1.1. INTRODUCTION
The last two decades have witnessed an upsurge in the synthesis of several modified nucleic acid derivatives. Naturally occurring and synthetic analogues of nucleosides have been the cornerstone of antiviral therapy over the last decades. The intentions have been to synthesize therapeutically suitable and commercially viable nucleic acid analogues. Nucleosides, which are the genomic building blocks, interact with major constituents of living cells such as nucleic acids, enzymes, and proteins. They have high potential value not only as therapeutic agents but also as biochemical probes and as building blocks in oligonucleotide synthesis following the well-known phosphoramidite chemistry. Novel nucleoside analogues are gaining importance due to their emergence as promising chemotherapeutic agents. Triazole-based nucleosides are one of them having great importance because of their biological aspects.

1.1.1. 1,2,3-Triazoles
Triazoles belong to a class of compounds called azoles. An azole contains a five-membered aromatic ring with at least one nitrogen atom and another heteroatom such as a nitrogen, sulfur, or oxygen. A 1,2,3-triazole structure contains three adjacent nitrogen atoms with three available substitution sites found at positions 1, 4 and 5 (Figure 1).

![Substitution position

Figure 1: Structure of an unsubstituted 1H-1,2,3-triazole

Triazoles are a type of heterocyclic amine which can be found in a variety of useful and bioactive compounds, ranging from agrochemicals and photochemical products to antifungal drugs and pharmaceutical substances. 1,2,3-Triazoles have not been isolated in any naturally occurring compounds, however its applications are widespread, making
them a highly studied class of molecules. The classic synthesis for the 5-membered 1,2,3-triazole ring is accomplished through a synthetic approach known as “Click Chemistry”.

1.1.2. Click chemistry

Click chemistry is a chemical philosophy introduced by K. Barry Sharpless in 2001 and describes chemistry tailored to generate substances quickly and reliably by joining small units together. Click reaction was discovered concurrently and independently by the groups of K. Barry Sharpless and Morten Meldal. This was an improvement over the same reaction first popularized by Rolf Huisgen. This is inspired by the fact that nature also generates substances by joining small modular units. Click chemistry serves as a powerful strategy in the quest for function, and can be summarized neatly in one sentence:

“All searches must be restricted to molecules that are easy to make”.

Scheme 1: A selection of reactions which match the “Click chemistry” criteria
Although meeting the requirements of a ‘click’ reaction is a tall order, several processes have been identified which step up to the mark (Scheme 1) nucleophilic ring opening reactions: epoxides, aziridines, aziridinium ions, etc.; non-aldol carbonyl chemistry: formation of oximes and hydrazones etc.; additions to carbon-carbon multiple bonds: especially oxidative addition and Michael additions of nucleophilic reactants and cycloaddition reactions: especially 1,3-dipolar cycloaddition reactions and also the Diels-Alder reaction.

1.1.3 The Cream of the crop

Of all the reactions which achieve ‘click status’, the Huisgen 1,3-dipolar cycloaddition of alkynes and azides to yield 1,2,3-triazoles is undoubtedly the premier example of a click reaction. The ease of synthesis of the alkyne and azide functionalities, coupled with their kinetic stability and tolerance to a wide variety of functional groups and reaction conditions, make these complementary coupling partners particularly attractive. However, it was the recent discovery of the dramatic rate acceleration of the azide-alkyne coupling event under copper(I) catalysis and the beneficial effects of water that have placed this reaction at the ‘center stage’ of click chemistry (Scheme 2). This new reaction process requires no protecting groups, and proceeds with almost complete conversion and selectivity for the 1,4-disubstituted 1,2,3-triazole (anti-1,2,3-triazole). No purification is generally required. This ‘near perfect’ reaction has become synonymous with click chemistry (CC) or copper catalysed alkyne azide click reaction (CuAAC), and is often referred as “The Click Reaction”. This powerful bond forming process has proven extremely versatile, and has driven the concept of CC from an ideal to a reality.7
Scheme 2: The Cu (I) catalyzed Huisgen ‘‘click reaction’’ results in exclusive formation of the 1,4-triazole, whilst the thermally induced Huisgen cycloaddition usually results in an approximately 1:1 mixture of 1,4- and 1,5-triazole stereoisomers

1.1.4 The characteristics of click reactions

- **Efficiency** - the reaction between the alkyne and azide moieties is complete in few hours and does not require extreme temperature and pressure.

- **Stability** - the reaction product contains an irreversible, covalent bond.

- **Biologically inert** - the components of the reaction do not undergo any other side reactions.

- **Specificity** - the reaction between the label and detection tag is selective and specific.

Applicability to biological samples - the click chemistry-labeled molecules can be applied to complex biological samples and easily detected with high sensitivity.

1.1.5 Click reaction conditions

1.1.5.1. Use of copper as a catalyst
In addition to the azide and alkyne labeled molecules, copper (I) is required to catalyze the reaction. Copper (II) sulfate in the presence of a reductant, such as ascorbic acid to generate copper (I) have been frequently used for the click reaction. The use of copper (I) directly is less favored due to the ease with which it is oxidized to the non-catalytic copper (II) species. The preferred method is the reduction of copper (II) sulfate in situ to obtained copper (I).

1.1.5.2. pH and Temperature
The click reaction is highly efficient and extremely tolerant of a wide variety of conditions. The click reaction occurs at pH values ranging from 3 to 12, and generally at room temperature. Reaction rates have been found to increase slightly at lower pH levels, where copper (I) is more soluble, and therefore more readily available for catalysis.

1.2 Application of Click Reaction in Nucleoside Chemistry
The copper (I)-catalyzed Huisgen-Sharpless-Meldal click chemistry has gained significant importance because of its wide range of applications in various fields of drug discovery, bioconjugation, and material or surface science. Five membered triazolyl nucleosides are of special interest because of their pronounced biological activities. Among them, 1,2,4-triazole ring derivative ribavirin (Virazole 1) (Figure 2) have been used for the treatment of hepatitis C and HIV-1 virus, respectively. The levovirin (2), its L-isomer showed the significantly more safe profile than ribavirin.

![Figure 2: Structure of ribavirin and levovirin](image)
Agrofogilo group\textsuperscript{14} have reported the synthesis of new 1,4- and 1,5-disubstituted-1,2,3-triazolyl nucleoside (Scheme 3). Analogous compounds were successfully developed for DNA virus and retrovirus therapeutic agents. Protected β-azido-ribose 3 underwent click reaction with alkyne 4a-h in the presence of CuSO$_4$.5H$_2$O (7) at room temperature resulting in the expected 1,4-disubstituted-1,2,3-triazoles 5a-h. The corresponding 1,5-regioisomers 6a-h were synthesized applying the ruthenium-catalyzed reaction (RuAAC) with the aid of [Cp*RuCl(PPh$_3$)$_2$] 8 under classical heating conditions at 50 °C for 6 h. As some catalyst deactivation was encountered because of long heating times, the application of microwave irradiation was evaluated, which resulted in a significant acceleration of the reactions from 6 h to 5 min. as well as in slightly improved yields (Table 1).

\textbf{Scheme 3}
Table 1: Survey of CuAAC and RuAAC reaction\textsuperscript{a,b}

<table>
<thead>
<tr>
<th>Alkyne Structure</th>
<th>1,4 Regioisomers (CuAAC)(%\textsuperscript{a})</th>
<th>1,5 Regioisomers (RuAAC)(%\textsuperscript{b})</th>
<th>MW Yield % (Conv.%)</th>
<th>Ratio 1,4:1,5 (formed with RuAAC catalyst)</th>
</tr>
</thead>
<tbody>
<tr>
<td>4a</td>
<td>86</td>
<td>79</td>
<td>88(93)</td>
<td>4:96</td>
</tr>
<tr>
<td>4b</td>
<td>88</td>
<td>83</td>
<td>92(100)</td>
<td>3:93</td>
</tr>
<tr>
<td>4c</td>
<td>93</td>
<td>71</td>
<td>92(100)</td>
<td>4:96</td>
</tr>
<tr>
<td>4d</td>
<td>87</td>
<td>79</td>
<td>87(100)</td>
<td>5:95</td>
</tr>
<tr>
<td>4e</td>
<td>89</td>
<td>82</td>
<td>91(96)</td>
<td>4:96</td>
</tr>
<tr>
<td>4f</td>
<td>83</td>
<td>68</td>
<td>87(100)</td>
<td>5:95</td>
</tr>
<tr>
<td>4g</td>
<td>92</td>
<td>77</td>
<td>95(100)</td>
<td>5:95</td>
</tr>
<tr>
<td>4h</td>
<td>63</td>
<td>54</td>
<td>93(100)</td>
<td>3:97</td>
</tr>
</tbody>
</table>

\textsuperscript{a}Conditions: azide (1 equiv.), alkyne (1.1 equiv.), Cu powder (4 equiv.), CuSO\textsubscript{4}.5H\textsubscript{2}O (0.2 equiv.), \textsuperscript{b}BuOH/H\textsubscript{2}O.

\textsuperscript{b}Conditions: azide (1 equiv. 0.1 M in THF), alkyne (1.5 equiv.), Cp\textsuperscript{a}RuCl(PPh\textsubscript{3})\textsubscript{2} (0.05 equiv.), THF, thermal heating (\textDelta{}): 6 hr, T = 50 \textdegree{}C or microwave conditions (MW): 5 min, T = 100 \textdegree{}C.

A. M. Jawalekar \textit{et al.}\textsuperscript{15} have also reported the synthesis of 2′-azide and 2′-acetylene modified adenosine as versatile building blocks for application in the mild and efficient synthesis of a variety of oligonucleotide hetero- and homoconjugates. With the requisite adenosyl acetylene 9 and azide 12 at hand, Cu (I) catalyzed [3+2] cycloaddition of 2′-O-(1-pentyn-5-yl)adenosine 9 with azide 10a-g and 2′-O-(3-azidopropyl)adenosine 12 with alkyne 13a-e was explored. A more rewarding result was obtained by stirring a stoichiometric mixture of 9 and benzyl azide in the presence of copper wire in a 9:1 mixture of CH\textsubscript{3}CN and H\textsubscript{2}O at 35 °C, leading to the formation of the desired triazoles 11a-g in excellent yield (Scheme 4, Table 2). Similar to the acetylene modified adenosine 9, 2′-O-azide functionalized adenosine 12 was prepared which underwent smooth Huisgen cycloaddition with a diverse set of reaction partners, including a propargylated coumarin and a fluorescence quencher to afford desired triazole derivatives 14a-e (Scheme 5, Table 3).
Scheme 4

Table 2: Various azide derivatives for [3+2] cycloaddition

<table>
<thead>
<tr>
<th>Entry</th>
<th>Azide</th>
<th>Time (h)</th>
<th>Yield (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>10a</td>
<td>BnN₃</td>
<td>18</td>
<td>94</td>
</tr>
<tr>
<td>10b</td>
<td></td>
<td>24</td>
<td>98</td>
</tr>
<tr>
<td>10c</td>
<td></td>
<td>18</td>
<td>97</td>
</tr>
<tr>
<td>10d</td>
<td></td>
<td>12</td>
<td>98</td>
</tr>
<tr>
<td>10e</td>
<td></td>
<td>12</td>
<td>96</td>
</tr>
<tr>
<td>10f</td>
<td></td>
<td>16</td>
<td>95</td>
</tr>
<tr>
<td>10g</td>
<td></td>
<td>12</td>
<td>95</td>
</tr>
</tbody>
</table>
Recently, Zhou et al.\textsuperscript{16} have reported the synthesis of 1,2,3-triazole functionalized thymidine 17. To explore the cycloaddition reaction of nucleosides, AZT (15) and propargyl alcohol (16) were employed to screen for optimal reaction conditions (Scheme 6). Sharpless’s original conditions using sodium ascorbate to reduce Cu (II) to Cu (I) in water was employed for this azide-alkyne [3+2] cycloaddition. The reaction proceeded to completion to give 17 within 12 h in water at ambient temperature in 95 % yield.

