Supplementary Material

Stereoselective synthesis of tetrahydrofuranyl 1,2,3-triazolyl *C*-nucleoside analogues by 'click' chemistry and investigation of their biological activity

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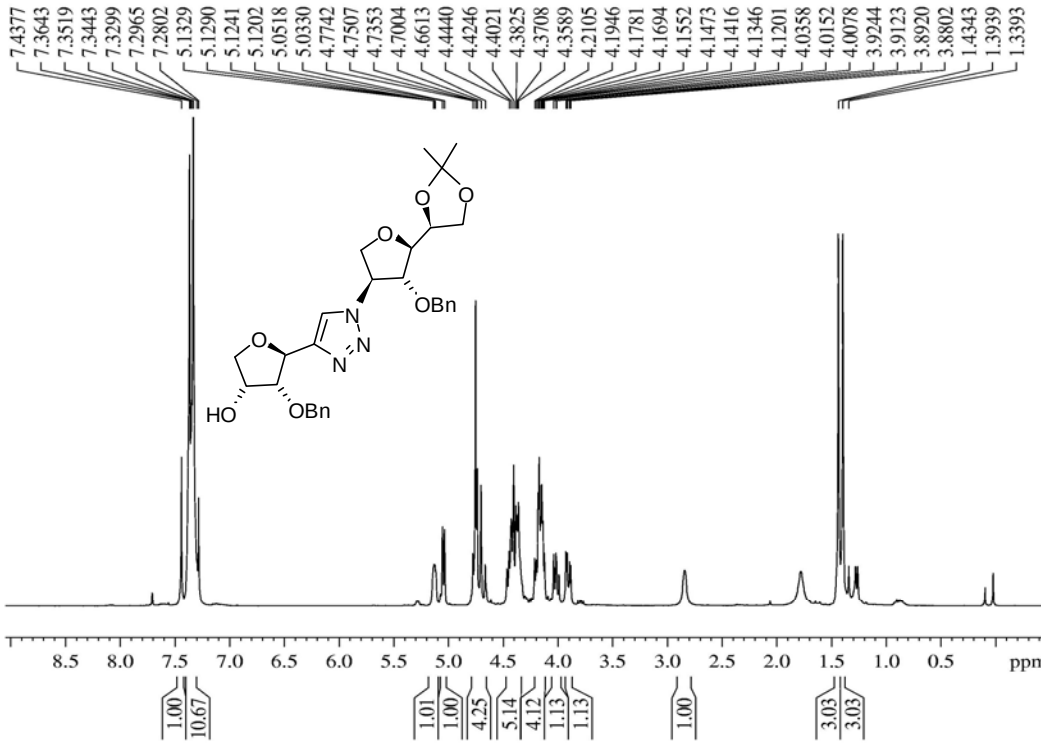

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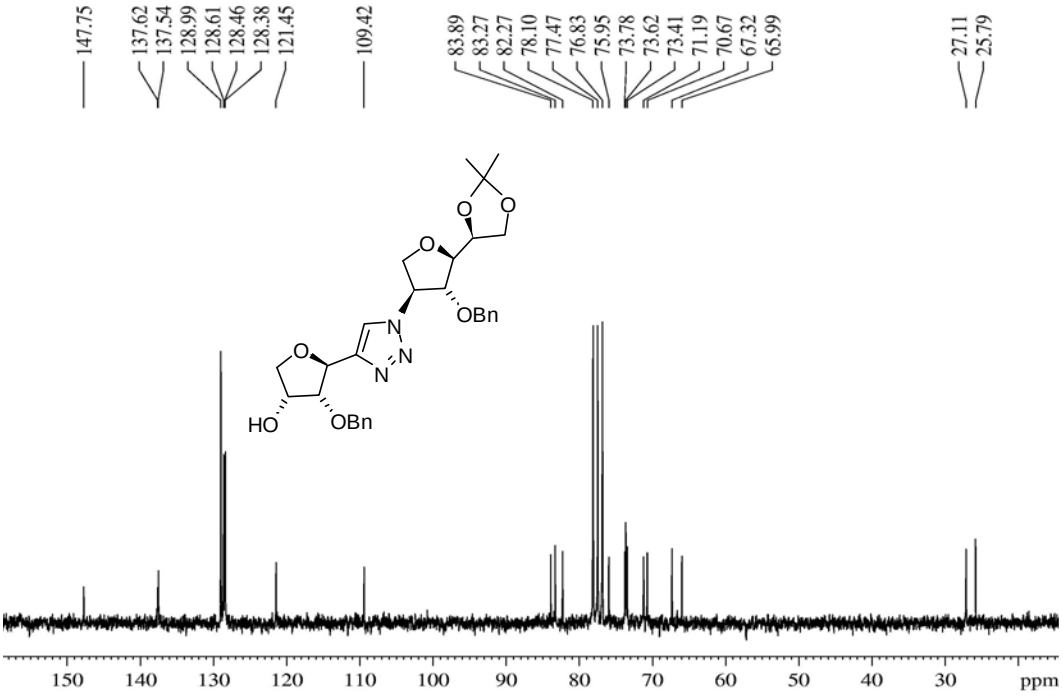

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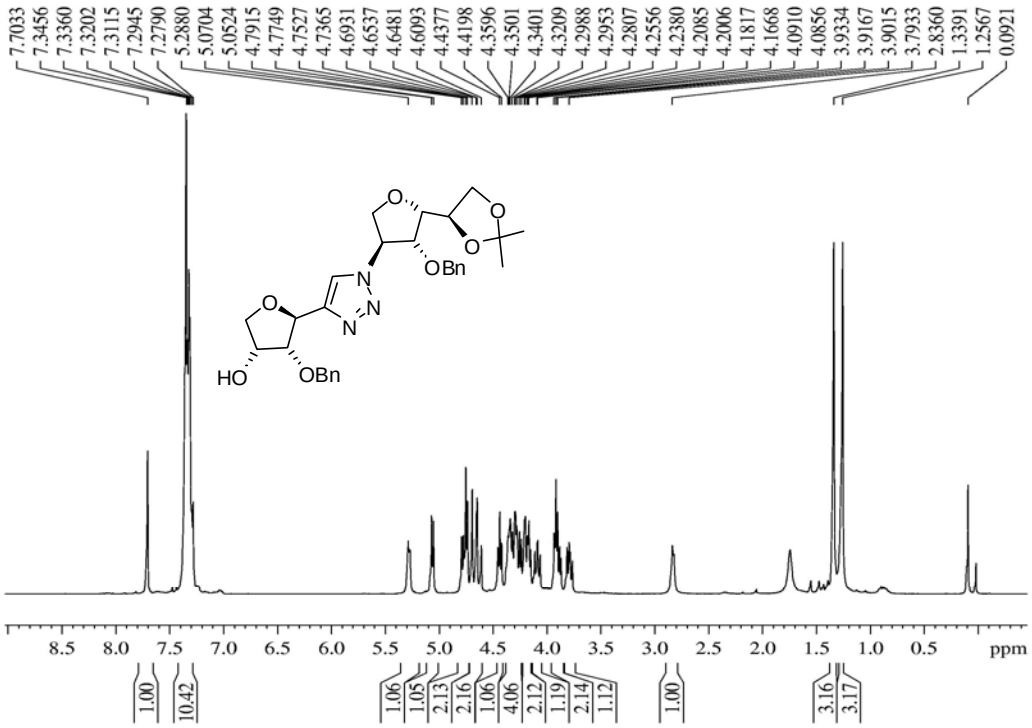

