ 2.18 (s, 3H, $CH_3$), 5.60 (s, 2H, CH2), 8.26 (s, 1H, H4), 7.83 (d, 1H, H5), 7.51 (t, 1H, H6), 7.77 (t, 1H, H7), 7.46 (d, 1H, H8 coumarin ring), 7.88 (dd, 2H, phenyl ring), 7.26 (dd, 2H, phenyl ring), 7.41 (s, 1H triazole ring).

**$^{13}$C NMR (DMSO-d6, 100 MHz):** δ 156.5, 125.2, 142.6, 127.1, 124.5, 132.6, 117.2, 151.4, 118.2 (coumarin ring), 147.6, 118.6 (triazole ring), 126.8, 124.3 (2C), 127.6(2C), 129.6 (phenyl ring), 53.5 (CH2), 21.1 (CH3).

LCMS m/z: 318.20 [M+H], (317.12); Anal.Calcd for C19H15N3O2: C, 71.91; H, 4.76; N, 13.24;

Found: C, 70.74; H, 4.68; N, 13.18%.

**3-((4-(4-methoxyphenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5c)**

Yield 77%; m. p:160-162ºC.

**IR (KBr cm$^{-1}$):** 3062 (CH stretch in aromatics), 2927 (CH stretch in aliphatics), 1735 (C=O stretch in coumarin), 1582 (N=N stretch in triazole).

**$^{1}$H NMR (DMSO-d$_6$, 400 MHz):**δ 5.59 (s, 2H,CH2), 3.78 (s, 3H, $OCH_3$), 8.24 (s,1H, H4), 7.81 - 7.76 (m, 2H, H5 & H7), 7.52 - 7.47 (dd, H6 & H8 coumarin ring), 6.94 (d, 2H, phenyl ring), 7.72 (d, 2H, phenyl ring), 7.45 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 158.1, 125.0, 142.1, 126.8, 124.1, 133.0, 117.1, 151.6, 117.6 (coumarin ring), 148.5, 118.4 (triazole ring), 121.4, 127.9(2C), 113.5(2C), 159.4 (phenyl ring), 53.6 ($CH_2$), 55.6 ($CH_3$).

LCMS m/z: 334.12 [M+H], (333.11); Anal.Calcd for $C_{19}H_{15}N_3O_3$: C, 68.46; H, 4.54; N, 12.61; Found: C, 68.38; H, 4.48; N, 12.53%.

**3-((4-(4-chlorophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5d)**

Yield 72%; m. p: 132-134ºC.

**IR (KBr cm$^{-1}$):** 3036 (CH stretch in aromatics), 2932 (CH stretch in aliphatics), 1734 (C=O stretch in coumarin), 1580 (N=N stretch in triazole).

**$^{1}$H NMR (DMSO-d$_6$, 400 MHz):**δ 5.58 (s, 2H, $CH_2$), 8.25 (s, 1H, H4), 7.84-7.79 (m, 2H, H5 & H7), 7.53- 7.48 (dd, H6 & H8 coumarin ring), 7.81 (d, 2H, phenyl ring), 7.64 (d, 2H, phenyl ring), 7.72 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 156.8, 124.8, 142.4, 128.3, 123.6, 133.1, 118.2, 151.2, 119.2 (coumarin ring), 148.8, 120.4 (triazole ring), 129.5, 130.4(2C), 131.2(2C), 133.7 (phenyl ring), 53.4 ($CH_2$).

LCMS m/*z*: 338.13 [M+H], (337.06); Anal.Calcd for $C_{18}H_{12}N_3O_2Cl$: C, 64.01; H, 3.58; N, 12.44;
Found: C, 63.96; H, 3.51; N, 12.37%.

**3-((4-(4-nitrophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one(5e)**

Yield 78%; m. p: 176-178ºC.

**IR (KBr cm$^{-1}$):** 3032 (CH stretch in aromatics), 2934 (CH stretch in aliphatics), 1730 (C=O stretch in coumarin), 1586 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):** δ 5.56 (s, 2H, $CH_2$), 8.28 (s, 1H, H4), 7.84 - 7.78 (m, 2H, H5 & H7), 7.53 - 7.48 (dd, H6 & H8 coumarin ring), 8.23 (d, 2H, phenyl ring), 7.94 (d, 2H, phenyl ring), 7.70 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 156.4, 125.4, 141.7, 126.5, 124.6, 132.4, 116.6, 150.4, 118.7 (coumarin ring), 147.7, 119.1 (triazole ring), 134.2, 127.1(2C), 125.5(2C), 149.6 (phenyl ring), 53.7 (CH2).