Table 3: Various alkyne derivatives for [3+2] cycloaddition

<table>
<thead>
<tr>
<th>Entry</th>
<th>Alkyne</th>
<th>Time (h)</th>
<th>Yield (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>13a</td>
<td>HO</td>
<td>2</td>
<td>97</td>
</tr>
<tr>
<td>13b</td>
<td></td>
<td>16</td>
<td>90</td>
</tr>
<tr>
<td>13c</td>
<td></td>
<td>5</td>
<td>98</td>
</tr>
<tr>
<td>13d</td>
<td></td>
<td>12</td>
<td>92</td>
</tr>
<tr>
<td>13e</td>
<td></td>
<td>16</td>
<td>98</td>
</tr>
</tbody>
</table>
Synthesis of triazole linked coumarin nucleoside conjugates 21a-e, 22a-e and 23a-e (Scheme 7) have been documented by Kosiova, et al.\textsuperscript{17} These materials have wide range of applications such as fluorescent probes and signaling units. The alkyne containing coumarin analogues 18a-e were coupled with nucleosides 19, 20 and 15 via click chemistry using CuSO\textsubscript{4}·5H\textsubscript{2}O and sodium ascorbate in \textsuperscript{1}BuOH:H\textsubscript{2}O (1:1) in almost quantitative yields of 93-95%.
P. M. Chaudhary et al.\textsuperscript{18} presented a practical, reliable and efficient synthesis of several novel 1,4-disubstituted-1,2,3-triazolyluridine derivatives by ‘click chemistry’ approach, most of which showed significant antifungal activity. Among these entire compounds, 26a showed potent antifungal activity. Synthesis of targeted 1,2,3-triazole substituted uridine derivatives 26a—g (Scheme 8) and 28a—g (Scheme 9) was achieved using Cu(I)-catalyzed Sharpless click chemistry approach from 5’-azidouridine 24 and propargyl derivatives of phenols 25a—g and propargyl derivatives of acids 27a—g.

Scheme 8

Scheme 9
1.3. PRESENT WORK

Covalent linking of two molecular entities for preparing new bioconjugates with desirable properties is a great technique. Bioconjugates bearing unnatural organic structures have found an increasing number of applications in molecular and cell biology.\footnote{19}

One of the most powerful linking reactions for developing an expanding set of new structures is Cu (I) catalysed 1,3-dipolar cycloaddition,\footnote{20} the formation of 1,4-disubstituted 1,2,3-triazoles from azides and terminal alkynes. This reaction is unique due to its complete specificity, biocompatibility of the reactants and high degree of dependability. Cu (I) catalysed or the so-called Huisgen–Sharpless 1,3-dipolar cycloaddition is premiere example of a click reaction\footnote{21} employed in a wide range of applications, including modification of cell surfaces,\footnote{22} specific labeling of virus particles,\footnote{23} proteins,\footnote{24} oligonucleotides\footnote{25} and the synthesis of new glycoproteins,\footnote{26} neoglyco-conjugates,\footnote{27} dendrimers\footnote{28} or fluorescent labels.\footnote{29,30}

A very promising area for the preparation of new nucleoside bioconjugates is the synthesis of azidonucleosides. In general, azido analogs have been used mostly as intermediates in the preparation of aminonucleosides. But discovery of 3'-azido-3'-deoxythymidine (AZT) as an inhibitor of HIV reverse transcriptase\footnote{31} triggered explosive developments in the synthetic chemistry of azidonucleosides. In order to discover new derivatives potentially endowed with biological activity, the CuAAC reaction has also been applied to the functionalization of sugar and base moieties of nucleoside. A number of reports have demonstrated the potency of triazole-linked nucleosides.\footnote{32} Triazole can be linked on nucleoside at various positions such as 2', 3', 5' and also at anomeric position of sugar part as well as base. Based on these compounds, the most common application of the Cu-catalyzed azide–alkyne 1,3-cycloaddition reaction has been the reaction of azido sugar moiety with various alkynes in order to form modified nucleosides bearing a substituted 1,2,3-triazole. In this context, it has to be mentioned that the potency of all azido derivatives of nucleosides in such cycloaddition reactions has been explored except of 3'-azido-3'-deoxy-5-methyluridine.
Coumarin derivatives are widely used as fluorescent probes, labels and pigments, laser dyes and signalling units in sensors. They are also attractive molecules due to their extended spectral range, high emission quantum yields and photostability.

Huisgen–Sharpless-Meldal 1,3-dipolar cycloaddition to form 1,4-disubstituted triazole bridges is a very popular and unique reaction for the preparation of bioconjugates. The stereospecific reaction gives very high yields and generates inoffensive byproducts. The triazole bridge serves as a rigid linking unit and cannot be cleaved hydrolytically or otherwise and is almost impossible to oxidise or reduce.

Being inspired by all these importance of coumarin, triazole and nucleosides, we planned the simultaneous synthesis of the novel coumarin/aryl/alkyl-triazolynucleoside conjugates by CuAAC reaction. Our key substrates for this reaction were coumarin/aryl/alkyl derivatives with terminal alkyne function and 3'-azidodenucleoside.

In the present work, we have achieved the synthesis of a series of coumarin, aryl and alkyl conjugated triazolynucleosides by using click chemistry. One of the precursor moieties 3'-azido-3'-deoxy-5-methyluridine required for the synthesis of the targeted compound was prepared in seven steps from readily available D-xylose (Scheme 1).

D-xylose was selectively protected as a monoketal, 1,2-O-isopropylidene-α-D-xylofuranose in a two-step sequence in 90% yield. The primary hydroxyl group of compound was selectively protected with benzoyl chloride in pyridine to produce benzoyl derivative 1,2-O-isopropylidene-5-O-benzoyl-α-D-xylofuranose in 85% yield. In order to introduce a 3'-azido group, the 3'-hydroxy group of compound was converted into 1,2-O-isopropylidene-5-O-benzoyl-3-O-trifluoromethanesulfonyl-α-D-xylofuranose by reaction with trifluoromethanesulfonic anhydride in 85% yield, which was subsequently converted into a 3'-azido-1,2-O-isopropylidene-5-O-benzoyl-3-deoxy-α-D-xylofuranose derivative in approximately 45% yield with sodium azide in DMF at 60°C. The azide was converted into 3'-azido-1,2-di-O-acetyl-5-O-benzoyl-3-deoxy-β-D-ribofuranose in 75% yield as an epimeric mixture using acetic acid, acetic anhydride in pyridine. The 3-deoxy-3-azidoribofuranoside was then coupled with thymine as base by using trimethylsilyl triflate as lewis acid (Vöhrbruggen coupling) to give the corresponding azido nucleoside 3'-azido-2'-O-acetyl-5'-O-benzoyl-3'-deoxy-5-
methyluridine $35$ in 83 % yield. Removal of acetate and benzoate esters of the azido nucleoside gave the key intermediate 3'-azido-3'-deoxy-5-methyluridine $36$ in 79 % yield. The mild reaction conditions and high fidelity of Cu (I)-catalysed process allowed the 1,3-dipolar cycloaddition of 3'-azidonucleoside $36$ with commercially available alkynes i.e. phenylacetylene ($37a$), propargylalcohol ($37b$) and 5-Cl pentyne ($37c$) by using 0.15 molar equiv. of CuI in a solution of THF: H$_2$O:EtOH (1:1:1) at 60 °C to afford the conjugates 3'-deoxy-3'-(4-phenyl-1,2,3-triazol-1-yl)-5-methyluridine ($38a$), 3'-deoxy-3'-(4-hydroxymethyl-1,2,3-triazol-1-yl)-5-methyluridine ($38b$) and 3'-deoxy-3'-(4-(3-chloroproyl)-1,2,3-triazol-1-yl)-5-methyluridine ($38c$) in yields ranging from 80 to 92 % (Schemes 11).

Scheme 10: synthesis of 3'-azido-3'-deoxy-5-methyluridine $36$. 
Scheme 11: Synthesis of 3'-triazole bridged nucleoside conjugates via Cu (I) catalysed 1,3-dipolar cycloaddition.

The other key precursor, propargyloxy coumarins and propargyloxynaphthalenes 41a–f (Scheme 12) were prepared via an established method. Reaction of 7-hydroxycoumarins (39a), 7-hydroxy-4-methycoumarin (39b), 3-ethyl-4-methyl-7-hydroxycoumarin (39c), 4-hydroxycoumarin (39d) with propargylbromide (40) afforded 7-propargyloxy coumarin (41a), 4-methyl-7-propargyloxy coumarin (41b), 3-ethyl-4-methyl-7-propargyloxy coumarin (41c), 4-propargyloxy coumarin (41d) in 81 to 95 % yields. Similarly, reaction of β-naphthol (39e) and α-naphthol (39f) with propargylbromide (40) afforded 2-propargyloxynaphthalene (41e) and 1-propargyloxy naphthalene (41f) in 91 to 93 % yields, respectively (Table 4).

Further, Cu (I)-catalysed 1,3-dipolar cycloaddition reaction between 3'-azido-3'-deoxy-5-methyluridine 36 and alkyne derivatives 41a–f using 0.15 molar equiv. of CuI in a mixture of THF: H2O:EtOH (1:1:1) solution at 60 °C afforded the coumarin / naphthylene conjugates of triazolyl nuleosides, i.e. 3'-deoxy-3'-[4-(coumarin-7-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42a), 3'-deoxy-3'-[4-(4-methylcoumarin-7-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42b), 3'-deoxy-3'-[4-(3-ethyl-4-methylcoumarin-7-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42c), 3'-deoxy-3'-[4-(coumarin-4-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42d), 3'-deoxy-
Synthesis of 3’-Conjugated Triazolynucleoside

3’-[4-(naphthyl-2-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42e) and 3’-deoxy-3’-[4-(naphthyl-1-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42f) in 76 to 85 % yields (Schemes 13).

Scheme 12: Synthesis of propargyloxy: coumarins and naphthalenes

Table 4: Isolated yields of propargyloxy: coumarins and naphthalenes 41a-f
Scheme 13: Synthesis of 3'-triazole bridged coumarin/naphthyl conjugated nucleoside via Cu (I) catalysed 1,3-dipolar cycloaddition.

Table 5: Synthesis of compounds 42 a-f by click reaction between 3'-deoxy-3'-azido-5'-methyluridine 36 and propargyl ethers 41 a-f

<table>
<thead>
<tr>
<th>S. No.</th>
<th>Alkyne Substrate</th>
<th>Product</th>
<th>Yield (%)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1.</td>
<td><img src="image1.png" alt="Alkyne Substrate" /></td>
<td><img src="image2.png" alt="Product" /></td>
<td>80</td>
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<tr>
<td>2.</td>
<td><img src="image3.png" alt="Alkyne Substrate" /></td>
<td><img src="image4.png" alt="Product" /></td>
<td>82</td>
</tr>
</tbody>
</table>
The structures of all synthesized compounds 30-36, 38a-c, 41a-f and 42a-f were unambiguously established on the basis of their spectral data (\(^1\)H NMR, \(^{13}\)C NMR, IR and HRMS) analysis. The structure of known compounds, \(i.e.\) 36\(^{44}\), 41a-b\(^{21}\) and 41e-f\(^{45}\) has established by comparison of their physical and supported data with those reported in the literature. Copies of the \(^1\)H- and the \(^{13}\)C NMR spectra of compounds are given in the Results and Discussion section.
1.4. RESULTS AND DISCUSSION

1.4.1. 1,2-O-isopropylidene-α-D-xylofuranose (30)

The compound 30 was prepared from D-xylose (29) using anhy. copper sulphate and sulphuric acid in dry acetone at 20 °C as shown in Scheme 10 as light orange oil in 90 % yield. The structure of compound 30 was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]+ peak at m/z 191.0875, which confirmed its molecular formula to be C₉H₁₄O₅. The peak in its IR spectrum at 2980 cm⁻¹ was assigned to OH group present in the molecule. In its ¹H NMR spectrum, characteristic peaks of the sugar 2 x CH₃, C-3H, C-2H and C-1H appeared at δ 1.29 (3H, s) and 1.45 (3H, s), 4.29 (1H, d, J = 2.92 Hz), 4.49 (1H, d, J = 3.68 Hz) and 5.95 (1H, d, J = 3.64 Hz) ppm (Figure 3). Similarly, in its ¹³C NMR spectrum, the characteristic peak for anomeric carbon appeared at δ 110.09 (Figure 4). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound, respectively. Based on the spectral data analysis, the structure of the compound was unambiguously established as 1,2-O-isopropylidene-α-D-xylofuranose (30).

1.4.2. 1,2-O-isopropylidene-5-O-benzoyl-α-D-xylofuranose (31)

The compound 31 was prepared by selective benzylation of primary hydroxyl in compound 30 using benzoil chloride in pyridine and dichloromethane at 0 °C for 1 h as shown in Scheme 10 as light orange solid in 85 % yield. The structure of compound 31 was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]+ peak at m/z 295.1137, which confirmed its molecular formula to be C₁₅H₁₆O₆. The peaks in its IR spectrum at 2985 and 1743 cm⁻¹ were assigned to OH and CO groups present in the molecule. In its ¹H NMR spectrum, characteristic peaks of the sugar 2 x CH₃, C-2H, C-3H and C-1H appeared at δ 1.27 (3H, s) and 1.46 (3H, s), 4.17 (1H, m), 4.52 (1H, d, J = 3.64 Hz) and 5.92 (1H, d, J = 3.64 Hz) ppm (Figure 5). Similarly, in its ¹³C NMR spectrum, the characteristic peak for anomeric carbon and carbonyl carbon appeared at δ 111.78 and
at 167.19, respectively (Figure 6). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 1,2-\textit{O}-isopropylidene-5-\textit{O}-benzoyl-\textalpha-\text{D}-xylofuranose (31).