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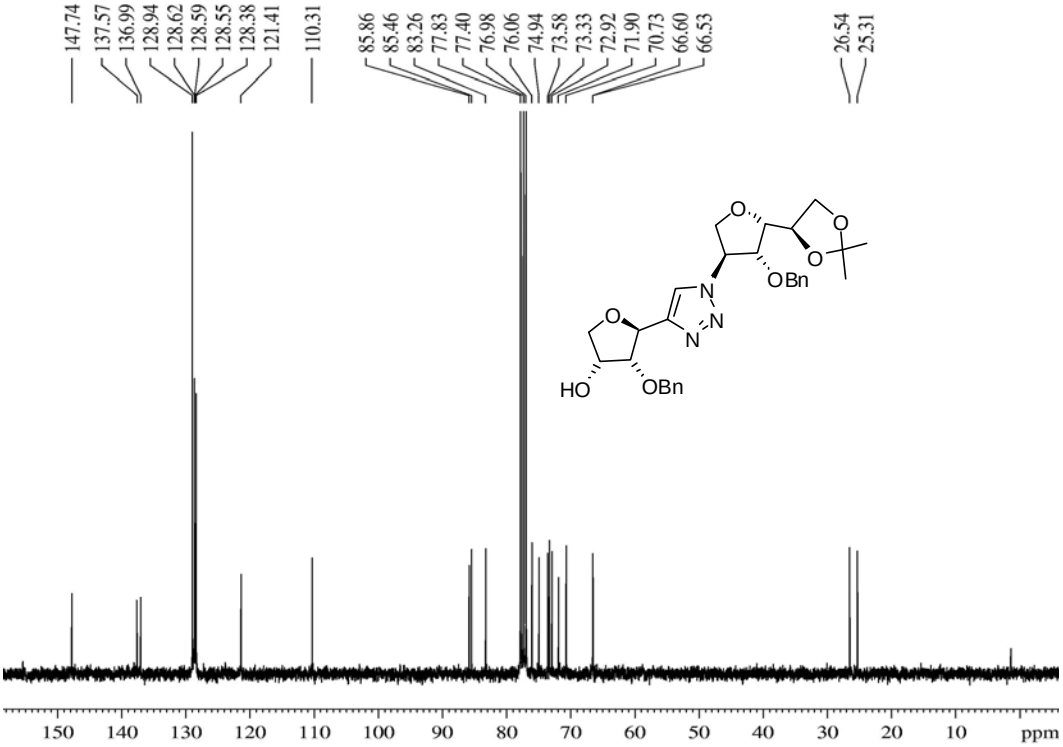

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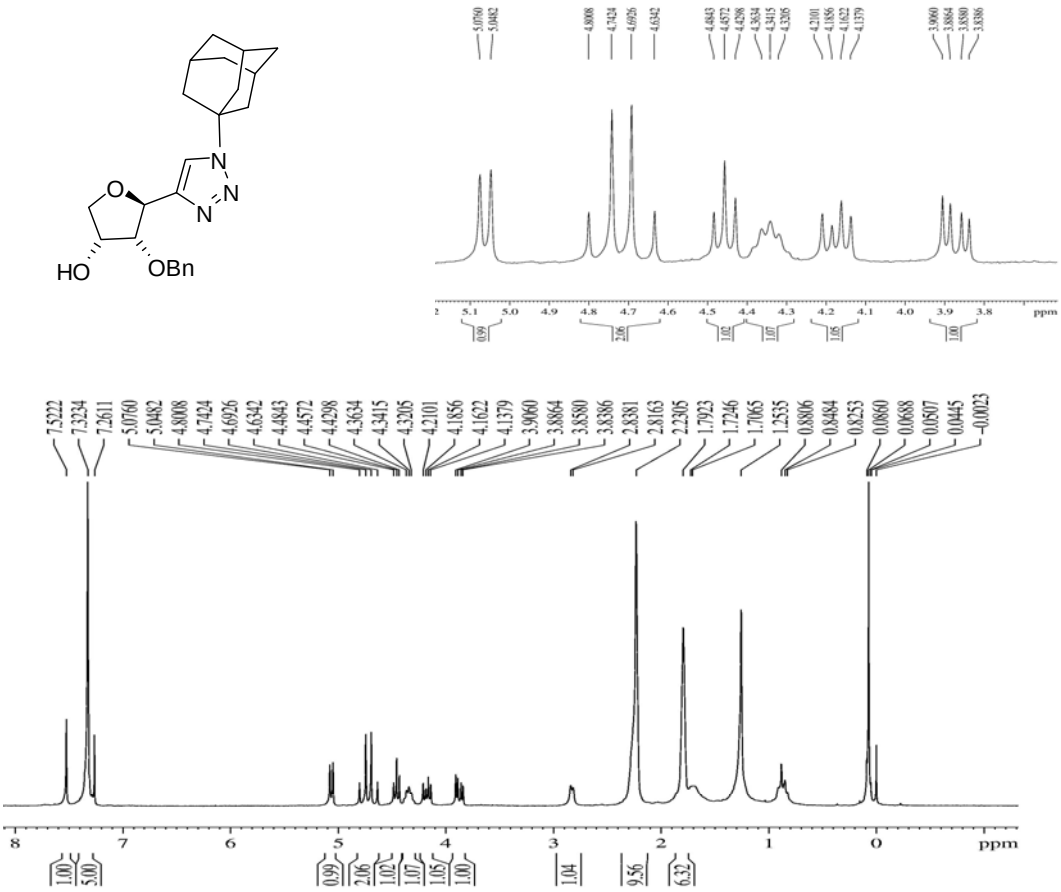

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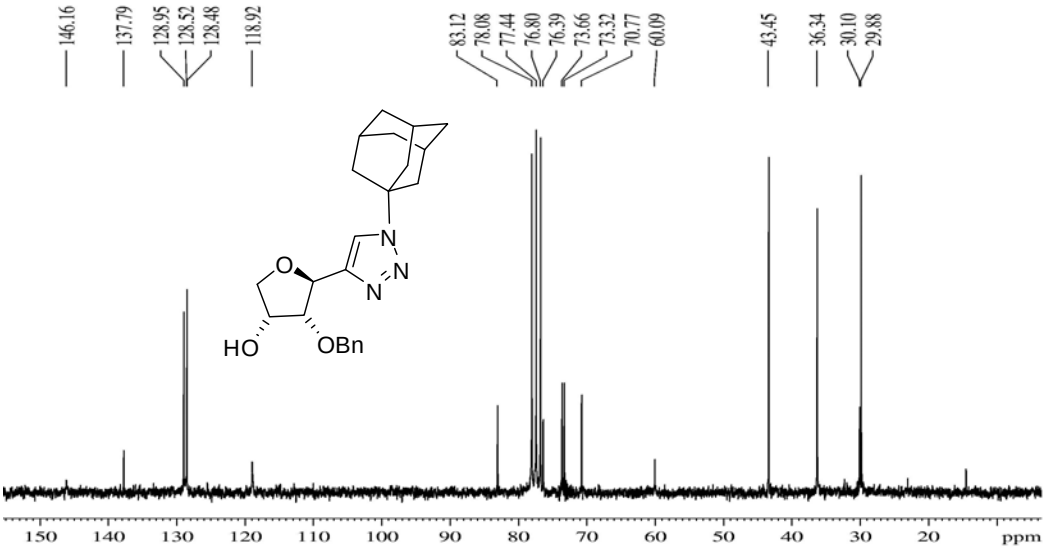

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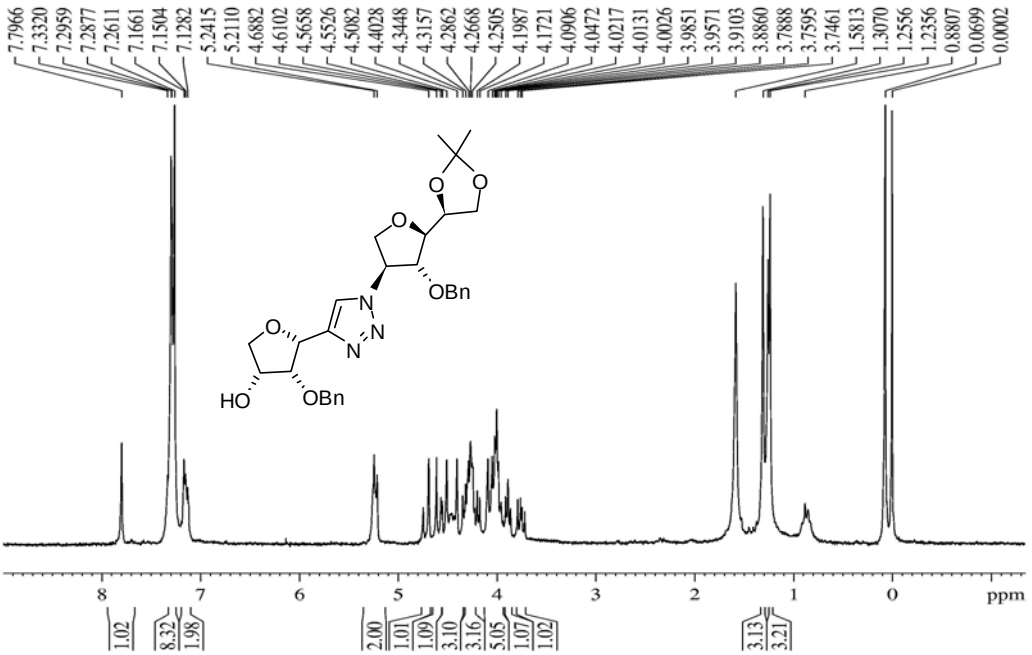