LCMS m/*z*: 349.10 [M+H], (348.09); Anal.Calcd for $C_{18}H_{12}N_4O_4$: C, 62.07; H, 3.47; N, 16.09; Found: C, 61.85; H, 3.40; N, 15.91%.

**3-((4-(2-chlorophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5f)**

Yield 78%; m. p: 212-214ºC.

**IR (KBr cm$^{-1}$):** 3060 (CH stretch in aromatics), 2928 (CH stretch in aliphatics), 1730 (C=O stretch in coumarin), 1585 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ5.54 (s, 2H,$CH_2$), 8.28 (s, 1H, H4), 7.82-7.76 (m, 2H, H5 & H7), 7.31-7.54 (m, 7H; 4H of phenyl ring; 2H of H6 & H8 coumarin ring, 1H triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 158.3, 119.2, 142.2, 126.8, 125.6, 129.3, 117.2, 151.2, 118.2 (coumarin ring), 148.4, 119.7 (triazole ring), 128.9, 127.6, 129.4, 129.0, 132.2, 131.6 (phenyl ring), 53.3 ($CH_2$).

LCMS m/*z*: 338.08 [M+H], (337.06); Anal.Calcd for $C_{18}H_{12}N_3O_2Cl$: C, 64.01; H, 3.58; N, 12.14; Found: C, 63.75; H, 3.46; N, 12.05%.

**6-methyl-3-((4-phenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5g)**

Yield 74%; m. p:156-157ºC.

**IR (KBr cm$^{-1}$):** 2915, 2823 (asymmetric/symmetric CH stretch in aliphatics), 1728 (C=O stretch in coumarin), 1582 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ 2.46 **(s,** 3H,$CH_3$), 5.68 (s, 2H, $CH_2$), 7.14 (s, 1H, H4), 7.33 (s, 1H, H5) 7.20 - 7.23 (d, 1H, H7), 7.18 (d, 1H, H8 coumarin ring), 7.34- 7.46 (m, 3H, phenyl ring), 7.84 (d, 2H, phenyl ring), 7.70 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 157.5, 125.2, 142.6, 131.6, 134.9, 134.1, 117.2, 151.8, 120.8 (coumarin ring), 147.9, 120.6 (triazole ring), 131.0, 126.2 (2C), 130.6 (2C), 127.4 (phenyl ring), 53.2 ($CH_2$), 21.5 ($CH_3$).

LCMS m/*z*: 318.13 [M+H] (317.12); Anal.Calcd for $C_{19}H_{15}N_3O_2$: C, 71.91; H, 4.76; N, 13.24; Found: C, 71.84; H, 4.70; N, 13.15%.

**6-methyl-3-((4-(p-tolyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5h)**

Yield 78%; m. p: 172-174ºC.

**IR (KBr cm$^{-1}$):** 3068 (CH stretch in aromatics), 2934 (CH stretch in aliphatics), 1735 (C=O stretch in coumarin), 1580 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ2.21 (s, 3H, phenyl $CH_3$), 2.45 **(s,** 3H,$CH_3$ coumarin ring**),** 5.67 (s, 2H, $CH_2$), 7.15 (s, 1H, H4), 7.35 (s, 1H, H5) 7.18 - 7.21 (d, 1H, H7), 7.16 (d, 1H, H8 coumarin ring), 7.84 (d, 2H, phenyl ring), 7.24 (d, 2H, phenyl ring), 7.68 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 157.4, 124.9, 142.8, 131.8, 134.8, 134.5, 117.5, 152.4, 121.4 (coumarin ring), 147.8, 119.6 (triazole ring), 128.0, 126.6(2C), 129.4(2C), 130.6 (phenyl ring), 53.9 ($CH_2$), 21.6 (CH3), 21.2 ($CH_3$ coumarin ring).

LCMS m/*z*: 332.15 [M+H] (331.13); Anal.Calcd for $C_{20}H_{17}N_3O_2$: C, 72.49; H, 5.17; N, 12.68;

Found: C, 72.48; H, 5.08; N, 12.59%.

**3-((4-(4-methoxyphenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-6-methyl-2*H*-chromen-2-one (5i)**

Yield 72%; m. p:166-167ºC.