Figure 3: $^1$H NMR spectrum of compound 30 (400 MHz, CDCl$_3$)
Figure 4: $^{13}$C NMR spectrum of compound 30 (100.6 MHz, CDCl$_3$)

Figure 5: $^1$H NMR spectrum of compound 31 (400 MHz, DMSO)
The compound 32 was prepared by triflation of compound 31 using triflic anhydride in pyridine and dichloromethane at 0 °C as shown in Scheme 10 as light orange semi solid in 85 % yield. The structure of compound 32 was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]+ peak at m/z 427.0630, which confirmed its molecular formula to be C_{16}H_{17}O_{8}F_{3}S. The peaks in its IR spectrum at 2943 and 1740 cm⁻¹ were assigned to OH and CO groups present in the molecule, respectively. In its ¹H NMR spectrum, characteristic peaks of the sugar 2 x CH₃, C-2H, C-3H and C-1H appeared at δ 1.32 (3H, s) and 1.49 (3H, s), 4.41-4.47 (1H, m), 5.31 (1H, m) and 6.03 (1H, d, J = 3.68 Hz) ppm (Figure 7). Similarly, in its ¹³C NMR spectrum, the characteristic peak for anomeric carbon appeared at δ 111.18, whereas CF₃ and cabonyl carbon appeared at δ 116.61 and
165.91, respectively (Figure 8). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 1,2-\textit{O}-isopropylidene-5-\textit{O}-benzoyl-3-\textit{O}-trifluoromethanesulfonyl-\textalpha-D-xylofuranose (32).

1.4.4. 3-Azido-1,2-\textit{O}-isopropylidene-5-\textit{O}-benzoyl-3-deoxy-\textalpha-D-ribofuranose (33)

The compound 33 was prepared by azidation of compound 32 using sodium azide in DMF at 100 °C as shown in Scheme 10 as brown oil in 45 % yield. The structure of compound 32 was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]\(^{+}\) peak at \(m/z\) 320.1202, which confirmed its molecular formula to be C\(_{15}\)H\(_{17}\)N\(_3\)O\(_5\). The peaks in its IR spectrum at 2121 and 1710 cm\(^{-1}\) were assigned to azide and carbonyl groups present in the molecule. In its \(^1\text{H}\) NMR spectrum, characteristic peaks of the sugar 2 x CH\(_3\), C-3H, C-2H and C-1H appeared at \(\delta\) 1.39 (3H, s) and 1.61 (3H, s), 3.43-3.47 (1H, m), 4.38-4.42 (1H, m) and 5.86 (1H, d, \(J = 3.68\) Hz) ppm (Figure 9). Similarly, in its \(^{13}\text{C}\) NMR spectrum, the characteristic peak for anomeric carbon and carbonyl carbon appeared at \(\delta\) 104.18 and at 166.08, respectively (Figure 10). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3-Azido-1,2-\textit{O}-isopropyliden-5-\textit{O}-benzoyl-3-deoxy-\textalpha-D-ribofuranose (33).
Figure 7: $^1$H NMR spectrum of compound 32 (400 MHz, DMSO)

Figure 8: $^{13}$C NMR spectrum of compound 32 (100.6 MHz, CDCl$_3$)
Figure 9: $^1$H NMR spectrum of compound 33 (400 MHz, CDCl$_3$)

Figure 10: $^{13}$C NMR spectrum of compound 33 (100.6 MHz, CDCl$_3$)
1.4.5. 3'-Azido-1,2-di-O-acetyl-5-O-benzoyl-3'-deoxy-β-D-ribofuranose (34)

The compound 34 was prepared by 1,2- O-isopropylidene ring opening of compound 33 using acetic acid, acetic anhydride and sulphuric acid at r. t. for 2 h as shown in Scheme 10 as deep orange oil in 75 % yield. The structure of compound 34 was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]^+ peak at m/z 364.1100, which confirmed its molecular formula to be C_{16}H_{17}N_{3}O_{7}. The peaks in its IR spectrum at 2116 and 1675, 1659, 1640 cm\(^{-1}\) were assigned to azide and carbonyl (3 x CO) groups present in the molecule. In its \(^1\)H NMR spectrum, characteristic peaks of the sugar 2 x OAc, C-3H, C-2H and C-1H appeared at δ 2.19 (6H, s), 4.22-4.25 (1H, m), 4.67-4.71 (1H, m) and 6.47 (1H, d, \(J = 5.16\) Hz) ppm (Figure 11). Similarly, in its \(^13\)C NMR spectrum, the characteristic peak for anomeric carbon appeared at δ 98.08 whereas 3 carbonyl carbon appeared at 165.95, 168.77 and 169.54, respectively (Figure 12). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-azido-1,2-di-O-acetyl-5-O-benzoyl-3'-deoxy-β-D-ribofuranose (34).

1.4.6. 3'-Azido-2'-O-acetyl-5'-O-benzoyl-3'-deoxy-5'-methyluridine (35)

The compound 35 was prepared by Vorbruggen coupling reaction of compound 34 and thymine using BSA and trimethylsilyl triflate in anhydrous acetonitrile at 82 °C as shown in Scheme 10 as white semi-solid in 83 % yield. The structure of compound 35 was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]^+ peak at m/z 430.1318, which confirmed its molecular formula to be C_{19}H_{19}N_{5}O_{7}. The peak in its IR spectrum at 2111 cm\(^{-1}\) and a broad peak at 1691 cm\(^{-1}\) were assigned to azide and amide functional groups present in the molecule. In its \(^1\)H NMR spectrum, characteristic peaks of the sugar and base C-4'H, C-3'H, C-1'H, C-6H and NH appeared at δ 4.27 (1H, m), 4.48-4.54 (2H, m), 5.85 (1H, d, \(J = 4.36\) Hz), 7.04 (1H, s) and 9.12 (1H, s) ppm (Figure 13). Similarly, in its
$^{13}$C NMR spectrum, the characteristic peak for anomeric carbon appeared at $\delta$ 89.39 whereas 4 carbonyl carbon appeared at $\delta$ 150.02, 163.51, 165.98 and 170.00 (Figure 14). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-azido-2'-O-acetyl-5'-O-benzoyl-3'-deoxy-5-methyluridine (35).

**Figure 11:** $^1$H NMR spectrum of compound 34 (400 MHz, CDCl$_3$)
Figure 12: $^{13}$C NMR spectrum of compound 34 (100.6 MHz, CDCl$_3$)

Figure 13: $^1$H NMR spectrum of compound 35 (400 MHz, CDCl$_3$)
1.4.7. 3'-Azido-3'-deoxy-5-methyluridine (36)

The compound 36 was prepared by deacylation reaction of compound 35 using potassium carbonate in methanol at 0 °C as shown in Scheme 10 as white solid in 79 % yield. The structure of compound 36 was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]^+ peak at m/z 284.0950, which confirmed its molecular formula to be C_{10}H_{13}N_5O_5. The peak in its IR spectrum at 2121 cm^{-1} and a broad peak at 1691 cm^{-1} were assigned to azide and amide functional groups present in the molecule. In its ^1H NMR spectrum, characteristic peaks of the sugar and base C-3'H, C-4'H, C-1'H, C-6H and NH appeared at δ 3.88-3.90 (2H, m), 5.59 (1H, d, J = 4.40 Hz), 7.45 (1H, s) and 10.27 (1H, s) ppm (Figure 15). Similarly, in its ^13C NMR spectrum, the characteristic peak for anomeric carbon appeared at δ 89.74 whereas 2 x CO groups appeared at δ 150.51 and 163.90, respectively (Figure 16). The peaks of all the protons and carbons of the molecule were also present in the ^1H and the ^13C spectra of the compound. Based on
the spectral data analysis, the structure of the compound was unambiguously established as 3'-azido-3'-deoxy-5-methyluridine (36).

**Figure 15:** $^1$H NMR spectrum of compound 36 (400 MHz, DMSO)

**Figure 16:** $^{13}$C NMR spectrum of compound 36 (100.6 MHz, CDCl$_3$)
1.4.8. 3'-Deoxy-3'-((4-phenyl-1,2,3-triazol-1-yl)-5-methyluridine (38a)

The compound 38a was prepared by click reaction of compound 36 and phenyl acetylene 37a in EtOH/H2O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 11 as white solid in 88 % yield. The structure of compound 38a was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]+ peak at m/z 386.1420, which confirmed its molecular formula to be C18H19N5O5. The peak in its IR spectrum at 1675 cm⁻¹ was assigned to amide functional group present in the molecule. In its 1H NMR spectrum, characteristic peaks of the sugar and base C-3'H, C-4'H, C-1'H, C-5''H, C-6H and NH appeared at δ 4.09-4.17 (2H, m), 5.99 (1H, d, J = 5.20 Hz), 8.64 (1H, s), 7.88-7.85 (1H, m) and 11.38 (1H, s) ppm (Figure 17). Similarly, in its 13C NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at δ 86.22 and 122.74 whereas 2 carbonyl appeared at δ 150.71 and 163.78, respectively (Figure 18). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-((4-phenyl-1,2,3-triazol-1-yl)-5-methyluridine (38a).
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Figure 17: $^1$H NMR spectrum of compound 38a (400 MHz, DMSO)

Figure 18: $^{13}$C NMR spectrum of compound 38a (100.6 MHz, DMSO)
The compound $38b$ was prepared by click reaction of compound $36$ and propargyl alcohol $37b$ in EtOH/H$_2$O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 11 as white solid in 92 % yield. The structure of compound $38b$ was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed $[M+H]^+$ peak at $m/z$ 340.1212, which confirmed its molecular formula to be $C_{13}H_{17}N_5O_6$. The peak in its IR spectrum at 1684 cm$^{-1}$ was assigned to amide functional group present in the molecule. In its $^1$H NMR spectrum, characteristic peaks of the sugar and base C-3'H, C-4'H, C-1'H, C-6'H, C-5''H and NH appeared at $\delta$ 4.46-4.52 (2H, m), 5.99 (1H, d, $J = 5.20$ Hz), 7.86 (1H, s), 7.99 (1H, s) and 11.32 (1H, s) ppm (Figure 19). Similarly, in its $^{13}$C NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at $\delta$ 88.36 and 124.06 whereas 2 x CO groups appeared at $\delta$ 150.72 and 163.77, respectively (Figure 20). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-(4-hydroxymethyl-1,2,3-triazol-1-yl)-5-methyluridine ($38b$).

The compound $38c$ was prepared by click reaction of compound $36$ and 5-chloro-pentyne $37c$ in EtOH/H$_2$O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 11 as white solid in 80 % yield. The structure of compound $38c$ was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed $[M+H]^+$ peak at $m/z$ 387.1123, which confirmed its molecular formula to be $C_{15}H_{20}N_5O_5Cl$. The peak in its IR spectrum at 1689 cm$^{-1}$ was assigned to amide functional group present in the molecule. In its $^1$H NMR spectrum, characteristic peaks of the sugar and base C-4'H, C-3'H, C-1'H, C-6'H, C-5''H and NH appeared at $\delta$ 4.10-4.12 (1H, m), 4.45-4.67 (1H, m), 5.93 (1H, d, $J = 5.12$ Hz), 7.87 (1H, s), 8.35 (1H, s) and 11.35 (1H, s) ppm (Figure 21). Similarly, in its $^{13}$C
NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at δ 103.65 and 121.35 whereas 2 carbonyl appeared at δ 151.35 and 164.37, respectively (Figure 22). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-[4-(3-chloropropyl)-1,2,3-triazol-1-yl]-5-methyluridine (38c).