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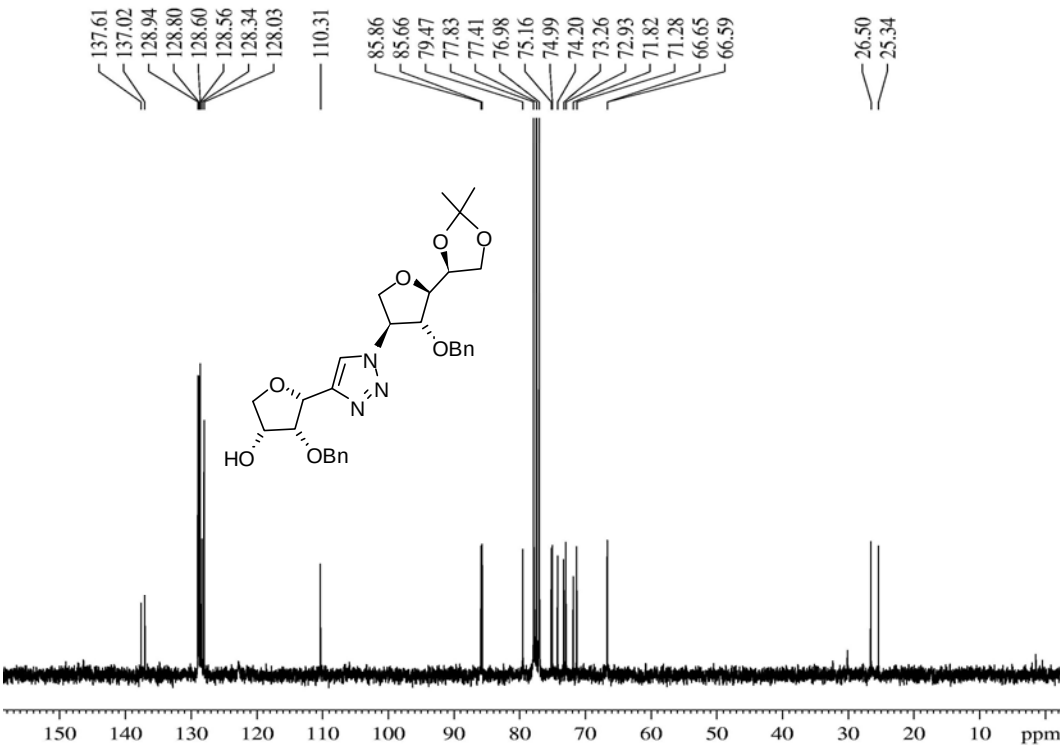

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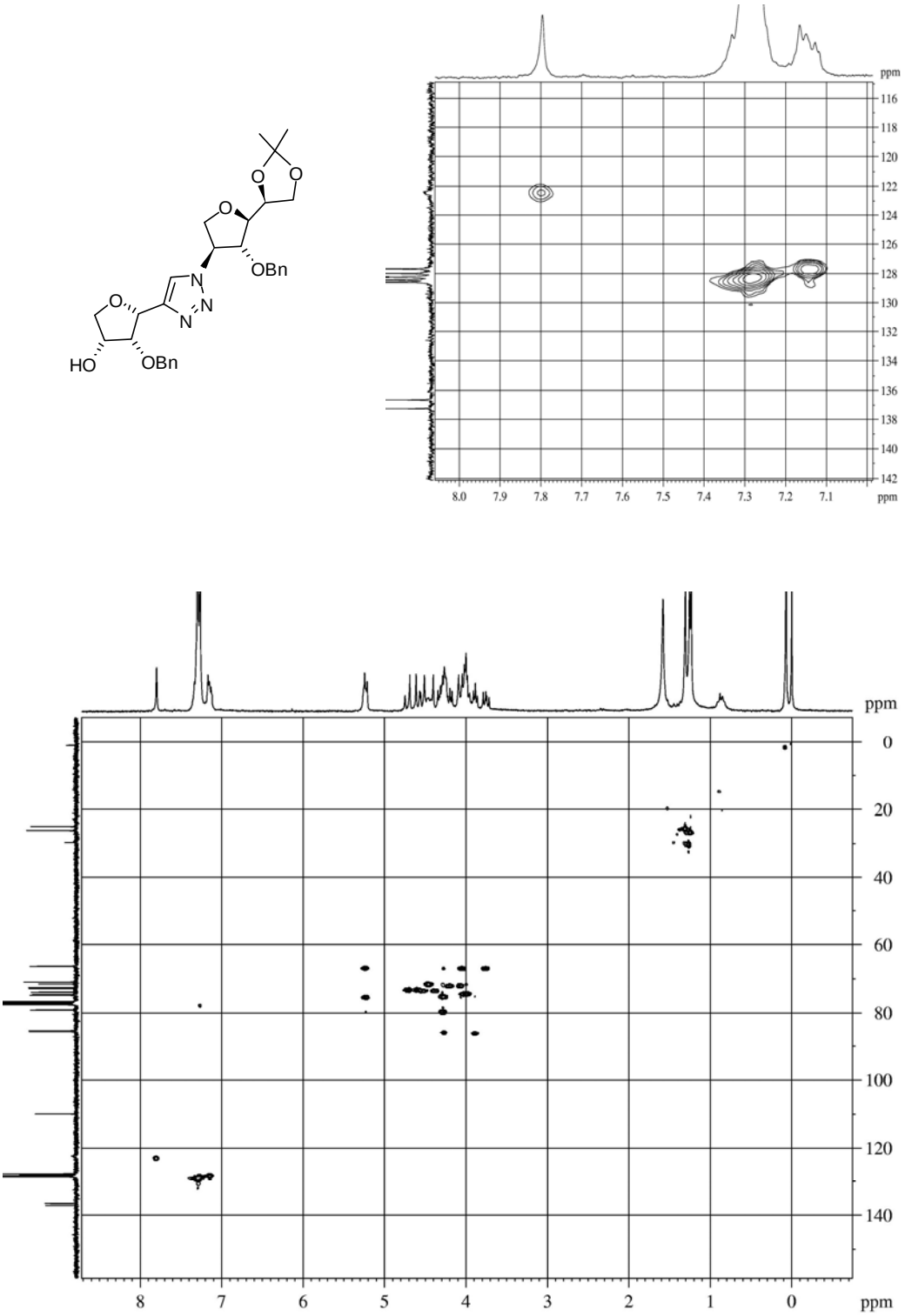

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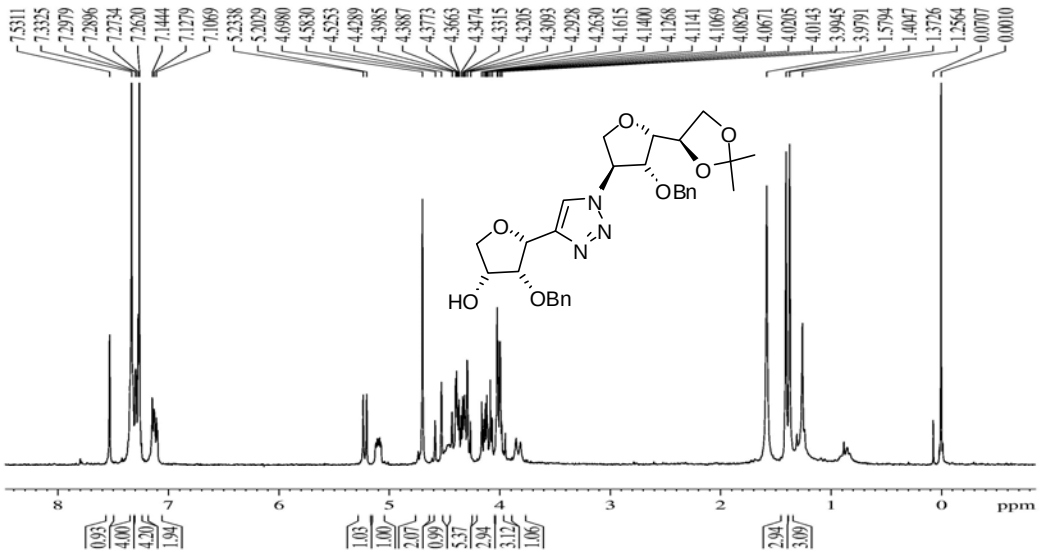