**IR (KBr cm$^{-1}$):** 3064 (CH stretch in aromatics), 2932 (CH stretch in aliphatics), 1731 (C=O stretch in coumarin), 1578 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ3.72 (s, 3H, phenyl $OCH_3$), 2.45 **(s,** 3H,$CH_3$ coumarin ring**),** 5.65 (s, 2H, $CH_2$), 7.13 (s, 1H, H4), 7.34 (s, 1H, H5), 7.17 - 7.20 (d, 1H, H7), 7.15 (d, 1H, H8 coumarin ring), 6.92 (d, 2H, phenyl ring), 8.38 (d, 2H, phenyl ring), 7.66 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 157.0, 125.4, 142.2, 131.5, 134.5, 133.8, 117.0, 152.2, 123.5 (coumarin ring), 148.5, 119.6 (triazole ring), 122.5, 128.5(2C), 114.2(2C), 159.3 (phenyl ring), 55.3 ($CH_2$), 53.4 ($OCH_3$), 21.4 ($CH_3$ coumarin ring).

LCMS m/*z*: 348.12 [M+H] (347.12); Anal.Calcd for $C_{20}H_{17}N_3O_3$: C, 69.15; H, 4.93; N, 12.10; Found: C, 68.95; H, 4.85; N, 12.04%.

**3-((4-(4-chlorophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-6-methyl-2*H*-chromen-2-one (5j)**

Yield: 78%; m. p:160-161ºC.

**IR (KBr cm$^{-1}$):** 3060 (CH stretch in aromatics), 2932 (CH stretch in aliphatics), 1732 (C=O stretch in coumarin), 1578 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ2.42 **(s,** 3H,CH3coumarin ring**),** 5.68 (s, 2H, CH2), 7.14 (s, 1H, H4), 7.34 (s, 1H, H5), 7.16 - 7.21 (d, 2H, H7 & H8 coumarin ring), 7.74 (d, 2H, phenyl ring), 7.60 (d, 2H, phenyl ring), 7.72 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 157.6, 125.6, 142.6, 130.6, 133.6, 132.9, 116.7, 151.4, 122.0 (coumarin ring), 147.2, 119.0 (triazole ring), 128.5, 129.8(2C), 130.0(2C), 133.2 (phenyl ring), 53.6 (CH2), 21.3 ($CH_3$).

LCMS m/*z*: 352.08 [M+H], (351.07); Anal.Calcd for $C_{19}H_{14}N_3O_2Cl$; C, 64.87; H, 4.01; N, 11.94;

Found: C, 64.71; H, 3.94; N, 11.85%.

**6-methyl-3-((4-(4-nitrophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5k)**

Yield 78%; m. p: 188-190ºC.

**IR (KBr cm$^{-1}$):** 3065 (CH stretch in aromatics), 2931 (CH stretch in aliphatics), 1730 (C=O stretch in coumarin), 1582 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ 2.45 **(s,** 3H,$CH_3$ coumarin ring**),** 5.65 (s, 2H, $CH_2$), 7.15 (s, 1H, H4), 7.19 - 7.36 (m, 2H, H5 & H7), 7.17 (d, 1H, H8 coumarin ring), 8.24 (d, 2H, phenyl ring), 7.86 (s, 2H, phenyl ring), 7.68 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 156.8, 125.8, 142.9, 131.5, 134.0, 133.6, 116.9, 152.2, 124.2 (coumarin ring), 148.2, 119.8 (triazole ring), 135.6, 128.1(2C), 125.4(2C), 148.6 (phenyl ring), 53.5 ($CH_2$), 21.6 ($CH_3$coumarin ring).

LCMS m/*z*: 363.12 [M+H], (362.10); Anal.Calcd for $C_{19}H_{14}N_4O_4$; C, 62.98; H, 3.89; N, 15.46; Found: C, 62.59; H, 3.73; N, 15.53%.

**3-((4-(2-chlorophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-6-methyl-2*H*-chromen-2-one (5l)**

Yield: 77%; m. p:195-196ºC.