![Figure 19: 1H NMR spectrum of compound 38b (400 MHz, DMSO)](image-url)
Figure 20: $^{13}$C NMR spectrum of compound 38b (100.6 MHz, DMSO)

Figure 21: $^1$H NMR spectrum of compound 38c (400 MHz, DMSO)
1.4.11. 7-Propargyloxycoumarin (41a)

The compound 41a was prepared by reaction of 7-hydroxycoumarin 39a with propargyl bromide 40 using potassium carbonate in dry acetone at 60 °C as shown in Scheme 12 as white solid in 95 % yield. The structure of compound 41a was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]⁺ peak at m/z 201.0507, which confirmed its molecular formula to be C₁₂H₈O₃. The peaks in its IR spectrum at 3249 and 1699 cm⁻¹ were assigned to alkyne and carbonyl groups present in the molecule. In its ¹H NMR spectrum, characteristic peaks of the coumarin C≡CH, C-3H and C-4H appeared at δ 3.63 (1H, t, ⁴J = 2.56 Hz), 6.29 (1H, d, ⁹J = 9.52 Hz) and 7.97 (1H, d, ⁹J = 9.52 Hz) ppm (Figure 23). Similarly, in its ¹³C NMR spectrum, the characteristic peak for C≡CH, C≡CH, C-3 and C-4 appeared at δ 76.45, 77.36, 114.20 and 152.39, respectively (Figure 24). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 7-Propargyloxycoumarin (41a).
1.4.12. 4-Methyl-7-propargyloxy coumarin (41b)

The compound 41b was prepared by reaction of 7-hydroxy-4-methylcoumarin 39b with propargyl bromide 40 using potassium carbonate in dry acetone at 60 °C as shown in Scheme 12 as white solid in 93% yield. The structure of compound 41b was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]⁺ peak at m/z 215.0663, which confirmed its molecular formula to be C₁₃H₁₀O₃. The peaks in its IR spectrum at 3222 and 1701 cm⁻¹ were assigned to alkyne and carbonyl groups present in the molecule. In its ¹H NMR spectrum, characteristic peaks of the coumarin C-4CH₃, C≡CH and C-3H appeared at δ 2.40 (3H, s), 2.58 (1H, t, J = 2.92 Hz) and 6.16 (1H, s) ppm (Figure 25). Similarly, in its ¹³C NMR spectrum, the characteristic peak for C≡CH, C≡CH and C-3 appeared at δ 76.46, 77.36 and 114.20, respectively (Figure 26). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 4-methyl-7-propargyloxy coumarin (41b).

*Figure 23: ¹H NMR spectrum of compound 41a (400 MHz, DMSO)*
**Figure 24:** $^{13}$C NMR spectrum of compound 41a (100.6 MHz, CDCl$_3$)

**Figure 25:** $^1$H NMR spectrum of compound 41b (400 MHz, CDCl$_3$)
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Figure 26: $^{13}$C NMR spectrum of compound 41b (100.6 MHz, CDCl$_3$)

1.4.13. 3-Ethyl-4-methyl-7-propargyloxycoumarin (41c)

The compound 41c was prepared by reaction of 3-ethyl-7-hydroxy-4-methylcoumarin 39c with propargyl bromide 40 using potassium carbonate in dry acetone at 60 °C as shown in Scheme 12 as white solid in 89 % yield. The structure of compound 41c was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]$^+$ peak at $m/z$ 243.0976, which confirmed its molecular formula to be C$_{15}$H$_{14}$O$_3$. The peaks in its IR spectrum at 3200 and 1699 cm$^{-1}$ were assigned to alkyne and CO groups present in the molecule. In its $^1$H NMR spectrum, characteristic peaks of the coumarin CH$_2$CH$_3$, C-4 CH$_3$, CH$_2$CH$_3$ and C=CH appeared at $\delta$ 1.01 (3H, t, $J$ = 7.32 Hz), 2.34 (3H, s), 2.51 (2H, q, $J$ = 7.32 Hz) and 3.61 (1H, t, $^4J$ = 2.20 Hz) ppm (Figure 27). Similarly, in its $^{13}$C NMR spectrum, the characteristic peak for C-4 CH$_3$, C=CH and C=CH appeared at $\delta$ 14.37, 78.82 and 79.19, respectively (Figure 28). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the
compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3-ethyl-4-methyl-7-propargyloxy coumarin (41c).

1.4.14. 4-Propargyloxy coumarin (41d)

The compound 41d was prepared by reaction of 4-hydroxy coumarin 39d with propargyl bromide 40 by using potassium carbonate in dry acetone at 60 °C as shown in Scheme 12 as white solid in 81 % yield. The structure of compound 41d was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]+ peak at m/z 201.0507, which confirmed its molecular formula to be C12H8O3. The peaks in its IR spectrum at 3255 and 1700 cm−1 were assigned to alkyne and CO groups present in the molecule. In its 1H NMR spectrum, characteristic peaks of the coumarin C≡C=H and C-3H appeared at δ 3.82 (1H, t, J = 2.20 Hz) and 5.84 (1H, s) ppm (Figure 29). Similarly, in its 13C NMR spectrum, the characteristic peak for C≡CH, C≡CH and C-3 appeared at δ 73.65, 77.00 and 87.41, respectively (Figure 30). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 4-propargyloxy coumarin (41d).
Figure 27: $^1$H NMR spectrum of compound 41c (400 MHz, DMSO)

Figure 28: $^{13}$C NMR spectrum of compound 41c (100.6 MHz, DMSO)
Figure 29: $^1$H NMR spectrum of compound 41d (400 MHz, CDCl$_3$)

Figure 30: $^{13}$C NMR spectrum of compound 41d (100.6 MHz, CDCl$_3$)
1.4.15. 2-Propargyloxy naphthalene (41e)\textsuperscript{39}

The compound 41e was prepared by reaction of β-naphthol 39e with propargyl bromide 40 using potassium carbonate in dry acetone at 60 °C as shown in Scheme 12 as white solid in 91 % yield. The structure of compound 41e was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]\textsuperscript{+} peak at m/z 183.0765, which confirmed its molecular formula to be C\textsubscript{13}H\textsubscript{10}O. The peak in its IR spectrum at 3245 cm\textsuperscript{-1} was assigned to alkyne group present in the molecule. In its \textsuperscript{1}H NMR spectrum, characteristic peaks of the protons C≡CH, C-3H and C-1H appeared at δ 2.49 (1H, t, \textit{J} = 2.40 Hz), 6.85 (1H, m) and 7.31 (1H, m) ppm. Similarly, in its \textsuperscript{13}C NMR spectrum, the characteristic peak for C≡CH, C≡CH and C-3 appeared at δ 75.71, 78.73 and 121.33, respectively. The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 2-propargyloxy naphthalene (41e).

1.4.16. 1-Propargyloxy naphthalene (41f)

The compound 41f was prepared by reaction of α-naphthol 39f with propargyl bromide 40 using potassium carbonate in dry acetone at 60 °C as shown in Scheme 12 as white solid in 93 % yield. The structure of compound 41f was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]\textsuperscript{+} peak at m/z 183.0765, which confirmed its molecular formula to be C\textsubscript{13}H\textsubscript{10}O. The peak in its IR spectrum at 3257 cm\textsuperscript{-1} was assigned to alkyne group present in the molecule. In its \textsuperscript{1}H NMR spectrum, characteristic peaks of the protons C≡CH, C-2H and C-8H appeared at δ 2.53 (1H, t, \textit{J} = 2.20 Hz), 7.16-7.21 (1H, m) and 8.25 (1H, m) ppm. Similarly, in its \textsuperscript{13}C NMR spectrum, the characteristic peak for C≡CH, C≡CH and C-2 appeared at δ 75.00, 78.56 and 101.50, respectively. The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 1-propargyloxy naphthalene (41f).
1.4.17. 3'-Deoxy-3'-(4-(coumarin-7-yloxy)methylene)-1,2,3-triazol-1-yl]-5-methyluridine (42a)

The compound 42a was prepared by click reaction of compound 36 and 7-propargyloxy coumarin 41a in EtOH/H\textsubscript{2}O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 13 as white solid in 80 % yield. The structure of compound 42a was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]\textsuperscript{+} peak at m/z 484.1424, which confirmed its molecular formula to be C\textsubscript{22}H\textsubscript{21}N\textsubscript{5}O\textsubscript{8}. The peaks in its IR spectrum at 1760, 1742 and 1696 cm\textsuperscript{-1} appeared for 3 CO groups present in the molecule. In its \textsuperscript{1}H NMR spectrum, characteristic peaks of the sugar and base C-4'H, C-3'H, C-1'H, C-6H, C-5''H and NH appeared at δ 4.49 (1H, m), 4.65 (1H, m), 5.97 (1H, d, J = 3.64 Hz), 7.87 (1H, s), 8.35 (1H, s) and 11.34 (1H, s) ppm (Figure 31). Similarly, in its \textsuperscript{13}C NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at δ 88.35 and 126.26, whereas 2 carbonyl carbons appeared at δ 160.79 and 163.73, respectively (Figure 32). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-(4-(coumarin-7-yloxy)methylene)-1,2,3-triazol-1-yl]-5-methyluridine (42a).

1.4.18. 3'-Deoxy-3'-(4-(4-methylcoumarin-7-yloxy)methylene)-1,2,3-triazol-1-yl]-5-methyluridine (42b)

The compound 42b was prepared by click reaction of compound 36 and 4-methyl-7-propargyloxy coumarin 42b in EtOH/H\textsubscript{2}O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 13 as white solid in 82 % yield. The structure of compound 42b was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]\textsuperscript{+} peak at m/z 498.1580, which confirmed its molecular formula to be C\textsubscript{23}H\textsubscript{23}N\textsubscript{5}O\textsubscript{8}. The peaks in its IR spectrum at 1745, 1715 and 1693 cm\textsuperscript{-1} appeared for
3 x CO groups present in the molecule. In its $^1$H NMR spectrum, characteristic peaks of the sugar and base C-3'H, C-4'H, C-1'H, C-6'H, C-5''H and NH appeared at δ 4.64 (1H, m), 4.48 (1H, m), 5.97 (1H, d, $J = 5.12$ Hz), 7.87 (1H, s), 8.29-8.33 (1H, m) and 11.34 (1H, s) ppm (Figure 33). Similarly, in its $^{13}$C NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at δ 88.23 and 126.42, whereas 3 carbonyl carbon appeared at δ 146.48, 160.79 and 163.73, respectively (Figure 34). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-(4-(4-methylcoumarin-7-yloxy)methylene)-1,2,3-triazol-1-yl]-5-methyluridine (42b).

1.4.19. 3'-Deoxy-3'-(4-(3-ethyl-4-methylcoumarin-7-yloxy)methylene)-1,2,3-triazol-1-yl]-5-methyluridine (42c)

The compound 42c was prepared by click reaction of compound 36 and 3-ethyl-4-methyl-7-propargyloxycoumarin 41c in EtOH/H$_2$O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 13 as white solid in 79 % yield. The structure of compound 42c was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]$^+$ peak at $m/z$ 526.1893, which confirmed its molecular formula to be C$_{25}$H$_{27}$N$_5$O$_8$. The peaks in its IR spectrum at 1754, 1749 and 1684 cm$^{-1}$ appeared for 3 x CO groups present in the molecule. In its $^1$H NMR spectrum, characteristic peaks of the sugar and base C-4'H, C-3'H, C-1'H, C-6'H, C-5''H and NH appeared at δ 4.41 (1H, m), 4.56 (1H, m), 5.89 (1H, d, $J = 5.16$ Hz), 7.77 (1H, s), 8.25 (1H, s) and 11.29 (1H, s) ppm (Figure 35). Similarly, in its $^{13}$C NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at δ 101.22 and 126.26, whereas 3 carbonyl carbons appeared at δ 150.70, 160.79 and 163.74, respectively (Figure 36). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-(4-(3-ethyl-4-methylcoumarin-7-yloxy)methylene)-1,2,3-triazol-1-yl]-5-methyluridine (42c).
Figure 31: $^1$H NMR spectrum of compound 42a (400 MHz, DMSO)

Figure 32: $^{13}$C NMR spectrum of compound 42a (100.6 MHz, DMSO)
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Figure 33: $^1$H NMR spectrum of compound 42b (400 MHz, DMSO)

Figure 34: $^{13}$C NMR spectrum of compound 42b (100.6 MHz, DMSO)
Figure 35: $^1$H NMR spectrum of compound 42c (400 MHz, DMSO)

Figure 36: $^{13}$C NMR spectrum of compound 42c (100.6 MHz, DMSO)
1.4.20. 3'-Deoxy-3'-[4-(coumarin-4-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42d)

The compound 42d was prepared by click reaction of compound 36 and 4-propargyloxy coumarin 41d in EtOH/H2O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 13 as white solid in 76 % yield. The structure of compound 42d was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]+ peak at m/z 484.1424, which confirmed its molecular formula to be C22H21N5O8. The peaks in its IR spectrum at 1742, 1760 and 1690 cm⁻¹ appeared for 3 x CO groups present in the molecule. In its 1H NMR spectrum, characteristic peaks of the sugar and base C-4'H, C-3'H, C-1'H, C-6H, C-5''H and NH appeared at δ 4.49-4.52 (2H, m), 5.98 (1H, d, J = 5.12 Hz), 7.86 (1H, s), 8.43 (1H, s) and 11.38 (1H, s) ppm (Figure 37). Similarly, in its 13C NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at δ 91.43 and 122.97, whereas 3 carbonyl carbons appeared at δ 150.79, 161.69 and 163.84, respectively (Figure 38). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-[4-(coumarin-4-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42d).