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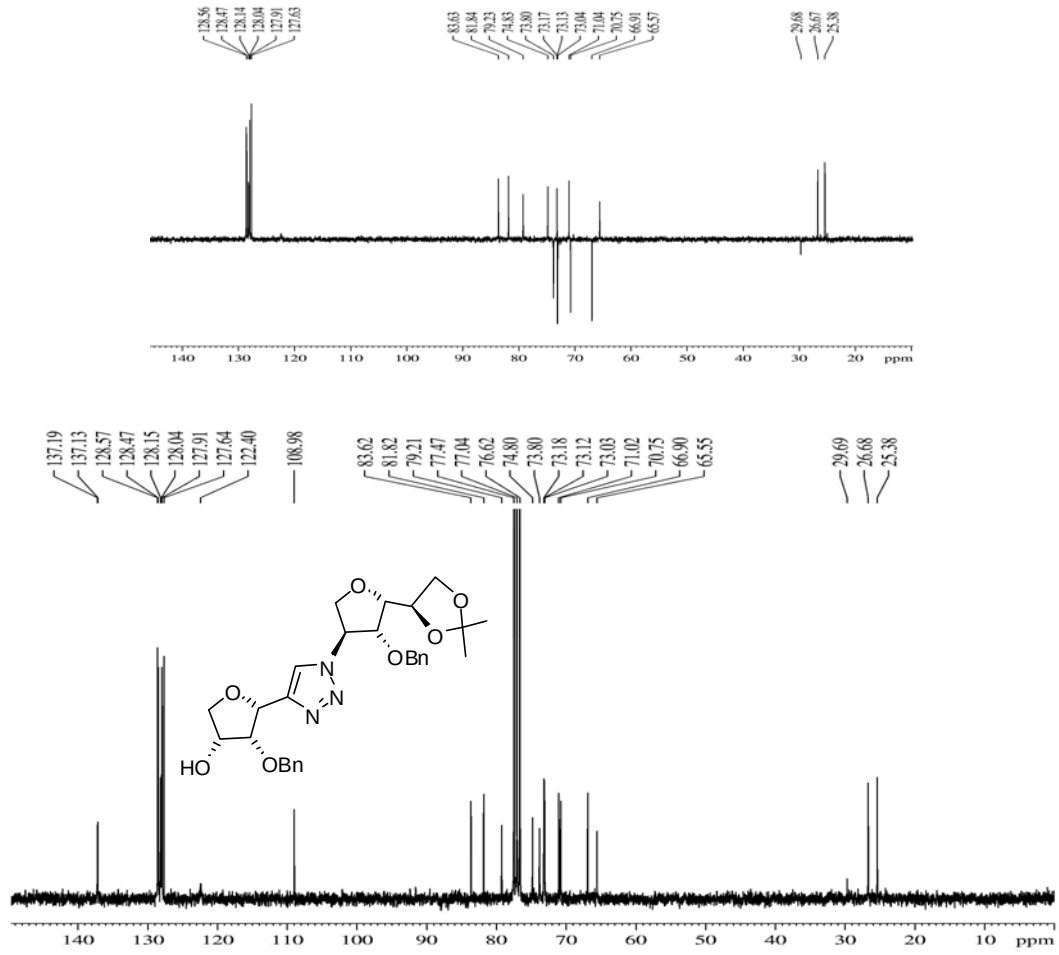

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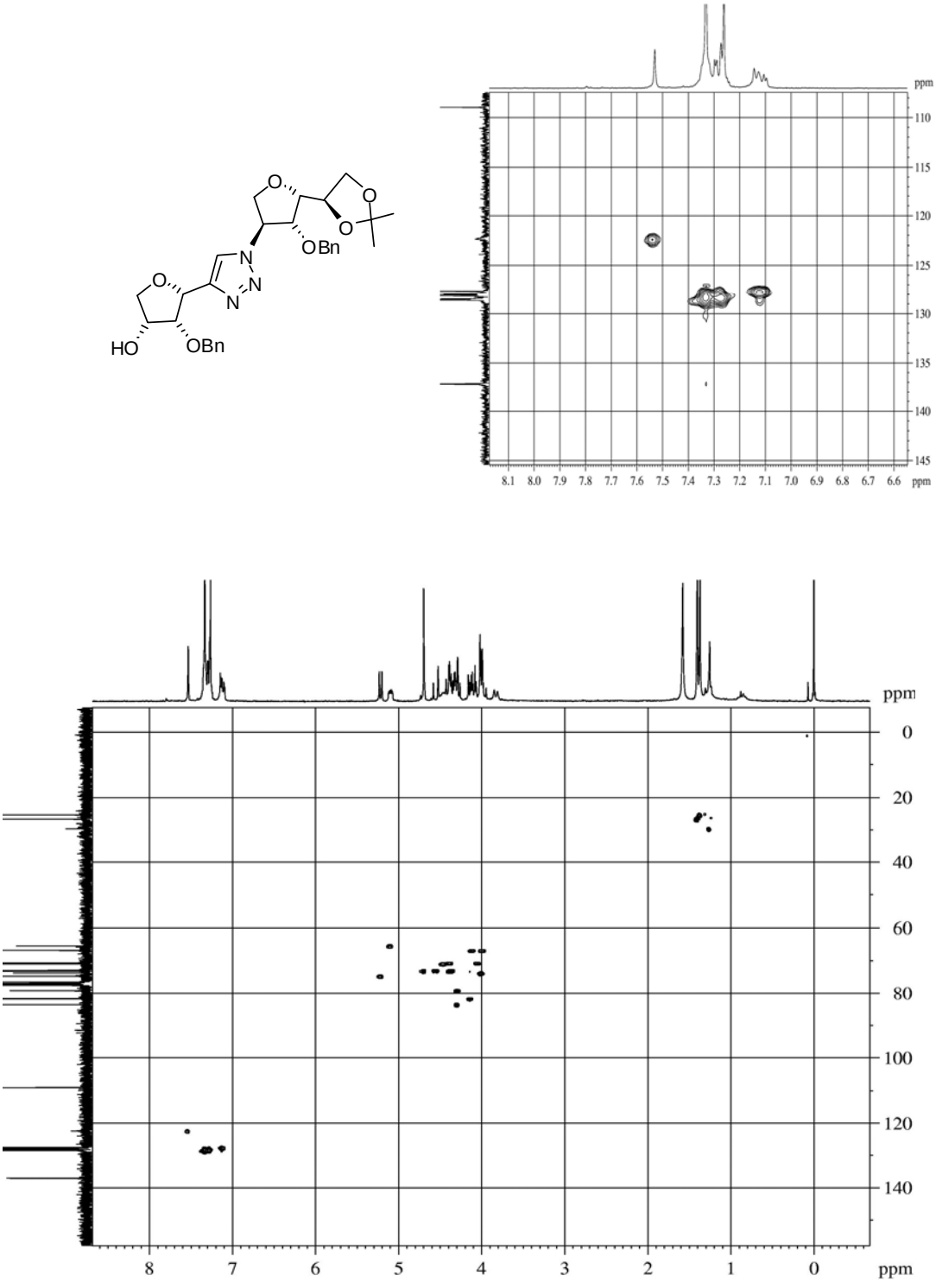

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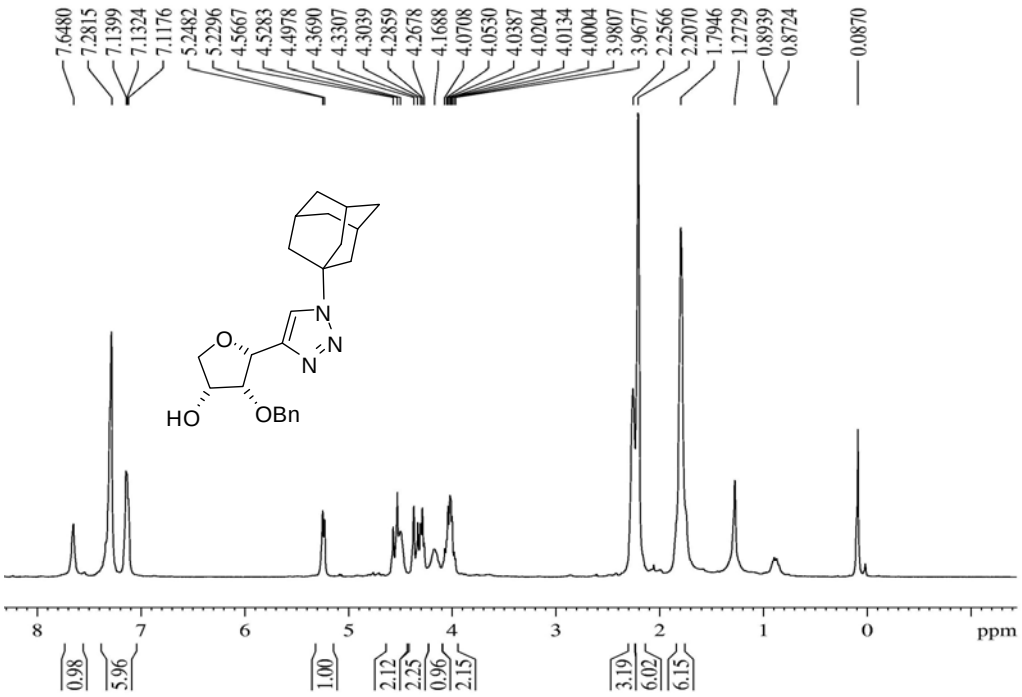