**IR (KBr cm$^{-1}$):** 3055 (CH stretch in aromatics), 2927 (CH stretch in aliphatics), 1734 (C=O stretch in coumarin), 1580 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ 2.43 **(s,** 3H,CH3coumarin ring**),** 5.68 (s, 2H, $CH_2$), 7.14 (s, 1H, H4), 7.32 (s, 1H, H5), 7.19 - 7.21 (d, 1H, H7), 7.16 (d, 1H, H8 coumarin ring), 7.34 - 7.62 (m, 4H, phenyl ring) 7.70 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):**δ 157.1, 125.2, 142.5, 132.9, 134.8, 134.0, 117.4, 151.8, 123.8 (coumarin ring), 148.5, 120.4 (triazole ring), 128.4, 131.2, 132.0, 129.8, 128.5, 126.9 (phenyl ring), 53.6 (CH2), 21.4 ($CH_3$ coumarin ring).

LCMS m/z: 352.10 [M+H] (351.08); Anal.Calcd. for $C_{19}H_{14}ClN_3O_2$: C, 64.87; H, 4.01; N, 11.94;
Found: C, 64.72; H, 3.86; N, 11.82%.

**6-chloro-3-((4-phenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5m)** Yield 74%; m. p:119-120ºC.

**IR (KBr cm$^{-1}$):** 2914, 2823(asymmetric/symmetric CH stretch in aliphatics), 1728 (C=O stretch in coumarin), 1519 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ 5.65 (s, 2H, $CH_2$), 8.16 (s, 1H, H4), 8.06 (s, 2H, H5) 7.66 (d, 1H, H7), 7.41 (d, 1H, H8 coumarin ring), 7.32 - 7.38 (m, 3H, phenyl ring), 7.86 (d, 2H, phenyl ring), 7.70 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 156.2, 124.6, 146.7, 128.3, 128.5, 133.2, 117.7, 153.2, 118.4 (coumarin ring), 148.2, 119.2 (triazole ring), 132.1, 126.2 (2C), 130.1 (2C), 127.2 (phenyl ring), 53.4 ($CH_2$).

LCMS m/z: 338.08 [M+H] (337.06); Anal. Calcd for $C_{18}H_{12}N_3O_2Cl$: C, 64.01; H, 3.58; N, 12.44;
Found: C, 63.94; H, 3.49; N, 12.36%.

**6-chloro-3-((4-(p-tolyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5n):**

Yield 80%; m. p: 132-134ºC.

**IR (KBr cm$^{-1}$):** 3068 (CH stretch in aromatics), 2934 (CH stretch in aliphatics), 1735 (C=O stretch in coumarin), 1570 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ 2.21 (s, 3H, $CH_3$ phenyl ring), 5.62 (s, 2H, $CH_2$), 8.12 (s, 1H, H4), 8.04 (s, 2H, H5) 7.62 (d, 1H, H7), 7.44 (d, 1H, H8 coumarin ring), 7.86 (d, 2H, phenyl ring), 7.18 (d, 2H, phenyl ring), 7.69 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 156.8, 124.8, 147.2, 128.2, 128.6, 132.8, 117.3, 153.4, 118.7 (coumarin ring), 148.1, 119.3 (triazole ring), 127.2, 125.7(2C), 128.1 (2C), 130.4 (phenyl ring), 53.4 (CH2), 21.5 ($CH_3$).

LCMS m/z: 352.10 [M+H] (351.08); Anal.Calcd for $C_{19}H_{14}N_3O_2Cl$: C, 64.87; H, 4.01; N, 11.94;
Found: C, 64.72; H, 3.92; N, 11.85%.

**6-chloro-3-((4-(4-methoxyphenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5o)**

Yield: 83%; m. p: 152-154ºC.

**IR (KBr cm$^{-1}$):** 3058 (CH stretch in aromatics), 2930 (CH stretch in aliphatics), 1732 (C=O stretch in coumarin), 1575 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):**δ 3.75 (s, 3H, $OCH_3$), 5.55 (s, 2H, $CH_2$), 8.18 (s, 1H, H4), 8.02 (s, 1H, H5), 7.64 (d, 1H, H7), 7.41 (d, 1H, H8 coumarin ring), 6.90 (d, 2H, phenyl ring), 8.35 (d, 2H, phenyl ring), 7.46 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-d$_6$, 100 MHz):** δ 156.4, 124.0, 146.4, 128.4, 128.9, 132.9, 117.9, 153.8, 118.5 (coumarin ring), 147.8, 118.6 (triazole ring), 122.5, 128.4 (2C), 114.2 (2C), 159.1 (phenyl ring), 55.4 ($CH_2$), 53.8 ($OCH_3$).