1.4.21. 3'-Deoxy-3'-[4-(naphthyl-2-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42e)

The compound 42e was prepared by click reaction of compound 36 and 2-propargyloxynaphthalene 41e in EtOH/H2O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 13 as white solid in 85 % yield. The structure of compound 42e was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]+ peak at m/z 466.1682, which confirmed its molecular formula to be C23H23N5O6. The peaks in its IR spectrum at 1756 and 1686 cm⁻¹ appeared for 2 x
CO groups present in the molecule. In its $^1$H NMR spectrum, characteristic peaks of the sugar and base C-4'H, C-3'H, C-1'H, C-6H, C-5'H and NH appeared at $\delta$ 4.54 (1H, m), 4.72 (1H, m), 6.02 (1H, d, $J = 4.40$ Hz), 7.87 (1H, m), 8.43 (1H, m) and 11.41 (1H, m) ppm (Figure 39). Similarly, in its $^{13}$C NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at $\delta$ 88.41 and 121.59, whereas 2 carbonyl carbons appeared at $\delta$ 150.71 and 164.76, respectively (Figure 40). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-[4-(naphthyl-2-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42e).

1.4.22. 3'-Deoxy-3'-[4-(naphthyl-1-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42f)

The compound 42f was prepared by click reaction of compound 36 and 1-propargyloxynaphthalene 41f in EtOH/H$_2$O/THF (3 ml, 1/1/1, v/v/v) using CuI at 60 °C as shown in Scheme 13 as white solid in 84 % yield. The structure of compound 42f was established on the basis of its spectral data analysis. Its high resolution mass spectrum showed [M+H]$^+$ peak at $m/z$ 466.1680, which confirmed its molecular formula to be C$_{23}$H$_{23}$N$_5$O$_6$. The peaks in its IR spectrum at 1756 and 1686 cm$^{-1}$ appeared for 2 x CO groups present in the molecule. In its $^1$H NMR spectrum, characteristic peaks of the sugar and base C-4'H, C-3'H, C-1'H, C-6H, C-5'H and NH appeared at $\delta$ 4.50 (1H, m), 4.67 (1H, m), 5.99 (1H, q, $J = 4.40$ Hz), 7.87 (1H, s), 8.35 (1H, s) and 11.39 (1H, s) ppm (Figure 41). Similarly, in its $^{13}$C NMR spectrum, the characteristic peak for anomeric carbon and C-5'' appeared at $\delta$ 88.36 and 123.73, whereas 2 carbonyl carbons appeared at $\delta$ 150.71 and 163.75, respectively (Figure 42). The peaks of all the protons and carbons of the molecule were also present in the proton and the carbon spectra of the compound. Based on the spectral data analysis, the structure of the compound was unambiguously established as 3'-deoxy-3'-[4-(naphthalene-1-yloxymethylene)-1,2,3-triazol-1-yl]-5-methyluridine (42f).
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**Figure 37:** \(^1\)H NMR spectrum of compound 42d (400 MHz, DMSO)

**Figure 38:** \(^{13}\)C NMR spectrum of compound 42d (100.6 MHz, DMSO)
Figure 39: $^1$H NMR spectrum of compound 42e (400 MHz, DMSO)

Figure 40: $^{13}$C NMR spectrum of compound 42e (100.6 MHz, DMSO)
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Figure 41: $^1$H NMR spectrum of compound 42f (400 MHz, DMSO)

Figure 42: $^{13}$C NMR spectrum of compound 42f (100.6 MHz, DMSO)
1.5. CONCLUSION

- In conclusion, a reliable and efficient protocol was developed for the synthesis of nine novel 3'-deoxy-3'-triazolylnucleosides via Cu (I) catalysed Huisgen-Sharpless-Meldal 1,3-dipolar cycloaddition reaction using CuI.

- All these 3'-deoxy-3'-triazolylnucleosides were obtained in nearly quantitative yield. Different alkynes were chosen in order to increase the molecular diversity.

- The structures of all the compounds were unambiguously established on the basis of their spectral data (\(^1\)H NMR, \(^{13}\)C NMR, IR spectra and HRMS).

1.6. EXPERIMENTAL

Melting points were determined on Buchi M-560 instrument and are uncorrected. The IR spectra were recorded on a Perkin-Elmer model 2000 FT-IR spectrometer by making KBr disc for solid samples and thin film for oils. The \(^1\)H- and \(^{13}\)C NMR spectra were recorded on a Jeol alpha-400 spectrometer at 400 and 100.6 MHz, respectively, using TMS as internal standard. The chemical shift values are on \(\delta\) scale and the coupling constants \((J)\) are in Hz. Signals from OH groups in \(^1\)H NMR spectra recorded in CDCl\(_3\) were verified by removing them by D\(_2\)O exchange method. The mass spectra analyses were done on micro TOF-Q instrument from Bruker Daltonics, Bremen and were run in ESI positive mode. Reactions were conducted under an atmosphere of nitrogen when anhydrous solvents were used. Analytical TLCs were performed on precoated Merck silica-gel 60F\(_{254}\) plates; the spots were detected under UV light. Silica gel (100-200 mesh) was used for column chromatography. Chemicals were obtained from commercial suppliers and were used without any further purification unless otherwise noted.

1.6.1. Procedure for synthesis of 1,2-O-isopropylidene-\(\alpha\)-D-xylofuranose (30)

Slurry of D-xylose 29 (100 mmol) and anhydr. CuSO\(_4\) (130 mmol) in acetone (200 ml) and H\(_2\)SO\(_4\) (1 ml) was stirred at 20 °C for 24 h and was then filtered. Concentrated NH\(_4\)OH (3 ml) was added and the filtered solution was concentrated to yield syrup.
containing the desired compound 30 and 1',2',3',4'-di- propylidene-α-D-xylofuranose in a ratio of 1:9. This mixture was dissolved in MeOH (80 ml), warmed to 40 °C and then 0.1 M HCl (22 ml) was added. After 100 min, when 1',2',3',4'-di- propylidene-α-D- xylofuranose was completely converted into 30 the mixture was neutralized with solid NaHCO₃, filtered, concentrated and then co-evaporated with EtOH and toluene. The residue was dissolved in CH₂Cl₂ (100 mL) dried, filtered and concentrated to yield syrup. The crude syrup was purified by column chromatography to afford 1',2'-O- isopropylidene-α-D-xylofuranose (30) as light orange oil (yield = 90 %). IR (KBr) υmax: 2980 (OH), 1352, 1168 and 1091 cm⁻¹; ¹H NMR (400 MHz, CDCl₃): δ 1.29 (3H, s, -CH₃), 1.45 (3H, s, -CH₃), 2.10 (1H, brs, OH), 3.09 (1H, s, OH), 4.00 (1H, dd, J = 2.2 and 12.48 Hz, C-5Ha), 4.08 (1H, dd, J = 3.68 and 12.44 Hz, C-5Hb), 4.14 (1H, q, J = 3.64 Hz, C-4H), 4.29 (1H, d, J = 2.92 Hz, C-3H), 4.49 (1H, d, J = 3.68 Hz, C-2H), 5.95 (1H, d, J = 3.64 Hz, C-1H); ¹³C NMR (100.6 MHz, CDCl₃): δ 24.43 (CH₃), 25.02 (CH₃), 59.34 (C-5), 77.00 (C-3), 83.86 (C-4), 103.09 (C-2), 110.09 (C-1); HR-ESI-TOF-MS: m/z 191.0875 ([M+H]+), calcd. for [C₈H₁₄O₅+H]+ 191.0885.

1.6.2. Synthesis of 1,2-O-isopropylidene-5-O-benzoyl-α-D-xylofuranose (31)

A solution of benzoic chloride (240 mmol) in dry pyridine (40 ml) was added dropwise to a stirred solution of 1,2-O-isopropylidene-α-D-xylofuranose 30 (240 mmol) in dry pyridine (150 ml) at 0 °C. After completion of reaction on TLC, the mixture then poured onto ice-cold water, extracted with dichloromethane and the organic phase was washed with water, dried over Na₂SO₄ and concentrated under reduced pressure to afford the crude product. The crude product was purified by silica gel column chromatography using chloroform/methanol as eluting solvent to afford pure desired product 31 as light orange solid (yield = 97 %). M. P. 73-75 °C. IR (KBr) υmax: 2985 (OH), 2109, 1743 (CO), 1381, 1227 and 1047 cm⁻¹; ¹H NMR (400 MHz, DMSO-d₆): δ 1.27 (3H, s, -CH₃), 1.46 (3H, s, -CH₃), 2.75 (1H, brs, OH), 4.17 (1H, m, C-2H), 4.36-4.41 (2H, m, C-5Ha and C-5Hb), 4.52 (1H, d, J = 3.64 Hz, C-3H), 4.67 (1H, q, J = 5.12 Hz, C-2H), 5.92 (1H, d, J = 3.64 Hz, C-1H), 7.40 (2H, t, J = 8.04 Hz, ArH), 7.53 (1H, t, J = 7.32 Hz, ArH), 8.00 (2H, d, J = 7.32 Hz, ArH); ¹³C NMR (100.6 MHz, CDCl₃): δ 26.05 (CH₃), 26.68 (CH₃), 50.44 (CMe₂), 61.89 (C-5), 78.54 (C-3), 85.04 (C-4), 104.76 (C-2), 111.78 (C-1), 128.39 (2 x
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ArC), 129.28 (ArC), 129.78 (2 x ArC), 133.41 (ArC) and 167.19 (CO); HR-ESI-TOF-MS: m/z 295.1137 ([M+H]^+), calcd. for [C_{15}H_{18}O_{6}+H]^+ 295.1237.

1.6.3. Synthesis of 1,2-O-isopropylidene-5-O-benzoyl-3-O-trifluorosulfomethanesulfonyl-α-D-xylofuranose (32)

Triflic anhydride (10.2 g, 36 mmol) was slowly added to a stirred solution of compound 31 (5.5 g, 30 mmol) and pyridine (10 ml) in CH_2Cl_2 (55 ml) in a three-necked flask at -10 °C (acetone/ice bath). Pyridinium triflate salt precipitated, and the solution turned brown. The reaction was complete after 1.5 h as per on TLC. The reaction mixture was poured onto ice water (1 L). The aq. phase was extracted with dichloromethane. The combined organic phase was dried on Na_2SO_4, and repeatedly coevaporated with toluene to remove pyridine. The brown residue was extracted with hexane (3 times). Evaporation of hexane yielded the desired product 32 as light orange semi-solid (yield = 85 %). M. P. 92-93 °C. IR (KBr) ν_max: 2943 (OH), 2187, 1740 (CO), 1456, 1230 and 1021 cm^{-1}; ^1H NMR (400 MHz, DMSO-d_6): δ 1.32 (3H, s, -CH_3), 1.49 (3H, s, -CH_3), 4.41-4.47 (1H, m, C-2H), 4.61-4.66 (2H, m, C-5Ha and C-5Hb), 4.77 (1H, d, J = 3.68 Hz, C-4H), 5.31 (1H, m, C-3H), 6.03 (1H, d, J = 3.68 Hz, C-1H), 7.42 (2H, t, J = 8.08 Hz, ArH), 7.55 (1H, t, J = 7.32 Hz, ArH), 8.01 (2H, d, J = 7.32 Hz, ArH); ^13C NMR (100.6 MHz, CDCl_3): δ 26.07 (CH_3), 26.35 (CH_3), 50.44 (CMe_2) 60.30 (C-5), 82.99 (C-3), 87.71 (C-4), 104.60 (C-2), 111.18 (C-1), 116.61 (CF_3), 128.39 (2 x ArC), 128.98 (ArC), 129.75 (2A x rC), 133.41 (ArC) and 165.91 (CO); HR-ESI-TOF-MS: m/z 427.0630 ([M+H]^+), calcd. for [C_{16}H_{17}O_{8}F_3S+H]^+ 427.0600.