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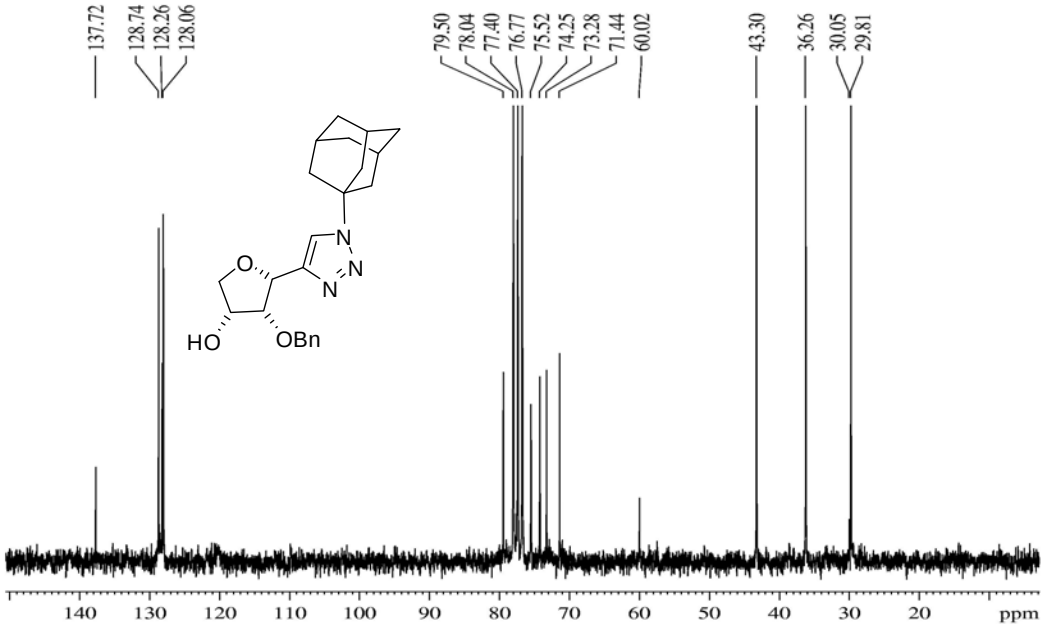

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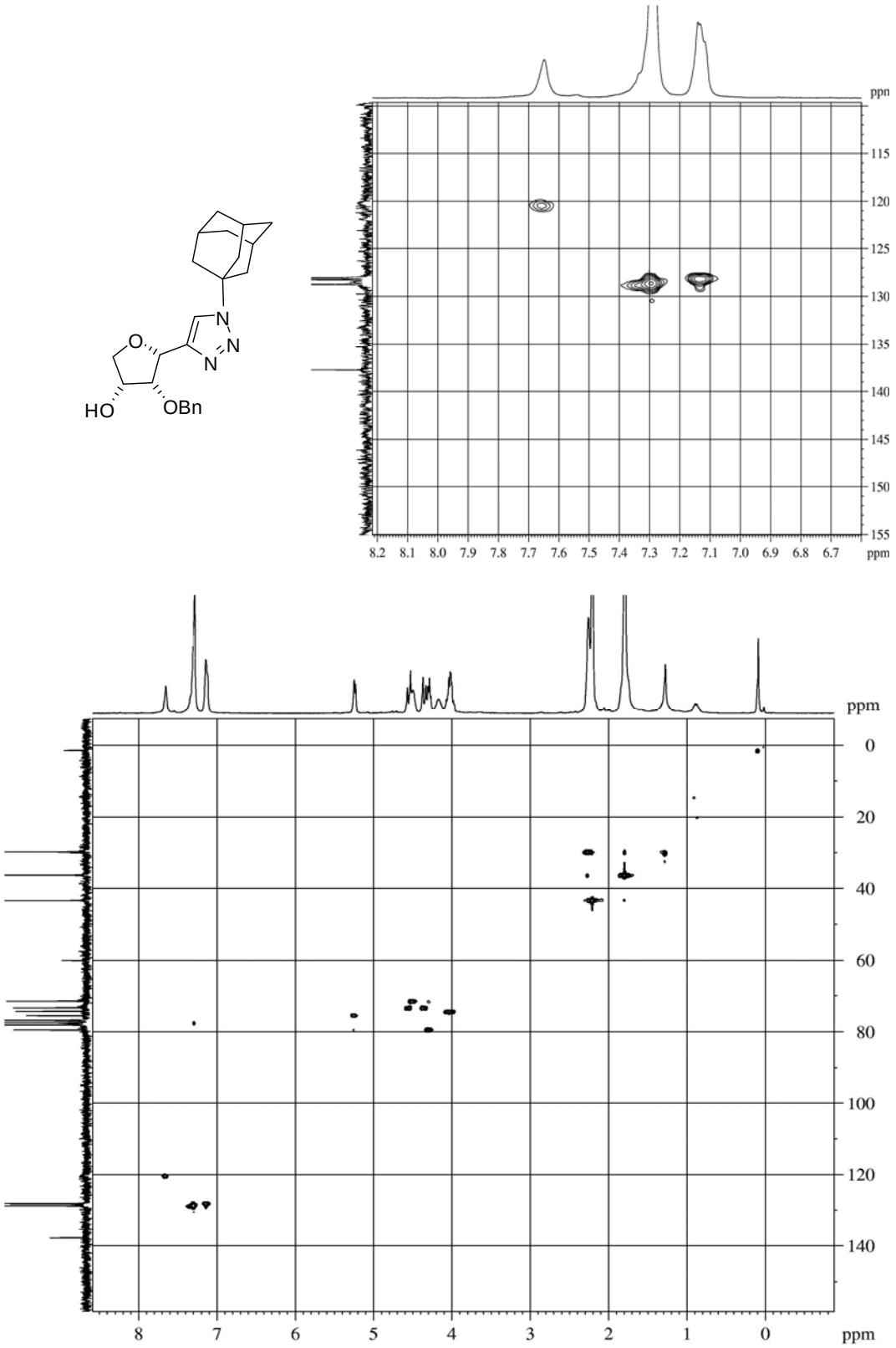

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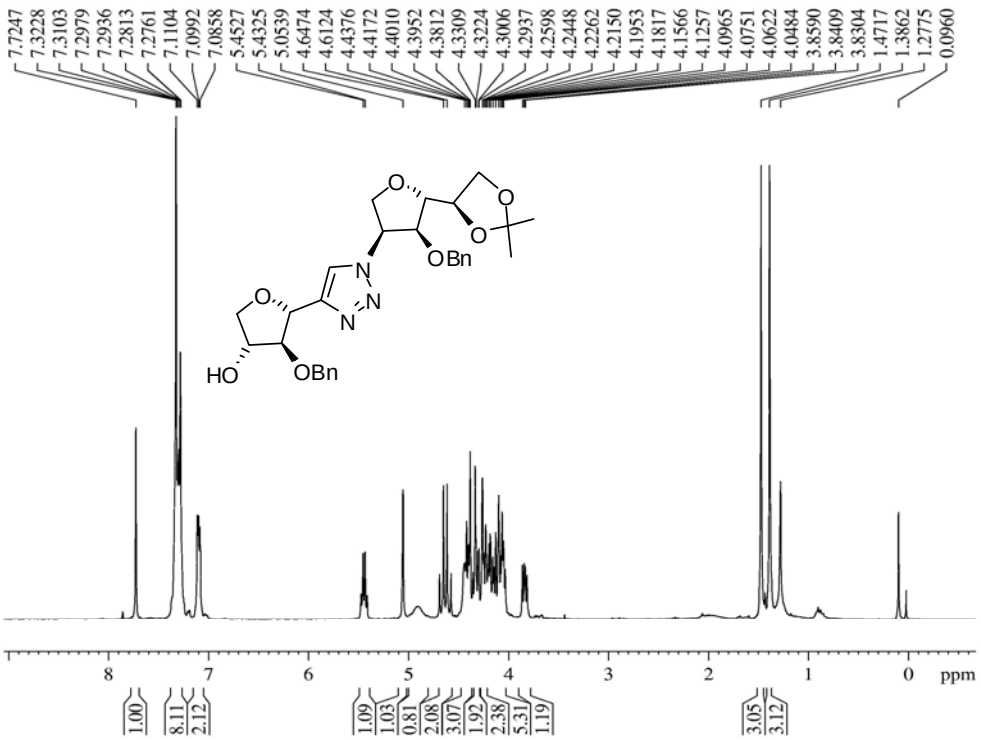