LCMS m/z: 368.05 [M+H] (367.07); Anal.Calcd for $C_{19}H_{14}N_3O_3Cl$: C, 62.05; H, 3.84; N, 11.43;
Found: C, 61.94; H, 3.76; N, 11.35%.

**6-chloro-3-((4-(4-chlorophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5p)**

Yield 78%; m. p: 124-125ºC.

**IR (KBr cm$^{-1}$):** 3051 (CH stretch in aromatics), 2932(CH stretch in aliphatics), 1728 (C=O stretch in coumarin), 1568 (N=N stretch in triazole).

**$^1$H NMR (DMSO-d$_6$, 400 MHz):** δ 5.60 (s, 2H, $CH_2$), 8.20 (s, 1H, H4), 8.06 (s, 1H, H5) 7.70 (d, 1H, H7), 7.44 (d, 1H, H8 coumarin ring), 7.79 (d, 2H, phenyl ring), 7.65 (d, 2H, phenyl ring), 7.68 (s, 1H, triazole ring).

**$^{13}$C NMR (DMSO-$d_6$, 100 MHz):** δ 155.8, 124.7, 146.4, 128.2, 128.5, 133.1, 117.5, 152.9, 118.1 (coumarin ring), 148.5, 119.1 (triazole ring), 129.2, 129.9 (2C), 130.7 (2C), 133.5 (phenyl ring), 53.5 ($CH_2$).
LCMS m/*z*: 372.02 [M+H] (371.02); Anal.Calcd for C18H11N3O2Cl2: C, 58.08; H, 2.98; N, 11.29; Found: C, 57.94; H, 2.85; N, 11.17%.

**6-chloro-3-((4-(4-nitrophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5q)**
Yield 73%; m. p: 172-174ºC.
**IR (KBr cm$^{-1}$):** 3052 (CH stretch in aromatics), 2924 (CH stretch in aliphatics), 1732 (C=O stretch in coumarin), 1562 (N=N stretch in triazole).
**$^1$H NMR (DMSO-$d_6$, 400 MHz):** δ 5.56 (s, 2H, $CH_2$), 8.21 (m, 3H, H4 coumarin ring; 2H phenyl ring), 8.08 (d, 1H, H5), 7.70 – 7.72 (m, 2H, H7 coumarin ring & 1H traizole ring) 7.45 (d, 1H, H8 coumarin ring), 7.90 (d, 2H, phenyl ring),
**$^{13}$C NMR (DMSO-$d_6$, 100 MHz):** δ 156.5, 124.7, 146.4, 128.2, 128.4, 132.8, 116.7, 152.6, 118.6 (coumarin ring), 148.4, 119.6 (triazole ring), 135.0, 127.5 (2C), 125.2 (2C), 149.1 (phenyl ring), 53.4 ($CH_2$).
LCMS m/*z*: 383.06 [M+H] (382.05); Anal.Calcd for $C_{18}H_{11}N_4O_4Cl$: C, 56.48; H, 2.90; N, 14.64;
Found: C, 56.38; H, 2.83; N, 14.57%.

**6-chloro-3-((4-(2-chlorophenyl)-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one (5r)**
Yield: 70%; m. p: 206-208ºC.
**IR (KBr cm$^{-1}$):** 3056 (CH stretch in aromatics), 2932 (CH stretch in aliphatics), 1730 (C=O stretch in coumarin), 1569 (N=N stretch in triazole).
**$^1$H NMR (DMSO-$d_6$, 400 MHz):** δ 5.52 (s, 2H, $CH_2$), 8.15 (s, 1H, H4), 8.06 (s, 1H, H5), 7.64 (d,1H, H7), 7.35 – 7.59 (m, 5H, H8 coumarin ring, 4H phenyl ring), 7.68 (s, 1H, triazole ring).
**$^{13}$C NMR (DMSO-$d_6$, 100 MHz):** δ 156.1, 123.7, 145.6, 127.6, 128.2, 132.6, 118.2, 153.4, 118.2 (coumarin ring), 147.6, 118.8 (triazole ring), 131.3, 132.0, 129.4, 129.6, 127.1, 129.0 (phenyl ring), 53.5 ($CH_2$).
LCMS m/*z*: 372.05 [M+H] (371.02); Anal.Calcd for $C_{18}H_{11}N_3O_2Cl_2$: C, 58.08; H, 2.98; N, 11.29; Found: C, 57.92; H, 2.90; N, 11.18%.