1.6.4. Synthesis of 3-azido-1,2-O-isopropylidene-5-O-benzoyl-3-deoxy-α-D-ribofuranose (33)

Sodium azide (12 g, 295 mmol) was added to a solution of compound 32 (10 g, 30 mmol) in DMF (100 ml) and the mixture was allowed to stir. The reaction was complete after 5 h stirring at 100 °C as indicated by TLC. DMF was removed under reduced pressure, and the residue was dissolved in AcOEt. The organic phase was washed with H_2O (2 times). The aqueous phase was re-extracted with AcOEt (2 times). The combined organic phase was dried over Na_2SO_4 and evaporated to yield syrup of crude 33, which was purified by
silica gel column chromatography with pet.ether /ethyl acetate as eluent to afford the desired compound 33 as brown oil (yield = 45 %). M. P. 55-57 °C. IR (KBr) $v_{\text{max}}$: 2121 (N=), 2101, 1670 (CO), 1490, 1291 and 1001 cm$^{-1}$; $^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 1.39 (3H, s, -CH$_3$), 1.61 (3H, s, -CH$_3$), 3.43-3.47 (1H, m, C-3H), 4.38-4.42 (1H, m, C-2H), 4.49 (1H, dd, $J = 4.40$ and 12.44 Hz, C-5Ha), 4.69 (1H, dd, $J = 2.96$ and 12.48 Hz, C-5Hb), 4.78-4.80 (1H, m, C-4H), 5.86 (1H, d, $J = 3.68$ Hz, C-1H), 7.46 (2H, t, $J = 7.32$ Hz, ArH), 7.58 (1H, t, $J = 7.32$ Hz, ArH), 8.05 (2H, d, $J = 8.04$ Hz, ArH); $^{13}$C NMR (100.6 MHz, CDCl$_3$): $\delta$ 26.32 (C$_{2}$H$_{3}$), 26.37 (C$_{3}$H$_{3}$), 61.24 (C-3), 62.78 (C-5), 75.62 (C-4), 79.94 (C-2), 104.18 (C-1), 113.28 (CMe$_{2}$), 128.39 (2 ArC), 128.48 (ArC), 129.72 (2 ArC), 133.25 (ArC) and 166.08 (CO); HR-ESI-TOF-MS: $m/\varepsilon$ 320.1202 ([M+H$^+$]), calcd. for [C$_{15}$H$_{17}$N$_{3}$O$_{5}$]+H$^+$, 320.1207.

1.6.5. Synthesis of 3-azido-1,2-di-O-acetyl-5-O-benzoyl-3-deoxy-$\beta$-D-ribofuranosyl (34)

The solution of azido derivative 33 (6 mmol) in acetic acid (100 ml) was added to a mixture of acetic anhydride (10 ml) and sulfuric acid (0.01 ml) and the final mixture was allowed to stir at room temperature for 6 h. After completion of reaction as per on TLC, the mixture was poured on ice cold water, the resultant mixture is extracted with chloroform two times, then the chloroform layer was combined, washed with saturated sodium bicarbonate and with water, dried over sodium sulphate and evaporated to dryness. The residue thus obtained was purified with silica gel column chromatography with chloroform/methanol as eluent to obtain the desired compound 34 as deep orange oil (yield = 75 %). IR (KBr) $v_{\text{max}}$: 2116 (N=), 2110, 1675, 1659, 1640 (3 x CO), 1440, 1239 and 1103 cm$^{-1}$; $^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 2.19 (6H, s, 2 x OCOCH$_3$), 4.22-4.25 (1H, m, C-3H), 4.37-4.48 (3H, m, C-4H, C-5Ha and C-5Hb), 4.67-4.71 (1H, m, C-2H), 6.47 (1H, d, $J = 5.16$ Hz, C-1H), 7.47 (2H, t, $J = 8.08$ Hz, ArH), 7.60 (1H, t, $J = 7.32$ Hz, ArH), 8.08 (2H, d, $J = 7.32$ Hz, ArH); $^{13}$C NMR (100.6 MHz, CDCl$_3$): $\delta$ 20.52 and 20.76 (2 x OCOCH$_3$), 60.03 (C-3) 63.05 (C-5), 75.72 (C-2), 79.82 (C-4), 98.08 (C-1), 128.46 (2 x ArC), 129.42 (ArC), 129.71 (2 x ArC), 133.43 (ArC), 165.95, 168.77 and 169.54 (3 x CO); HR-ESI-TOF-MS: $m/\varepsilon$ 364.1100 ([M+H$^+$]), calcd. for [C$_{16}$H$_{17}$N$_{3}$O$_{7}$]+H$^+$, 364.1110.
1.6.6. Synthesis of 3'-azido-2'-O-acetyl-5'-O-benzoyl-3'-deoxy-5'-methyluridine (35).

N,O-bis(Trimethylsilyl)acetamide (BSA, 2.0 mL, 8.0 mmol) was added at room temperature to a mixture of compound 34 (1.0 g, 2.74 mmol) and thymine (0.40 g, 3.20 mmol) in anhydrous acetonitrile (30 mL) under nitrogen, then stirred for 1 h at 50–60 °C to form a clear solution. After being cooled to room temperature, trimethylsilyl trifluoromethanesulfonate (TMSOTf, 0.54 mL, 3.0 mmol) was added and the resulting mixture was heated to 65–70 °C for 6 h. The reaction mixture was cooled to room temperature, then quenched with saturated aqueous sodium bicarbonate solution (15 mL) and stirred until the evolution of CO2 ceased. The resulting mixture was diluted with ethyl acetate (80 mL). The organic phase was separated and the aqueous phase was extracted with ethyl acetate (50 mL). The combined organic phase was washed with brine (20 mL), dried over Na2SO4, filtered, and concentrated. The residue thus obtained was purified by silica gel column chromatography with chloroform/methanol (100:1 v/v) as eluting to give compound 35 as white foam (yield = 83 %). M. P. 58-60 °C. IR (KBr) νmax: 3400, 2111 (N3), 2171, 1691, 1640, 1670 (2 x CO), 1398, 1200 and 1001 cm⁻¹; 1H NMR (400 MHz, CDCl3): δ 1.67 (3H, s, C-5 CH3), 2.21 (3H, s, OCOCH3), 4.27 (1H, m, C-4'H), 4.48-4.54 (2H, m, C-3'H and C-5'Ha), 4.73 (1H, dd, J = 2.20 and 12.48 Hz, C-5'Hb), 5.55 (1H, t, J = 5.48 Hz, C-2'H), 5.85 (1H, d, J = 4.36 Hz, C-1'H), 7.04 (1H, s, C-6H), 7.48 (2H, t, J = 8.04 Hz, ArH), 7.61 (1H, t, J = 7.32 Hz, ArH), 8.07 (2H, d, J = 8.04 Hz, ArH), 9.12 (1H, s, NH); 13C NMR (100.6 MHz, CDCl3): δ 12.16 (C-5 CH3), 20.43 (OCOCH3), 60.10 (C-3'), 63.28 (C-5'), 74.90 (C-2'), 79.73 (C-4'), 89.39 (C-1'), 111.80 (C-5), 128.71 (2 x ArC), 129.10 (ArC), 129.62 (2 x ArC), 133.66 (ArC), 135.88 (C-6), 150.02, 163.51, 165.98 and 170.00 (4 x CO); HR-ESI-TOF-MS: m/z 430.1318 ([M+H]+), calcd. for [C19H19N5O7+H]+ 430.1308.

1.6.7. Synthesis of 3'-azido-3'-deoxy-5'-methyluridine (36)

To a solution of compound 35 (0.25g, 0.46 mmol) in methanol: water (v/v=5/1) was added potassium carbonate (0.4 equiv.) and the reaction mixture was stirred for 16 h at room temperature. Upon completion of the reaction, the solvent was removed under reduced pressure. The resulting crude product was purified by silica gel column...
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chromatography using chloroform/methanol to afford the target nucleoside 36 as white foam (yield = 79 %). M. P. 55-58 °C. IR (KBr) ν_{max}: 3489, 3290, 2121 (N₃), 1691, 1681, 1490, 1212 and 1019 cm⁻¹; ¹H NMR (400 MHz, DMSO-d₆): δ 1.69 (3H, s, C-5 CH₃), 3.53 (1H, brs, OH), 3.69 (1H, brs, OH), 3.88-3.90 (2H, m, C-4'H and C-3'H), 4.35 (1H, m, C-5'Ha), 4.55 (1H, m, C-5'Hb), 5.40 (1H, m, C-2'H), 5.59 (1H, d, J = 4.40 Hz, C-1'H), 7.45 (1H, s, C-6H), 10.27 (1H, s, NH); ¹³C NMR (100.6 MHz, CDCl₃): δ 12.00 (C-5CH₃), 60.56 (C-3'), 60.75 (C-5'), 74.39 (C-2'), 82.08 (C-4'), 89.74 (C-1'), 109.87 (C-5), 136.32 (C-6), 150.51 and 163.90 (2 x CO); HR-ESI-TOF-MS: m/z 284.0950 ([M+H]^+), calcd. for [C₁₀H₁₃N₅O₅+H]^+ 284.0900.

1.6.8. General procedure for the synthesis of triazolynucleosides 38a-c.

To a solution of 3'-azido-3'-deoxy-5-methyluridine (36, 3.60 mmol) and alkynes 37a-c (4.32 mmol) in EtOH/H₂O/THF (3 mL, 1/1/1), was added copper (I) iodide (0.54 mmol). Thereafter the reaction mixture was stirred at 60 °C and the reaction was monitored by TLC. On completion of the reaction the solvent was evaporated under reduced pressure and the crude product thus obtained was purified by silica gel column chromatography using methanol/chloroform as eluent to afford the desired products 38a-c.

1.6.8.1. 3'-Deoxy-3'-(4-phenyl-1,2,3-triazol-1-yl)- 5-methyluridine (38a)

It was obtained as creamy solid (yield = 88 %). M. P. 265-269 °C. IR (KBr) ν_{max}: 3447, 3260, 2375, 1740, 1675, 1465, 1385, 1254, 1102 and 716 cm⁻¹; ¹H NMR (400 MHz, DMSO-d₆): δ 1.81 (3H, s, C-5 CH₃), 3.60-3.64 (1H, m, C-5'Ha), 3.76-3.79 (1H, m, C-5'Hb), 4.09-4.17 (3H, m, OH, C-4'H and C-3'H), 4.52 (1H, m, C-2'H), 4.69 (1H, brs, OH), 5.99 (1H, d, J = 5.20 Hz, C-1'H), 7.32 (1H, t, J = 7.32 Hz, ArH), 7.45 (2H, t, J = 7.32 Hz, ArH), 7.85-7.88 (3H, m, ArH and C-6H), 8.64 (1H, s, C-5''H), 11.38 (1H, s, NH); ¹³C NMR (100.6 MHz, DMSO-d₆): δ 12.27 (C-5 CH₃), 60.61 (C-3'), 60.84 (C-5'), 72.81 (C-2'), 81.30 (C-4'), 86.22 (C-1'), 109.60 (C-5), 122.74 (C-5''), 125.12 (2 x ArC), 127.87 (ArC), 128.95 (2 x ArC), 130.79 (ArC), 136.30 (C-6), 145.92 (C-4''), 150.71 and 163.78 (2 x CO); HR-ESI-TOF-MS: m/z 386.1420 ([M+H]^+), calcd. for [C₁₈H₁₉N₅O₅+H]^+ 386.1433.
1.6.8.2. 3'-Deoxy-3'-(4-hydroxymethyl-1,2,3-triazol-1-yl)-5-methyluridine (38b)

It was obtained as white solid (yield = 92 %). M. P. 196-200 °C. IR (KBr) \( \nu_{\text{max}} \): 3381, 3298, 1762, 1750, 1745, 1684, 1473, 1384, 1257, 1106 and 766 cm\(^{-1}\); \(^1\)H NMR (400 MHz, DMSO-\(d_6\)): \( \delta \) 1.79 (3H, s, C-5 CH\(_3\)), 3.55-3.58 (1H, m, C-5'Ha), 3.72-3.75 (1H, m, C-5'Hb), 4.46-4.52 (3H, m, OH, C-4'H and C-3'H), 4.61 (1H, brs, OH), 5.19-5.22 (2H, m, C-4" CH\(_2\)OH), 5.41 (1H, s, C-4" CH\(_2\)OH), 5.95 (1H, d, \( J = 4.40 \) Hz, C-2'H), 5.99 (1H, d, \( J = 5.20 \) Hz, C-1'H), 7.86 (1H, s, C-6'H), 7.99 (1H, s, C-5"H), 11.32 (1H, s, NH); \(^13\)C NMR (100.6 MHz, DMSO-\(d_6\)): \( \delta \) 12.28 (C-5 CH\(_3\)), 55.04 (C-4" CH\(_2\)OH), 60.59 (C-3'), 60.67 (C-5'), 72.61 (C-2'), 81.43 (C-4'), 88.36 (C-1'), 109.54 (C-5), 124.06 (C-5'"), 136.29 (C-6), 147.45 (C-4'"), 150.72 and 163.77 (2 x CO); HR-ESI-TOF-MS: \( m/z \) 340.1212 ([M+H]\(^+\)), calcd. for [C\(_{13}\)H\(_{17}\)N\(_5\)O\(_6\)+H]\(^+\) 340.1207.