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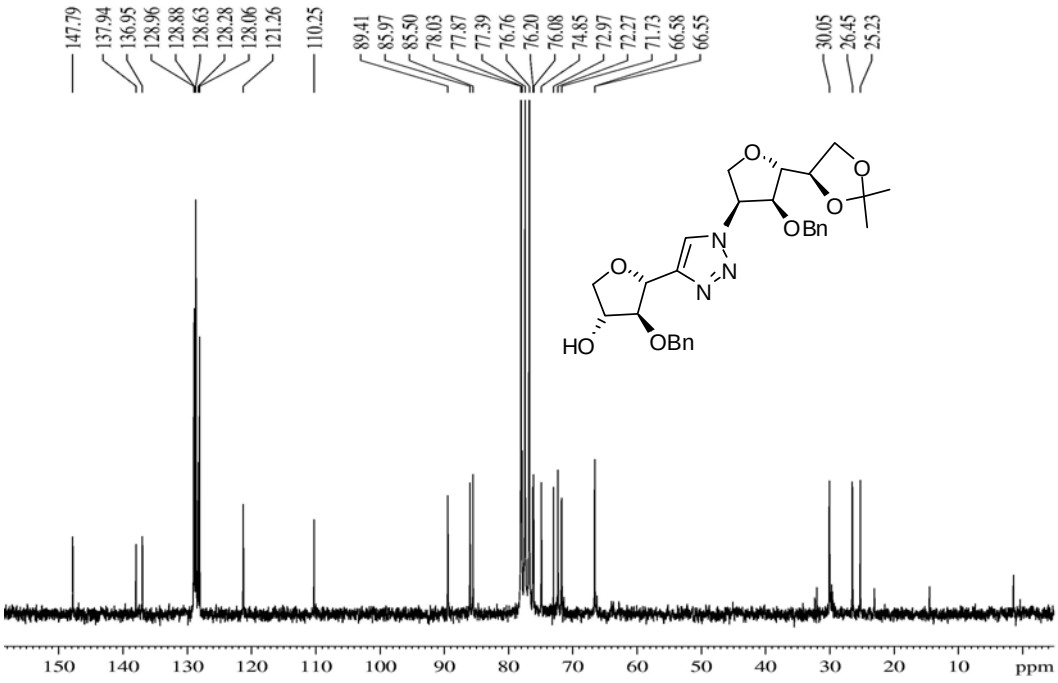

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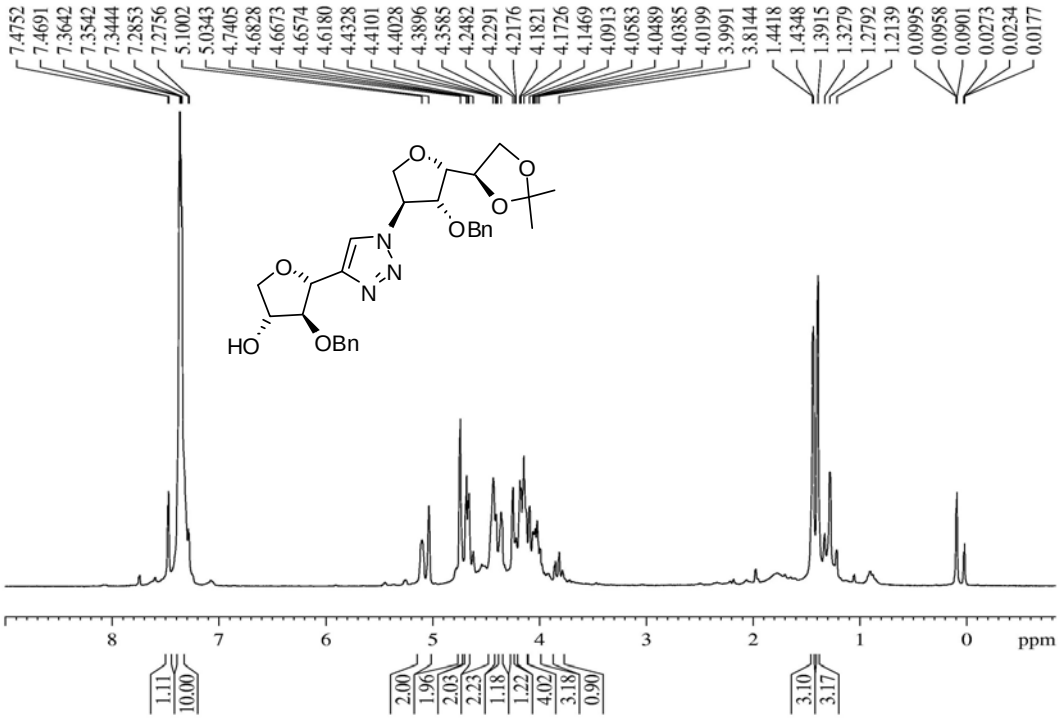

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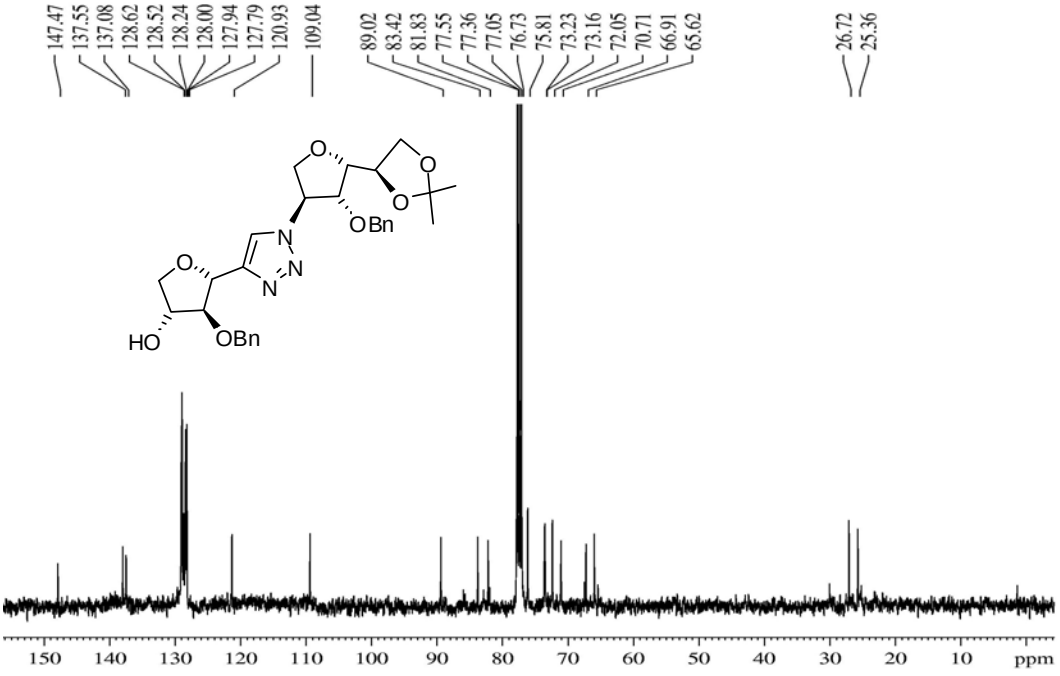

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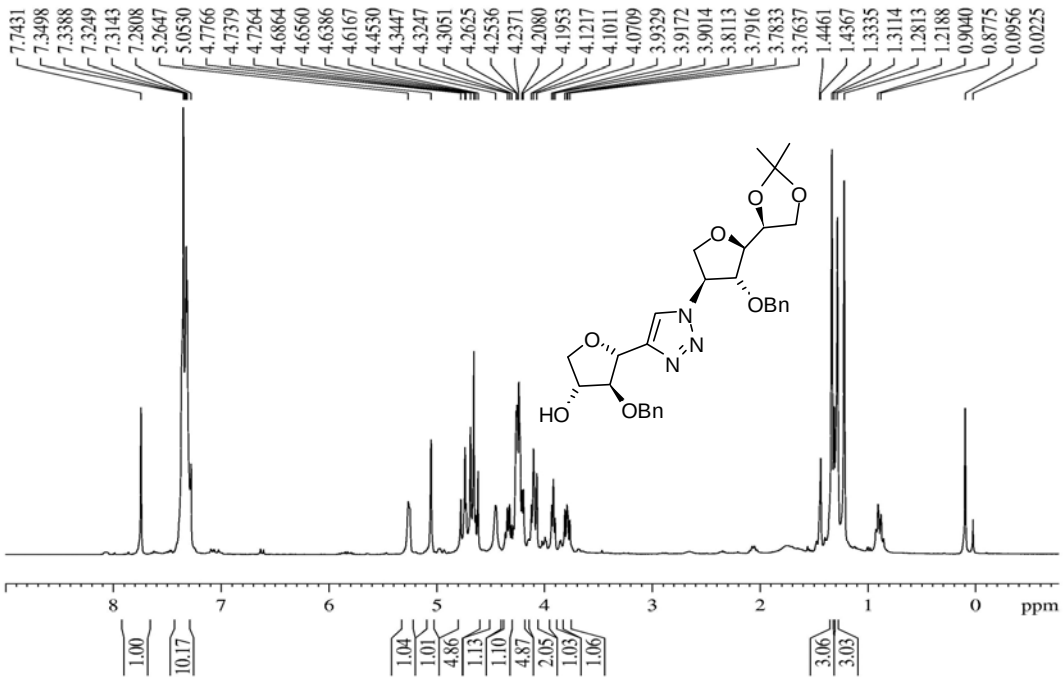