## RESULTS AND DISCUSSION:

Reaction of substituted salicyaldehydes with Meldrum's acid results in the formation of substituted coumarin-3-carboxylic acids whose structures were confirmed by IR and $^1$H NMR spectral data. The appearance of a an absorption band in IR at around 3300cm$^{-1}$ for OH stretching in carboxylic acids and a signal in $^1$H NMR corresponding to carboxylic proton at around δ 12 confirms the formation of compounds **1a-c**.

The reactive intermediate **(4)** was prepared as follows. Reduction of substituted 2-oxo-2*H*-chromene-3-carboxylic acids **(1a-c)** with sodium borohydride in presence of triethylamine and ethylchloroformate at -15ºC in THF furnished **3-(hydroxymethyl)-2*H*-chromen-2-ones (2a-c)**. The disappearance of signal for carboxylic proton in **1a-c** and the appearance of signals at around δ 2.86 and 5.48 corresponding to OH and $CH_2$ protons along with the signals for ring protons in $^1$H NMR confirms the formation of compounds **2a-c**.

Iodination of compounds **2a-c** with iodine in presence of imidazole and $PPh_3$ in dry DCM at 0ºC to room temperature results in the formation of **3-(iodomethyl)-2*H*-chromen-2-ones (3a-c)** in about 90% yield. The disappearance of signals corresponding to OH proton of the alcohol both in IR and $^1$H NMR spectra of compounds **3a-c** confirms their formation.

**3-(azidomethyl)-2*H*-chromen-2-one (4a)**was formed when $NaN_3$ was added to a solution of 3-(iodomethyl)-2*H*-chromen-2-one **(3a)** in DMF at room temperature. The product formed was separated using DCM and used for the next step.

Yield 77-93%; Semi solids.

**3-((4-phenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one(5a)** was obtained by Click Chemistry in a 1,3-dipolar cyclo addition reaction of azide and terminal alkynes. The reaction of 3-(azidomethyl)-2*H*-chromen-2-one**(4a)**with substituted phenyl acetylenes in presence of $CuSO_4$ and catalytic amount of sodium ascrobate in a solvent mixture of t-BuOH and $H_2O$ at room temperature lead to **3-((4-phenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-one(5a)**. The formed product was purified via chromatography (60% EtOAc in hexane) to afford traizole**5a** as a solid. Compounds **5b-r** were similarly obtained taking the appropriate azide and substituted phenylacetylene. The formation of these compounds has been confirmed by the appearance of a one proton singlet in $^1$H NMR at around δ 7.70 corresponding to triazole ring proton along with the signals for the newly introduced aromatic ring protons **(Scheme 2)**. The analytical data, IR, $^1$H NMR, $^{13}$C NMR and mass spectral data substantiate the structures of the compounds obtained.

**Antimicrobial activities of 3-((4-substitutedphenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-ones (5a-r).**

The antimicrobial activities of the compounds **5a-r (Scheme 2)** were tested by disc diffusion method using nutrient agar medium (NAM) for bacterial and potato dextrose agar (PDA) medium for fungal cultures respectively against *Staphylococcus aureus*, *Escherichia coli* and *Aspergillusniger* at a concentration of 100 µg/mL. The results are tabulated in Table-1. Ciprofloxacin (10 µg/mL) and Griseofulvin (10 µg/mL) were used as the standard drugs for antibacterial and antifungal testing respectively.

**Table 1 –** Antimicrobial activities of 3-((4-substitutedphenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-ones (**5a-r) (Scheme 2)** at a concentration of 100 µg/mL. Radius of zone of inhibition (mm).

| Compound | R | R’ | Bacteria | | Fungi |
|---|---|---|---|---|---|
| | | | Gram-positive organism | Gram-negative organism | *Aspergillus niger* |
| | | | *Staphylococcus aureus* | *Escherichia coli* | |
| 5[a] | -H | -H | 8 | 9 | 6 |
| 5b | -H | 4-$CH_3$ | 7 | 7 | 5 |
| 5c | -H | 4-$OCH_3$ | 7 | 9 | 4 |
| 5d | -H | 4-Cl | 16 | 18 | 9 |
| 5e | -H | 4-$NO_2$ | 15 | 17 | 9 |
| 5f | -H | 2-Cl | 16 | 17 | 8 |
| 5g | -$CH_3$ | -H | 10 | 10 | 6 |
| 5h | -$CH_3$ | 4-$CH_3$ | 9 | 11 | 4 |
| 5i | -$CH_3$ | 4-$OCH_3$ | 9 | 10 | 4 |
| 5j | -$CH_3$ | 4-Cl | 16 | 17 | 8 |
| 5k | -$CH_3$ | 4-$NO_2$ | 15 | 18 | 10 |
| 5l | -$CH_3$ | 2-Cl | 17 | 18 | 10 |
| 5m | -Cl | -H | 12 | 13 | 6 |
| 5n | -Cl | 4-$CH_3$ | 11 | 12 | 5 |
| 5o | -Cl | 4-$OCH_3$ | 12 | 12 | 5 |
| 5p | -Cl | 4-Cl | 17 | 18 | 9 |
| 5q | -Cl | 4-$NO_2$ | 16 | 17 | 8 |
| 5r | -Cl | 2-Cl | 17 | 17 | 9 |