1.6.8.3. 3'-Deoxy-3'-[4-(3-chloropropyl)-1,2,3-triazol-1-yl]-5-methyluridine (38c)

It was obtained as white solid (yield = 80 %). M. P. 387-1123 °C. IR (KBr) \( \nu_{\text{max}} \): 3437, 2926, 1743, 1689, 1456, 1272, 1111 and 771 cm\(^{-1}\); \(^1\)H NMR (400 MHz, DMSO-\(d_6\)): \( \delta \) 0.83-0.85 (2H, m, C-4" CH\(_2\)CH\(_2\)CH\(_2\)CH\(_2\)), 1.20-1.31 (4H, m, C-4" CH\(_2\)CH\(_2\)CH\(_2\) and C-4" CH\(_2\)CH\(_2\)CH\(_2\)), 1.79 (3H, s, C-5 CH\(_3\)), 3.67-3.76 (2H, m, C-5'Ha and C-5'Hb), 4.10-4.12 (1H, m, C-4'H), 4.45-4.67 (4H, m, C-3'H, 2-OH and C-2'H), 5.93 (1H, d, \( J = 5.12 \) Hz, C-1'H), 7.87 (1H, s, C-6'H), 8.35 (1H, s, C-5"H), 11.35 (1H, s, NH); \(^13\)C NMR (100.6 MHz, CDCl\(_3\)): \( \delta \) 14.12 (C-5 CH\(_3\)), 31.69 (C-4" CH\(_2\)CH\(_2\)CH\(_2\)), 31.74 (C-4" CH\(_2\)CH\(_2\)CH\(_2\)), 49.13 (C-4" CH\(_2\)CH\(_2\)CH\(_2\)), 51.70 (C-3'), 56.25 (C-5'), 60.86 (C-2'), 61.35 (C-4'), 103.65 (C-1'), 111.09 (C-5), 121.35 (C-5"), 121.59 (C-4'"), 135.98 (C-6), 151.35 and 164.37 (2 x CO); HR-ESI-TOF-MS: \( m/z \) 387.1123 ([M+H]\(^+\)), calcd. for [C\(_{15}\)H\(_{20}\)N\(_7\)O\(_5\)Cl+H]\(^+\) 387.1133.

1.6.9. General procedure for the synthesis of propargyloxy: coumarins 41a-d and naphthalenes 41e-f

To a solution of coumarins 39a-d/ naphthols 39e-f (100 mmol) in dry acetone (10 ml) was added anhydrous potassium carbonate (150 mmol) and the mixture was stirred for 0.5 h, then propargyl bromide 40 (150 mmol) were added. The resultant mixture was stirred and refluxing at 50 °C for 12 h, then the mixture was cooled and filtered, and the solvent was removed under reduced pressure. The residue was treated with 15 mL of
water and extracted with ethyl acetate. The combined organic phase was washed with water, dried over anhydrous sodium sulfate and evaporated in vacuum. The crude product thus obtained was purified by crystallisation from ethyl acetate/hexane mixture to give propargyloxy coumarins 41a-d and propargyloxy naphthalenes 41e-f in 81-95 % yield.

1.6.9.1. 7-Propargyloxy coumarin (41a)
It was obtained as a white solid (yield = 95 %). M. P. 118-120 °C. IR (KBr) v_max: 3249 (C≡CH), 2880, 2100, 1699 (CO), 1611, 1447, 1249, 1021 and 832 cm⁻¹; ¹H NMR (400 MHz, DMSO-d₆): δ 3.63 (1H, t, J = 2.20 Hz, C=CH), 4.91 (2H, d, J = 2.20 Hz, -OCH₂C≡CH), 6.29 (1H, d, J = 9.52 Hz, C-3H), 6.96 (1H, dd, J = 2.20 and 8.80 Hz, C-6H), 7.02 (1H, d, J = 2.20 Hz, C-8H), 7.63 (1H, d, J = 8.80 Hz, C-5H), 7.97 (1H, d, J = 9.52 Hz, C-4H); ¹³C NMR (100.6 MHz, CDCl₃): δ 56.12 (OCH₂C≡CH), 76.45 (C≡CH), 77.36 (C≡CH), 102.10 (C-8), 112.35 (C-6), 112.66 (C-10), 114.20 (C-3), 125.59 (C-5), 152.39 (C-4), 154.97 (C-9), 160.29 (C-7) and 161.07 (CO); HR-ESI-TOF-MS: m/z 201.0507 ([M+H]+), calcd. for [C₁₃H₉O₅+H]+ 201.0207.

1.6.9.2. 4-Methyl-7-propargyloxy coumarin (41b)
It was obtained as a creamy solid (yield = 93 %). M. P. 130-134 °C. IR (KBr) v_max: 3222 (C≡CH), 2980, 2120, 1701 (CO), 1458, 1225, 1069 and 779 cm⁻¹; ¹H NMR (400 MHz, CDCl₃): δ 2.40 (3H, s, C-4 CH₃), 2.58 (1H, t, J = 2.20 Hz, C=CH), 4.76 (2H, d, J = 2.20 Hz, -OCH₂C≡CH), 6.16 (1H, s, C-3H), 6.93-6.94 (2H, m, C-6H and C-8H), 7.52 (1H, d, J = 8.60 Hz, C-5H); ¹³C NMR (100.6 MHz, CDCl₃): δ 18.63 (C-4 CH₃), 56.12 (OCH₂C≡CH), 76.46 (C≡CH), 77.36 (C≡CH), 102.10 (C-8), 112.35 (C-6), 112.66 (C-10), 114.20 (C-3), 125.59 (C-5), 152.40 (C-4), 154.97 (C-9), 160.29 (C-7) and 161.07 (CO); HR-ESI-TOF-MS: m/z 215.0663 ([M+H]+), calcd. for [C₁₃H₁₀O₅+H]+ 215.0763.

1.6.9.3. 3-Ethyl-4-Methyl-7-propargyloxy coumarin (41c)
It was obtained as a light yellow solid (yield = 89 %). M. P. 74-78 °C. IR (KBr) v_max: 3200 (C≡CH), 2942, 2109, 1699 (CO), 1474, 1277, 1093 and 732 cm⁻¹; ¹H NMR (400 MHz, DMSO-d₆): δ 1.01 (3H, t, J = 7.32 Hz, CH₂CH₃), 2.34 (3H, s, C-4 CH₃), 2.51 (2H, q, J = 7.32 Hz, CH₂CH₃), 3.61 (1H, t, J = 2.20 Hz, C=CH), 4.89 (2H, d, J = 2.20 Hz, -
OCH$_2$C≡CH), 6.94-6.96 (2H, m, C-6H and C-8H), 7.66 (1H, d, $J = 8.80$ Hz, C-5H); $^{13}$C NMR (100.6 MHz, DMSO-$d_6$): $\delta$ 12.97 (CH$_2$CH$_3$), 14.37 (C-4 CH$_3$), 20.26 (CH$_2$CH$_3$), 56.03 (OCH$_2$C≡CH), 78.82 (C≡CH), 79.19 (C≡CH), 101.52 (C-8), 112.47 (C-6), 114.26 (C-10), 124.14 (C-3), 126.37 (C-5), 146.41 (C-4), 152.88 (C-9), 159.16 (C-7) and 160.75 (CO); HR-ESI-TOF-MS: $m/z$ 243.0976 ([M+H]$^+$), calcd. for [C$_{13}$H$_{14}$O$_3$+H]$^+$ 243.0976.

1.6.9.4. 4-Propargyloxycoumarin (41d)

It was obtained as a light yellow solid (yield = 81%). M. P. 127-130 °C. IR (KBr) $v_{\text{max}}$: 3255 (C≡CH), 2800, 2098, 1700 (CO), 1500, 1269, 1066 and 776 cm$^{-1}$; $^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 3.82 (1H, t, $J = 2.20$ Hz, C=C=H), 4.82 (2H, d, $J = 2.20$ Hz, -OCH$_2$C≡CH), 5.84 (1H, s, C-3H), 7.27-7.31 (2H, m, C-6H and C-8H), 7.63-7.65 (1H, m, C-5H) and 7.83 (1H, d, $J = 7.32$ Hz, C-5H); $^{13}$C NMR (100.6 MHz, CDCl$_3$): $\delta$ 65.91 (OCH$_2$C≡CH), 73.65 (C≡CH), 77.00 (C≡CH), 87.41 (C-3), 118.79 (C-8), 119.75 (C-10), 126.69 (C-5), 128.31 (C-6), 129.04 (C-7), 149.04 (C-9), 158.24 (C) and 160.01 (C-4); HR-ESI-TOF-MS: $m/z$ 201.0507 ([M+H]$^+$), calcd. for [C$_{12}$H$_8$O$_3$+H]$^+$ 201.0208.

1.6.9.5. 2-Propargyloxynaphthalene (41e)

It was obtained as white solid (yield = 91%). M. P. 70-73 °C. IR (KBr) $v_{\text{max}}$: 3245 (C≡CH), 2789, 2103, 1449, 1230, 1090 and 782 cm$^{-1}$; $^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 2.49 (1H, t, $J = 2.40$ Hz, C=C=H), 4.80 (2H, d, $J = 2.40$ Hz, -OCH$_2$C≡CH), 6.85 (1H, m, C-3H), 7.31 (1H, m, C-1H), 7.42 (2H, m, C-6H and C-7H), 7.93-7.97 (2H, m, C-4H and C-8H) and 8.25 (1H, m, C-5H); $^{13}$C NMR (100.6 MHz, CDCl$_3$): $\delta$ 56.20 (OCH$_2$C≡CH), 75.71 (CH≡C), 78.73 (CH≡C), 105.62 (C-1), 121.33 (C-3), 122.10 (C-6), 125.51 (C-7), 125.76 (C-8), 126.69 (C-5), 127.61 (C-9), 129.50 (C-4) and 134.60 (C-2); HR-ESI-TOF-MS: $m/z$ 183.0765 ([M+H]$^+$), calcd. for [C$_{13}$H$_{10}$O+H]$^+$ 183.0778.

1.6.9.6. 1-Propargyloxynaphthalene (41f)

It was obtained as white solid (yield = 93%). M. P. 69-74 °C. IR (KBr) $v_{\text{max}}$: 3257 (C≡CH), 2809, 2176, 1431, 1271, 1100 and 788 cm$^{-1}$; $^1$H NMR (400 MHz, CDCl$_3$): $\delta$ 2.53 (1H, t, $J = 2.20$ Hz, C=C=H), 4.78 (2H, t, $J = 2.22$ Hz, -OCH$_2$C≡CH), 7.16-7.21 (2H, m, C-2H and C-3H), 7.36-7.38 (2H, m, C-6H and C-7H), 7.42 (1H, m, C-4H), 7.75 (1H,
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m, C-5H) and 8.25 (1H, m, C-8H); $^{13}$C NMR (100.6 MHz, CDCl$_3$): δ 55.90 (OCH$_2$C=CH), 75.00 (CH=C), 78.56 (CH=C), 101.50 (C-2), 118.73 (C-4), 124.10 (C-8), 126.51 (C-7), 126.95 (C-3), 127.79 (C-6), 129.41 (C-5), 129.60 (C-10), 134.39 (C-9) and 155.50 (C-1); HR-ESI-TOF-MS: $m/z$ 183.0765 ([M+H]$^+$), calcd. for [C$_{13}$H$_{10}$O+H]$^+$ 183.0778.

1.6.10. General procedure for the synthesis of triazolynucleosides 42a-f

To a solution of 3'-azido-3'-deoxy-5-methyluridine (36, 3.60 mmol) and propargyloxy: coumarins and naphthalenes 41a-f (4.32 mmol) in EtOH/H$_2$O/THF (3 mL, 1/1/1), was added copper (I) iodide (0.54 mmol). Thereafter the reaction mixture was stirred at 60 °C and the reaction was monitored by TLC. On completion of the reaction the solvent was evaporated under reduced pressure and the crude product thus obtained was purified by silica gel column chromatography using methanol/chloroform as eluent to afford the desired products 42a-f.