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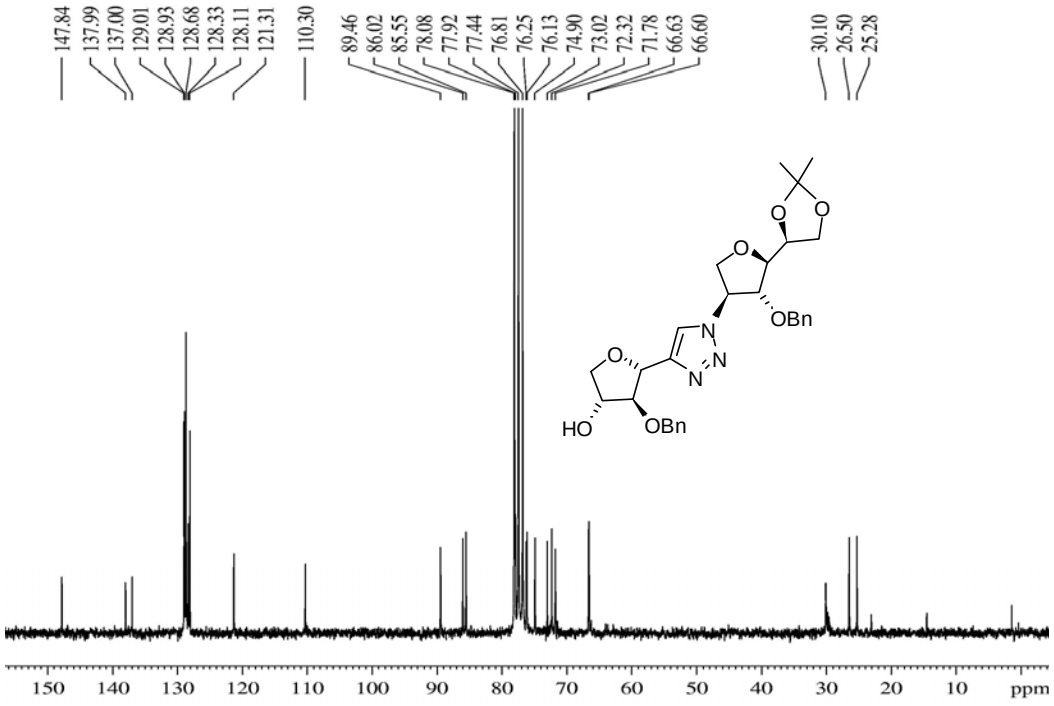

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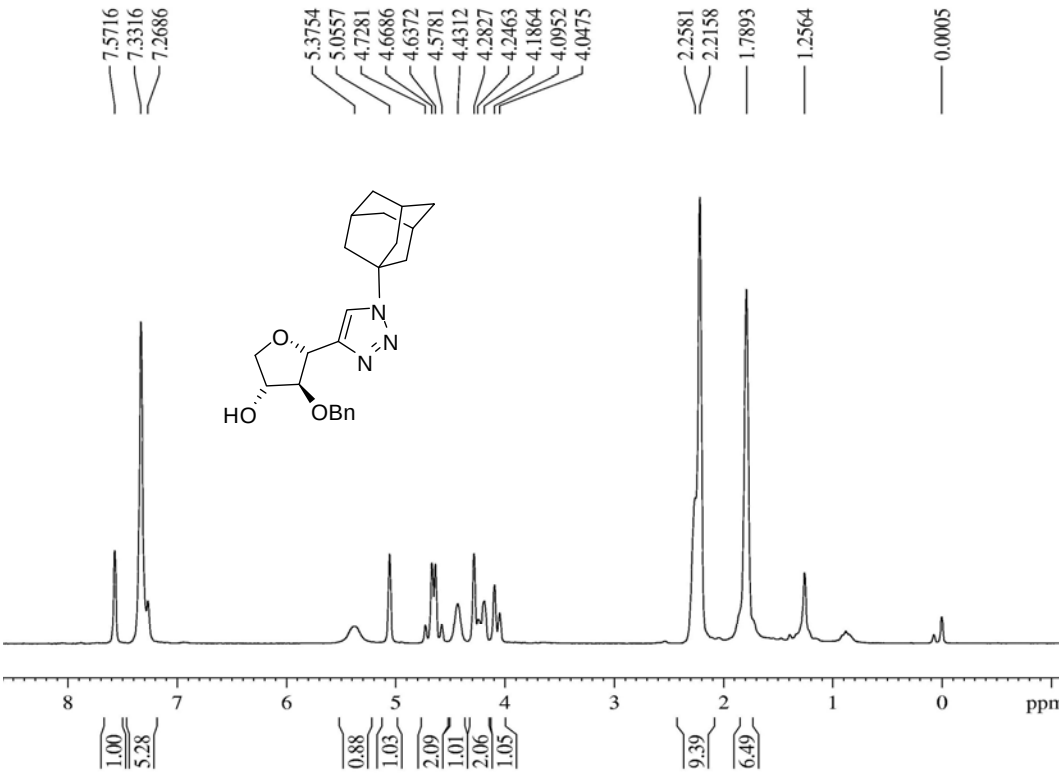

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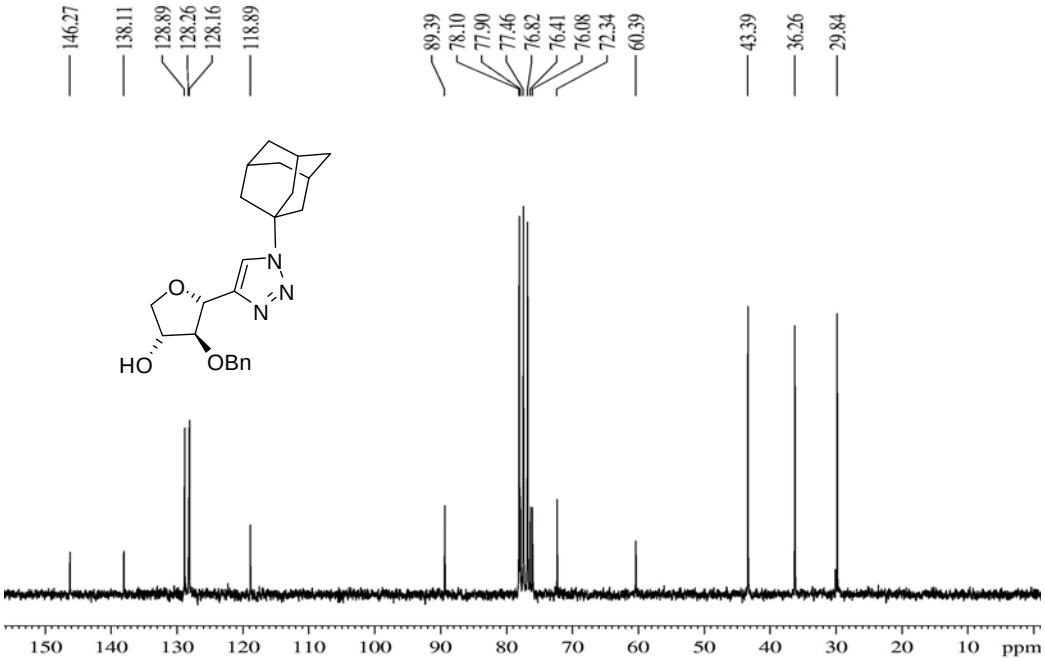