| | | | | | |
|---|---|---|---|---|---|
| Ciprofloxacin (10 µg/ mL) | | | 22 | 24 | - |
| Griseofulvin (10 µg/ mL) | | | - | - | 20 |
| DMSO | | | 0.1 | 0.1 | 0.0 |

All the tested compounds show a significant antibacterial activity against *S. aureus*and *E. coli*. Compounds **5d**, **5e**, **5f**, **5j**, **5k**, **5l**, **5p**, **5q** and **5r** being more potent than the other. All the remaining compounds were moderately potent.

All the compounds tested show a moderate antifungal activity against *Aspergillus niger* with compounds **5d**, **5e**, **5f**, **5j**, **5k**, **5l**, **5p**, **5q** and **5r** being more active than the other.

The studies reveal that all the tested compounds showed moderate to good antimicrobial inhibition. Compounds bearing electron withdrawing groups like Cl, $NO_2$ in phenyl ring showed higher activity.

When the activity of compounds 5a-r were compared with standard Ciprofloxacin and Griseofulvin that were tested at 10 µg/mL, it was observed that the compounds investigated presently exhibit antimicrobial activities at a concentration much higher than the standards.

## CONCLUSIONS

A novel series of 3-((4-substitutedphenyl-1*H*-1,2,3-triazol-1-yl)methyl)-2*H*-chromen-2-ones were designed and synthesized. The structure of the newly synthesized compounds was confirmed by spectral and analytical data. The compounds were screened for *in vitro* antibacterial and antifungal activities. The findings revealed that all the compounds show moderate to good antimicrobial activities with compounds having Cl, $NO_2$ in phenyl ring being more potent.

## ACKNOWLEDGEMENTS:

The authors wish to thank the University Grants Commission, New Delhi for award of Junior Research Fellowships to Mr. M. Mahesh, and Mr. G. Manjunath under SAP and DST, New Delhi for its support under FIST.

## DISCLOSURE OF INTEREST:

The authors declare that they have no conflicts of interest concerning this article.

## REFERENCES:

**i.** Mohareb R.M.; MegallyAbdo N.Y.; Uses of 3-(2-bromoacetyl)-2*H*-chromen-2-one in the synthesis of heterocyclic compounds incorporating coumarin: synthesis, characterization and cytotoxicity. *Molecule,* 2015, **20(6),** 11535-11553.

**ii.** Belluti F.; Fontana G.; Dal Bo L.; Carenini N.; Giommarelli C.; Zunino F.; Design, synthesis and anticancer activities of stilbene-coumarin hybrid compounds: Identification of novel proapoptotic agents. *Bioorganic & medicinal chemistry,* 2010,**18(10),**3543-3550.

**iii.** Lacy A.; O'Kennedy R.; Studies on coumarins and coumarin-related compounds to determine their therapeutic role in the treatment of cancer. *Current pharmaceutical design,* 2004, **10(30),** 3797-3811.

**iv.** Borges F.; Roleira F.; Milhazes N.; Santana L.; Uriarte E.; Simple coumarins and analogues in medicinal chemistry: occurrence, synthesis and biological activity. *Current medicinal chemistry,* 2005, **12(8),** 887-916.

**v.** Kontogiorgis C, Detsi A, Hadjipavlou-Litina D. Coumarin-based drugs: a patent review. *Expert opinion on therapeutic patents,* 2012, **22(4),** 437-454.

**vi.** Peng X.M.; L.V. Damu G.; Zhou H.; Current developments of coumarin compounds in medicinal chemistry. *Current pharmaceutical design,* 2013, **19(21),** 3884-3930.