1.6.10.1. 3'-Deoxy-3'-[4-(coumarin-7-yloxy)methylene]-1,2,3-triazol-1-yl]-5-methyluridine (42a)

It was obtained as white solid (yield = 80 %). M. P. 180-184 °C. IR (KBr) ν$_{max}$: 3404, 2924, 1760, 1742, 1696, 1466, 1389, 1289, 1149, 1073 and 849 cm$^{-1}$; $^1$H NMR (400 MHz, DMSO-$d_6$): δ 1.79 (3H, s, C-5 CH$_3$), 3.58-3.61 (1H, m, C-5'Ha), 3.73-3.76 (1H, m, C-5'Hb), 4.49 (1H, m, C-4'H), 4.65 (1H, m, C-3'H), 5.27 (3H, m, C-4'' CH$_2$ and C-2'H), 5.46 (1H, brs, OH), 5.97 (1H, d, J = 3.64 Hz, C-1'H), 6.08 (1H, brs, OH), 6.29 (1H, d, J = 9.52 Hz, C-3'''H), 7.02 (1H, d, J = 8.04 Hz, C-6''''H), 7.64 (1H, d, J = 8.08 Hz, C-8''''H), 7.87 (1H, s, C-6'H), 7.99 (1H, d, J = 8.04 Hz, C-5''''H), 8.35 (1H, s, C-5''''H), 11.34 (1H, s, NH); $^{13}$C NMR (100.6 MHz, DMSO-$d_6$): δ 12.98 (C-5 CH$_3$), 60.66 (C-3'), 60.80 (C-5'), 61.57 (C-2'), 72.58 (C-4'), 81.34 (C-4'' CH$_2$), 88.35 (C-1'), 101.22 (C-8''), 109.56 (C-5), 112.46 (C-6''), 113.92 (C-10''), 123.87 (C-3''), 126.26 (C-5''), 126.37 (C-5''), 136.23 (C-6), 141.52 (C-4''), 146.48 (CO), 150.70 (C-4''), 153.06 (C-9''), 160.13 (C-7''), 160.79 and 163.73 (2 x CO); HR-ESI-TOF-MS: $m/z$ 484.1424 ([M+H]$^+$), calcd. for [C$_{22}$H$_{21}$N$_3$O$_8$+H]$^+$ 484.1300.
1.6.10.2. 3'-Deoxy-3'-(4-(4-methylcoumarin-7-yloxy)methylene)-1,2,3-triazol-1-yl]-5-methyluridine (42b)

It was obtained as white solid (yield = 82%). M. P. 234-238 °C. IR (KBr) ν_max: 3419, 2928, 1745, 1715, 1693, 1477, 1290, 1137, 1013 and 837 cm⁻¹; ¹H NMR (400 MHz, DMSO-d₆): δ 1.79 (3H, s, C-5 CH₃), 3.57-3.62 (1H, m, C-5'Ha), 3.72-3.76 (1H, m, C-5'Hb), 4.48 (1H, m, C-4'H), 4.64 (1H, m, C-3'H), 5.22-5.27 (3H, m, C-4'' CH₂ and C-2'H), 5.38 (1H, t, J = 4.40 Hz, OH), 5.97 (1H, d, J = 5.12 Hz, C-1'H), 6.02 (1H, d, J = 5.12 Hz, C-3''H), 6.21 (1H, s, OH), 7.04 (1H, dd, J = 2.20 and 8.80 Hz, C-6''H), 7.16 (1H, d, J = 2.20 Hz, C-8''H), 7.68 (1H, d, J = 8.80 Hz, C-5''H), 7.85 (1H, s, C-6H), 8.29-8.33 (2H, m, C-5''H and C-4''H), 11.37 (1H, s, NH); ¹³C NMR (100.6 MHz, DMSO-d₆): δ 12.27 (C-5 CH₃), 60.76 (C-3'), 60.99 (C-5'), 61.69 (C-2'), 72.52 (C-4'), 81.43 (C-4'' CH₂), 88.23 (C-1'), 101.54 (C-8'''), 109.62 (C-5), 112.61 (C-6'''), 112.69 (C-10'''), 112.90 (C-3''''), 126.42 (C-5'''), 129.57 (C-5'''), 136.30 (C-6), 141.38 (C-4'''), 144.36 (C-4''''), 150.75 (CO), 155.35 (C-9'''), 160.33 (C-7'''), 161.22 and 163.78 (2 x CO); HR-ESI-TOF-MS: m/z 498.1580 ([M+H]⁺), calcd. for [C₂₅H₂₃N₅O₈+H]⁺ 498.1581.

1.6.10.3. 3'-Deoxy-3'-(4-(3-ethyl-4-methylcoumarin-7-yloxy)methylene)-1,2,3-triazol-1-yl]-5-methyluridine (42c)

It was obtained as white solid (yield = 79%). M. P. 240-243 °C. IR (KBr) ν_max: 3397, 2930, 1749, 1684, 1465, 1387, 1257, 1156, 1071 and 780 cm⁻¹; ¹H NMR (400 MHz, DMSO-d₆): δ 0.95 (3H, t, J = 7.68 Hz, -CH₂CH₃), 1.71 (3H, s, C-5 CH₃), 2.29 (3H, s, C-4'' CH₃), 2.46 (2H, q, J = 7.32 Hz, -CH₂CH₃), 3.51 (1H, dd, J = 3.64 and 12.44 Hz, C-5'Ha), 3.66 (1H, dd, J = 3.68 and 12.44 Hz, C-5'Hb), 4.41 (1H, m, C-4'H), 4.56 (1H, m, C-3'H), 5.14-5.19 (3H, m, C-4'' CH₂ and C-2'H), 5.30 (1H, t, J = 5.16 Hz, OH), 5.89 (1H, d, J = 5.16 Hz, C-1'H), 5.93 (1H, d, J = 5.12 Hz, OH), 6.93 (1H, dd, J = 2.92 and 8.80 Hz, C-6''H), 7.04 (1H, d, J = 2.92 Hz, C-8''H), 7.60 (1H, d, J = 8.80 Hz, C-5''H), 7.77 (1H, s, C-6H), 8.25 (1H, s, C-5''H), 11.29 (1H, s, NH); ¹³C NMR (100.6 MHz, DMSO-d₆): δ 12.27 (C-5 CH₃), 12.98 (CH₂CH₃), 14.36 (C-4'''), 60.80 (C-3'), 61.57 (C-5'), 72.58 (C-2'), 81.34 (C-4'), 88.35 (C-4'' CH₂), 101.22 (C-1'), 109.56 (C-8'''), 112.46 (C-5 and C-6'''), 113.92 (C-10'''), 123.87 (C-3'''), 126.26 (C-5''), 126.37 (C-5'''), 136.23 (C-6), 141.52 (C-4'''), 146.48 (C-4''''), 150.70 (CO), 153.06 (C-9'''), 160.13 (C-7''''), 160.79 and 163.74 (2
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x CO); HR-ESI-TOF-MS: m/z 526.1893 ([M+H]⁺), calcd. for [C_{25}H_{27}N_{5}O_{6}+H]^+ 526.1891.

1.6.10.4. 3’-Deoxy-3’-[4-(coumarin-4-yloxy)methylene]-1,2,3-triazol-1-yl]-5-
methyluridine (42d)

It was obtained as white solid (yield = 76%). M. P. 206-210 °C. IR (KBr) ν_{max}: 3370, 2926, 1760, 1742, 1690, 1376, 1240, 1107 and 767 cm⁻¹; ^1H NMR (400 MHz, DMSO-
d₆): δ 1.79 (3H, s, C-5 CH₃), 3.74-3.78 (3H, m, C-5'Ha, C-5'Hb and OH), 4.49-4.52 (2H, m, C-4'H and C-3'H), 4.67-4.68 (1H, m, C-2'H), 5.27 (1H, t, J = 6.60 Hz, OH), 5.43 (2H, s, C-4" CH₂), 5.98 (1H, d, J = 5.12 Hz, C-1'H), 6.17 (1H, s, C-3'''H), 7.33 (1H, t, J = 7.32 Hz, C-6''''H), 7.39 (1H, d, J = 8.08 Hz, C-8''''H), 7.64 (1H, t, J = 7.32 Hz, C-7''''H), 7.73 (1H, d, J = 8.04 Hz, C-5''''H), 7.86 (1H, s, C-6'H), 8.43 (1H, s, C-5'H), 11.38 (1H, s, NH); ^13C NMR (100.6 MHz, DMSO-d₆): δ 12.33 (C-5 CH₃), 60.13 (C-4" CH₂), 61.77 (C-3’), 62.88 (C-5’), 72.65 (C-2’), 81.42 (C-4’), 88.37 (C-3’’’), 91.43 (C-1’), 109.68 (C-5’), 115.10 (C-10’’’), 116.56 (C-8’’’), 122.97 (C-5’’’), 124.33 (C-5’’’’), 126.71 (C-6’’’’), 132.93 (C-7’’’’), 136.31 (C-6), 140.57 (C-4’’’), 150.79 (CO), 152.84 (C-9’’’), 161.69 and 163.84 (2 x CO) and 164.48 (C-3’’’); HR-ESI-TOF-MS: m/z 484.1424 ([M+H]^+), calcd. for [C_{22}H_{21}N_{5}O_{8}+H]^+ 484.1475.

1.6.10.5. 3’-Deoxy-3’-[4-(naphthyl-2-yloxy)methylene]-1,2,3-triazol-1-yl]-5-
methyluridine (42e)

It was obtained as white solid (yield = 85%). M. P. 139-142 °C. IR (KBr) ν_{max}: 3407, 2927, 1756, 1686, 1459, 1396, 1238, 1098 and 772 cm⁻¹; ^1H NMR (400 MHz, DMSO-
d₆): δ 1.82 (3H, s, C-5 CH₃), 3.64 (1H, dd, J = 2.96 and 12.44 Hz, C-5'Ha), 3.80 (1H, dd, J = 3.32 and 12.44 Hz, C-5'Hb), 4.54 (1H, m, C-4'H), 4.72 (1H, m, C-3'H), 5.28 (1H, m, C-2'H), 5.38 (2H, s, C-4" CH₂), 5.42 (1H, t, J = 5.16 Hz, OH), 6.02 (1H, d, J = 4.40 Hz, C-1'H), 6.05 (1H, d, J = 5.16 Hz, OH), 7.22 (1H, d, J = 7.32 Hz, C-1''''H), 7.44-7.55 (4H, m, C-8''''H, C-5''''H, C-6''''H and C-7''''H), 7.87-7.90 (2H, m, C-6H and C-3''''H), 8.15 (1H, d, J = 8.04 Hz, C-4''''H), 8.43 (1H, s, C-5''''H), 11.41 (1H, s, NH); ^13C NMR (100.6 MHz, DMSO-d₆): δ 12.29 (C-5 CH₃), 60.65 (C-3’), 60.75 (C-5’), 61.76 (C-2’), 72.69 (C-4’), 81.33 (C-4" CH₂), 88.41 (C-1’), 105.78 (C-8’’’), 109.54 (C-5), 120.34 (C-2’’’), 121.59 (C-
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5'''), 124.88 (C-5'''), 125.35 (C-7'''), 125.88 (C-6'''), 126.20 (C-4'''), 126.50 (C-10'''), 127.50 (C-9'''), 134.06 (C-3'''), 136.25 (C-6), 142.34 (C-4'''), 150.71 (CO), 153.58 (C-1'''') and 164.76 (CO); HR-ESI-TOF-MS: m/z 466.1682 ([M+H]+), calcd. for [C_{23}H_{23}N_5O_6+H]^+ 466.1501.

1.6.10.6. 3'-Deoxy-3'-[4-(naphthyl-1-yloxy)methylene]-1,2,3-triazol-1-yl]-5-methyluridine (42f)

It was obtained as white solid (yield = 84 %). M. P. 218-220 °C. IR (KBr) ν_max: cm⁻¹; ¹H NMR (400 MHz, DMSO-d₆): δ 1.80 (3H, s, C-5 CH₃), 3.61 (1H, dd, J = 2.92 and 12.44 Hz, C-5'Ha), 3.76 (1H, dd, J = 2.92 and 12.44 Hz, C-5'Hb), 4.50 (1H, m, C-4'H), 4.67 (1H, m, C-3'H), 5.23-5.27 (3H, m, C-2'H and C-4" CH₂), 5.40 (1H, t, J = 5.16 Hz, OH), 5.99 (1H, d, J = 4.40 Hz, C-1'H), 6.03 (1H, d, J = 5.12 Hz, OH), 7.20 (1H, dd, J = 2.92 Hz and J = 9.52 Hz, C-2''H), 7.35 (1H, t, J = 8.08 Hz, C-3''H), 7.47 (1H, t, J = 8.08 Hz, C-6''H), 7.53 (1H, d, J = 2.20 Hz, C-7''H), 7.82-7.85 (3H, m, C-4''H, C-5''H and C-8''H), 7.87 (1H, s, C-6H), 8.35 (1H, s, C-5''H), 11.39 (1H, s, NH); ¹³C NMR (100.6 MHz, DMSO-d₆): δ 12.28 (C-5 CH₃), 60.67 (C-3'), 60.78 (C-5'), 61.14 (C-2'), 72.60 (C-4'), 81.38 (C-4" CH₂), 88.36 (C-1'), 107.09 (C-4''), 109.56 (C-5), 118.68 (C-4''''), 123.73 (C-5''), 126.08 (C-8''''), 126.47 (C-7''''), 126.78 (C-3''''), 127.55 (C-6''''), 128.61 (C-5''''), 129.39 (C-10''''), 134.23 (C-9'''), 136.25 (C-6), 142.05 (C-4''), 150.71 (CO), 156.02 (C-1'''') and 163.75 (CO); HR-ESI-TOF-MS: m/z 466.1680 ([M+H]^+), calcd. for [C_{23}H_{23}N_5O_6+H]^+ 425.1501.
1.7. REFERENCES


Synthesis of 3’-Conjugated Triazolynucleoside