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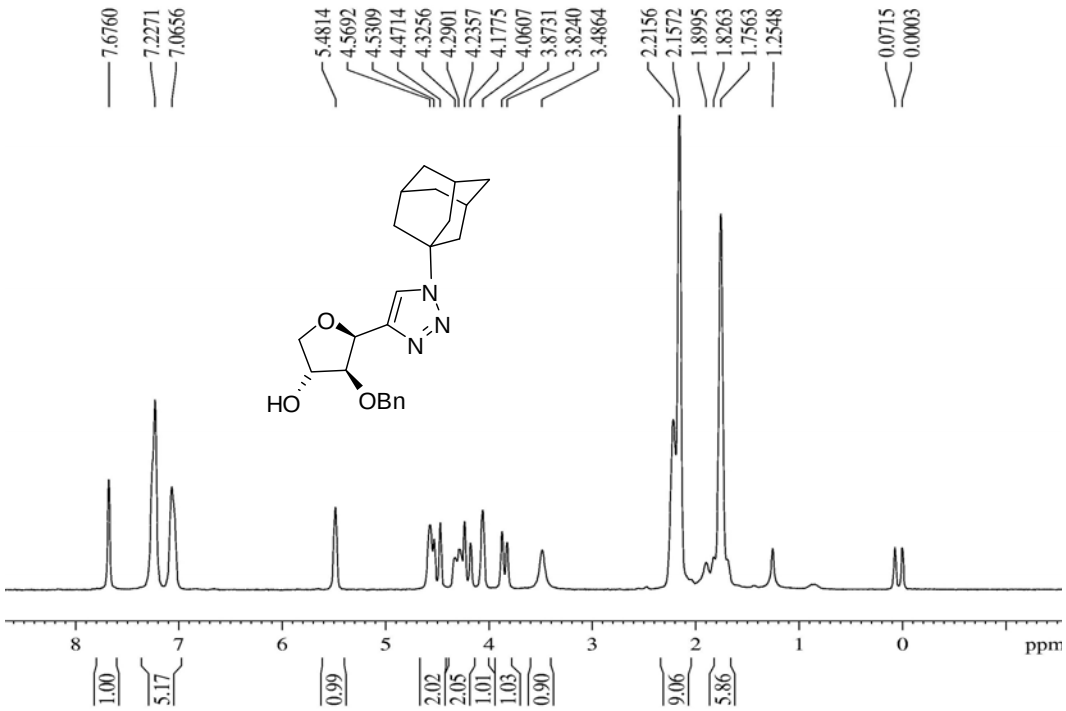

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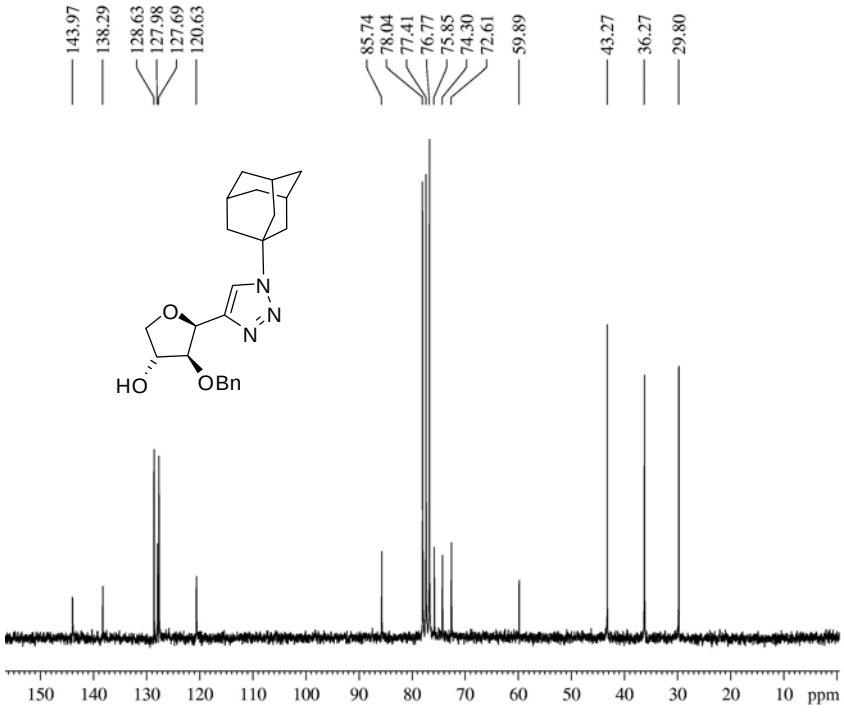

^{13}C NMR spectrum of compound **27**

Experimental Section – Biology

In vitro anti-bacterial and anti-fungal activity evaluation

All the prepared tetrahydrofuranyl 1,2,3-triazolyl *C*-nucleoside analogues were evaluated for their *in vitro* antifungal activity against *Candida albicans, Cryptococcus neoformans, Sporothrix schenckii, Trichophyton mentagraphytes, Aspergillus fumigatus* and *Candida parapsilosis* (ATCC 22019) and antibacterial activity against *Escherichia coli, Pseudomonas aeruginosa* (ATCC BAA-427), *Staphlococcus aerus* (ATCC 25923) and *Klebsiella pneumoniae* (ATCC 27736). In this process, minimum inhibitory concentration of compounds was tested according to standard microbroth dilution technique as per NCCLS guidelines.[23] Briefly, testing was performed in flat bottom 96 well tissue culture plates (CELLSTAR® Greiner bio-one GmbH, Germany) in RPMI 1640 medium buffered with MOPS (3-[N-morpholino]propanesulfonic acid) (Sigma chem. Co., MO, USA) for fungal strains and in Muller Hinton broth (Titan Biotech Ltd, India) for bacterial strains. The concentration range of test compounds was 50-0.36 and 32-0.0018 μg/mL for standard compounds. Initial inocula of fungal and bacterial strain were maintained at 1-5 × 10^3 cells/mL. These plates were incubated in a moist chamber at 35 ºC and absorbance at 492 nm was recorded on VersaMax microplate reader (Molecular devices, Sunnyvale, USA) after 48 h for *C. albicans* and *C. parapsilosis*, 72 h for *A. fumigatus*, *S. schenckii*, and *C. neoformans* and 96 h for *T. mentagraphytes* while bacterial strains were incubated for 24 h. MIC was determined as 90% inhibition of growth with respect to the growth control was observed by using SOFTmax Pro 4.3 Software (Molecular Devices, Sunnyvale, USA).

Table S1. *In vitro* anti-bacterial activity of 1,2,3-triazolyl *C*-nucleosides

Compound	Minimum inhibitory conc (MIC) in μg/ml			
	Ec[a]	*Pa*	*Sa*	*Kp*
14	>50	>50	>50	>50
15	>50	>50	>50	>50
16	>50	>50	>50	>50
18	>50	>50	>50	>50
19	>50	>50	>50	>50
20	>50	>50	>50	>50
22	>50	>50	>50	>50
23	>50	>50	>50	>50
24	>50	>50	>50	>50
25	>50	>50	**1.56**	**0.78**
27	>50	>50	**0.78**	**0.78**
28	>50	>50	>50	>50
29	>50	>50	>50	>50
Gentamycin	0.18	25	6.25	0.78
Ampicillin	12.5	>50	12.5	>50
Vancomycin	50	>50	0.045	>50
Ciprofloxacin	0.0112	0.09	0.78	0.045

[a]Escherichia coli (Ec), Pseudomonas aeruginosa (Pa), Staphylococcus aureus (Sa), Klebsiella pneumoniae (Kp)

Table S2. *In vitro* anti-fungal activity of 1,2,3-triazolyl *C*-nucleosides

Compound	Minimum inhibitory concentration (MIC) in μg/ml					
	Ca[a]	*Cn*	*Ss*	*Tm*	*Af*	*Cp*
14	>50	>50	>50	>50	>50	>50
15	50	50	>50	>50	>50	>50
16	50	50	>50	**25**	>50	>50
18	>50	>50	>50	**12.5**	>50	>50
19	>50	>50	>50	**25**	>50	>50
20	>50	>50	>50	**25**	>50	>50
22	>50	>50	>50	>50	>50	>50
23	>50	>50	>50	>50	>50	>50
24	>50	>50	>50	>50	>50	>50
25	>50	>50	>50	>50	>50	>50
27	>50	>50	>50	>50	>50	>50
28	>50	>50	>50	>50	>50	>50
29	>50	>50	>50	>50	>50	>50
Amphotericin B	0.016	0.062	0.062	0.062	0.125	0.031
Fluconazole	1	2	4	16	>32	0.5

[a]Candida albicans (Ca), Cryptococcus neoformans (Cn), Sporothrix schenckii (Ss), Trichophyton mentagrophytes (Tm), Aspergillus fumigatus (Af)