**vii.** Musa M.A.; Cooperwood J.S; Khan M.O.F.; Rahman T.; In- vitro Antiproliferative Activity of Benzopyranone Derivatives in Comparison with Standard Chemotherapeutic Drugs. *Archiv der Pharmazie,* 2011, **344(2),** 102-110.

**viii.** Musa M.A.; Badisa V.L.; Latinwo L.M.; Waryoba C.; Ugochukwu N.; In vitro cytotoxicity of benzopyranone derivatives with basic side chain against human lung cell lines. *Anticancer research,* 2010, **30(11),** 4613-4617.

**ix.** Musa M.A.; F. Khan M.O.; Cooperwood J.S.; Synthesis and antiproliferative activity of coumarin-estrogen conjugates against breast cancer cell lines. *Letters in drug design & discovery,* 2009, **6(2),** 133-138.

**x.** Cui J.; Li S.; Inhibitors and prodrugs targeting CYP1: a novel approach in cancer prevention and therapy. *Current medicinal chemistry,* 2014, **21(5),** 519-552.

**xi.** Avula S.; Nanubolu J.B.; Yadla R.; Application of N, 3-diaryl-3-oxo-propanethioamide in synthesis: An efficient and mild domino approach to highly substituted fused chromenones. *Tetrahedron,* 2014, **70(35),** 5768-5775.

**xii.** Alipour M.; Khoobi M.; Foroumadi A.; Nadri H.; Moradi A.; Sakhteman A.; Shafiee A.; Novel coumarin derivatives bearing N-benzyl pyridinium moiety: potent and dual binding site acetylcholinesterase inhibitors. *Bioorganic & medicinal chemistry,* 2012; **20(24),** 7214-7222.

**xiii.** Jashari A.; Imeri F.; Ballazhi L.; Shabani A,; Mikhova B,; Dräger G.; Huwiler A.; Synthesis and cellular characterization of novel isoxazolo-and thiazolohydrazinylidene-chroman-2, 4-diones on cancer and non-cancer cell growth and death. *Bioorganic & medicinal chemistry,* 2014, **22(9),** 2655-2661.

**xiv.** Hafez O.M.; Nassar M.I.; El-Kousy S.M.; Abdel-Razik A.F.; Sherien M.;M.; El-Ghonemy M.M.; Synthesis of some new carbonitriles and pyrazole coumarin derivatives with potent antitumor and antimicrobial activities. *Acta Pol Pharm,* 2014, **71,** 594-601.

**xv.** Mahesh M.; Bheemaraju G.; Manjunath G.; Ramana P.V.; Synthesis of new oxadiazole, pyrazole and pyrazolin-5-one bearing 2-((4-methyl-2-oxo-2*H*-chromen-7-yl) oxy) acetohydrazide analogs as potential antibacterial and antifungal agents. In *Annales pharmaceutiques francaises,* 2016, **74(1),** 34-44.

**xvi.** Pelczar Jr M.J.; Reid R.D.; Chan E.C.S.; Cultivation of bacteria. In: Microbiology, Tata McGraw Hill Publishing Co. Ltd., New Delhi, India, 1982, **4,** 103.

**xvii.** Nariya PB, Bhalodia NR, Shukla VJ, NariyaMB. In vitro evaluation of antimicrobial and antifungal activity of Cordia macleodii bark. *Int J PharmTech Res,* 2010, **2(4),** 2522-2526.

**xviii.** Kumar D.; Kumar S.; Makrandi J.K.; Aqueous-mediated green synthesis of 3-carboxyxoumarins using grinding technique. *Green Chemistry Letters and Reviews*, 2015, **8(2),** 21-25.

**xix.** Sureshbabu V.V.; Naik S.A.; Hemantha H.P.; Narendra N.; Das U.; Guru Row T.N.; N-Urethane-protected amino alkyl isothiocyanates: synthesis, isolation, characterization, and application to the synthesis of thioureidopeptides. *The Journal of organic chemistry,* 2009, **74(15),** 5260-5266.

**xx.** Samarasimhareddy M.; Hemantha H.P.; Sureshbabu V.V.; A simple protocol for the synthesis of triazole-linked cyclic glycopeptidomimetics: a sequential Ugi-MCR and azide–alkyne cycloaddition approach. *Tetrahedron Letters* 2012, **53(24),** 3104-3107.

Received on October 8, 2023.